Quinoline Derivatives and MELK Inhibitors Containing the Same

Technical Field

The present invention relates to a quinoline derivative for inhibiting MELK activity, a method for the preparation thereof, and a pharmaceutical composition containing the compound as an active ingredient.

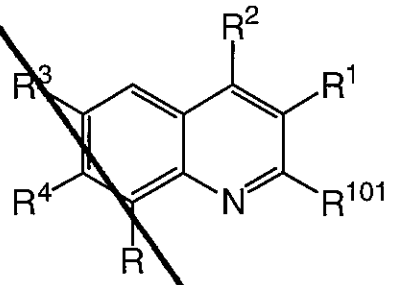
Background Art

MELK, maternal embryonic leucine zipper kinase, was previously identified as a new member of the snf1/AMPK serine-threonine kinase family that is involved in mammalian embryonic development (Heyer BS et al., Dev Dyn. 1999 Aug 215(4):344-51). The gene was shown to play an important role in stem cell renewal (Nakano I et al., J Cell Biol. 2005 Aug 1, 170(3):413-27), cell-cycle progression (Blot J et al., Dev Biol. 2002 Jan 15, 241(2):327-38; Seong HA et al., Biochem J. 2002 Feb 1, 361(Pt 3):597-604) and pre-mRNA splicing (Vulsteke V et al., J Biol Chem. 2004 Mar 5, 279(10):8642-7. Epub 2003 Dec 29). In addition, through gene expression profile analysis using a genome-wide cDNA microarray containing 23,040 genes, MELK was recently shown to be up-regulated in breast cancer (Lin ML et al., Breast Cancer Res. 2007; 9 (1):R17, WO2006/016525, WO2008/023841). In fact, MELK is up-regulated in several cancer cells, for example lung, bladder, lymphoma and cervical cancer cells (See WO2004/031413, WO2007/013665, and WO2006/085684, the disclosures of which are incorporated by reference herein). Northern blot analysis on multiple human tissues and cancer cell lines demonstrated that MELK was overexpressed at a significantly high level in a great majority of breast cancers and cell lines, but was not expressed in normal vital organs (heart, liver, lung and kidney) (WO2006/016525). Furthermore, suppression of MELK expression by siRNA was shown to significantly inhibit growth of human breast cancer cells. Accordingly, MELK is considered to be a suitable target for cancer therapy in the treatment of a wide array of cancer types. The present inventors have endeavored to develop an effective inhibitor of MELK and have found that a compound can selectively inhibit the activity of MELK.

Summary of Invention

The present invention relates to the following (1) to (33).

(1) A compound represented by the following formula or a pharmaceutically acceptable salt thereof:



(I)

wherein,

R^1 represents

a hydrogen atom,

a halogen,

a cyano,

an optionally substituted C_3-C_{10} cycloalkyl,

an optionally substituted aromatic heterocyclic group,

an optionally substituted C_1-C_6 alkylsulfinyl,

an optionally substituted C_1-C_6 alkylsulfonyl, or

-CO-R^5 [wherein,

R^5 is

an optionally substituted C_1-C_6 alkyl,

an optionally substituted aliphatic heterocyclic-(C_1-C_6 alkylenyl),

an optionally substituted C_3-C_{10} cycloalkyl,

an optionally substituted aryl,

an optionally substituted aromatic heterocyclic group,

a hydroxy,

an optionally substituted C_1-C_6 alkoxy, or

-NR^8R^9 (wherein,

R^8 and R^9 are the same or different and represent

a hydrogen atom,

an optionally substituted aryl,

an optionally substituted C_1-C_6 alkyl,

an optionally substituted C_3-C_{10} cycloalkyl,

an optionally substituted aromatic heterocyclic-(C_1-C_6 alkylenyl), or

an optionally substituted aliphatic heterocyclic-(C_1-C_6 alkylenyl))],

R^2 represents

a hydrogen atom,

a halogen,

a hydroxy,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy),
an optionally substituted aryl,
an optionally substituted aromatic heterocyclic group,
an optionally substituted aliphatic heterocyclic group,
$-NR^6R^7$ [wherein,
R^6 and R^7 are the same or different and represent
a hydrogen atom,
an optionally substituted C_1-C_6 alkyl,
a C_1-C_6 aminoalkyl,
an optionally substituted C_1-C_6 alkylamino-(C_1-C_6 alkylenyl),
an optionally substituted di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl),
an optionally substituted C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl), or
$-(CH_2)_n-R^{10}$ (wherein,
n represents an integer of 0 to 6, and
R^{10} is
an optionally substituted C_3-C_{10} cycloalkyl,
an optionally substituted aryl,
an optionally substituted aromatic heterocyclic group, or
an optionally substituted aliphatic heterocyclic group), or
R^6 and R^7 form with an adjacent nitrogen atom an optionally substituted heterocyclic group],
R^3 represents
a hydrogen atom,
a halogen,
an optionally substituted C_1-C_6 alkyl,
an optionally substituted C_1-C_6 alkoxy,
an optionally substituted C_3-C_8 cycloalkenyl,
an optionally substituted aryl,
an optionally substituted aromatic heterocyclic group, or
an optionally substituted aliphatic heterocyclic group,
R^4 represents
a hydrogen atom,
a halogen
a cyano,
a C_1-C_6 alkyl which may have a halogen as a substituent, or
an optionally substituted C_1-C_6 alkoxy,

R represents
a hydrogen atom, or
a halogen, and
R^{101} represents
a hydrogen atom, or a C_1-C_6 alkyl.

In particular, the following compounds or pharmaceutically acceptable salts thereof among the compounds represented by the above-mentioned formula (I):
wherein,
R^1 is
a hydrogen atom,
a halogen,
a cyano,
a C_3-C_{10} cycloalkyl,
an aromatic heterocyclic group,
a C_1-C_6 alkylsulfinyl,
a C_1-C_6 alkylsulfonyl, or
-CO-R^5 [wherein,
R^5 represents
an optionally substituted C_1-C_6 alkyl which may have a substituent group selected from Substituent Group A,
an aliphatic heterocyclic-(C_1-C_6 alkylenyl),
a C_3-C_{10} cycloalkyl,
an optionally substituted aryl which may have a substituent group selected from Substituent Group B,
an aromatic heterocyclic group,
a hydroxy,
a C_1-C_6 alkoxy, or
-NR^8R^9 (wherein,
R^8 and R^9 are the same or different and represent
a hydrogen atom,
an optionally substituted aryl which may have a substituent group selected from Substituent Group B,
a C_1-C_6 alkyl,
an optionally substituted C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group B,
an aromatic heterocyclic-(C_1-C_6 alkylenyl), or

an optionally substituted aliphatic heterocyclic-(C_1-C_6 alkylenyl) which may have a substituent group selected from Substituent Group B)],

R^2 represents

a hydrogen atom,

a halogen,

a hydroxy,

a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy),

an optionally substituted aryl which may have a substituent group selected from Substituent Group B,

an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group B,

an optionally substituted aliphatic heterocyclic group which may have a substituent group selected from Substituent Group B,

$-NR^6R^7$ [wherein,

R^6 and R^7 are the same or different and represent

a hydrogen atom,

an optionally substituted C_1-C_6 alkyl which may have a substituent group selected from Substituent Group A,

a C_1-C_6 aminoalkyl,

a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl),

a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) which may be substituted with a hydroxy,

a C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl), or

$-(CH_2)_n-R^{10}$ (wherein,

n represents an integer of 0 to 6, and

R^{10} represents

an optionally substituted C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group B,

an optionally substituted aryl which may have a substituent group selected from Substituent Group B,

an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group B, or

an optionally substituted aliphatic heterocyclic group which may have a substituent group selected from Substituent Group B), or

R^6 and R^7 form with an adjacent nitrogen atom an optionally substituted heterocyclic group which may have a substituent group selected from Substituent Group B],

R^3 represents

a hydrogen atom,

a halogen,
a C_1-C_6 alkyl,
an optionally substituted C_1-C_6 alkoxy which may have a substituent group selected from Substituent Group A,
an optionally substituted C_3-C_8 cycloalkenyl which may have a substituent group selected from Substituent Group B,
an optionally substituted aryl which may have a substituent group selected from Substituent Group B,
an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group B, or
an optionally substituted aliphatic heterocyclic group which may have a substituent group selected from Substituent Group B, and

R^4 represents
a hydrogen atom, or
a halogen,

R^{101} represents
a hydrogen atom,

the above-mentioned substituents are one to three substituents each independently selected from the following Substituent Groups:

Substituent Group A: a halogen, an aliphatic heterocyclic group, an optionally substituted aliphatic heterocyclic-carbonyl which may be substituted with a C_1-C_6 alkyl (the C_1-C_6 alkyl has the same meaning as the aforementioned C_1-C_6 alkyl)

Substituent Group B:
a halogen,
a hydroxy,
a cyano,
a C_1-C_6 alkyl,
a C_1-C_6 alkoxy,
a carboxyl,
a C_1-C_6 alkoxycarbonyl,
a trifluoromethoxy,
a difluoromethoxy,
a trifluoromethyl,
a difluoromethyl,
an amino,
a C_1-C_6 alkylamino (wherein, the C_1-C_6 alkyl may have a hydroxy as a substituent),
a di(C_1-C_6 alkyl)amino,

a diallylamino,
a C_1-C_6 alkylsulfonylamino,
a C_2-C_7 alkanoylamino,
a carbamoyl,
a sulfamoyl,
a benzylureide,
a (C_1-C_6 alkyl)ureide,
a C_1-C_6 hydroxyalkyl,
a C_1-C_6 aminoalkyl,
a C_1-C_6 aminoalkylenyloxy,
a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkyl may have a halogen as a substituent),
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either a C_1-C_6 alkyl or a C_1-C_6 alkylenyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom),
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonyl,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonylamino,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)aminocarbonyl,
an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino, a hydroxy, a halogen, a di(C_1-C_6 alkyl)amino, a C_1-C_6 alkylamino, or a C_1-C_6 alkoxy as a substituent),
an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl, an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, or a halogen as a substituent),
an aliphatic heterocyclic-carbonyl (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent),
an aliphatic heterocyclic-carbonylamino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent),
an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent),
an aliphatic heterocyclic-(C_1-C_6 alkylenyl)amino,
an aliphatic heterocyclic-(C_1-C_6 alkylenyl)oxy,
an aromatic heterocyclic-(C_1-C_6 alkylenyl),
an aliphatic heterocyclic-sulfonyl which may be substituted with a C_1-C_6 alkyl,
a C_1-C_6 aminoalkylcarbonylamino,

a hydroxyphenyl,
a dimethylaminocarbonyl,
an aminocyclohexylaminocarbonyl,
a methylpiperazinylphosphonyl,
a C_3-C_8 cycloalkyl (wherein, the cycloalkyl may have an amino, a C_1-C_6 alkylamino, or a C_1-C_6 aminoalkyl as a substituent), and
an oxo.

(2) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (1), wherein R^4 is a hydrogen atom or a halogen and R^{101} is a hydrogen atom.

(3) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (2), wherein

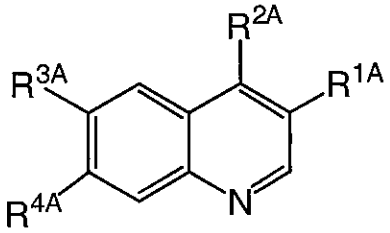
R^1 is R^{1A} [R^{1A} represents a cyano, a C_1-C_6 alkylsulfinyl, a C_1-C_6 alkylsulfonyl, or -CO-R^{5A} (wherein, R^{5A} represents a C_1-C_6 alkyl or a C_3-C_{10} cycloalkyl)],

R^2 is R^{2A} {R^{2A} represents an optionally substituted aryl which may have a substituent group selected from Substituent Group C, an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, or -$NR^{6A}R^{7A}$ [wherein, R^{6A} represents a hydrogen atom, and R^{7A} represents -$(CH_2)_n$-R^{10A} (wherein, n represents an integer of 0 to 6, and R^{10A} represents an optionally substituted C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D, an optionally substituted aryl which may have a substituent group selected from Substituent Group E, an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl, or an aromatic heterocyclic group which may have a substituent group selected from Substituent Group I), or R^{6A} and R^{7A} form with an adjacent nitrogen atom an optionally substituted heterocyclic group which may have a substituent group selected from Substituent Group F]},

R^3 is R^{3A} (R^{3A} represents an optionally substituted aryl which may have a substituent group selected from Substituent Group G, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H), and

R^4 is a hydrogen atom or a halogen and R^{101} is a hydrogen atom.

More specifically, a compound represented by the following formula (IA) or a pharmaceutically acceptable salt thereof:



(IA)

wherein,

R^{1A} represents
a cyano,
a C_1-C_6 alkylsulfinyl,
a C_1-C_6 alkylsulfonyl, or
a -CO-R^{5A} (wherein, R^{5A} represents a C_1-C_6 alkyl, or a C_3-C_{10} cycloalkyl),
R^{2A} represents
an optionally substituted aryl which may have a substituent group selected from Substituent Group C,
an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, or
-$NR^{6A}R^{7A}$ [wherein,
R^{6A} represents a hydrogen atom, and
R^{7A} represents
-$(CH_2)_n$-R^{10A} (wherein,
n represents an integer of 0 to 6, and
R^{10A} represents
an optionally substituted C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D,
an optionally substituted aryl which may have a substituent group selected from Substituent Group E,
an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl, or
an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group I), or
R^{6A} and R^{7A} form with an adjacent nitrogen atom an optionally substituted heterocyclic group which may have a substituent group selected from Substituent Group F],
R^{3A} represents
an optionally substituted aryl which may have a substituent group selected from Substituent Group G, or
an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H,
R^{4A} represents a hydrogen atom or a halogen, and
the above-mentioned substituents C to I are one to three substituents each independently selected from the following Substituent Groups:
Substituent Group C: a halogen, a hydroxy, a C_1-C_6 alkoxy, and a di(C_1-C_6 alkyl)amino;
Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a

hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6 alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic-carbonylamino;

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent);

Substituent Group F: a carbamoyl, an amino, a C_1-C_6 aminoalkyl, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), an aliphatic heterocyclic-(C_1-C_6 alkylenyl), and an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl;

Substituent Group G: a halogen, a hydroxy, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, a trifluoromethoxy, a C_1-C_6 aminoalkyl, a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), an amino, a C_1-C_6 alkylsulfonylamino, a carbamoyl, a sulfamoyl, a (C_1-C_6 alkyl)ureide, a benzylureide, and an aliphatic heterocyclic group;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group I: an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino group, or a C_1-C_6 alkylamino as a substituent); an aliphatic heterocyclic-(C_1-C_6 alkylenyl); an aliphatic heterocyclic amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent); a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl); a C_1-C_6 aminoalkyloxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino; a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent).

(4) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (3), wherein R^1 is -CO-R^{5A} (wherein, R^{5A} has the same meaning as described above).

(5) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (4), wherein R^{5A} is a C_1-C_6 alkyl or a C_3-C_{10} cycloalkyl.

(6) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (4),

wherein R^{5A} is a methyl, an n-propyl, an isopropyl, an isobutyl, or a cyclopropyl.

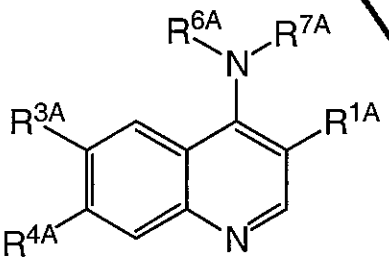
(7) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (3), wherein R^1 is a cyano.

(8) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (3), wherein R^1 is a C_1-C_6 alkylsulfonyl.

(9) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (3), wherein R^1 is a methylsulfonyl.

(10) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (9), wherein R^2 is $-NR^{6A}R^{7A}$ (wherein, R^{6A} and R^{7A} have the same meaning as described above).

Especially, a compound represented by the following formula (IB) or a pharmaceutically acceptable salt thereof:



(IB)

wherein, R^{1A}, R^{3A}, R^{4A}, R^{6A}, and R^{7A} have the same meaning as described above.

(11) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (10), wherein R^{6A} is a hydrogen atom, and R^{7A} is $-(CH_2)_n$-R^{10A} (wherein, n and R^{10A} have the same meaning as described above).

(12) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (11), wherein R^{10A} is a three- to eight-membered monocyclic aliphatic heterocyclic group comprising at least one nitrogen atom which may be substituted with a C_1-C_6 alkyl; a C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D; a phenyl which may have a substituent group selected from Substituent Group E; or an aromatic heterocyclic group which may have a substituent group selected from Substituent Group I, wherein the aromatic heterocyclic group is a pyridyl, a pyrimidinyl, or a pyrazolyl.

Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6

alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic-carbonylamino

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent)

(13) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (11) or (12), wherein n is an integer of 0 to 2.

(14) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (10), wherein R^{6A} and R^{7A} form with an adjacent nitrogen atom an optionally substituted heterocyclic group which may have a substituent group selected from Substituent Group F.

(15) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (10), wherein R^2 is a piperidin-4-spiro-3'-pyrrolidin-1-yl, an optionally substituted piperidino which may have a substituent group selected from Substituent Group F, or an optionally substituted 1-piperazinyl which may have a substituent group selected from Substituent Group F.

(16) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (10), wherein R^2 is a piperidin-4-spiro-3'-pyrrolidin-1-yl, a piperidino which may have a substituent group selected from substituent Fa, or a 1-piperazinyl which may have a substituent group selected from substituent Fa, wherein the substituent Fa is a substituent selected from the group consisting of an amino, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a pyrrolidinyl-(C_1-C_6 alkylenyl), a morpholino-(C_1-C_6 alkylenyl), a 1-piperazinyl whose hydrogen atom on the nitrogen of position 4 may be substituted with a C_1-C_6 alkyl, and a piperazin-1-yl-(C_1-C_6 alkylenyl) whose hydrogen atom on the nitrogen of position 4 may be substituted with a C_1-C_6 alkyl.

(17) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (9), wherein R^2 is an optionally substituted aryl which may have a substituent group selected from Substituent Group C.

(18) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (1) to (9), wherein R^2 is an optionally substituted phenyl which may have a substituent group selected from Substituent Group C.

(19) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (18), wherein R^3 is an optionally substituted aryl which may have a substituent group selected from Substituent Group G, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H.

(20) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (18), wherein R^3 is an optionally substituted phenyl which may have a

substituent group selected from Substituent Group G, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, wherein the aromatic heterocyclic group is selected from the group consisting of a thienyl, a pyrrolyl, an imidazolyl, an isoxazolyl, a pyridyl, a pyrimidinyl, a pyrazolyl, a 1H-indazolyl, a benzimidazolyl, a [1,2,4]triazolo[1,5-a]pyridyl, or a pyrrolo[2,3-b]pyridyl.

(21) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (18), wherein R^3 is an optionally substituted phenyl which may have a substituent group selected from Substituent Group G, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, wherein the aromatic heterocyclic group is selected from the group consisting of a pyridyl, a thienyl, a pyrimidinyl, a benzimidazolyl, and a 1H-indazolyl.

(22) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (21), wherein R is a hydrogen atom.

(23) A compound or a pharmaceutically acceptable salt thereof, selected from the following Compound Group:

compound 1 : ethyl 4-(3-(dimethylamino)propylamino) -6-methoxyquinoline-3 -carboxylate

compound 2 : ethyl 4-(3-(dimethylamino)propylamino) -6-methylquinoline -3-carboxylate

compound 3 : ethyl 4-(3-(dimethylamino)propylamino) -6-fluoroquinoline -3-carboxylate

compound 4 : ethyl 4-(3-(dimethylamino)propylamino) quinoline -3-carboxylate

compound 5 : ethyl 4-(4-acetamidophenylamino) -6-methylquinoline -3-carboxylate

compound 6 : ethyl 4-(4-acetamidophenylamino) -6-methoxyquinoline -3-carboxylate

compound 7 : ethyl 4-(4-acetamidophenylamino) quinoline-3-carboxylate

compound 8 : ethyl 4-(3-(dimethylamino)propylamino) -6-(trifluoromethoxy)quinoline -3-carboxylate

compound 9 : N1-(3-bromoquinolin-4-yl)-N3,N3 –dimethylpropane -1,3-diamine

compound 10 : ethyl 4-(4-acetamidophenylamino) -6-fluoroquinoline -3-carboxylate

compound 11 : N1,N1-dimethyl-N3- (quinolin-4-yl)propane -1,3-diamine

compound 12 : N-(4-(quinolin-4-ylamino)phenyl) acetamide

compound 13 : N1,N1-dimethyl-N3 -(3-(thiophen-2-yl)quinolin-4-yl) propane-1,3-diamine

compound 14 : N-(4-(6-chloro-3 -(4-chlorobenzoyl)quinolin -4-ylamino)phenyl) acetamide

compound 15 : (6-chloro-4-(3-(dimethylamino) propylamino)quinolin-3-yl) (4-chlorophenyl)methanone

compound 16 : 4-(4-acetamidophenylamino) -N-(4-chlorophenyl)quinoline -3-carboxamide

compound 17 : N-(4-chlorophenyl)-4- (3-(dimethylamino)propylamino) quinoline-3-carboxamide

compound 18 : N-(4-(6-chloro-3- (cyclopropanecarbonyl)quinolin -4-ylamino)phenyl)acetamide

compound 19 : (6-chloro-4-(3-(dimethylamino) propylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 20 : N-(4-chlorophenyl)-4- (4-chlorophenylamino)quinoline -3-carboxamide

compound 21 : ethyl 4-(4-acetamidophenylamino) -6-(trifluoromethoxy)quinoline -3-carboxylate

compound 22 : N-(4-chlorophenyl)-4-(piperidin-3-ylmethylamino) quinoline-3-carboxamide

compound 23 : N-(4-chlorophenyl)-4- ((1-ethylpyrrolidin-2-yl) methylamino)quinoline-3- carboxamide

compound 24 : ethyl 4-(4-acetamidophenylamino)-6- chloroquinoline-3-carboxylate

compound 25 : ethyl 4-(3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl)quinoline -3-carboxylate

compound 26 : ethyl 6-bromo-4-(3-(dimethylamino) propylamino)quinoline -3-carboxylate

compound 27 : ethyl 4-(3-(dimethylamino)propylamino) -6-(thiophen-2-yl)quinoline -3-carboxylate

compound 28 : ethyl 6-chloro-4-(3-(dimethylamino) propylamino)quinoline -3-carboxylate

compound 29 : N-((1-ethylpyrrolidin-2-yl)methyl) -3-(thiophen-2-yl)quinolin-4-amine

compound 30 : N-(4-(3-(thiophen-2-yl)quinolin -4-ylamino)phenyl)acetamide

compound 31 : ethyl 4-(4-acetamidophenylamino) -6-bromoquinoline-3-carboxylate

compound 32 : 4-((trans)-4-aminocyclohexylamino)-N- (4-chlorophenyl)quinoline-3-carboxamide

compound 33 : (4-((trans)-4-aminocyclohexylamino) -6-chloroquinolin-3-yl) (cyclopropyl)methanone

compound 34 : (4-(3-aminopropylamino) 6-chloroquinolin-3-yl) (cyclopropyl)methanone

compound 35 : N-(4-(6-bromo-3- (thiophene-2-carbonyl)quinolin -4-ylamino)phenyl)acetamide

compound 36 : (6-bromo-4-(3-(dimethylamino) propylamino)quinolin-3-yl) (thiophen-2-yl)methanone

compound 37 : N1,N1-dimethyl-N3- (6-(trifluoromethoxy)quinolin -4-yl)propane-1,3-diamine

compound 38 : ethyl 4-(3-(dimethylamino)propylamino) -6-(pyridin-4-yl)quinoline -3-carboxylate

compound 39 : ethyl 4-(3-(dimethylamino)propylamino) -6-(3-hydroxyphenyl)quinoline -3-carboxylate

compound 40 : (6-chloro-4-(piperidin-3-ylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 41 : 4-chloro-1-(6-chloro-4-(piperidin -3-ylamino)quinolin-3-yl) butan-1-one

compound 42 : (6-chloro-4-((3-(dimethylamino) propyl)(methyl)amino)quinolin -3-yl)(cyclopropyl)methanone

compound 43 : (6-chloro-4-(4-(dimethylamino) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 44 : ethyl 4-(4-aminophenylamino)-6- chloroquinoline-3-carboxylate

compound 45 : ethyl 6-chloro-4-(4-(dimethylamino) phenylamino)quinoline-3-carboxylate

compound 46 : ethyl 4-(4-(dimethylamino) phenylamino)-6-(trifluoromethoxy) quinoline-3-carboxylate

compound 47 : (4-(3-(dimethylamino) propylamino)-6-(4-hydroxyphenyl) quinolin-3-yl)(thiophen-2-yl) methanone

compound 48 : (6-bromo-4-(3-(dimethylamino) propylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 49 : 4,4'-(quinoline-4,6-diyl)diphenol

compound 50 : 4-(4-(3-(dimethylamino) propylamino)quinolin-6-yl)phenol

compound 51 : N1-(3-(1H-benzo[d]imidazol-2-yl) -6-methoxyquinolin-4-yl)-N3,N3 -dimethylpropane-1,3-diamine

compound 52 : 4-(4-((trans)-4-aminocyclohexylamino)quinolin -6-yl)phenol

compound 53 : (4-((trans)-4-aminocyclohexylamino) -6-(4-hydroxyphenyl)quinolin -3-yl)(thiophen-2-yl)methanone

compound 54 : (4-((trans)-4-aminocyclohexylamino) -6-bromoquinolin-3-yl) (thiophen-2-yl)methanone

compound 55 : (4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 56 : (4-((trans)-4-aminocyclohexylamino)-6- bromoquinolin-3-yl)(cyclopropyl) methanone

compound 57 : 4-(3-(dimethylamino)propylamino) -6-methoxy- N,N-dimethylquinoline-3- carboxamide

compound 58 : 4-(3-(dimethylamino)propylamino) -6-methoxy-N-methylquinoline -3-carboxamide

compound 59 : ethyl 6-(4-aminophenyl)-4- (3-(dimethylamino)propylamino) quinoline-3-carboxylate

compound 60 : ethyl 6-(4-carbamoylphenyl)-4- (3-(dimethylamino)propylamino) quinoline-3-carboxylate

compound 61 : ethyl 6-(6-cyanopyridin-3-yl)-4- (3-(dimethylamino)propylamino) quinoline-3-carboxylate

compound 62 : ethyl 6-(6-aminopyridin-3-yl)-4- (3-(dimethylamino)propylamino) quinoline-3-carboxylate

compound 63 : ethyl 4-(3-(dimethylamino)propylamino) -6-(4-(methylsulfonamido)phenyl) quinoline-3-carboxylate

compound 64 : ethyl 4-(3-(dimethylamino)propylamino) -6-(4-hydroxy-3-methoxyphenyl) quinoline-3-carboxylate

compound 65 : ethyl 4-(3-(dimethylamino)propylamino) -6-(4-methoxyphenyl)quinoline -3-carboxylate

compound 66 : ethyl 4-(3-(dimethylamino)propylamino) -6-(1H-pyrazol-4-yl)quinoline -3-carboxylate

compound 67 : ethyl 4-(3-(dimethylamino)propylamino) -6-(1H-indazol-5-yl)quinoline -3-carboxylate

compound 68 : ethyl 4-(3-(dimethylamino)propylamino) -6-(4-sulfamoylphenyl)quinoline -3-carboxylate

compound 69 : N-(3-(dimethylamino)propyl) -5-(4-(3-(dimethylamino) propylamino)-3-(thiophen-2-yl) quinolin-6-yl)picolinamide

compound 70 : ethyl 4-((trans)-4-aminocyclohexylamino)-6-bromoquinoline-3-carboxylate

compound 71 : ethyl 6-bromo-4-((trans)-4- hydroxycyclohexylamino) quinoline-3-carboxylate

compound 72 : ethyl 4-(3-aminopropylamino) -6-bromoquinoline-3-carboxylate

compound 73 : ethyl 6-bromo-4-(2-(diethylamino) ethylamino)quinoline -3-carboxylate

compound 74 : ethyl 6-bromo-4- ((1-ethylpyrrolidin-2-yl) methylamino)quinoline-3-carboxylate

compound 75 : (6-bromo-4-(3-(dimethylamino) propoxy)quinolin-3-yl) (cyclopropyl)methanone

compound 76 : 5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl) quinolin-6-yl)picolinonitrile

compound 77 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(thiophene-2-carbonyl)quinolin -6-yl)picolinonitrile

compound 78 : 4-(quinolin-6-yl)phenol

compound 79 : 4-(4-(3-(dimethylamino) propylamino)-3-(thiophen-2-yl) quinolin-6-yl)phenol

compound 80 : 4-(3-(dimethylamino)propylamino) -6-(4-methoxyphenyl)quinoline-3-carboxylic acid dihydrochloride

compound 81 : (4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 82 : ethyl 6-bromo-4- (1-methylpiperidin-4-ylamino) quinoline-3-carboxylate

compound 83 : (4-((trans)-4-aminocyclohexylamino)-6-(6-methoxypyridin-3-yl)quinolin -3-yl)(thiophen-2-yl)methanone

compound 84 : N-((trans)-4-aminocyclohexyl) -5-(4-((trans)-4-aminocyclohexylamino)-3- (thiophen-2-yl)quinolin-6-yl) picolinamide

compound 85 : ethyl 6-bromo-4-(3-(diethylamino) propylamino)quinoline-3- carboxylate

compound 86 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (thiophen-2-yl)quinolin-6-yl) phenol

compound 87 : N-((trans)-4-aminocyclohexyl)-5- (4-chloro-3-(thiophen-2-yl) quinolin-6-yl)picolinamide

compound 88 : ethyl 4-((trans)-4-(aminomethyl) cyclohexylamino)-6-bromoquinoline-3-carboxylate

compound 89 : ethyl 4-(2-(diethylamino)ethylamino) -6-(4-hydroxyphenyl)quinoline -3-carboxylate

compound 90 : ethyl 4-((1-ethylpyrrolidin-2-yl) methylamino)-6-(4-hydroxyphenyl)quinoline-3- carboxylate

compound 91 : ethyl 6-bromo-4-(piperidin-4- ylmethylamino)quinoline-3- carboxylate

compound 92 : ethyl 6-bromo-4-(piperidin-4-ylamino) quinoline-3-carboxylate

compound 93 : ethyl 4-(3-aminopropylamino)-6- (4-hydroxyphenyl)quinoline -3-carboxylate

compound 94 : ethyl 6-bromo-4-(2-(piperazin-1-yl) ethylamino)quinoline-3- carboxylate

compound 95 : ethyl 4-(3-(dimethylamino)propylamino) -6-(pyridin-3-yl)quinoline-3-carboxylate

compound 96 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)pyrimidine-2-carbonitrile

compound 97 : 1-(6-bromo-4-(3-(dimethylamino) propylamino)quinolin-3-yl) -4-morpholinobutan-1-one

compound 98 : ethyl 6-bromo-4-((trans)-4- (diethylamino)cyclohexylamino) quinoline-3-carboxylate

compound 99 : ethyl 4-((cis)-4-aminocyclohexylamino)-6-bromoquinoline-3-carboxylate

compound 100 : ethyl 6-bromo-4-(4-((dimethylamino) methyl)piperidin-1-yl)quinoline -3-carboxylate

compound 101 : ethyl 4-(3-(1H-imidazol-1-yl) propylamino)-6-bromoquinoline -3-carboxylate

compound 102 : 4-(3-cyclopropyl-4-(3-(dimethylamino)propylamino)quinolin-6-yl)-2-methoxyphenol

compound 103 : 4-(3-cyclopropyl-4- (3-(dimethylamino)propylamino) quinolin-6-yl)phenol

compound 104 : 4-(4-((trans)-4-aminocyclohexylamino)-3-cyclopropylquinolin-6-yl)phenol

compound 105 : 4-(3-(1H-benzo[d]imidazol-2-yl) -4-(3-(dimethylamino) propylamino)quinolin-6-yl)phenol

compound 106 : ethyl 6-(4-cyanophenyl)-4- (3-(dimethylamino)propylamino) quinoline-3-carboxylate

compound 107 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)-2-methylpropan -1-one

compound 108 : 5-(4-((trans)-4-aminocyclohexylamino) -3-isobutyrylquinolin-6-yl) picolinonitrile

compound 109 : 1-(4-((trans)-4-aminocyclohexylamino)-6- bromoquinolin-3-yl)-2-methylpropan-1-one

compound 110 : ethyl 6-bromo-4- ((1-methylpiperidin-4-yl) methylamino)quinoline -3-carboxylate

compound 111 : ethyl 4-((3-(aminomethyl)cyclohexyl) methylamino)-6-bromoquinoline 3-carboxylate

compound 112 : ethyl 4-((trans)-4-aminocyclohexylamino)-6-(6-cyanopyridin-3-yl)quinoline-3- carboxylate

compound 113 : 4-(3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl) -N,N-dimethylquinoline -3-carboxamide

compound 114 : 4-(3-(dimethylamino)propylamino) -N-ethyl-6-(4-hydroxyphenyl) quinoline-3-carboxamide

compound 115 : 4-(3-(dimethylamino)propylamino) -N-((trans)-4-hydroxycyclohexyl) -6-(4-hydroxyphenyl)quinoline -3-carboxamide

compound 116 : (4-((trans)-4-aminocyclohexylamino)-6- (1H-benzo[d]imidazol-5-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 117 : (trans)-N1-(6-bromo-3- (methylsulfonyl)quinolin-4-yl) cyclohexane-1,4-diamine

compound 118 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2-methoxyphenol

compound 119 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)thiophene-2-carbonitrile

compound 120 : ethyl 6-bromo-4- (piperidin-3-ylmethylamino) quinoline-3-carboxylate

compound 121 : ethyl 4-((trans)-4-aminocyclohexylamino)-6-(4-hydroxyphenyl)quinoline -3-carboxylate

compound 122 : 4-(3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl) -N-(2-(piperazin-1-yl)ethyl) quinoline-3-carboxamide

compound 123 : 4-(3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl) -N-((1-methylpiperidin-4-yl) methyl)quinoline-3-carboxamide

compound 124 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 125 : 5-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) picolinamide

compound 126 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 127 : ethyl 6-bromo-4- (3-(2-hydroxyethylamino) propylamino)quinoline -3-carboxylate

compound 128 : ethyl 4-(3-aminocyclohexylamino) -6-bromoquinoline-3-carboxylate

compound 129 : ethyl 4-(3-acetamido -2-methylpropylamino) -6-bromoquinoline-3-carboxylate

compound 130 : ethyl 6-bromo-4-(3-carbamoylpiperidin- 1-yl)quinoline-3-carboxylate

compound 131 : ethyl 6-bromo-4-(4-carbamoylpiperidin- 1-yl)quinoline-3-carboxylate

compound 132 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)pyridin-2(1H)-one

compound 133 : cyclopropyl(4-(4-((dimethylamino) methyl)piperidin-1-yl)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone

compound 134 : N-(2-(1H-imidazol-5-yl)ethyl)-4- (3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl)quinoline -3-carboxamide

compound 135 : N-((trans)-4-aminocyclohexyl)-4- (3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl)quinoline -3-carboxamide

compound 136 : 5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 137 : (6-bromo-4-(4-((dimethylamino) methyl)piperidin-1-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 138 : ethyl 4-(4-aminopiperidin-1-yl)-6- bromoquinoline-3-carboxylate

compound 139 : ethyl 6-bromo-4-(3-((dimethylamino) methyl)piperidin-1-yl)quinoline -3-carboxylate

compound 140 : ethyl 6-bromo-4-(2,8-diazaspiro[4.5] decan-8-yl)quinoline-3-carboxylate

compound 141 : ethyl 6-(4-hydroxyphenyl)-4- (piperidin-3-ylmethylamino) quinoline-3-carboxylate

compound 142 : ethyl 6-bromo-4-hydroxyquinoline -3-carboxylate

compound 143 : (4-((trans)-4-aminocyclohexylamino)-6- (3,5-dimethylisoxazol-4-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 144 : (4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrrol-3-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 145 : ethyl 4-(4-(aminomethyl)piperidin-1-yl) -6-bromoquinoline-3-carboxylate

compound 146 : ethyl 4-((trans)-4-aminocyclohexylamino)-6- morpholinoquinoline-3-carboxylate

compound 147 : (4-((trans)-4-aminocyclohexylamino)-6- (4-(aminomethyl)phenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 148 : (6-([1,2,4]triazolo[1,5-a]pyridin -6-yl)-4-((trans)-4-aminocyclohexylamino)quinolin -3-yl)(cyclopropyl)methanone

compound 149 : ethyl 6-bromo-4- (pyridin-4-ylmethylamino) quinoline-3-carboxylate

compound 150 : ethyl 4-(4-aminobenzylamino) -6-bromoquinoline-3-carboxylate

compound 151 : ethyl 6-bromo-4- (quinuclidin-3-ylamino) quinoline-3-carboxylate

compound 152 : ethyl 6-bromo-4- (pyrrolidin-3-ylmethylamino) quinoline-3-carboxylate

compound 153 : ethyl 4-(azetidin-3-ylmethylamino) -6-bromoquinoline-3-carboxylate

compound 154 : ethyl 6-bromo-4-(4-((methylamino) methyl)piperidin-1-yl)quinoline -3-carboxylate

compound 155 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(2,8-diazaspiro[4.5]decan-8-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 156 : (4-((trans)-4-aminocyclohexylamino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 157(a) : (4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone hydrochloride

compound 157(b) : (4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone dihydrochloride

compound 158 : (4-((trans)-4-aminocyclohexylamino)-6- (3-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 159 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)-2-hydroxybenzonitrile

compound 160 : (4-((trans)-4-aminocyclohexylamino)-6- (2,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 161 : (4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3,5-dimethylphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 162 : (6-(1H-benzo[d]imidazol-5-yl)-4- (2,8-diazaspiro[4.5]decan-8-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 163 : (4-((cis)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl) methanone

compound 164 : 5-(4-((cis)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 165 : (4-((cis)-4-aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin -3-yl)(cyclopropyl)methanone

compound 166 : (4-((cis)-4-aminocyclohexylamino)-6- (1H-benzo[d]imidazol-5-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 167 : ethyl 6-bromo-4-(dimethylamino) quinoline-3-carboxylate

compound 168 : ethyl 6-bromo-4-(ethylamino) quinoline-3-carboxylate

compound 169 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 170 : 5-(3-(cyclopropanecarbonyl)-4- (1-methylpiperidin-4-ylamino) quinolin-6-yl)pyrimidine-2- carbonitrile

compound 171 : ethyl 6-bromo-4-(4-((dimethylamino) methyl)phenylamino)quinoline-3-carboxylate

compound 172 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)-3-methylpicolinonitrile

compound 173 : ethyl 6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(dimethylamino)quinoline -3-carboxylate

compound 174 : cyclopropyl(6-(3,5-difluoro -4-hydroxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone

compound 175 : cyclopropyl(6-(3,5-dichloro -4-hydroxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone

compound 176 : (6-(3-chloro-4-hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 177 : cyclopropyl(6-(4-hydroxy -3-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone

compound 178 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)-1H-benzo[d]imidazol-2(3H)-one

compound 179 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 180 : (4-((cis)-4-aminocyclohexylamino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 181 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)quinolin-3-yl) methanone

compound 182 : 4-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)-1H-pyrrole-2-carbonitrile

compound 183 : (4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrrolo[2,3-b]pyridin-5-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 184 : ethyl 6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(ethylamino) quinoline-3-carboxylate

compound 185(a) : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone hydrochloride

compound 185(b) : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4- (4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone dihydrochloride

compound 186 : 5-(3-(cyclopropanecarbonyl)-4- (4-(diethylamino) cyclohexylamino)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 187 : cyclopropyl(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-6-(4-hydroxy -3-methoxyphenyl)quinolin-3-yl) methanone

compound 188 : (4-((trans)-4-aminocyclohexylamino)-6- (4-(hydroxymethyl)phenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 189 : (4-((trans)-4-aminocyclohexylamino)-6- (2,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 190 : (4-((trans)-4-aminocyclohexylamino)-6- (2,3-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 191 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2-chloro-6-fluorophenol

compound 192 : (6-(3-chloro-4-hydroxyphenyl) -4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 193 : cyclopropyl(4-(4-(diethylamino) cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl) methanone

compound 194 : cyclopropyl(4-(4-(diethylamino) cyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl) methanone

compound 195 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2-chlorophenol

compound 196 : cyclopropyl(6-(4-hydroxy-3- methoxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) methanone

compound 197 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methylphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 198 : (4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxycyclohex-1-enyl) quinolin-3-yl)(cyclopropyl) methanone

compound 199 : (6-(1H-benzo[d]imidazol-5-yl)-4- (4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 200 : 5-(3-(cyclopropanecarbonyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 201 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 202 : (6-(1H-benzo[d]imidazol-5-yl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 203 : (6-(3-chloro-4-hydroxyphenyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 204 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) methanone

compound 205 : 1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) -2-methylpropan-1-one

compound 206 : (4-((trans)-4-aminocyclohexylamino)-6- (1,2,3,6-tetrahydropyridin-4-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 207 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2,6-difluorophenol

compound 208 : Cyclopropy l(6-(3,5-difluoro-4-hydroxyphenyl) -4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)methanone

compound 209 : (4-((cis)-4-aminocyclohexylamino)-6- (2-chlorophenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 210 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 211 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(dimethylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 212 : (4-((trans)-4-aminocyclohexylamino)-6- (pyridin-4-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 213 : (4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrazol-4-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 214 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one

compound 215 : (6-(3-chloro-4-hydroxyphenyl)-4- (2-(piperazin-1-yl)ethylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 216 : cyclopropyl(6-(4-hydroxy-3 -methoxyphenyl)-4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)methanone

compound 217 : (6-(3-chloro-4-hydroxyphenyl)-4- (dimethylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 218 : cyclopropyl(4-(dimethylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone

compound 219 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one

compound 220 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)-3-fluoropicolinonitrile

compound 221 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(diethylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 222 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 223 : 5-(3-(cyclopropanecarbonyl)-4- (diethylamino)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 224 : 5-(3-(cyclopropanecarbonyl)-4-(piperidin-1-yl)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 225(a) : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one

compound 225(b) : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan -1-one dihydrochloride

compound 226 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2,6-dichlorophenol

compound 227 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2-chloro-6-methoxyphenol

compound 228 : (4-((trans)-4-aminocyclohexylamino)-6- (2-methoxypyridin-4-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 229 : (4-((trans)-4-aminocyclohexylamino)-6- (3-methyl-1H-pyrazol-4-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 230 : (4-((trans)-4-aminocyclohexylamino)-6- (3,4-dimethoxyphenyl)quinolin -3-yl)(cyclopropyl)methanone

compound 231 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4- (cyclopentylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 232 : (6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4- (pentan-3-ylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 233 : (6-(3-chloro-4-hydroxyphenyl)-4- (piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 234 : (6-(3-chloro-4-hydroxyphenyl)-4- (diethylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 235 : N1-(6-bromo-3-(methylsulfonyl) quinolin-4-yl)-N4,N4- diethylcyclohexane-1,4-diamine

compound 236 : 2-chloro-4-(4-(4-(diethylamino) cyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) phenol

compound 237 : (4-((trans)-4-aminocyclohexylamino)-6- (2-chloropyridin-4-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 238 : 5-(3-(cyclopropanecarbonyl)-4- (pentan-3-ylamino)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 239 : 5-(4-(cyclopentylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)pyrimidine-2-carbonitrile

compound 240 : 2-chloro-4-(4-(4-(diethylamino) cyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl)-6- methoxyphenol dihydrochloride

compound 241 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone

compound 242 : cyclopropyl(6-(4-hydroxy -3-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone

compound 243 : (6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 244 : 5-(4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)-3-(methylsulfonyl) quinolin-6-yl)pyrimidine -2-carbonitrile

compound 245 : 2-chloro-4-(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-3-(methylsulfonyl) quinolin-6-yl)-6-methoxyphenol

compound 246 : 2-chloro-4-(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-3-(methylsulfonyl) quinolin-6-yl)phenol

compound 247 : 5-(4-(4-(diethylamino) cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 248 : 1-(1-(6-bromo-3-(methylsulfonyl) quinolin-4-yl)piperidin-4-yl) -N,N-dimethylethanamine

compound 249 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 250 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 251 : 2-chloro-4-(4-((1-methylpiperidin- 4-yl)methylamino)-3-(methylsulfonyl)quinolin-6-yl) phenol

compound 252 : 2-chloro-6-methoxy-4- (4-((1-methylpiperidin-4-yl) methylamino)-3-(methylsulfonyl) quinolin-6-yl)phenol

compound 253 : 6-bromo-N-((1-methylpiperidin -4-yl)methyl)-3-(methylsulfonyl) quinolin-4-amine

compound 254 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone

compound 255 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 256 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone

compound 257 : 1-(4-((trans)-4-aminocyclohexylamino)-6- bromoquinolin-3-yl)ethanone

compound 258 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone

compound 259 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) ethanone

compound 260 : 1-(4-((trans)-4-aminocyclohexylamino)-6- bromoquinolin-3-yl)-3-methylbutan-1-one

compound 261 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-3- methylbutan-1-one

compound 262 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) -3-methylbutan-1-one

compound 263 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone

compound 264 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 265 : (6-(3-chloro-4-hydroxyphenyl)-4- ((trans)-4-(dimethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 266 : cyclopropyl(4-((trans)-4- (dimethylamino)cyclohexylamino) -6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone

compound 267 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-3-methylbutan -1-one dihydrochloride

compound 268 : 5-(3-(cyclopropanecarbonyl)-4- ((trans)-4-(dimethylamino) cyclohexylamino)quinolin -6-yl)pyrimidine-2-carbonitrile

compound 269 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin-1- yl)quinolin-3-yl)(cyclopropyl) methanone

compound 270 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 271 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 272 : 1-(1-(6-bromo-3- (isopropylsulfonyl)quinolin-4-yl) piperidin-4-yl) -N,N-dimethylethanamine

compound 273 : (4-((trans)-4-aminocyclohexylamino)-6- (2-fluoropyridin-4-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 274 : 2-chloro-4-(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-3-(isopropylsulfonyl) quinolin-6-yl)-6-methoxyphenol

compound 275 : 2-chloro-4-(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-3-(isopropylsulfonyl) quinolin-6-yl)phenol

compound 276 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl)piperidin- 1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 277 : (6-bromo-4-(4-(pyrrolidin-1- ylmethyl)piperidin-1-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 278 : cyclopropyl(4-((trans)-4- (dimethylamino)cyclohexylamino) -7-fluoro-6-(4-hydroxy-3- methoxyphenyl)quinolin-3-yl) methanone

compound 279 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 280 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 281 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 282 : 5-(3-(cyclopropanecarbonyl)-4- (4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-6-yl)pyrimidine-2- carbonitrile

compound 283 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 284 : (4-((trans)-4-aminocyclohexylamino)-6-(3- chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin- 3-yl)(cyclopropyl)methanone

compound 285 : (4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)-7- fluoroquinolin-3-yl)(cyclopropyl) methanone

compound 286 : (4-((trans)-4-aminocyclohexylamino)-7-fluoro-6 -(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 287 : (4-((trans)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 288 : (4-((trans)-4-aminocyclohexylamino)-6- (2-chloro-3-fluoropyridin-4-yl) quinolin-3-yl)(cyclopropyl)methanone

compound 289 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 290 : 5-(3-(cyclopropanecarbonyl)-4- ((trans)-4-(dimethylamino) cyclohexylamino)-7- fluoroquinolin-6-yl)pyrimidine-2- carbonitrile

compound 291 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(3-(dimethylamino)propylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 292 : 5-(3-(cyclopropanecarbonyl)-4- (3-(dimethylamino)propylamino) quinolin-6-yl)pyrimidine -2-carbonitrile

compound 293 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(3-(dimethylamino)propylamino) quinolin-3-yl)(cyclopropyl)methanone

compound 294 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl)methanone

compound 295 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 296 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-2-methylpropan -1-one

compound 297 : 1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(3-fluoro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)-2-methylpropan -1-one

compound 298 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 299 : cyclopropyl(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-7-fluoro-6-(4-hydroxy-3- methoxyphenyl)quinolin-3-yl) methanone

compound 300 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 301 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)-7-fluoroquinolin -3-yl)methanone

compound 302 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl)-2-methylpropan-1-one

compound 303 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)ethanone

compound 304 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 305 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone

compound 306 : 1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(4-hydroxy -3-(trifluoromethoxy)phenyl) quinolin-3-yl)-2-methylpropan-1-one

compound 307 : cyclopropyl(6-(3-fluoro-4-hydroxy -5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)methanone

compound 308 : {6-(3-Chloro-5-fluoro-4 -hydroxyphenyl)-4-[(3-amino) adamantylamino]quinolin-3-yl} (cyclopropyl)methanone

compound 309 : {6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-[(3-amino) adamantylamino]quinolin-3-yl} (cyclopropyl)methanone

compound 310 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone

compound 311 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((cis)-4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 312 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichlorophenyl)quinolin-3-yl) -2-methylpropan-1-one

compound 313 : cyclopropyl(6-(4-hydroxy-3- (trifluoromethoxy)phenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)methanone

compound 314 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 315 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 316 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 317 : 1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(3-ethoxy-4-hydroxyphenyl)quinolin-3-yl)-2- methylpropan-1-one

compound 318 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl) piperidin-1-yl)quinolin-3-yl) -2-methylpropan-1-one

compound 319 : 1-(6-(3-chloro-4-hydroxy-5 -methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)-2-methylpropan-1-one

compound 320 : 1-(6-(3-chloro-4-hydroxyphenyl)-4 -(4-(pyrrolidin-1-ylmethyl) piperidin-1-yl)quinolin-3-yl) ethanone

compound 321 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)ethanone

compound 322 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-2-methylpropan -1-one

compound 323 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3 -yl)-2-methylpropan-1-one

compound 324 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) methanone

compound 325 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) methanone

compound 326 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 327 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 328 : (6-(3-chloro-4-hydroxyphenyl)-4- ((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 329 : (6-(3-chloro-4-hydroxyphenyl)-4- (((trans)-4-(dimethylamino) cyclohexyl)methylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 330 : 1-(4-(4-((trans)-4-aminocyclohexylamino)-3-isobutyrylquinolin-6-yl)phenyl)-3- benzylurea

compound 331 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -3-methylbutan-1-one

compound 332 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(morpholinomethyl)piperidin -1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 333 : 1-(4-(4-((trans)-4-aminocyclohexylamino)-3-isobutyrylquinolin-6-yl)phenyl) -3-methylurea

compound 334 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(morpholinomethyl)piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 335 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) ethanone

compound 336 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-3-yl)ethanone

compound 337 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(morpholinomethyl)piperidin-1-yl) quinolin-3-yl) (cyclopropyl)methanone

compound 338 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 339 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 340 : cyclopropyl(4-(dimethylamino)-6- (3-(piperazin-1-yl)phenyl) quinolin-3-yl)methanone

compound 341 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 342 : (6-(3-chloro-4-hydroxyphenyl)-4- ((trans)-4-(pyrrolidin-1-yl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 343 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 344 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -3-methylbutan-1-one

compound 345 : cyclopropyl(6-(3,5-dichloro -4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) methanone

compound 346 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 347 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 348 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-3-methylbutan -1-one

compound 349 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- (4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 350 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 351 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 352 : (4,6-bis(3-chloro-4-hydroxy-5- methoxyphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 353 : cyclopropyl(4-(4-((dimethylamino) methyl)piperidin-1-yl)-6-(3-ethoxy-4-hydroxyphenyl) quinolin-3-yl)methanone

compound 354 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl)piperidin -1-yl)quinolin-3-yl)-2,2-dimethylpropan-1-one

compound 355 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 356 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl)phenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 357 : (4-(3-chloro-4-hydroxy-5- methoxyphenyl)-6-(4-((dimethylamino)methyl)phenyl) quinolin-3-yl) (cyclopropyl)methanone

compound 358 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 359 : cyclopropyl(4-(4-((dimethylamino) methyl)phenylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone

compound 360 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 361 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-((trans)-4-(dimethylamino) cyclohexylamino)quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 362 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone

compound 363 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 364 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone

compound 365 : cyclopropyl(6-(4-hydroxy-3 -methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) methanone

compound 366 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)phenylamino) quinolin-3-yl)methanone

compound 367 : (6-(3-chloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 368 : (6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 369 : 5-(3-acetyl-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-6-yl)pyrimidine -2-carbonitrile

compound 370 : (6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 371 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(2-(pyrrolidin-1-yl)ethyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 372 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin -1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 373 : (6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4-(1-methylpiperidin-4-yl)piperazin -1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 374 : 1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone

compound 375 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(1-methylpiperidin-4-yl) piperazin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 376 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- (1-methylpiperidin-4-yl) piperazin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 377 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone

compound 378 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone

compound 379 : 1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone

compound 380 : 5-(3-(cyclopropanecarbonyl)-4-(4- (pyrrolidin-1-ylmethyl) phenylamino)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 381 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopentyl) methanone

compound 382 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopentyl)methanone

compound 383 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopentyl)methanone

compound 384 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone

compound 385 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone

compound 386 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone

compound 387 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone

compound 388 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone

compound 389 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone

compound 390 : 2-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone

compound 391 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone

compound 392 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 393 : 2-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone

compound 394 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 395 : 2-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone

compound 396 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 397 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone

compound 398 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 399 : 2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol

compound 400 : 2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol

compound 401 : 2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride

compound 402 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 403 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 404 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 405 : cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone

compound 406 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 407 : 2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol

compound 408 : 2-chloro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol

compound 409 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)methanone

compound 410 : 2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol

compound 411 : 2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol

compound 412 : 2-chloro-6-methoxy-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol

compound 413 : 5-(3-acetyl-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 414 : 5-(3-acetyl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 415 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone

compound 416 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone **dihydrobromide**

compound 417 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)methanone

compound 418 : 5-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 419 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone

compound 420 : 2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol

compound 421 : 2,6-dichloro-4-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol

compound 422 : 2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol

compound 423 : 2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol

compound 424 : 2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol

compound 425 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 426 : 5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 427 : (6-(3-chloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 428 : 6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile

compound 429 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 430 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(4-methylpiperazin-1-yl)phenyl)quinolin-3-yl)methanone

compound 431 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenyl)quinolin-3-yl)methanone

compound 432 : 6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinoline-3-carbonitrile

compound 433 : 6-(3-chloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile

compound 434 : 6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile

compound 435 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 436 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 437 : 1-(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone

compound 438 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(4-methylpiperazin-1-yl)phenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 439 : 5-(3-(cyclopropanecarbonyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 440 : 4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-1-(2-(pyrrolidin-1-yl)ethyl)piperazin-2-one

compound 441 : 1-(4-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone

compound 442 : 1-(4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone

compound 443 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 444 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 445 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)methanone

compound 446 : 6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinoline-3-carbonitrile

compound 447 : 6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinoline-3-carbonitrile

compound 448 : (6-(5-chloro-4-hydroxy-2-methylphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 449 : cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)methanone

compound 450 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-morpholinoethylamino)pyridin-3-yl)quinolin-3-yl)methanone

compound 451 : 4-(3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)-N-(2-(dimethylamino)ethyl)benzamide

compound 452 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenyl)quinolin-3-yl)methanone

compound 453 : cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(1H-indol-5-yl)quinolin-3-yl)methanone

compound 454 : cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(4-hydroxy-3-(trifluoromethyl)phenyl)quinolin-3-yl)methanone

compound 455 : 1-((1S,4S)-5-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethylamino)ethanone

compound 456 : 1-((1S,4S)-5-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropane carbonyl)quinolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethyla mino)ethanone

compound 457 : (6-(3-chloro-5-ethoxy-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)pi peridin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 458 : cyclopropyl(6-(4-(difluoromethoxy)phenyl)-4-(4-((dimethylamino)methy l)piperidin-1-yl)quinolin-3-yl)methanone

compound 459 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyrid in-3-yl)quinolin-3-yl)methanone

compound 460 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenyl amino)quinolin-3-yl)(cyclopropyl)methanone

compound 461 : 5-(3-(cyclopropanecarbonyl)-4-(4-(morpholinomethyl)phenylamino)quin olin-6-yl)pyrimidine-2-carbonitrile

compound 462 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)phen ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 463 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl) phenylamino)quinolin-3-yl)methanone

compound 464 : 1-((1S,4S)-5-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)qu inolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethylamino)ethan one

compound 465 : cyclopropyl(6-(4-(difluoromethyl)phenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl)methanone

compound 466 : 2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfin yl)quinolin-6-yl)phenol

compound 467 : 2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfin yl)quinolin-6-yl)-6-fluorophenol

compound 468 : 2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfin yl)quinolin-6-yl)-6-methoxyphenol

compound 469 : 5-(3-(cyclopropanecarbonyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-yla mino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 470 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl) pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 471 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)py ridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 472 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1 -yl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 473 : 2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfinyl)quinolin-6-yl)phenol

compound 474 : 5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)indolin-2-one

compound 475 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 476 : (4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)phenyl)(4-methylpiperazin-1-yl)methanone

compound 477 : 1-(4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone

compound 478 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone

compound 479 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)methanone

compound 480 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(5-hydroxy-1H-indol-2-yl)quinolin-3-yl)-2-methylpropan-1-one

compound 481 : methyl 4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoate

compound 482 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone

compound 483 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 484 : 1-(4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone

compound 485 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethoxy)phenyl)quinolin-3-yl)methanone

compound 486 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-ethoxy-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 487 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 488 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 489 : (4-(4-((1H-imidazol-1-yl)methyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 490 : (4-(4-((1H-imidazol-1-yl)methyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 491 : 4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2,6-dichlorophenol

compound 492 : 4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoic acid

compound 493 : (4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 494 : (4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 495 : (4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 496 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 497 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)methanone

compound 498 : 4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2-chloro-6-fluorophenol

compound 499 : 4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2-chlorophenol

compound 500 : 4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2-chloro-6-methoxyphenol

compound 501 : (4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 502 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-2-methylpropan-1-one

compound 503 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 504 : (4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 505 : (4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 506 : (4-(4-(aminomethyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 507 : (4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 508 : (4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 509 : 5-(4-(4-(aminomethyl)phenylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 510 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)methanone

compound 511 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone

compound 512 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 513 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 514 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 515 : (4-((1s,4s)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 516 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1,2,3,6-tetrahydropyridin-4-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 517 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-(methylamino)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone

compound 518 : 2-((((1s,4s)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile

compound 519 : (6-(3-chloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 520 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 521 : 1-(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-5,6-dihydropyridin-1(2H)-yl)-2-(dimethylamino)ethanone

compound 522 : 5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 523 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 524 : 2-((((1s,4s)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile

compound 525 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 526 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 527 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 528 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 529 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)butan-1-one

compound 530 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one

compound 531 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one dihydrochloride

compound 532 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one

compound 533 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-methylpiperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 534 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-methylpiperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 535 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one

compound 536 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1H-pyrazol-4-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 537 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one

compound 538 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one

compound 539 : 5-(3-butyryl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 540 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 541 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 542 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone

compound 543 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 544 : 4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino)benzamide

compound 545 : 4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)benzamide

compound 546 : 4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)benzamide

compound 547 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)methanone

compound 548 : (4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 549 : (4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 550 : (4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 551 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 552 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)methanone

compound 553 : (4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 554 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 555 : (4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 556 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 557 : (4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 558 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone

compound 559 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 560 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone

compound 561 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 562 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 563 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 564 : (4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 565 : (4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 566 : (4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 567 : (4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 568 : (4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 569 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 570 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 571 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 572 : (4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 573 : (4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 574 : (4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 575 : (4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 576 : (4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 577 : (4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride)

compound 578 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 579 : (4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 580 : (4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 581 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3,3-difluoropyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone

compound 582 : (4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 583 : cyclopropyl(4-(4-(diallylamino)-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)methanone

compound 584 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone

compound 585 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride

compound 586 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 587 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 588 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 589 : (4-(6-aminopyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 590 : (4-(6-aminopyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 591 : (4-(6-aminopyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 592 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 593 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone

compound 594 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone

compound 595 : (4-(4,4'-bipiperidin-1-yl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 596 : (4-(4,4'-bipiperidin-1-yl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 597 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one

compound 598 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 599 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 600 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 601 : (4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 602 : (4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 603 : (4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 604 : (4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 605 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one

compound 606 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 607 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one

compound 608 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 609 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 610 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 611 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 612 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 613 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone

compound 614 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride

compound 615 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 616 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone

compound 617 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one

compound 618 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 619 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride

compound 620 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 621 : (4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 622 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 623 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one

compound 624 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)methanone

compound 625 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one

compound 626 : (4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 627 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 628 : (4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 629 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 630 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 631 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 632 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 633 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 634 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 635 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride

compound 636 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 637 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 638 : (4-(4-amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 639 : (4-(4-amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 640 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 641 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 642 : (R)-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 643 : (R)-cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone

compound 644 : (4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 645 : (R)-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 646 : (S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 647 : (S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 648 : (S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 649 : (R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 650 : (R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 651 : (R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 652 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)methanone

compound 653 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone

compound 654 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone

compound 655 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)methyl)phenyl)amino)quinolin-3-yl)ethanone

compound 656 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone

compound 657 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((dimethylamino)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 658 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 659 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 660 : (S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 661 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone

compound 662 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 663 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 664 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone

compound 665 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 666 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 667 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 668 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 669 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 670 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 671 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 672 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 673 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 674 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 675 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 676 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 677 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 678 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 679 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 680 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 681 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 682 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 683 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 684 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 685 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((methylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 686 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 687 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 688 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone

compound 689 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 690 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 691 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 692 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 693 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)ph enylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 694 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino) pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone

compound 695 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino) pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone hydrochloride

compound 696 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrroli din-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 697 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((3-(dimethylamino)pyrr olidin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 698 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)e thyl)phenylamino)quinolin-3-yl)methanone

compound 699 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1 H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 700 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((2-fluoroeth ylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 701 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((2-fluoroethylamin o)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 702 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylam ino)quinolin-3-yl)ethanone hydrochloride

compound 703 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3 -yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone

compound 704 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin -3-ylamino)quinolin-3-yl)ethanone hydrochloride

compound 705 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-((1R,4R)-4-(methyla mino)cyclohexyl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone

compound 706 : (4-(1-(1R,4R)-4-aminocyclohexyl)-1H-pyrazol-4-ylamino)-6-(3,5-dichlor o-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 707 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydr oxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 708 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)-1-hy droxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 709 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)methanone

compound 710 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 711 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 712 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 713 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 714 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 715 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 716 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one dihydrochloride

compound 717 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone

compound 718 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone

compound 719 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 720 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(dimethylamino)-2,3-dihydro-1H-inden-5-ylamino)quinolin-3-yl)ethanone

compound 721 : 1-(6-(3,5-difluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 722 : (4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 723 : (4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 724 : (4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 725 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 726 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)methanone

compound 727 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 728 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclopentylamino)quinolin-3-yl)ethanone

compound 729 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 730 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 731 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 732 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 733 : 1-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 734 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 735 : (4-(2-(4-aminopiperidin-1-yl)pyridin-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 736 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one

compound 737 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one

compound 738 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 739 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 740 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 741 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 742 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone **di**hydrochloride

compound 743 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 744 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 745 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 746 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 747 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 748 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone hydrochloride

compound 749 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 750 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 751 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 752 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 753 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 754 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 755 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 756 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl)quinolin-3-yl)methanone

compound 757 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 758 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 759 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 760 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one

compound 761 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one

compound 762 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 763 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone

compound 764 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(pyrrolidin-3-yl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 765 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone

compound 766 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 767 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 768 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 769 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one

compound 770 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one

compound 771 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one

compound 772 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 773 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 774 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone

compound 775 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)propan-1-one

compound 776 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 777 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride

compound 778 : cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 779 : 1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride

compound 780 : 1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride

compound 781 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 782 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 783 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 784 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1r,3r)-3-((dimethylamino)methyl)cyclobutylamino)quinolin-3-yl)ethanone

compound 785 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride

compound 786 : 1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride

compound 787 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 788 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride

compound 789 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride

compound 790 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 791 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 792 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 793 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 794 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 795 : cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 796 : cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone

compound 797 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone

compound 798 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride

compound 799 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 800 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 801 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 802 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 803 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 804 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride

compound 805 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone hydrochloride

compound 806 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride

compound 807 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride

compound 808 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 809 : 1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride

compound 810 : 1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride

compound 811 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 812 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 813 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride

compound 814 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride

compound 815 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride

compound 816 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 817 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 818 : 1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride

compound 819 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone

compound 820 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone

compound 821 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone

compound 822 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 823 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone

compound 824 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride

compound 825 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride

compound 826 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 827 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 828 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 829 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone

compound 830 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 831 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 832 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 833 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-2-methylquinolin-3-yl)ethanone hydrochloride

compound 834 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-2-methylquinolin-3-yl)ethanone hydrochloride

compound 835 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 836 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride

compound 837 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-2-methyl-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride

compound 838 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-2-methyl-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride

compound 839 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)-7-(trifluoromethyl)quinolin-3-yl)methanone

compound 840 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)-7-(trifluoromethyl)quinolin-3-yl)(cyclopropyl)methanone

compound 841 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-7-(trifluoromethyl)quinolin-3-yl)(cyclopropyl)methanone

compound 842 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-7-(trifluoromethyl)quinolin-3-yl)methanone

compound 843 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride

compound 844 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxy methyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 845 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)a mino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 846 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylm ethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride

compound 847 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phen ylamino)-7-methylquinolin-3-yl)ethanone hydrochloride

compound 848 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethox y)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride

compound 849 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(4-methylpiperazine-1-ca rbonyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 850 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piper idin-3-ylamino)quinolin-3-yl)ethanone

compound 851 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl) piperidin-3-ylamino)quinolin-3-yl)ethanone

compound 852 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyr idin-3-ylamino)quinolin-3-yl)ethanone dihydrochloride

compound 853 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrro lidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone

compound 854 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin -1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone

compound 855 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin -1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 856 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-methyl-4-((6-(4-methylpiper azin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 857 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)met hyl)cyclohexyl)amino)-7-methylquinolin-3-yl)ethanone

compound 858 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)-7-methylquinolin-3-yl)ethanone hydrochloride

compound 859 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-methylquinolin-3-yl)ethanone hydrochloride

compound 860 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)propan-1-one

compound 861 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 862 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 863 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)propan-1-one

compound 864 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((bis-(trideuteromethyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride

compound 865 : (1r,4r)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-N,N-dimethylcyclohexanecarboxamide hydrochloride

compound 866 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-methyl-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 867 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone

compound 868 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(diethylamino)ethoxy)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 869 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(diethylamino)ethoxy)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 870 : (1r,4r)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)-N,N-dimethylcyclohexanecarboxamide hydrochloride

compound 871 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone

compound 872 : 1-(4-((1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexyl)amino)-6-(3,5-dichloro-4-hydroxyphenyl)-7-methylquinolin-3-yl)ethanone hydrochloride

compound 873 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 874 : N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-1-methylpyrrolidine-2-carboxamide hydrochloride

compound 875 : N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-1-methylpyrrolidine-2-carboxamide hydrochloride

compound 876 : N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide dihydrochloride

compound 877 : N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide hydrochloride

compound 878 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride

compound 879 : 2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride

compound 880 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 881 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)methanone

compound 882 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone

compound 883 : 2,6-dichloro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride

compound 884 : 2,6-dichloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride

compound 885 : 2-chloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride

compound 886 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride

compound 887 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)sulfonyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 888 : 1-(6-(4'-hydroxy-[1,1'-biphenyl]-4-yl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 889 : 2-chloro-4-(4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride

compound 890 : 2,6-dichloro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride

compound 891 : 2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride

compound 892 : (1r,4r)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-N-(2-(dimethylamino)ethyl)cyclohexanecarboxamide hydrochloride

compound 893 : (1r,4r)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)-N-(2-(dimethylamino)ethyl)cyclohexanecarboxamide hydrochloride

compound 894 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)sulfonyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 895 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 896 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 897 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((2-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone

compound 898 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(4-methylpiperazine-1-carbonyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 899 : 2,6-dichloro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride

compound 900 : 2-chloro-6-fluoro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride

compound 901 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 902 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 903 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride

compound 904 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride

compound 905 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 906 : 2,6-dichloro-4-(4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride

compound 907 : N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride

compound 908 : 1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(pyridin-4-yl)quinolin-3-yl)ethanone hydrochloride

compound 909 : 4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2-chloro-6-fluorophenol trihydrochloride

compound 910 : 1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-indazol-5-yl)quinolin-3-yl)ethanone hydrochloride

compound 911 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1R,4R)-4-((dimethylamino)methyl) cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 912 : 1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-pyra zol-4-yl)quinolin-3-yl)ethanone hydrochloride

compound 913 : 4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)q uinolin-6-yl)-2,6-dichlorophenol trihydrochloride

compound 914 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinol in-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride

compound 915 : N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)a mino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride

compound 916 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((dimethylamin o)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride

compound 917 : cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethyla mino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone hydrochloride

compound 918 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)met hyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride

compound 919 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride

compound 920 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride

compound 921 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinol in-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride

compound 922 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride

compound 923 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1 -ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 924 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride

compound 925 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrroli din-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 926 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 927 : cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)methanone hydrochloride

compound 928 : 2-amino-N-(1R,4R)-4-((6-(3,5-dichloro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride

compound 929 : 1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)ethanone hydrochloride

compound 930 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride

compound 931 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride

compound 932 : 2-amino-N-(1R,4R)-4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride

compound 933 : 2-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)-5-methoxyisoindolin-1-one

compound 934 : (S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl)propan-1-one trihydrochloride

compound 935 : 1-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-6-(4-hydroxyphenyl)quinolin-3-yl)ethanone dihydrochloride

compound 936 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone hydrochloride

compound 937 : 1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) -2-methylpropan-1-one dihydrochloride

compound 938 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one hydrochloride

compound 939 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone hydrochloride

compound 940 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) ethanone hydrochloride

compound 941 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone dihydrobromide

compound 942 : 1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone dihydrobromide

compound 943 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride

compound 944 : 1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride

compound 945 : 5-(3-acetyl-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-6-yl)pyridin-2(1H)-one hydrochloride

Of these, preferable compounds are as follow;

Compound Nos:

55, 81, 96, 108, 116, 119, 133, 155, 156, 157(a), 157(b), 160, 165, 177, 179, 180, 181, 185(a), 185(b), 187, 192, 193, 201, 205, 212, 213, 214, 215, 219, 225(a), 225(b), 240, 243, 245, 246, 249, 250, 255, 256, 258, 259, 262, 263, 264, 266, 267, 269, 270, 276, 279, 280, 283, 284, 294, 295, 303, 305, 307, 309, 311, 313, 314, 315, 316, 318, 321, 323, 324, 325, 327, 332, 334, 335, 336, 339, 342, 343, 345, 347, 348, 349, 350, 351, 353, 356, 366, 374, 378, 379, 396, 397, 400, 409, 415, 416, 421, 425, 425, 447, 487, 493, 494, 495, 496, 497, 501, 502, 504, 505, 507, 508, 510, 511, 513, 517, 518, 519, 520, 523, 524, 527, 529, 530, 531, 532, 535, 537, 541, 542, 543, 548, 549, 554, 556, 558, 561, 562, 568, 570, 570, 571, 572, 573, 574, 575, 576, 577, 582, 584, 585, 587, 588, 592, 593, 594, 597, 598, 600, 601, 602, 604, 605, 608, 610, 613, 614, 615, 620, 623, 624, 626, 627, 628, 629, 631, 632, 634, 638, 639, 641, 644, 646, 647, 648, 649, 650, 651, 652, 655, 657, 658, 660, 661, 666, 667, 673, 681, 685, 693, 698, 699, 702, 703, 705, 706, 707, 709, 710, 711, 714, 715, 716, 720, 723, 724, 725, 729, 732, 733, 739, 740, 741, 742, 744, 745, 747, 748, 753, 754, 757, 758, 760, 761, 762, 763, 765, 766, 767, 768, 770, 771, 772, 773, 774, 775, 776, 777, 783, 785, 788, 789, 790, 792, 794, 797, 798, 799, 800, 801, 802, 804, 806, 807, 810, 812, 813, 814, 815, 817, 818, 824, 825, 829, 836, 843, 845, 846, 852, 864, 876, 878, 881, 886, 907, 909, 913, 915, 920, 921, 934, 936, 937, 938, 939, 940, 941, 942, 943 and 944.

(24) A pharmaceutical composition comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(25) An MELK inhibitor comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(26) An MELK-expression modulating agent comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(27) An antitumor agent comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(28) A therapeutic and/or preventive agent for a disease that involves overexpression of MELK, comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(29) The therapeutic and/or preventive agent of the above-mentioned (28), wherein the disease is cancer.

(30) The therapeutic and/or preventive agent of the above-mentioned (29), wherein the cancer is selected from the group consisting of breast cancer, lung cancer, bladder cancer, lymphoma, and uterine cancer.

(31) A method for treating and/or preventing a disease that involves overexpression of MELK, wherein an effective amount of a compound or a pharmaceutically acceptable salt thereof of the above-mentioned (1) to (23) is administered to a subject in need thereof.

(32) A compound or a pharmaceutically acceptable salt thereof any one of the above-mentioned (1) to (23) for use in a treatment and/or prevention of a disease that involves overexpression of MELK.

(33) Use of a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23) in the manufacture of a therapeutic and/or preventive agent for a disease that involves overexpression of MELK.

Accordingly, it is an object of the present invention to provide a compound for inhibiting MELK activity.

It is another object of the present invention to provide an inhibitor having high inhibitory activity against MELK.

It is still another object of the present invention to provide a method for preparing the compound.

It is a further object of the present invention to provide a pharmaceutical composition including the compound, a pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

Description of Embodiments

Definition

Hereinafter, a compound represented by formula (I) will be referred to as compound (I). The same applies to the compounds represented by the other formula numbers. It must be noted that as used herein and in the appended claims, the singular forms "a", "an", and "the" include plural reference unless the context clearly dictates otherwise. Thus, for example, reference to a "group" is a reference to one or more groups.

In the definitions of each of the groups of formulas (I), (IA), and (IB),

The "C_1-C_6 alkyl", and the "C_1-C_6 alkyl portion" of "C_1-C_6 alkoxy", "C_1-C_6

alkylsulfinyl", and "C_1-C_6 alkylsulfonyl" mean a straight-chain or branched-chain "monovalent alkyl group (a group formed by removing one hydrogen atom from an alkane)" having one to six carbon atoms. Specifically, examples of the "C_1-C_6 alkyl" and the "C_1-C_6 alkyl portion" include methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, 1-methylbutyl, 1-ethylpropyl, 2-methylbutyl, isopentyl, tert-pentyl, 1,2-dimethylpropyl, neopentyl, hexyl, 1-methylpentyl, 1-ethylbutyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, isohexyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 1-isopropylpropyl, 1-ethyl-1-methylpropyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 2,2-dimethylbutyl, 2-ethylbutyl, and 3-ethylbutyl, but are not limited thereto. In particular, for R^5 or R^{5A}, methyl, ethyl, propyl, isopropyl, isobutyl, or tert-butyl is preferred, and methyl, ethyl, propyl, isopropyl, or isobutyl is most preferred.

Hereinbelow, in this specification, the C_1-C_6 alkyl portion in each group has the same definition as the aforementioned "C_1-C_6 alkyl portion" unless otherwise noted.

Specific examples of "C_1-C_6 alkoxy" include methoxy, ethoxy, propoxy, isopropoxy, isobutyloxy, tert-butyloxy, butoxy, pentyloxy, and hexyloxy, but are not limited thereto. In particular, for R^5, ethoxy is preferred. In particular, for R^4, methoxy is preferred.

"C_1-C_6 alkoxycarbonyl" refers to a monovalent group in which the "C_1-C_6 alkoxy" binds to a carbonyl.

Preferred examples of "C_1-C_6 alkylsulfonyl" include methylsulfonyl, ethylsulfonyl, isopropylsulfonyl, and such, but are not limited thereto. In particular, methylsulfonyl is most preferred.

Preferred examples of "C_1-C_6 alkylsulfinyl" include methylsulfinyl, ethylsulfinyl, isopropylsulfinyl, and such, but are not limited thereto. In particular, methylsulfinyl is most preferred.

The term "halogen" means each of the fluorine, chlorine, bromine, and iodine atoms.

The term "halogenated C_1-C_6 alkyl" refers to "C_1-C_6 alkyl" substituted by the above-defined "halogen", wherein the C_1-C_6 alkyl has the same meaning as defined above. Preferred examples of "halogenated C_1-C_6 alkyl" trifluoromethyl and such, but are not limited thereto.

The term "C_3-C_{10} cycloalkyl" refers to a saturated monocyclic hydrocarbon group having three to eight carbon atoms, and a bridged cyclic hydrocarbon group having four to ten carbon atoms which is formed when two or more saturated monocyclic hydrocarbons share two or more carbon atoms. Specifically, examples of "C_3-C_{10} cycloalkyl" include saturated monocyclic hydrocarbon groups such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl, and bridged cyclic hydrocarbon groups such as adamantyl, but are not limited thereto. In particular, for R^5 or R^{5A}, cyclopropyl or cyclopentyl is preferred, and cyclopropyl is most preferred. In particular, for R^{10} or R^{10A}, cyclohexyl or adamantyl is

preferred.

The term "C_3-C_8 cycloalkenyl" refers to an unsaturated monocyclic hydrocarbon group having three to eight carbon atoms. Specific examples include cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl, but are not limited thereto. In particular, cyclohexenyl is preferred.

The term "aryl" refers to an aromatic hydrocarbon group having six to 14 carbon atoms, and a bicyclic or tricyclic group in which an aromatic hydrocarbon group and a three- to eight-membered cyclic hydrocarbon are condensed. Specific examples include phenyl, 1-naphthyl, 2-naphthyl, 1-anthryl, 2-anthryl, 9-anthryl, and 2,3-dihydro-1H-indenyl but are not limited thereto. In particular, phenyl or 2,3-dihydro-1H-indenyl is preferred.

The term "heterocyclic group" refers to an aromatic heterocyclic group and/or an aliphatic heterocyclic group.

The term "aromatic heterocyclic group" refers to a five-membered or six-membered monocyclic aromatic heterocyclic group comprising at least one heteroatom, preferably one to three heteroatoms, selected from a nitrogen atom, an oxygen atom, or a sulfur atom; and a bicyclic or tricyclic condensed aromatic heterocyclic group comprising at least one atom, preferably one to three atoms, selected from a nitrogen atom, an oxygen atom, or a sulfur atom formed by fusion of four- to eight-membered rings. Specific examples include furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiazolyl, isothiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, benzofuranyl, benzothiophenyl, benzooxazolyl, benzothiazolyl, isoindolyl, indolyl, 1H-indazolyl, benzimidazolyl, benzotriazolyl, oxazolopyrimidinyl, thiazolopyrimidinyl, pyrrolopyridinyl, pyrrolopyrimidinyl, imidazopyridinyl, purinyl, quinolinyl, isoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthyridinyl, pyridopyrimidinyl, [1,2,4] triazolo[1,5-a]pyridyl, and pyrrolo[2,3-b]pyridyl, but are not limited thereto. Particularly, thienyl, pyrrolyl, imidazolyl, isoxazolyl, pyridyl, pyrimidinyl, pyrazolyl, 1H-indazolyl, benzimidazolyl, [1,2,4]triazolo[1,5-a]pyridyl, or pyrrolo[2,3-b]pyridyl is preferred. In particular, for R^1, pyridyl or benzimidazolyl is most preferable. In particular, for R^3 or R^{3A}, thienyl, pyridyl, pyrimidinyl, 1H-indazolyl, or benzimidazolyl is most preferred. In particular, for R^5 or R^{5A}, thienyl is most preferred. In particular, for R^{10} or R^{10A}, pyridyl, pyrimidinyl, pyrazolyl, thienyl or imidazolyl is more preferred and pyridyl, pyrazolyl, or thienyl is most preferred.

The term "aliphatic heterocyclic group" refers to a three- to eight-membered monocyclic aliphatic heterocyclic group comprising at least one heteroatom, preferably one to three atoms, selected from a nitrogen atom, an oxygen atom, and a sulfur atom; a bicyclic or tricyclic condensed aliphatic heterocyclic group comprising at least one atom, preferably one to three atoms, selected from a nitrogen atom, an oxygen atom, and a sulfur atom formed by fusion of three- to eight-membered rings; and a spiro-cyclic or bridged-cyclic aliphatic heterocyclic

group comprising at least one heteroatom, preferably one to three atoms, selected from a nitrogen atom, an oxygen atom, and a sulfur atom. A group of an aliphatic heterocyclic condensed with an aryl group or an aromatic heterocyclic is also included in the definition of "aliphatic heterocyclic group".

Specific examples include aziridinyl, azetidinyl, pyrrolidinyl, piperidino, piperidyl, azepanyl, 1,2,5,6-tetrahydropyridyl, 1,2,3,6-tetrahydropyridyl, imidazolidinyl, pyrazolidinyl, piperazinyl, homopiperazinyl, pyrazolinyl, oxiranyl, tetrahydrofuranyl, tetrahydro-2H-pyranyl, 5,6-dihydro-2H-pyranyl, oxazolidinyl, morpholino, morpholinyl, tetrahydrothiophenyl, tetrahydro-2H-thiopyranyl, thioxazolidinyl, thiomorpholinyl, 2H-oxazolyl, 2H-thioxazolyl, dihydroindolyl, dihydroisoindolyl, dihydrobenzofuranyl, benzoimidazolidinyl, 2,3-dihydrobenzimidazolyl, 2,3-dihydrobenzoxazolyl, dihydrobenzothioxazolyl, benzodioxolinyl, tetrahydroquinolyl, tetrahydroisoquinolyl, dihydro-2H-chromanyl, dihydro-1H-chromanyl, dihydro-2H-thiochromanyl, dihydro-1H-thiochromanyl, tetrahydroquinoxalinyl, tetrahydroquinazolinyl, dihydrobenzodioxanyl, oxetanyl, 1,2-dihydropyridyl, 1-azabicyclo[2.2.2]octan-3-yl, 2,5-azabicyclo[2.2.1]heptyl, 8-azabicyclo[3.2.1]octyl, piperidin-4-spiro-3'-pyrrolidin-1-yl, and isoindolyl, but are not limited thereto. In particular, azetidinyl, pyrrolidinyl, piperidino, piperidyl, piperazinyl, morpholino, morpholinyl, 1,2-dihydropyridyl, 1,2,5,6-tetrahydropyridyl, 1-azabicyclo[2.2.2]octan-3-yl, 2,5-azabicyclo[2.2.1]heptyl, 8-azabicyclo[3.2.1]octyl, 2,3-dihydrobenzimidazolyl, or piperidin-4-spiro-3'-pyrrolidin-1-yl is preferred. In particular, for R^3 or R^{3A}, morpholino, morpholinyl, 1,2-dihydropyridyl, 1-azabicyclo[2.2.2]octan-3-yl, 1,2,5,6-tetrahydropyridyl, or 2,3-dihydrobenzimidazolyl is most preferred. In particular, for R^{10} or R^{10A}, piperidyl, pyrrolidinyl, or piperazinyl is more preferred, and piperidyl or piperazinyl is most preferred.

"Heterocyclic group formed with an adjacent nitrogen atom" refers to a group formed by removing a hydrogen atom on a nitrogen atom in heterocycles of a three- to eight-membered monocyclic heterocyclic group comprising at least one nitrogen atom, preferably one to two atoms (the monocyclic heterocyclic group may contain other nitrogen atoms, oxygen atoms, or sulfur atoms); a bicyclic or tricyclic condensed heterocyclic group comprising at least one nitrogen atom, preferably one to two atoms, formed by fusion of three- to eight-membered rings (the condensed heterocyclic group may contain other nitrogen atoms, oxygen atoms, or sulfur atoms); and a spiro-cyclic heterocyclic group comprising at least one nitrogen atom, preferably one to two atoms (the monocyclic heterocyclic group may contain other nitrogen atoms, oxygen atoms, or sulfur atoms). Specific examples include 1-aziridinyl, 1-azetidinyl, 1-pyrrolidinyl, piperidino, 1-azepanyl, 1-perhydroazepinyl, 1-perhydroazocinyl, 1-pyrrolyl, 1-imidazolidinyl, 1-imidazolyl, 1-pyrazolidinyl, 1-pyrazolinyl, 1-pyrazolyl, 1-piperadinyl, 1-homopiperadinyl, 1-oxazolidinyl, morpholino, thiomorpholino, 1-dihydroindolyl, 2-dihydroisoindolyl, 1-indolyl, 2-isoindolyl, 1-tetrahydroquinolyl, 2-tetrahydroisoquinolyl, and

piperidin-4-spiro-3'-pyrrolidin-1-yl, but are not limited thereto. In particular, piperidino, 1-piperazinyl, and piperidin-4-spiro-3'-pyrrolidin-1-yl are preferred.

"Aromatic heterocyclic-(C_1-C_6 alkylenyl)" and "aliphatic heterocyclic-(C_1-C_6 alkylenyl)" refer to a monovalent group in which an aromatic heterocyclic or an aliphatic heterocyclic binds to a C_1-C_6 alkylene portion. The "C_1-C_6 alkylene portion" of "aromatic heterocyclic-(C_1-C_6 alkylenyl)" and "aliphatic heterocyclic-(C_1-C_6 alkylenyl)" means a straight-chain or branched-chain "divalent alkyl group (a group formed by removing two hydrogen atoms from an alkane)" having one to six carbon atoms. Specific examples include groups formed by removing a single hydrogen atom from each of the groups indicated as examples for the aforementioned "C_1-C_6 alkyl". The "aromatic heterocyclic group portion" of aromatic heterocyclic-(C_1-C_6 alkylenyl) has the same meaning as the aforementioned aromatic heterocyclic group, and specific examples include groups indicated as examples for the aforementioned aromatic heterocyclic group. The "aliphatic heterocyclic group portion" of aliphatic heterocyclic-(C_1-C_6 alkylenyl) has the same meaning as the aforementioned aliphatic heterocyclic group, and specific examples include groups indicated as examples for the aforementioned aliphatic heterocyclic group.

Hereinbelow, in this specification, the "-(C_1-C_6 alkylenyl)" in each group has the same definition as the aforementioned "-(C_1-C_6 alkylenyl)" unless otherwise noted.

Preferred examples of "aromatic heterocyclic-(C_1-C_6 alkylenyl)" include aromatic heterocyclic methyl, aromatic heterocyclic ethyl, and aromatic heterocyclic propyl, and more preferred examples include imidazolylmethyl, imidazolylethyl, and imidazolylpropyl, and most preferred examples include imidazolylethyl, but are not limited thereto.

Preferred examples of "aliphatic heterocyclic-(C_1-C_6 alkylenyl)" include aliphatic heterocyclic methyl, aliphatic heterocyclic ethyl, and aliphatic heterocyclic propyl, and more preferred examples include morpholinomethyl, morpholinoethyl, morpholinopropyl, piperadinylmethyl, piperadinylethyl, piperadinylpropyl, piperidylmethyl, piperidylethyl, piperidylpropyl, pyrrolidinylmethyl, pyrrolidinylethyl, and pyrrolidinylpropyl and most preferred examples include morpholinopropyl, pyrrolidinylmethyl, piperadinylmethyl, piperadinylethyl, and piperidylmethyl, but are not limited thereto.

"Aromatic heterocyclic-(C_1-C_6 alkylenyl)amino" and "aliphatic heterocyclic-(C_1-C_6 alkylenyl)amino" refer to a group in which a hydrogen atom of an amino group is replaced with the aforementioned "aromatic heterocyclic-(C_1-C_6 alkylenyl)" or "aliphatic heterocyclic-(C_1-C_6 alkylenyl)".

"Aliphatic heterocyclic-amino" refers to a group in which a hydrogen atom of an amino group is replaced with the aforementioned aliphatic heterocyclic group.

"C_1-C_6 aminoalkyl" refers to a group in which any hydrogen atom of the aforementioned alkyl group is replaced with an amino group.

"C_1-C_6 alkylamino" and "di(C_1-C_6 alkyl)amino" refer to a group in which one and two hydrogen atoms, respectively of an amino group is/are replaced with the aforementioned C_1-C_6 alkyl. Herein, a hydrogen atom in the C_1-C_6 alkyl portion of the "C_1-C_6 alkylamino" and "di(C_1-C_6 alkyl)amino" can be a deuterium.

"C_2-C_7 alkanoylamino" and "C_1-C_6 alkylsulfonylamino" refer to a group in which one hydrogen atom of an amino group is replaced with "C_2-C_7 alkanoyl" and "C_1-C_6 alkylsulfonyl", respectively.

"C_1-C_6 alkylamino-(C_1-C_6 alkylenyl)", "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)", and "C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl)" refer to a group in which "C_1-C_6 alkylamino", "di(C_1-C_6 alkyl)amino", and "C_2-C_7 alkanoylamino", respectively bind to "(C_1-C_6 alkylenyl)".

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy)" refers to a group in which "di(C_1-C_6 alkyl)amino" binds to "(C_1-C_6 alkylenyloxy)".

The "C_1-C_6 alkylene portion" of "C_1-C_6 alkylamino-(C_1-C_6 alkylenyl)", "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)", "C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl)", and "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy)" means a straight-chain or branched-chain "divalent alkyl group (a group formed by removing two hydrogen atoms from an alkane)" having one to six carbon atoms. Specific examples include groups produced by removing a single hydrogen atom from each of the groups indicated as examples for the aforementioned "C_1-C_6 alkyl".

The "C_1-C_6 alkyl portion" of "C_1-C_6 aminoalkyl", "C_1-C_6 alkylamino", "di(C_1-C_6 alkyl)amino", "C_1-C_6 alkylamino-(C_1-C_6 alkylenyl)", "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)", "C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl)", and "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy)" has the same meaning as the aforementioned C_1-C_6 alkyl portion, and specific examples include groups indicated as examples for the aforementioned C_1-C_6 alkyl portion. The two alkyl portions of di(C_1-C_6 alkyl)amino may be the same or different.

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonyl" refers to a monovalent group in which the aforementioned "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)" binds to a carbonyl.

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)aminocarbonyl" refers to a monovalent group in which a group in which one hydrogen atom of an amino is replaced with the aforementioned "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)" binds to a carbonyl.

"C_1-C_6 aminoalkylcarbonyl" refers to a monovalent group in which the aforementioned "C_1-C_6 aminoalkyl" binds to a carbonyl.

"C_1-C_6 aminoalkylcarbonylamino" refers to a monovalent group in which one hydrogen atom of an amino is replaced with the aforementioned "C_1-C_6 aminoalkylcarbonyl".

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonyl" refers to a monovalent group in which the aforementioned "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)" binds to a carbonyl.

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkyl)carbonylamino" refers to a monovalent group in which one hydrogen atom of an amino group is replaced with the aforementioned "di(C_1-C_6

alkyl)amino-(C_1-C_6 alkyl)carbonyl".

"Aliphatic heterocyclic group-carbonyl" refers to a monovalent group in which the aforementioned "aliphatic heterocyclic group" binds to a carbonyl.

"Aliphatic heterocyclic group-carbonylamino" refers to a monovalent group in which one hydrogen atom of an amino group is replaced with the aforementioned "aliphatic heterocyclic group-carbonyl".

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino" refers to a monovalent group in which one hydrogen atom of an amino group is replaced with the aforementioned "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)".

"C_1-C_6 hydroxyalkyl" refers to a group in which any hydrogen atom of an alkyl group is replaced with a hydroxy group.

"C_1-C_6 aminoalkyloxy" refers to a monovalent group in which a hydrogen atom of a hydroxy group is replaced with the aforementioned "C_1-C_6 aminoalkyl".

Preferred examples of "C_1-C_6 aminoalkyl" include aminomethyl, aminoethyl, aminopropyl, 1-amino-1-methylethyl, and 3-amino-2-methylpropyl.

Preferred examples of "C_1-C_6 alkylamino-(C_1-C_6 alkylenyl)" include methylaminomethyl, methylaminoethyl, ethylaminoethyl, and ethylaminopropyl.

Preferred examples of "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)" include dimethylaminomethyl, (methyl)(ethyl)aminomethyl, diethylaminomethyl, de(t-butyl)aminomethyl, dimethylaminoethyl, diethylaminoethyl, dimethylaminopropyl, and diethylaminopropyl.

Preferred examples of "C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl)" include acetylaminomethyl, acetylaminoethyl, acetylaminopropyl, and 3-(acetylamino)-2-methylpropyl.

Preferred examples of "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy)" include di(C_1-C_6 alkyl)aminomethyloxy, di(C_1-C_6 alkyl)aminoethyloxy, and di(C_1-C_6 alkyl)aminopropyloxy, and more preferred examples include dimethylaminoethyloxy and dimethylaminopropyloxy.

Substituents of the optionally substituted C_1-C_6 alkyl, the optionally substituted C_1-C_6 alkoxy, the optionally substituted C_1-C_6 alkylsulfinyl, the optionally substituted C_1-C_6 alkylsulfonyl, the optionally substituted C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl), the optionally substituted C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), and the optionally substituted di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) may be the same or different, and may be one to an allowable number of substituents for example, preferably one to three substituents. Specific examples include halogen, hydroxy, cyano, C_1-C_6 alkoxy, trifluoromethoxy, amino, C_1-C_6 alkylamino, di(C_1-C_6 alkyl)amino, C_1-C_6 alkylsulfonylamino, carbamoyl, sulfamoyl, benzylureide, (C_1-C_6 alkyl)ureide, C_2-C_7 alkanoylamino, aliphatic heterocyclic group which may be substituted with C_1-C_6 alkyl (the C_1-C_6 alkyl has the same meaning as the aforementioned C_1-C_6 alkyl), dimethylaminopropylaminocarbonyl, aminocyclohexylaminocarbonyl, oxo, and aliphatic

heterocyclic-carbonyl which may be substituted with C_1-C_6 alkyl (the C_1-C_6 alkyl has the same meaning as the aforementioned C_1-C_6 alkyl) but are not limited thereto.

Substituents of the optionally substituted C_3-C_{10} cycloalkyl, the optionally substituted C_3-C_8 cycloalkenyl, the optionally substituted aryl, the optionally substituted aromatic heterocyclic group, the optionally substituted aliphatic heterocyclic group, the optionally substituted aromatic heterocyclic-(C_1-C_6 alkylenyl), the optionally substituted aliphatic heterocyclic-(C_1-C_6 alkylenyl), the optionally substituted heterocyclic group formed with an adjacent nitrogen atom, and the optionally substituted di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy) may be the same or different, and may be one to an allowable number of substituents for example, preferably one to three substituents. Specific examples include

halogen,
hydroxy,
cyano,
C_1-C_6 alkyl,
C_1-C_6 alkoxy,
carboxyl,
C_1-C_6 alkoxycarbonyl,
trifluoromethoxy,
difluoromethoxy,
trifluoromethyl,
difluoromethyl,
amino,
C_1-C_6 alkylamino (wherein, C_1-C_6 alkyl may have hydroxy as a substituent),
di(C_1-C_6 alkyl)amino,
diallylamino,
C_1-C_6 alkylsulfonylamino,
C_2-C_7 alkanoylamino,
carbamoyl,
sulfamoyl,
benzylureide,
(C_1-C_6 alkyl)ureide,
C_1-C_6 hydroxyalkyl,
C_1-C_6 aminoalkyl,
C_1-C_6 aminoalkylenyloxy,
C_1-C_6 alkylamino-(C_1-C_6 alkylenyl) (wherein, C_1-C_6 alkyl may have halogen as a substituent),
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl or C_1-C_6 alkylenyl may

have hydroxy or cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom),
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy,
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino,
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonyl,
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonylamino,
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)aminocarbonyl,
aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have C_1-C_6 alkyl, amino, hydroxy, halogen, di(C_1-C_6 alkyl)amino, C_1-C_6 alkylamino, or C_1-C_6 alkoxy as a substituent),
aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic group may have C_1-C_6 alkyl, amino, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy, C_1-C_6 alkylamino, di(C_1-C_6 alkyl)amino, or halogen as a substituent),
an aliphatic heterocyclic-carbonyl (wherein, the aliphatic heterocyclic may have C_1-C_6 alkyl as a substituent),
an aliphatic heterocyclic-carbonylamino (wherein, the aliphatic heterocyclic may have C_1-C_6 alkyl as a substituent),
an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have C_1-C_6 alkyl or amino as a substituent),
aliphatic heterocyclic-(C_1-C_6 alkylenyl)amino,
aliphatic heterocyclic-(C_1-C_6 alkylenyl)oxy,
aromatic heterocyclic-(C_1-C_6 alkylenyl),
aliphatic heterocyclic-sulfonyl which may be substituted with C_1-C_6 alkyl,
C_1-C_6 aminoalkylcarbonylamino,
hydroxyphenyl,
dimethylaminocarbonyl,
aminocyclohexylaminocarbonyl,
methylpiperazinylphosphonyl,
C_3-C_8 cycloalkyl (wherein, the cycloalkyl may have amino, C_1-C_6 alkylamino, or C_1-C_6 aminoalkyl as a substituent), and
oxo, but are not limited thereto.

In particular, substituents selected from Substituent Group A or B as more preferred substituents in formula (I) are the following.

Substituent Group A: a halogen;

Substituent Group B:
a halogen,
a hydroxy,

a cyano,
a C_1-C_6 alkyl,
a C_1-C_6 alkoxy,
a trifluoromethoxy,
an amino,
a C_1-C_6 alkylamino,
a di(C_1-C_6 alkyl)amino,
a C_1-C_6 alkylsulfonylamino,
a C_1-C_6 aminoalkyl,
an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino, a C_1-C_6 alkylamino, or a C_1-C_6 alkoxy as a substituent),
an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl, an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent),
an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent),
a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl),
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl or C_1-C_6 alkylenyl may have hydroxy or cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom),
a carbamoyl,
a sulfamoyl,
a (C_1-C_6 alkyl)ureide,
a benzylureide,
a dimethylaminopropylaminocarbonyl,
an aminocyclohexylaminocarbonyl,
a C_1-C_6 aminoalkylcarbonylamino,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonylamino,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino,
an aliphatic heterocyclic-carbonylamino,
a C_2-C_7 alkanoylamino,
a C_1-C_6 aminoalkylenyloxy,
a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent),
and an oxo.

Furthermore, substituents selected from Substituent Groups C to I as more preferred

substituents in formulas (IA) and (IB) are the following.

Substituent Group C: a halogen, a hydroxy, a C_1-C_6 alkoxy, and a di(C_1-C_6 alkyl) amino;

Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6 alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic-carbonylamino;

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent);

Substituent Group F: a carbamoyl, an amino, a C_1-C_6 aminoalkyl, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), an aliphatic heterocyclic-(C_1-C_6 alkylenyl), and an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl;

Substituent Group G: a halogen, a hydroxy, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, a trifluoromethoxy, a C_1-C_6 aminoalkyl, a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), an amino, a C_1-C_6 alkylsulfonylamino, a carbamoyl, a sulfamoyl, a (C_1-C_6 alkyl)ureide, a benzylureide, and an aliphatic heterocyclic group;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group I: an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino group, or a C_1-C_6 alkylamino as a substituent); an aliphatic heterocyclic-(C_1-C_6 alkylenyl); an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent); a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl); a C_1-C_6 aminoalkylenyloxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino; a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent).

The "C_1-C_6 alkyl portion" of a (C_1-C_6 alkyl)ureide, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_2-C_7 alkanoylamino, and a C_1-C_6 alkylsulfonylamino of each substituent exemplified herein has the same meaning as the aforementioned C_1-C_6 alkyl portion, and specific examples include the groups and such indicated as examples for the

aforementioned C_1-C_6 alkyl portion. The two alkyl portions of di(C_1-C_6 alkyl)amino may be the same or different.

Preferred examples of "(C_1-C_6 alkyl)ureide" include methylureide and ethylureide, but are not limited thereto.

Preferred examples of "C_1-C_6 hydroxyalkyl" include hydroxymethyl and hydroxyethyl, but are not limited thereto.

Preferred examples of "C_1-C_6 alkylsulfonylamino" include methylsulfonylamino, ethylsulfonylamino, and isopropylsulfonylamino, but are not limited thereto.

Preferred examples of "C_1-C_6 alkylamino" include methylamino and ethylamino, but are not limited thereto.

Preferred examples of "di(C_1-C_6 alkyl)amino" include dimethylamino and diethylamino, but are not limited thereto.

Specific examples of "C_2-C_7 alkanoylamino" include acetylamino, propionylamino, butyrylamino, isobutyrylamino, valerylamino, isovalerylamino, and hexanoylamino, but are not limited thereto. In particular, acetylamino is preferred.

In addition, more preferred examples among each of the Substituent Groups are shown below.

As Substituent C, a dimethylamino is most preferred.

As Substituent D, a methyl, hydroxy, an aminomethyl, a dimethylaminomethyl, $(CD_3)_2NCH_2$- (wherein D means deuterium atom), an ethylmethylaminomethyl, a diethylaminomethyl, a di-tert-butylaminomethyl, a dimethylaminoethyl, an amino, a methylamino, a dimethylamino, a diethylamino, a methylaminomethyl, a cyanomethyl(methyl)aminomethyl, a 2-hydroxyethyl(methyl)aminomethyl, a pyrrolidinyl, a methoxypyrrolidinyl, a pyrrolidinylmethyl, a pyrrolidinylethyl, a piperazinylmethyl, a fluoropyrrolidinylmethyl, a hydroxypyrrolidinylmethyl, a hydroxymethylpyrrolidinylmethyl, a methoxypyrrolidinylmethyl, an aminopiperidinylmethyl, a dimethylaminomethylcarbonylamino, a 1-aminoethylcarbonylamino, a 1-aminoisobutylcarbonylamino, or a pyrrolidinylcarbonylamino is most preferred.

As Substituent E, a halogen, an aminomethyl, a 1-amino-1-methylethyl, a 2-(dimethylamino)-1-hydroxyethyl, a dimethylaminomethyl, a dimethylaminoethyl, an acetylamino, a dimethylamino, a methylpiperazinylmethyl, a pyrrolidinylmethyl, a pyrrolidinylethyl, or a methylpiperazinylethyl is more preferred, and a dimethylaminomethyl is most preferred.

As Substituent F, a carbamoyl, an amino, an aminomethyl, a methylaminomethyl, a dimethylaminomethyl, a 1-(dimethylamino)ethyl, a pyrrolidinylmethyl, a pyrrolidinylethyl, a morpholino, a morpholinomethyl, or a 4-methylpiperazinyl is preferred, and a dimethylaminomethyl, a 1-(dimethylamino)ethyl, a pyrrolidinylmethyl, a morpholinomethyl, or

a 4-methylpiperazinyl is most preferred.

As Substituent G, a halogen, a hydroxy, a cyano, a methyl, a methoxy, an ethoxy, a trifluoromethoxy, an amino, a methylsulfonylamino, a carbamoyl, a sulfamoyl, a dimethylaminomethyl, a methylureide, a benzylureide, or a piperazinyl is more preferred, and a halogen, a hydroxy, a methoxy, an ethoxy, or a trifluoromethoxy is most preferred.

As Substituent H, a halogen, a cyano, a methyl, a methoxy, an amino, a carbamoyl, or a dimethylaminopropylaminocarbonyl, or an aminocyclohexylaminocarbonyl is preferred, and a cyano is most preferred.

As Substituent I, a dimethylaminomethyl, a piperazinyl, a methylpiperazinyl, a piperidyl, methylpiperidyl, an aminopiperidyl, a methylaminopiperidyl, a methylpyrrolidinyl, a pyrrolidinylmethyl, an aminopyrrolidinyl, a methylaminopyrrolidinyl, a dimethylaminopyrrolidinyl, a piperidylamino, a pyrrolidinylamino, an aminocyclohexyl, a methylaminocyclohexyl, a 2-aminoethoxy, a 2-(dimethylamino)ethoxy, a 2-(dimethylamino)ethylamino, a 2-pyrrolidinylethyl, or a dimethylaminoethyloxy is most preferred.

Pharmaceutically acceptable salts of compound (I) mean, for example, pharmaceutically acceptable acid-added salts, amino acid-added salts, or such. Specific examples of the pharmaceutically acceptable acid-added salts of compound (I) include inorganic acid salts such as hydrochloride, sulfate, and phosphate, organic acid salts such as acetate, maleate, fumarate, citrate, and such, and examples of pharmaceutically acceptable amino acid-added salts include addition salts such as of lysine, glycine, phenylalanine, asparagine acid, or glutamic acid.

Examples of diseases involving overexpression of MELK, which may be treated and/or prevented by pharmaceutical compositions comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of the present invention, include cancer, breast cancer, bladder cancer, cervical cancer, cholangiocellular carcinoma, chronic myeloid leukemia (CML), colorectal cancer, endometriosis, esophagus cancer, gastric cancer, liver cancer, non-small cell lung cancer (NSCLC), lymphoma, osteosarcoma, ovarian cancer, pancreatic cancer, prostate cancer, renal carcinoma and small cell lung cancer (SCC), but are not limited thereto. Examples of the cancer which may be treated and/or prevented include breast cancer, bladder cancer, cervical cancer, cholangiocellular carcinoma, CML, colorectal cancer, endometriosis, esophagus cancer, gastric cancer, liver cancer, NSCLC, lymphoma, osteosarcoma, ovarian cancer, pancreatic cancer, prostate cancer, renal carcinoma and SCC, but are not limited thereto.

Compound (I) includes compounds which may have stereoisomers such as regioisomers, geometrical isomers, optical isomers, and tautomers, and all possible isomers including them and mixtures thereof are included in the present invention.

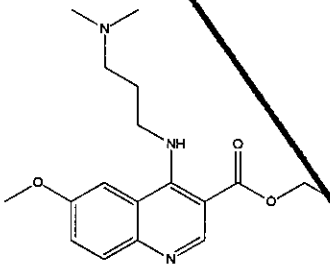
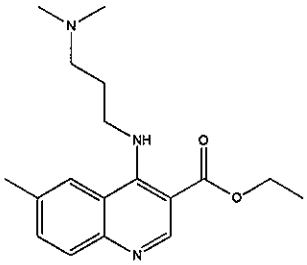
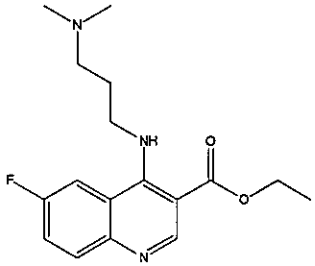
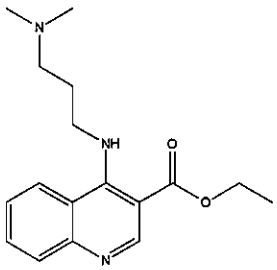
When a salt of compound (I) is to be obtained, if compound (I) is obtained in the form of a salt, it may be purified as it is, and if it is obtained in a free form, compound (I) can be

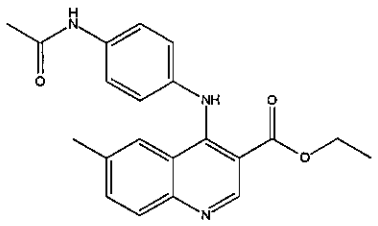
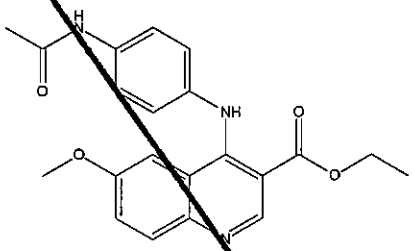
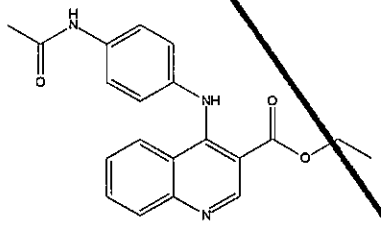
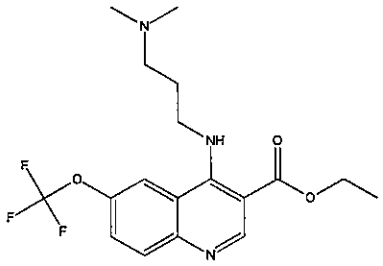
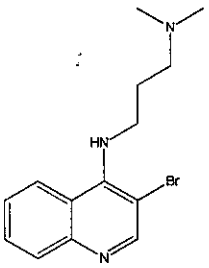
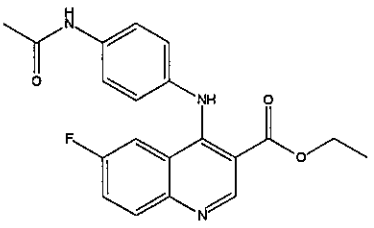
isolated and purified by dissolving or suspending it in an appropriate solvent, and adding an acid or amino acid to form a salt.

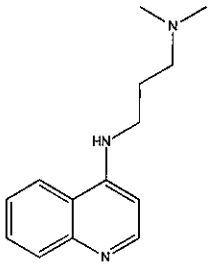
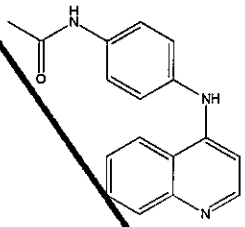
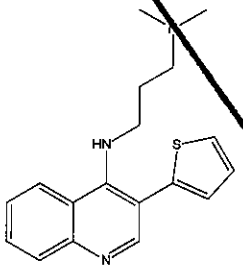
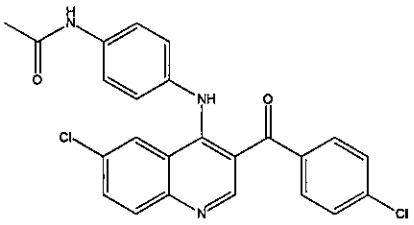
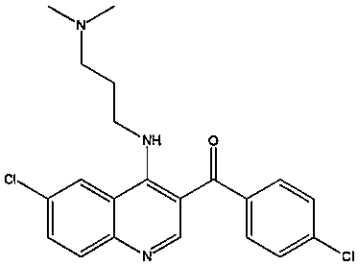
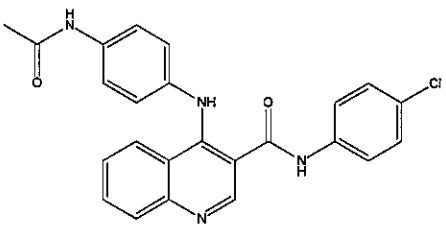
Furthermore, compound (I) and pharmaceutically acceptable salts thereof may exist in a form of adducts with water or various other solvents, and these adducts are also included in the present invention.

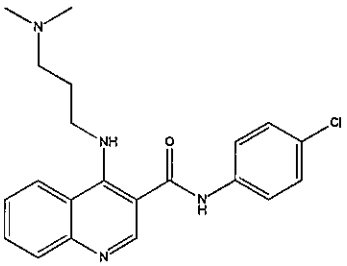
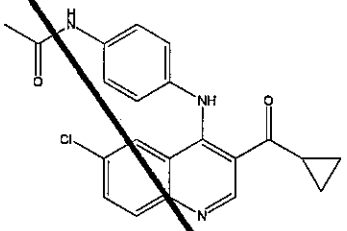
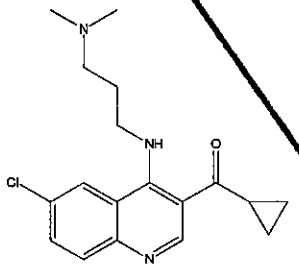
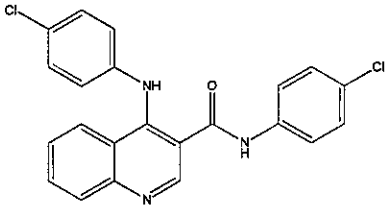
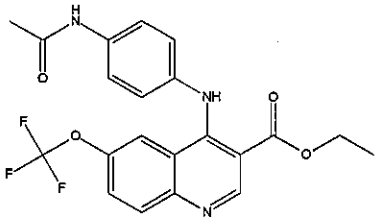
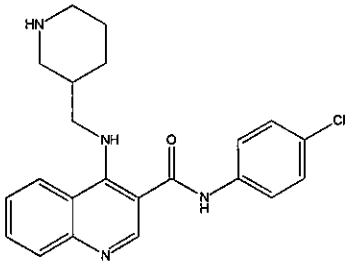
Specific examples of Compound (I) of the present invention are shown in Table 1. However, compounds of the present invention are not limited thereto. (Example No. corresponds to above mentioned compound number.)

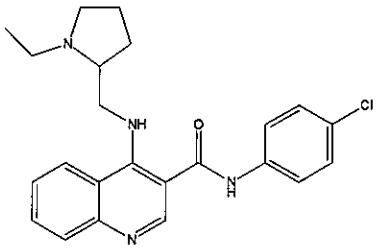
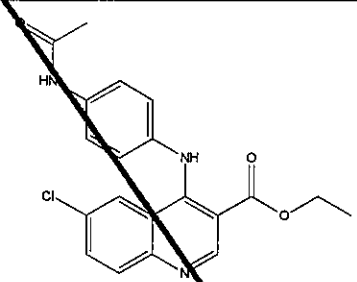
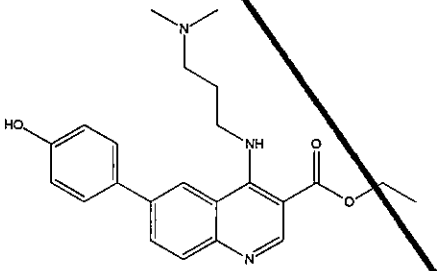
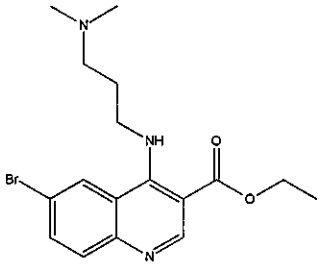
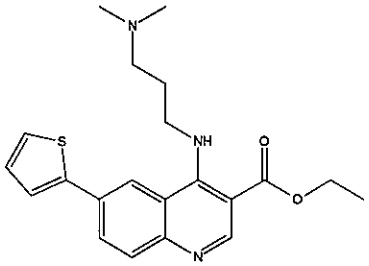
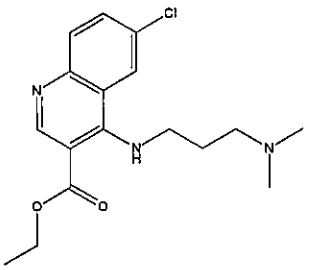
Table 1

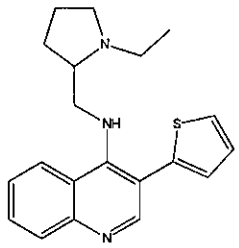
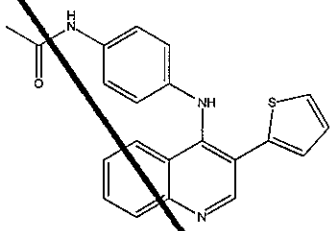
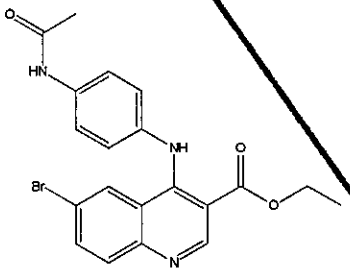
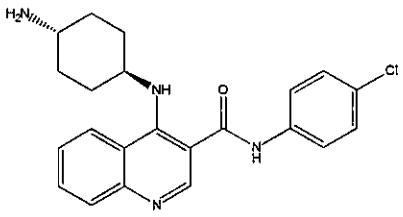
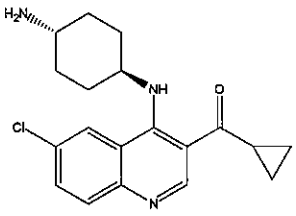
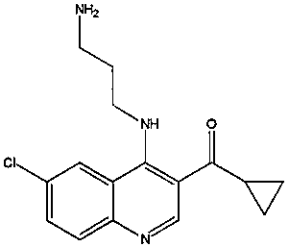
No.	Structure	Compound Name	ESI MS (*m/z*)
1		ethyl 4-(3-(dimethylamino)propylamino) -6-methoxyquinoline-3 -carboxylate	331
2		ethyl 4-(3-(dimethylamino)propylamino) -6-methylquinoline -3-carboxylate	315
3		ethyl 4-(3-(dimethylamino)propylamino) -6-fluoroquinoline -3-carboxylate	319
4		ethyl 4-(3-(dimethylamino)propylamino) quinoline -3-carboxylate	301

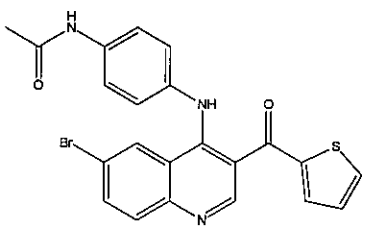
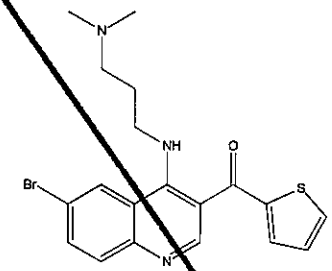
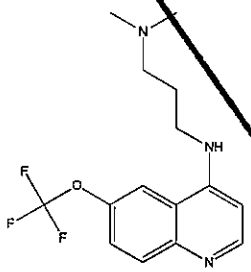
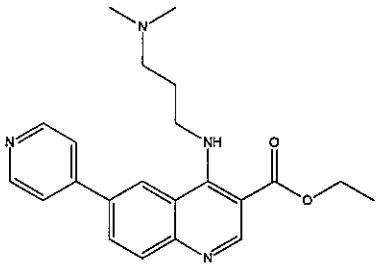
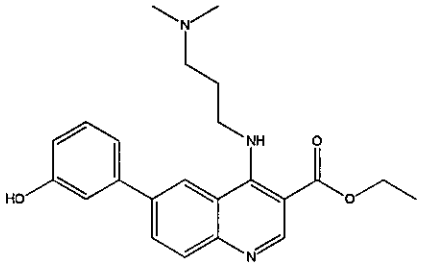
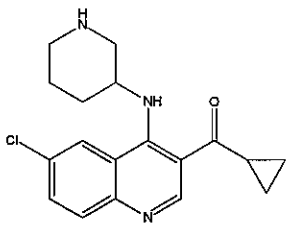
5		ethyl 4-(4-acetamidophenylamino) -6-methylquinoline -3-carboxylate	363
6		ethyl 4-(4-acetamidophenylamino) -6-methoxyquinoline -3-carboxylate	379
7		ethyl 4-(4-acetamidophenylamino) quinoline-3-carboxylate	349
8		ethyl 4-(3-(dimethylamino)propylamino) -6-(trifluoromethoxy)quinoline -3-carboxylate	385
9		N1-(3-bromoquinolin-4-yl)-N3,N3 –dimethylpropane -1,3-diamine	308
10		ethyl 4-(4-acetamidophenylamino) -6-fluoroquinoline -3-carboxylate	367

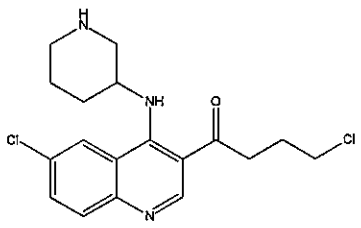
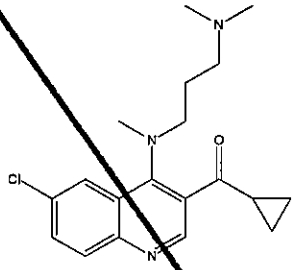
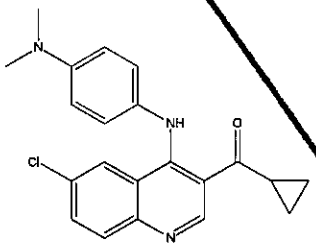
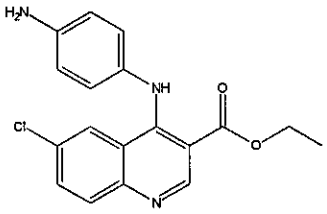
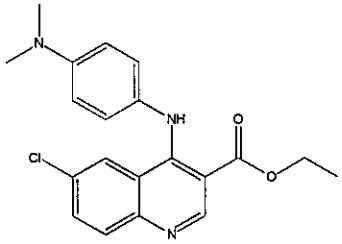
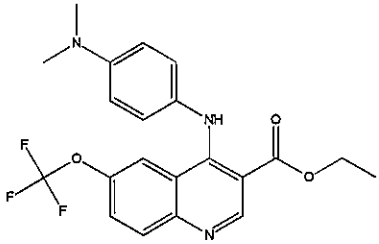
11		N1,N1-dimethyl-N3-(quinolin-4-yl)propane -1,3-diamine	229
12		N-(4-(quinolin-4-ylamino)phenyl) acetamide	277
13		N1,N1-dimethyl-N3 -(3-(thiophen-2-yl)quinolin-4-yl) propane-1,3-diamine	311
14		N-(4-(6-chloro-3 -(4-chlorobenzoyl)quinolin -4-ylamino)phenyl) acetamide	450
15		(6-chloro-4-(3-(dimethylamino) propylamino)quinolin-3-yl) (4-chlorophenyl)methanone	402
16		4-(4-acetamidophenylamino) -N-(4-chlorophenyl)quinoline -3-carboxamide	431

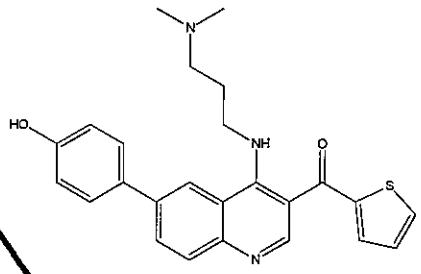
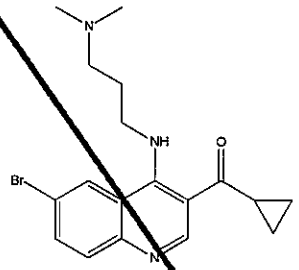
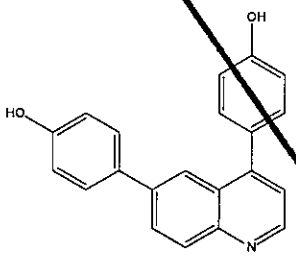
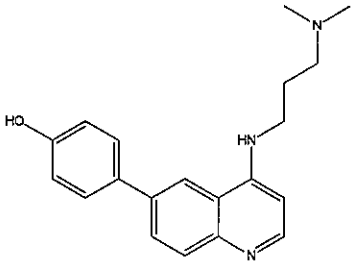
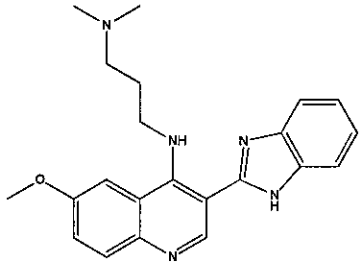
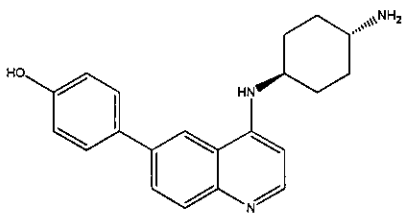
17		N-(4-chlorophenyl)-4-(3-(dimethylamino)propylamino) quinoline-3-carboxamide	383
18		N-(4-(6-chloro-3-(cyclopropanecarbonyl)quinolin -4-ylamino)phenyl)acetamide	380
19		(6-chloro-4-(3-(dimethylamino) propylamino)quinolin-3-yl) (cyclopropyl)methanone	332
20		N-(4-chlorophenyl)-4-(4-chlorophenylamino)quinoline -3-carboxamide	408
21		ethyl 4-(4-acetamidophenylamino) -6-(trifluoromethoxy)quinoline -3-carboxylate	433
22		N-(4-chlorophenyl) -4-(piperidin-3-ylmethylamino) quinoline-3-carboxamide	395

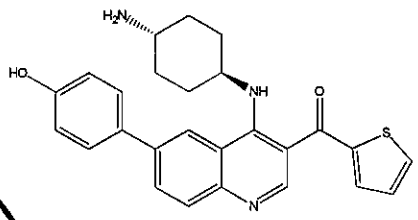
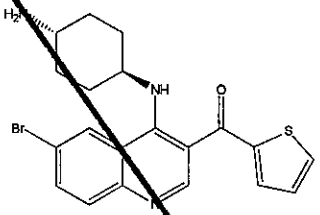
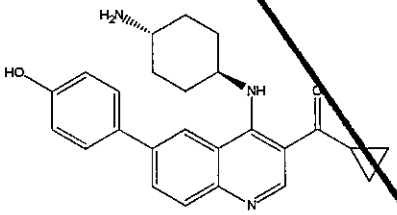
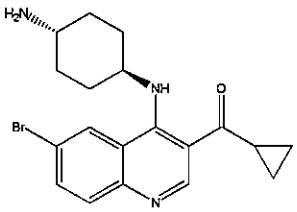
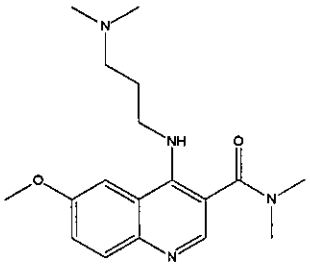
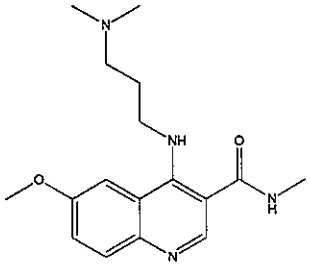
23		N-(4-chlorophenyl)-4-((1-ethylpyrrolidin-2-yl) methylamino)quinoline-3-carboxamide	409
24		ethyl 4-(4-acetamidophenylamino)-6-chloroquinoline-3-carboxylate	384
25		ethyl 4-(3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl)quinoline -3-carboxylate	393
26		ethyl 6-bromo-4-(3-(dimethylamino) propylamino)quinoline -3-carboxylate	380
27		ethyl 4-(3-(dimethylamino)propylamino) -6-(thiophen-2-yl)quinoline -3-carboxylate	384
28		ethyl 6-chloro-4-(3-(dimethylamino) propylamino)quinoline -3-carboxylate	336

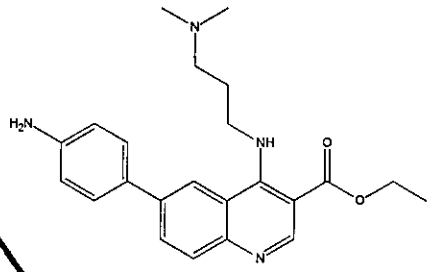
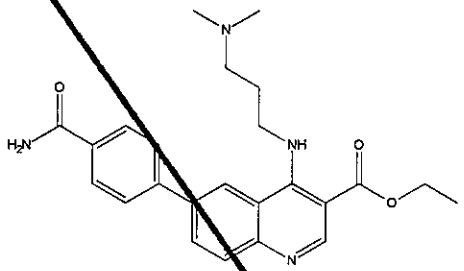
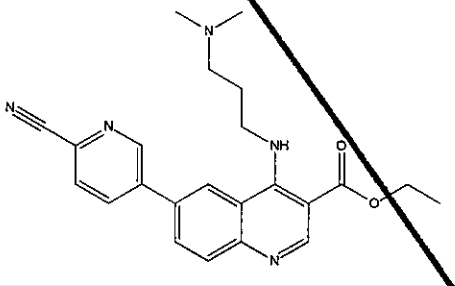
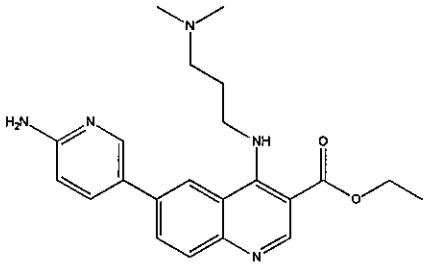
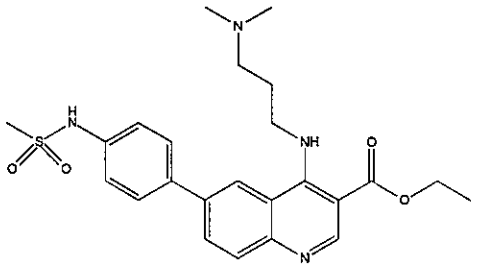
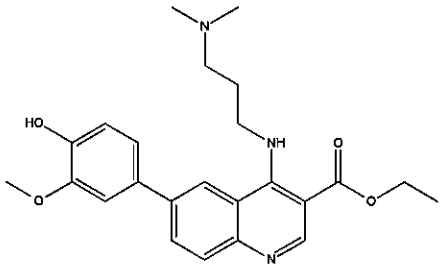
29		N-((1-ethylpyrrolidin-2-yl)methyl) -3-(thiophen-2-yl)quinolin-4-amine	337
30		N-(4-(3-(thiophen-2-yl)quinolin -4-ylamino)phenyl)acetamide	359
31		ethyl 4-(4-acetamidophenylamino) -6-bromoquinoline-3-carboxylate	428
32		4-((trans)-4-aminocyclohexylamino) -N-(4-chlorophenyl)quinoline-3-carboxamide	395
33		(4-((trans)-4-aminocyclohexylamino) -6-chloroquinolin-3-yl) (cyclopropyl)methanone	344
34		(4-(3-aminopropylamino) 6-chloroquinolin-3-yl) (cyclopropyl)methanone	304

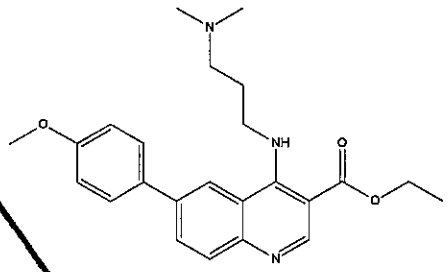
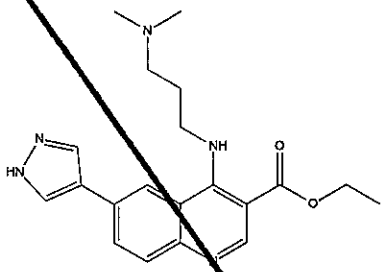
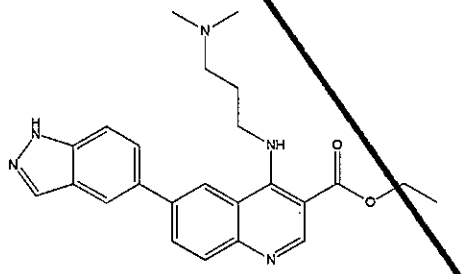
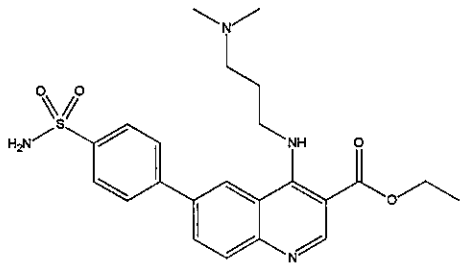
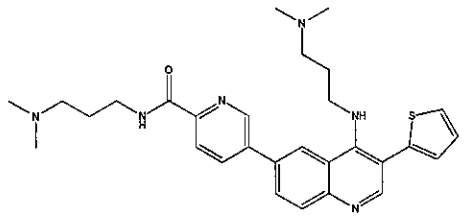
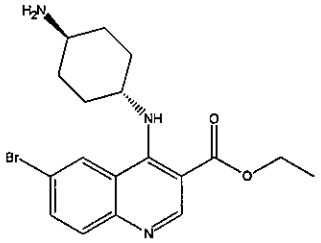
35		N-(4-(6-bromo-3-(thiophene-2-carbonyl)quinolin-4-ylamino)phenyl)acetamide	466
36		(6-bromo-4-(3-(dimethylamino)propylamino)quinolin-3-yl)(thiophen-2-yl)methanone	418
37		N1,N1-dimethyl-N3-(6-(trifluoromethoxy)quinolin-4-yl)propane-1,3-diamine	313
38		ethyl 4-(3-(dimethylamino)propylamino)-6-(pyridin-4-yl)quinoline-3-carboxylate	378
39		ethyl 4-(3-(dimethylamino)propylamino)-6-(3-hydroxyphenyl)quinoline-3-carboxylate	393
40		(6-chloro-4-(piperidin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	330

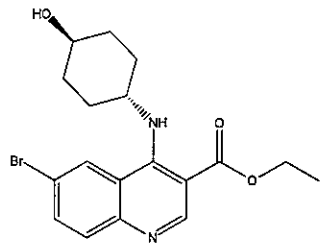
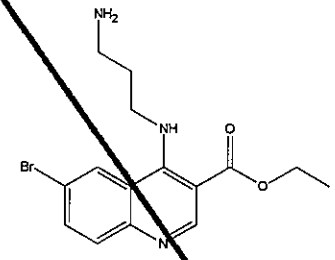
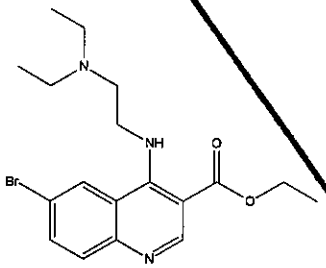
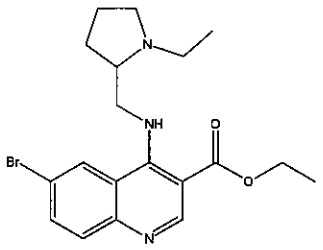
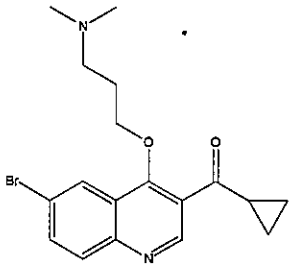
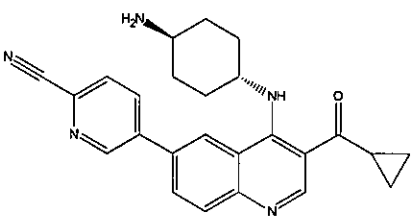
41		4-chloro-1-(6-chloro-4-(piperidin -3-ylamino)quinolin-3-yl) butan-1-one	366
42		(6-chloro-4-((3-(dimethylamino) propyl)(methyl)amino)quinolin -3-yl)(cyclopropyl)methanone	346
43		(6-chloro-4-(4-(dimethylamino) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	366
44		ethyl 4-(4-aminophenylamino)-6-chloroquinoline-3-carboxylate	342
45		ethyl 6-chloro-4-(4-(dimethylamino) phenylamino)quinoline-3-carboxylate	370
46		ethyl 4-(4-(dimethylamino) phenylamino)-6-(trifluoromethoxy) quinoline-3-carboxylate	419

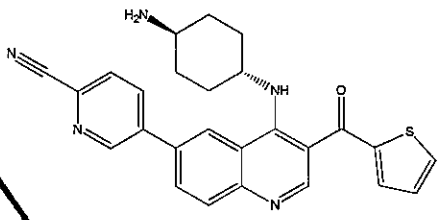
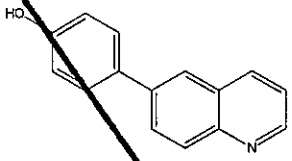
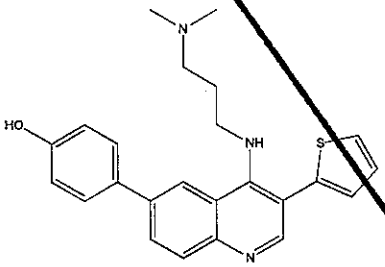
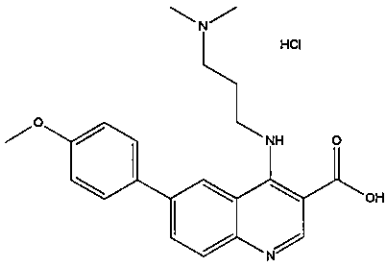
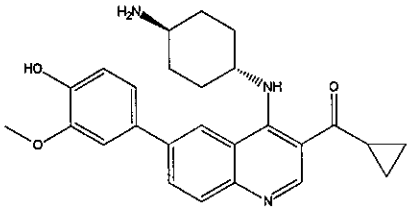
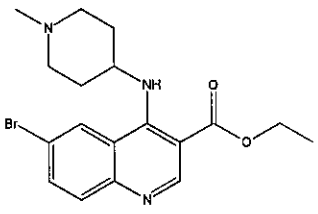
47		(4-(3-(dimethylamino) propylamino)-6-(4-hydroxyphenyl) quinolin-3-yl)(thiophen-2-yl) methanone	432
48		(6-bromo-4-(3-(dimethylamino) propylamino)quinolin-3-yl) (cyclopropyl)methanone	376
49		4,4'-(quinoline-4,6-diyl)diphenol	313
50		4-(4-(3-(dimethylamino) propylamino)quinolin-6-yl)phenol	321
51		N1-(3-(1H-benzo[d]imidazol-2-yl) -6-methoxyquinolin-4-yl)-N3,N3 -dimethylpropane-1,3-diamine	375
52		4-(4-((trans)-4-aminocyclohexylamino)quinolin -6-yl)phenol	333

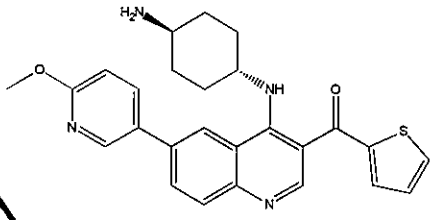
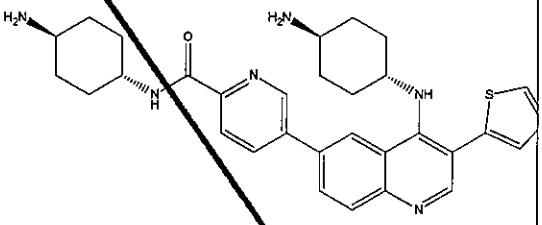
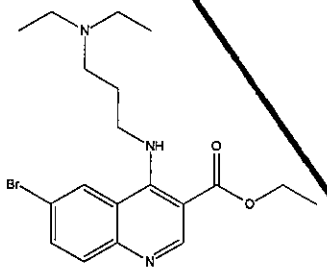
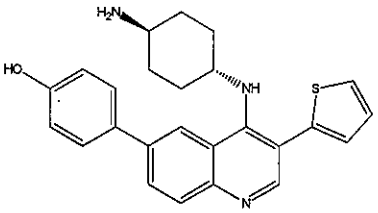
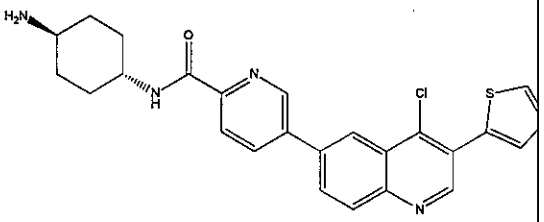
53		(4-((trans)-4-aminocyclohexylamino) -6-(4-hydroxyphenyl)quinolin -3-yl)(thiophen-2-yl)methanone	444
54		(4-((trans)-4-aminocyclohexylamino) -6-bromoquinolin-3-yl) (thiophen-2-yl)methanone	430
55		(4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone	402
56		(4-((trans)-4-aminocyclohexylamino)-6- bromoquinolin-3-yl)(cyclopropyl) methanone	388
57		4-(3-(dimethylamino)propylamino) -6-methoxy- N,N-dimethylquinoline-3- carboxamide	330
58		4-(3-(dimethylamino)propylamino) -6-methoxy-N-methylquinoline -3-carboxamide	316

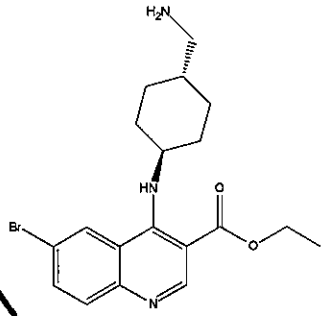
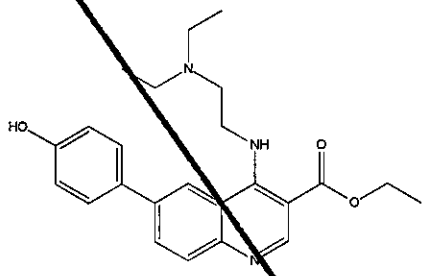
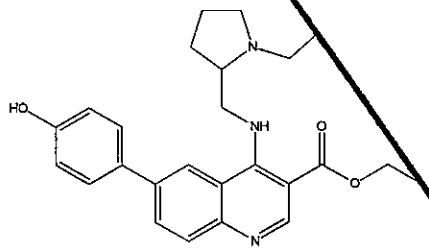
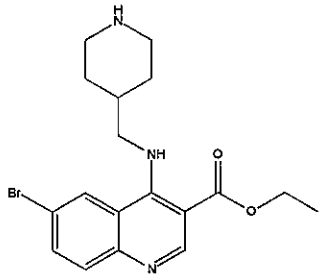
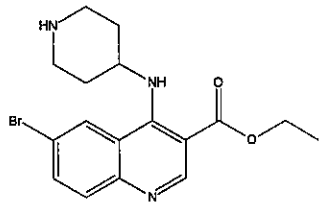
59		ethyl 6-(4-aminophenyl)-4-(3-(dimethylamino)propylamino) quinoline-3-carboxylate	392
60		ethyl 6-(4-carbamoylphenyl)-4-(3-(dimethylamino)propylamino) quinoline-3-carboxylate	421
61		ethyl 6-(6-cyanopyridin-3-yl)-4-(3-(dimethylamino)propylamino) quinoline-3-carboxylate	403
62		ethyl 6-(6-aminopyridin-3-yl)-4-(3-(dimethylamino)propylamino) quinoline-3-carboxylate	393
63		ethyl 4-(3-(dimethylamino)propylamino) -6-(4-(methylsulfonamido)phenyl) quinoline-3-carboxylate	471
64		ethyl 4-(3-(dimethylamino)propylamino) -6-(4-hydroxy-3-methoxyphenyl) quinoline-3-carboxylate	424

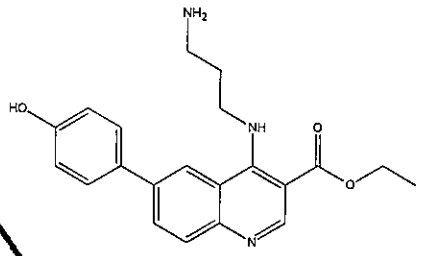
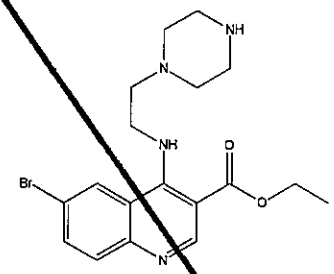
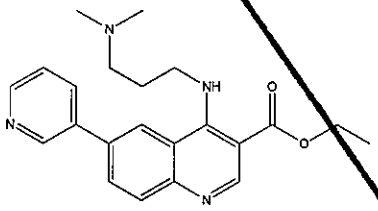
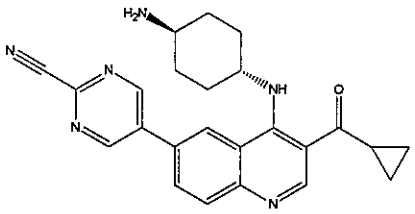
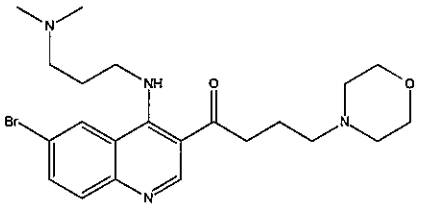
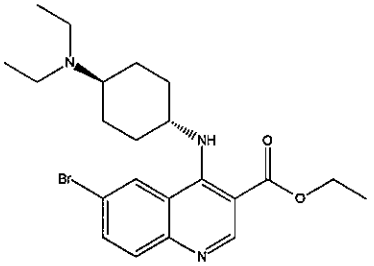
65		ethyl 4-(3-(dimethylamino)propylamino) -6-(4-methoxyphenyl)quinoline -3-carboxylate	408
66		ethyl 4-(3-(dimethylamino)propylamino) -6-(1H-pyrazol-4-yl)quinoline -3-carboxylate	367
67		ethyl 4-(3-(dimethylamino)propylamino) -6-(1H-indazol-5-yl)quinoline -3-carboxylate	418
68		ethyl 4-(3-(dimethylamino)propylamino) -6-(4-sulfamoylphenyl)quinoline -3-carboxylate	457
69		N-(3-(dimethylamino)propyl) -5-(4-(3-(dimethylamino) propylamino)-3-(thiophen-2-yl) quinolin-6-yl)picolinamide	517
70		ethyl 4-((trans)-4-aminocyclohexylamino) -6- bromoquinoline-3-carboxylate	392

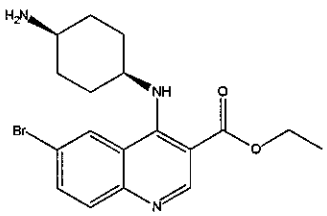
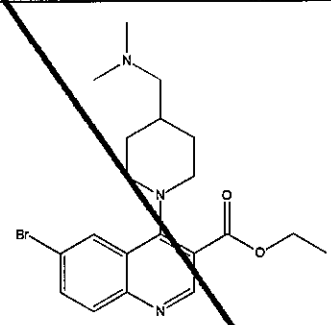
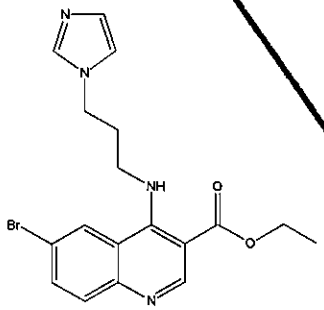
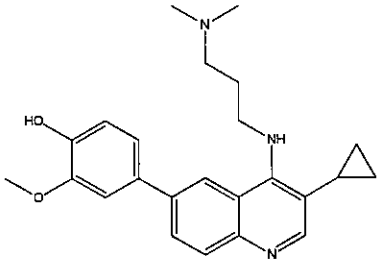
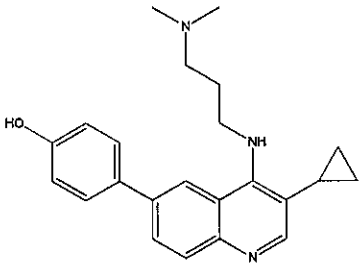
71		ethyl 6-bromo-4-((trans)-4-hydroxycyclohexylamino) quinoline-3-carboxylate	393
72		ethyl 4-(3-aminopropylamino) -6-bromoquinoline-3-carboxylate	352
73		ethyl 6-bromo-4-(2-(diethylamino) ethylamino)quinoline -3-carboxylate	394
74		ethyl 6-bromo-4-((1-ethylpyrrolidin-2-yl) methylamino)quinoline-3-carboxylate	406
75		(6-bromo-4-(3-(dimethylamino) propoxy)quinolin-3-yl) (cyclopropyl)methanone	377
76		5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl) quinolin-6-yl)picolinonitrile	411

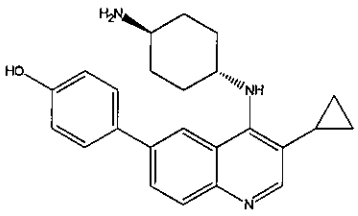
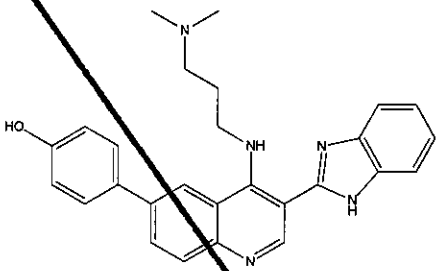
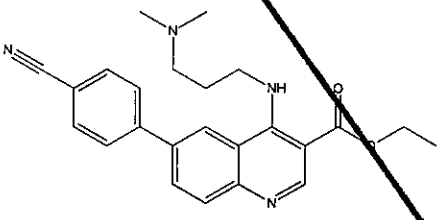
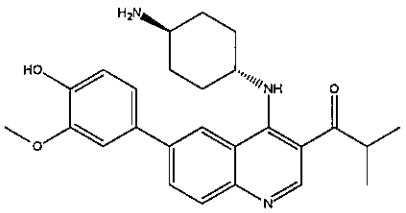
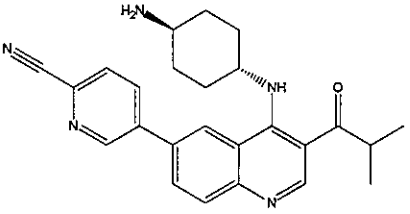
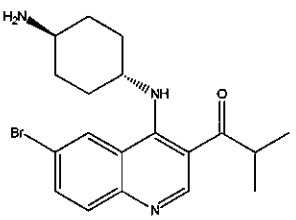
77		5-(4-((trans)-4-aminocyclohexylamino)-3-(thiophene-2-carbonyl)quinolin-6-yl)picolinonitrile	454
78		4-(quinolin-6-yl)phenol	221
79		4-(4-(3-(dimethylamino) propylamino)-3-(thiophen-2-yl) quinolin-6-yl)phenol	404
80		4-(3-(dimethylamino)propylamino) -6-(4-methoxyphenyl)quinoline-3-carboxylic acid dihydrochloride	379
81		(4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	432
82		ethyl 6-bromo-4-(1-methylpiperidin-4-ylamino) quinoline-3-carboxylate	392

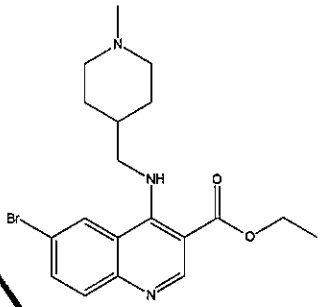
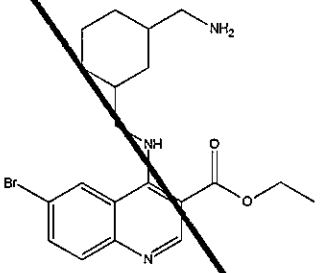
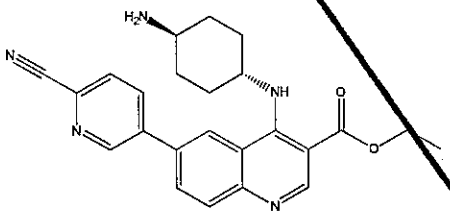
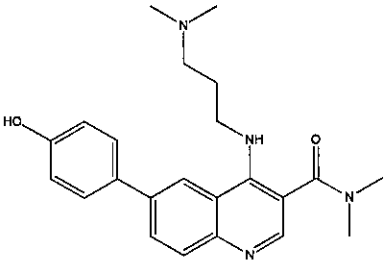
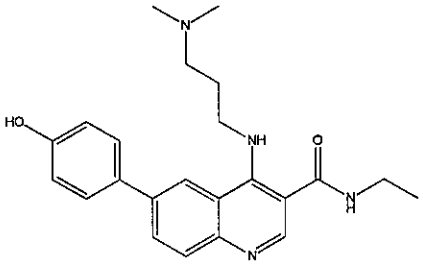
83		(4-((trans)-4-aminocyclohexylamino)-6-(6-methoxypyridin-3-yl)quinolin-3-yl)(thiophen-2-yl)methanone	459
84		N-((trans)-4-aminocyclohexyl)-5-(4-((trans)-4-aminocyclohexylamino)-3- (thiophen-2-yl)quinolin-6-yl) picolinamide	540
85		ethyl 6-bromo-4-(3-(diethylamino) propylamino)quinoline-3-carboxylate	408
86		4-(4-((trans)-4-aminocyclohexylamino)-3- (thiophen-2-yl)quinolin-6-yl) phenol	416
87		N-((trans)-4-aminocyclohexyl)-5-(4-chloro-3-(thiophen-2-yl) quinolin-6-yl)picolinamide	463

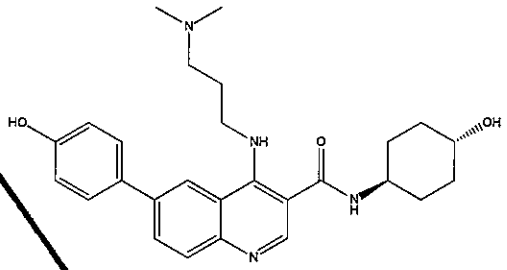
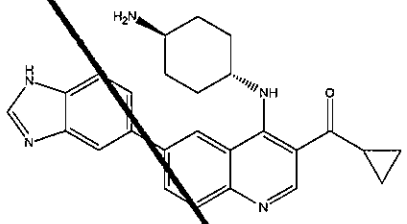
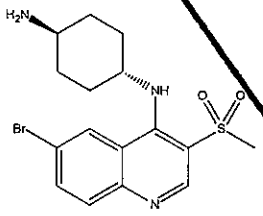
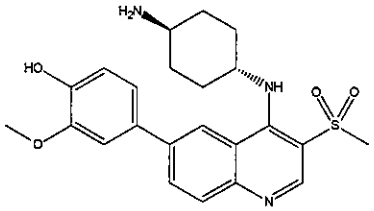
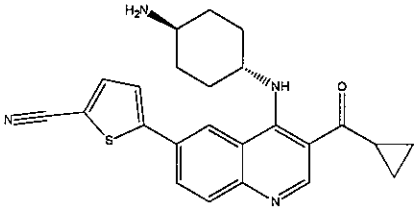
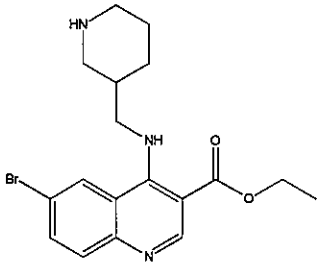
88		ethyl 4-((trans)-4-(aminomethyl) cyclohexylamino)-6-bromoquinoline-3-carboxylate	406
89		ethyl 4-(2-(diethylamino)ethylamino) -6-(4-hydroxyphenyl)quinoline -3-carboxylate	408
90		ethyl 4-((1-ethylpyrrolidin-2-yl) methylamino)-6-(4-hydroxyphenyl)quinoline-3-carboxylate	420
91		ethyl 6-bromo-4-(piperidin-4-ylmethylamino)quinoline-3-carboxylate	392
92		ethyl 6-bromo-4-(piperidin-4-ylamino) quinoline-3-carboxylate	378

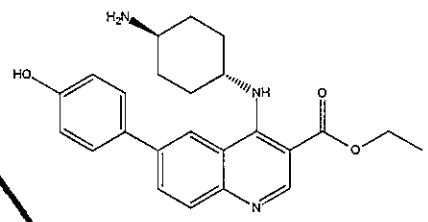
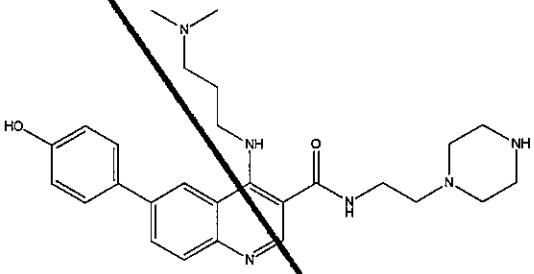
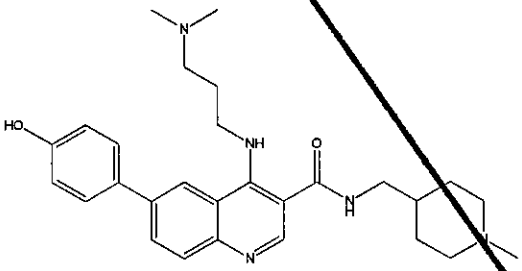
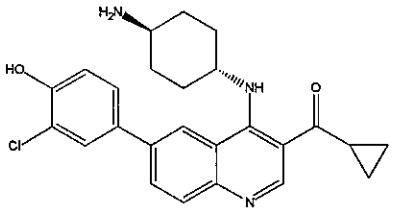
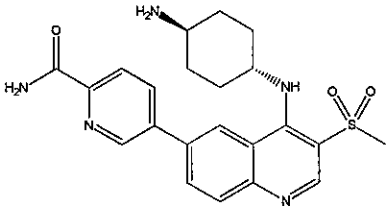
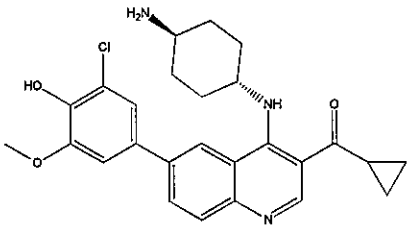
93		ethyl 4-(3-aminopropylamino)-6-(4-hydroxyphenyl)quinoline -3-carboxylate	365
94		ethyl 6-bromo-4-(2-(piperazin-1-yl) ethylamino)quinoline-3- carboxylate	407
95		ethyl 4-(3-(dimethylamino)propylamino) -6-(pyridin-3-yl)quinoline-3-carboxylate	378
96		5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)pyrimidine-2-carbonitrile	412
97		1-(6-bromo-4-(3-(dimethylamino) propylamino)quinolin-3-yl) -4-morpholinobutan-1-one	463
98		ethyl 6-bromo-4-((trans)-4-(diethylamino)cyclohexylamino) quinoline-3-carboxylate	448

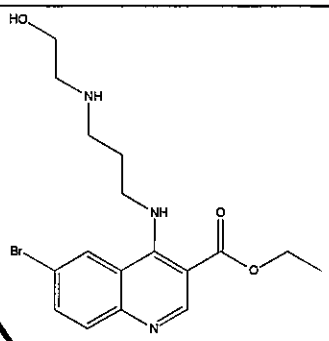
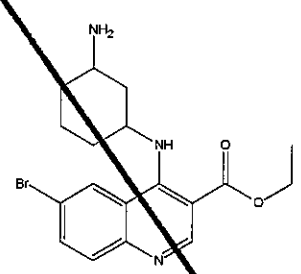
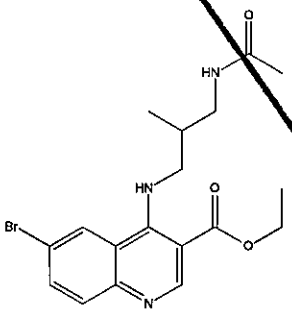
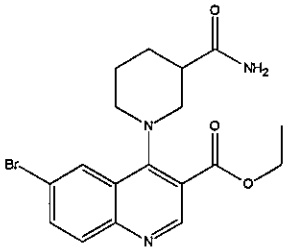
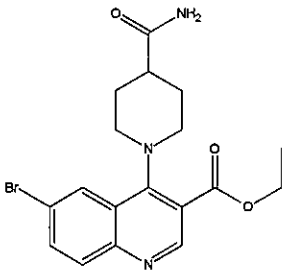
99		ethyl 4-((cis)-4-aminocyclohexylamino)-6 - bromoquinoline-3-carboxylate	392
100		ethyl 6-bromo-4-(4-((dimethylamino) methyl)piperidin-1-yl)quinoline -3-carboxylate	420
101		ethyl 4-(3-(1H-imidazol-1-yl) propylamino)-6-bromoquinoline -3-carboxylate	403
102		4-(3-cyclopropyl-4-(3-(dimethylamino)propylamino)quinolin-6-yl)-2-methoxyphenol	392
103		4-(3-cyclopropyl-4-(3-(dimethylamino)propylamino) quinolin-6-yl)phenol	361

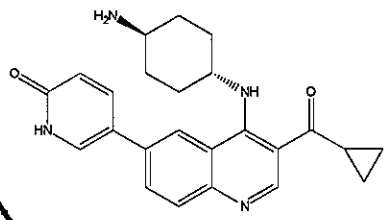
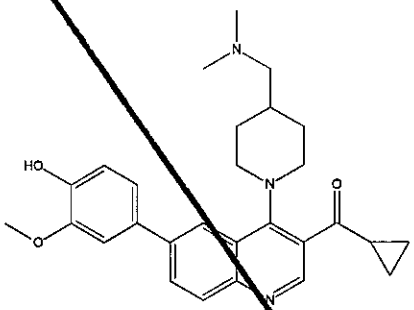
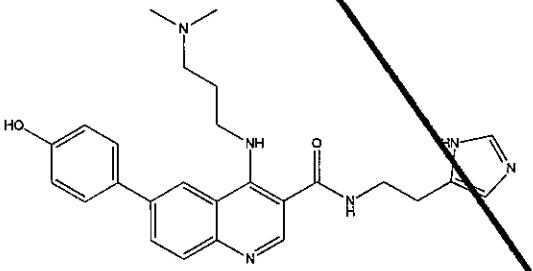
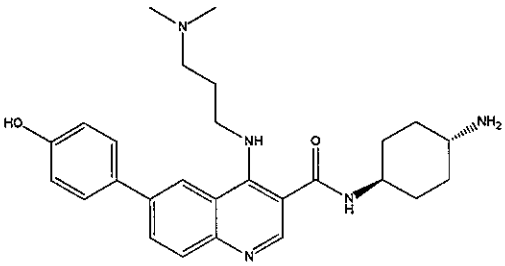
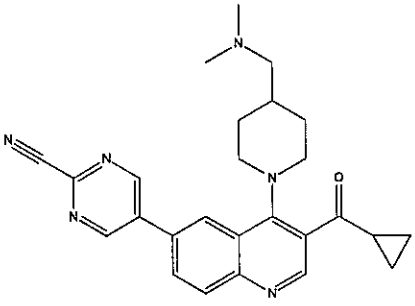
104		4-(4-((trans)-4-aminocyclohexylamino)-3-cyclopropylquinolin-6-yl)phenol	373
105		4-(3-(1H-benzo[d]imidazol-2-yl) -4-(3-(dimethylamino) propylamino)quinolin-6-yl)phenol	438
106		ethyl 6-(4-cyanophenyl)-4-(3-(dimethylamino)propylamino) quinoline-3-carboxylate	402
107		1-(4-((trans)-4-aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)-2-methylpropan -1-one	434
108		5-(4-((trans)-4-aminocyclohexylamino) -3-isobutyrylquinolin-6-yl) picolinonitrile	414
109		1-(4-((trans)-4-aminocyclohexylamino)-6- bromoquinolin-3-yl)-2-methylpropan-1-one	390

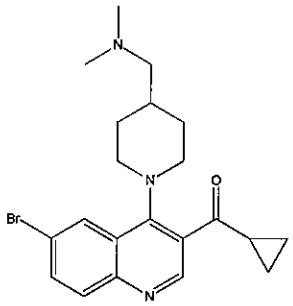
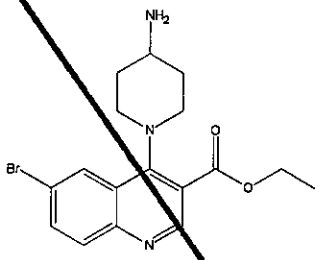
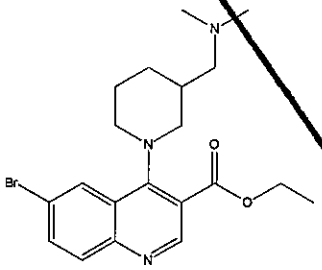
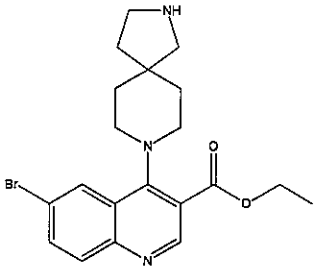
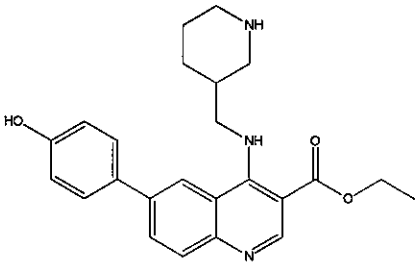
110		ethyl 6-bromo-4-((1-methylpiperidin-4-yl) methylamino)quinoline -3-carboxylate	406
111		ethyl 4-((3-(aminomethyl)cyclohexyl) methylamino)-6-bromoquinoline -3-carboxylate	420
112		ethyl 4-((trans)-4-aminocyclohexylamino) -6- (6-cyanopyridin-3-yl)quinoline-3-carboxylate	415
113		4-(3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl) -N,N-dimethylquinoline -3-carboxamide	392
114		4-(3-(dimethylamino)propylamino) -N-ethyl-6-(4-hydroxyphenyl) quinoline-3-carboxamide	392

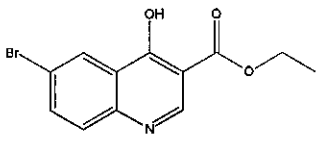
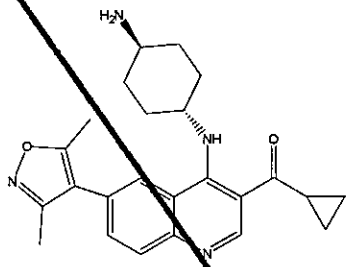
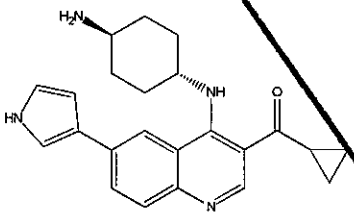
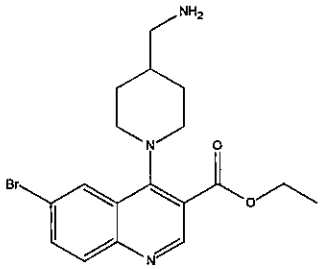
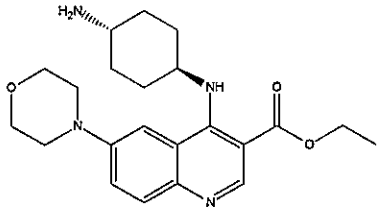
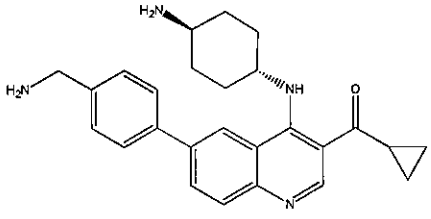
115		4-(3-(dimethylamino)propylamino) -N-((trans)-4-hydroxycyclohexyl) -6-(4-hydroxyphenyl)quinoline -3-carboxamide	463
116		(4-((trans)-4-aminocyclohexylamino)-6- (1H-benzo[d]imidazol-5-yl) quinolin-3-yl)(cyclopropyl) methanone	426
117		(trans)-N1-(6-bromo-3- (methylsulfonyl)quinolin-4-yl) cyclohexane-1,4-diamine	398
118		4-(4-((trans)-4-aminocyclohexylami no)-3- (methylsulfonyl)quinolin-6-yl) -2-methoxyphenol	442
119		5-(4-((trans)-4-aminocyclohexylami no)-3- (cyclopropanecarbonyl)quinolin -6-yl)thiophene-2-carbonitrile	417
120		ethyl 6-bromo-4- (piperidin-3-ylmethylamino) quinoline-3-carboxylate	392

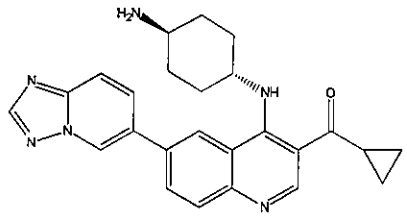
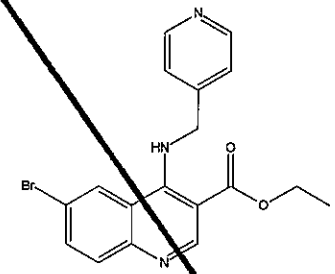
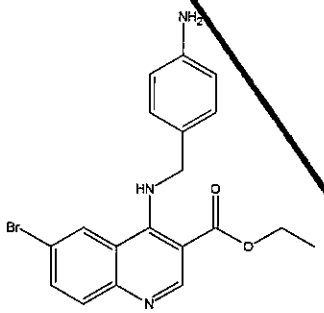
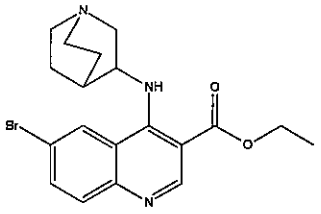
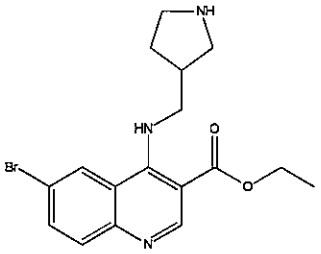
121		ethyl 4-((trans)-4-aminocyclohexylamino) -6- (4-hydroxyphenyl)quinoline -3-carboxylate	405
122		4-(3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl) -N-(2-(piperazin-1-yl)ethyl) quinoline-3-carboxamide	477
123		4-(3-(dimethylamino)propylamino) -6-(4-hydroxyphenyl) -N-((1-methylpiperidin-4-yl) methyl)quinoline-3-carboxamide	476
124		(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	436
125		5-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) picolinamide	440
126		(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5- methoxyphenyl)quinolin-3-yl) (cyclopropyl)methanone	466

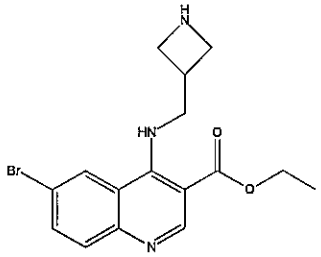
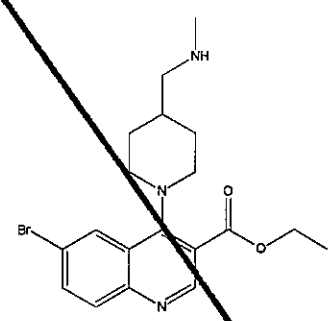
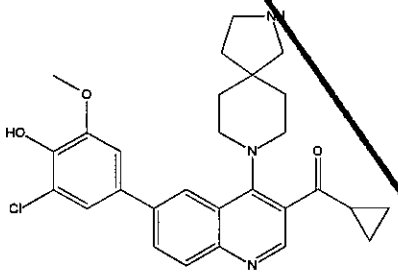
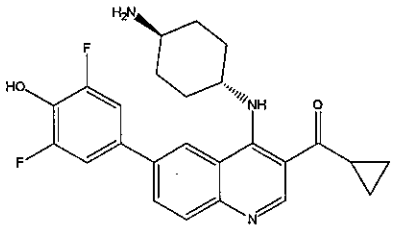
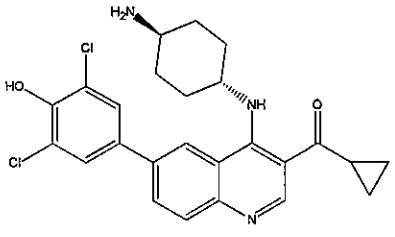
127		ethyl 6-bromo-4-(3-(2-hydroxyethylamino) propylamino)quinoline -3-carboxylate	396
128		ethyl 4-(3-aminocyclohexylamino) -6-bromoquinoline-3-carboxylate	392
129		ethyl 4-(3-acetamido -2-methylpropylamino) -6-bromoquinoline-3-carboxylate	408
130		ethyl 6-bromo-4-(3-carbamoylpiperidin-1-yl)quinoline-3-carboxylate	406
131		ethyl 6-bromo-4-(4-carbamoylpiperidin-1-yl)quinoline-3-carboxylate	406

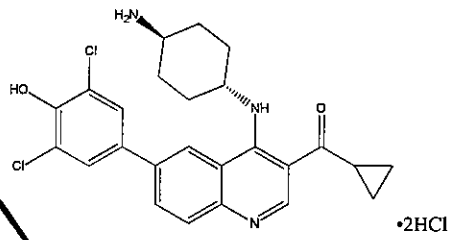
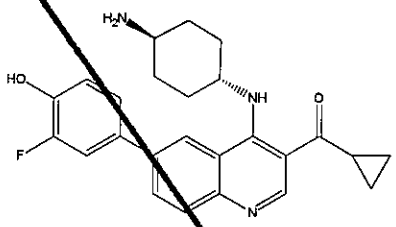
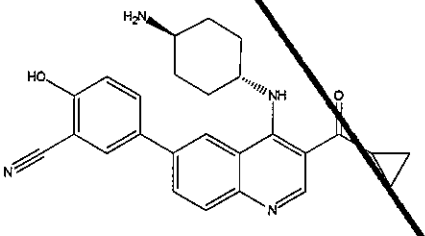
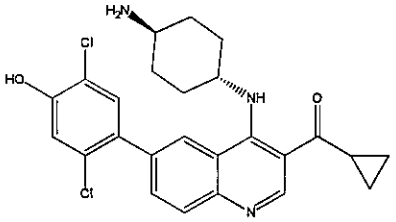
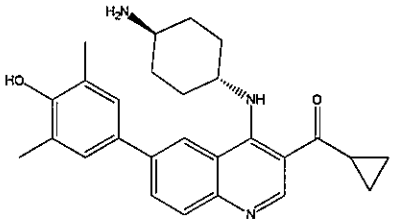
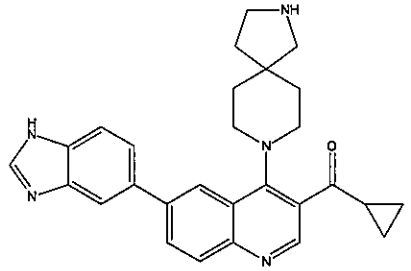
132		5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyridin-2(1H)-one	402
133		cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl)methanone	460
134		N-(2-(1H-imidazol-5-yl)ethyl)-4-(3-(dimethylamino)propylamino)-6-(4-hydroxyphenyl)quinoline-3-carboxamide	459
135		N-((trans)-4-aminocyclohexyl)-4-(3-(dimethylamino)propylamino)-6-(4-hydroxyphenyl)quinoline-3-carboxamide	462
136		5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)pyrimidine-2-carbonitrile	441

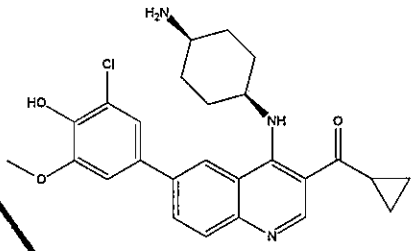
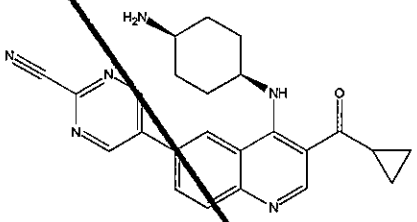
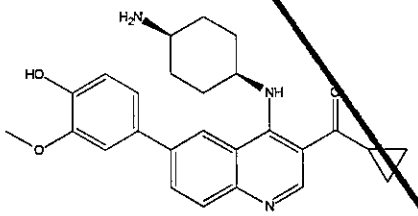
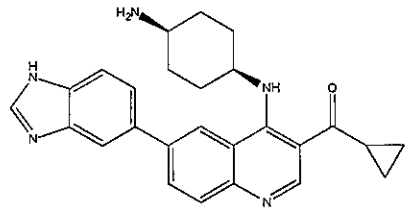
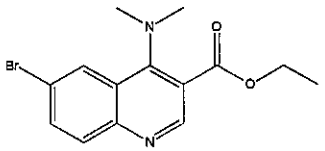
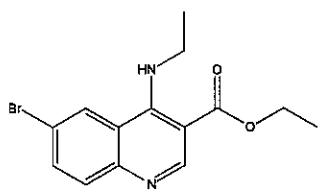
137		(6-bromo-4-(4-((dimethylamino) methyl)piperidin-1-yl)quinolin -3-yl)(cyclopropyl)methanone	416
138		ethyl 4-(4-aminopiperidin-1-yl)-6-bromoquinoline-3-carboxylate	378
139		ethyl 6-bromo-4-(3-((dimethylamino) methyl)piperidin-1-yl)quinoline -3-carboxylate	420
140		ethyl 6-bromo-4-(2,8-diazaspiro[4.5] decan-8-yl)quinoline-3-carboxylate	418
141		ethyl 6-(4-hydroxyphenyl)-4-(piperidin-3-ylmethylamino) quinoline-3-carboxylate	405

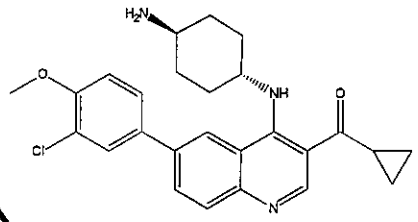
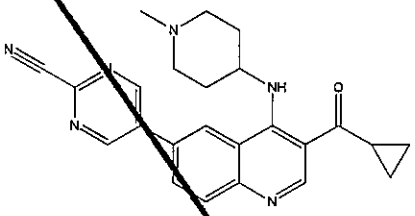
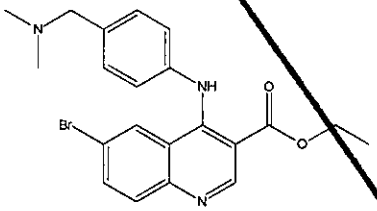
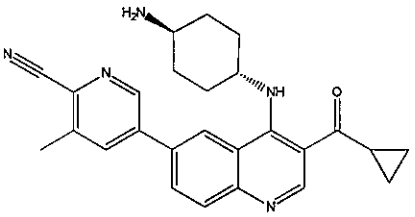
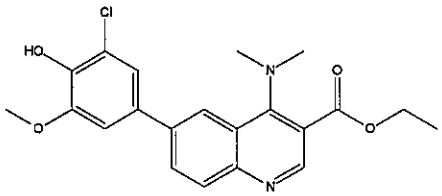
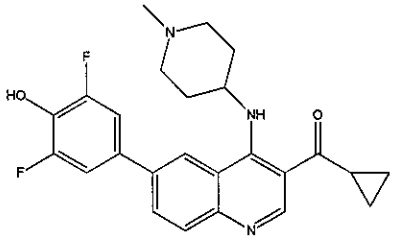
142		ethyl 6-bromo-4-hydroxyquinoline -3-carboxylate	296
143		(4-((trans)-4-aminocyclohexylamino)-6- (3,5-dimethylisoxazol-4-yl) quinolin-3-yl)(cyclopropyl) methanone	405
144		(4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrrol-3-yl)quinolin-3-yl) (cyclopropyl)methanone	374
145		ethyl 4-(4-(aminomethyl)piperidin-1-yl) -6-bromoquinoline-3-carboxylate	392
146		ethyl 4-((trans)-4-aminocyclohexylamino) -6- morpholinoquinoline-3- carboxylate	398
147		(4-((trans)-4-aminocyclohexylamino)-6- (4-(aminomethyl)phenyl) quinolin-3-yl)(cyclopropyl) methanone	415

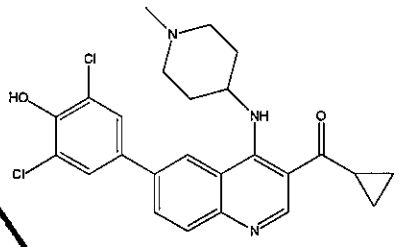
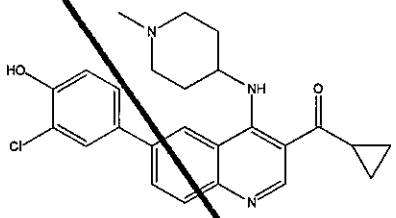
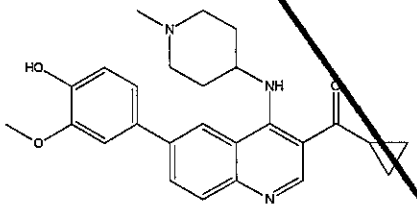
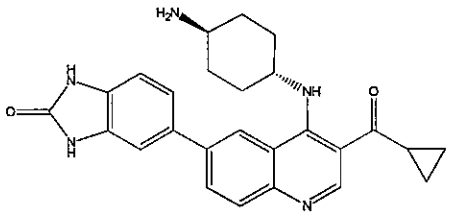
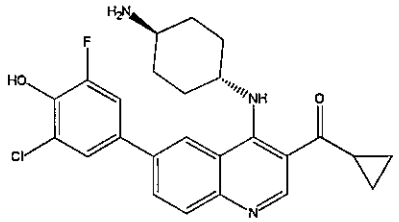
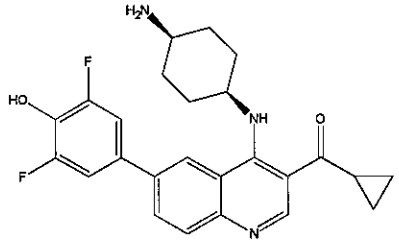
148		(6-([1,2,4]triazolo[1,5-a]pyridin -6-yl)-4-((trans)-4-aminocyclohexyl amino)quinolin -3-yl)(cyclopropyl)methanone	427
149		ethyl 6-bromo-4- (pyridin-4-ylmethylamino) quinoline-3-carboxylate	386
150		ethyl 4-(4-aminobenzylamino) -6-bromoquinoline-3-carboxylate	400
151		ethyl 6-bromo-4- (quinuclidin-3-ylamino) quinoline-3-carboxylate	404
152		ethyl 6-bromo-4- (pyrrolidin-3-ylmethylamino) quinoline-3-carboxylate	378

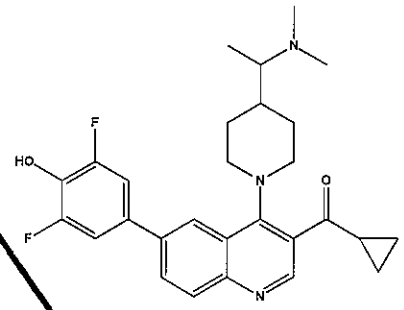
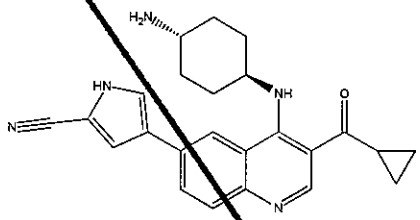
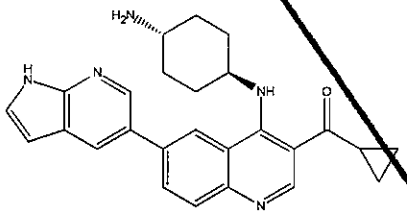
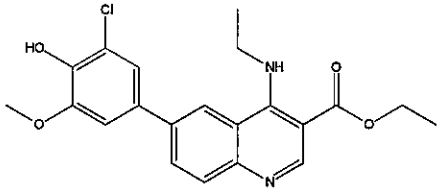
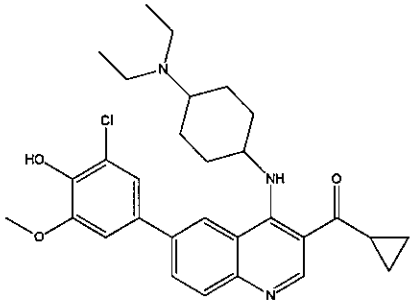
153		ethyl 4-(azetidin-3-ylmethylamino) -6-bromoquinoline-3-carboxylate	364
154		ethyl 6-bromo-4-(4-((methylamino) methyl)piperidin-1-yl)quinoline -3-carboxylate	406
155		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2,8-diazaspiro[4.5]decan-8-yl) quinolin-3-yl)(cyclopropyl) methanone	492
156		(4-((trans)-4-aminocyclohexylamino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	437
157(a)	 ·HCl	(4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone hydrochloride	470

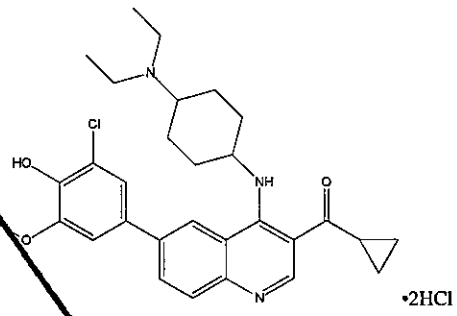
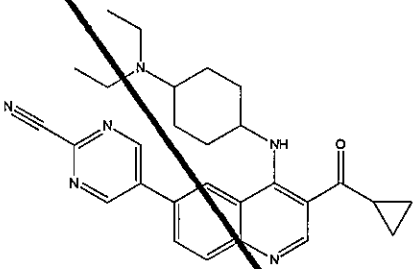
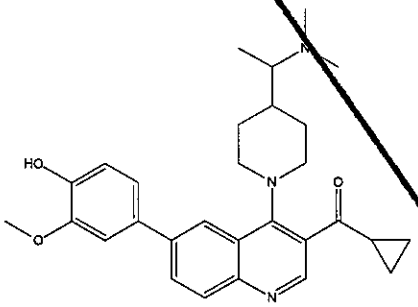
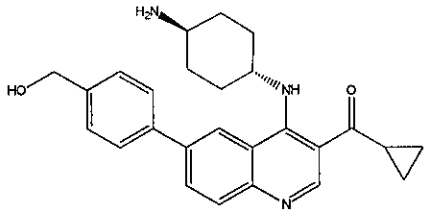
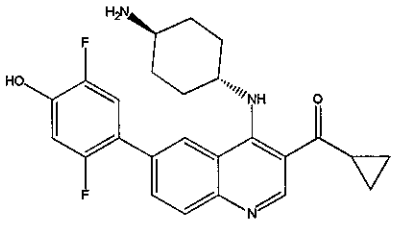
157 b)	 •2HCl	(4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone dihydrochloride	470
158		(4-((trans)-4-aminocyclohexylamino)-6- (3-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	419
159		5-(4-((trans)-4-aminocyclohexylami no)-3- (cyclopropanecarbonyl)quinolin -6-yl)-2-hydroxybenzonitrile	427
160		(4-((trans)-4-aminocyclohexylamino)-6- (2,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	470
161		(4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3,5-dimethylphenyl) quinolin-3-yl)(cyclopropyl) methanone	430
162		(6-(1H-benzo[d]imidazol-5-yl)-4- (2,8-diazaspiro[4.5]decan-8-yl) quinolin-3-yl)(cyclopropyl) methanone	452

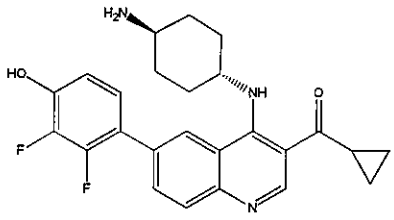
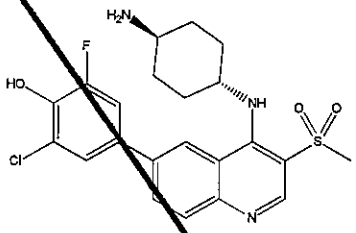
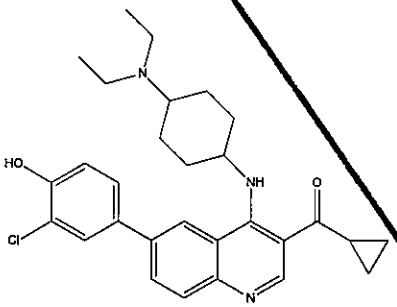
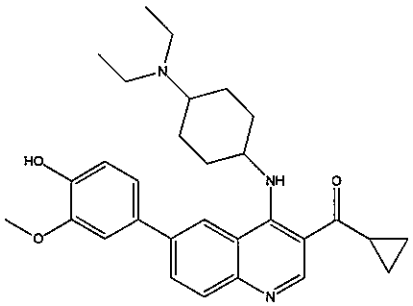
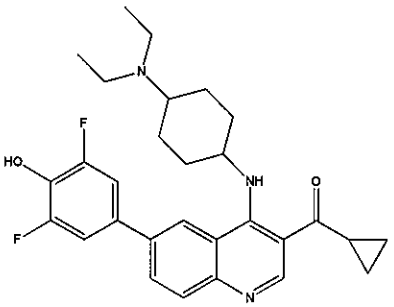
163		(4-((cis)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl) methanone	466
164		5-(4-((cis)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl) pyrimidine-2-carbonitrile	412
165		(4-((cis)-4-aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin -3-yl)(cyclopropyl)methanone	432
166		(4-((cis)-4-aminocyclohexylamino)-6- (1H-benzo[d]imidazol-5-yl) quinolin-3-yl)(cyclopropyl) methanone	426
167		ethyl 6-bromo-4-(dimethylamino) quinoline-3-carboxylate	323
168		ethyl 6-bromo-4-(ethylamino) quinoline-3-carboxylate	323

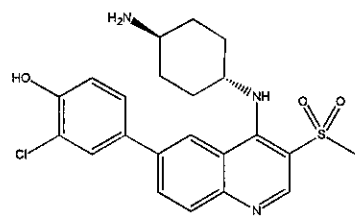
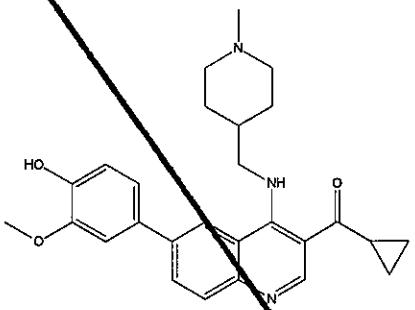
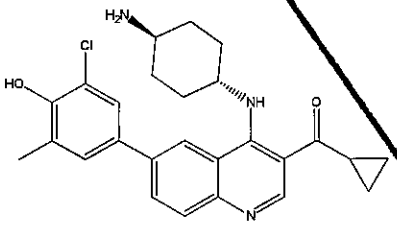
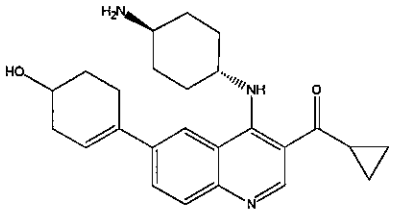
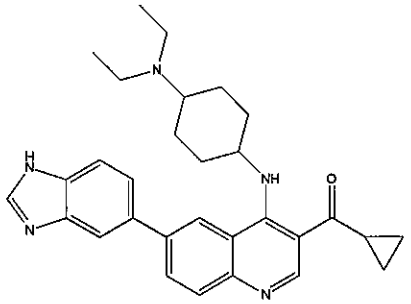
169		(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	450
170		5-(3-(cyclopropanecarbonyl)-4- (1-methylpiperidin-4-ylamino) quinolin-6-yl)pyrimidine-2- carbonitrile	412
171		ethyl 6-bromo-4-(4-((dimethylamino) methyl)phenylamino)quinoline-3- carboxylate	428
172		5-(4-((trans)-4-aminocyclohexylami no)-3- (cyclopropanecarbonyl)quinolin -6-yl)-3-methylpicolinonitrile	426
173		ethyl 6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4- (dimethylamino)quinoline -3-carboxylate	401
174		cyclopropyl(6-(3,5-difluoro -4-hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone	437

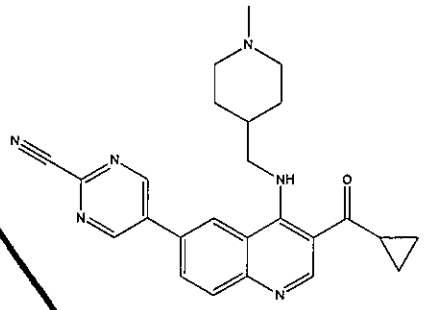
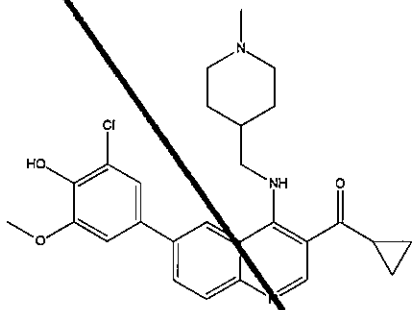
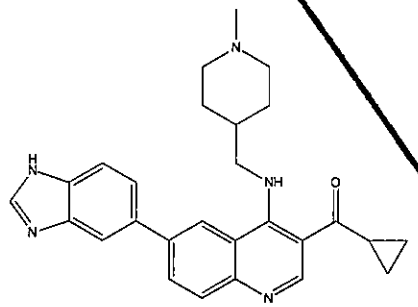
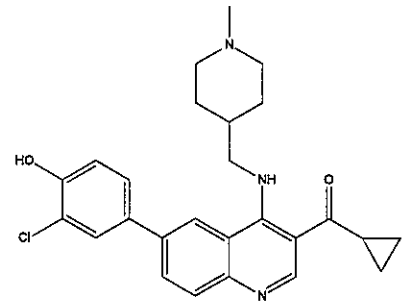
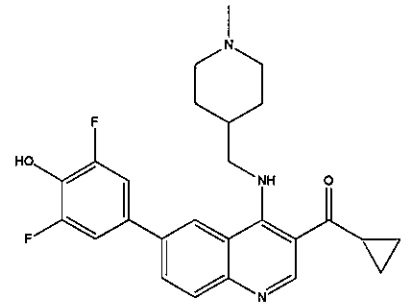
175		cyclopropyl(6-(3,5-dichloro -4-hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone	470
176		(6-(3-chloro-4-hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone	436
177		cyclopropyl(6-(4-hydroxy -3-methoxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone	432
178		5-(4-((trans)-4-aminocyclohexylami no)-3- (cyclopropanecarbonyl)quinolin -6-yl)-1H-benzo[d]imidazol -2(3H)-one	442
179		(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-5-fluoro-4- hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone	454
180		(4-((cis)-4-aminocyclohexylamino)- 6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	437

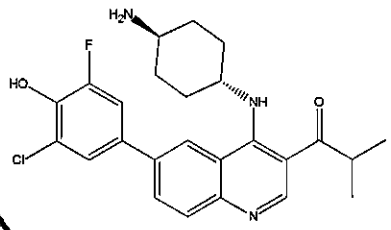
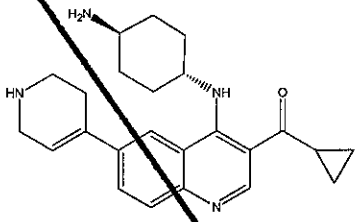
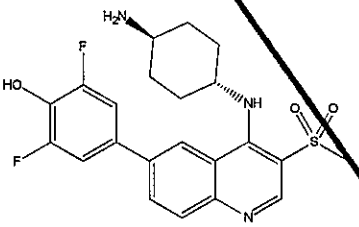
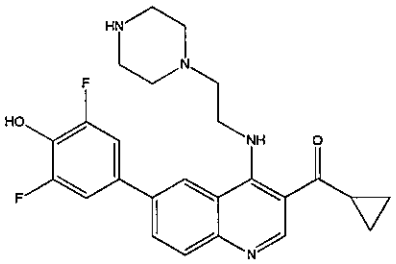
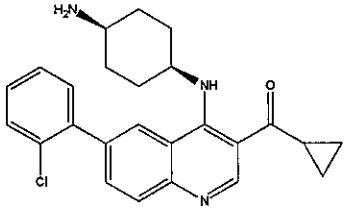
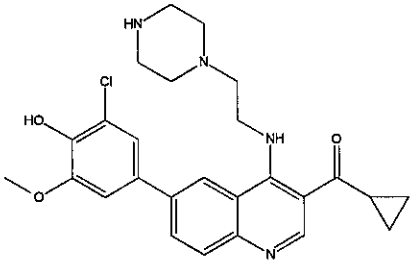
181		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)quinolin-3-yl) methanone	480
182		4-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)-1H-pyrrole-2-carbonitrile	399
183		(4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrrolo[2,3-b]pyridin-5-yl) quinolin-3-yl)(cyclopropyl) methanone	426
184		ethyl 6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(ethylamino) quinoline-3-carboxylate	401
185(a)	 ·HCl	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone hydrochloride	522

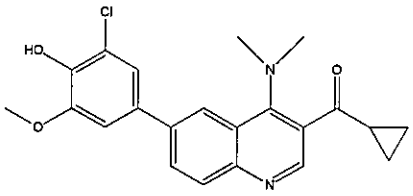
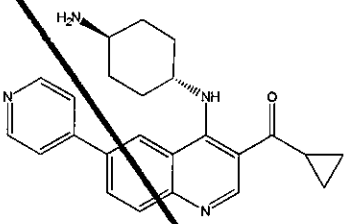
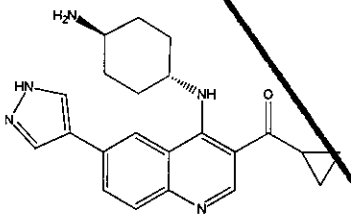
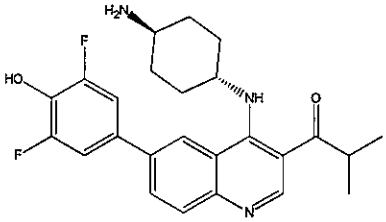
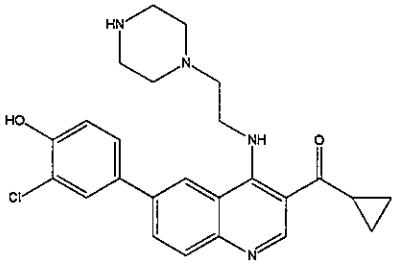
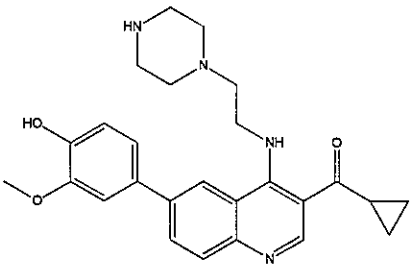
185(b)	 •2HCl	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone dihydrochloride	522
186		5-(3-(cyclopropanecarbonyl)-4-(4-(diethylamino) cyclohexylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	469
187		cyclopropyl(4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-6-(4-hydroxy -3-methoxyphenyl)quinolin-3-yl) methanone	474
188		(4-((trans)-4-aminocyclohexylamino)-6- (4-(hydroxymethyl)phenyl) quinolin-3-yl)(cyclopropyl) methanone	416
189		(4-((trans)-4-aminocyclohexylamino)-6- (2,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	437

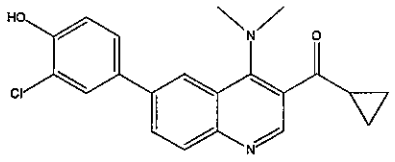
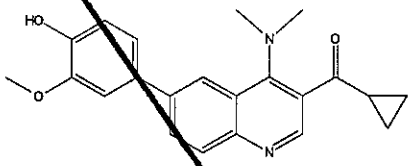
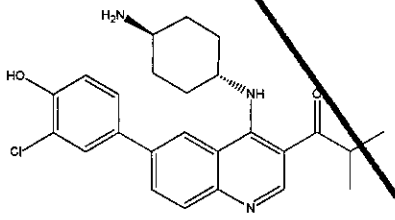
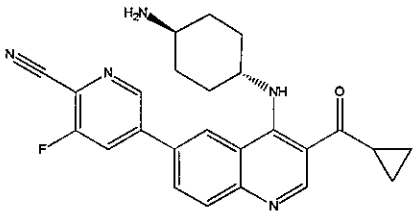
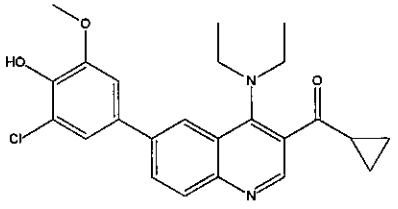
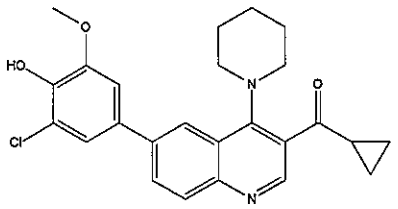
190		(4-((trans)-4-aminocyclohexylamino)-6- (2,3-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	437
191		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) -2-chloro-6-fluorophenol	464
192		(6-(3-chloro-4-hydroxyphenyl) -4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	492
193		cyclopropyl(4-(4-(diethylamino) cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl) methanone	488
194		cyclopropyl(4-(4-(diethylamino) cyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl) methanone	494

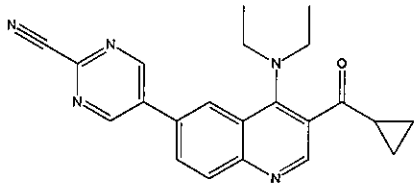
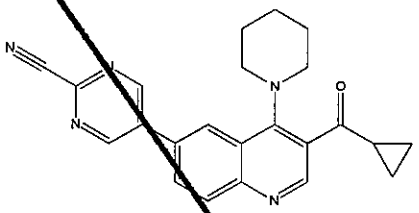
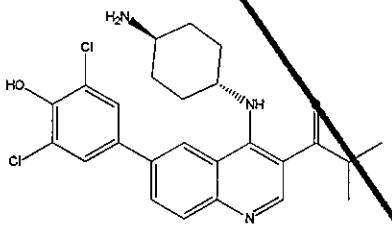
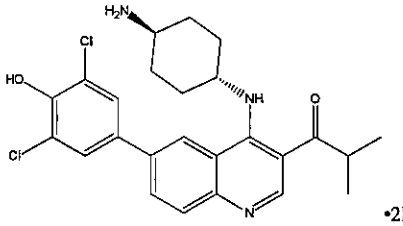
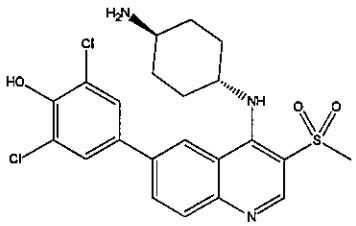
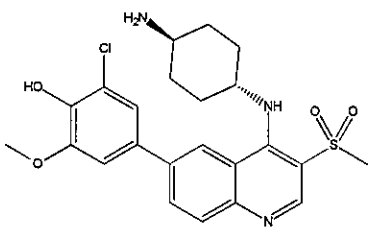
195		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-2-chlorophenol	446
196		cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-((1-methylpiperidin-4-yl)methylamino)quinolin-3-yl)methanone	446
197		(4-((trans)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methylphenyl)quinolin-3-yl)(cyclopropyl)methanone	450
198		(4-((trans)-4-aminocyclohexylamino)-6-(4-hydroxycyclohex-1-enyl)quinolin-3-yl)(cyclopropyl)methanone	406
199		(6-(1H-benzo[d]imidazol-5-yl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	482

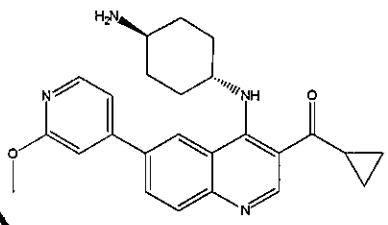
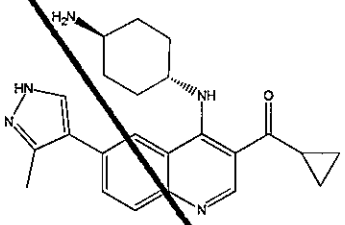
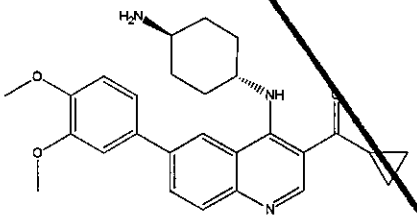
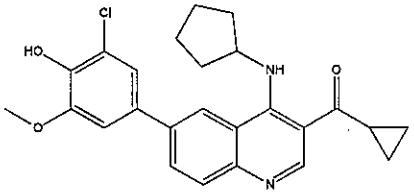
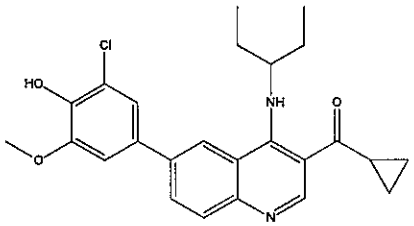
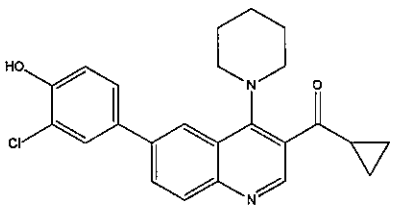
200		5-(3-(cyclopropanecarbonyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	427
201		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	480
202		(6-(1H-benzo[d]imidazol-5-yl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	440
203		(6-(3-chloro-4-hydroxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	450
204		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) methanone	452

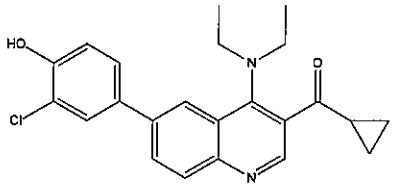
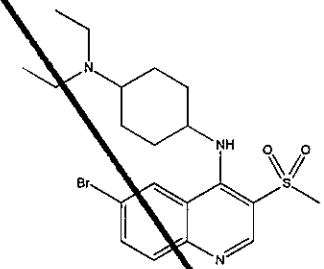
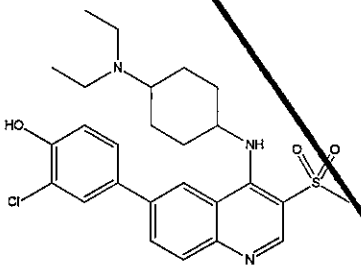
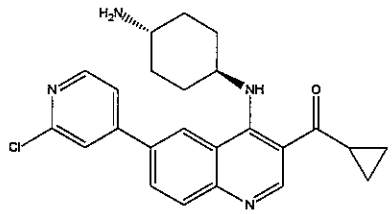
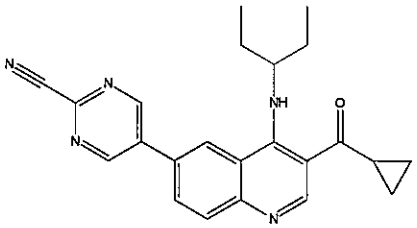
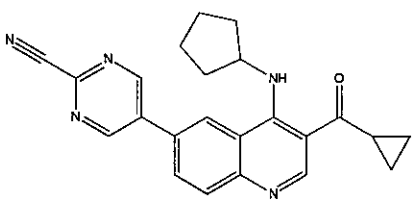
205		1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) -2-methylpropan-1-one	456
206		(4-((trans)-4-aminocyclohexylamino)-6- (1,2,3,6-tetrahydropyridin-4-yl) quinolin-3-yl)(cyclopropyl) methanone	391
207		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) -2,6-difluorophenol	447
208		Cyclopropy l(6-(3,5-difluoro-4-hydroxyphenyl) -4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)methanone	452
209		(4-((cis)-4-aminocyclohexylamino)-6- (2-chlorophenyl)quinolin-3-yl) (cyclopropyl)methanone	420
210		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)(cyclopropyl) methanone	481

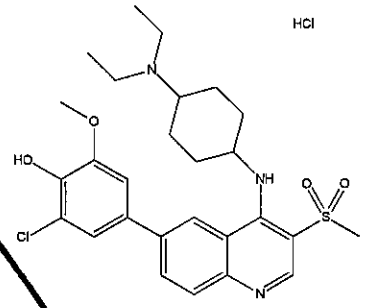
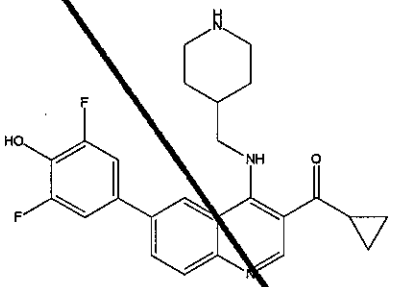
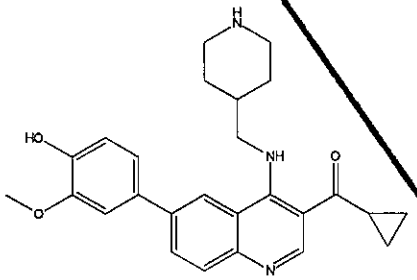
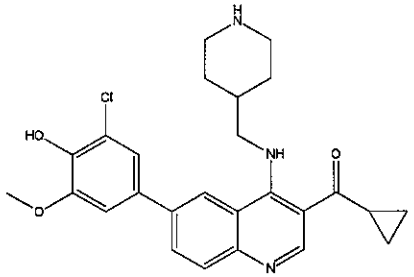
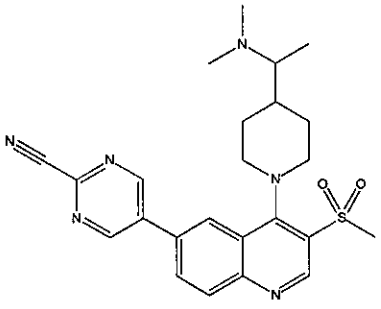
211		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(dimethylamino)quinolin-3-yl)(cyclopropyl)methanone	397
212		(4-((trans)-4-aminocyclohexylamino)-6- (pyridin-4-yl)quinolin-3-yl)(cyclopropyl)methanone	386
213		(4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrazol-4-yl)quinolin-3-yl)(cyclopropyl)methanone	375
214		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan-1-one	439
215		(6-(3-chloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)(cyclopropyl) methanone	451
216		cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)methanone	447

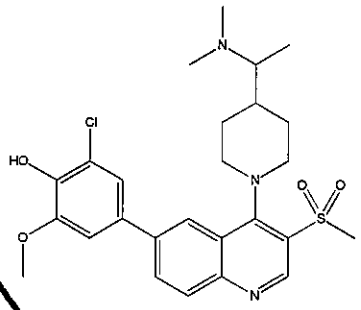
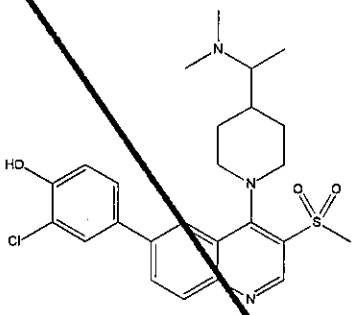
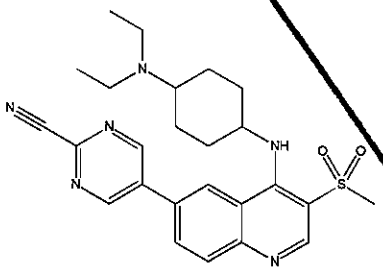
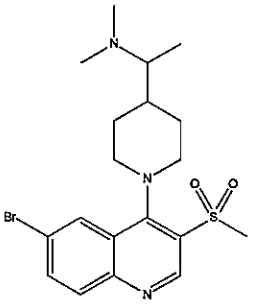
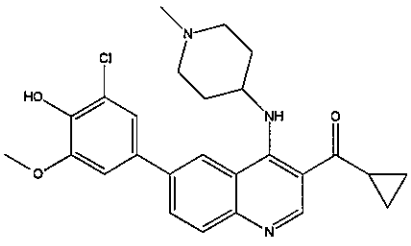
217		(6-(3-chloro-4-hydroxyphenyl)-4-(dimethylamino)quinolin-3-yl)(cyclopropyl)methanone	367
218		cyclopropyl(4-(dimethylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	362
219		1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan-1-one	438
220		5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)-3-fluoropicolinonitrile	429
221		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(diethylamino) quinolin-3-yl)(cyclopropyl) methanone	425
222		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone	437

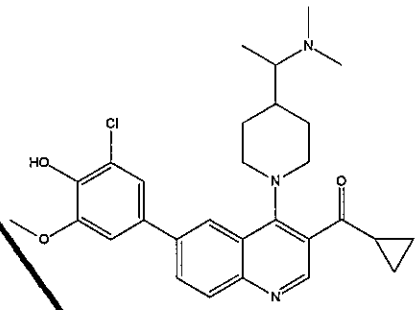
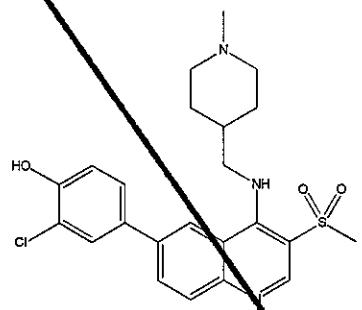
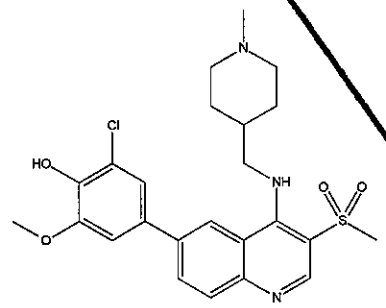
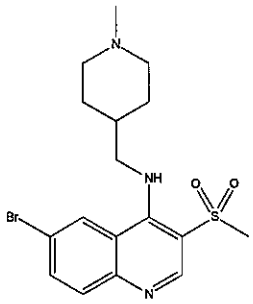
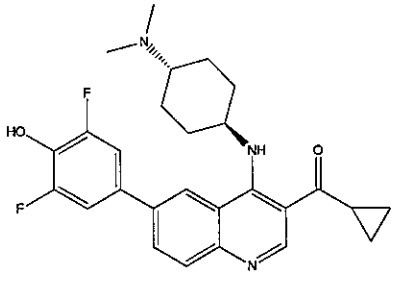
223		5-(3-(cyclopropanecarbonyl)-4-(diethylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	371
224		5-(3-(cyclopropanecarbonyl)-4-(piperidin-1-yl)quinolin-6-yl) pyrimidine-2-carbonitrile	383
225(a)		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan-1-one	472
225(b)		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan-1-one dihydrochloride	472
226		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) -2,6-dichlorophenol	480
227		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) -2-chloro-6-methoxyphenol	476

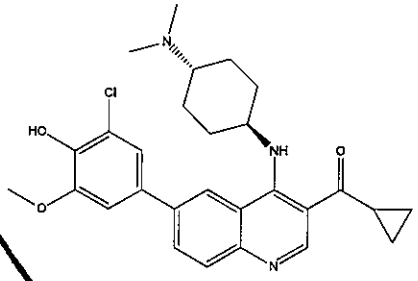
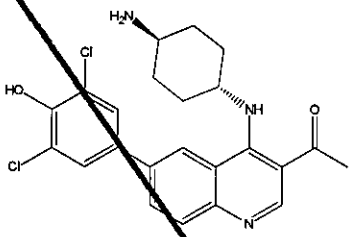
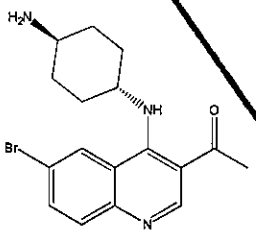
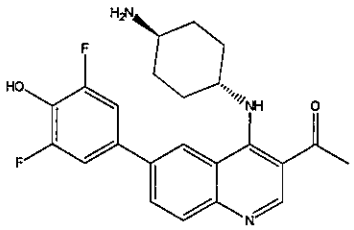
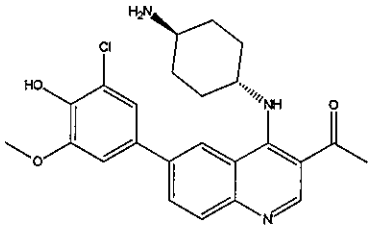
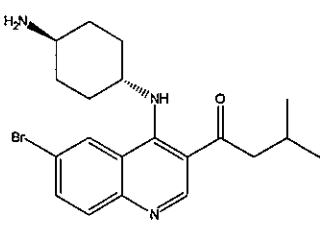
228		(4-((trans)-4-aminocyclohexylamino)-6-(2-methoxypyridin-4-yl)quinolin-3-yl)(cyclopropyl)methanone	417
229		(4-((trans)-4-aminocyclohexylamino)-6- (3-methyl-1H-pyrazol-4-yl) quinolin-3-yl)(cyclopropyl) methanone	389
230		(4-((trans)-4-aminocyclohexylamino)-6- (3,4-dimethoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	446
231		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(cyclopentylamino)quinolin-3-yl) (cyclopropyl)methanone	437
232		(6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(pentan-3-ylamino)quinolin-3-yl) (cyclopropyl)methanone	439
233		(6-(3-chloro-4-hydroxyphenyl)-4-(piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	407

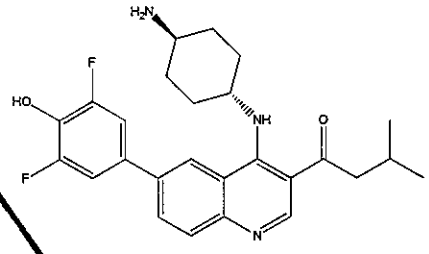
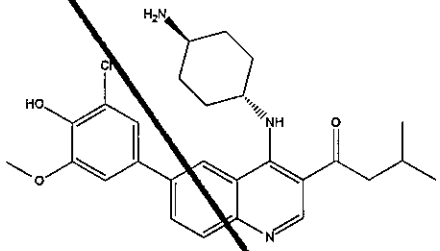
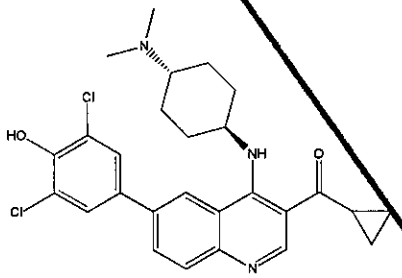
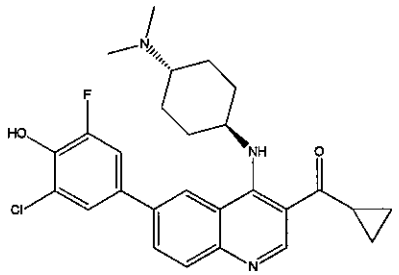
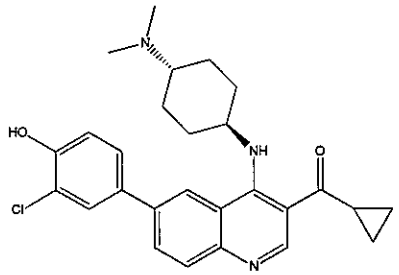
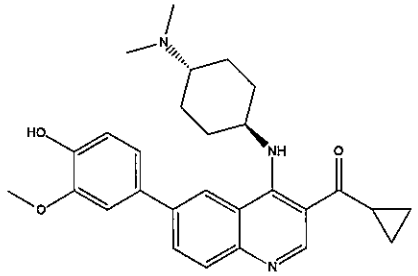
234		(6-(3-chloro-4-hydroxyphenyl)-4-(diethylamino)quinolin-3-yl)(cyclopropyl)methanone	395
235		N1-(6-bromo-3-(methylsulfonyl)quinolin-4-yl)-N4,N4-diethylcyclohexane-1,4-diamine	454
236		2-chloro-4-(4-(4-(diethylamino)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	502
237		(4-((trans)-4-aminocyclohexylamino)-6-(2-chloropyridin-4-yl)quinolin-3-yl)(cyclopropyl)methanone	421
238		5-(3-(cyclopropanecarbonyl)-4-(pentan-3-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	385
239		5-(4-(cyclopentylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyrimidine-2-carbonitrile	383

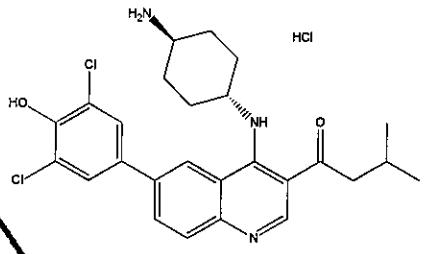
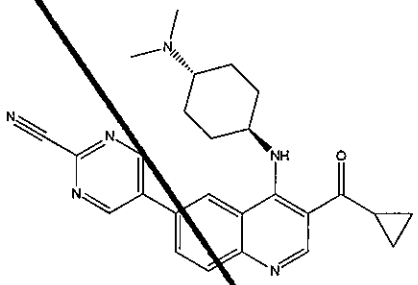
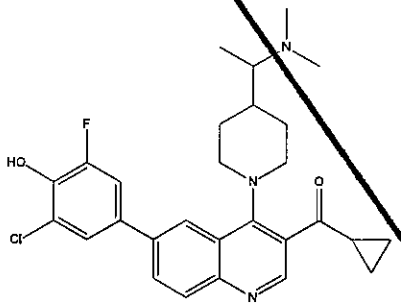
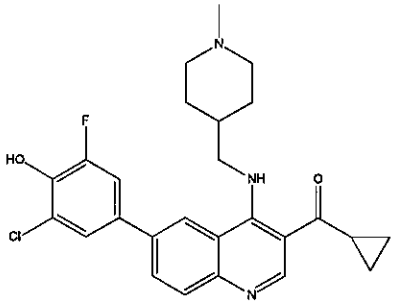
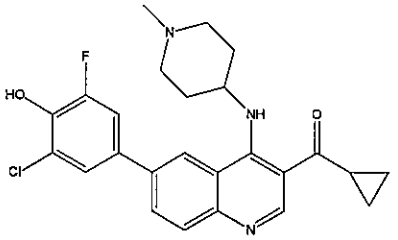
240		2-chloro-4-(4-(4-(diethylamino) cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol dihydrochloride	532
241		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone	437
242		cyclopropyl(6-(4-hydroxy -3-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone	432
243		(6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) (cyclopropyl)methanone	466
244		5-(4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)-3-(methylsulfonyl) quinolin-6-yl)pyrimidine -2-carbonitrile	465

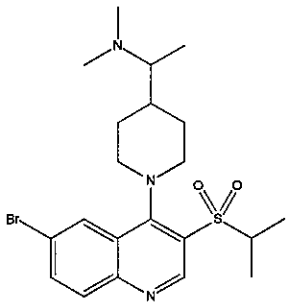
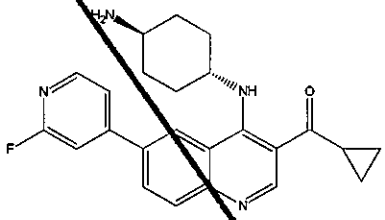
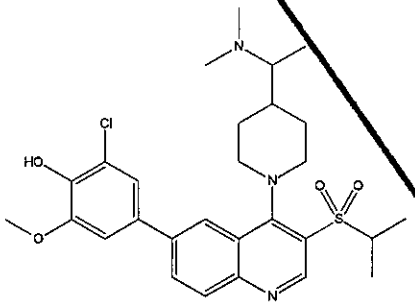
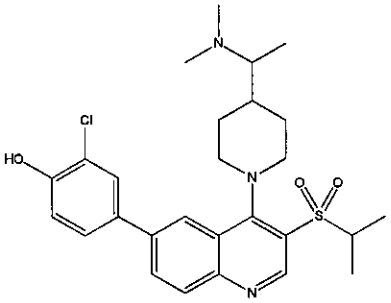
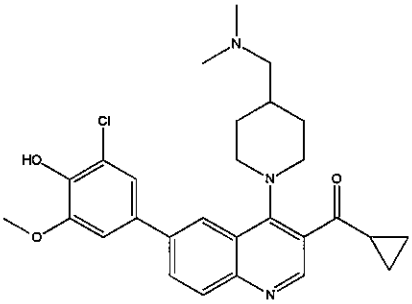
245		2-chloro-4-(4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)-3-(methylsulfonyl) quinolin-6-yl)-6-methoxyphenol	518
246		2-chloro-4-(4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)-3-(methylsulfonyl) quinolin-6-yl)phenol	488
247		5-(4-(4-(diethylamino) cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) pyrimidine-2-carbonitrile	479
248		1-(1-(6-bromo-3-(methylsulfonyl) quinolin-4-yl)piperidin-4-yl) -N,N-dimethylethanamine	440
249		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone	466

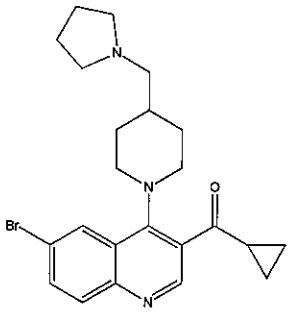
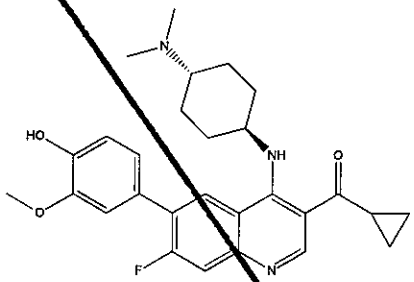
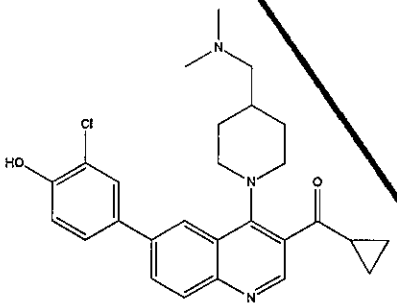
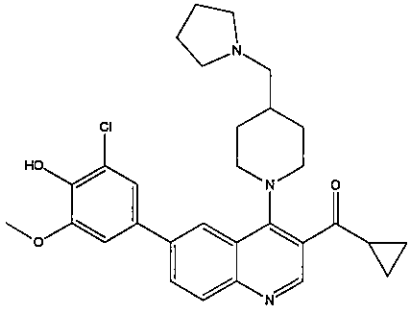
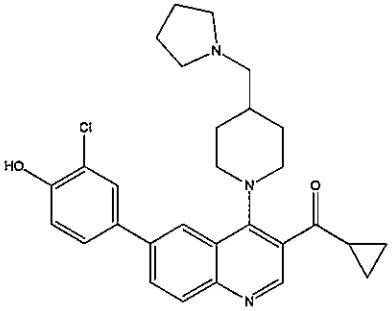
250		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl) methanone	508
251		2-chloro-4-(4-((1-methylpiperidin-4-yl)methylamino)-3-(methylsulfonyl)quinolin-6-yl) phenol	460
252		2-chloro-6-methoxy-4-(4-((1-methylpiperidin-4-yl) methylamino)-3-(methylsulfonyl) quinolin-6-yl)phenol	490
253		6-bromo-N-((1-methylpiperidin-4-yl)methyl)-3-(methylsulfonyl) quinolin-4-amine	412
254		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone	466

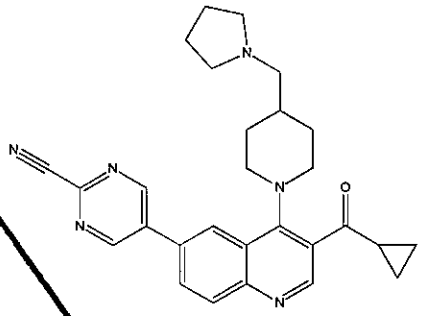
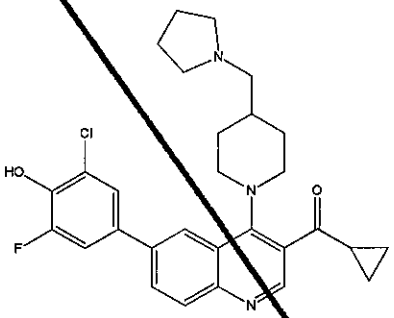
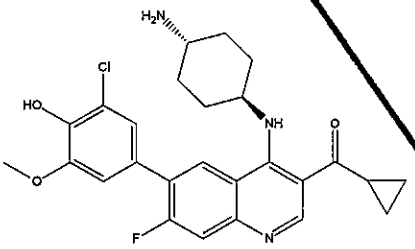
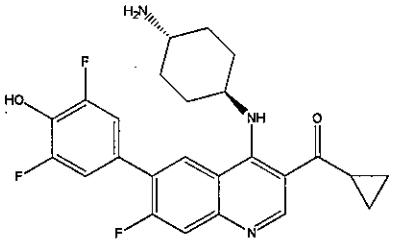
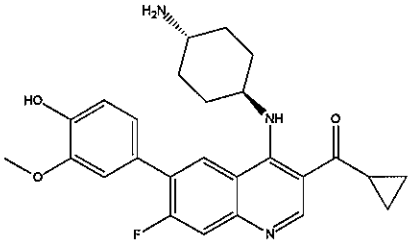
255		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	494
256		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone	444
257		1-(4-((trans)-4-aminocyclohexylamino)-6- bromoquinolin-3-yl)ethanone	362
258		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone	411
259		1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) ethanone	440
260		1-(4-((trans)-4-aminocyclohexylamino)-6- bromoquinolin-3-yl)-3-methylbutan-1-one	404

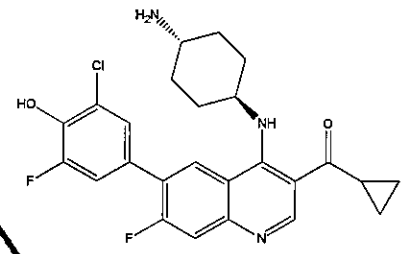
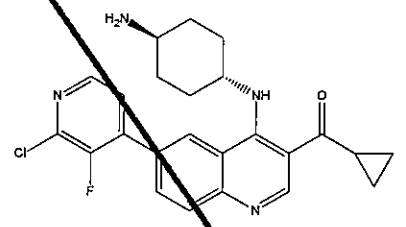
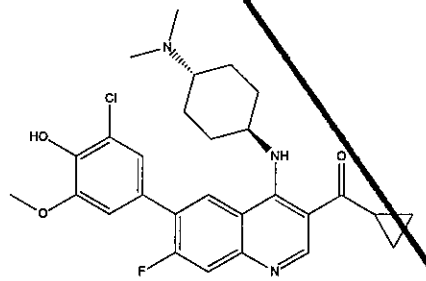
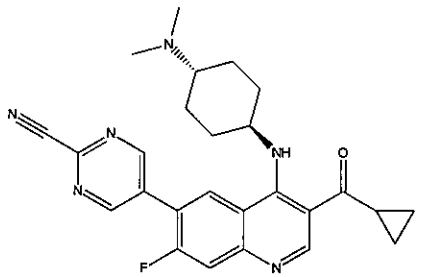
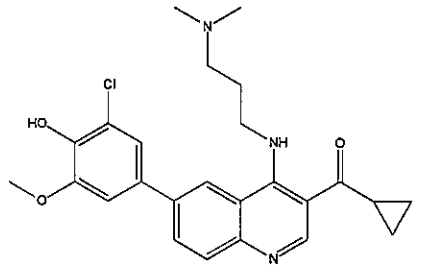
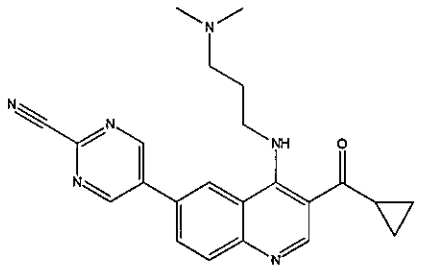
261		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-3- methylbutan-1-one	454
262		1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) -3-methylbutan-1-one	482
263		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone	498
264		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	482
265		(6-(3-chloro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	464
266		cyclopropyl(4-((trans)-4-(dimethylamino)cyclohexylamino) -6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	460

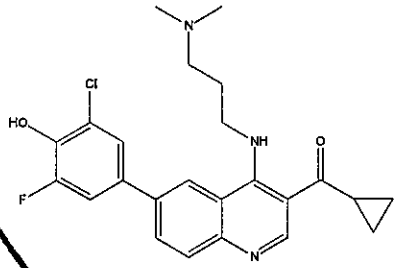
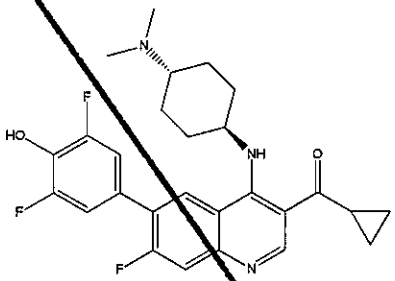
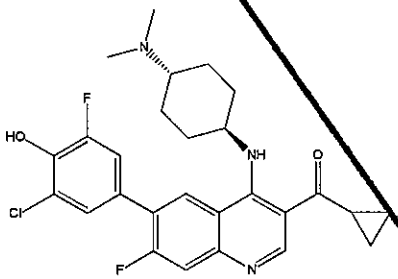
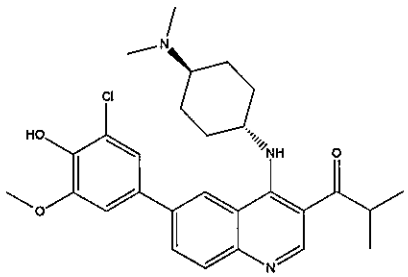
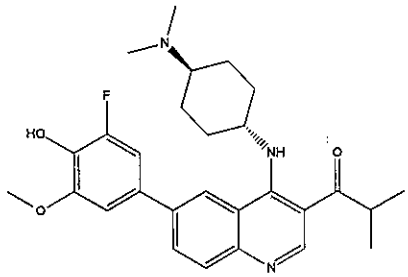
267		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-3-methylbutan -1-one dihydrochloride	486
268		5-(3-(cyclopropanecarbonyl)-4-((trans)-4-(dimethylamino) cyclohexylamino)quinolin -6-yl)pyrimidine-2-carbonitrile	441
269		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl) methanone	496
270		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	468
271		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone	454

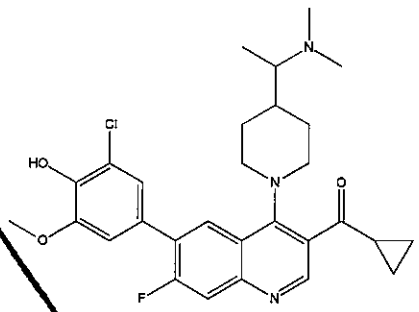
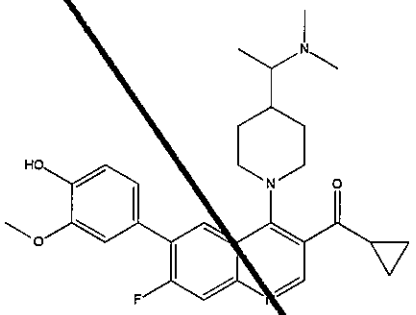
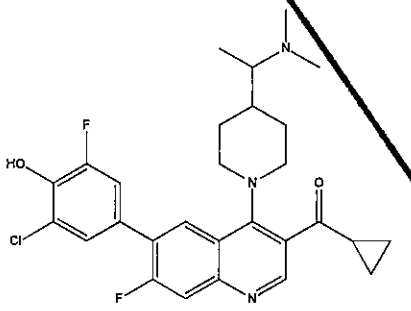
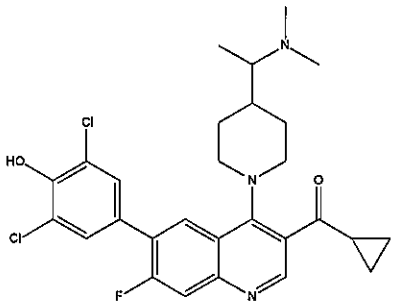
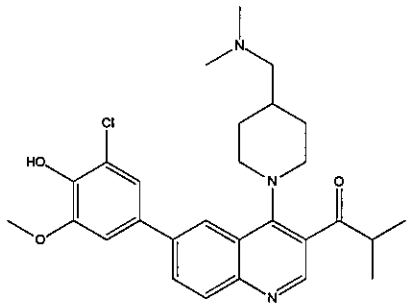
272		1-(1-(6-bromo-3-(isopropylsulfonyl)quinolin-4-yl) piperidin-4-yl) -N,N-dimethylethanamine	468
273		(4-((trans)-4-aminocyclohexylamino)-6- (2-fluoropyridin-4-yl)quinolin -3-yl)(cyclopropyl)methanone	404
274		2-chloro-4-(4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-3-(isopropylsulfonyl) quinolin-6-yl)-6-methoxyphenol	546
275		2-chloro-4-(4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-3-(isopropylsulfonyl) quinolin-6-yl)phenol	516
276		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl) methanone	494

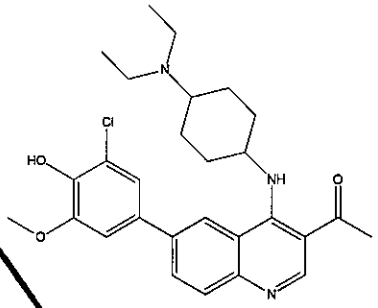
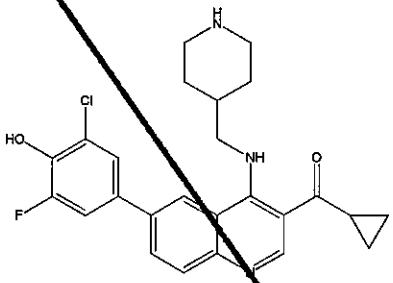
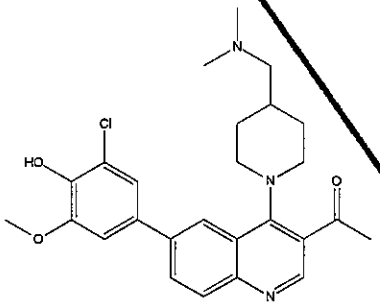
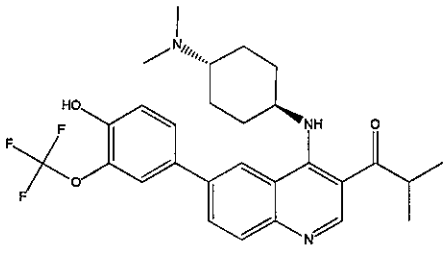
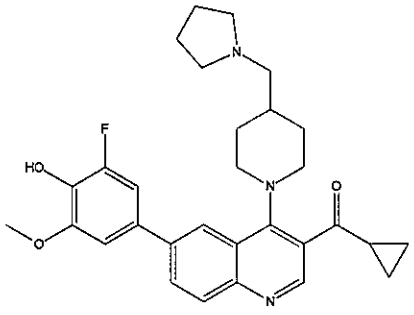
277		(6-bromo-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	442
278		cyclopropyl(4-((trans)-4-(dimethylamino)cyclohexylamino)-7-fluoro-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl)methanone	478
279		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	464
280		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	520
281		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	490

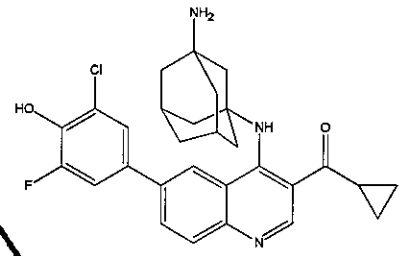
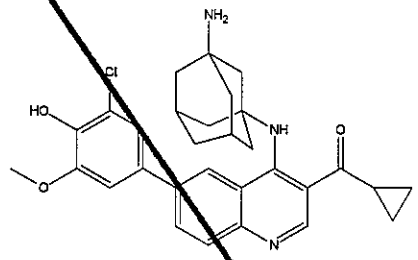
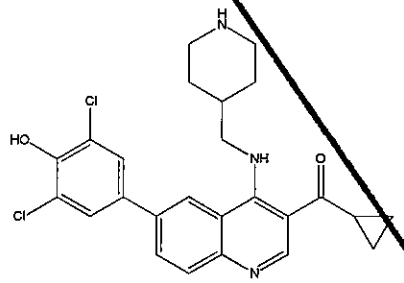
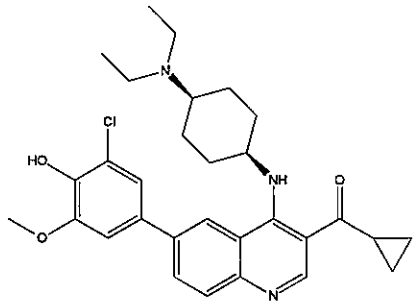
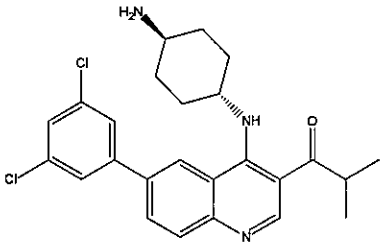
282		5-(3-(cyclopropanecarbonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)pyrimidine-2-carbonitrile	467
283		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	508
284		(4-((trans)-4-aminocyclohexylamino)-6-(3- chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	484
285		(4-((trans)-4-aminocyclohexylamino)-6-(3,5- difluoro-4-hydroxy phenyl)-7- fluoroquinolin-3-yl) (cyclopropyl) methanone	455
286		(4-((trans)-4-aminocyclohexylamino)-7-fluoro-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	450

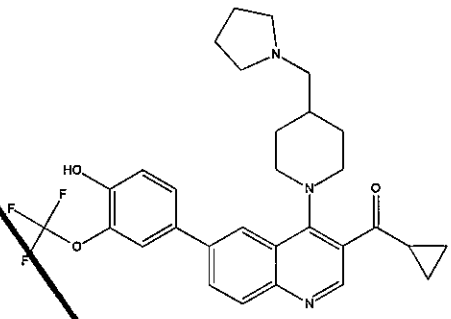
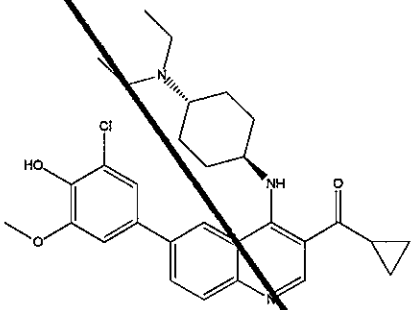
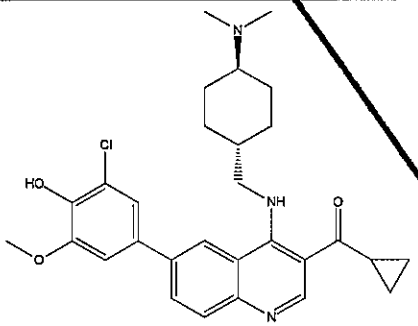
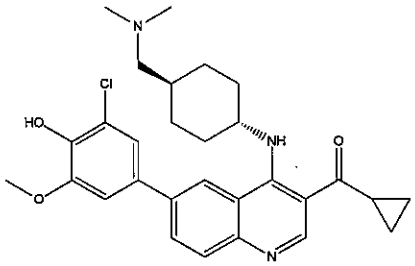
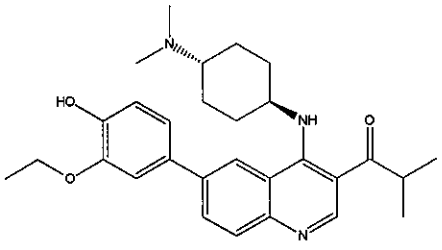
287		(4-((trans)-4-aminocyclohexylamino)-6-(3- chloro-5-fluoro-4-hydroxy phenyl) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone	472
288		(4-((trans)-4-aminocyclohexylamino)-6- (2-chloro-3-fluoropyridin-4-yl) quinolin-3-yl)(cyclopropyl) methanone	439
289		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone	512
290		5-(3-(cyclopropanecarbonyl)-4-((trans)-4-(dimethylamino) cyclo hexylamino)-7- fluoroquinolin-6-yl) pyrimidine-2- carbonitrile	459
291		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(dimethylamino)propylamino) quinolin-3-yl)(cyclopropyl) methanone	454
292		5-(3-(cyclopropanecarbonyl)-4-(3-(dimethylamino)propylamino) quinolin-6-yl)pyrimidine -2-carbonitrile	400

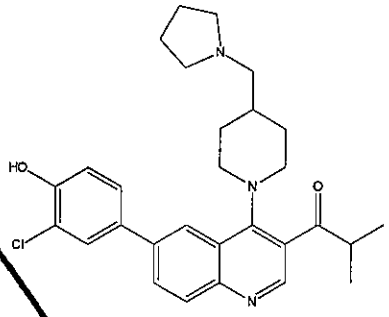
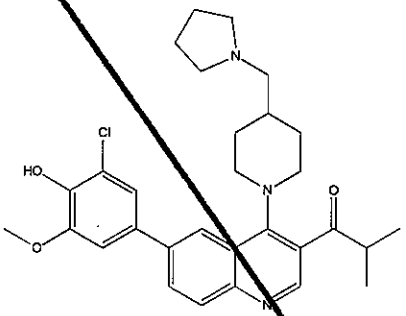
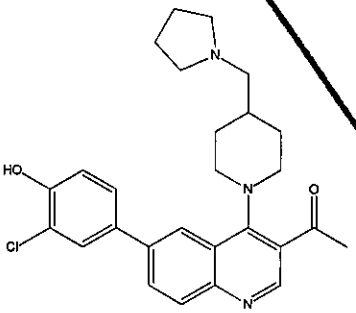
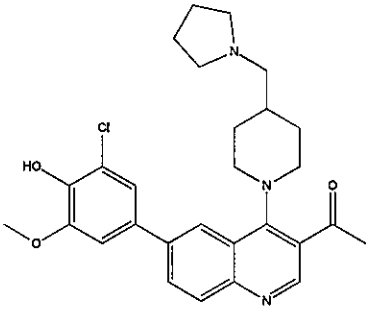
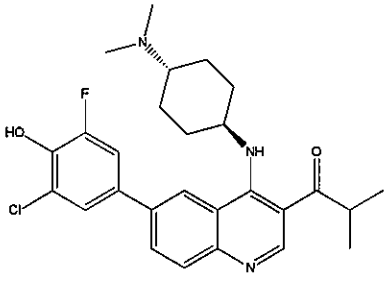
293		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(dimethylamino)propylamino) quinolin-3-yl)(cyclopropyl) methanone	442
294		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl)methanone	484
295		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone	500
296		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-2-methylpropan -1-one	496
297		1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(3-fluoro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)-2-methylpropan -1-one	480

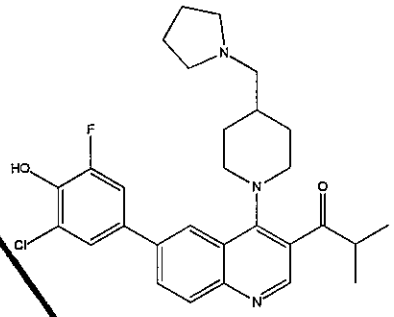
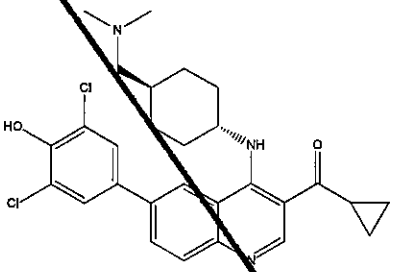
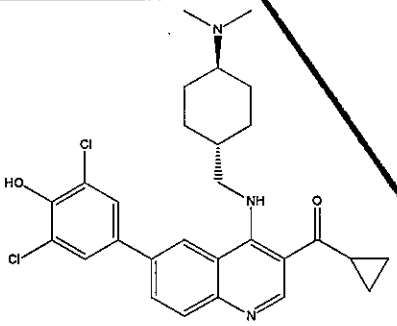
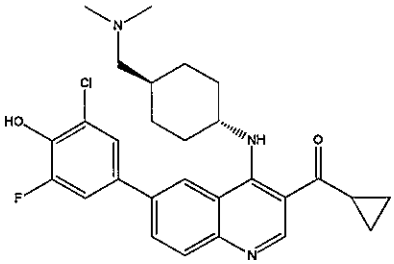
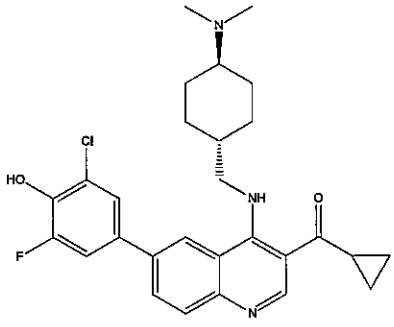
298		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	526
299		cyclopropyl(4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)-7-fluoro-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl)methanone	492
300		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	514
301		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)-7-fluoroquinolin-3-yl)methanone	530
302		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)-2-methylpropan-1-one	496

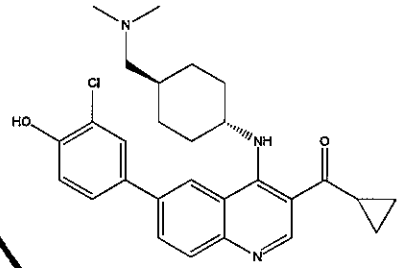
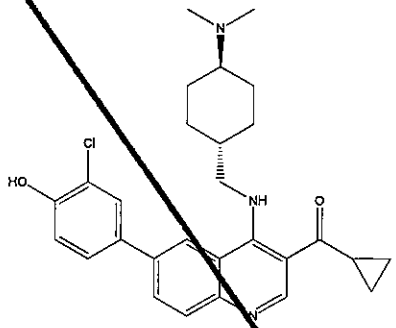
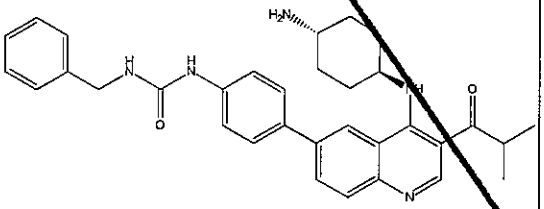
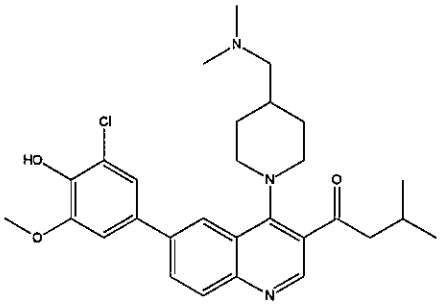
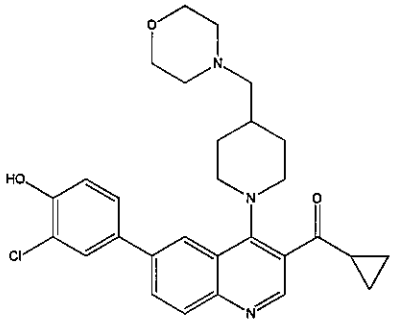
303		1-(6-(3-chloro-4-hydroxy-5-methoxy phenyl)-4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) ethanone	496
304		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) (cyclopropyl)methanone	454
305		1-(6-(3-chloro-4-hydroxy-5-methoxy phenyl)-4-(4-((dimethylamino) methyl) piperidin-1-yl)quinolin-3-yl) ethanone	468
306		1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(4-hydroxy-3-(trifluoromethoxy)phenyl) quinolin-3-yl)-2-methylpropan-1-one	516
307		cyclopropyl(6-(3-fluoro-4-hydroxy -5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)methanone	504

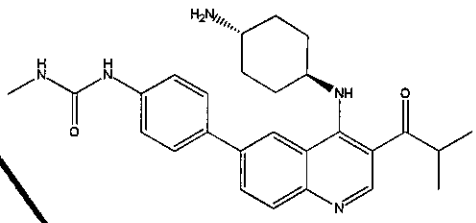
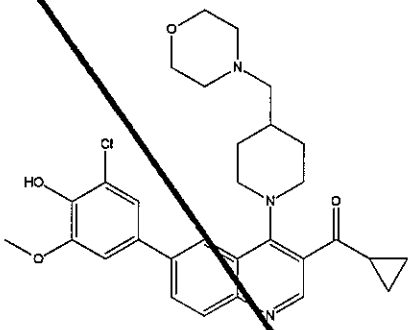
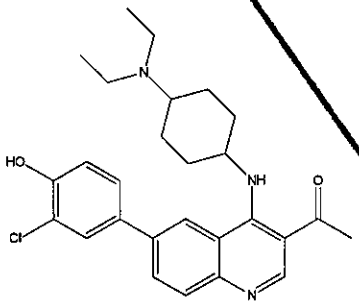
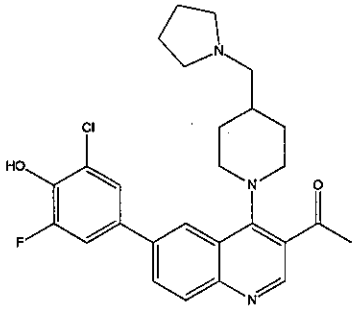
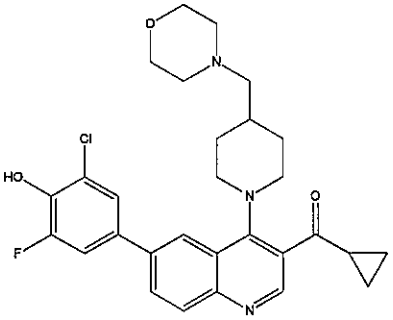
308		{6-(3-Chloro-5-fluoro-4 -hydroxyphenyl)-4-[(3-amino) adamantylamino]quinolin-3-yl} (cyclopropyl)methanone	506
309		{6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-[(3-amino) adamantylamino]quinolin-3-yl} (cyclopropyl)methanone	518
310		cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone	470
311		(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((cis)-4- (diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	522
312		1-(4-((trans)-4-aminocyclohexyl amino)-6- (3,5-dichloro phenyl)quinolin-3-yl) -2-methylpropan-1-one	456

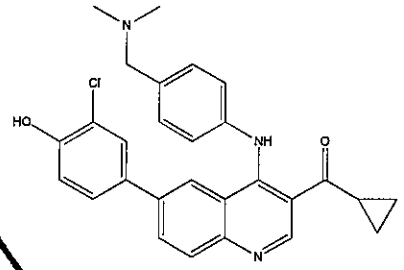
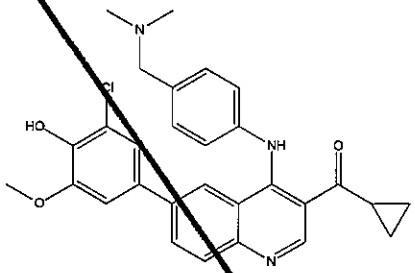
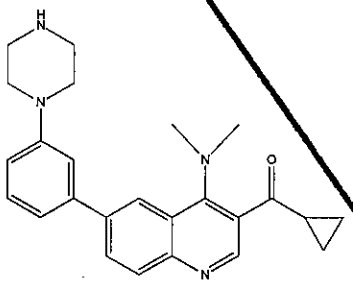
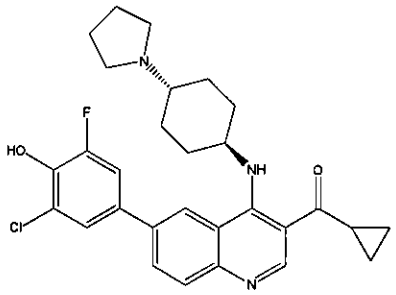
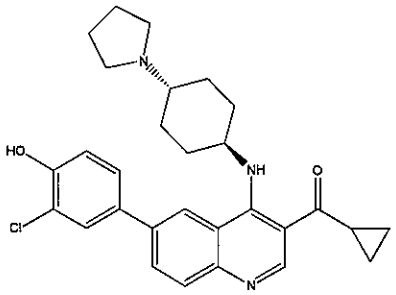
313		cyclopropyl(6-(4-hydroxy-3-(trifluoromethoxy)phenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3-yl)methanone	540
314		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	522
315		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	508
316		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	508
317		1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(3-ethoxy-4-hydroxyphenyl)quinolin-3-yl)-2-methylpropan-1-one	476

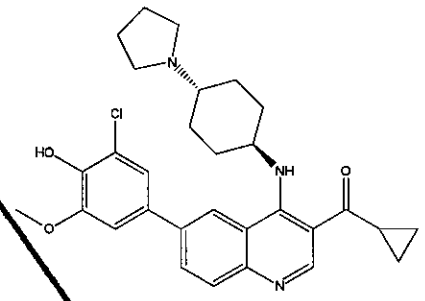
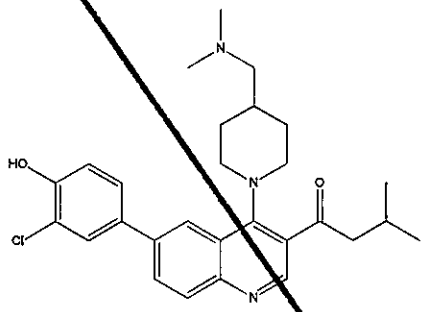
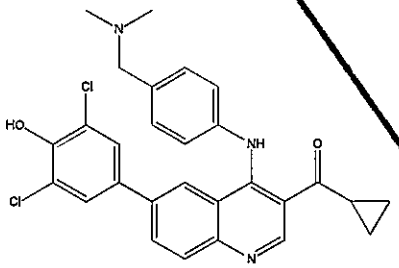
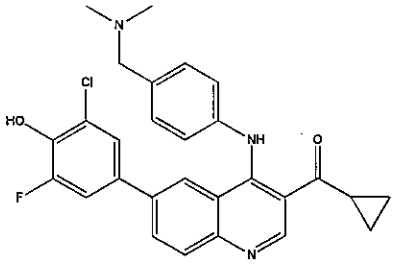
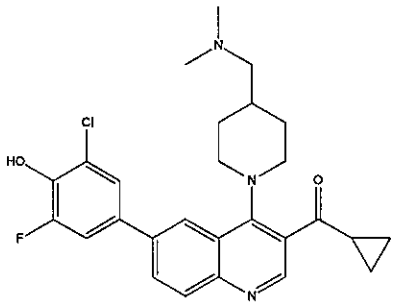
318		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl) piperidin-1-yl)quinolin-3-yl) -2-methylpropan-1-one	492
319		1-(6-(3-chloro-4-hydroxy-5 -methoxyphenyl)-4-(4- (pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)-2- methylpropan-1-one	522
320		1-(6-(3-chloro-4-hydroxyphenyl)-4 -(4-(pyrrolidin-1-ylmethyl) piperidin-1-yl)quinolin-3-yl) ethanone	464
321		1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4- (pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)ethanone	494
322		1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4- (dimethylamino)cyclohexylamino) quinolin-3-yl)-2-methylpropan -1-one	484

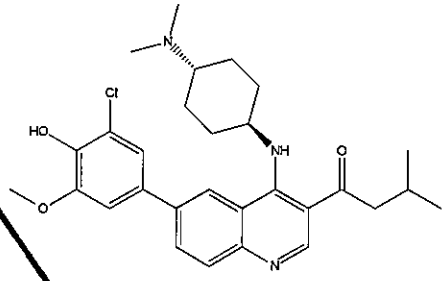
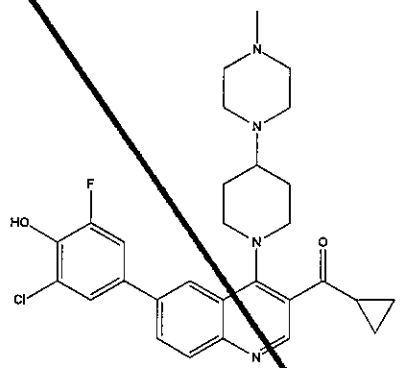
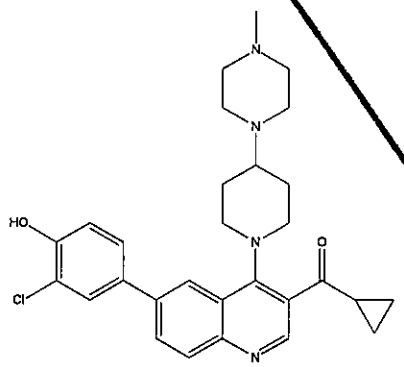
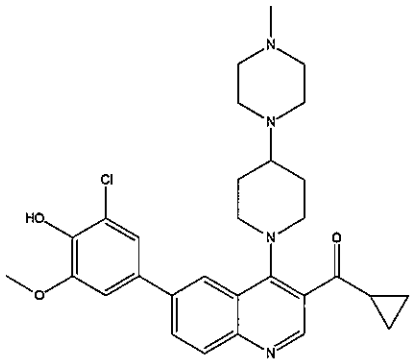
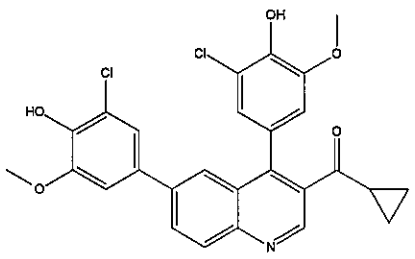
323		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3-yl)-2-methylpropan-1-one	510
324		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) methanone	512
325		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) methanone	512
326		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	496
327		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	496

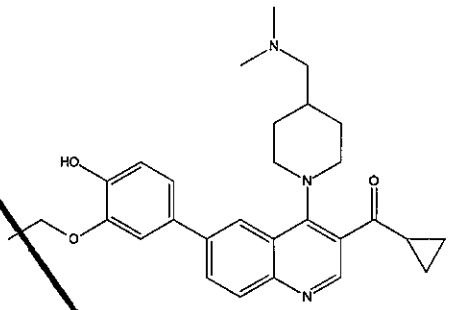
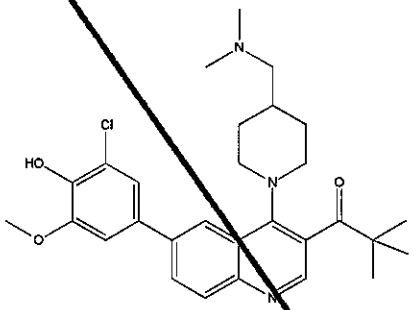
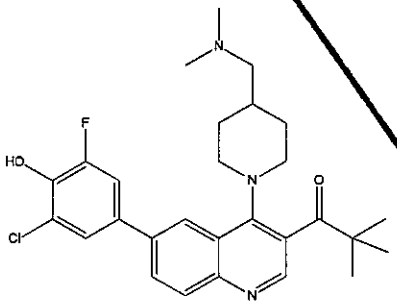
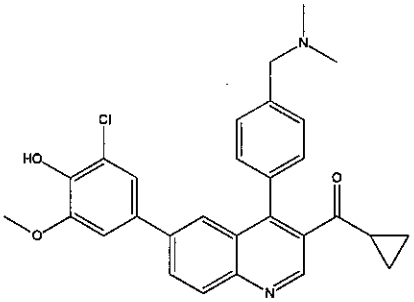
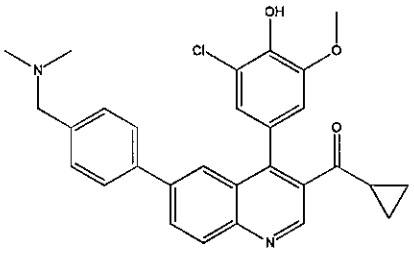
328		(6-(3-chloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	478
329		(6-(3-chloro-4-hydroxyphenyl)-4-(((trans)-4-(dimethylamino) cyclohexyl)methylamino) quinolin-3-yl)(cyclopropyl) methanone	478
330		1-(4-(4-((trans)-4-aminocyclohexyl amino)-3- isobutyryl quinolin-6-yl)phenyl)-3- benzylurea	536
331		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -3-methylbutan-1-one	510
332		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)piperidin -1-yl)quinolin-3-yl) (cyclopropyl)methanone	506

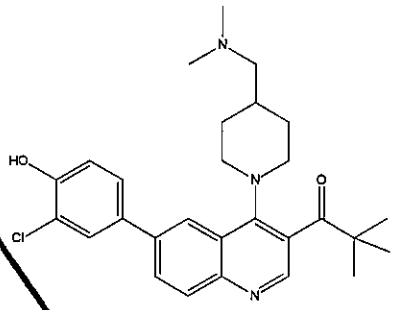
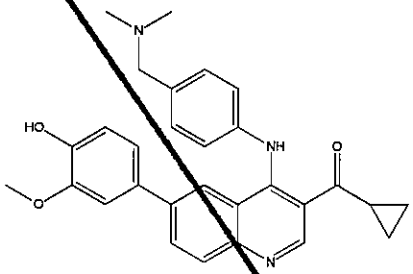
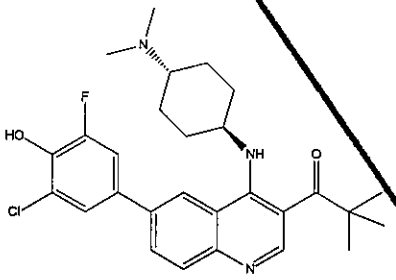
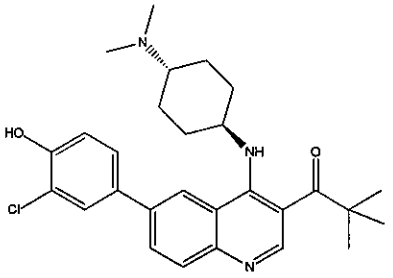
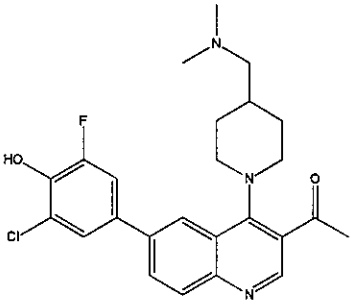
333		1-(4-(4-((trans)-4-aminocyclohexyl amino)-3- isobutyrylquinolin-6-yl) phenyl) -3-methylurea	460
334		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone	536
335		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-(diethylamino) cyclohexyl amino)quinolin-3-yl) ethanone	466
336		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-3-yl)ethanone	482
337		(6-(3-chloro-5-fluoro-4-hydroxyl phenyl)-4-(4- (morpholinomethyl) piperidin-1-yl) quinolin-3-yl) (cyclopropyl) methanone	524

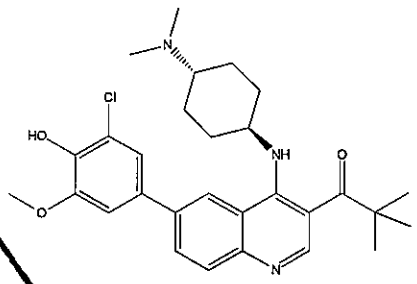
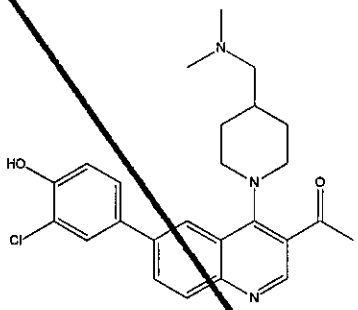
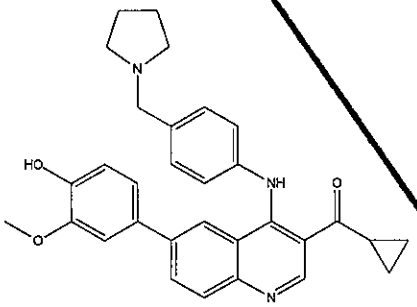
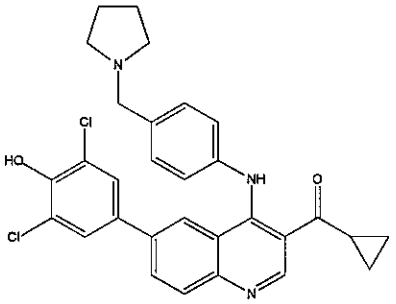
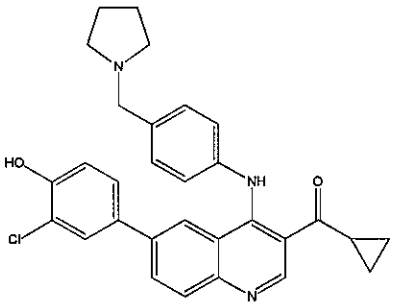
338		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	472
339		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	502
340		cyclopropyl(4-(dimethylamino)-6-(3-(piperazin-1-yl)phenyl) quinolin-3-yl)methanone	401
341		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	508
342		(6-(3-chloro-4-hydroxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	490

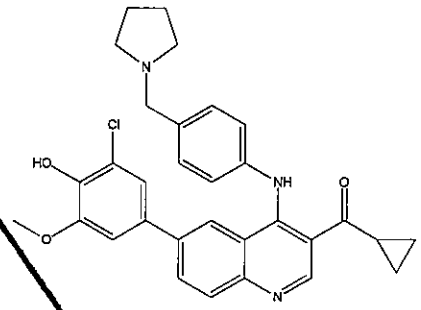
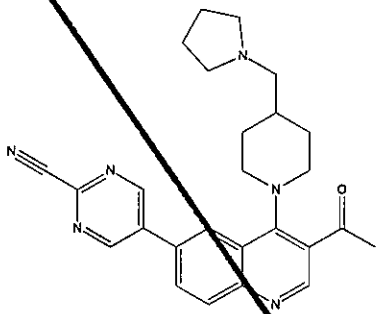
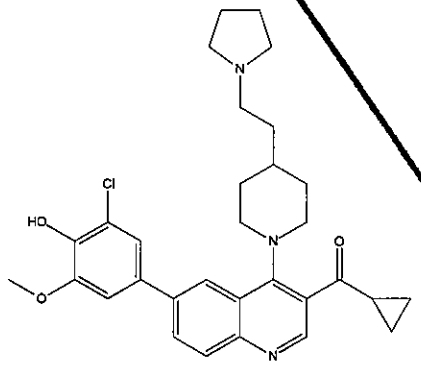
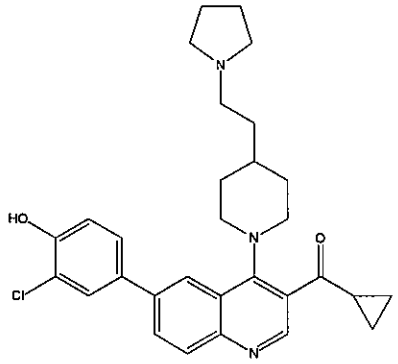
343		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	520
344		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -3-methylbutan-1-one	480
345		cyclopropyl(6-(3,5-dichloro -4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) methanone	506
346		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	490
347		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	482

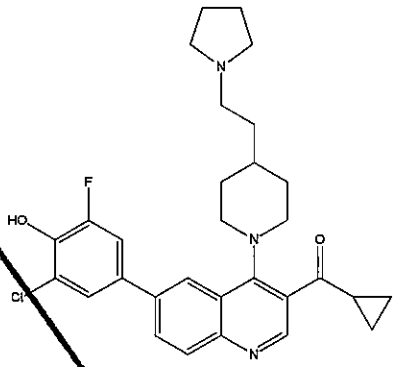
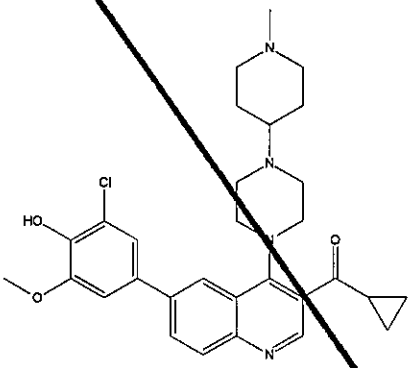
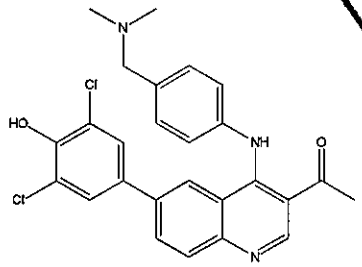
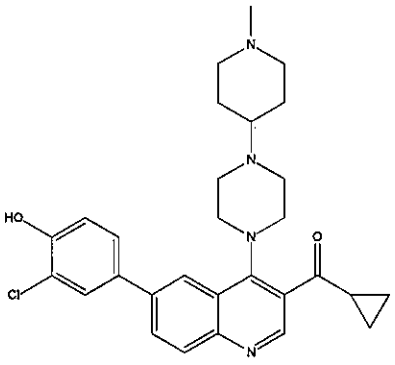
348		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-3-methylbutan -1-one	510
349		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	523
350		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	505
351		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	535
352		(4,6-bis(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) (cyclopropyl)methanone	510

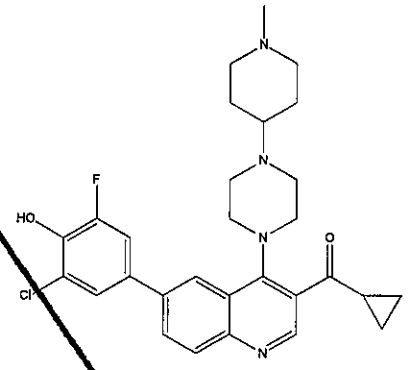
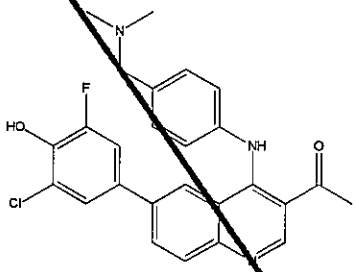
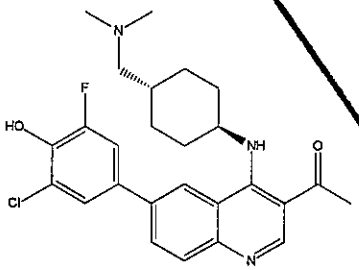
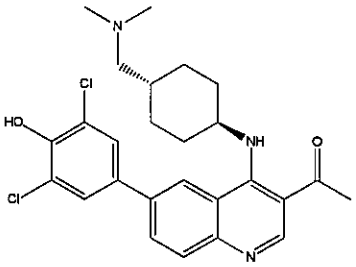
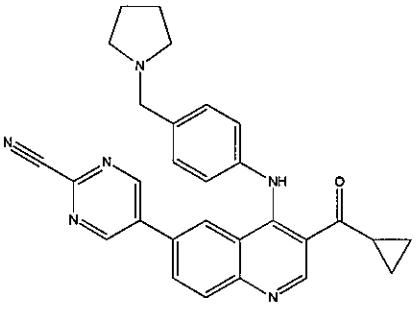
353		cyclopropyl(4-(4-((dimethylamino) methyl)piperidin-1-yl)-6-(3-ethoxy-4-hydroxyphenyl) quinolin-3-yl)methanone	474
354		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)piperidin -1-yl)quinolin-3-yl)-2,2-dimethylpropan-1-one	510
355		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -2,2-dimethylpropan-1-one	498
356		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenyl) quinolin-3-yl)(cyclopropyl) methanone	487
357		(4-(3-chloro-4-hydroxy-5-methoxyphenyl)-6-(4-((dimethylamino)methyl)phenyl) quinolin-3-yl) (cyclopropyl)methanone	487

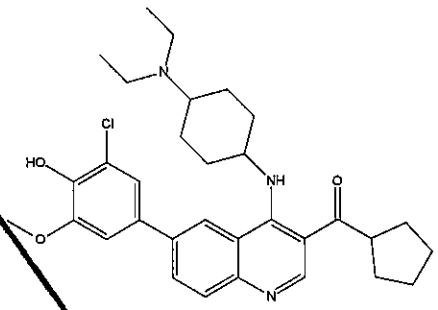
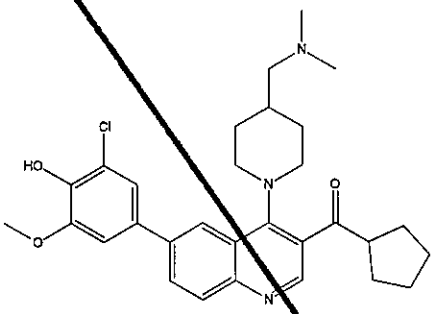
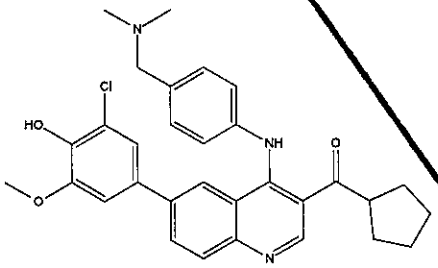
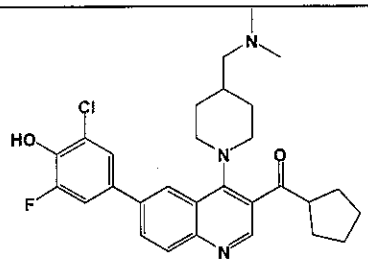
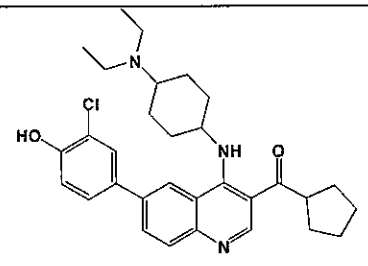
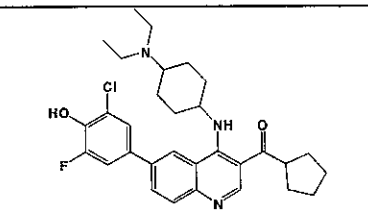
358		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -2,2-dimethylpropan-1-one	480
359		cyclopropyl(4-(4-((dimethylamino) methyl)phenylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	468
360		1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4- (dimethylamino)cyclohexylamino) quinolin-3-yl) -2,2-dimethylpropan-1-one	498
361		1-(6-(3-chloro-4-hydroxyphenyl) -4-((trans)-4-(dimethylamino) cyclohexylamino)quinolin-3-yl) -2,2-dimethylpropan-1-one	480
362		1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone	456

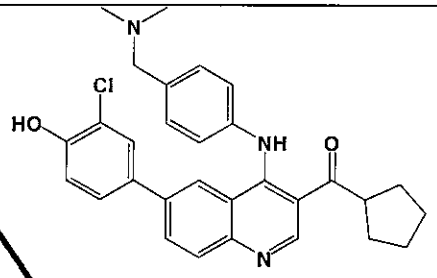
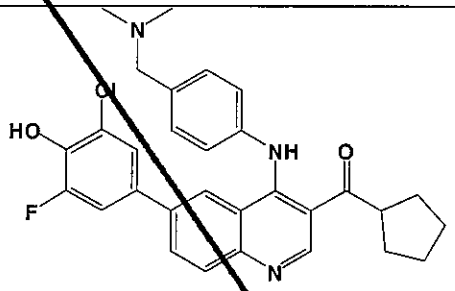
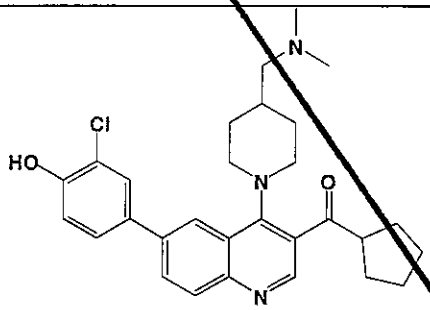
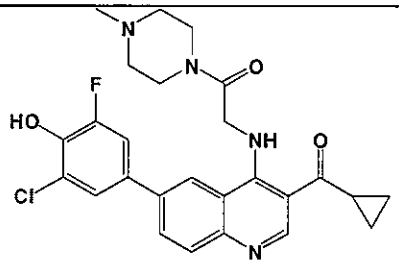
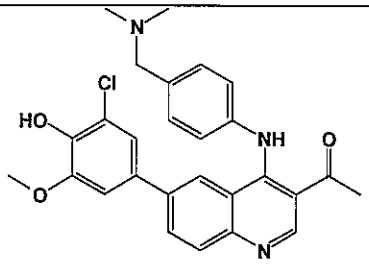
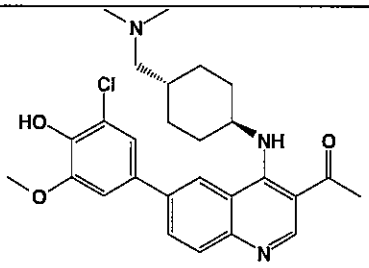
363		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl) -2,2-dimethylpropan-1-one	510
364		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone	438
365		cyclopropyl(6-(4-hydroxy-3 -methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) methanone	494
366		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)phenylamino) quinolin-3-yl)methanone	532
367		(6-(3-chloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	498

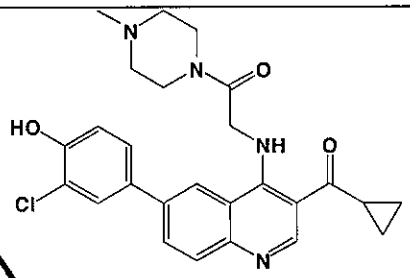
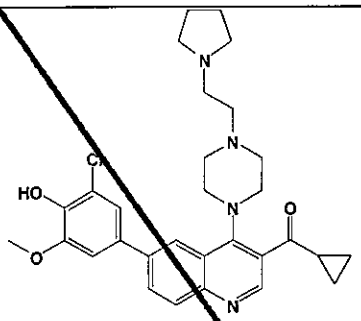
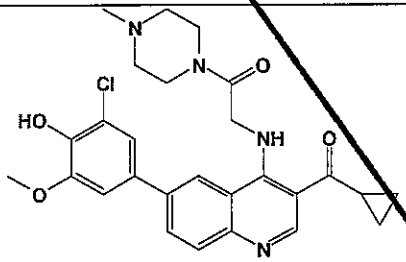
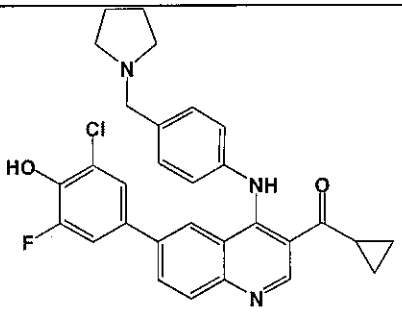
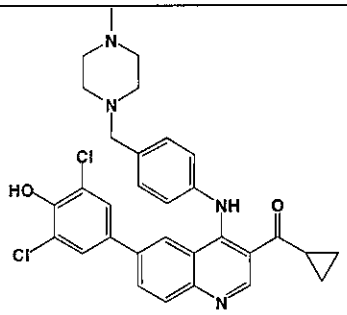
368		(6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	528
369		5-(3-acetyl-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-6-yl)pyrimidine -2-carbonitrile	441
370		(6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	534
371		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	504

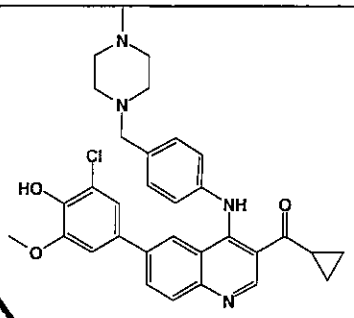
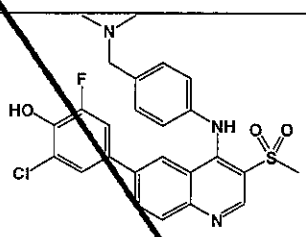
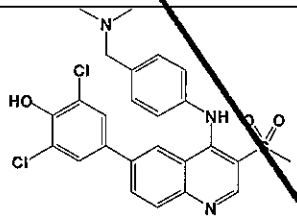
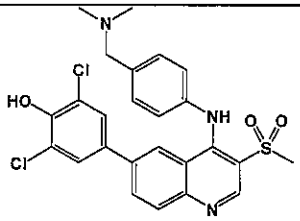
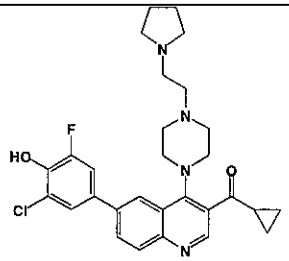
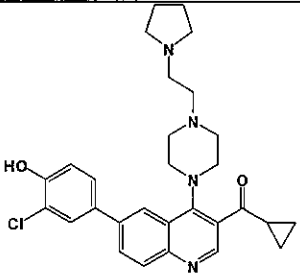
372		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl) methanone	522
373		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(1-methylpiperidin-4-yl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl) methanone	535
374		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone	480
375		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(1-methylpiperidin-4-yl) piperazin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	505

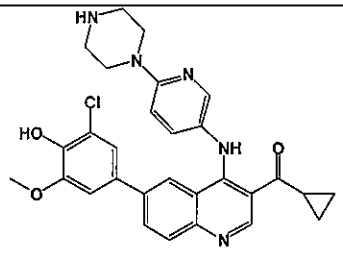
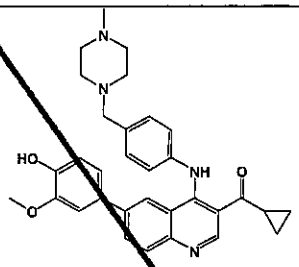
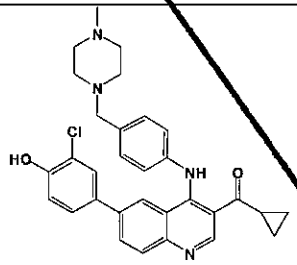
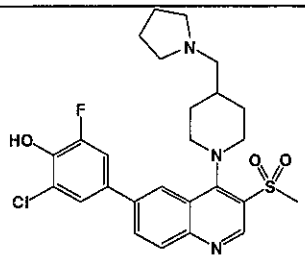
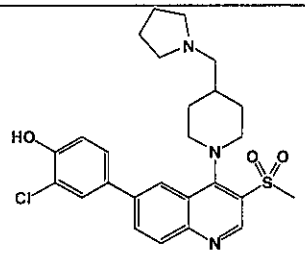
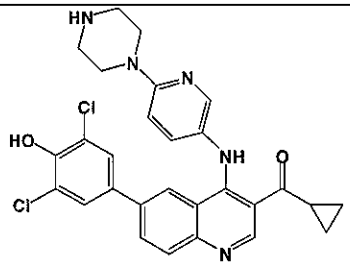
376		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(1-methylpiperidin-4-yl) piperazin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	523
377		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone	464
378		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone	470
379		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone	486
380		5-(3-(cyclopropanecarbonyl)-4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	475

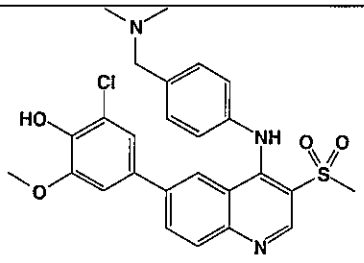
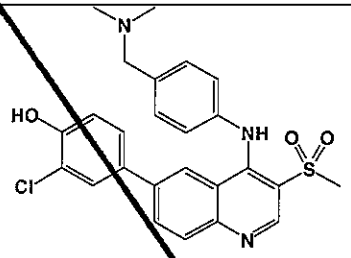
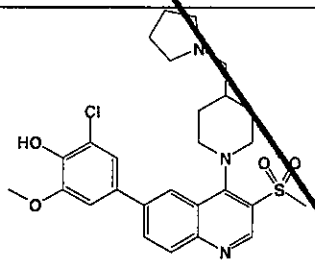
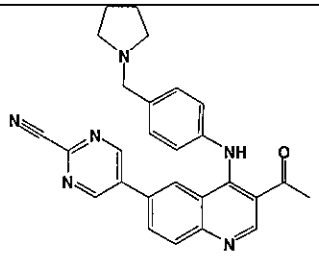
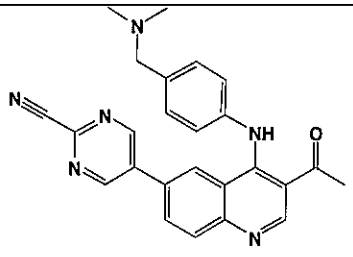
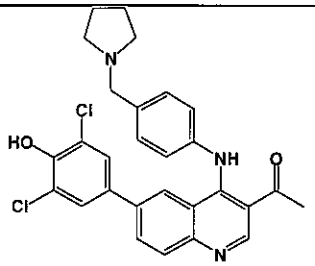
381		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopentyl) methanone	550
382		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopentyl)methanone	522
383		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopentyl)methanone	530
384		(6-(3-chloro-5-fluoro-4-hydroxy phenyl)-4-(4-((dimethylamino) methyl) piperidin-1-yl)quinolin-3-yl)(cyclo pentyl)methanone	510
385		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopentyl) methanone	520
386		(6-(3-chloro-5-fluoro-4-hydroxy phenyl)-4-(4-(diethylamino)cyclo hexyl amino)quinolin-3-yl) (cyclopentyl) methanone	538

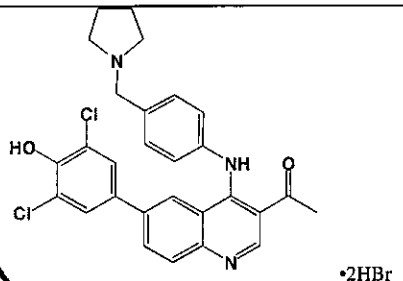
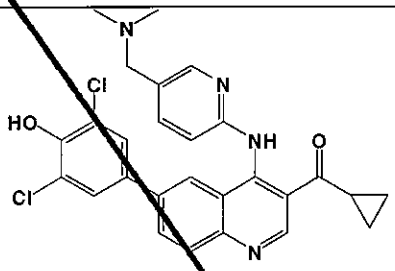
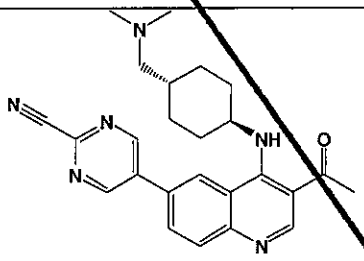
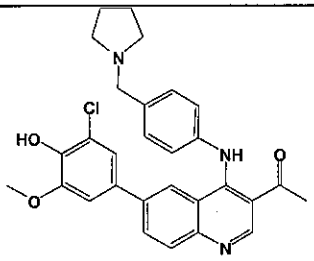
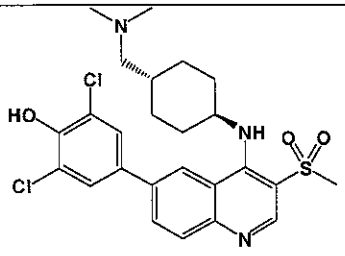
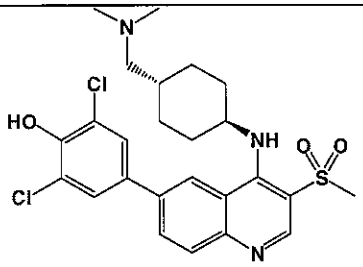
387		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	500
388		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	518
389		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone	492
390		2-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone	497
391		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone	476
392		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin- 3-yl)ethanone	482

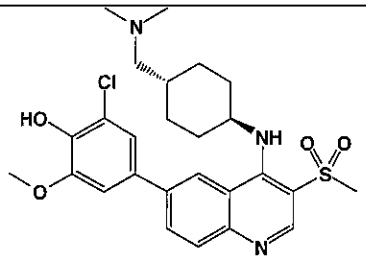
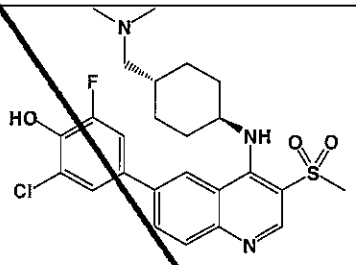
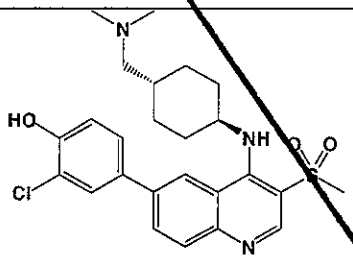
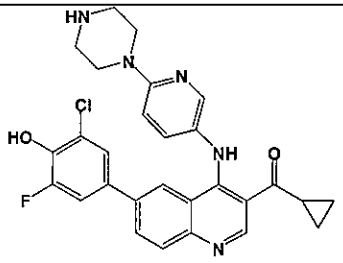
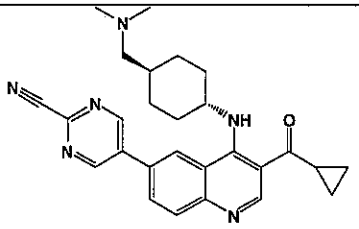
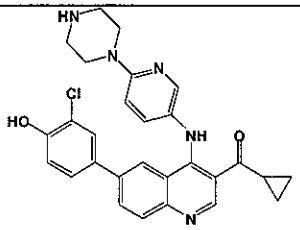
393		2-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone	479
394		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	535
395		2-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone	509
396		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	516
397		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	562

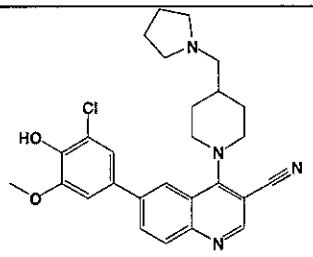
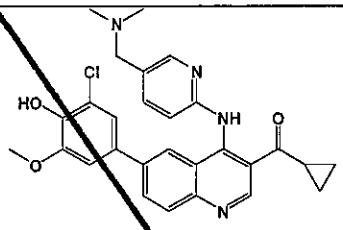
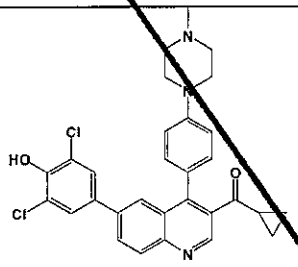
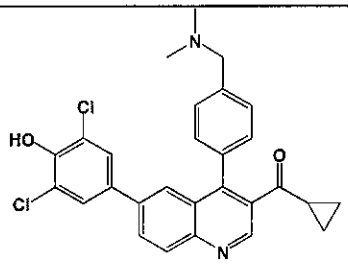
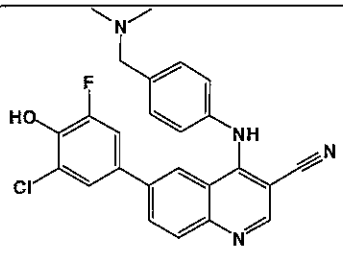
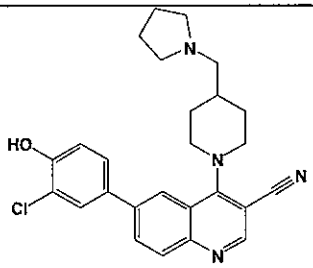
398		(6-(3-chloro-4-hydroxy-5-methoxy phenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	557
399		2-chloro-4-(4-(4-((dimethylamino) methyl)phenylamino)-3-(methyl sulfonyl)quinolin-6-yl)-6-fluoro phenol	500
400		2,6-dichloro-4-(4-(4-((dimethylamin o)methyl)phenylamino)-3-(methyl sulfonyl)quinolin-6-yl)phenol	516
401	 •HCl	2,6-dichloro-4-(4-(4-((dimethylamin o)methyl)phenylamino)-3-(methyl sulfonyl)quinolin-6-yl)phenol hydrochloride	516
402		(6-(3-chloro-5-fluoro-4-hydroxyphe nyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl) piperazin-1-yl)quinolin-3-yl)(cyclo propyl)methanone	523
403		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1 - yl)quinolin-3-yl)(cyclopropyl) methanone	505

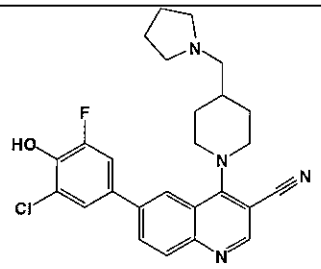
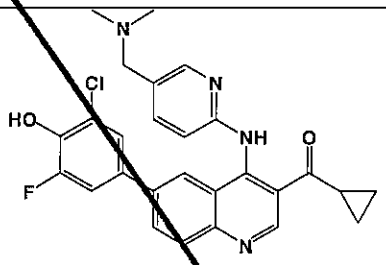
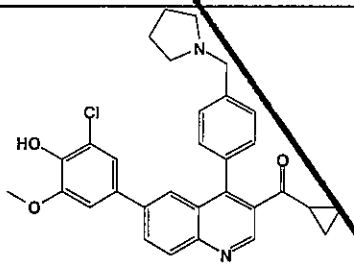
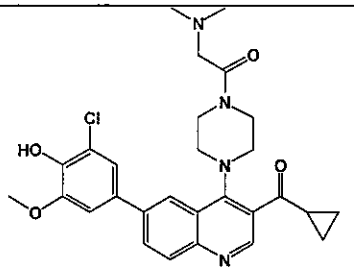
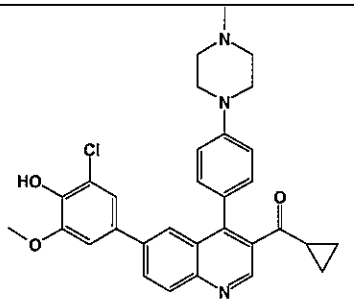
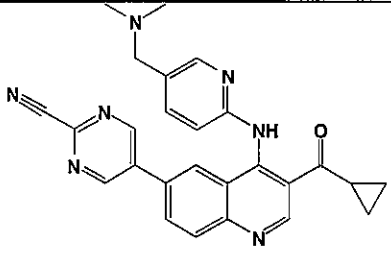
404		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	530
405		cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	523
406		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	527
407		2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	518
408		2-chloro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	500
409		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	534

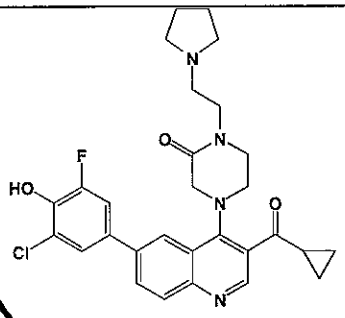
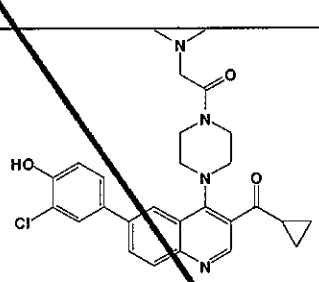
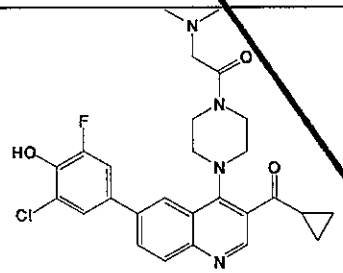
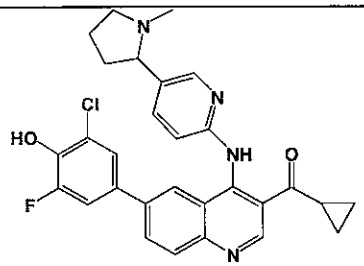
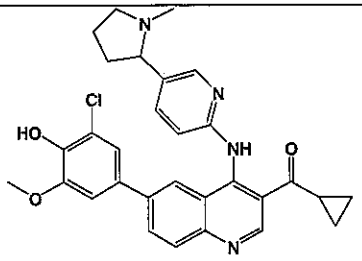
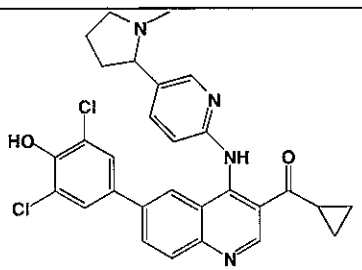
410		2-chloro-4-(4-(4-((dimethylamino) methyl)phenylamino)-3-(methyl sulfonyl)quinolin-6-yl)-6-methoxyphenol	512
411		2-chloro-4-(4-(4-((dimethylamino) methyl)phenylamino)-3-(methyl sulfonyl)quinolin-6-yl)phenol	482
412		2-chloro-6-methoxy-4-(3-(methyl sulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	530
413		5-(3-acetyl-4-(4-(pyrrolidin-1-yl methyl)phenylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	449
414		5-(3-acetyl-4-(4-((dimethylamino) methyl)phenylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	422
415		1-(6-(3,5-dichloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl)phenyl amino)quinolin-3-yl)ethanone	506

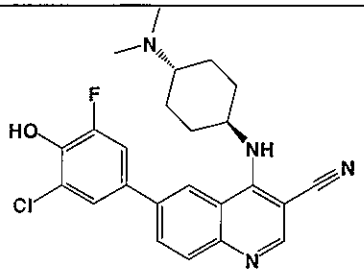
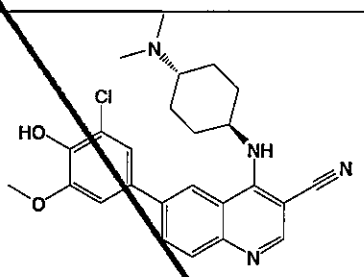
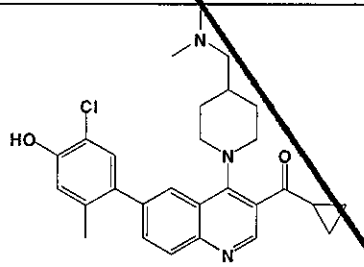
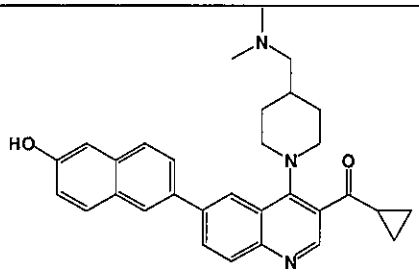
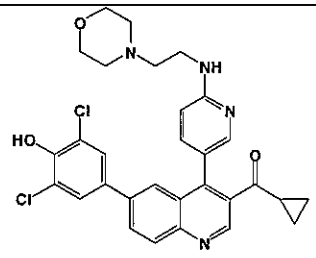
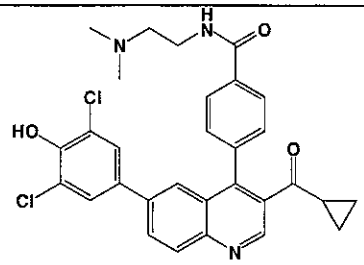
416	 •2HBr	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone **dihydrobromide**	506
417		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl) methanone	507
418		5-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	429
419		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone	502
420		2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	522
421		2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	522

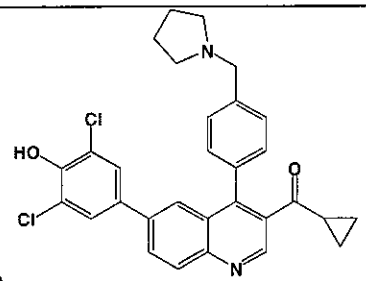
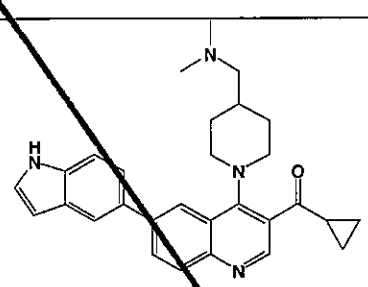
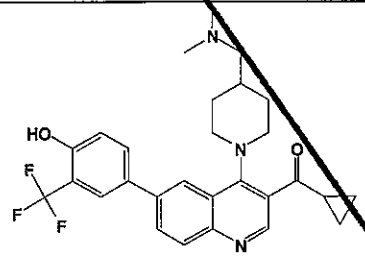
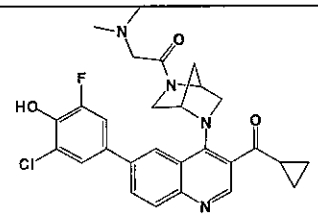
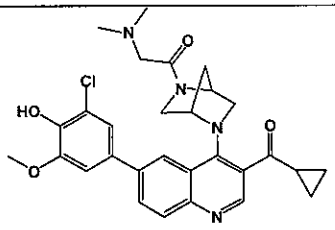
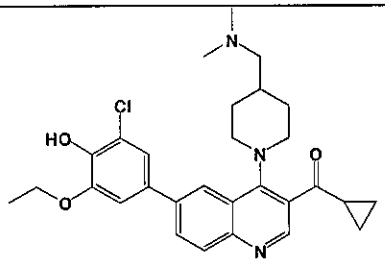
422		2-chloro-4-(4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol	518
423		2-chloro-4-(4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol	506
424		2-chloro-4-(4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	488
425		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	518
426		5-(3-(cyclopropanecarbonyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	455
427		(6-(3-chloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	500

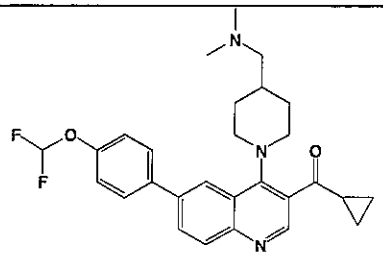
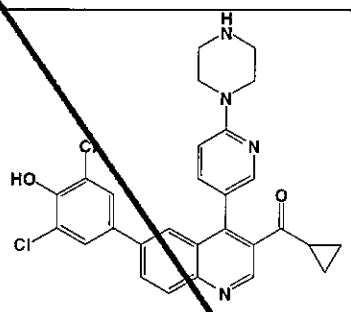
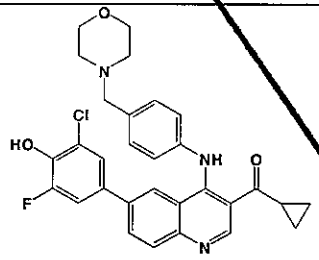
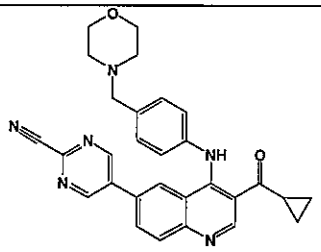
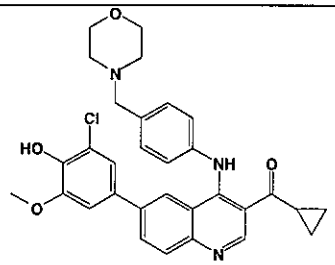
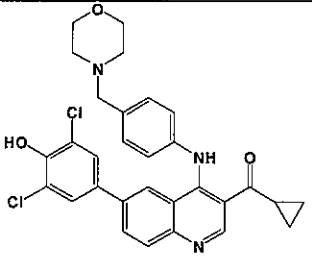
428		6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile	477
429		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	503
430		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(4-methylpiperazin-1-yl)phenyl)quinolin-3-yl)methanone	532
431		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenyl)quinolin-3-yl)methanone	491
432		6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinoline-3-carbonitrile	447
433		6-(3-chloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile	447

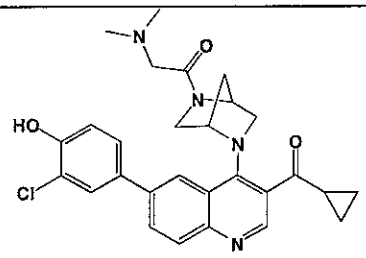
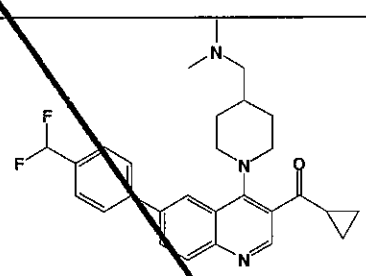
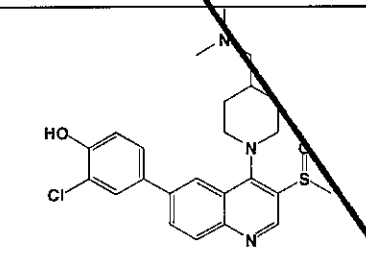
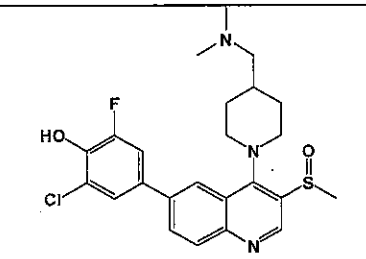
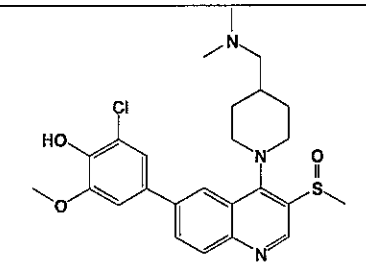
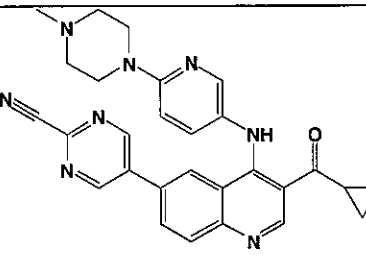
434		6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile	465
435		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	491
436		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenyl)quinolin-3-yl)(cyclopropyl)methanone	513
437		1-(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	523
438		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(4-methylpiperazin-1-yl)phenyl)quinolin-3-yl)(cyclopropyl)methanone	528
439		5-(3-(cyclopropanecarbonyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	450

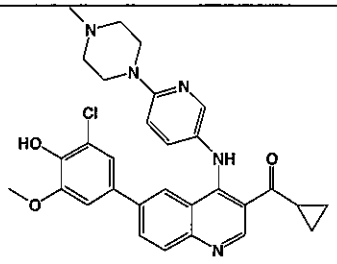
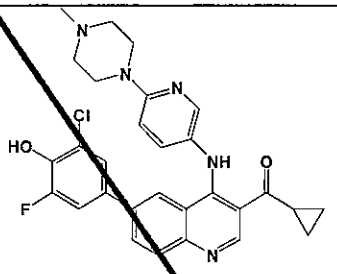
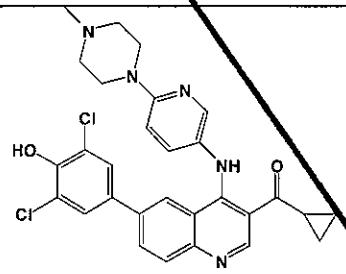
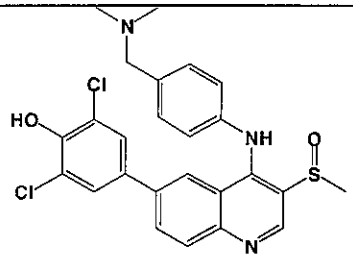
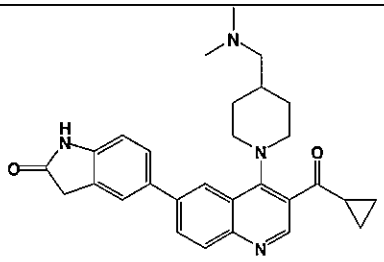
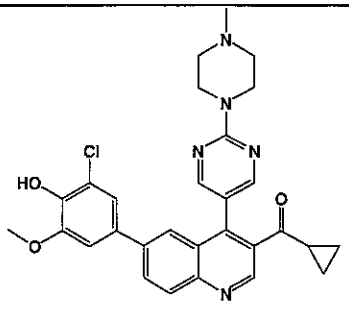
440		4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-1-(2-(pyrrolidin-1-yl)ethyl)piperazin-2-one	537
441		1-(4-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	493
442		1-(4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	511
443		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	517
444		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	529
445		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	533

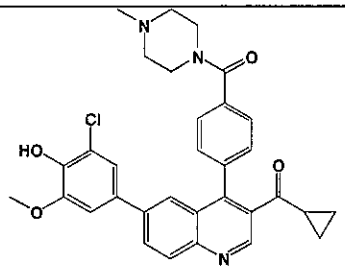
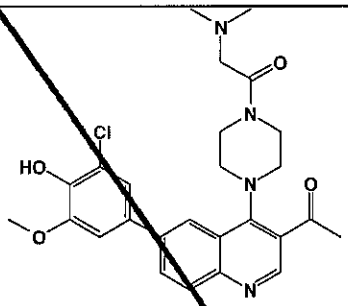
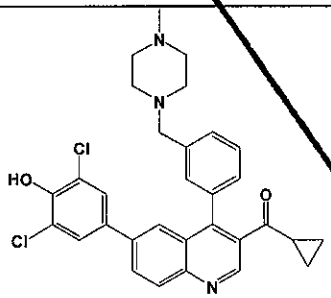
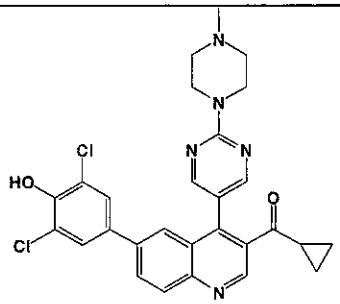
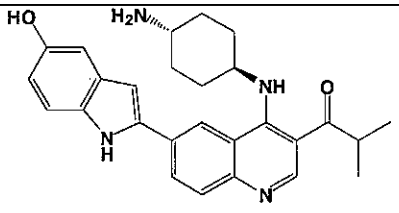
446		6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(dimethylamino)cyclohexylamino)quinoline-3-carbonitrile	439
447		6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(dimethylamino)cyclohexylamino)quinoline-3-carbonitrile	451
448		(6-(5-chloro-4-hydroxy-2-methylphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	478
449		cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)methanone	480
450		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-morpholinoethylamino)pyridin-3-yl)quinolin-3-yl)methanone	563
451		4-(3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)-N-(2-(dimethylamino)ethyl)benzamide	548

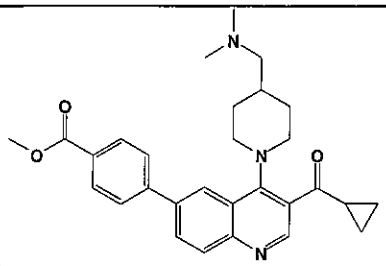
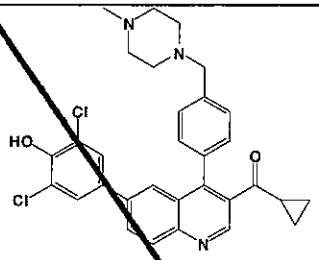
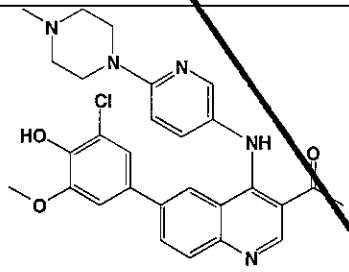
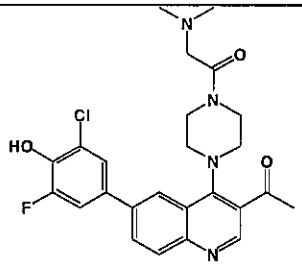
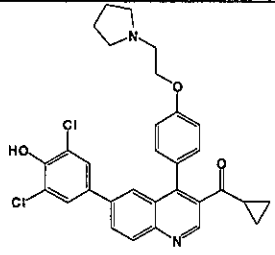
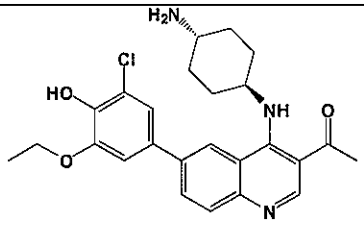
452		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenyl)quinolin-3-yl)methanone	517
453		cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(1H-indol-5-yl)quinolin-3-yl)methanone	453
454		cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(4-hydroxy-3-(trifluoromethyl)phenyl)quinolin-3-yl)methanone	498
455		1-((1S,4S)-5-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethylamino)ethanone	523
456		1-((1S,4S)-5-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethylamino)ethanone	535
457		(6-(3-chloro-5-ethoxy-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	508

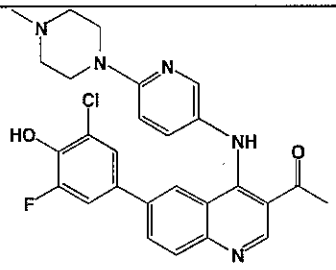
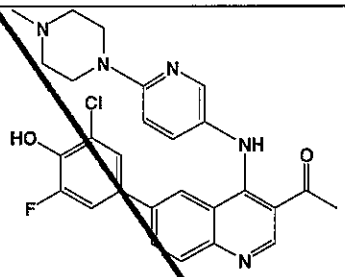
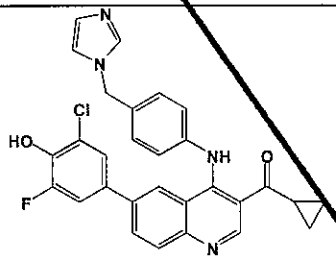
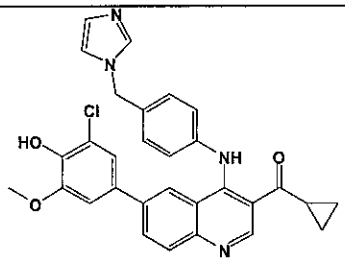
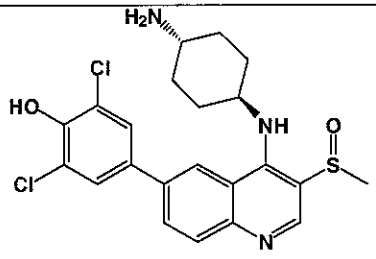
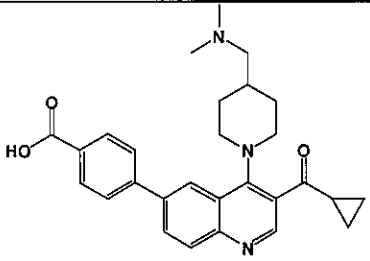
458		cyclopropyl(6-(4-(difluoromethoxy)phenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)methanone	480
459		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-yl)quinolin-3-yl)methanone	519
460		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	532
461		5-(3-(cyclopropanecarbonyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	491
462		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	544
463		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)methanone	548

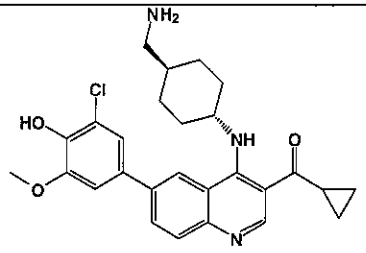
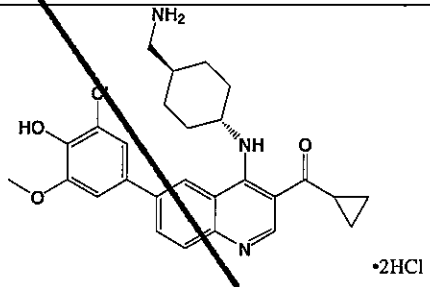
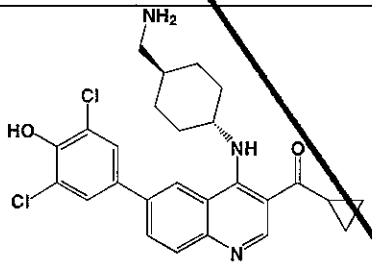
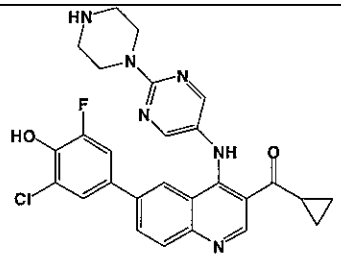
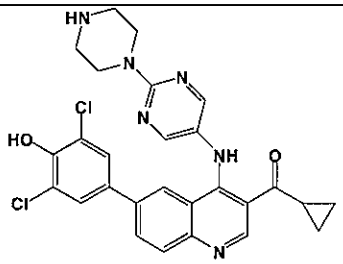
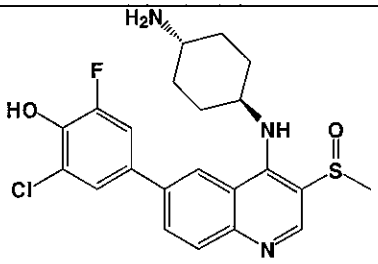
464		1-((1S,4S)-5-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethylamino)ethanone	505
465		cyclopropyl(6-(4-(difluoromethyl)phenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)methanone	464
466		2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfinyl)quinolin-6-yl)phenol	458
467		2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfinyl)quinolin-6-yl)-6-fluorophenol	476
468		2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfinyl)quinolin-6-yl)-6-methoxyphenol	488
469		5-(3-(cyclopropanecarbonyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	491

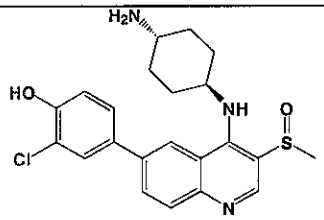
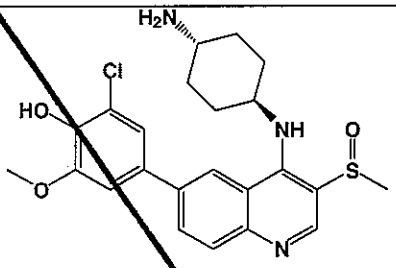
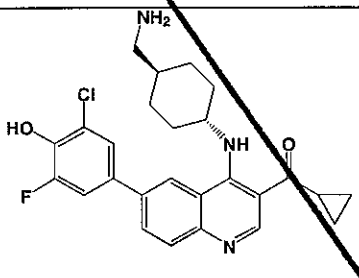
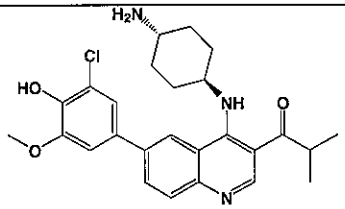
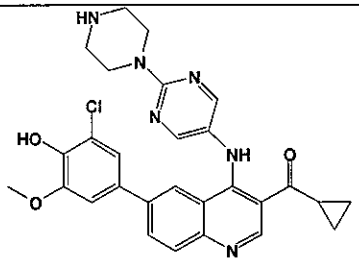
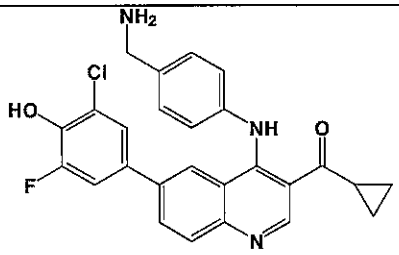
470		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	544
471		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	532
472		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	548
473		2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfinyl)quinolin-6-yl)phenol	500
474		5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)indolin-2-one	469
475		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)(cyclopropyl)methanone	530

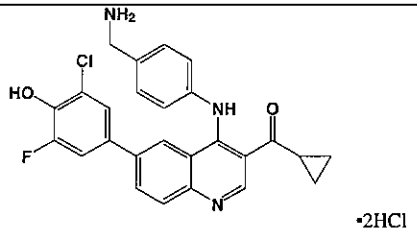
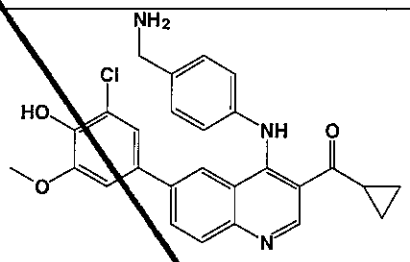
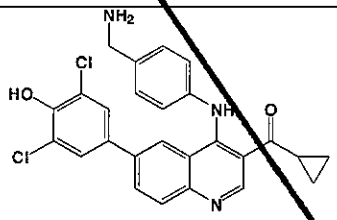
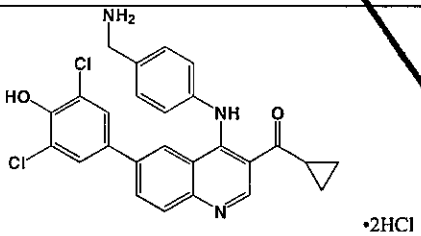
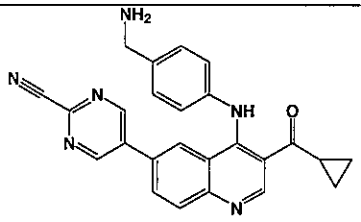
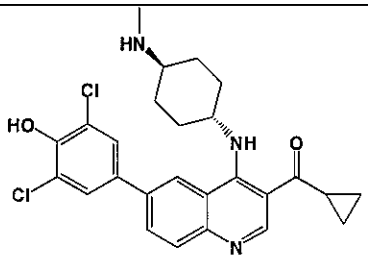
476		(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)phenyl)(4-methylpiperazin-1-yl)methanone	556
477		1-(4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	497
478		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	546
479		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)methanone	534
480		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(5-hydroxy-1H-indol-2-yl)quinolin-3-yl)-2-methylpropan-1-one	443

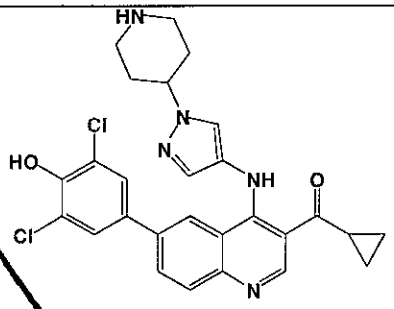
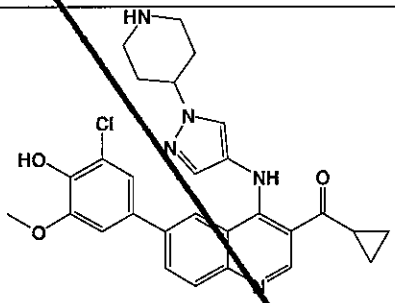
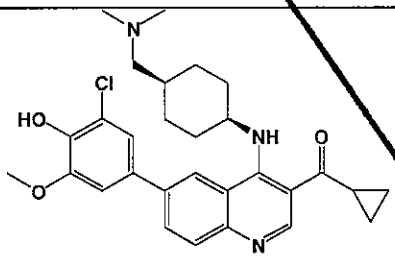
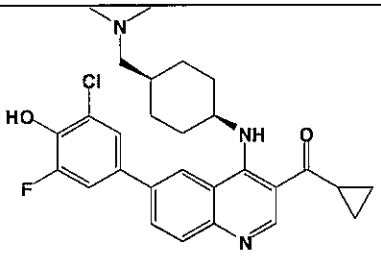
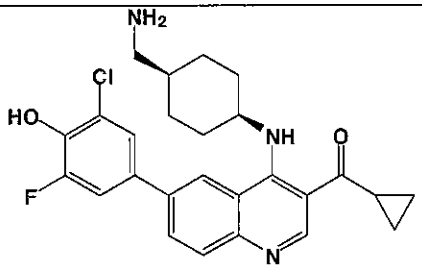
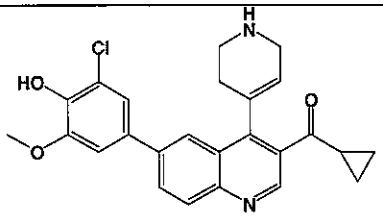
481		methyl 4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoate	472
482		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	546
483		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	518
484		1-(4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	485
485		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethoxy)phenyl)quinolin-3-yl)methanone	547
486		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-5-ethoxy-4-hydroxyphenyl)quinolin-3-yl)ethanone	454

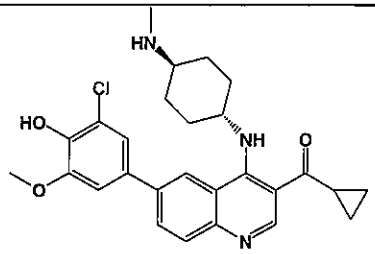
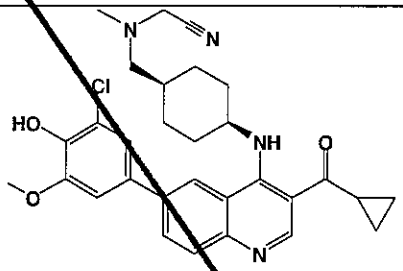
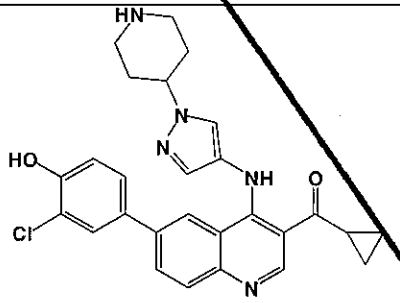
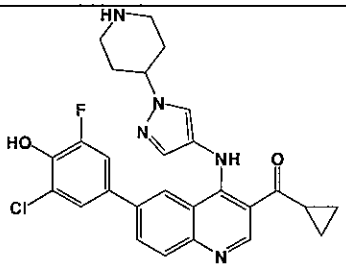
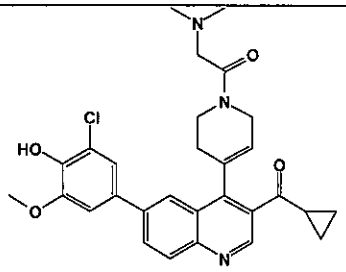
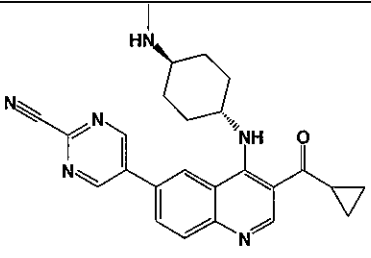
487		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	506
488		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	506
489		(4-(4-((1H-imidazol-1-yl)methyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	513
490		(4-(4-((1H-imidazol-1-yl)methyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	525
491		4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2,6-dichlorophenol	464
492		4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoic acid	458

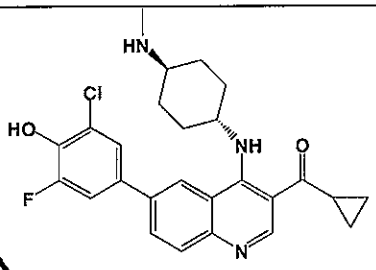
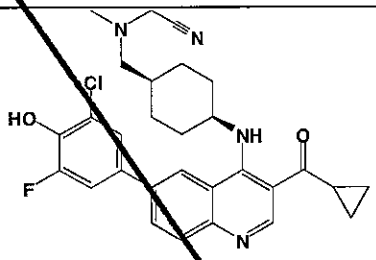
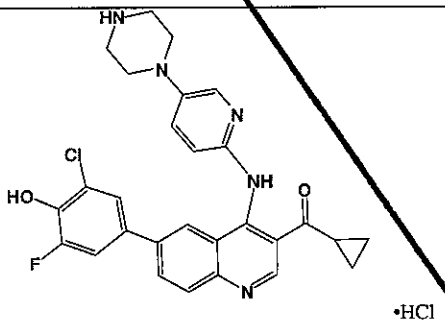
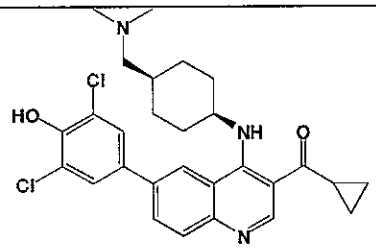
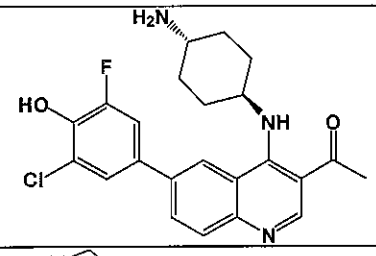
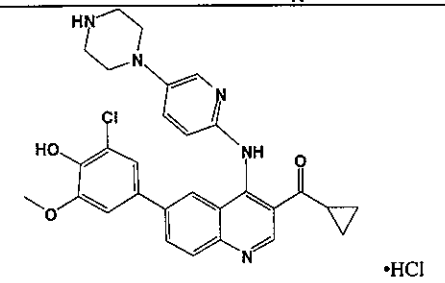
493		(4-(1R,4R)--4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	480
494		(4-(1R,4R)--4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	480
495		(4-(1R,4R)--4-(aminomethyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	484
496		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	519
497		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)methanone	535
498		4-(4-(1R,4R)--4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2-chloro-6-fluorophenol	448

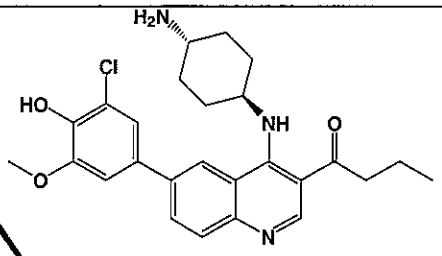
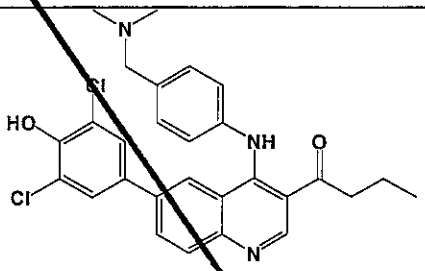
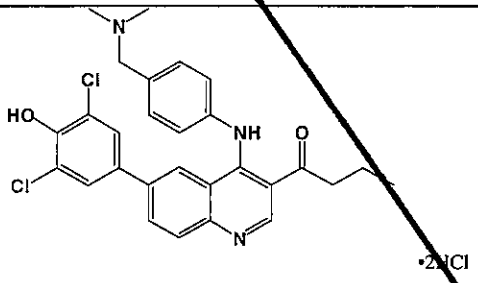
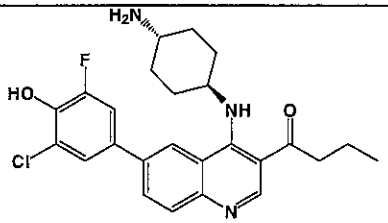
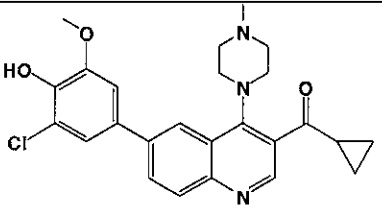
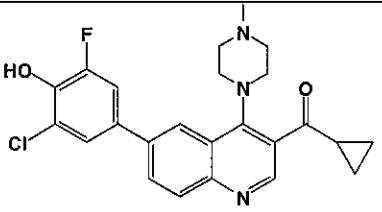
499		4-(4-(1R,4R)--4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2-chlorophenol	430
500		4-(4-(1R,4R)--4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2-chloro-6-methoxyphenol	460
501		(4-(1R,4R)--4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	468
502		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-2-methylpropan-1-one	468
503		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	531
504		(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	462

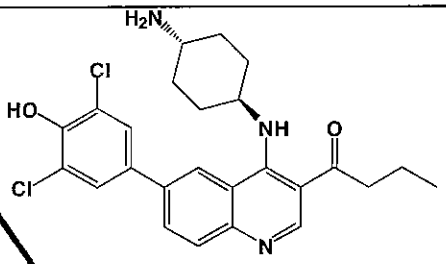
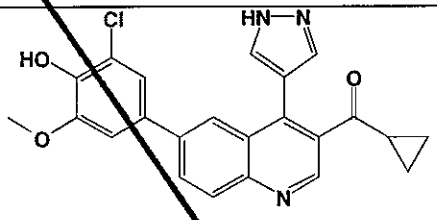
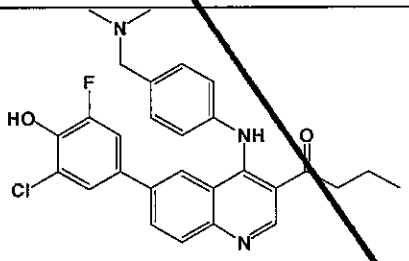
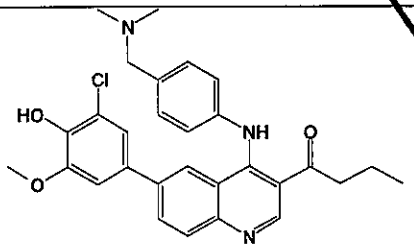
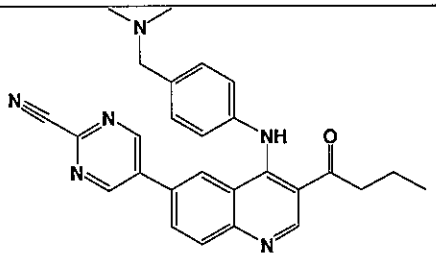
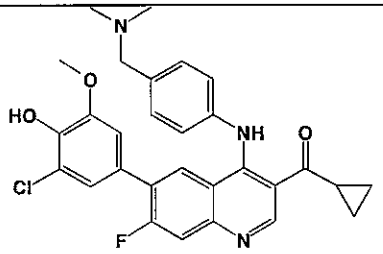
505	 •2HCl	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	462
506		(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	474
507		(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	478
508	 •2HCl	(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	478
509		5-(4-(4-(aminomethyl)phenylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyrimidine-2-carbonitrile	420
510		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4--4-(methylamino)cyclohexylamino)quinolin-3-yl)methanone	484

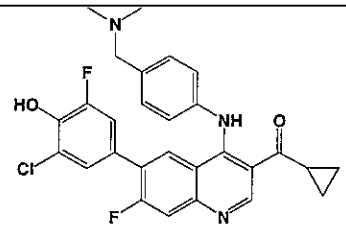
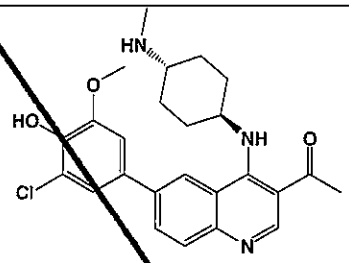
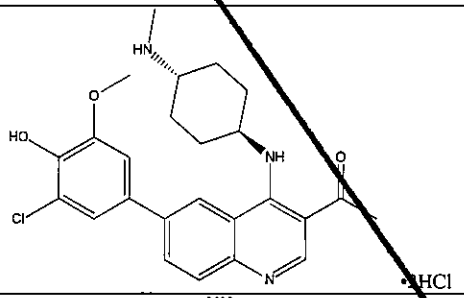
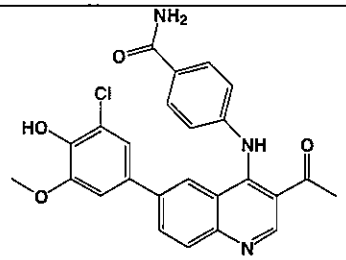
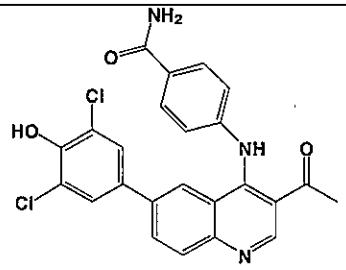
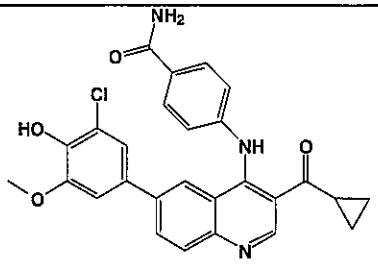
511		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	522
512		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	518
513		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	508
514		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	496
515		(4-((1s,4s)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	468
516		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1,2,3,6-tetrahydropyridin-4-yl)quinolin-3-yl)(cyclopropyl)methanone	435

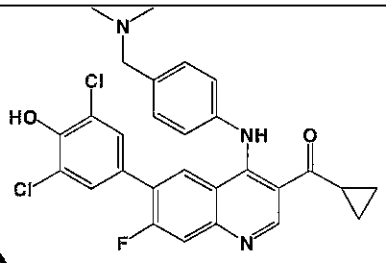
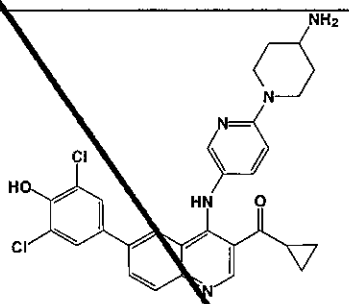
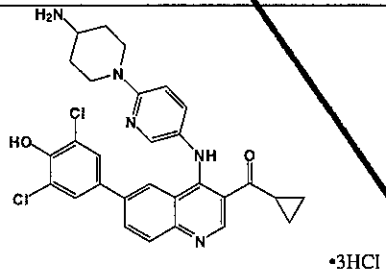
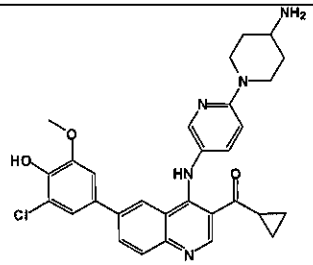
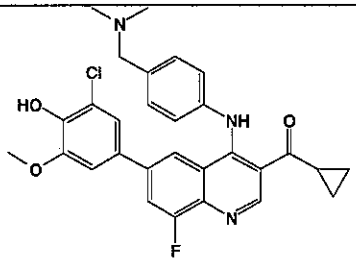
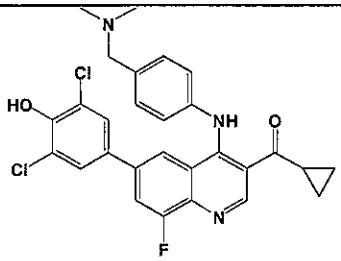
517		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-(methylamino)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone	480
518		2-((((1s,4s)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	533
519		(6-(3-chloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	488
520		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	506
521		1-(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-5,6-dihydropyridin-1(2H)-yl)-2-(dimethylamino)ethanone	520
522		5-(3-(cyclopropanecarbonyl)-4-((1R,4R)-4-(methylamino)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	427

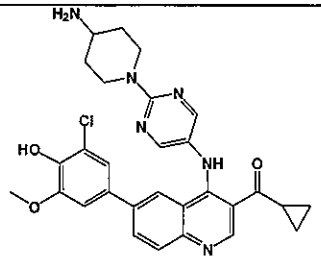
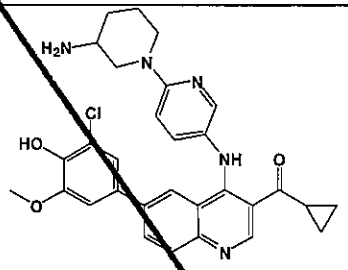
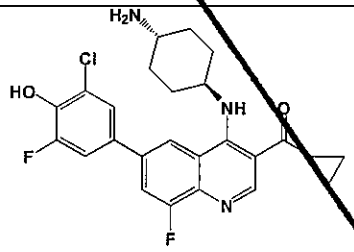
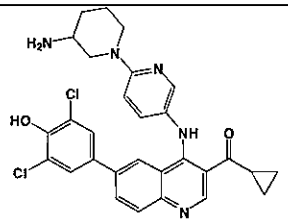
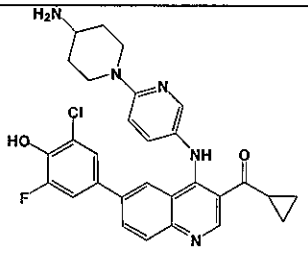
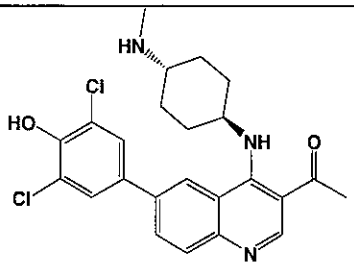
523		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	468
524		2-((((1s,4s)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	521
525		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	518
526		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	512
527		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	428
528		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	530

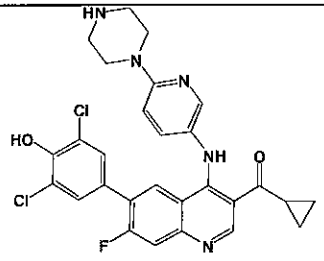
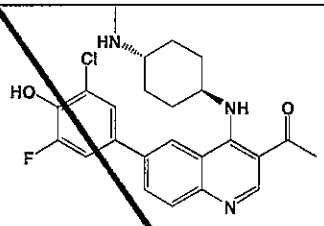
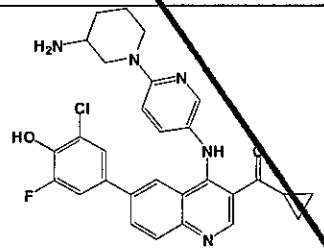
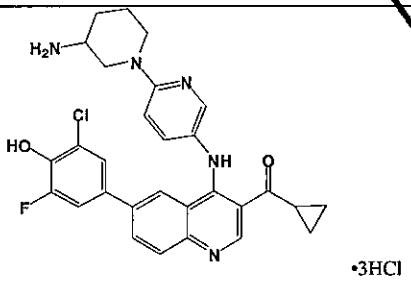
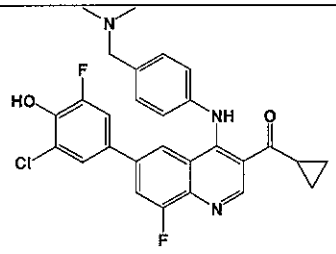
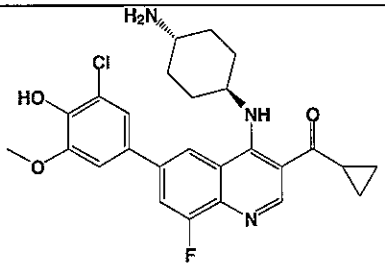
529		1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)butan-1-one	468
530		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	508
531		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one dihydrochloride	508
532		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	456
533		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-methylpiperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	452
534		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-methylpiperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	440

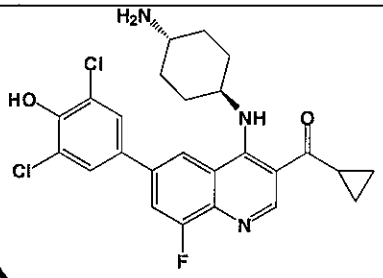
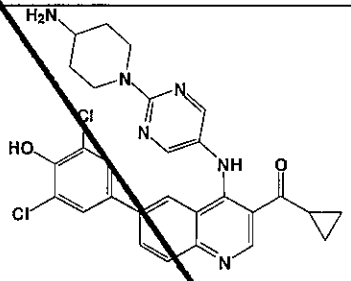
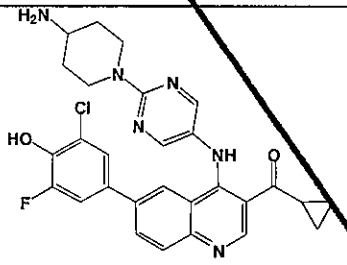
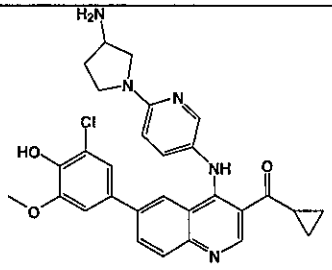
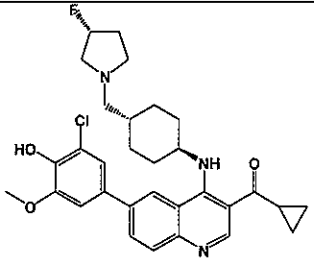
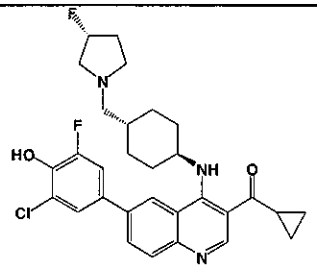
535		1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	472
536		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1H-pyrazol-4-yl)quinolin-3-yl)(cyclopropyl)methanone	420
537		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	492
538		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	504
539		5-(3-butyryl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	451
540		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	520

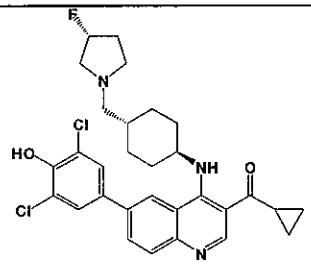
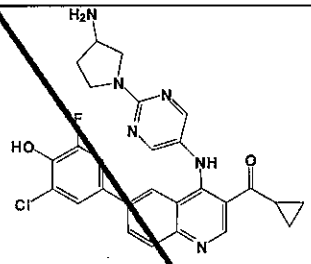
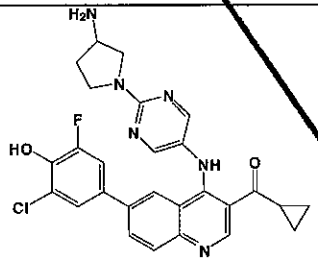
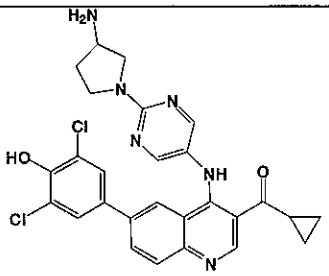
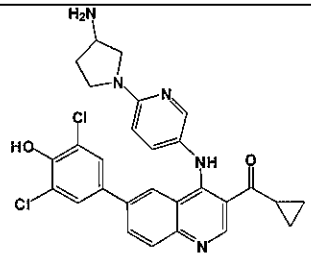
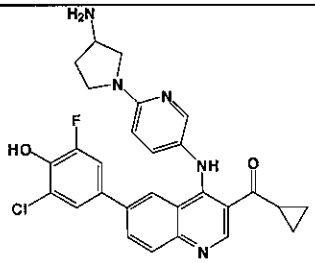
541		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	508
542		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	454
543		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	454
544		4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino)benzamide	462
545		4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)benzamide	466
546		4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)benzamide	488

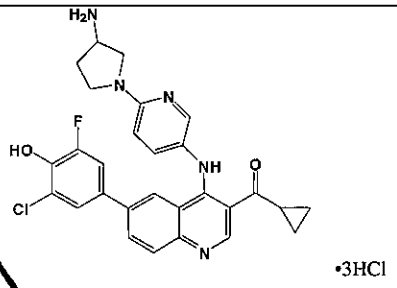
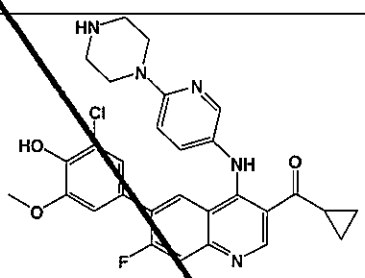
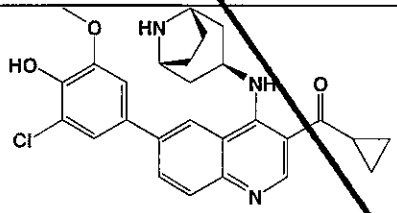
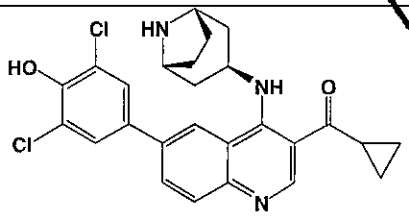
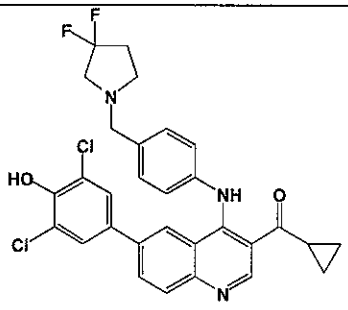
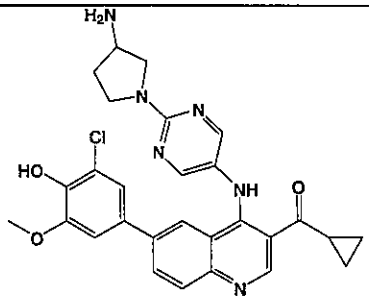
547		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)methanone	524
548		(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548
549		(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	548
550		(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	544
551		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	520
552		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)methanone	524

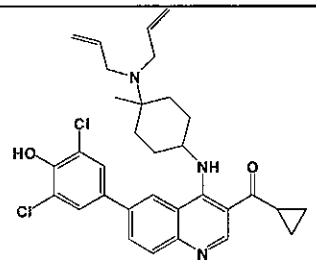
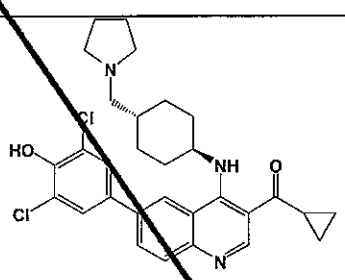
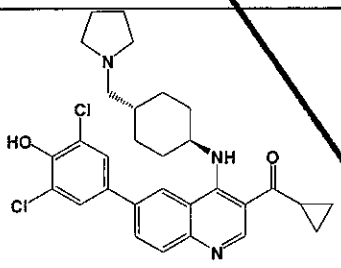
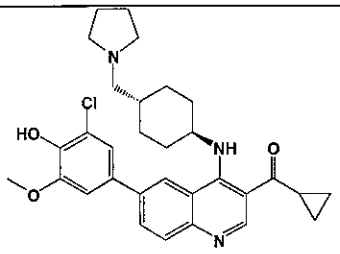
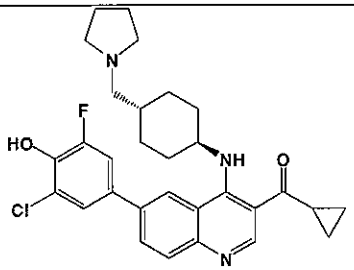
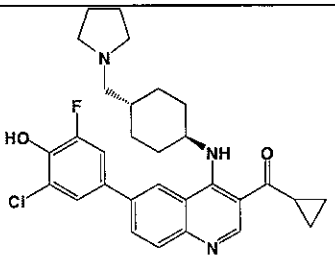
553		(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	545
554		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	544
555		(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	472
556		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548
557		(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	532
558		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	458

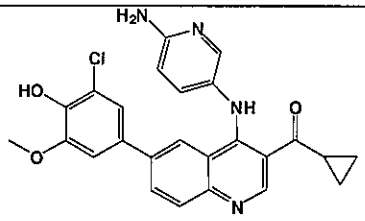
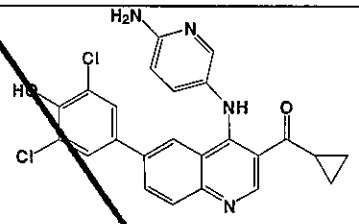
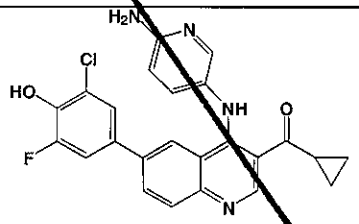
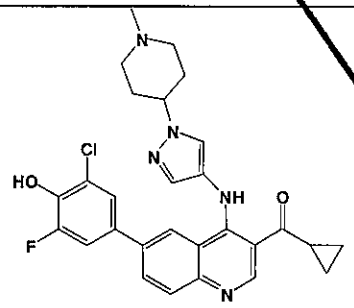
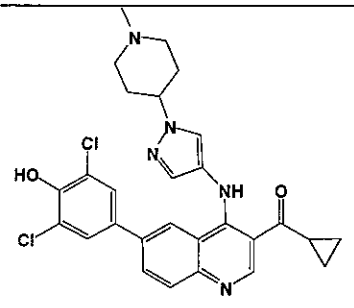
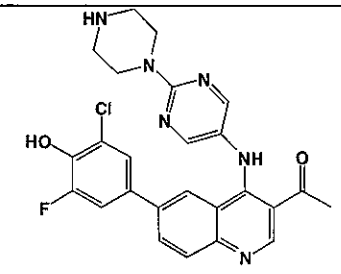
559		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	552
560		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	442
561		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	532
562		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	532
563		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	508
564		(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	484

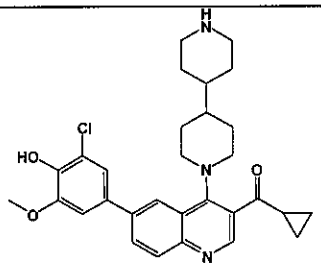
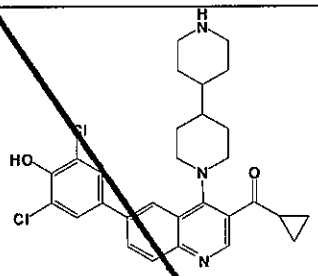
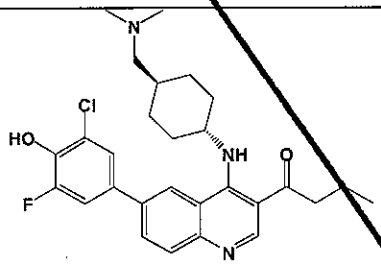
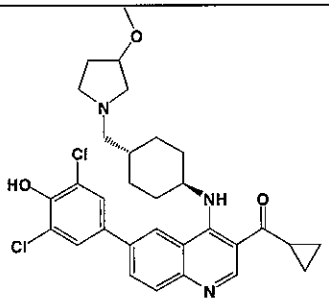
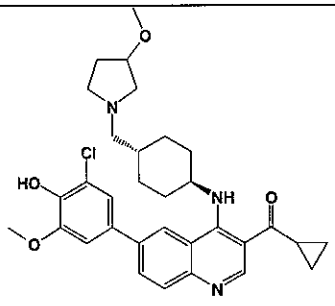
565		(4-(1R,4R)--4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	488
566		(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	549
567		(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	533
568		(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	530
569		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	552
570		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	540

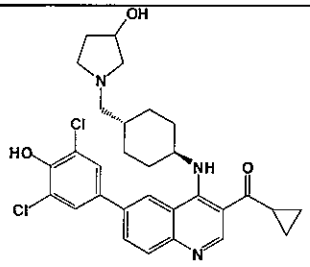
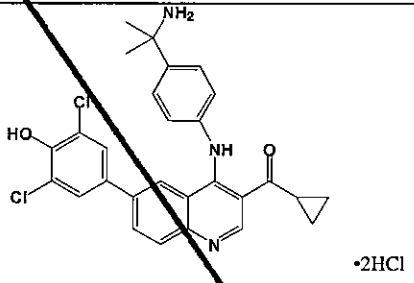
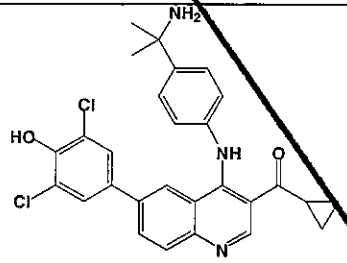
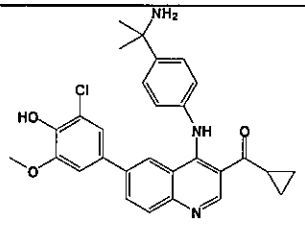
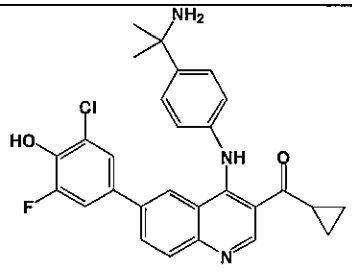
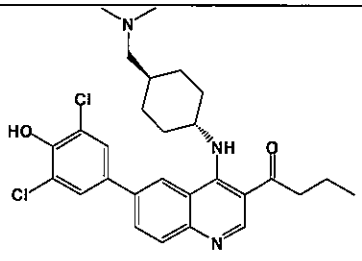
571		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	556
572		(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	519
573		(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	519
574		(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	535
575		(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	534
576		(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	518

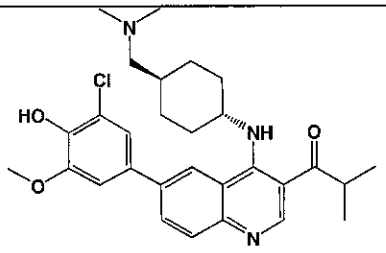
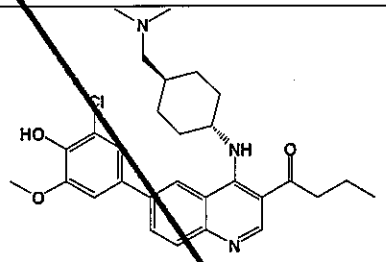
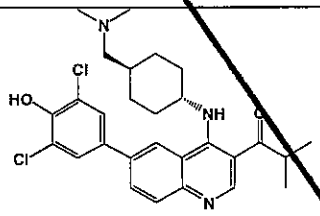
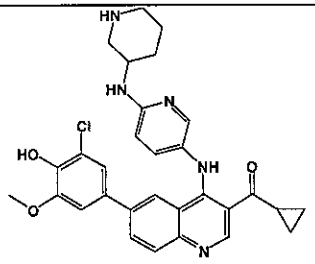
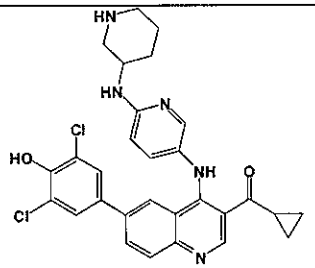
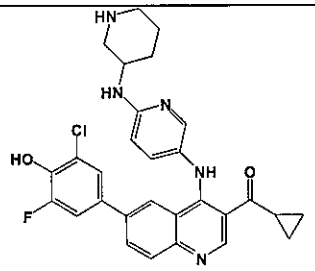
577	 •3HCl	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride)	518
578		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	548
579		(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	478
580		(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	482
581		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3,3-difluoropyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	568
582		(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	531

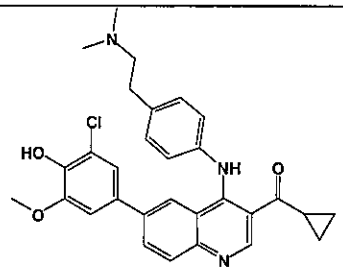
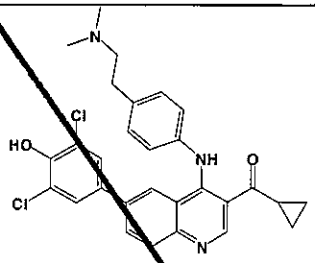
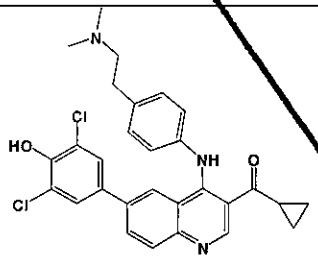
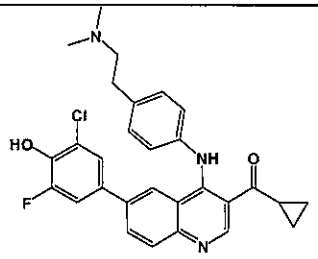
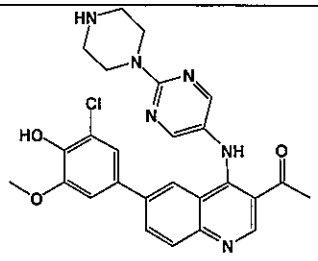
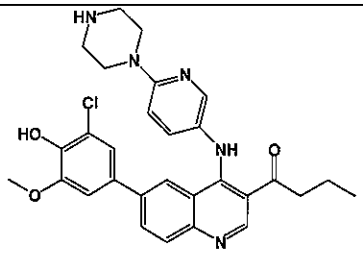
583		cyclopropyl(4-(4-(diallylamino)-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)methanone	565
584		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone	539
585	 •2HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	539
586		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	534
587		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	522
588	 •2HCl	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	522

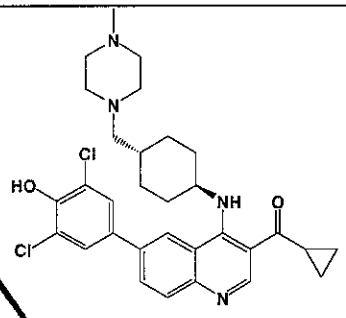
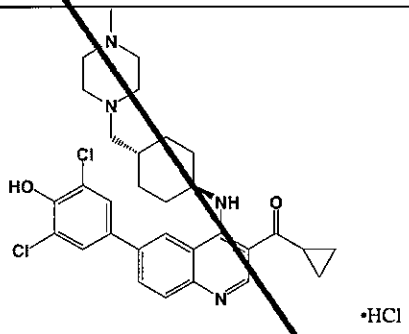
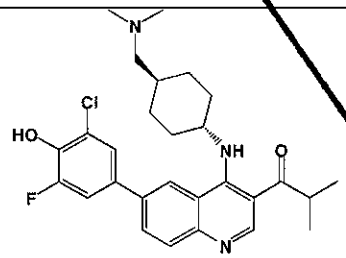
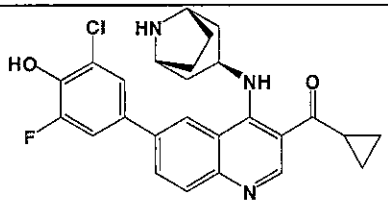
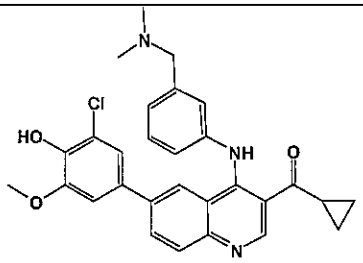
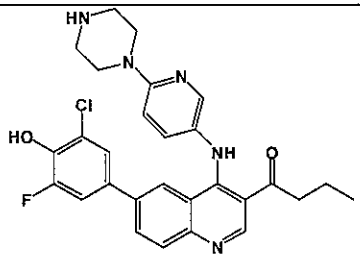
589		(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone	461
590		(4-(6-aminopyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	465
591		(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	449
592		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	520
593		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	536
594		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	493

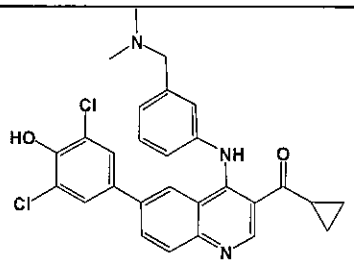
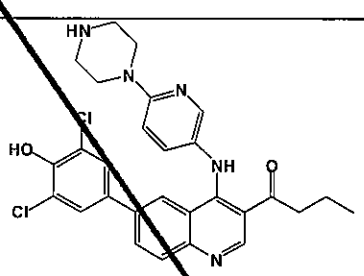
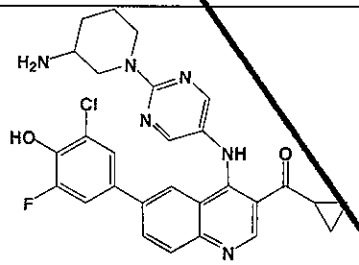
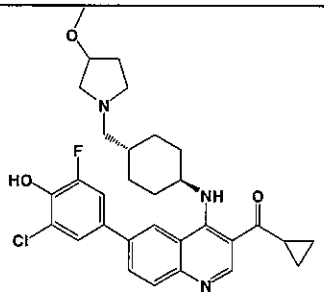
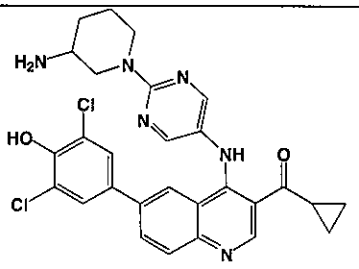
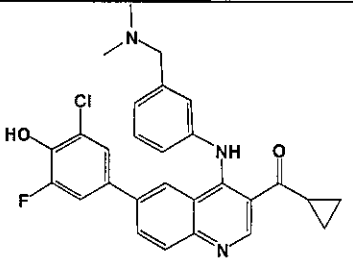
595		(4-(4,4'-bipiperidin-1-yl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	520
596		(4-(4,4'-bipiperidin-1-yl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	524
597		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	498
598		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	569
599		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	564

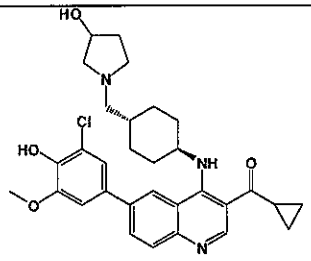
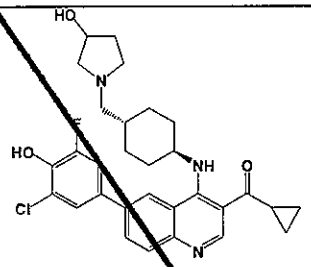
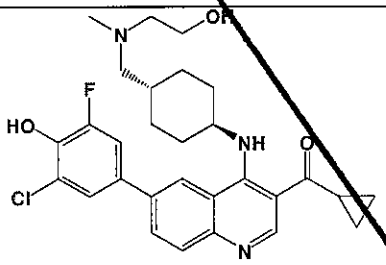
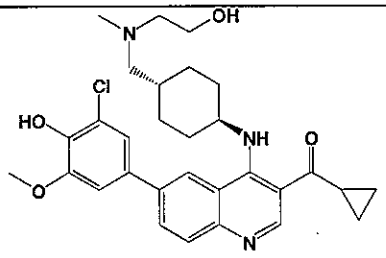
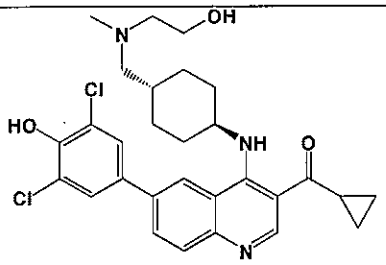
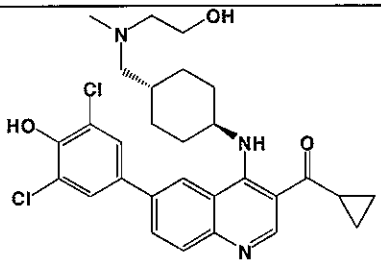
600		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	555
601	 •2HCl	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	506
602		(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	506
603		(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	502
604		(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	490
605		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	514

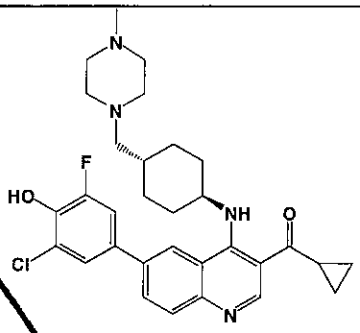
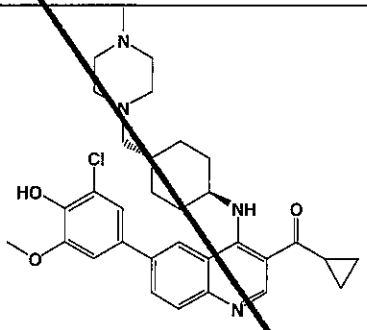
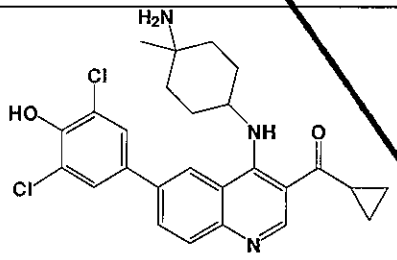
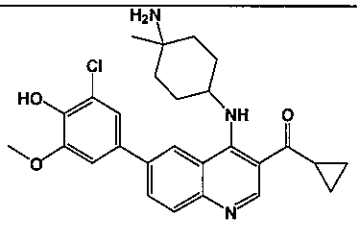
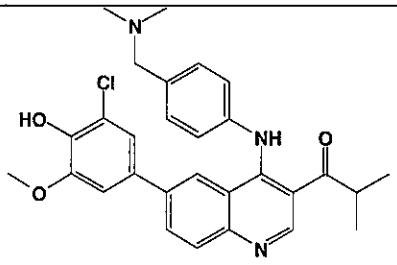
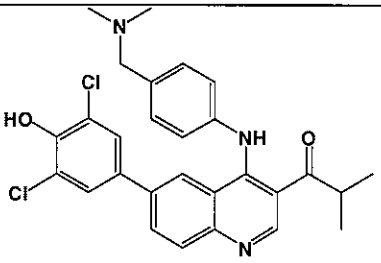
606		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	510
607		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	510
608		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	514
609		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	544
610		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	548
611		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	532

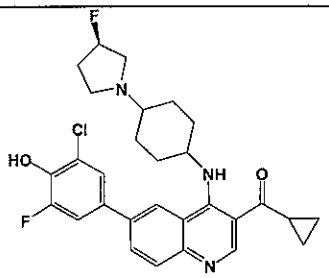
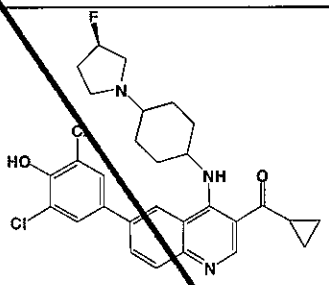
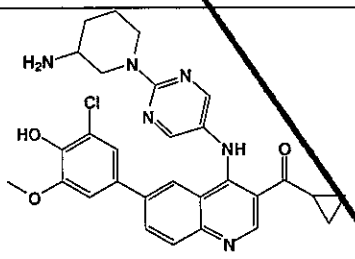
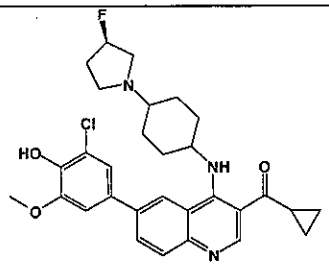
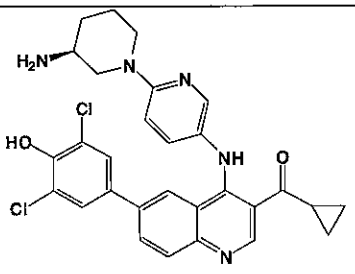
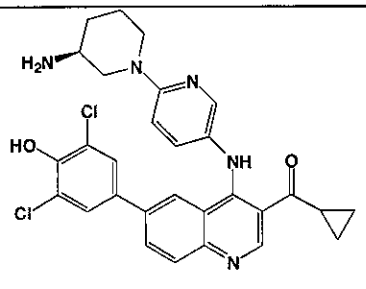
612		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	516
613		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	520
614		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	520
615		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	504
616		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	505
617		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	532

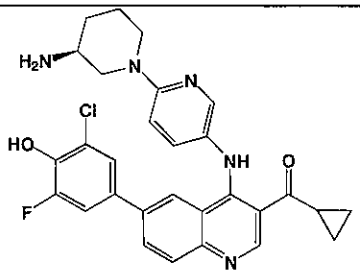
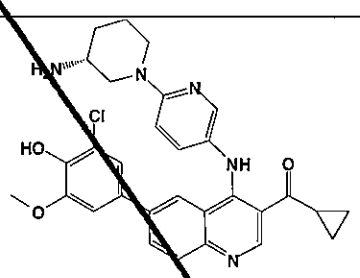
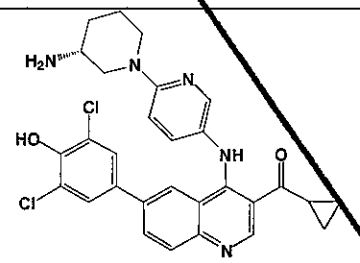
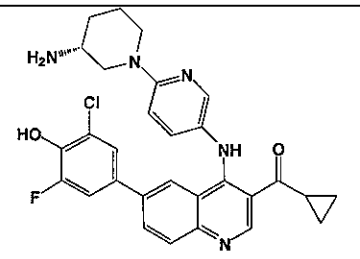
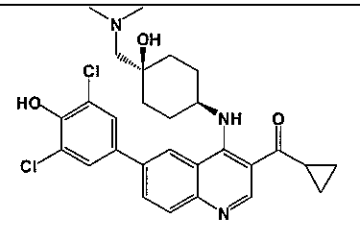
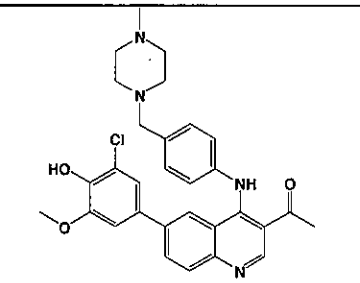
618		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	568
619	 •HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	568
620		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	498
621		(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	466
622		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	502
623		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	520

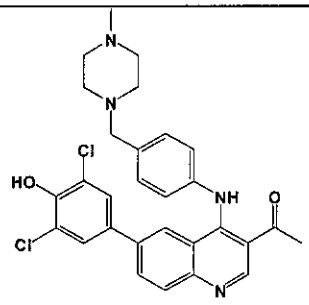
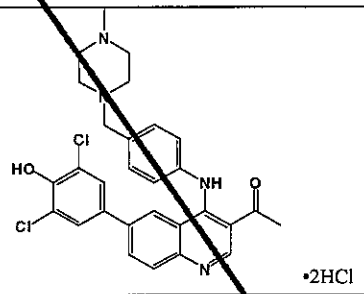
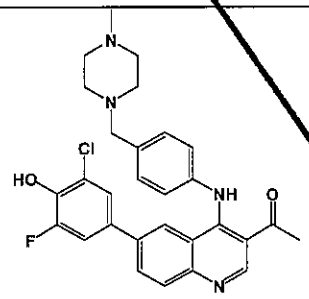
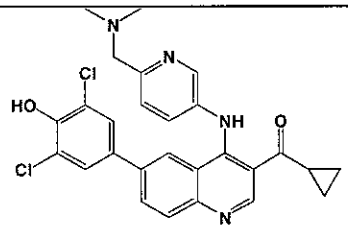
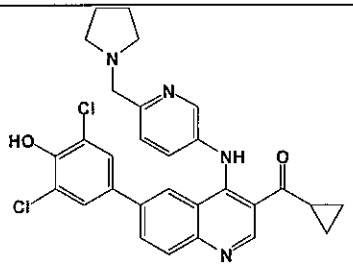
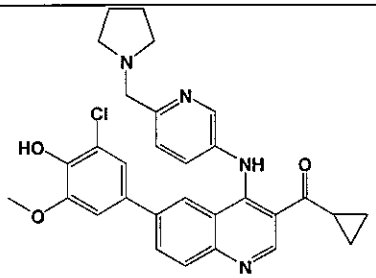
624		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)methanone	506
625		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	536
626		(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	533
627		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	552
628		(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	549
629		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	490

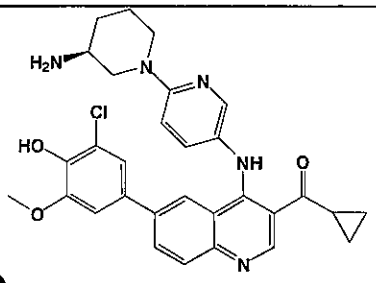
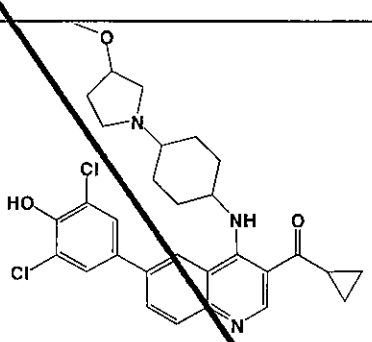
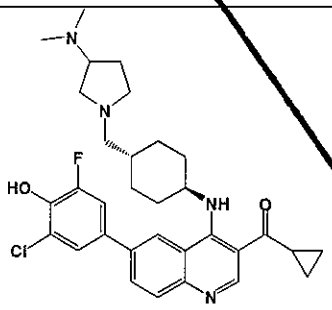
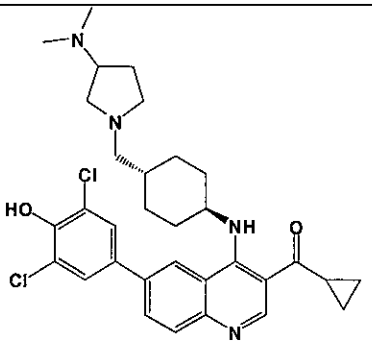
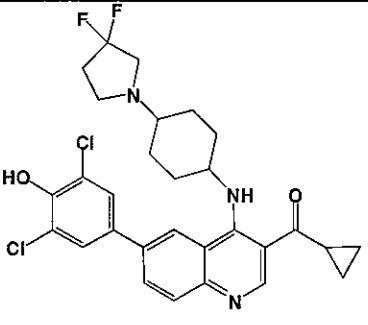
630		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	550
631		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	538
632		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	526
633		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	538
634		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone	542
635	 •HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	542

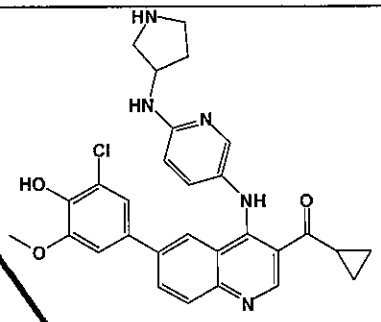
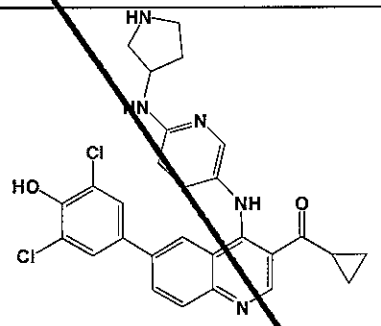
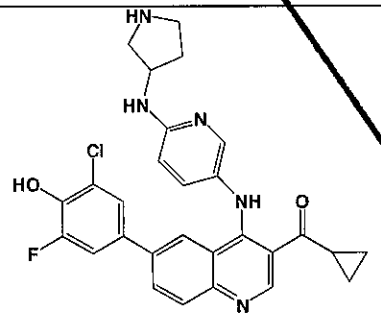
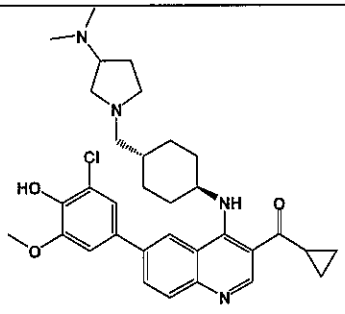
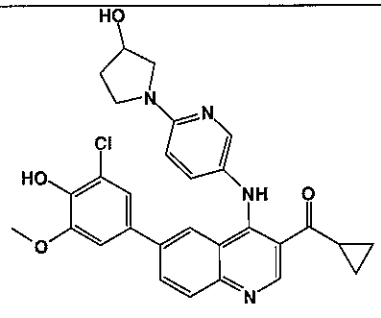
636		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	551
637		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	563
638		(4-(4-amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	484
639		(4-(4-amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	480
640		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	504
641		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	508

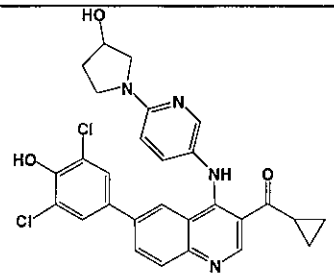
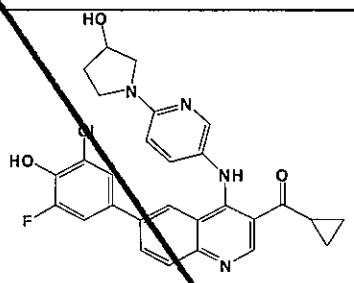
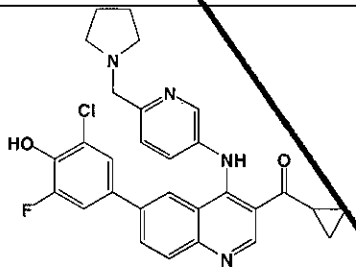
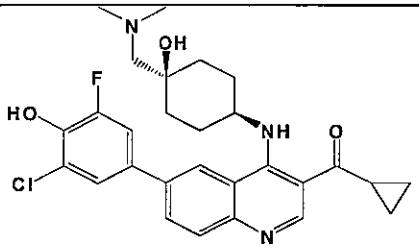
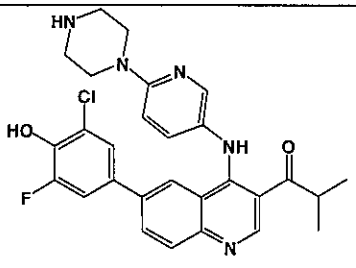
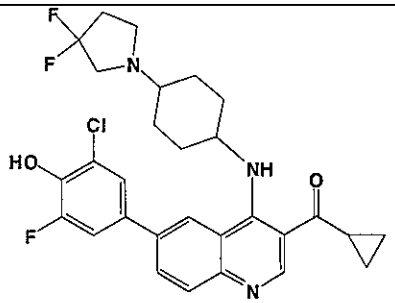
642		(R)-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	526
643		(R)-cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	542
644		(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	545
645		(R)-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	538
646		(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548
647	 •3HCl	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	548

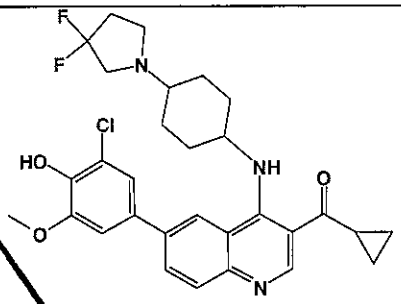
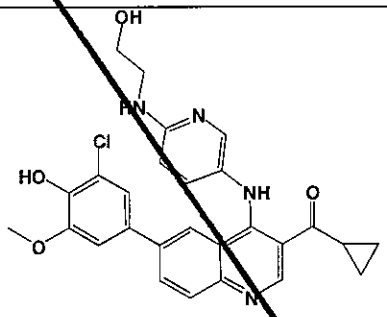
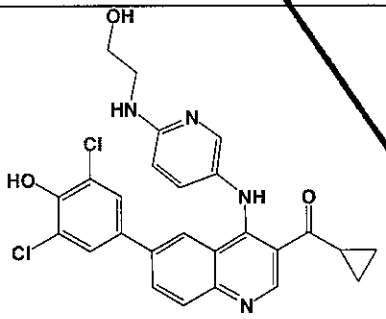
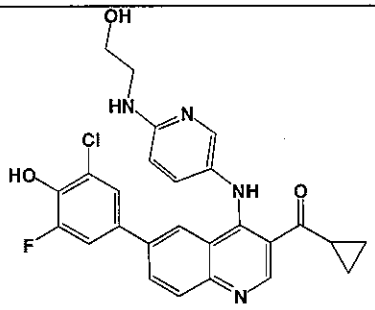
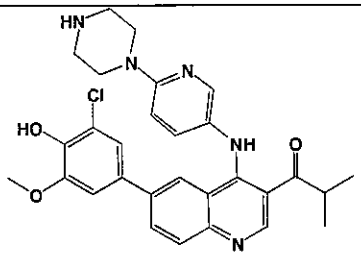
648		(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	532
649		(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	544
650		(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548
651		(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	532
652		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)methanone	528
653		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	531

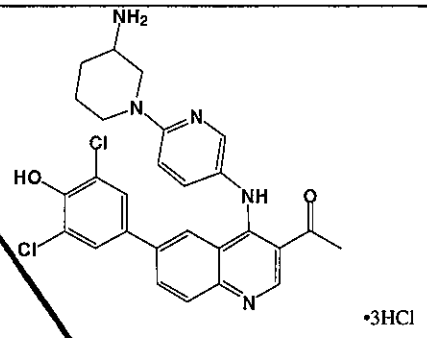
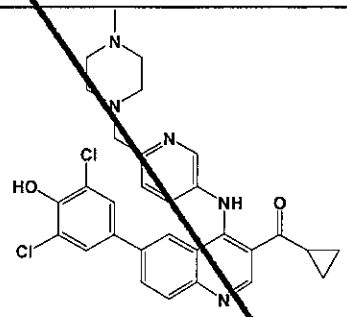
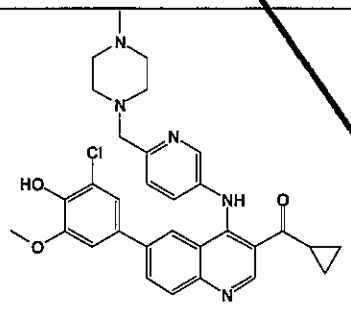
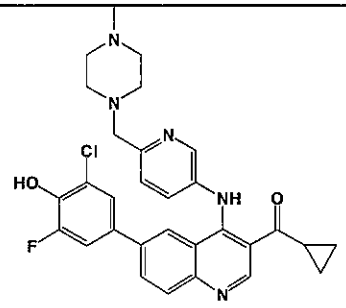
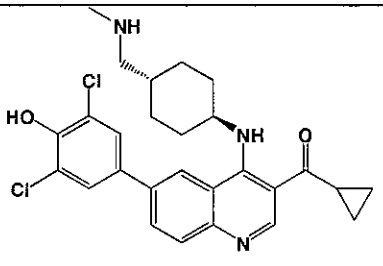
654		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	535
655	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)methyl)phenyl)amino)quinolin-3-yl)ethanone	535
656		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	519
657		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((dimethylamino)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone	507
658		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	533
659		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	529

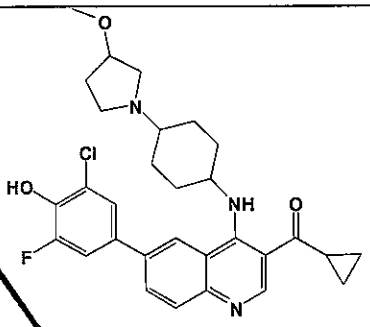
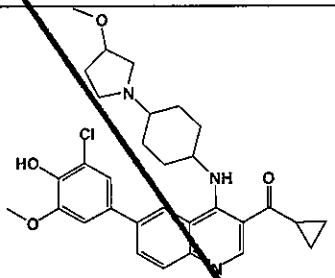
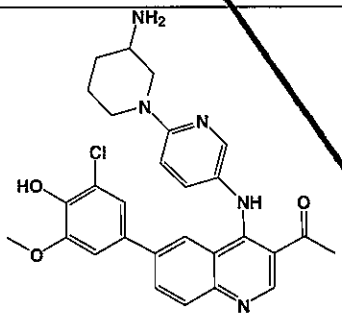
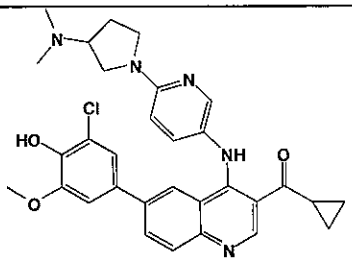
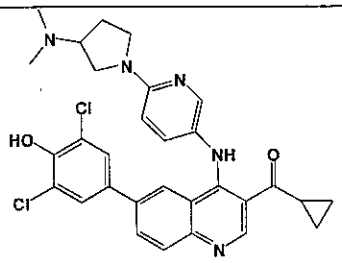
660		(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	544
661		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	555
662		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	565
663		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	582
664		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	560

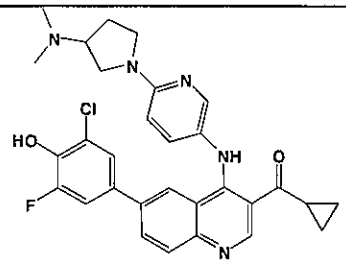
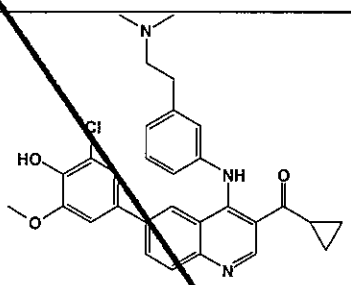
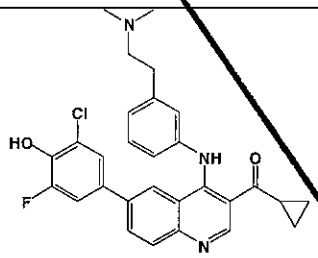
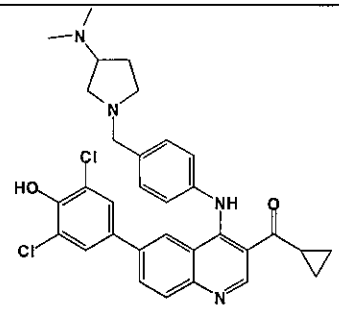
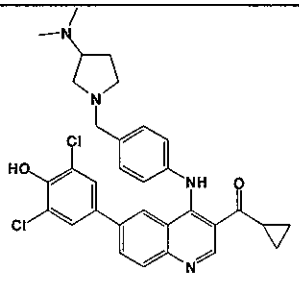
665		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	530
666		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	534
667		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	518
668		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	577
669		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	531

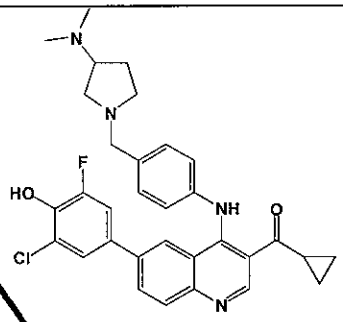
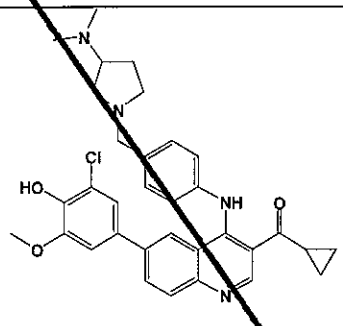
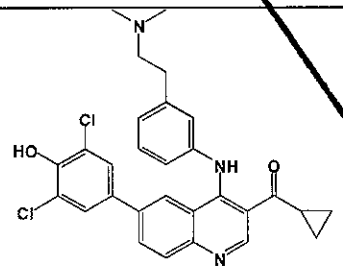
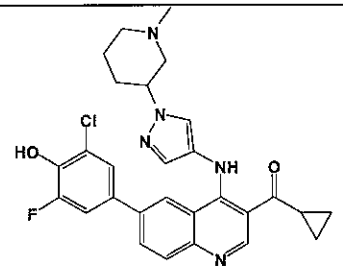
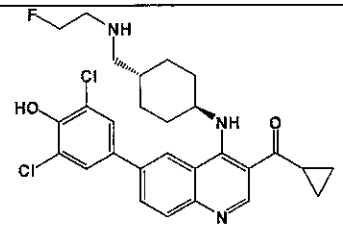
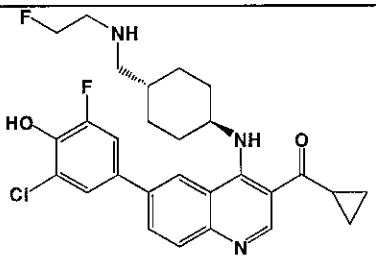
670		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	535
671		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	519
672		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	517
673		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	512
674		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	520
675		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	544

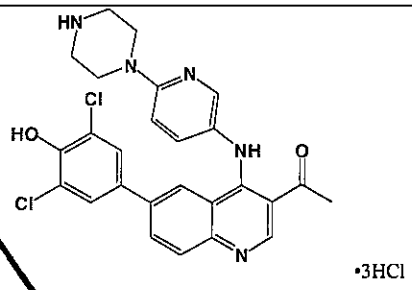
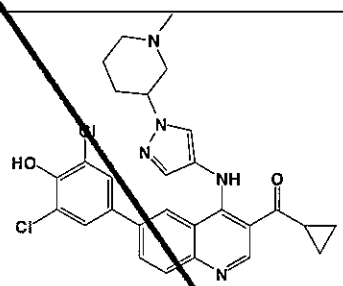
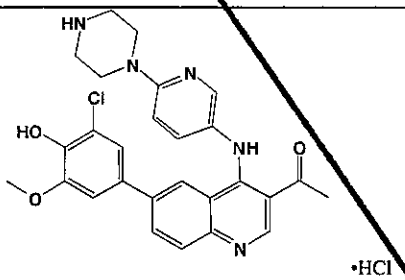
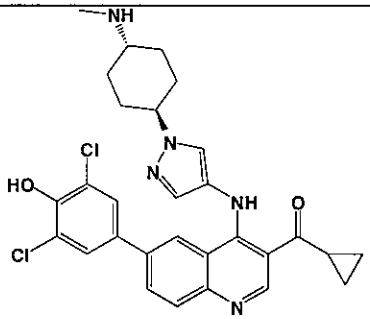
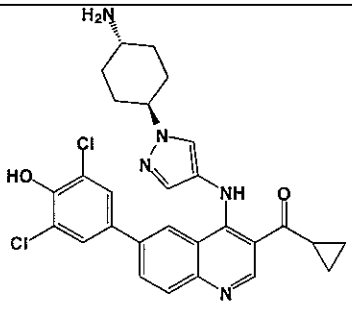
676		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	556
677		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	505
678		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	509
679		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	493
680		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	532

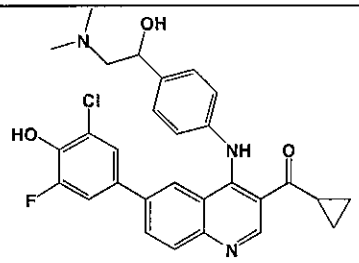
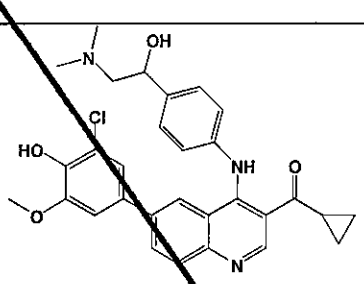
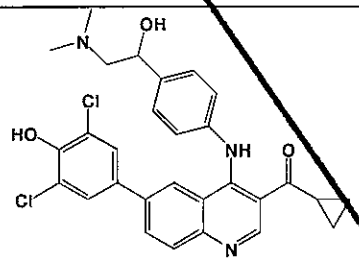
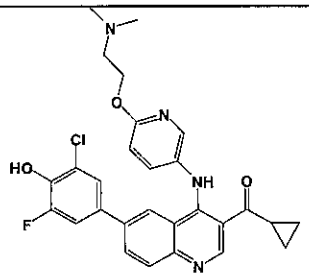
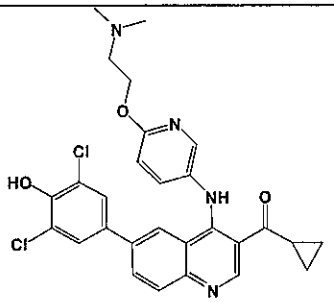
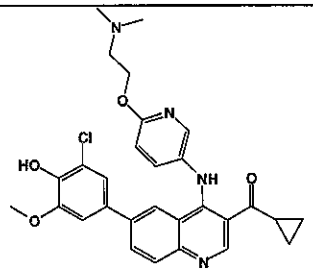
681	 •3HCl	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone	522
682		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone	562
683		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	558
684		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	546
685		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((methylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	498

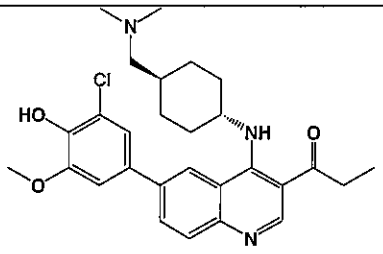
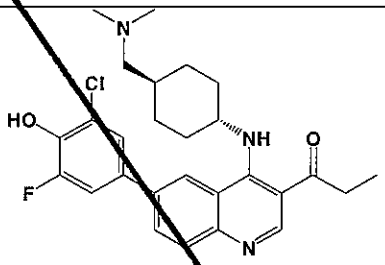
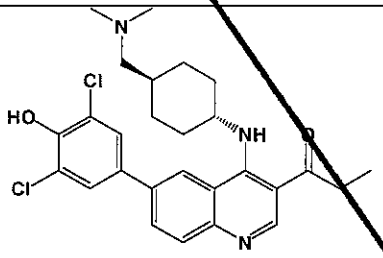
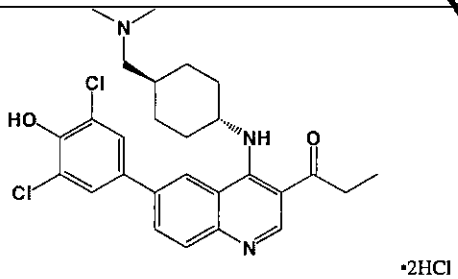
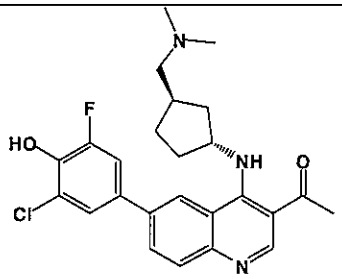
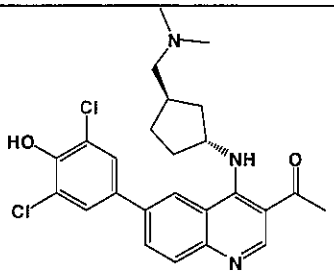
686		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	538
687		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	550
688		1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	518
689		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	558
690		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	562

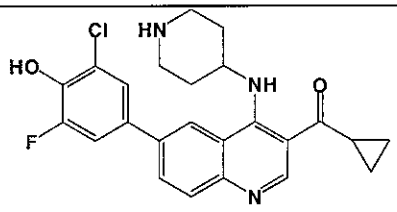
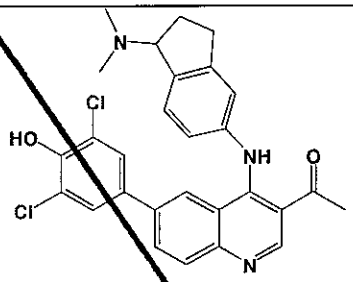
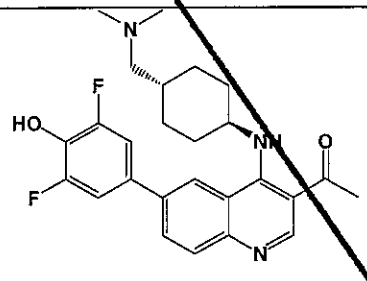
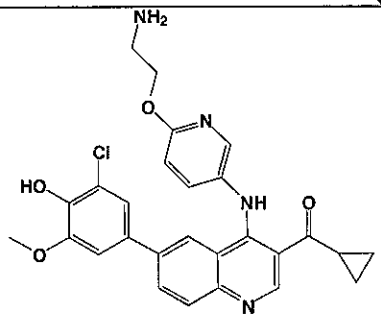
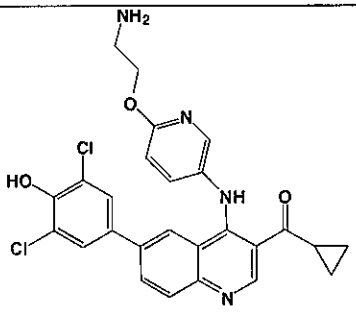
691		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	546
692		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	516
693		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	504
694		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	576
695		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone hydrochloride	576

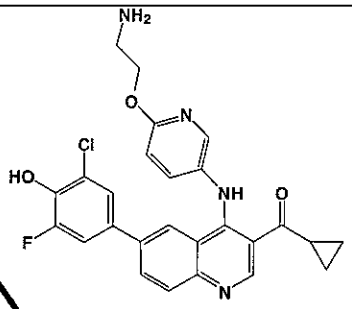
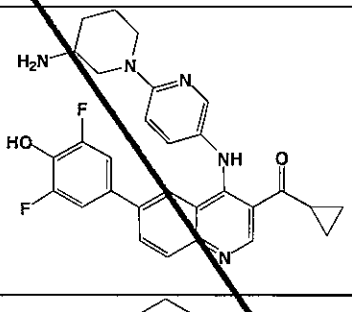
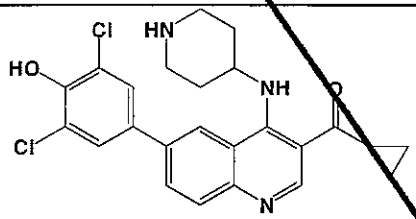
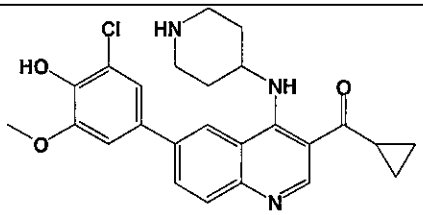
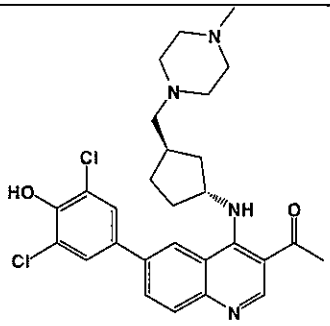
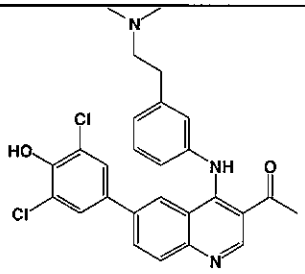
696		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	559
697		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	571
698		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	520
699		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	520
700		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((2-fluoroethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	530
701		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((2-fluoroethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	514

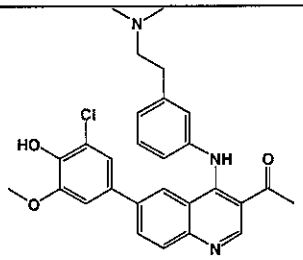
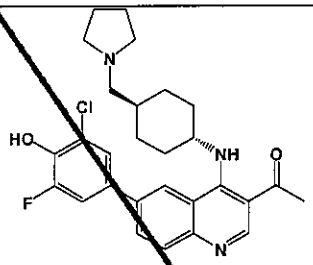
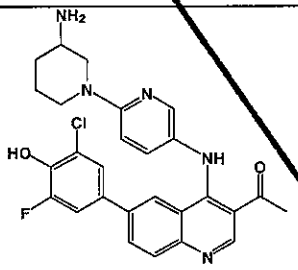
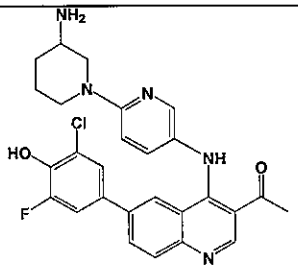
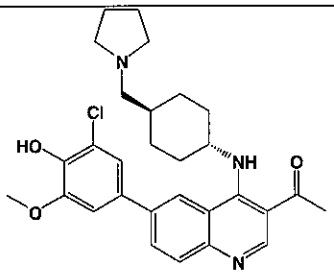
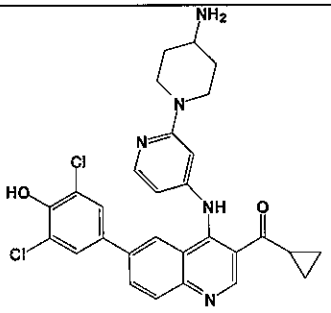
702	 •3HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	508
703		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	536
704	 •HCl	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	504
705		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1R,4R)-4-(methylamino)cyclohexyl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	550
706	 •2HCl	(4-(1-(1R,4R)-4-aminocyclohexyl)-1H-pyrazol-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	536

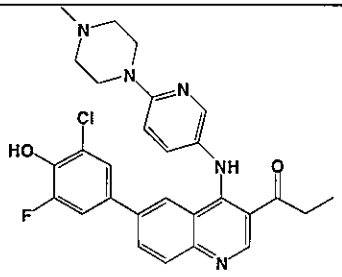
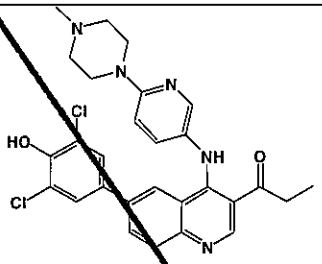
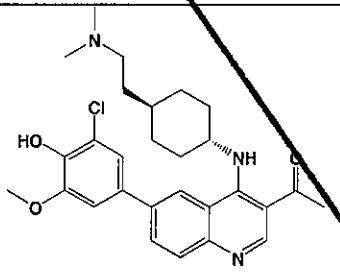
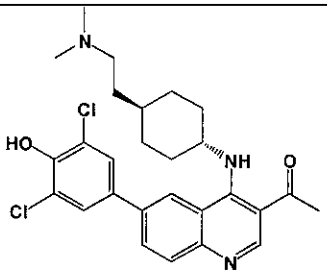
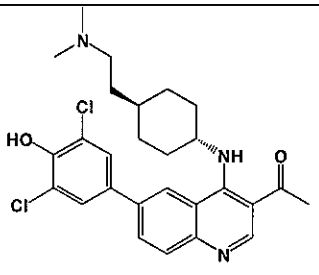
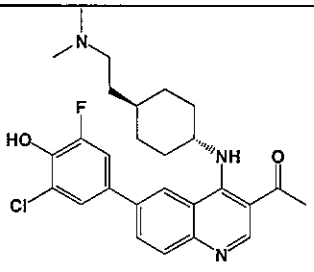
707		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	520
708		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	532
709		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)methanone	536
710		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	521
711		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)methanone	537
712		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	533

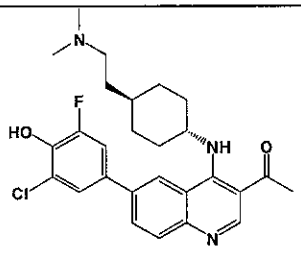
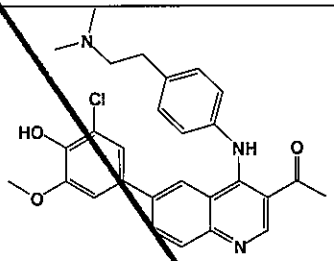
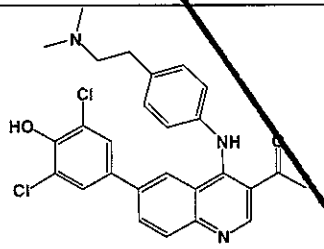
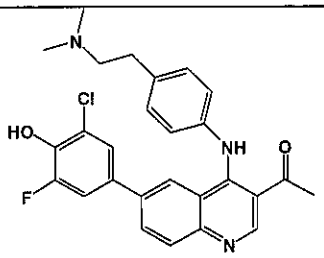
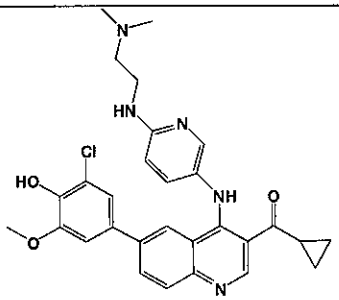
713		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	496
714		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	484
715		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	500
716		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one dihydrochloride	500
717		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	456
718		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	472

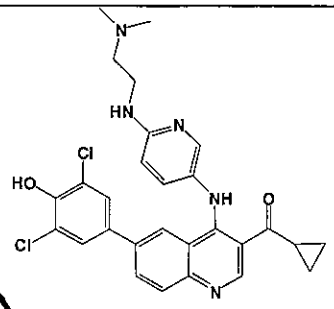
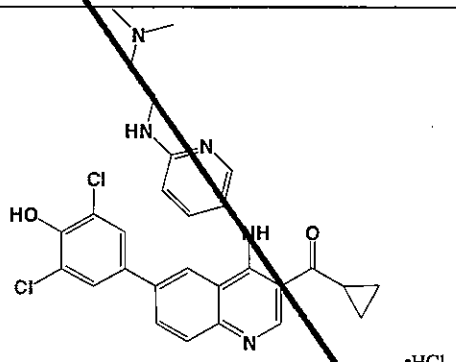
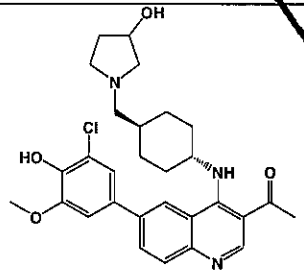
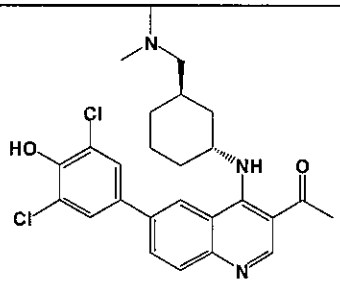
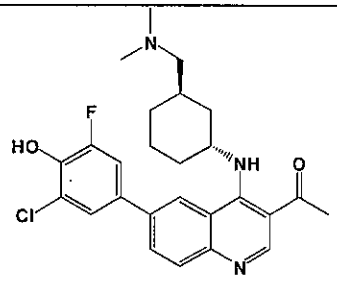
719		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	440
720		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(dimethylamino)-2,3-dihydro-1H-inden-5-ylamino)quinolin-3-yl)ethanone	506
721		1-(6-(3,5-difluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	454
722		(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	505
723		(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	509

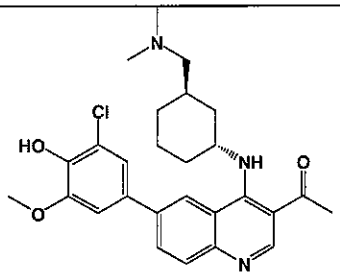
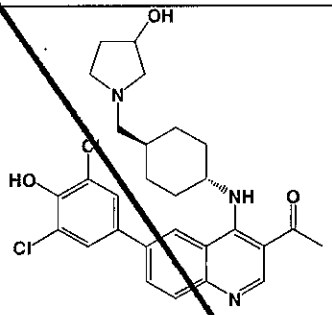
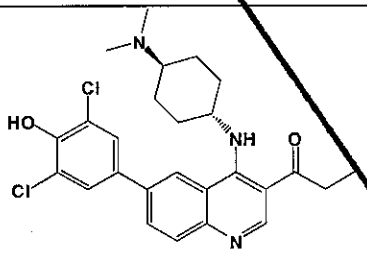
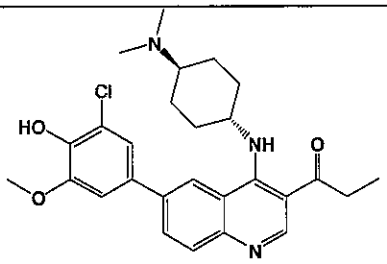
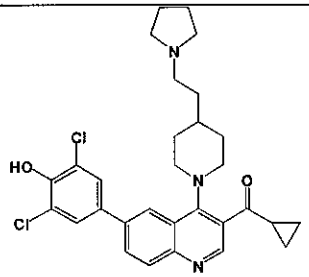
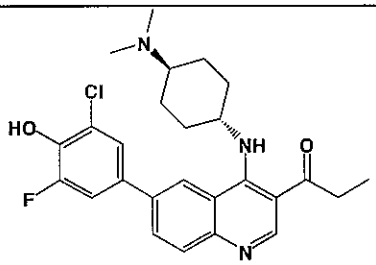
724		(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	493
725		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	516
726		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)methanone	456
727		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	452
728		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclopentylamino)quinolin-3-yl)ethanone	527
729		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	494

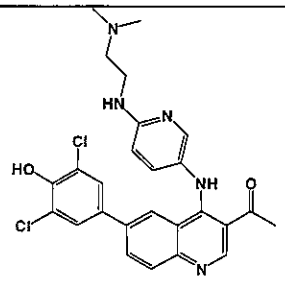
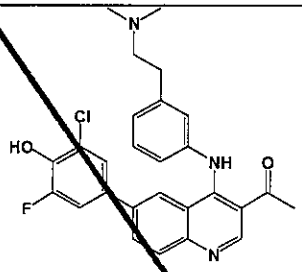
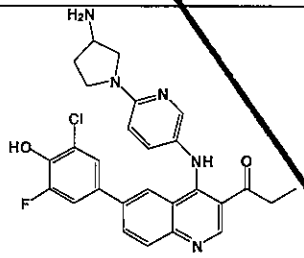
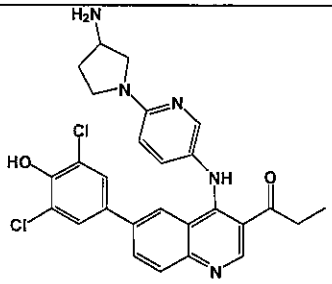
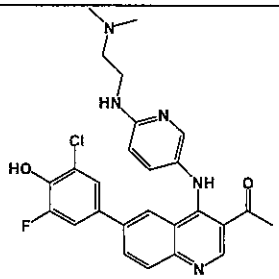
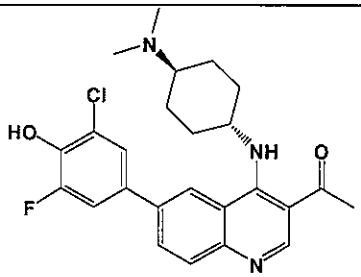
730		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	490
731		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	496
732		1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	506
733		1-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	506
734		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	508
735		(4-(2-(4-aminopiperidin-1-yl)pyridin-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548

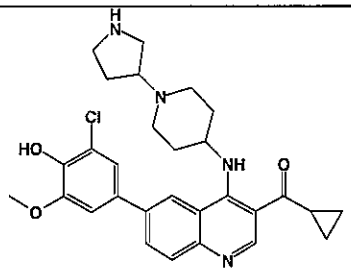
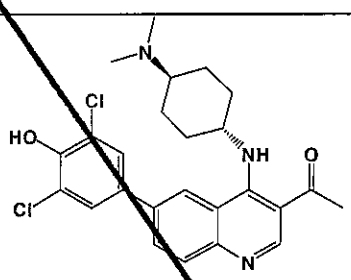
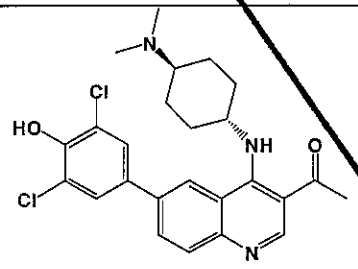
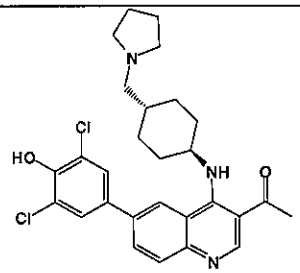
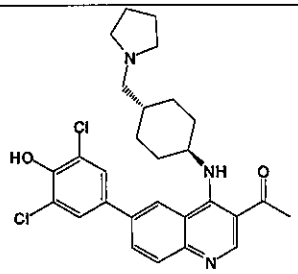
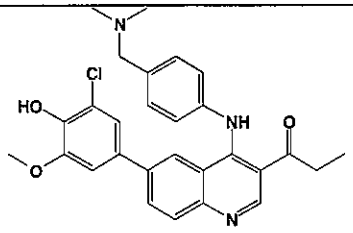
736		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	520
737		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	536
738		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	496
739		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	500
740	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	500
741		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	484

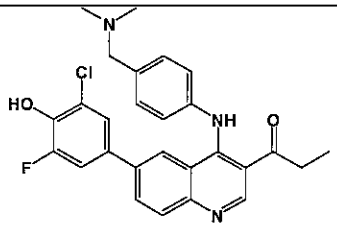
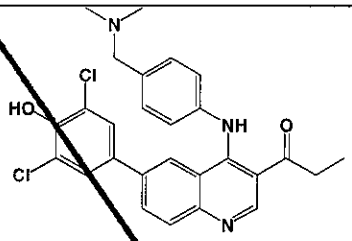
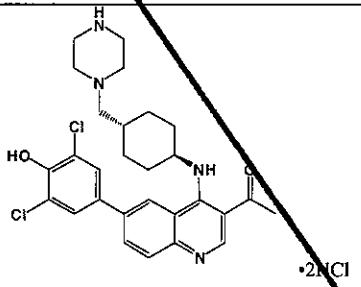
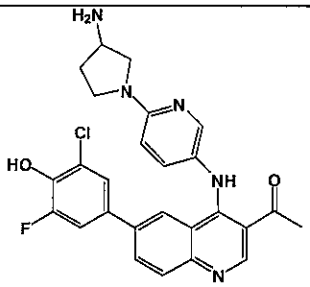
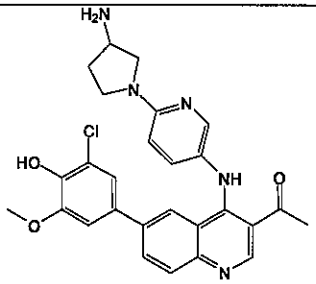
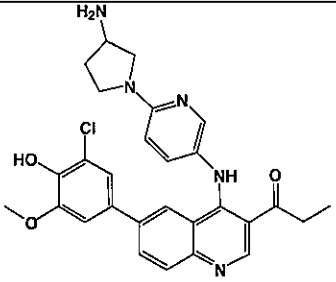
742	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone **di**hydrochloride	484
743		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	490
744		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	494
745		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	478
746		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	532

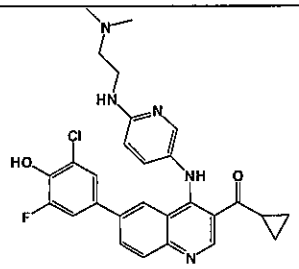
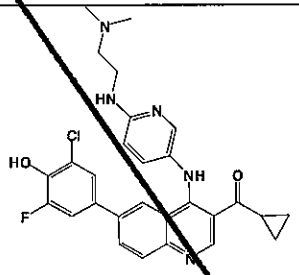
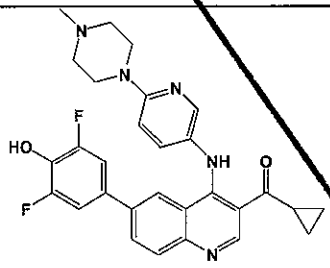
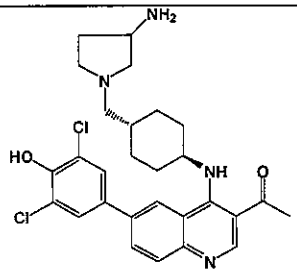
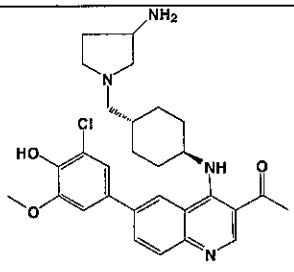
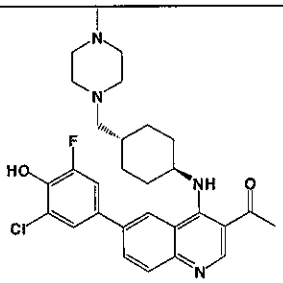
747		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	536
748		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone hydrochloride	536
749		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	524
750		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	486
751		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	470

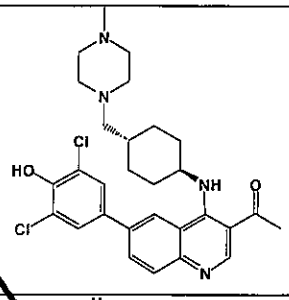
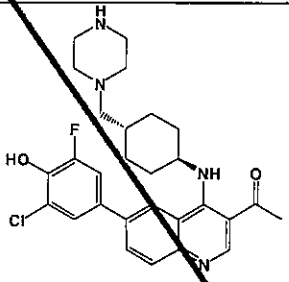
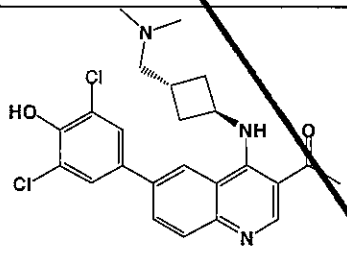
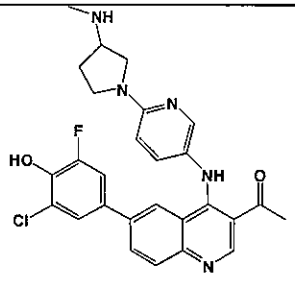
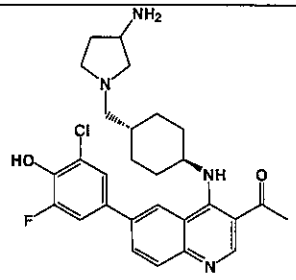
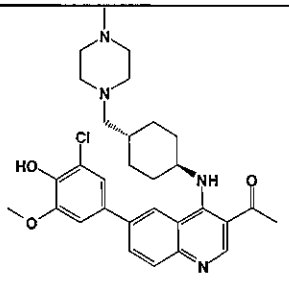
752		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	482
753		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	528
754		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	486
755		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	482
756		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl)quinolin-3-yl)methanone	539
757		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	470

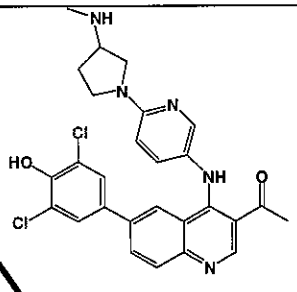
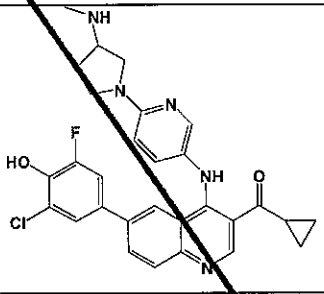
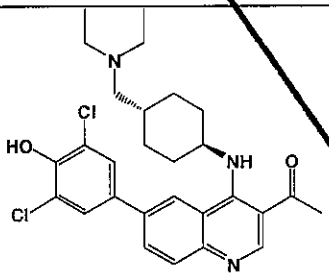
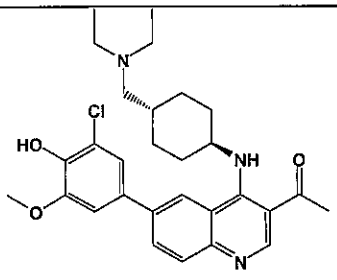
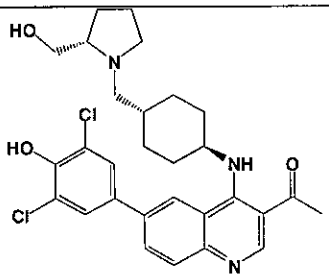
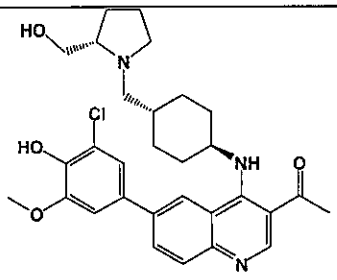
758		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	510
759		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	478
760		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	506
761		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	522
762		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	494
763		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	456

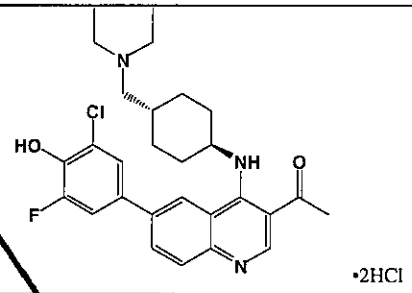
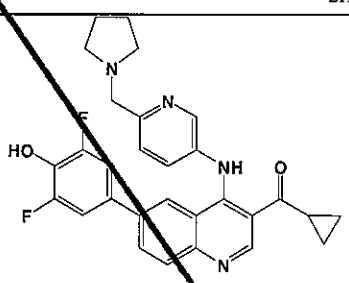
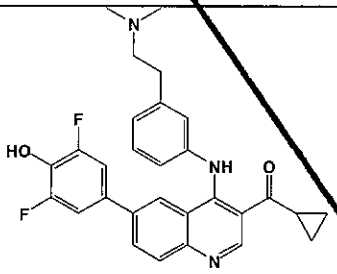
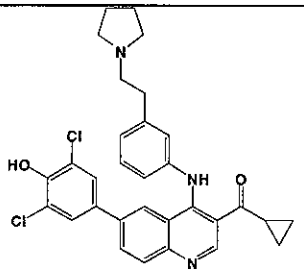
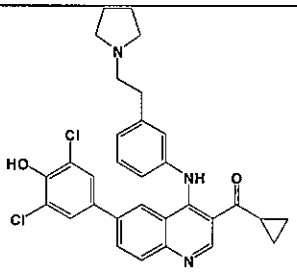
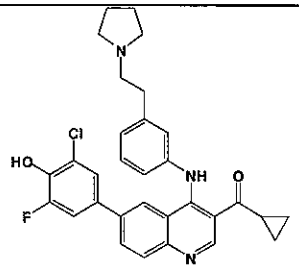
764		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(pyrrolidin-3-yl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	521
765		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	472
766		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	472
767		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	512
768		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	512
769		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	490

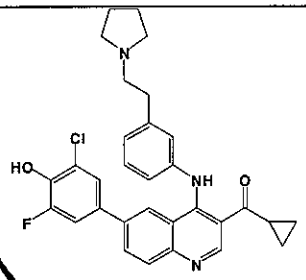
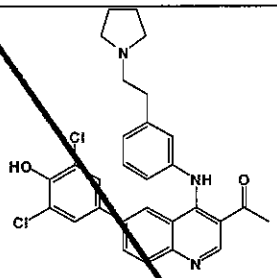
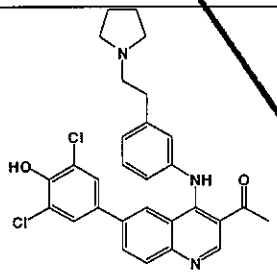
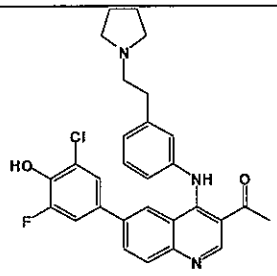
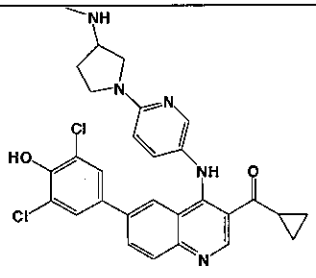
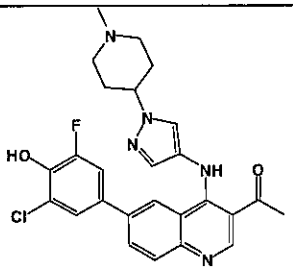
770		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	478
771		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	494
772		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	527
773		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	492
774		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	504
775		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)propan-1-one	518

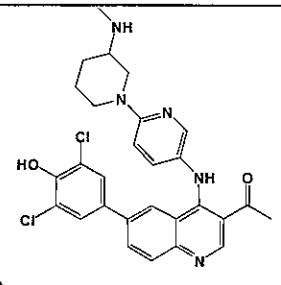
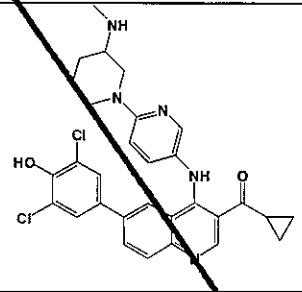
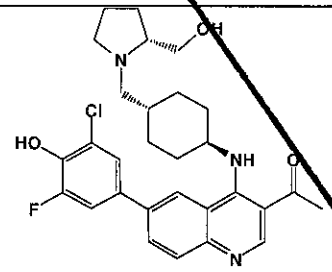
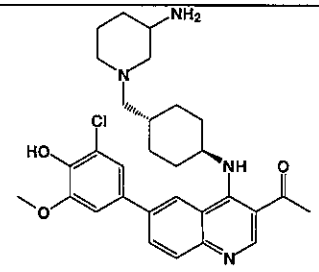
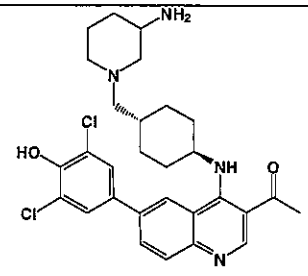
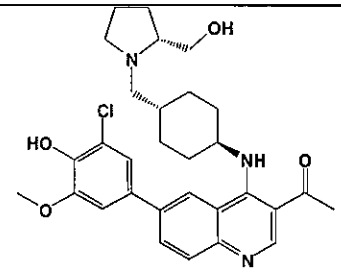
776		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	520
777	 •3HCl	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	520
778		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	516
779	 •HCl	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	527
780	 •HCl	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	523
781	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	525

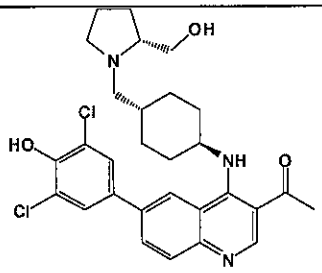
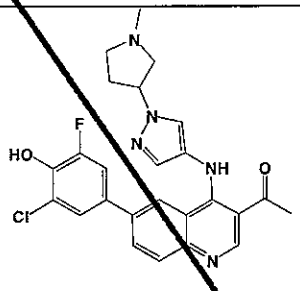
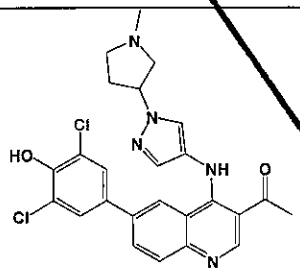
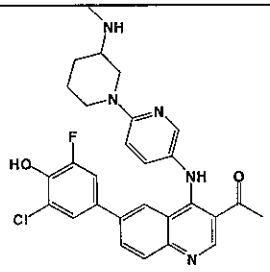
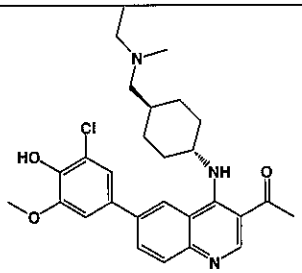
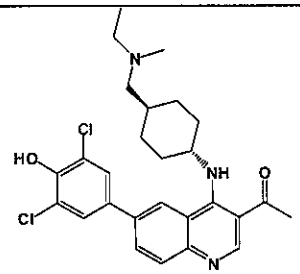
782	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	542
783	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	511
784		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1r,3r)-3-((dimethylamino)methyl)cyclobutylamino)quinolin-3-yl)ethanone	458
785	 •3HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	506
786	 •HCl	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	511
787	 •HCl	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	537

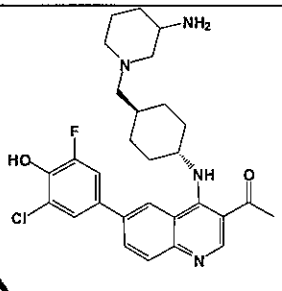
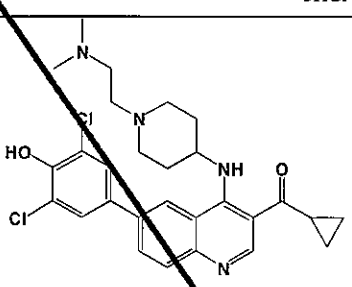
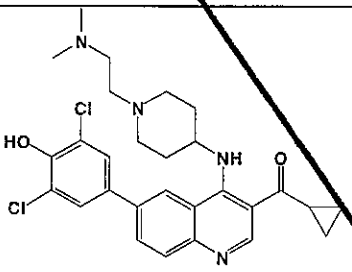
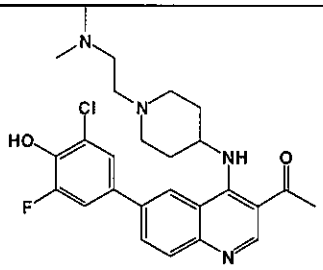
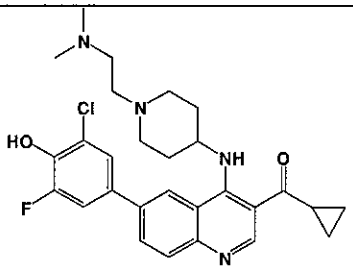
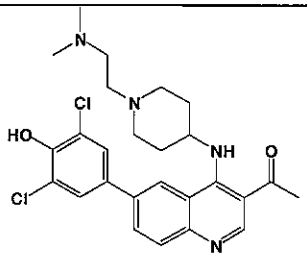
788	 •3HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	522
789	 •3HCl	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	532
790	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	514
791	 •HCl	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	510
792		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4R)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	542
793		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1S,4R)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	538

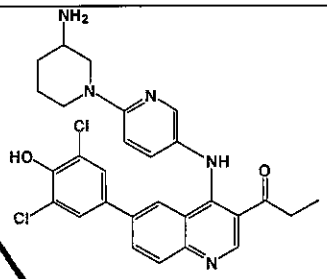
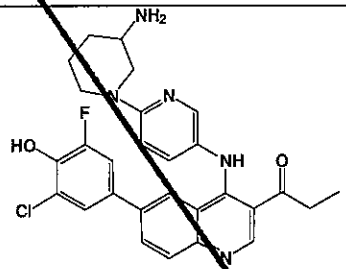
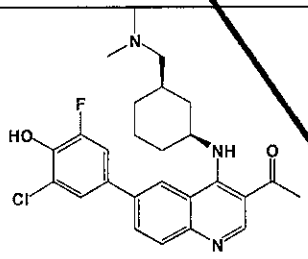
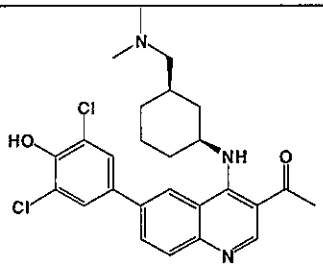
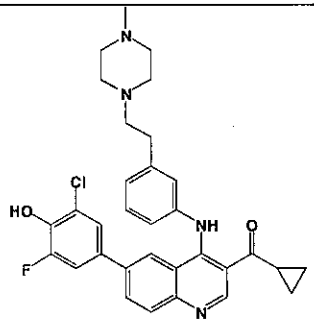
794	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	498
795		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	501
796		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	488
797		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	546
798	 •2HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	546
799		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	530

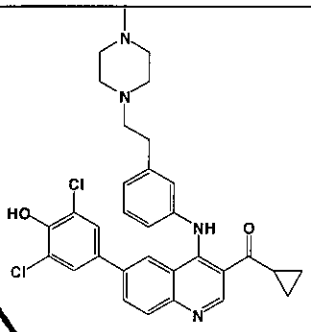
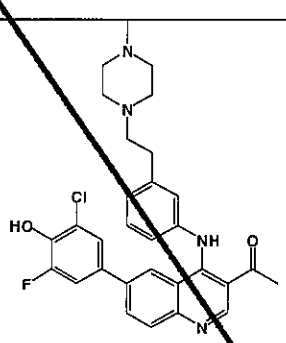
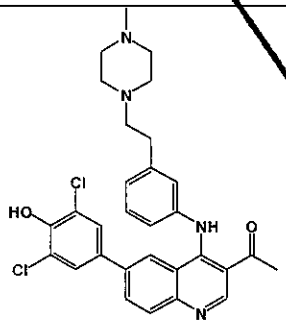
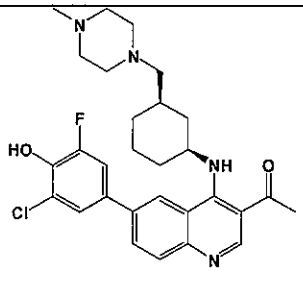
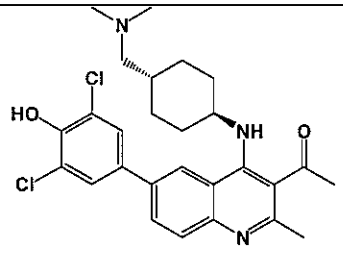
800	 •2HCl	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	530
801		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	520
802	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	520
803		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	504
804	 •3HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	548
805	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone hydrochloride	494

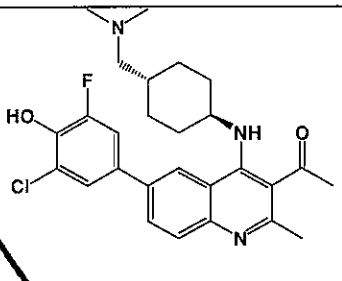
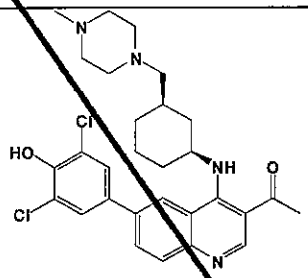
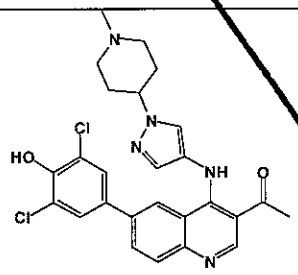
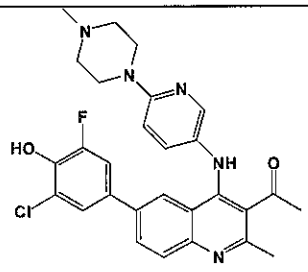
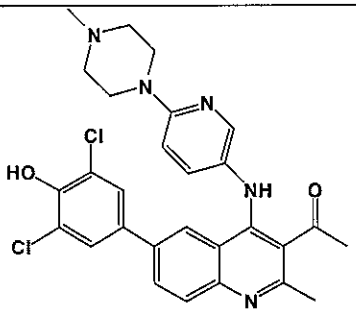
806	 •3HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	536
807	 •3HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	562
808		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	526
809	 •HCl	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	537
810	 •3HCl	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	542
811		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	538

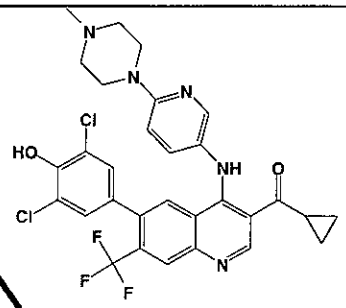
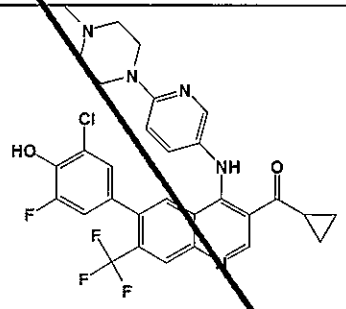
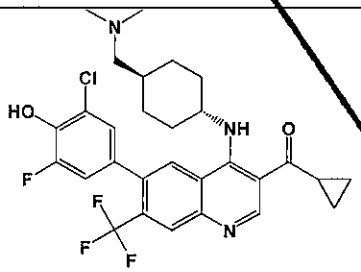
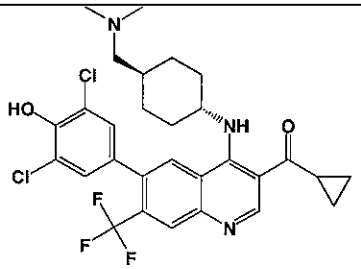
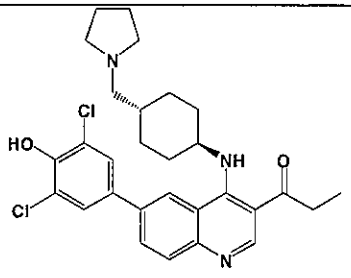
812		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	542
813	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	480
814	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	496
815	 •3HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	520
816	 •HCl	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	496
817	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	500

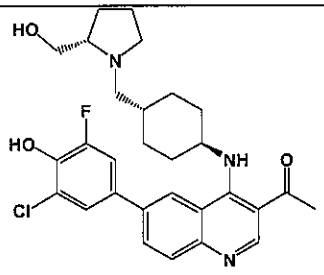
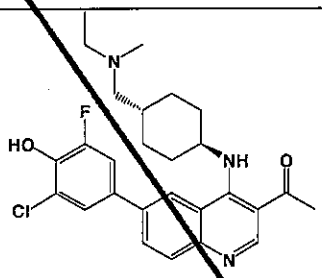
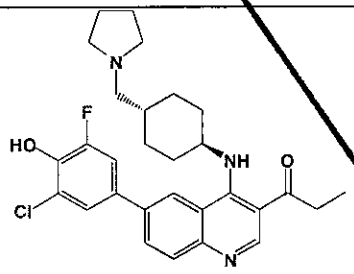
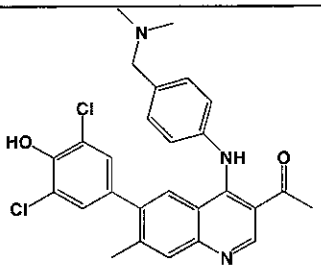
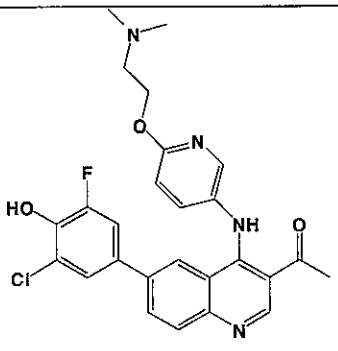
818	 •3HCl	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	525
819		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	527
820		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	527
821		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	485
822		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	511
823		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	501

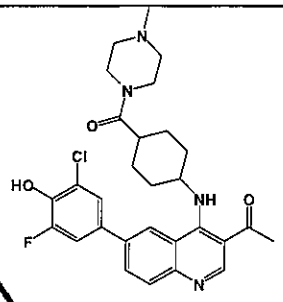
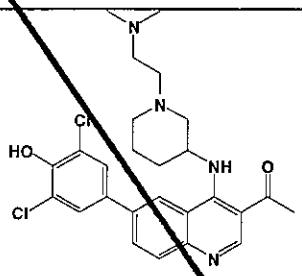
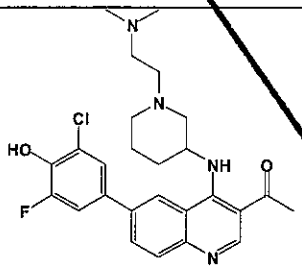
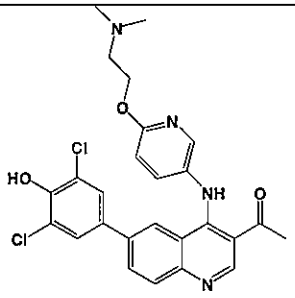
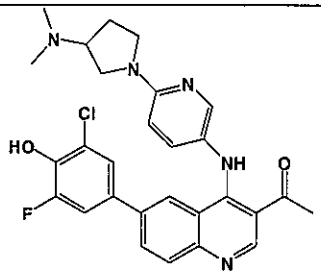
824	 •3HCl	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	536
825	 •3HCl	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	520
826	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	470
827	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	486
828		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	559

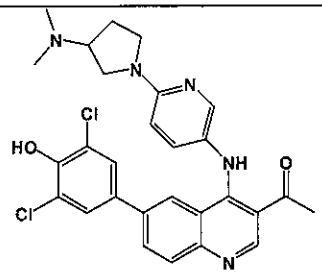
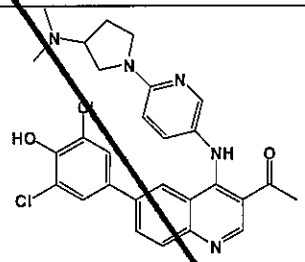
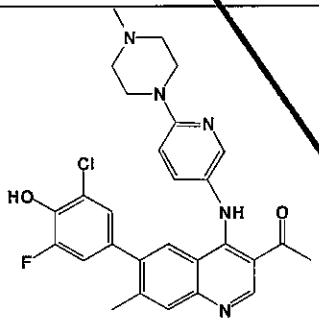
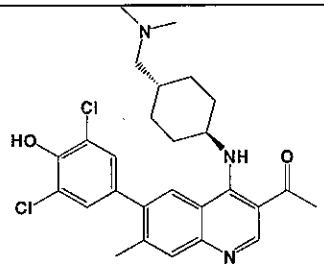
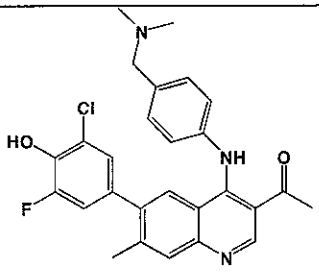
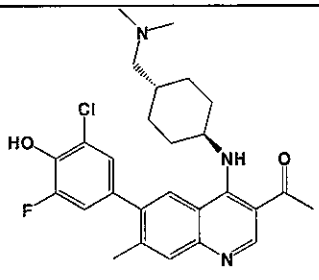
829		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	576
830		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	533
831		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	549
832		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	525
833		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-2-methylquinolin-3-yl)ethanone hydrochloride	500

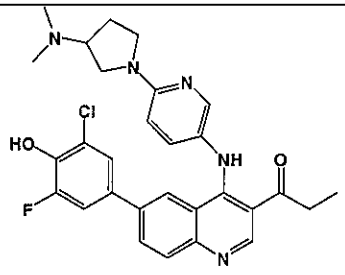
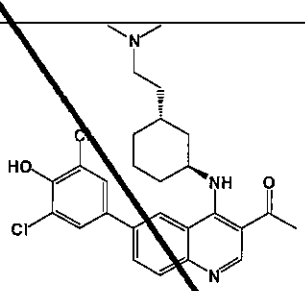
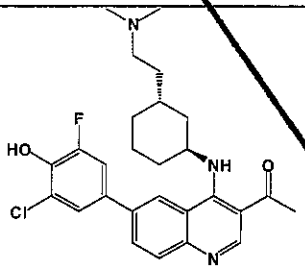
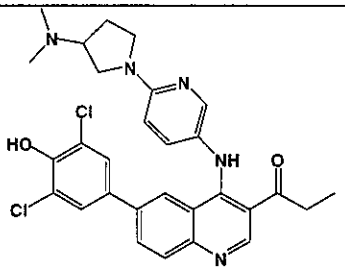
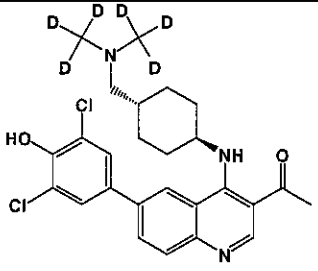
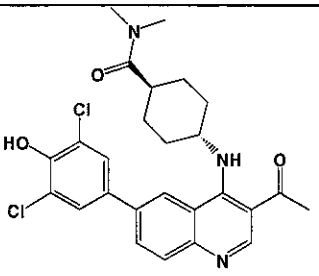
834	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-2-methylquinolin-3-yl)ethanone hydrochloride	484
835	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	542
836	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	510
837	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-2-methyl-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	520
838	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-2-methyl-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	536

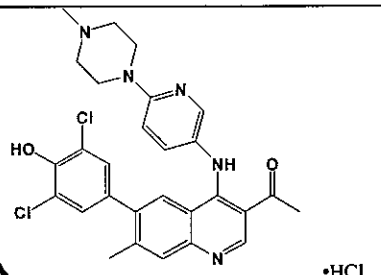
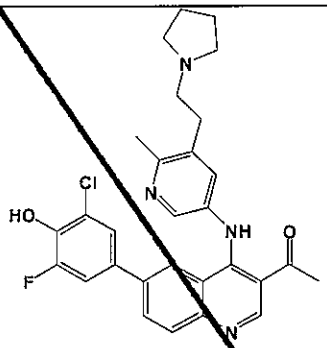
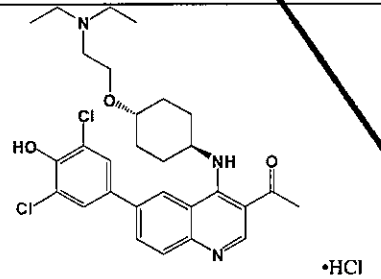
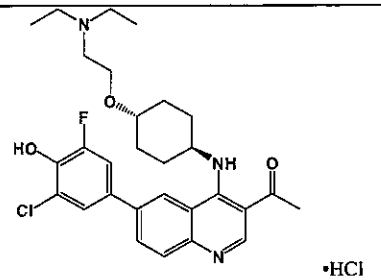
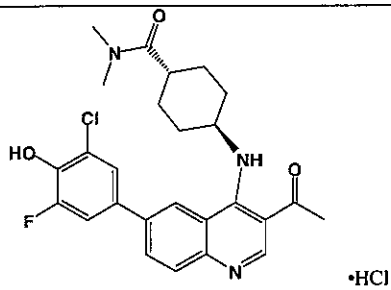
839		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)-7-(trifluoromethyl)quinolin-3-yl)methanone	616
840		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)-7-(trifluoromethyl)quinolin-3-yl)(cyclopropyl)methanone	600
841		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-7-(trifluoromethyl)quinolin-3-yl)(cyclopropyl)methanone	564
842		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-7-(trifluoromethyl)quinolin-3-yl)methanone	580
843	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	526

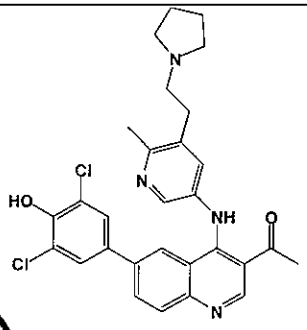
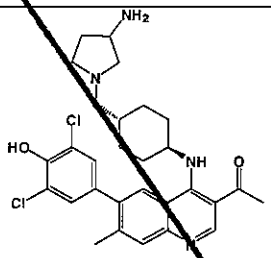
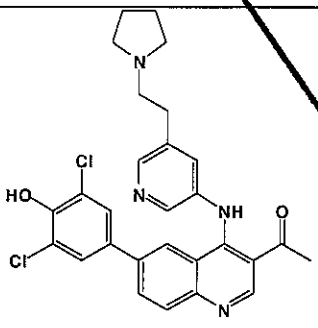
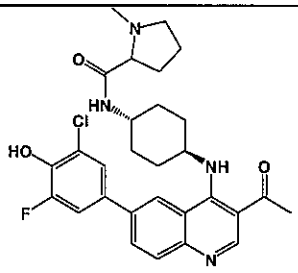
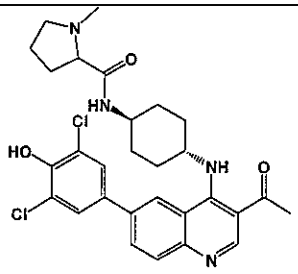
844		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	526
845	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	484
846	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	510
847	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-methylquinolin-3-yl)ethanone hydrochloride	494
848	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	495

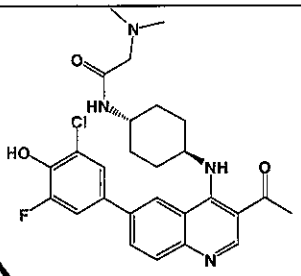
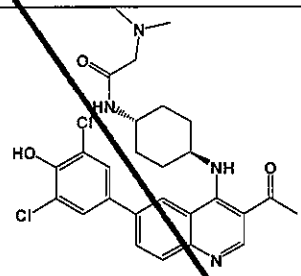
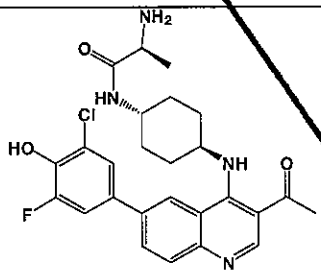
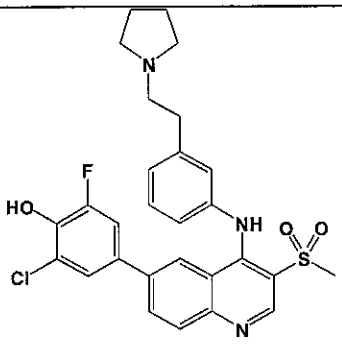
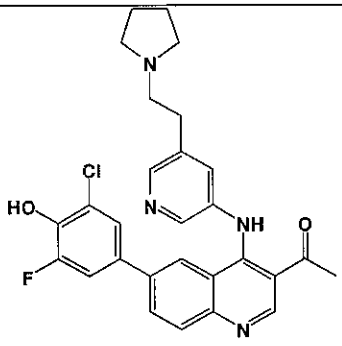
849	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(4-methylpiperazine-1-carbonyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	539
850		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-3-ylamino)quinolin-3-yl)ethanone	501
851		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-3-ylamino)quinolin-3-yl)ethanone	485
852	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone dihydrochloride	511
853		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	520

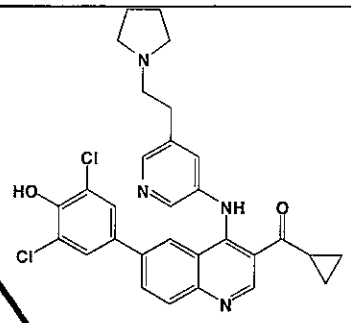
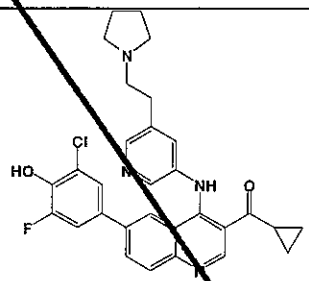
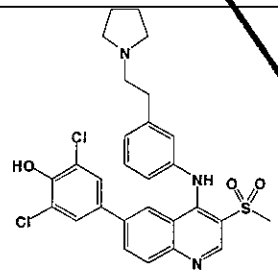
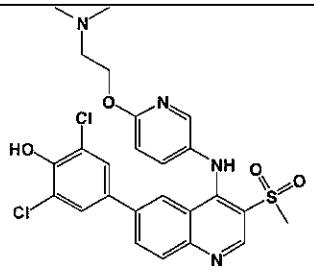
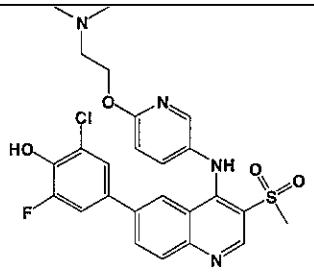
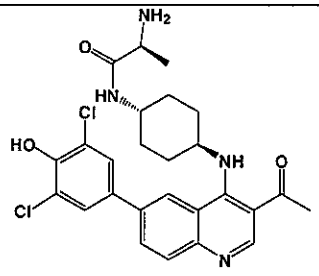
854		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	536
855	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	536
856	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-methyl-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	520
857		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-methylquinolin-3-yl)ethanone	500
858	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)-7-methylquinolin-3-yl)ethanone hydrochloride	478
859	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-methylquinolin-3-yl)ethanone hydrochloride	484

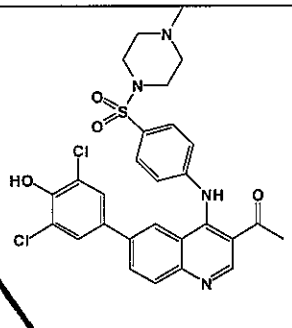
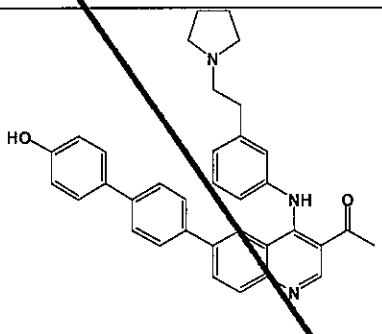
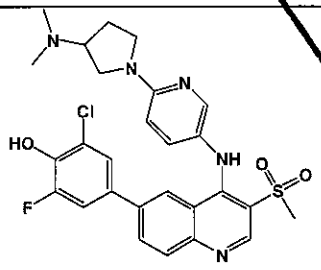
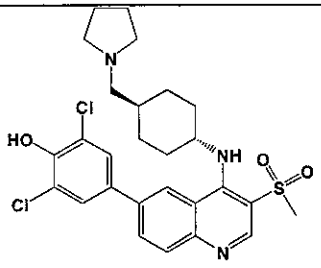
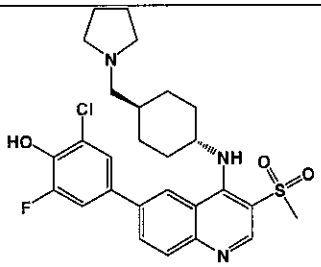
860		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)propan-1-one	534
861	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	500
862	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	484
863		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)propan-1-one	550
864	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((bis-(trideuteromethyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride	492
865	 •HCl	(1r,4r)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-N,N-dimethylcyclohexanecarboxamide hydrochloride	500

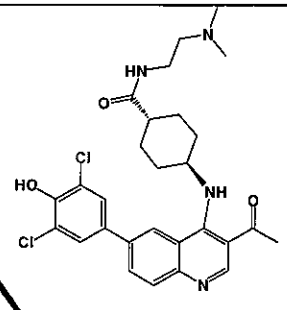
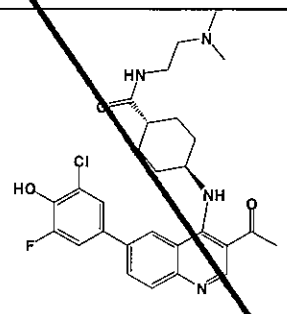
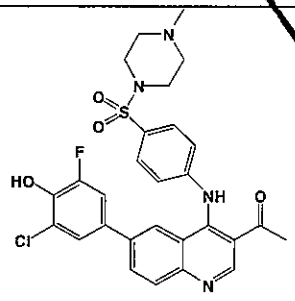
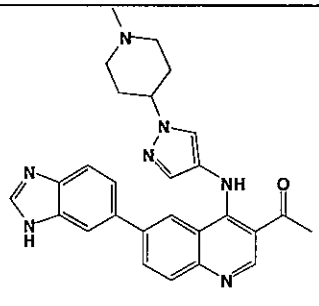
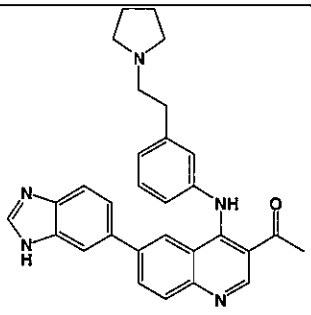
866	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-methyl-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	536
867		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	519
868	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(diethylamino)ethoxy)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	545
869	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(diethylamino)ethoxy)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	528
870	 •HCl	(1r,4r)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)-N,N-dimethylcyclohexanecarboxamide hydrochloride	484

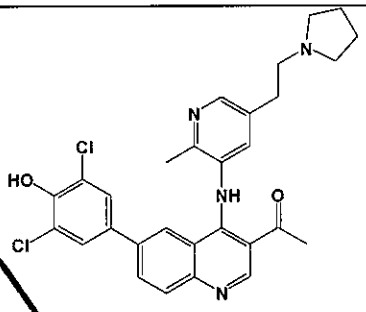
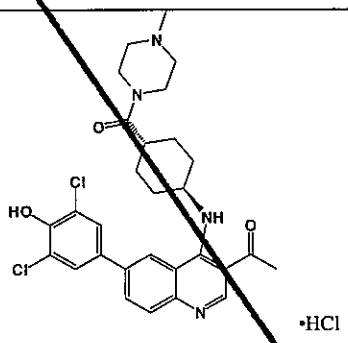
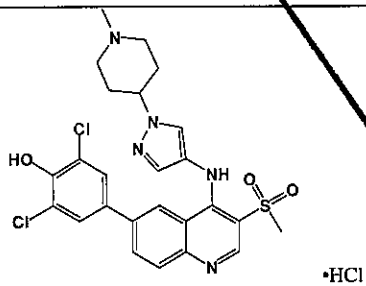
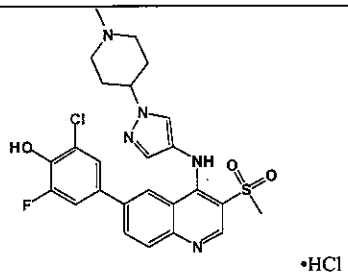
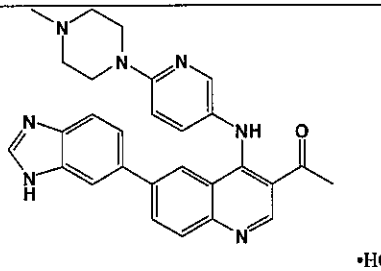
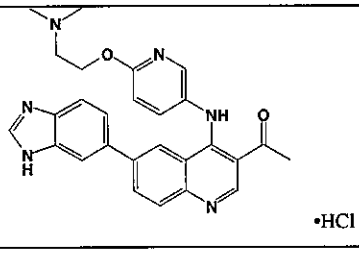
871		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	535
872	 •HCl	1-(4-((1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexyl)amino)-6-(3,5-dichloro-4-hydroxyphenyl)-7-methylquinolin-3-yl)ethanone hydrochloride	542
873	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	521
874	 •HCl	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-1-methylpyrrolidine-2-carboxamide hydrochloride	539
875	 •HCl	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-1-methylpyrrolidine-2-carboxamide hydrochloride	555

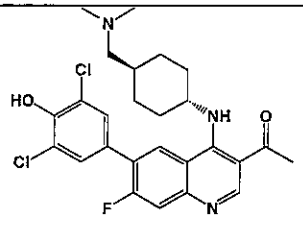
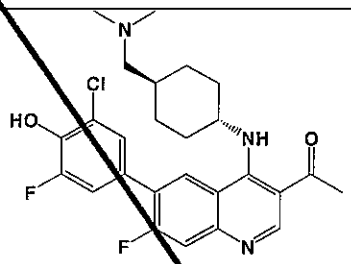
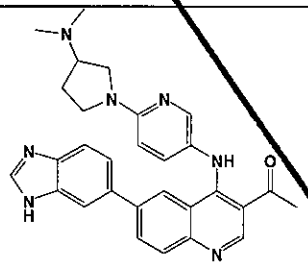
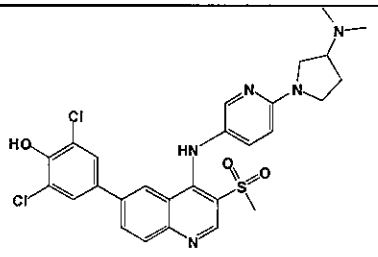
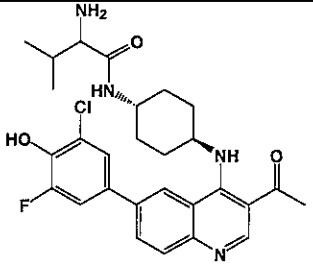
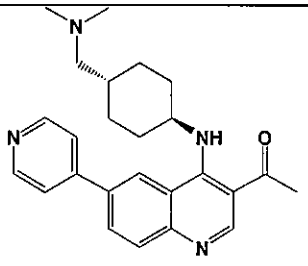
876	 •2HCl	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethyl amino)acetamide dihydrochloride	513
877	 •HCl	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl) amino)cyclohexyl)-2-(dimethylamino)acetamide hydrochloride	529
878	 •2HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino propanamide dihydrochloride	499
879	 •HCl	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl) phenyl)amino)quinolin-6-yl)phenol hydrochloride	540
880	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl) pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	505

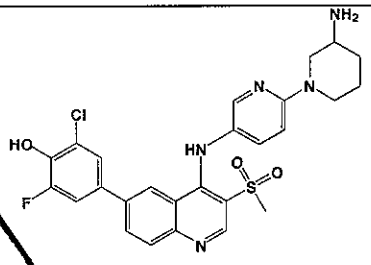
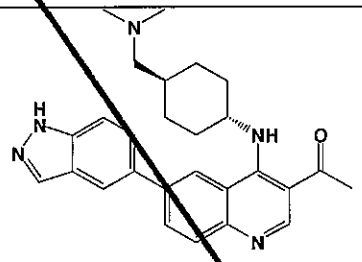
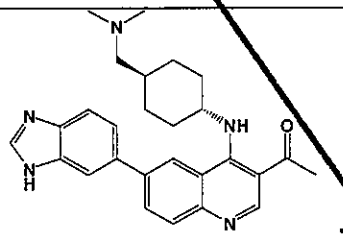
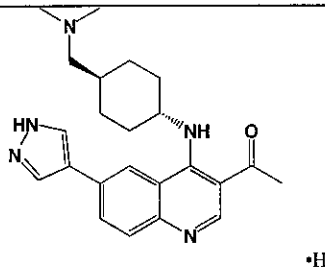
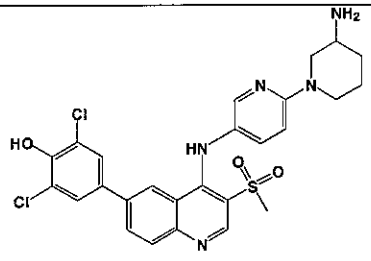
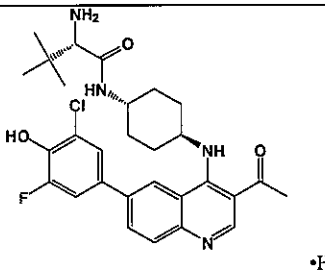
881		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)methanone	547
882		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone	531
883	 •HCl	2,6-dichloro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	557
884	 •HCl	2,6-dichloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	547
885	 •HCl	2-chloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride	531
886	 •2HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	515

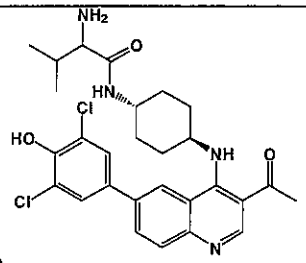
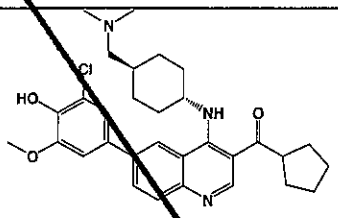
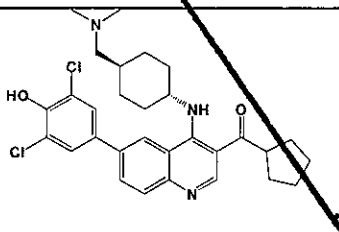
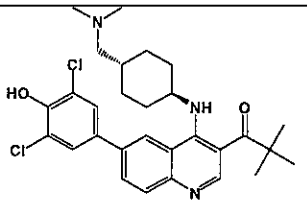
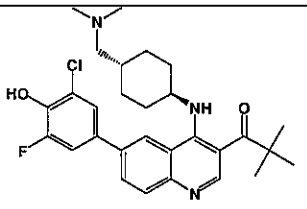
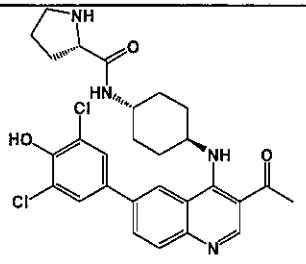
887	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)sulfonyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	586
888	 •HCl	1-(6-(4'-hydroxy-[1,1'-biphenyl]-4-yl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	528
889	 •HCl	2-chloro-4-(4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride	556
890	 •HCl	2,6-dichloro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	549
891	 •HCl	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	532

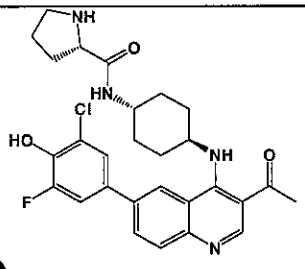
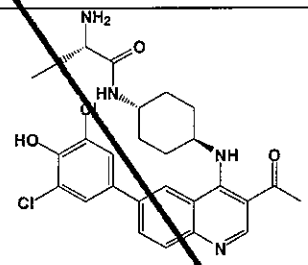
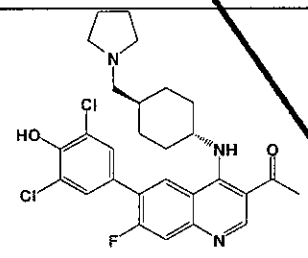
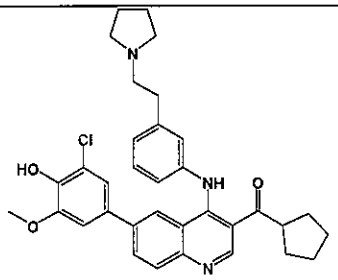
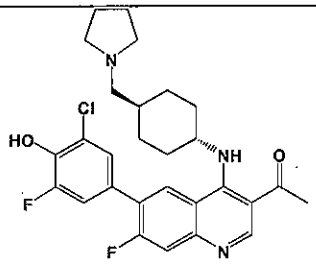
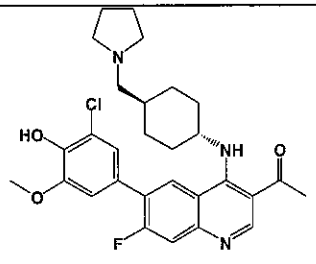
892	 •HCl	(1r,4r)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-N-(2-(dimethylamino)ethyl)cyclohexanecarboxamide hydrochloride	543
893	 •HCl	(1r,4r)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)-N-(2-(dimethylamino)ethyl)cyclohexanecarboxamide hydrochloride	527
894	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)sulfonyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	569
895	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)ethanone hydrochloride	466
896	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	476

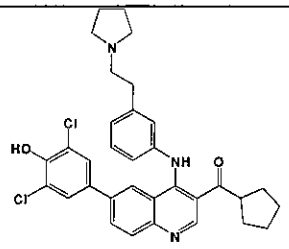
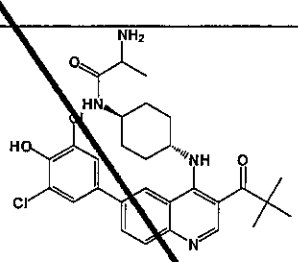
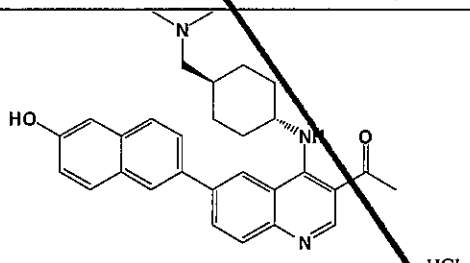
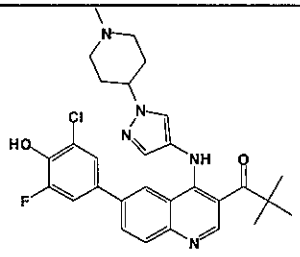
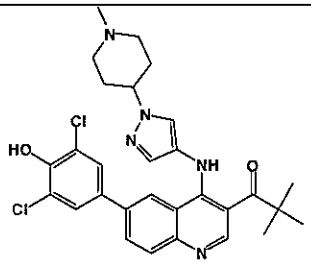
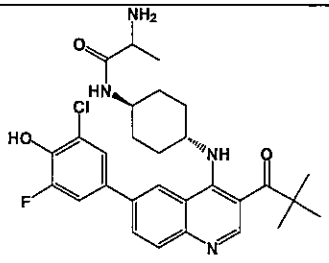
897		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((2-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	535
898	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(4-methylpiperazine-1-carbonyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	555
899	 •HCl	2,6-dichloro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	546
900	 •HCl	2-chloro-6-fluoro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	530
901	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	478
902	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	467

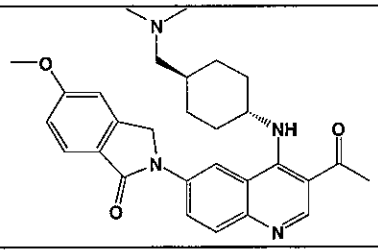
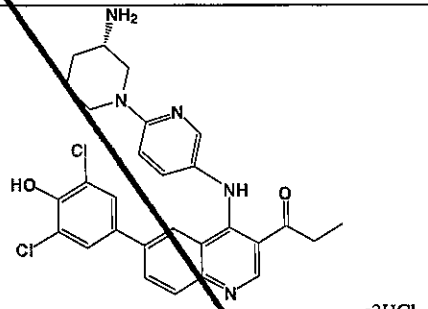
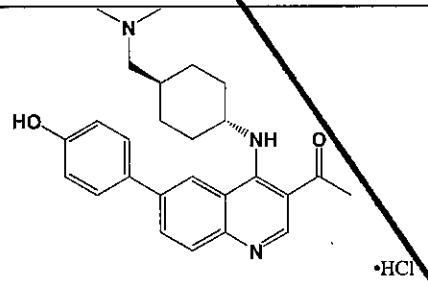
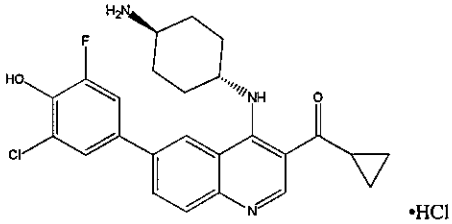
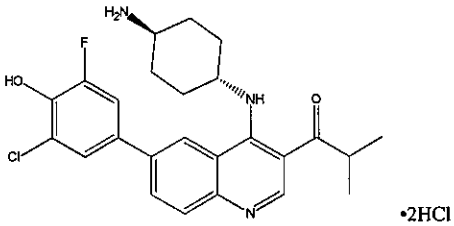
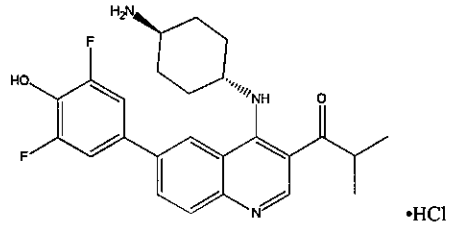
903	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	504
904	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	488
905	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	492
906	 •HCl	2,6-dichloro-4-(4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	573
907	 •2HCl	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	527
908	 •HCl	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(pyridin-4-yl)quinolin-3-yl)ethanone hydrochloride	403

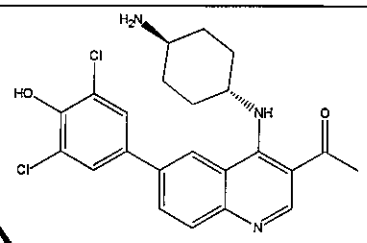
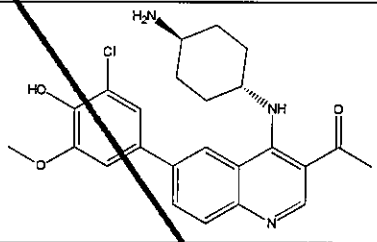
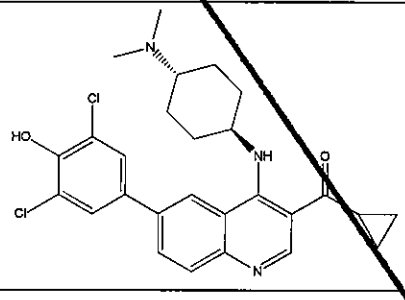
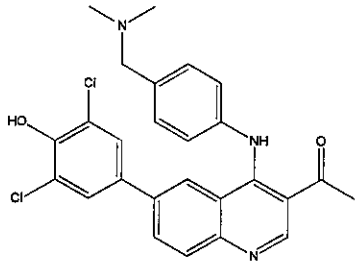
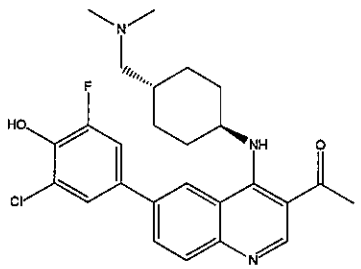
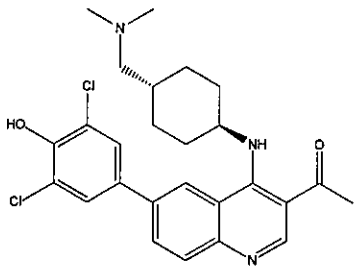
909	 •3HCl	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2-chloro-6-fluorophenol trihydrochloride	542
910	 •HCl	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-indazol-5-yl)quinolin-3-yl)ethanone hydrochloride	442
911	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	442
912	 •HCl	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-pyrazol-4-yl)quinolin-3-yl)ethanone hydrochloride	392
913	 •3HCl	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2,6-dichlorophenol trihydrochloride	558
914	 •HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	541

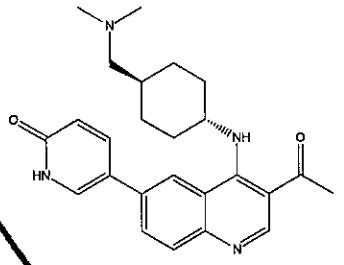
915	 •2HCl	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	543
916	 •HCl	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	536
917	 •HCl	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone hydrochloride	541
918	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	529
919	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	512
920	 •2HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	541

921	 •2HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	525
922	 •HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	558
923	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	530
924	 •HCl	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	570
925	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	514
926	 •HCl	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	526

927	 •HCl	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)methanone hydrochloride	575
928	 •HCl	2-amino-N-((1R,4R)-4-((6-(3,5-dichloro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	558
929	 •HCl	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)ethanone hydrochloride	468
930	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	536
931	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	552
932	 •HCl	2-amino-N-(1R,4R)-4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	541

933		2-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino) quinolin-6-yl)-5-methoxyiso indolin-1-one	487
934	 •3HCl	(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl) propan-1-one trihydrochloride	536
935	 •HCl	1-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-6-(4-hydroxyphenyl)quinolin-3-yl) ethanone dihydrochloride	418
936	 •HCl	(4-((trans)-4-aminocyclohexyl amino)-6- (3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone hydrochloride	454
937	 •2HCl	1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4- hydroxy phenyl) quinolin-3-yl) -2-methylpropan-1-one dihydrochloride	456
938	 •HCl	1-(4-((trans)-4-aminocyclohexyl amino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan-1-one hydrochloride	439

939	 •HCl	1-(4-((trans)-4-aminocyclohexyl amino)-6-(3,5-dichloro-4-hydroxy phenyl) quinolin-3-yl)ethanone hydrochloride	444
940	 •HCl	1-(4-((trans)-4-aminocyclohexylami no)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) ethanone hydrochloride	440
941	 •2HBr	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone dihydrobromide	498
942	 •2HBr	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone dihydrobromide	480
943	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride	470
944	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride	486

945		5-(3-acetyl-4-((1R,4R)-4-((dimethyl amino)methyl)cyclohexyl)amino)quinolin-6-yl)pyridin-2(1H)-one hydrochloride	419

Compound (I) and pharmaceutically acceptable salts thereof may be administered singly as they are; however, ordinarily, they are desirably provided as various types of pharmaceutical formulations. Such pharmaceutical formulations are used for animals or humans.

Pharmaceutical formulations of the present invention may comprise as an active ingredient compound (I) or a pharmaceutically acceptable salt thereof alone, or a mixture with any other active ingredients for treatment. Furthermore, these pharmaceutical formulations are produced by any methods well known in the technical field of drug formulation by mixing the active ingredient together with one or more types of pharmaceutically acceptable carriers (for example, diluents, solvents, and excipients).

Desirably, the route of administration most effective for the treatment is used, and examples include oral route, or parenteral route such as intravenous route.

The form of administration is, for example, tablets and injections.

Tablets and such which are appropriate for oral administration can be produced using excipients such as lactose, disintegrants such as starch, lubricants such as magnesium stearate, and binders such as hydroxypropylcellulose.

Injections and such which are appropriate for parenteral administration can be produced using, for example, solvents or diluents such as salt solutions, glucose solutions, or a mixture of salt water and glucose solution.

The dose of compound (I) or a pharmaceutically acceptable salt thereof, and the number of doses differ depending on the form of administration, the age and body weight of the patient, the nature of the symptom to be treated or severity, and such, but ordinarily for oral administration, it is 0.01 mg to 1000 mg, preferably in the range of 0.05 mg to 100 mg for an adult, and it is administered once to several times a day. In the case of parenteral administration such as intravenous administration, 0.001 mg to 1000 mg, or preferably 0.01 mg to 100 mg is administered to an adult once to several times a day. However, these doses and the number of doses vary depending on the various conditions mentioned above.

Hereinbelow, the present invention will be specifically described with reference to the Examples, but the scope of the present invention is not to be construed as being limited thereto.

The intermediates and compounds of interest in the following Examples can be isolated and purified by subjecting them to separation and purification methods commonly used in synthetic organic chemistry unless otherwise specified, and examples include filtration,

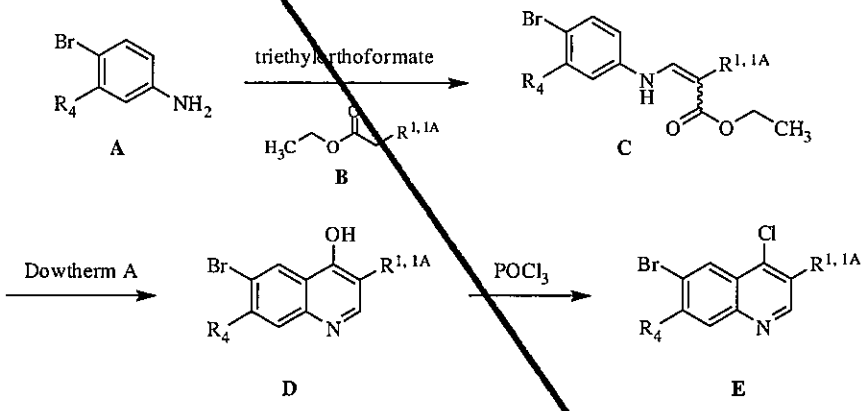
extraction, washing, drying, concentration, recrystallization, and various types of chromatographies. Alternatively, intermediates can be subjected to the next reaction without purification.

Furthermore, in the Examples shown below, unless otherwise specified, if a defined group becomes altered under the conditions of the production method or is unsuitable for carrying out the method, the compound of interest can be produced by using the methods for introducing and removing protecting groups commonly used in synthetic organic chemistry (for example, "Protective Groups in Organic Synthesis", T. W. Greene, John Wiley & Sons Inc., 1999). Furthermore, the order of the reaction processes such as substituent introduction can be changed as necessary.

Examples

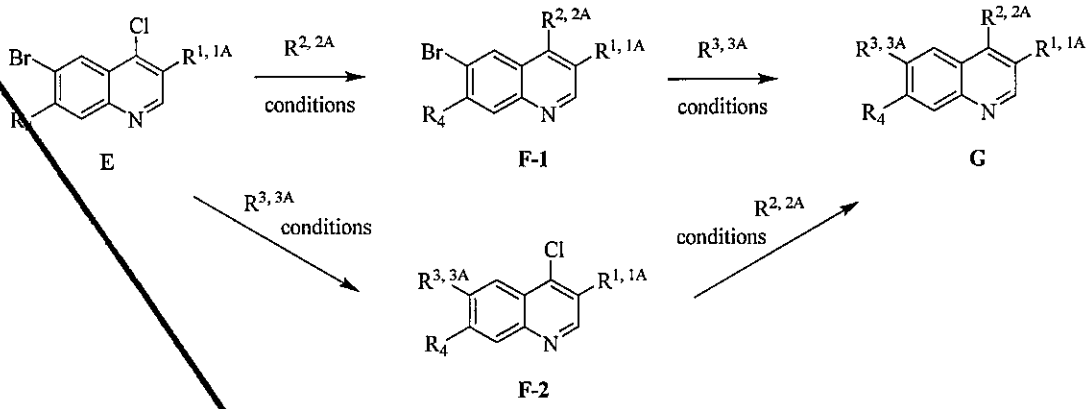
Specific methods for producing the above-mentioned compounds will be indicated below.

Scheme 1



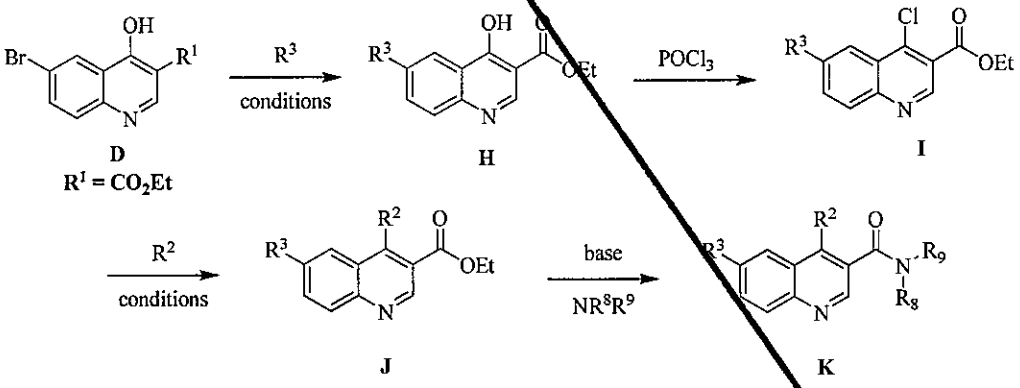
The requisite anilines **A** were heated in the presence of triethylorthoformate and the appropriately substituted esters **B** to afford the condensation products **C** (Scheme 1). Intermediates **C** were heated in Dowtherm A to facilitate the intramolecular cyclization and provide substituted quinolines **D**. Finally, the 4-position alcohol was converted to the chloride using phosphorus oxychloride to provide key intermediates **E** (Scheme 1).

Scheme 2



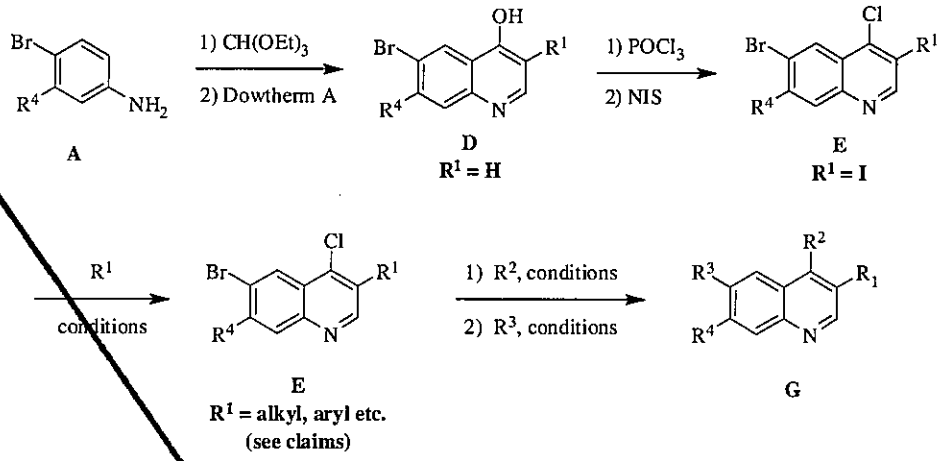
The chloride present in intermediates **E** was reacted under various reaction conditions (e.g. displacement with amines R^6R^7N or metal mediated cross-couplings) to place the requisite substituents ($R^{2,2A}$) at the 4-position of the quinoline ring and afford compounds **F-1**. Optionally, intermediates **E** could be reacted under the appropriate conditions (e.g. metal mediated cross-couplings) to place the appropriate substituents ($R^{3,3A}$) at the 6-position of the quinoline ring and provide compounds **F-2**. Finally, the intermediates **F-1** and **F-2** could be elaborated with the requisite R^3 and R^2 susbtituent , respectively, to provide the quinoline compounds **G** (Scheme 2).

Scheme 3



The intermediates **D** (where R^1 = ethyl ester) were converted to intermediates **H** by replacing the bromide with the appropriate R^3 group. A subsequent reaction with phosphorus oxychloride afforded the 4-chloro quinoline intermediates **I** (Scheme 3). These intermediates were reacted under various reaction conditions to install the requisite R^2 substituents and afford compounds **J**. Finally, the ethyl ester was saponified and the acid intermediate was reacted with the requisite amines (R^8R^9N) to afford quinoline compounds **K** (Scheme 3).

Scheme 4



The requisite anilines **A** were condensed with triethylorthoformate and the intermediate was cyclized to afford the quinoline intermediates **D** where R^1 is a proton (Scheme 4). Treatment of intermediates **D** with phosphorus oxychloride and subsequently *N*-iodosuccinimide provided compounds **E** where R^1 is an iodide. The iodides were converted to alternate R^1 groups as described by the claims section. Finally, the 4- and 6-positions were converted to the appropriate R^2 and R^3 susbtituents to provide quinoline compounds **G** (Scheme 4).

General procedure A-1 (boc-deprotection protocol)

To a suspension of boc-protected amines in THF (0.1 M) was added excess aqueous HCl and the reaction mixture was heated at 65 °C until the reaction was complete, as observed by LCMS analysis. The reaction mixture was cooled and concentrated to obtain the desired compounds **G** as the HCl salt.

General procedure A-2 (boc-deprotection protocol)

To a suspension of boc-protected amines in THF (0.1 M) was added trifluoroacetic acid and the reaction mixture was heated at 65 °C until the reaction was complete, as observed by LCMS analysis. The reaction mixture was cooled, concentrated and the residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The desired fractions were combined, concentrated and eluted through an ion-exchange column (using methanol as the initial eluent and 7 N methanol in ammonia as the next eluent) to obtain products **G** as the free base.

General procedure B (4-position chloro displacement)

To a suspension of intermediate **E** (1.0 equiv) in dioxane was added the requisite amine (1.0 – 2.0 equiv) and *N*,*N*-diisopropylethylamine (2.0 – 5.0 equiv) and the reaction mixture was heated at 80 °C for 16 h. The reaction mixture was cooled to room temperature, diluted with satd. aq. sodium bicarbonate and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and the residue was purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired products **F**.

General procedure C (4-position chloro displacement)

To a suspension of intermediates **E** (1.0 equiv) in a 2:1 mixture of dioxane:DMF was added the requisite amine (1.0 – 2.0 equiv), *N*,*N*-diisopropylethylamine (2.0–5.0 equiv) and finely ground K_2CO_3 (2.0–3.0 equiv) and the reaction mixture was heated at 100 °C for 16 h. The reaction mixture was cooled, diluted with satd. aq. sodium bicarbonate and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and the residue was purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired products **F**.

General procedure D (6-position substitution using microwave conditions)

To a suspension of intermediates **F** (1.0 equiv), the requisite boronic ester (1.5 – 2.0 equiv) and $Pd(dppf)Cl_2$ (0.1 – 0.2 equiv) in dioxane was added Cs_2CO_3 (1.0 M in H_2O, 3.0 – 4.0 eq). The reaction mixture was degassed with nitrogen and placed in a microwave reactor at 120 – 140 °C for 30 – 60 min. The reaction mixture was cooled and purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired products **G**.

General procedure E (synthesis of boronic esters)

To a suspension of the appropriate aryl bromide (1.0 equiv), bis(pinacolado)diboron (1.5 – 2.0 equiv) and K_2CO_3 (2.0–3.0 equiv) in dioxane was added $Pd(dppf)Cl_2$ (0.05 – 0.1 equiv). The reaction mixture was degassed with nitrogen followed by heating at 80 °C for 2 – 16 h. The reaction mixture was cooled, concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to afford the desired product. In some instances the product was re-crystallized from hexanes or a hexanes/dichloromethane mixture.

General procedure F (6-position substitution)

To a suspension of intermediates **F** (1.0 equiv), the requisite boronic ester (1.5–2.0 equiv) and $Pd(dppf)Cl_2$ (0.1–0.2 equiv) in dioxane was added Cs_2CO_3 (1.0 M in H_2O, 3.0 equiv). The reaction mixture was degassed with nitrogen followed by heating at 80 °C for 2 – 3 h. The reaction mixture was cooled, diluted with ethyl acetate, filtered and concentrated. The residue was purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product.

General procedure A-2 (4-position substitution under acidic conditions)

To a solution of the appropriate 6-bromo-4-chloroquinoline in a 2:1 mixture of dioxane:DMF was added the requisite amine and *p*-toluenesulfonic acid (0.8 equiv). The reaction mixture was heated at 80 °C for 2–16 h then cooled to room temperature, diluted with satd. aq. sodium bicarbonate and extracted with ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product.

General procedure H (substituted pyridine and pyrimidine containing 4-positions)

To a solution of 2-chloro-5-nitropyridine or 2-chloro-5-nitropyrimidine in THF (0.1 M) was added the requisite amine (1.1 – 1.6 equiv) and triethylamine (1.1 – 1.6 equiv) and the reaction

mixture was stirred room temperature until completion, as observed by LCMS analysis. The reaction mixture was concentrated, the residue was dissolved in dichloromethane, washed with aqueous 1 N HCl and then water. The organic layer was dried over anhydrous sodium sulfate, filtered and concentrated. The residue was dissolved in tetrahydrofuran or ethanol (0.1-0.3 M), degassed and placed in a Parr shaker with Pd/C (10%, 0.1 equiv) and hydrogen gas at 40-50 psi. The reaction was allowed to proceed at room temperature until complete, as indicated by LCMS analysis. The reaction mixture was filtered over diatomaceous earth and the filtrate was concentrated to obtain the desired aniline.

General procedure I (substituted pyridine and pyrimidine containing 4-positions)

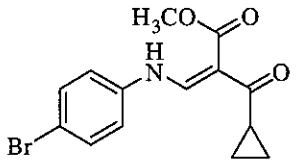
To a solution of 2-chloro-5-nitropyridine or 2-chloro-5-nitropyrimidine in dioxane (0.1 M) was added the requisite alcohol (1.1 equiv) and sodium hydride (60% dispersion in oil, 1.1 equiv) and the reaction mixture was stirred at room temperature until the reaction was complete, as observed by LCMS analysis. The reaction mixture was poured onto ice water and the product was extracted with dichloromethane. The combined organic layers were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was dissolved in tetrahydrofuran or ethanol (0.1-0.3 M), degassed and placed in a Parr shaker with Pd/C (10%, 0.1 equiv) and hydrogen gas at 40-50 psi. The reaction was allowed to proceed at room temperature until complete, as indicated by LCMS analysis. The reaction mixture was filtered over diatomaceous earth and the filtrate was concentrated to obtain the desired aniline.

General procedure J(one-pot preparation of the 4 position amine via reductive amination)

To a solution of the requisite amine (1.4 equiv) in dichloromethane (0.1 M), under nitrogen atmosphere, was added sodium acetate (1.4 equiv) and the mixture was stirred for 15 min followed by the addition of tert-butyl 4-oxocyclohexylcarbamate. The reaction mixture was stirred for an additional 15 min, then sodium triacetoxyborohydride (1.5 equiv) was added carefully and the reaction mixture was stirred until the reaction was complete, as observed by LCMS analysis. The reaction mixture was filtered and the filtrate was concentrated. The crude product was dissolved in a 1:1 mixture of tetrahydrofuran and aqueous HCl (3 N) and the mixture was stirred at room temperature for 12 h. The reaction mixture was concentrated and aqueous sodium hydroxide (6 N) was added until basic pH was achieved. The product was extracted with a 3:1 mixture of chloroform and isopropanol, the organic layer was dried and the solvent removed to obtain the desired amine.

Example 384

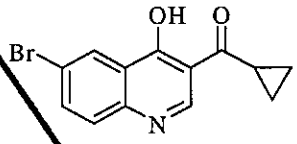
Methyl 3-(4-bromophenylamino)-2-(cyclopropanecarbonyl)acrylate



A stirred mixture of methyl 3-cyclopropyl-3-oxopropanoate (20 g, 0.141 mol), triethyl orthoformate (28 mL, 0.169 mol), and 4-bromoaniline (24.2 g, 0.141 mol) were heated at 130 °C for 5 h with a Dean Stark trap. After this time the reaction was cooled to room temperature, diluted with methylene chloride and filtered through a pad of silica. The filtrate was concentrated to afford the desired product (26.5 g, 55%) as a yellow solid: ESI MS *m/z* 324 $[C_{14}H_{14}BrNO_2 + H]^+$.

Example 385

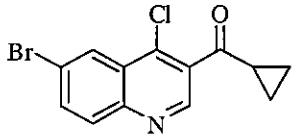
(6-Bromo-4-hydroxyquinolin-3-yl)(cyclopropyl)methanone



Dowtherm was heated to 250 °C, methyl 3-(4-bromophenylamino)-2-(cyclopropanecarbonyl)acrylate (24 g, 74.1 mmol) was added portionwise and upon complete addition the reaction mixture was stirred for 20 min. The reaction mixture was cooled to room temperature, diluted with 2:1 hexanes/diethyl ether and filtered to afford the desired product (9.71 g, 45%) as an off-white solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.65 (s, 1H), 8.51 (s, 1H), 8.32 (d, J = 2.3 Hz, 1H), 7.89 (dd, J = 8.8, 2.3 Hz, 1H), 7.62 (d, J = 8.8 Hz, 1H), 3.73–3.49 (m, J = 7.5, 5.0 Hz, 1H), 1.15–0.76 (m, 4H).

Example 386

(6-Bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone

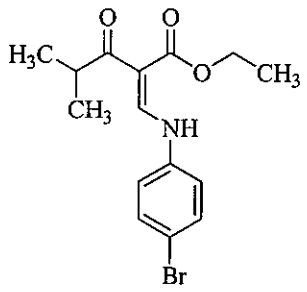


Phosphoryl chloride (50 mL, 0.547 mol) was cooled to 0 °C and (6-bromo-4-hydroxyquinolin-3-yl)(cyclopropyl)methanone (21 g, 67.7 mmol) was added. The reaction mixture was stirred at 0 °C for 2 h, at room temperature for 2 h and concentrated. The residue was dissolved in methylene chloride and poured into cold 30% aq. ammonium hydroxide. The aqueous layer was separated and extracted with methylene chloride. The combined organics were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by a silica plug to afford the desired product (5.8 g, 22%) as a brown solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.91 (s, J = 6.4 Hz, 1H), 8.55–8.49 (m, 1H), 8.07–7.97 (m, 1H), 7.91 (dd, J = 8.9, 2.1 Hz, 1H),

2.69–2.54 (m, 1H), 1.50–1.40 (m, 2H), 1.28–1.19 (m, 2H).

Example 387

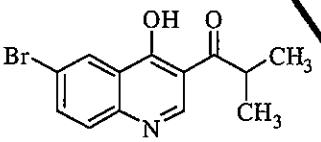
Ethyl 2-[(4-bromophenylamino)methylene]-4-methyl-3-oxopentanoate



A mixture of ethyl 4-methyl-3-oxopentanoate (31.6 g, 0.200 mol), triethyl orthoformate (41.6 mL, 0.250 mol), and 4-bromoaniline (36.1 g, 0.210 mol) was heated at 150 °C for 2 h with a Dean Stark trap. After this time triethyl orthoformate (20.8 mL) was added and the reaction mixture was stirred for 16 h. The reaction was cooled to room temperature, diluted with 1:1 methylene chloride/ hexanes (200 mL), and filtered through a plug of silica. The filtrate was concentrated, triturated with hexanes and filtered to afford the desired product (33.2 g, 53%) as a pale yellow solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 12.80 (d, J = 11.9 Hz, 1H), 8.46 (d, J = 13.0 Hz, 1H), 7.55–7.42 (m, 2H), 7.12–6.96 (m, 2H), 4.27 (q, J = 7.1 Hz, 2H), 3.89–3.72 (m, 1H), 1.35 (t, J = 7.1 Hz, 3H), 1.13 (d, J = 6.8 Hz, 6H).

Example 388

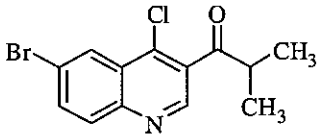
1-(6-Bromo-4-hydroxyquinolin-3-yl)-2-methylpropan-1-one



To Dowtherm at 250 °C was added ethyl 2-[(4-bromophenylamino)methylene]-3-oxobutanoate (33.2 g, 97.6 mmol) portionwise and the reaction mixture was stirred for 1.5 h. The reaction mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was filtered to afford the desired product (17 g, 59%) as a yellow solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.66 (s, 1H), 8.54 (s, 1H), 8.31 (s, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.61 (d, J = 8.7 Hz, 1H), 4.11–3.89 (m, 1H), 1.06 (dd, J = 6.8, 1.6 Hz, 6H).

Example 389

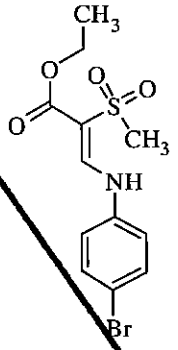
1-(6-Bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one



1-(6-Bromo-4-hydroxyquinolin-3-yl)-2-methylpropan-1-one (16.0 g, 54.4 mmol) was added to phosphoryl chloride (160 mL) and the reaction was stirred at 85 °C for 1.5 h. The reaction mixture was cooled and slowly poured into a 2:1 solution of satd. aq. sodium bicarbonate/ ethyl acetate at 0 °C. The organic layer was separated and was washed with satd. aq. sodium bicarbonate and brine, dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (14.8 g, 89%) as a yellow solid: ESI MS *m/z* 312 $[C_{13}H_{11}BrClNO + H]^+$.

Example 390

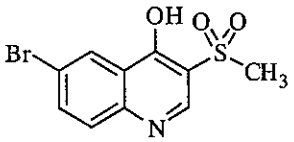
Ethyl 3-(4-bromophenylamino)-2-(methylsulfonyl)acrylate



A mixture of ethyl 2-(methylsulfonyl)acetate (1.9 g, 11.4 mmol), triethyl orthoformate (5.64 mL, 34.2 mmol), and acetic anhydride (5 mL) were heated at 130 °C for 5 h with a Dean Stark trap. The reaction was cooled, 4-bromoaniline (3.1 g, 18.0 mmol) was added and the reaction mixture was heated at 150 °C for 2 h. The reaction mixture was cooled, concentrated and the residue was purified by column chromatography to afford the desire product (1.08 g, 27% over 2 steps) as a tan solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.58 (d, J = 13.5 Hz, 1H), 8.39 (d, J = 13.7 Hz, 1H), 7.59–7.48 (m, 2H), 7.15–7.02 (m, 2H), 4.41 (q, J = 7.1 Hz, 2H), 3.19 (s, 3H), 1.43 (t, J = 7.1 Hz, 3H).

Example 391

6-Bromo-3-(methylsulfonyl)quinolin-4-ol

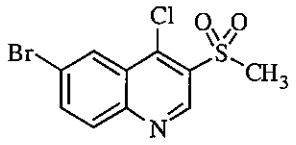


To Dowtherm at 250 °C was added ethyl 3-(4-bromophenylamino)-2-(methylsulfonyl)acrylate (1.08 g, 3.10 mmol) portionwise and the reaction mixture was stirred for 2 h. The reaction mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was

filtered to afford the desired product (573 mg, 61%) as a tan solid: ESI MS *m/z* 302 $[C_{10}H_8BrNO_3S + H]^+$.

Example 392

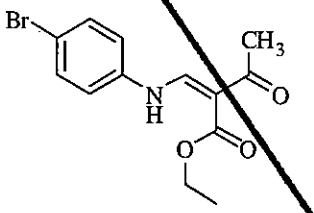
6-Bromo-4-chloro-3-(methylsulfonyl)quinoline



6-Bromo-3-(methylsulfonyl)quinolin-4-ol (573 mg, 1.90 mmol) was added to phosphoryl chloride (19 mL) and the reaction was stirred at 100 °C 16 h. Additional THF (5 mL) was added and the reaction mixture was stirred at 100 °C for 4 h. The reaction mixture was cooled and slowly poured into a 2:1 solution of satd. aq sodium bicarbonate/ ethyl acetate that was pre-cooled to 0 °C. The layers were separated and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with satd. aq.sodium bicarbonate, dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (360 mg, 59%) as a white solid: ESI MS *m/z* 321 $[C_{10}H_7BrClNO_2S + H]^+$.

Example 393

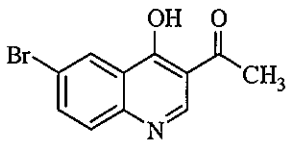
Ethyl 2-[(4-bromophenylamino)methylene]-3-oxobutanoate



A stirred mixture of ethyl 3-oxobutanoate (3.16 mL, 25.0 mmol), triethyl orthoformate (4.99 mL, 30.0 mmol), and bromoaniline (4.47 g, 26.0 mmol) were heated at 150 °C for 4 h with a Dean Stark trap. After this time the reaction was cooled to room temperature and the resulting precipitate was suspended in 1:1 ether/hexanes, and filtered to afford the desired product (3.4 g, 44%) as a brown solid: ESI MS *m/z* 312 $[C_{13}H_{14}BrNO_3 + H]^+$.

Example 394

1-(6-Bromo-4-hydroxyquinolin-3-yl)ethanone

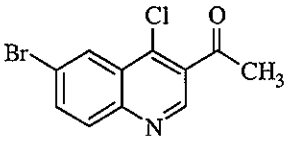


To Dowtherm at 250 °C was added Ethyl 2-[(4-bromophenylamino)methylene]-3-oxobutanoate (3.4 g, 10.9 mmol) portionwise and the reaction mixture was stirred for 1.5 h. The reaction

mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was filtered to afford the desired product (2.2 g, 76%) as a brown solid: ESI MS *m/z* 266 $[C_{11}H_8BrNO_2 + H]^+$.

Example 395

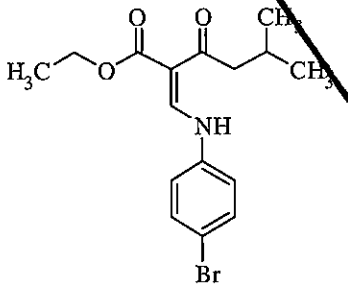
1-(6-Bromo-4-chloroquinolin-3-yl)ethanone



1-(6-Bromo-4-hydroxyquinolin-3-yl)ethanone (2.2 g, 8.27 mmol) was suspended in phosphoryl chloride (30 mL) and the reaction was heated to 85 °C and stirred for 3 h. After this time the reaction mixture was cooled to room temperature and slowly poured into a 2:1 solution of satd. aq. sodium bicarbonate/ ethyl acetate that was cooled to 0 °C. The organic layer was separated, washed with satd. aq. sodium bicarbonate, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by column chromatography to afford the desired product (710 mg, 72%) as a light brown solid: ESI MS *m/z* 280 $[C_{11}H_7BrClNO + H]^+$.

Example 396

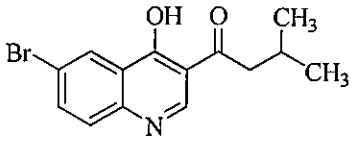
Ethyl 2-[(4-Bromophenylamino)methylene]-5-methyl-3-oxohexanoate



A stirred mixture of methyl 5-methyl-3-oxohexanoate (2.14 g, 13.5 mmol), triethyl orthoformate (2.64 mL, 16.2 mmol), and bromoaniline (2.32 g, 13.5 mmol) were heated at 150 °C for 3 h with a Dean Stark trap. After this time triethyl orthoformate (2.69 mL) was added and the mixture continued to stir for 2 h. After this time the reaction was cooled to room temperature, diluted with methylene chloride and filtered through a pad of silica. The plug was washed with 1:1 methylene chloride/ hexanes, the filtrate was concentrated and the residue was purified by column chromatography to afford the desired product (2.37 g, 50%) as an off-white solid: ESI MS *m/z* 354 $[C_{16}H_{20}BrNO_3 + H]^+$.

Example 397

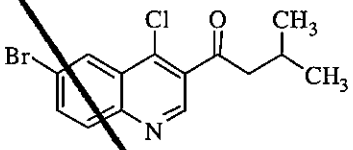
1-(6-bromo-4-hydroxyquinolin-3-yl)-3-methylbutan-1-one



To Dowtherm at 250 °C was added a solution of ethyl 2-[(4-bromophenylamino)methylene]-5-methyl-3-oxohexanoate (700 mg, 6.75 mmol) in Dowtherm (5 mL) portionwise and the reaction mixture was stirred for 1.5 h. The reaction mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was filtered to afford the desired product (490 mg, 23%) as a white solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 12.65 (s, 1H), 8.55 (d, J = 6.4 Hz, 1H), 8.30 (d, J = 2.3 Hz, 1H), 7.88 (dd, J = 8.7, 2.3 Hz, 1H), 7.61 (d, J = 8.8 Hz, 1H), 2.99 (d, J = 6.8 Hz, 2H), 2.21–2.04 (m, 1H), 0.91 (d, J = 6.7 Hz, 6H).

Example 398

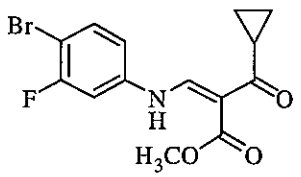
1-(6-Bromo-4-chloroquinolin-3-yl)-3-methylbutan-1-one



1-(6-Bromo-4-hydroxyquinolin-3-yl)-3-methylbutan-1-one (300 mg, 0.970 mmol) was added to phosphoryl chloride (9.7 mL) and the reaction was stirred at 85 °C for 1 h. After this time the reaction mixture was cooled to room temperature and slowly poured into a 2:1 solution of satd. aq. sodium bicarbonate/ ethyl acetate that was cooled to 0 °C. The organic layer was separated and was washed with satd. aq. sodium bicarbonate, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure to afford the desired product (309 mg, 98%) as a yellow oil: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.86 (s, 1H), 8.51 (d, J = 2.0 Hz, 1H), 8.01 (d, J = 8.9 Hz, 1H), 7.91 (dd, J = 8.9, 2.0 Hz, 1H), 2.96 (d, J = 6.9 Hz, 2H), 2.39–2.23 (m, 1H), 1.03 (d, J = 6.7 Hz, 6H).

Example 399

Methyl 3-(4-bromo-3-fluorophenylamino)-2-(cyclopropanecarbonyl)acrylate

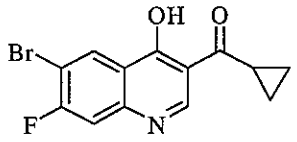


A stirred mixture of methyl 3-cyclopropyl-3-oxopropanoate (3.49 g, 26.3 mmol), triethyl orthoformate (5.2 mL, 31.6 mmol), and 4-bromo-3-fluoroaniline (4.47 g, 26.0 mmol) was heated at 140 °C overnight with a Dean Stark trap. After this time the reaction was cooled to room temperature, diluted with methylene chloride and filtered through a pad of silica. The filtrate

was concentrated to afford the desired product (7.5 g, 85%) as a light yellow solid: ESI MS *m/z* 343 $[C_{14}H_{13}BrFNO_3 + H]^+$.

Example 400

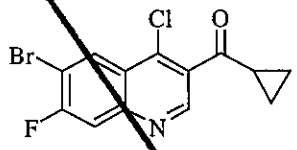
(6-Bromo-7-fluoro-4-hydroxyquinolin-3-yl)(cyclopropyl)methanone



To Dowtherm at 250 °C was added methyl 3-(4-bromo-3-fluorophenylamino)-2-(cyclopropanecarbonyl)acrylate (7.5 g, 21.9 mmol) portionwise and the reaction mixture was stirred for 1.5 h. The reaction mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was filtered to afford the desired product (5.38 g, 79%) as a brown solid: ESI MS *m/z* 311 $[C_{13}H_9BrFNO_2 + H]^+$.

Example 401

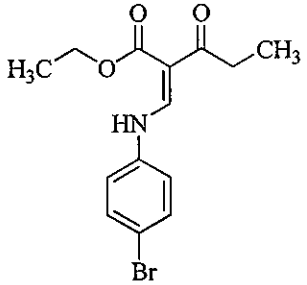
(6-Bromo-4-chloro-7-fluoroquinolin-3-yl)(cyclopropyl)methanone



(6-Bromo-7-fluoro-4-hydroxyquinolin-3-yl)(cyclopropyl)methanone (3.35 g, 10.8 mmol) was suspended in phosphoryl chloride (10 mL) at 0 °C. The reaction was warmed to room temperature and stirred overnight. After this time 2M ammonium hydroxide was added dropwise until a solid formed. Ethyl acetate was added and the layers were separated. The organic layer was dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by column chromatography to afford the desired product (1.5 g, 42%) as an off-white solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.92 (s, 1H), 8.63 (d, J = 7.2 Hz, 1H), 7.84 (d, J = 8.9 Hz, 1H), 2.70–2.45 (m, 1H), 1.55–1.35 (m, 2H), 1.35–1.05 (m, 2H).

Example 1001

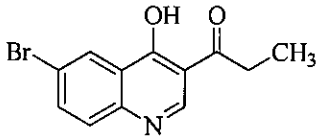
ethyl 2-(((4-bromophenyl)amino)methylene)-3-oxopentanoate



A stirred mixture of ethyl propionylacetate (33 g, 0.23 mol), triethyl orthoformate (46 mL, 0.28 mol), and 4-bromoaniline (42 g, 0.24 mol) were heated at 150 °C for 2 h with a Dean Stark trap. The reaction was cooled to room temperature. Trituration with 1:1 hexanes/diethyl ether afforded the desired product (25.1 g, 33%) as a tan solid: ^{1}H NMR (300 MHz, DMSO - d_6) δ 12.44 (d, J = 13.1 Hz, 1H), 8.42 (d, J = 13.1 Hz 1H), 7.64 – 7.51 (m, 2H), 7.50 – 7.34 (m, 2H), 4.17 (q, J = 7.1 Hz, 2H), 2.86 (q, J = 7.3 Hz, 2H), 1.27 (t, J = 7.1 Hz, 3H), 1.02 (t, J = 7.3 Hz, 3H).

Example 1002

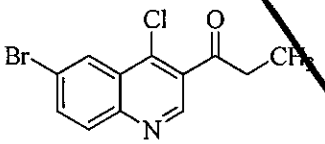
1-(6-bromo-4-hydroxyquinolin-3-yl)propan-1-one



Dowtherm A (200 mL) was heated to 240 °C. Ethyl 2-(((4-bromophenyl)amino) methylene)-3-oxopentanoate (25.1 g, 77 mmol) was added portionwise. The reaction was then heated to 250 °C and stirred for 1.25 h. The reaction mixture was slowly cooled to room temperature and a precipitate formed. The suspension was diluted with hexanes (500 mL) and filtered to afford the desired product (15.9 g, 73%) as a tan solid: ^{1}H NMR (300 MHz, DMSO - d_6) δ 12.64 (br s, 1H), 8.56 (s, 1H), 8.30 (d, J = 2.3 Hz, 1H), 7.88 (dd, J = 8.8, 2.4 Hz, 1H), 7.61 (d, J = 8.8 Hz, 1H), 3.10 (q, J = 7.2 Hz, 2H), 1.05 (t, J = 7.2 Hz, 3H).

Example 1003

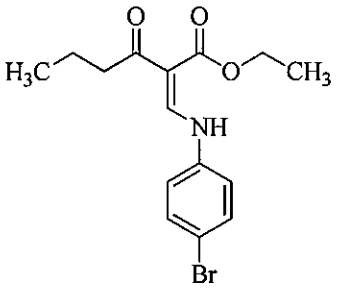
1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one



1-(6-Bromo-4-hydroxyquinolin-3-yl)propan-1-one (21 g, 67.7 mmol) was added to phosphoryl chloride (80 mL). The resultant suspension was then heated to 85 °C and stirred for 1.5 h. The reaction mixture was cooled to room temperature and poured carefully into a mixture of saturated sodium bicarbonate (250 mL) and 2N aqueous sodium hydroxide (250 mL). The solution was then extracted with ethyl acetate, the combined organics were washed with water and brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure to afford the desired product (16 g, 96%) as a tan solid: APCI MS m/z 298 $[C_{12}H_9BrClNO + H]^+$.

Example 1004

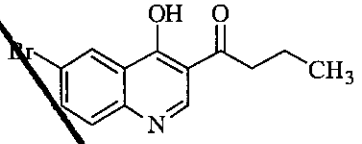
ethyl 2-(((4-bromophenyl)amino)methylene)-3-oxohexanoate



A stirred mixture of ethyl 3-oxohexanoate (12.1 mL, 75 mmol), triethyl orthoformate (16.6 mL, 100 mmol), and 4-bromoaniline (12.9 g, 75 mmol) were heated at 120 °C for 4 h with a Dean Stark trap. After this time the reaction was cooled to room temperature. The resultant solid was triturated with diethyl ether to afford the desired product (8.17 g, 32%) as a white solid. ESI MS *m/z* 340 $[C_{15}H_{18}BrNO_3 + H]^+$

Example 1005

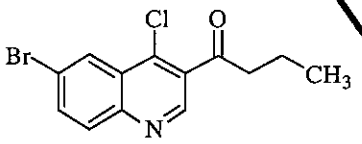
1-(6-bromo-4-hydroxyquinolin-3-yl)butan-1-one



To Dowtherm (82 mL) at 250 °C was added ethyl 2-(((4-bromophenyl)amino)methylene) -3-oxohexanoate (700 mg, 6.75 mmol) portionwise and the reaction mixture was stirred for 1.5 h. The reaction mixture was cooled to room temperature, and the precipitate was filtered and rinsed with hexanes to afford the desired product (3.73 g, 53%) as a light brown solid. ESI MS *m/z* 294 $[C_{13}H_{12}BrNO_2 + H]^+$

Example 1006

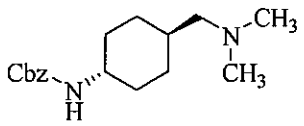
1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one



1-(6-bromo-4-hydroxyquinolin-3-yl)butan-1-one (3.73 g, 12.7 mmol) was added to phosphoryl chloride (37 mL) and the reaction was stirred at 75 °C for 2 h. After this time the reaction mixture was cooled to room temperature and slowly poured into a solution of satd. aq. sodium carbonate that was cooled to 0 °C. The resultant mixture was extracted with ethyl acetate, dried over anhydrous sodium sulfate, filtered, and concentrated. Purification by column chromatography (silica, ethyl acetate) afforded the desired product (3.90 g, 98%) as an off-white solid. ESI MS *m/z* 312 $[C_{13}H_{13}BrClNO + H]^+$

Example 1007

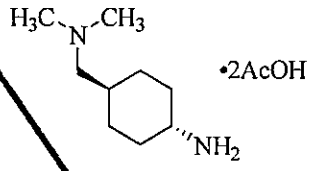
Benzyl 4-((dimethylamino)methyl)cyclohexylcarbamate



To a suspension of benzyl 4-(aminomethyl)cyclohexylcarbamate (15.0 g, 57 mmol) in water (150 mL) was added formaldehyde (14.0 mL, 0.17 mol, 37% solution) and formic acid (6.5 mL, 0.17 mol). The mixture was heated to reflux for 2h, cooled to rt, neutralized with 2 N NaOH, and extracted with CH_2Cl_2. The organic extract was dried over anhydrous sodium sulfate, filtered, and concentrated to give desired product (15.87 g, 96%) as a tan, waxy solid. APCI MS *m/z* 291 $[C_{17}H_{26}N_2O_2 + H]^+$.

Example 1008

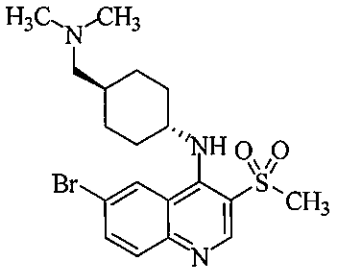
trans-4-((Dimethylamino)methyl)cyclohexanamine diacetic acid



To a flask containing Pd/C (1.5 g, Degussa type E101) was added methanol / acetic acid (100 mL, 3:1). Benzyl 4-((dimethylamino)methyl)cyclohexylcarbamate (15.8 g, 54 mmol) in methanol / acetic acid (300 mL, 3:1) was added. A balloon of H_2 was added and the reaction stirred at rt for 6 h. The reaction was filtered through celite, the filtrate concentrated, and azeotroped with toluene. The thick oil was dried under vacuum to give desired product (17.9 g, crude) as a waxy solid. ^{1}H NMR (300 MHz, MeOD) δ 3.11 – 2.98 (m, 1H), 2.78 (d, J = 7.0 Hz, 2H), 2.69 (s, 6H), 2.07 (br d, J = 13.9 Hz, 4H), 2.02 – 1.86 (m, 2H), 1.92 (s, 6H), 1.79 – 1.67 (m, 1H), 1.53 – 1.35 (m, 2H), 1.20 – 1.05 (m, 2H).

Example 1009

6-bromo-N-(1R,4R)-4-((dimethylamino)methyl)cyclohexyl)-3-(methylsulfonyl) quinolin-4-amine

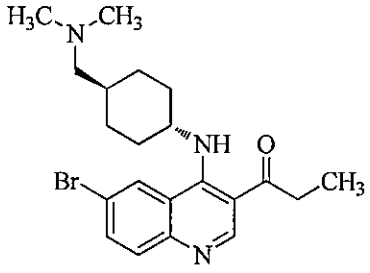


Following general procedure C, 6-bromo-4-chloro-3-(methylsulfonyl)quinoline (6.5 g, 20 mmol) was reacted with (1r,4r)-4-((dimethylamino)methyl)cyclohexanamine hydrochloride (6.0 g, 26 mmol) to obtain the desired product (5.7 g, 64%) as an off-white solid: ESI MS *m/z* 440

$[C_{19}H_{26}BrN_3O_2S+ H]^+$.

Example 1010

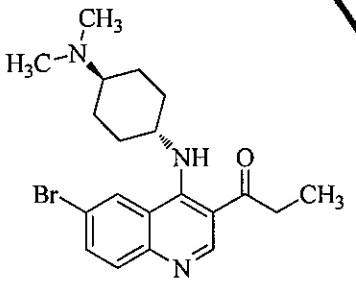
1-(6-bromo-4-((trans-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one



To a suspension of 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (500 mg, 1.67 mmol) in dioxane (10 mL) and DMF (4 mL) was added *trans*-4-((dimethylamino)methyl)cyclohexanamine diacetic acid salt (583 mg, 2.11 mmol) and Cs_2CO_3 (3.27 g, 10.0 mmol). The resultant suspension was then heated to 90 °C and stirred for 5.5 h. The reaction mixture was cooled to room temperature and diluted with ethyl acetate. The solution was then washed with saturated sodium bicarbonate, water and then brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (silica, 0–10% methanol/dichloromethane) afforded the desired product (403 mg, 58%) as a tan solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.79 (d, J = 8.4 Hz, 1H), 9.00 (s, 1H), 8.27 (d, J = 2.0 Hz, 1H), 7.83 – 7.67 (m, 2H), 4.01 – 3.88 (m, 1H), 3.08 (q, J = 7.2 Hz, 2H), 2.24 (s, 6H), 2.21 – 2.12 (m, 2H), 1.98 (d, J = 13.4 Hz, 2H), 1.61 – 1.42 (m, 3H), 1.25 (t, J = 7.3 Hz, 3H), 1.19 – 1.00 (m, 2H).

Example 1011

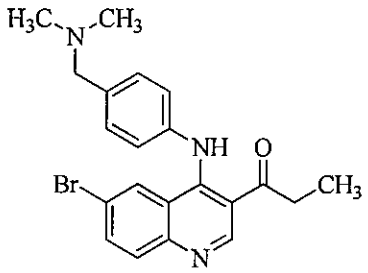
1-(6-bromo-4-((trans-4-(dimethylamino)cyclohexyl)amino)quinolin-3-yl)propan-1-one



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (800 mg, 2.68 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine dihydrochloride (692 mg, 3.21 mmol) to afford the desired product (629 mg, 58%) as an off-white solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.77 (br d, J = 8.1 Hz, 1H), 9.01 (s, 1H), 8.27 (d, J = 2.0 Hz, 1H), 7.84 – 7.68 (m, 2H), 4.05 – 3.89 (m, 1H), 3.08 (q, J = 7.2 Hz, 2H), 2.33 (s, 6H), 2.33 – 2.23 (m, 2H), 2.12 – 1.99 (m, 2H), 1.63 – 1.31 (m, 4H), 1.25 (t, J = 7.2 Hz, 3H).

Example 1012

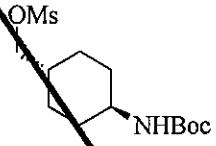
1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)propan-1-one



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (750 mg, 2.51 mmol) was reacted with 4-(dimethylaminomethyl)aniline (377 mg, 2.51 mmol) to afford the desired product (889 mg, 86%) as a yellow solid. APCI MS *m/z* 412 $[C_{21}H_{22}BrN_3O + H]^+$.

Example 1013

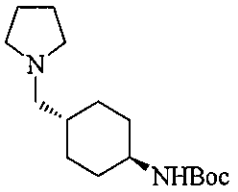
trans-4-((*tert*-butoxycarbonyl)amino)cyclohexyl)methyl methanesulfonate



To a suspension of *tert*-butyl (*trans*-4-(hydroxymethyl)cyclohexyl)carbamate (5.05 g, 22 mmol) in dichloromethane (70 mL) was added triethylamine (3.8 mL, 27.3 mmol). The resultant suspension was cooled to 0 °C and methanesulfonyl chloride (1.78 mL, 23 mmol) was added dropwise. The reaction mixture was allowed to warm to room temperature and stir for 2 h. The solution was then diluted with saturated sodium bicarbonate solution and extracted with dichloromethane. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, ethyl acetate/hexanes) afforded the desired product (6.65 g, 98%) as a white solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 4.39 (br s, 1H), 4.03 (d, J = 7.5 Hz, 1H), 3.39 (br s, 1H), 3.00 (s, 3H), 2.13–2.00 (m, 2H), 1.93–1.79 (m, 2H), 1.79–1.62 (m, 1H), 1.20–1.02 (m, 4H).

Example 1014

tert-butyl (*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)carbamate

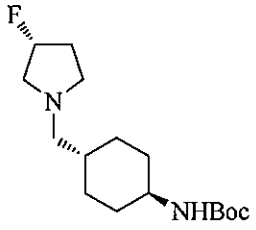


To a suspension of *trans*-4-((*tert*-butoxycarbonyl)amino)cyclohexyl)methyl methanesulfonate (1.84 g, 6.0 mmol) in acetonitrile (30 mL) was added K_2CO_3 (1.66 g, 12 mmol) and KI (600 mg,

3.6 mmol). Pyrrolidine (5.01 mL, 60 mmol) was added dropwise. The reaction mixture was heated at 85 °C for 16 h. The solution was cooled to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (1.28 g, 76%) as a white solid. ESI MS *m/z* 283 $[C_{16}H_{30}N_2O_2 + H]^+$

Example 1015

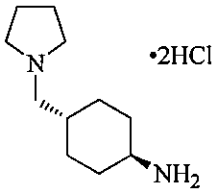
tert-butyl (*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)carbamate



To a suspension of *trans*-4-((*tert*-butoxycarbonyl)amino)cyclohexyl)methyl methanesulfonate (942 mg, 3.07 mmol) in acetonitrile (15 mL) was added K_2CO_3 (1.27 g, 9.21 mmol) and KI (100 mg, 0.60 mmol). (*R*)-3-fluoropyrrolidine hydrochloride (385 mg, 3.07 mmol) was added. The reaction mixture was heated at 50 °C for 72 h. The solution was cooled to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with dichloromethane. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (265 mg, 29%) as a white solid. ESI MS *m/z* 301 $[C_{16}H_{29}FN_2O_2 + H]^+$

Example 1016

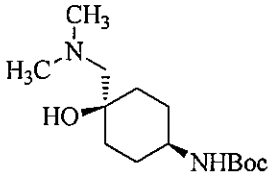
trans-4-(pyrrolidin-1-ylmethyl)cyclohexanamine dihydrochloride



To a solution of *tert*-butyl (*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)carbamate (1.28 g, 4.53 mmol) in THF (15 mL) was added aqueous 6 N HCl (6 mL) and water (6 mL) and the reaction mixture was heated at 65 °C for 3 h. The reaction mixture was cooled to room temperature and concentrated to afford the desired product (1.2 g, >99%) as an off-white solid. ESI MS *m/z* 183 $[C_{11}H_{22}FN_2 + H]^+$

Example 1017

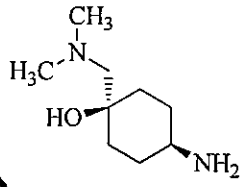
tert-butyl (*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)carbamate



To a solution of dimethylamine (33% in ethanol, 7 mL) was added *tert*-butyl *cis*-1-oxaspiro[2.5] octan-6-ylcarbamate (700 mg, 3.08 mmol). The resulting solution was stirred at room temperature for 4 h and concentrated to give the desired product (840 mg, 100%) as a white solid. ESI MS *m/z* 273 $[C_{14}H_{28}N_2O_3 + H]^+$

Example 1018

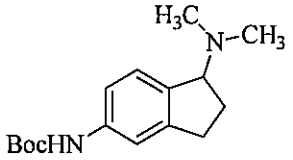
cis-4-amino-1-((dimethylamino)methyl)cyclohexanol



To a solution of HCl (2.0 M in diethyl ether, 10 mL, 20 mmol) was added *tert*-butyl (*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)carbamate (840 mg, 3.08 mmol). The resulting solution was stirred at room temperature for 16 h and concentrated. Saturated sodium bicarbonate solution (100 mL) and 1 M aqueous sodium hydroxide solution (50 mL) were added, and the resultant solution extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate and concentrated to afford the desired product (90 mg, 12%) as a white semisolid. ESI MS *m/z* 173 $[C_9H_{20}N_2O + H]^+$

Example 1019

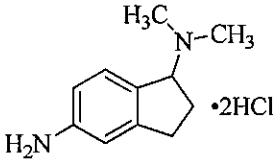
tert-butyl (1-(dimethylamino)-2,3-dihydro-1*H*-inden-5-yl)carbamate



To a solution of *tert*-butyl (1-oxo-2,3-dihydro-1*H*-inden-5-yl)carbamate (371 mg, 1.5 mmol) in dimethylamine (2.0 M solution in THF, 5 mL, 10 mmol) was added sodium triacetoxyborohydride (636 mg, 3.0 mmol). The resultant suspension was stirred at room temperature for 16 h, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (225 mg, 54%) as an off-white solid. ESI MS *m/z* 277 $[C_{16}H_{24}N_2O_2 + H]^+$

Example 1020

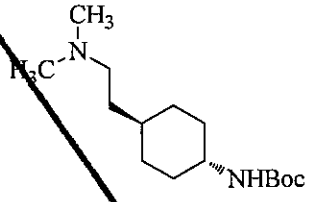
N1,N1-dimethyl-2,3-dihydro-1*H*-indene-1,5-diamine dihydrochloride



Following general procedure A-1, *tert*-butyl (1-(dimethylamino)-2,3-dihydro-1H-inden-5-yl) carbamate (225 mg, 0.814 mmol) was reacted with 6 N aqueous HCl (2 mL) to afford the desired product as a light brown solid that was used in the next step without further purification. ESI MS *m/z* 177 $[C_{11}H_{16}N_2 + H]^+$

Example 1021

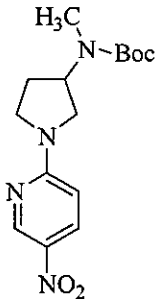
tert-butyl (*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)carbamate



To a suspension of *tert*-butyl (*trans*-4-(2-aminoethyl)cyclohexyl)carbamate (970 mg, 4 mmol) and paraformaldehyde (360 mg, 12 mmol) in methanol (40 mL) was added sodium cyanoborohydride (754 mg, 12 mmol) and acetic acid (1 drop). The resultant suspension was stirred at room temperature for 16 h, diluted with a saturated $NaHCO_3$ solution and extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (336 mg, 31%) as a white solid. ESI MS *m/z* 271 $[C_{15}H_{30}N_2O_2 + H]^+$

Example 1022

tert-butyl methyl(1-(5-nitropyridin-2-yl)pyrrolidin-3-yl)carbamate

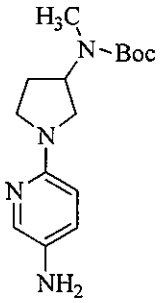


To a solution of *tert*-butyl methyl(pyrrolidin-3-yl)carbamate (1.00 g, 5.0 mmol) in THF (25 mL)

was added triethylamine (0.70 mL, 5.0 mmol) and 2-chloro-5-nitropyridine (500 mg, 3.15 mmol). The reaction mixture was then stirred at room temperature for 16 h, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, ethyl acetate/hexanes) afforded the desired product (1.02 g, 100%) as a yellow solid. ESI MS *m/z* 323 $[C_{15}H_{22}N_4O_4 + H]^+$

Example 1023

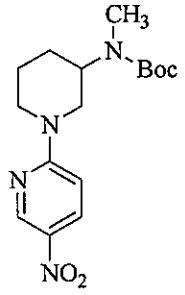
tert-butyl (1-(5-aminopyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate



To a solution of *tert*-butyl methyl(1-(5-nitropyridin-2-yl)pyrrolidin-3-yl)carbamate (1.02 g, 3.2 mmol) in THF (50 mL) was added Pd/C (10 wt. %, 500 mg). The reaction mixture was stirred under 1 atm of hydrogen for 16 h, filtered through celite and concentrated to afford the desired product (940 mg, 100%) as a red oil. ESI MS *m/z* 293 $[C_{15}H_{24}N_4O_2 + H]^+$

Example 1024

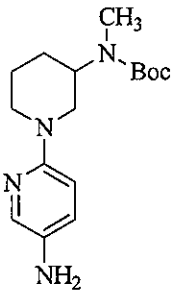
tert-butyl methyl(1-(5-nitropyridin-2-yl)piperidin-3-yl)carbamate



To a solution of *tert*-butyl methyl(piperidin-3-yl)carbamate (1.00 g, 4.67 mmol) in THF (25 mL) was added triethylamine (0.70 mL, 5.0 mmol) and 2-chloro-5-nitropyridine (500 mg, 3.1 mmol). The reaction mixture was then stirred at room temperature for 16 h, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, ethyl acetate/hexanes) afforded the desired product (1.03 g, 99%) as a yellow solid. ESI MS *m/z* 337 $[C_{16}H_{24}N_4O_4 + H]^+$

Example 1025

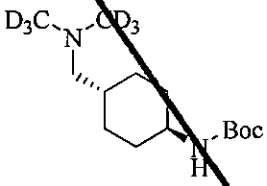
tert-butyl (1-(5-aminopyridin-2-yl)piperidin-3-yl)(methyl)carbamate



To a solution of *tert*-butyl methyl(1-(5-nitropyridin-2-yl)piperidin-3-yl)carbamate (1.03 g, 3.1 mmol) in THF (50 mL) was added Pd/C (10 wt. %, 500 mg). The reaction mixture was stirred under 1 atm of hydrogen for 16 h, filtered through celite and concentrated to afford the desired product (902 mg, 96%) as a red oil. ESI MS *m/z* 307 $[C_{16}H_{26}N_4O_2 + H]^+$

Example 1026

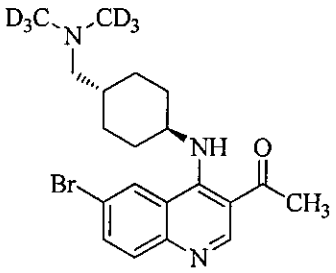
tert-butyl (*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)carbamate



To a suspension of *trans*-4-((*tert*-butoxycarbonyl)amino)cyclohexyl)methyl methanesulfonate (307 mg, 1.0 mmol) in acetonitrile (4 mL) was added KI (332 mg, 2.0 mmol), *N*,*N*-diisopropylethylamine (1.78 mL, 10 mmol) and finally dimethyl-d_6-amine hydrochloride (350 mg, 4.0 mmol). The reaction mixture was heated in a microwave at 100 °C for 1 h. The reaction was cooled to room temperature, diluted with a satd. aq $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated to afford the desired product (236 mg, 90%) as a light brown solid. ESI MS *m/z* 263 $[C_{14}H_{22}D_6N_2O_2 + H]^+$

Example 1027

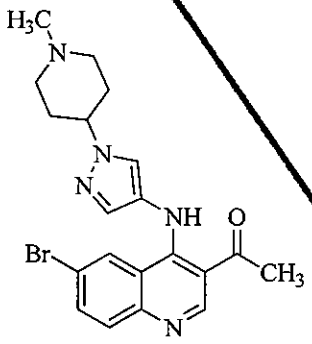
1-(6-bromo-4-((*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)amino) quinolin-3-yl)ethanone



To a solution of *tert*-butyl (*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)carbamate (750 mg, 2.85 mmol) in THF (10 mL) was added water (5 mL) and HCl (6.0 M in H_2O, 5.0 mL, 30 mmol) and the reaction mixture was heated at 65 °C for 2 h. After cooling to room temperature the reaction mixture was concentrated and the residue was dissolved in dioxane (40 mL) followed by the addition of *N*,*N*-diisopropylethylamine (5.0 mL, 28.7 mmol), K_2CO_3 (1.0 g, 7.24 mmol) and 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (0.59 g, 2.0 mmol). The reaction mixture was heated at 100 °C for 16 h, cooled to room temperature, diluted with satd. aq $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated to afford the desired product (273 mg, 33%) as an off-white solid. ESI MS *m/z* 410 $[C_{20}H_{20}D_6BrN_3O + H]^+$

Example 1028

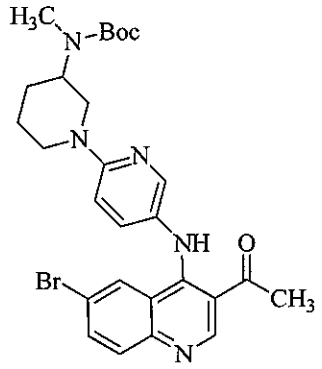
1-(6-bromo-4-((1-(1-methylpiperidin-4-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol) was reacted with 1-(1-methylpiperidin-4-yl)-1*H*-pyrazol-4-amine (0.30 g, 1.66 mmol) to afford the desired product (223 mg, 52%) as a light yellow solid. ESI MS *m/z* 428 $[C_{20}H_{22}BrN_5O + H]^+$

Example 1029

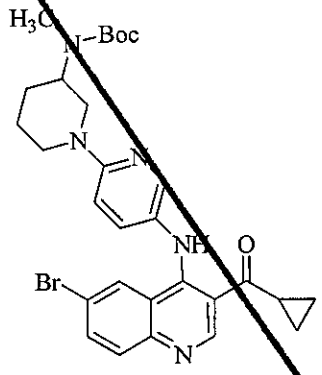
tert-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl) piperidin-3-yl)(methyl)carbamate



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol) was reacted with *tert*-butyl (1-(5-aminopyridin-2-yl)piperidin-3-yl)(methyl)carbamate (442 mg, 1.45 mmol) to afford the desired product (446 mg, 80%) as an orange-red solid. ESI MS *m/z* 554 $[C_{27}H_{32}BrN_5O_3 + H]^+$

Example 1030

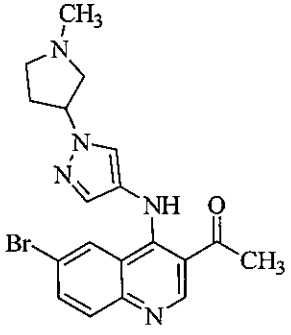
tert-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) pyridin-2-yl)piperidin-3-yl)(methyl)carbamate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.0 mmol) was reacted with *tert*-butyl (1-(5-aminopyridin-2-yl)piperidin-3-yl)(methyl) carbamate (460 mg, 1.51 mmol) to afford the desired product (590 mg, 100%) as an orange-red solid. ESI MS *m/z* 580 $[C_{29}H_{34}BrN_5O_3 + H]^+$

Example 1031

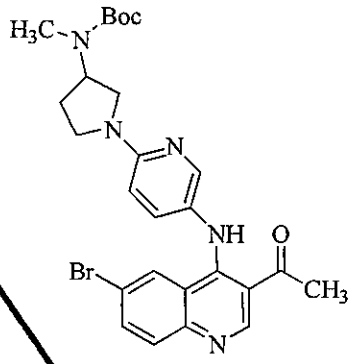
1-(6-bromo-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol) was reacted with 1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-amine (0.28 g, 1.68 mmol) to afford the desired product (360 mg, 87%) as a light yellow solid. ESI MS *m/z* 414 $[C_{19}H_{20}BrN_5O + H]^+$

Example 1032

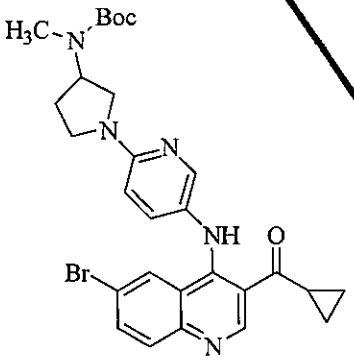
tert-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl) pyrrolidin-3-yl)(methyl)carbamate



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol) was reacted with *tert*-butyl (1-(5-aminopyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (440 mg, 1.50 mmol) to afford the desired product (273 mg, 51%) as an orange solid. ESI MS *m/z* 540 $[C_{26}H_{30}BrN_5O_3 + H]^+$

Example 1033

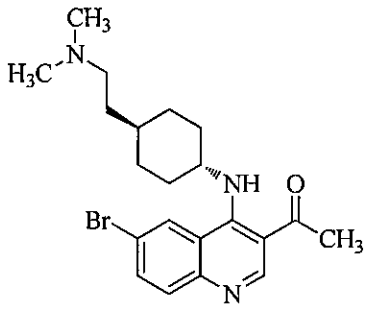
tert-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.0 mmol) was reacted with *tert*-butyl (1-(5-aminopyridin-2-yl)pyrrolidin-3-yl)(methyl) carbamate (500 mg, 1.71 mmol) to afford the desired product (350 mg, 62%) as an orange-red solid. ESI MS *m/z* 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$

Example 1034

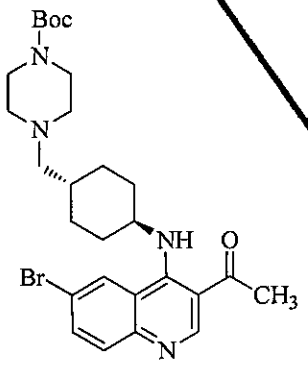
1-(6-bromo-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure A-1, *tert*-butyl (*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl) carbamate (336 mg, 1.24 mmol) was reacted with 6 N aqueous HCl (2 mL) to afford a viscous colorless oil. The oil was dissolved in dioxane (10 mL) and DMF (5 mL) followed by the addition of 1-(6-bromo -4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol), K_2CO_3 (0.55 g, 4.0 mmol), and *N*,*N*-diisopropylethylamine (1.0 mL, 5.8 mmol) and the resultant suspension was heated at 100 °C for 16 h. The reaction mixture was cooled to room temperature, diluted with satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (227 mg, 54%) as a light yellow-brown solid. ESI MS *m/z* 418 $[C_{21}H_{28}BrN_3O + H]^+$

Example 1035

tert-butyl 4-((*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl) methyl)piperazine-1-carboxylate

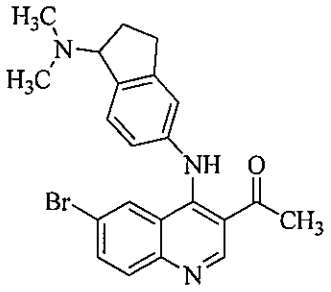


To a solution of ((*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl)methyl methanesulfonate (300 mg, 0.66 mmol) and *tert*-butyl piperazine-1-carboxylate (566 mg, 3.0 mmol) in acetonitrile (5 mL) and dioxane (5 mL) was added KI (500 mg, 3.0 mmol) and *N*,*N*-diisopropylethylamine (0.60 mL, 3.44 mmol) and the reaction mixture was heated at 70 °C for 16 h. The solution was cooled to room temperature, diluted with satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product (248 mg, 69%) as an off-white solid.

ESI MS *m/z* 545 $[C_{27}H_{37}BrN_4O_3 + H]^+$

Example 1036

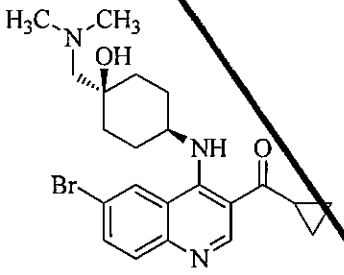
1-(6-bromo-4-((1-(dimethylamino)-2,3-dihydro-1*H*-inden-5-yl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (256 mg, 0.90 mmol) was reacted with *N1,N1*-dimethyl-2,3-dihydro-1H-indene-1,5-diamine dihydrochloride (0.814 mmol) to afford the desired product (19 mg, 5.5%) as a yellow-brown solid. ESI MS *m/z* 424 $[C_{22}H_{22}BrN_3O + H]^+$

Example 1037

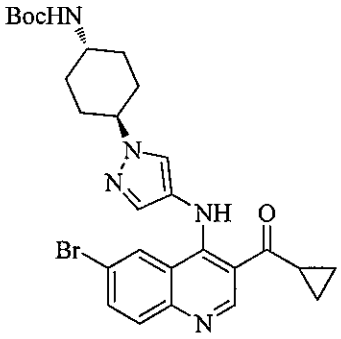
(6-bromo-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (155 mg, 0.5 mmol) was reacted with *cis*-4-amino-1-((dimethylamino)methyl)cyclohexanol (90 mg, 0.37 mmol) to afford the desired product (72 mg, 44%) as an off-white solid. ESI MS *m/z* 446 $[C_{22}H_{28}BrN_3O_2 + H]^+$

Example 1038

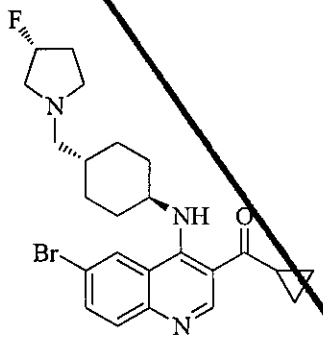
tert-butyl (*trans*-4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl) amino)-1*H*-pyrazol-1-yl)cyclohexyl)carbamate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.0 mmol) was reacted with *tert*-butyl (*cis*-4-(4-amino-1*H*-pyrazol-1-yl)cyclohexyl) carbamate (610 mg, 2.18 mmol) to afford the desired product (90 mg, 16%) as a yellow solid. ESI MS *m/z* 554 $[C_{27}H_{32}BrN_5O_3 + H]^+$

Example 1039

(6-bromo-4-((*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone

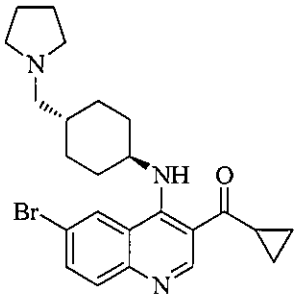


To a solution of *tert*-butyl (*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)carbamate (265 mg, 0.88 mmol) in THF (6 mL) was added aqueous 6 N HCl (6 mL) and water (6 mL) and the reaction mixture was heated at 65 °C for 3 h. The reaction mixture was cooled to room temperature, diluted with satd. aq. sodium bicarbonate (50 mL) and 1 M aqueous sodium hydroxide (50 mL) and extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate and concentrated to afford a white semisolid. The residue was dissolved in dioxane followed by the addition of (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (225 mg, 0.724 mmol), K_2CO_3 (415 mg, 3.0 mmol), and *N*,*N*-diisopropylethylamine (0.39 mL, 3.0 mmol) and the resultant suspension was heated at 80 °C for 16 h. The reaction was cooled to room temperature, diluted with satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20%

methanol/dichloromethane) afforded the desired product (180 mg, 52%) as an off-white solid. ESI MS *m/z* 474 $[C_{24}H_{29}BrFN_3O + H]^+$

Example 1040

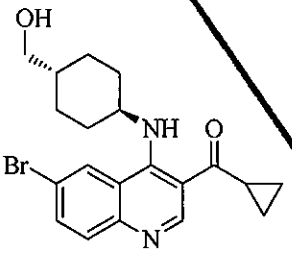
(6-bromo-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (466 mg, 1.5 mmol) was reacted with *trans*-4-(pyrrolidin-1-ylmethyl)cyclohexanamine dihydrochloride (847 mg, 3.0 mmol) to afford the desired product (180 mg, 52%) as an off-white solid. ESI MS *m/z* 456 $[C_{24}H_{30}BrN_3O + H]^+$

Example 1041

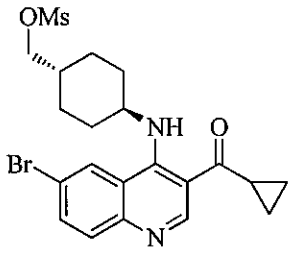
(6-bromo-4-((*trans*-4-(hydroxymethyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (1.16 g, 3.75 mmol) was reacted with (*trans*-4-aminocyclohexyl)methanol (726 mg, 5.61 mmol) to afford the desired product (1.23 g, 81%) as a white solid. ESI MS *m/z* 403 $[C_{20}H_{23}BrN_2O_2 + H]^+$

Example 1042

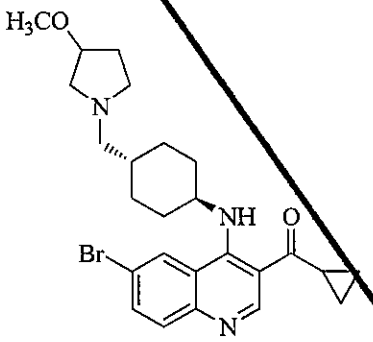
(*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) cyclohexyl)methyl methanesulfonate



To a suspension of (6-bromo-4-((*trans*-4-(hydroxymethyl)cyclohexyl)amino)quinolin-3-yl) (cyclopropyl)methanone (1.23 g, 3.05 mmol) in dichloromethane (60 mL) was added triethylamine (2.09 mL, 15 mmol) and methanesulfonyl chloride (0.35 mL, 4.5 mmol) was added dropwise. The reaction mixture was stirred for 2 h. The solution was then diluted with saturated sodium bicarbonate solution and extracted with dichloromethane. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, ethyl acteate/hexanes) afforded the desired product (0.98 g, 67%) as a white solid. ESI MS *m/z* 481 $[C_{21}H_{25}BrN_2O_4S + H]^+$

Example 1043

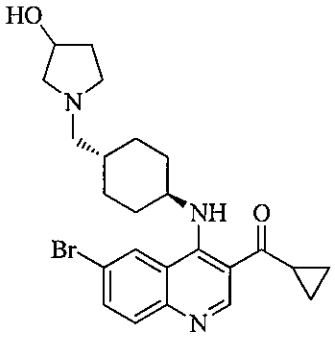
(6-bromo-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



To a solution of (*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) cyclohexyl)methyl methanesulfonate (362 mg, 0.75 mmol) and 3-methoxypyrrolidine hydrochloride (410 mg, 2.98 mmol) in acetonitrile (15 mL) was added K_2CO_3 (1.24 g, 9 mmol) and KI (300 mg, 1.81 mmol) and *N*,*N*-diisopropylethylamine (0.5 mL, 2.9 mmol) and the reaction mixture was heated at 50 °C for 16 h and 80 °C for 24 h. The solution was cooled to room temperature, diluted with satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purification by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product (155 mg, 42%) as an off-white solid. ESI MS *m/z* 486 $[C_{25}H32BrN_3O_2 + H]^+$

Example 1044

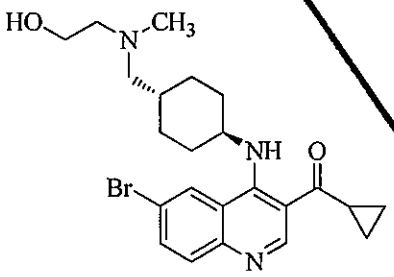
(6-bromo-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



To a solution of (*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) cyclohexyl)methyl methanesulfonate (362 mg, 0.75 mmol) and 3-hydroxypyrrolidine (262 mg, 3.0 mmol) in acetonitrile (15 mL) was added *N*,*N*-diisopropylethylamine (1.0 mL, 5.8 mmol) and the reaction mixture was heated at 80 °C for 16 h. The solution was cooled to room temperature, diluted satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product (174 mg, 49%) as an off-white solid. ESI MS *m/z* 472 $[C_{24}H_{30}BrN_3O_2 + H]^+$

Example 1045

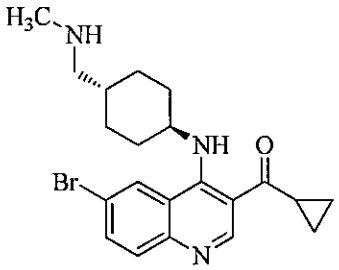
(6-bromo-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



To a solution of (*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) cyclohexyl)methyl methanesulfonate (361 mg, 0.75 mmol) and 2-(methylamino)ethanol (0.30 mL, 3.75 mmol) in acetonitrile (15 mL) was added *N*,*N*-diisopropylethylamine (1.0 mL, 5.8 mmol) and the reaction mixture was heated at 70 °C for 16 h. The solution was cooled to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (150 mg, 43%) as an off-white solid. ESI MS *m/z* 460 $[C_{23}H_{30}BrN_3O_2 + H]^+$

Example 1046

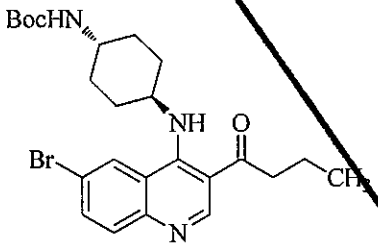
(6-bromo-4-((*trans*-4-((methylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



A suspension of (*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)cyclohexyl)methyl methanesulfonate (175 mg, 0.36 mmol) in methylamine (2.0 M solution in THF, 4.0 mL, 8.0 mmol) in a sealed vessel was heated under microwave irradiation conditions to 120 °C for 2 h. The solution was cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (42 mg, 28%) a white solid. ESI MS *m/z* 416 $[C_{21}H_{26}BrN_3O + H]^+$

Example 1047

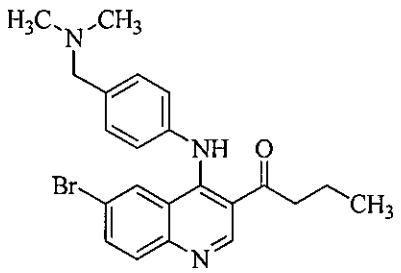
tert-butyl (*trans*-4-((6-bromo-3-butyrylquinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one (470 mg, 1.50 mmol) was reacted with *tert*-butyl (*trans*-4-aminocyclohexyl)carbamate (643 mg, 3 mmol) to afford the desired product (498 mg, 68%) as a light orange solid. ESI MS *m/z* 490 $[C_{24}H_{32}BrN_3O_3 + H]^+$

Example 1048

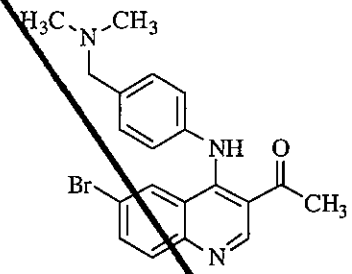
1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one (470 mg, 1.50 mmol) was reacted with 4-((dimethylamino)methyl)aniline (451 mg, 3 mmol) to afford the desired product (402 mg, 63%) as a yellow solid. ESI MS *m/z* 426 [$C_{22}H_{24}BrN_3O$ + H]$^+$

Example 1049

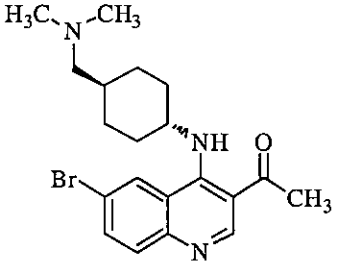
1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (500 mg, 1.76 mmol) was reacted with 4-((dimethylamino)methyl)aniline hydrochloride (479 mg, 2.56 mmol) to afford the desired product (491 mg, 70%) as a yellow solid. ESI MS *m/z* 398 [$C_{20}H_{20}BrN_3O$ + H]$^+$

Example 1050

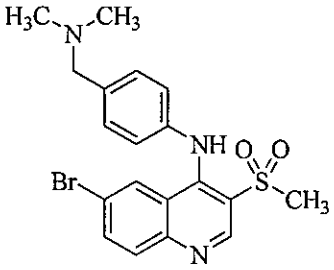
1-(6-bromo-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (256 mg, 0.90 mmol) was reacted with *trans*-4-((dimethylamino)methyl)cyclohexanamine dihydrochloride (320 mg, 1.40 mmol) to afford the desired product (149 mg, 70%) as a light orange solid. ESI MS *m/z* 404 [$C_{20}H_{26}BrN_3O$ + H]$^+$

Example 1051

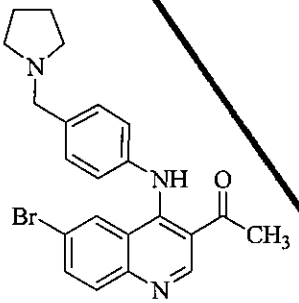
6-bromo-N-(4-((dimethylamino)methyl)phenyl)-3-(methylsulfonyl)quinolin-4-amine



To a suspension of 6-bromo-4-chloro-3-(methylsulfonyl)quinoline (250 mg, 0.78 mmol) in dioxane (8 mL) was added 4-((dimethylamino)methyl)cyclohexanamine (233 mg, 1.55 mmol) and *N*,*N*-diisopropylethylamine (0.42 mL, 2.4 mmol). The reaction mixture was heated at 90 °C for 4 h. The reaction mixture was cooled to room temperature, diluted with saturated sodium bicarbonate solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (225 mg, 66%) as a yellow solid. ESI MS *m/z* 434 $[C_{29}H_{20}BrN_3O_2 + H]^+$

Example 1052

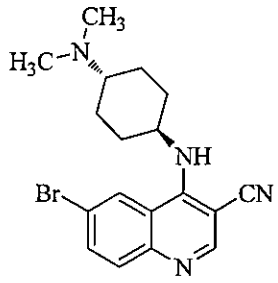
1-(6-bromo-4-((4-(pyrrolidin-1-ylmethyl)phenyl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.00 mmol) was reacted with 4-(pyrrolidin-1-ylmethyl)aniline (374 mg, 1.50 mmol) to afford the desired product (182 mg, 43%) as a light orange solid. ESI MS *m/z* 424 $[C_{22}H_{22}BrN_3O + H]^+$

Example 1053

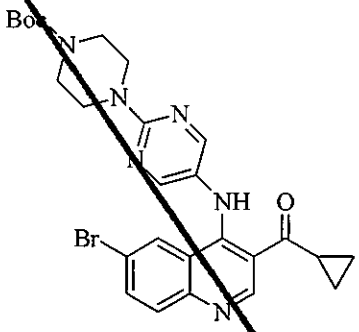
6-bromo-4-((*trans*-4-(dimethylamino)cyclohexyl)amino)quinoline-3-carbonitrile



Following general procedure C, 6-bromo-4-chloroquinoline-3-carbonitrile (262 mg, 0.98 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine dihydrochloride (422 mg, 1.96 mmol) to afford the desired product (56 mg, 15%) as a yellow solid. ESI MS *m/z* 373 $[C_{18}H_{21}BrN_4 + H]^+$

Example 1054

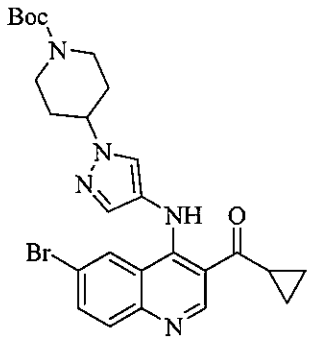
tert-butyl 4-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) pyrimidin-2-yl)piperazine-1-carboxylate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1.0 mmol) was reacted with *tert*-butyl 4-(5-aminopyrimidin-2-yl)piperazine-1-carboxylate (419 mg, 1.5 mmol) to afford the desired product (215 mg, 39%) as a yellow solid. ESI MS *m/z* 553 $[C_{26}H_{29}BrN_6O_3 + H]^+$

Example 1055

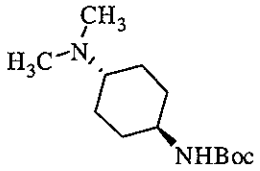
tert-butyl 4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) -1H-pyrazol-1-yl)piperidine-1-carboxylate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1.0 mmol) was reacted with *tert*-butyl 4-(4-amino-1H-pyrazol-1-yl)piperidine-1-carboxylate (400 mg, 1.5 mmol) to afford the desired product (441 mg, 82%) as a yellow solid. ESI MS *m/z* 540 $[C_{16}H_{30}BrN_5O_3 + H]^+$

Example 402

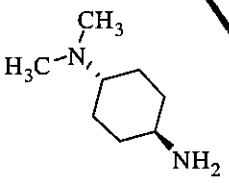
tert-Butyl *trans*-4-(dimethylamino)cyclohexylcarbamate



To a solution of *tert*-butyl *trans*-4-aminocyclohexylcarbamate (750 mg, 3.50 mmol), paraformaldehyde (318 mg, 10.5 mmol), and sodium cyanoborohydride (660 mg, 13.5 mmol) in methanol (30 mL) was added acetic acid (catalytic) and the reaction stirred at room temperature for 18 h. The reaction mixture was quenched with water and the layers were separated. The pH of the aqueous layer was adjusted to 10 using 1 M sodium hydroxide followed by extraction with methylene chloride. The combined organic layers were dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (805 mg, 95%) as a white solid: ESI MS *m/z* 243 $[C_{13}H_{26}N_2O_2 + H]^+$.

Example 403

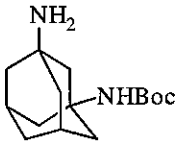
trans-N^1,N^1-Dimethylcyclohexane-1,4-diamine



To a solution of *tert*-butyl *trans*-4-(dimethylamino)cyclohexylcarbamate (805 mg, 3.33 mmol) was added TFA (5 mL) and the reaction mixture was heated at 75 °C for 18 h. The reaction mixture was concentrated and the residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product as the free base (400 mg, 85%) as an orange oil: ESI MS *m/z* 143 $[C_8H_{18}N_2 + H]^+$.

Example 404

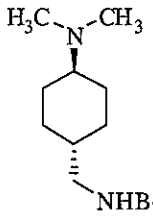
tert-Butyl 1-(3-aminoadamantane)carbamate



To a mixture of 1,3-diaminoadamantane dihydrochloride (500 mg, 2.09 mmol) and sodium carbonate (1.10 g, 10.5 mmol) in 1,4 dioxane (20 mL) and water (10 mL) at 0 °C was added di-*tert*-butyl dicarbonate (450 mg, 2.09 mmol) in 1,4 dioxane (10 mL) portionwise over 10 min. The reaction mixture was warmed to room temperature, stirred for 18 h, diluted with methylene chloride and the layers were separated. The organic layer was dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (420 mg, 76%) as a white solid: ESI MS *m/z* 267 $[C_{15}H_{26}N_2O_2 + H]^+$.

Example 405

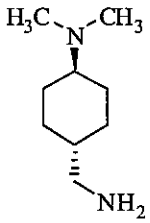
tert-Butyl [*trans*-4-(dimethylamino)cyclohexyl]methylcarbamate



To a solution of *tert*-butyl [*trans*-4-aminocyclohexyl]methylcarbamate (1.15 g, 5.00 mmol), paraformaldehyde (454 mg, 15.0 mmol), and sodium cyanoborohydride (940 mg, 15.0 mmol) in methanol (40 mL) was added acetic acid (catalytic) and the reaction mixture stirred at room temperature for 18 h. The reaction mixture was quenched with water and concentrated to remove methanol. The pH of the aqueous layer was adjusted to 10 with 1 M aqueous sodium hydroxide followed by extraction with methylene chloride. The organic layers were dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (1.23 g, 96%) as a thick oil: ESI MS *m/z* 257 $[C_{14}H_{28}N_2O_2 + H]^+$.

Example 406

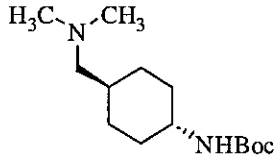
trans-4-(Aminomethyl)-*N*,*N*-dimethylcyclohexanamine



Following general procedure A-1, *tert*-butyl [*trans* -4-(dimethylamino)cyclohexyl]methyl carbamate (1.23 g, 4.80 mmol) was reacted with 3 M hydrochloric acid (10 mL) to afford the desired product (1.15 g, >99%) as white solid: ESI MS *m/z* 230 $[C_9H_{20}N_2 + H]^+$.

Example 407

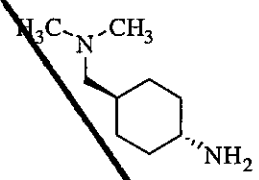
tert-Butyl *trans*-4-[(dimethylamino)methyl]cyclohexylcarbamate



To a solution of *tert*-butyl *trans*-4-(aminomethyl)cyclohexylcarbamate (1.02 g, 4.47 mmol), paraformaldehyde (407 mg, 13.4 mmol), and sodium cyanoborohydride (842 mg, 13.4 mmol) in methanol (40 mL) was added acetic acid (catalytic) and the reaction mixture stirred at room temperature for 18 h. The reaction mixture was quenched with water and concentrated to remove methanol. The pH of the aqueous layer was adjusted to 10 with 1 M aqueous sodium hydroxide followed by extraction with methylene chloride. The organic layer was dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (1.1 g, 96%) as an oil: ESI MS *m/z* 257 $[C_{14}H_{28}N_2O_2 + H]^+$.

Example 408

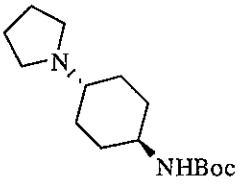
trans-4-[(Dimethylamino)methyl]cyclohexanamine



Following general procedure A-1, *tert*-butyl *trans*-4-[(dimethylamino)methyl] cyclohexylcarbamate (1.1 g, 4.30 mmol) was reacted with 3 M hydrochloric acid (10 mL) to afford the desired product (1.0 g, >99%) as a glass: ESI MS *m/z* 230 $[C_9H_{20}N_2 + H]^+$.

Example 409

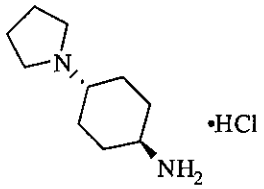
tert-Butyl *trans*-4-(pyrrolidin-1-yl)cyclohexylcarbamate



A stirred solution of *tert*-butyl *trans*-4-aminocyclohexylcarbamate (2.0 g, 9.33 mmol), 1,4-dibromobutane (1.33 mL, 11.2 mmol), and potassium hydrogen carbonate (4.67 g, 46.7 mmol) in DMF (90 mL) was stirred at room temperature overnight. After this time the reaction was diluted with aqueous lithium chloride and extracted with diethyl ether. The combined organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure to afford the desired product (399 mg, 16%) as an off-white solid: ESI MS *m/z* 269 $[C_{15}H_{28}N_2O_2 + H]^+$.

Example 410

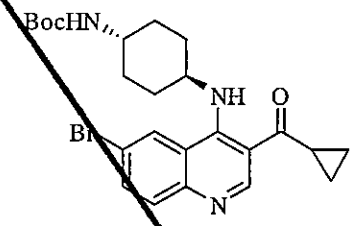
trans-4-(Pyrrolidin-1-yl)cyclohexanamine hydrochloride



To a solution of *tert*-butyl *trans*-4-(pyrrolidin-1-yl)cyclohexylcarbamate (399 mg, 1.44 mmol) in THF (12 mL) was added aqueous 6 N HCl (6 mL) and water (6 mL) and the reaction mixture was heated at 65 °C for 18 ht. The reaction mixture was cooled and concentrated to afford the desired product (350 mg, >99%) as an off-white solid: ESI MS *m/z* 169 $[C_{10}H_{20}N_2 + H]^+$.

Example 411

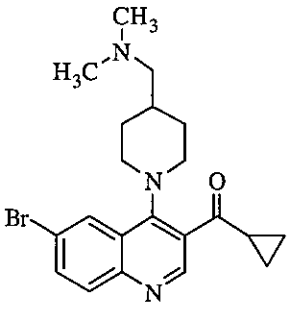
tert-Butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (581 mg, 1.87 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (865 mg, 4.00 mmol) to afford the desired product (525 mg, 56%): ESI MS *m/z* 488 $[C_{24}H_{30}BrN_3O_3 + H]^+$.

Example 412

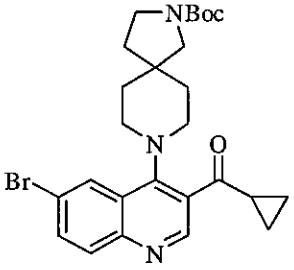
(6-Bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (211 mg, 0.680 mmol) was reacted with *N*,*N*-dimethyl-1-(piperidin-4-yl)methanamine (97 mg, 0.680 mmol) to afford the desired product (160 mg, 46%) as a yellow glass: ESI MS *m/z* 417 $[C_{21}H_{26}BrN_3O + H]^+$.

Example 413

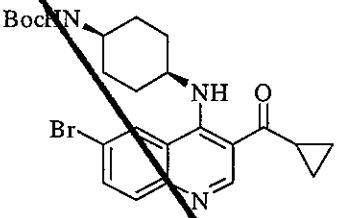
tert-Butyl 8-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl]-2,8-diazaspiro [4,5]decane-2-carboxylate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (200 mg, 0.640 mmol) was reacted with *tert*-butyl 2,8-diazaspiro[4,5]decane-2-carboxylate (169 mg, 0.704 mmol) to afford the desired product (240 mg, 73%) as a yellow foam: ESI MS *m/z* 514 $[C_{26}H_{32}BrN_3O_3 + H]^+$.

Example 414

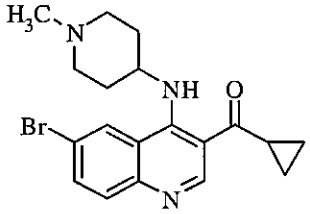
tert-Butyl *cis*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (200 mg, 0.640 mmol) was reacted with *tert*-butyl *cis*-4-aminocyclohexylcarbamate (164 mg, 0.767 mmol) to afford the desired product (259 mg, 83%) as a brown solid: ESI MS *m/z* 489 $[C_{24}H_{30}BrN_3O_3 + H]^+$.

Example 415

[6-Bromo-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl](cyclopropyl)methanone

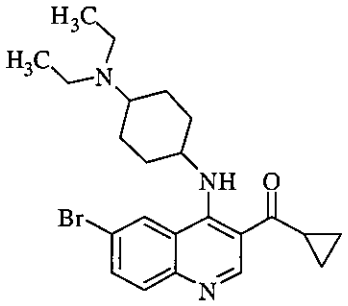


Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (510 mg, 1.64 mmol) was reacted with 1-methylpiperidin-4-amine (375 mg, 3.28 mmol) to afford the desired product (552 mg, 87%) as a brown solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.12 (s, 1H), 8.38 (d, J = 2.0 Hz, 1H), 7.84 (dd, J = 8.9, 2.1 Hz, 1H), 7.79–7.71 (m, 1H), 4.20–3.97 (m, 1H), 2.93–2.69 (m, 3H), 2.47–2.19 (m, 5H), 2.19–2.03 (m, 2H), 1.86–1.60 (m, 2H), 1.32–1.16 (m,

2H), 1.16–1.00 (m, 2H).

Example 416

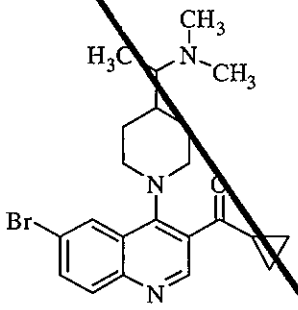
{6-Bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (1.01 g, 3.25 mmol) was reacted with N^1,N^1-diethylcyclohexane-1,4-diamine (660 mg, 3.90 mmol) to afford the desired product (1.12 g, 78%) as a yellow wax: ESI MS *m/z* 523 $[C_{23}H_{30}BrN_3O + H]^+$.

Example 417

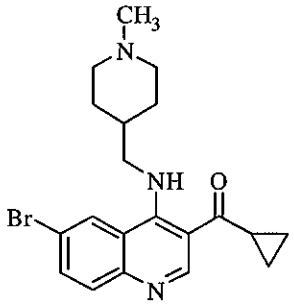
(6-Bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (300 mg, 0.965 mmol) was reacted with *N*,*N*-dimethyl-1-(piperidin-4-yl)ethanamine (332 mg, 1.45 mmol) to afford the desired product (264 mg, 63%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.78 (s, 1H), 8.32 (s, 1H), 7.95–7.80 (m, 2H), 3.57–3.39 (m, 2H), 3.21 3.05 (m, 2H), 2.59–2.42 (m, 2H), 2.31 (s, 6H), 2.11–1.97 (m, 1H), 1.89 (d, *J* = 12.4 Hz, 1H), 1.80–1.67 (m, 1H), 1.68–1.49 (m, 2H), 1.36–1.16 (m, 4H), 1.06 (d, *J* = 6.6 Hz, 3H).

Example 418

{6-Bromo-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3-yl}(cyclopropyl)methanone

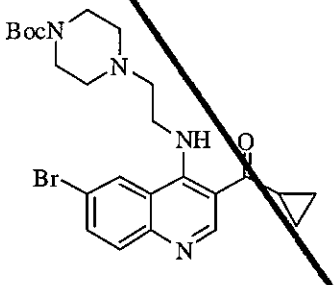


Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (0.880 g, 2.83 mmol) was reacted with (1-methylpiperidin-4-yl)methanamine (435 mg, 3.39 mmol) to afford the desired product (970 mg, 85%) as a light brown solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.87 (s, 1H), 9.22 (s, 1H), 8.36 (d, J = 1.9 Hz, 1H), 7.80 (d, J = 8.9 Hz, 1H), 7.73 (dd, J = 8.9, 2.0 Hz, 1H), 3.69 (dd, J = 6.4, 5.2 Hz, 2H), 2.93 (d, J = 11.4 Hz, 2H), 2.79–2.60 (m, 1H), 2.31 (s, J = 9.0 Hz, 3H), 2.01 (t, J = 11.7 Hz, 2H), 1.89 (d, J = 12.7 Hz, 2H), 1.76–1.60 (m, 1H), 1.53–1.30 (m, 2H), 1.30–1.17 (m, 2H), 1.10–0.95 (m, 2H).

Example 419

tert-Butyl

4-{2-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]ethyl}piperazine-1-carboxylate

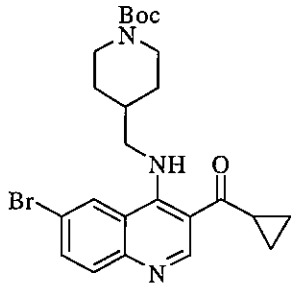


Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (940 mg, 3.03 mmol) was reacted with *tert*-butyl 4-(2-aminoethyl)piperazine-1-carboxylate (830 mg, 86%) to afford the desired product as an orange solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.69 (s, 1H), 9.21 (s, 1H), 8.39 (d, J = 2.0 Hz, 1H), 7.81 (d, J = 8.9 Hz, 1H), 7.73 (dd, J = 8.9, 2.0 Hz, 1H), 3.87 (dd, J = 11.1, 5.5 Hz, 2H), 3.49 (t, J = 4.9 Hz, 4H), 2.76–2.59 (m, 3H), 2.50 (t, J = 4.9 Hz, 4H), 1.47 (s, J = 11.3 Hz, 9H), 1.32–1.16 (m, 2H), 1.10–0.95 (m, 2H).

Example 420

tert-Butyl

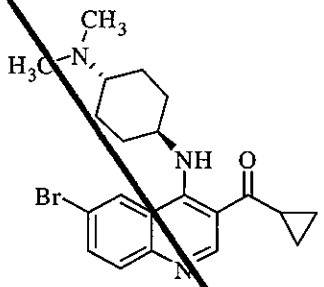
4-{[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]methyl}piperidine-1-carboxylate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (500 mg, 1.60 mmol) was reacted with *tert*-butyl 4-(aminomethyl)piperidine-1-carboxylate (516 mg, 2.41 mmol) to afford the desired product (80 mg, >99%) as an orange foam: ESI MS *m/z* 489 $[C_{24}H_{30}BrN_3O_3 + H]^+$.

Example 421

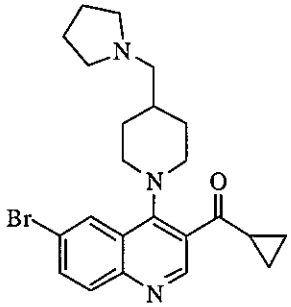
{6-Bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (870 mg, 2.80 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine (400 mg, 2.80 mmol) to afford the desired product (398 mg, 36%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.12 (s, 1H), 8.41 (d, J = 2.0 Hz, 1H), 7.85 (dd, J = 8.9, 2.0 Hz, 1H), 7.76 (d, J = 8.9 Hz, 1H), 4.10–3.84 (m, 1H), 2.93–2.70 (m, 1H), 2.56–2.40 (m, 1H), 2.37 (s, 6H), 2.25 (d, J = 12.0 Hz, 2H), 2.05 (d, J = 11.4 Hz, 2H), 1.62–1.31 (m, 4H), 1.31–1.01 (m, 4H).

Example 422

{6-Bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone

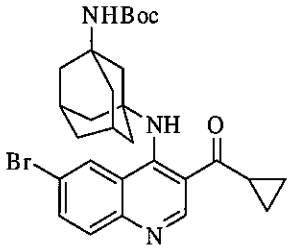


Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (210

mg, 0.680 mmol) was reacted with 4-(pyrrolidin-1-ylmethyl)piperidine (229 mg, 1.36 mmol) to afford the desired product (210 mg, 70%) as a yellow semisolid: ESI MS *m/z* 443 $[C_{23}H_{28}BrN_3O + H]^+$.

Example 423

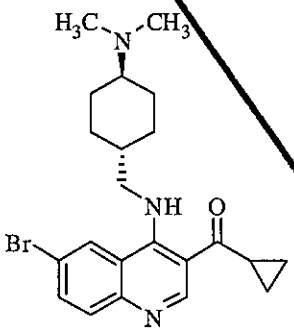
tert-Butyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]adamantylcarbamate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (326 mg, 1.05 mmol) was reacted with *tert*-butyl 1-(3-aminoadamantane)carbamate (420 mg, 1.58 mmol) to afford the desired product (230 mg, 40%) as a yellow foam: ESI MS *m/z* 541 $[C_{23}H_{26}BrN_3O + H]^+$.

Example 424

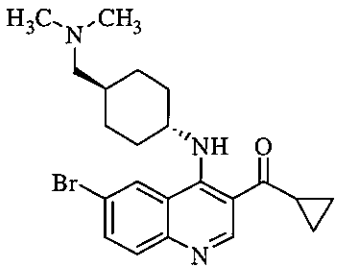
(6-Bromo-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl) (cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (537 mg, 1.73 mmol) was reacted with *trans*-4-(aminomethyl)-*N*,*N*-dimethylcyclohexanamine (595 mg, 2.60 mmol) to afford the desired product (440 mg, 59%) as a white solid: ^{1}H NMR (300 MHz, CH_3OD) δ 9.13 (s, 1H), 8.48 (d, *J* = 2.0 Hz, 1H), 7.83 (dd, *J* = 9.0, 2.0 Hz, 1H), 7.73 (d, *J* = 8.9 Hz, 1H), 3.65 (d, *J* = 6.4 Hz, 2H), 2.91–2.67 (m, 1H), 2.41–2.18 (m, 7H), 1.99 (d, *J* = 10.1 Hz, 4H), 1.67 (d, *J* = 3.3 Hz, 1H), 1.43–0.99 (m, 8H).

Example 425

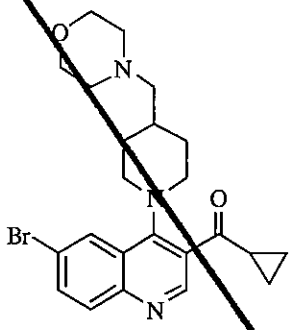
{6-Bromo-4-[*trans*-4-{(dimethylamino)methyl}cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (560 mg, 1.80 mmol) was reacted with *trans*-4-[(dimethylamino)methyl]cyclohexanamine (620 mg, 2.70 mmol) to afford the desired product (335 mg, 43%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.12 (s, 1H), 8.41 (d, J = 2.0 Hz, 1H), 7.84 (dd, J = 8.9, 2.1 Hz, 1H), 7.75 (d, J = 8.9 Hz, 1H), 4.12–3.84 (m, 1H), 2.91–2.72 (m, 1H), 2.42–2.26 (m, J = 6.6 Hz, 8H), 2.21 (d, J = 11.6 Hz, 2H), 1.94 (d, J = 12.1 Hz, 2H), 1.75–1.59 (m, 1H), 1.59–1.35 (m, 2H), 1.25–0.98 (m, 6H).

Example 426

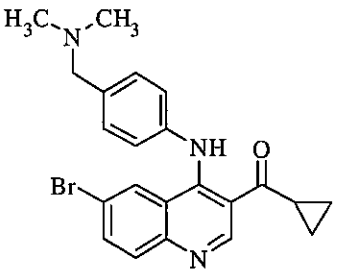
{6-Bromo-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (144 mg, 0.460 mmol) was reacted with 4-(piperidin-4-ylmethyl)morpholine (102 mg, 0.552 mmol) to afford the desired product (177 mg, 84%) as a yellow solid: ESI MS *m/z* 458 [$C_{23}H_{28}BrN_3O_2$ + H]$^+$.

Example 427

(6-Bromo-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl)(cyclopropyl)methanone

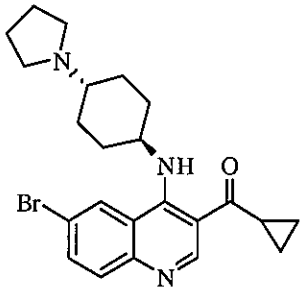


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (320 mg, 1.03 mmol) was reacted with 4-[(dimethylamino)methyl]aniline (210 mg, 1.54 mmol) to

afford the desired product (218 mg, 50%) as a yellow solid: ESI MS *m/z* 425 [$C_{22}H_{22}BrN_3O$ + H]$^+$.

Example 428

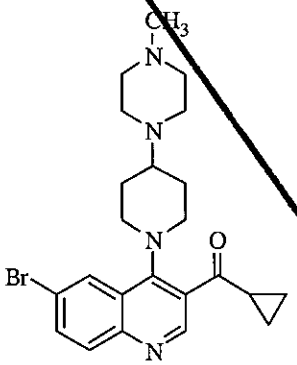
{6-Bromo-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (220 mg, 0.708 mmol) was reacted with *trans*-4-(pyrrolidin-1-yl)cyclohexanamine hydrochloride (350 mg, 2.08 mmol) to afford the desired product (75 mg, 24%) as an off-white solid: ESI MS *m/z* 442 [$C_{23}H_{28}BrN_3O$ + H]$^+$.

Example 429

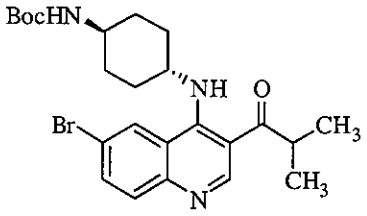
{6-Bromo-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (155 mg, 0.500 mmol) was reacted with 3-(4-methylpiperazin-1-yl)butan-1-amine (183 mg, 1.00 mmol) to afford the desired product (102 mg, 45%) as a pale yellow solid: ESI MS *m/z* 457 [$C_{23}H_{29}BrN_4O$ + H]$^+$.

Example 430

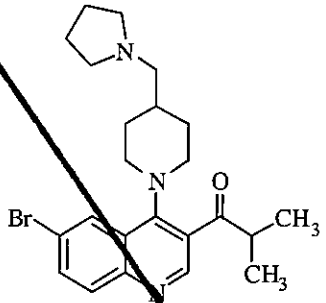
tert-Butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino)cyclohexylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one (10 g, 32.0 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (10.3 g, 48.0 mmol) to afford the desired product (12.8 g, 81%) as an off-white solid: ESI MS *m/z* 491 $[C_{24}H_{32}BrN_3O_3 + H]^+$

Example 431

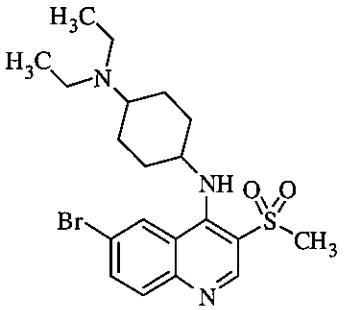
1-{6-Bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one (250 mg, 0.800 mmol) was reacted with 4-(pyrrolidin-1-ylmethyl)piperidine (168 mg, 1.00 mmol) to afford the desired product (243 mg, 68%) as a yellow solid: ESI MS *m/z* 444 $[C_{23}H_{30}BrN_3O + H]^+$.

Example 432

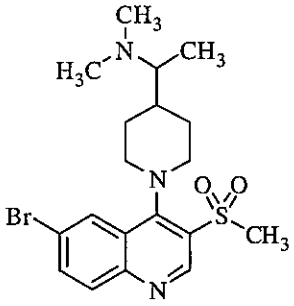
N^1-[6-Bromo-3-(methylsulfonyl)quinolin-4-yl]-N^4,N^4-diethylcyclohexane-1,4-diamine



Following general procedure B, 6-bromo-4-chloro-3-(methylsulfonyl)quinoline (161 mg, 0.500 mmol) was reacted with N^1,N^1-diethylcyclohexane-1,4-diamine (170 mg, 1.00 mmol) to afford the desired product (197 mg, 87%) as a white solid: ESI MS *m/z* 454 $[C_{20}H_{28}BrN_3O_2S + H]^+$.

Example 433

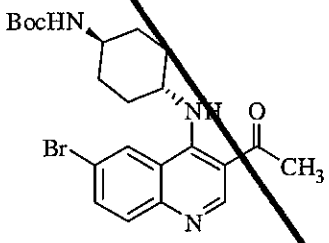
1-{1-[6-Bromo-3-(methylsulfonyl)quinolin-4-yl]piperidin-4-yl}-*N*,*N*-dimethylethanamine



Following general procedure B, 6-bromo-4-chloro-3-(methylsulfonyl)quinoline (100 mg, 0.311 mmol) was reacted with *N*,*N*-dimethyl-1-(piperidin-4-yl)ethanamine (229 mg, 1.00 mmol) to afford the desired product (93 mg, 68%) as a white solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 9.24 (s, 1H), 8.50 (d, *J* = 1.5 Hz, 1H), 8.11–7.93 (m, 2H), 3.67–3.55 (m, 2H), 3.44 (s, 3H), 3.34 (s, 2H), 2.75–2.62 (m, 1H), 2.46 (s, 6H), 2.07–1.96 (m, 1H), 1.90 (d, *J* = 12.3 Hz, 2H), 1.73–1.53 (m, 2H), 1.15 (d, *J* = 6.6 Hz, 3H).

Example 434

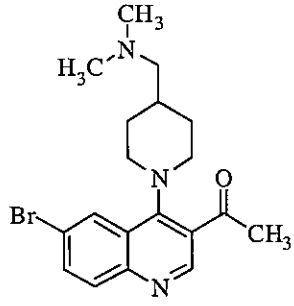
tert-Butyl *trans*-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (420 mg, 1.48 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (642 mg, 3.00 mmol) to afford the desired product (550 mg, 80%) as an off-white solid: ESI MS *m/z* 462 [$C_{22}H_{28}BrN_3O_3$ + H]$^+$.

Example 435

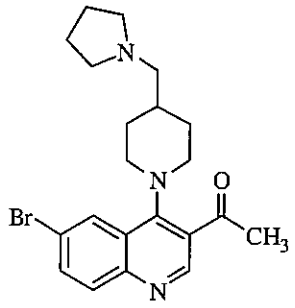
1-(6-Bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (160 mg, 0.560 mmol) was reacted with *N*,*N*-dimethyl-1-(piperidin-4-yl)methanamine (172 mg, 0.800 mmol) to afford the desired product (17.7 mg, 8%) as an off-white solid: ESI MS *m/z* 390 [$C_{19}H_{24}BrN_3O$ + H]$^+$.

Example 436

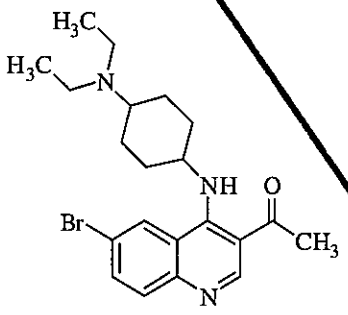
1-{6-Bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (200 mg, 0.703 mmol) was reacted with 4-(pyrrolidin-1-ylmethyl)piperidine (168 mg, 1.00 mmol) to afford the desired product (233 mg, 80%) as a yellow solid: ESI MS *m/z* 416 [$C_{21}H_{26}BrN_3O$ + H]$^+$.

Example 437

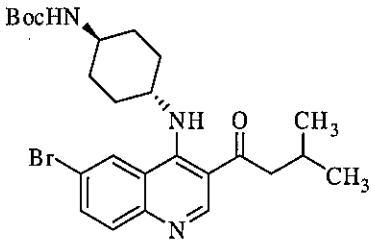
1-{6-Bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (116 mg, 0.408 mmol) was reacted with N^1,N^1-diethylcyclohexane-1,4-diamine (138 mg, 0.812 mmol) to afford the desired product (157 mg, 51%) as an off-white solid: ESI MS *m/z* 418 [$C_{21}H_{28}BrN_3O$ + H]$^+$.

Example 438

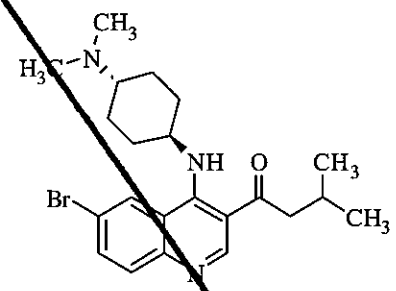
tert-Butyl *trans*-4-{6-bromo-3-(3-methylbutanoyl)quinolin-4-ylamino} cyclohexylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-3-methylbutan-1-one (309 mg, 0.950 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (407 mg, 1.90 mmol) to afford the desired product (267 mg, 56%) as a yellow solid: ESI MS *m/z* 504 $[C_{20}H_{26}BrN_3O + H]^+$.

Example 439

1-{6-Bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}-3-methylbutan-1-one

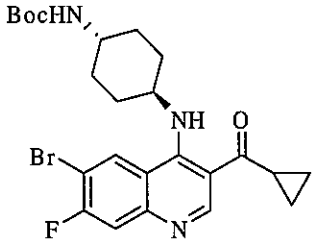


Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-3-methylbutan-1-one (44 mg, 0.14 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine (92 mg, 0.43 mmol) to afford the desired product (13 mg, 21%) as an off-white solid: ESI MS *m/z* 433 $[C_{22}H_{30}BrN_3O + H]^+$.

Example 440

tert-Butyl

trans-4-{6-bromo-3-(cyclopropanecarbonyl)-7-fluoroquinolin-4-ylamino}cyclohexylcarbamate

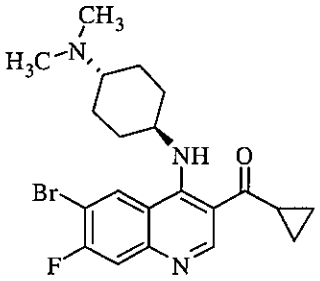


Following general procedure B, (6-bromo-4-chloro-7-fluoroquinolin-3-yl)(cyclopropyl) methanone (350 mg, 1.06 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (270 mg, 1.27 mmol) to afford the desired product (400 mg, 75%) as a light yellow solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.67 (d, J = 8.3 Hz, 1H), 9.22 (s, 1H), 8.32 (d, J = 7.3 Hz, 1H),

7.62 (d, J = 9.5 Hz, 1H), 4.42 (s, 1H), 3.91 (d, J = 8.1 Hz, 1H), 3.52 (s, 1H), 2.75–2.56 (m, 1H), 2.18 (t, J = 13.4 Hz, 4H), 1.72–1.62 (m, 1H), 1.59–1.50 (m, 2H), 1.45 (s, 9H), 1.30–1.18 (m, 3H), 1.13–1.01 (m, 2H).

Example 441

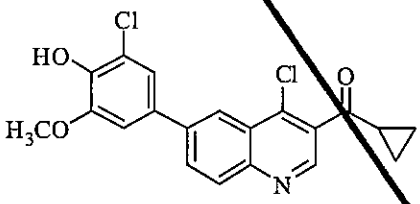
{6-Bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloro-7-fluoroquinolin-3-yl)(cyclopropyl)methanone (297 mg, 0.900 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine (290 mg, 1.35 mmol) to afford the desired product (212 mg, 54%) as an off-white solid: ESI MS m/z 435 $[C_{21}H_{25}BrFN_3O + H]^+$.

Example 442

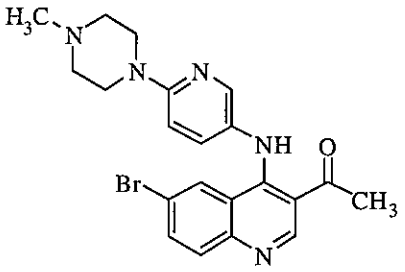
[4-Chloro-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl](cyclopropyl)methanone



To a suspension of (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (104 mg, 0.320 mmol), $Pd(dppf)Cl_2$ (23 mg, 0.03 mmol), and Cs_2CO_3 (0.95 mL, 1.0 M in H_2O) in dioxane (5 mL) was added 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (109 mg, 0.384 mmol). The reaction mixture was degassed with N_2 gas and the vessel was sealed and heated to 40 °C for 18 h. The reaction mixture was cooled, diluted with ethyl acetate, and filtered. The solution was concentrated and subjected to column chromatography (silica, hexanes/ethyl acetate) to afford the desired product (21 mg, 13%) as a light brown solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.91 (s, 1H), 8.43 (d, J = 1.9 Hz, 1H), 8.19 (t, J = 7.5 Hz, 1H), 8.10–7.91 (m, 1H), 7.36 (d, J = 1.9 Hz, 1H), 7.13 (d, J = 1.8 Hz, 1H), 6.03 (s, 1H), 4.04 (s, 3H), 2.77–2.50 (m, 1H), 1.50–1.37 (m, 2H), 1.35–1.10 (m, 2H).

Example 1056

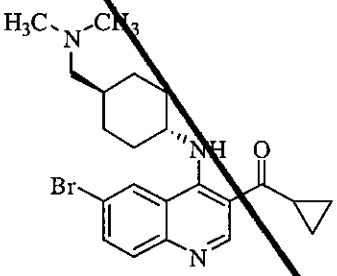
1-(6-Bromo-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (200 mg, 0.704 mmol) was reacted with 6-(4-methylpiperazin-1-yl)pyridin-3-amine (163 mg, 0.845 mmol) to afford the desired product (90 mg, 29%) as a yellow solid: ESI MS *m/z* 440, $[C_{21}H_{22}BrN_5O + H]^+$

Example 1057

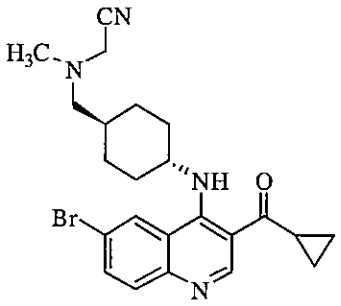
{6-Bromo-4-[(1r,4r)-4-[(dimethylamino)methyl]cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (300 mg, 0.967 mmol) was reacted with (1r,4r)-4-((dimethylamino)methyl)cyclohexanamine (153 mg, 1.16 mmol) to afford the desired product (220 mg, 53%) as a yellow solid: ESI MS *m/z* 431, $[C_{22}H_{28}BrN_3O + H]^+$

Example 1058

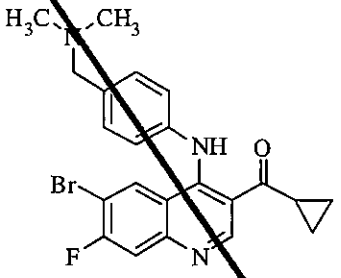
2-(((1R,4R)-4-(6-Bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (200 mg, 0.645 mmol) was reacted with 2-(((1R,4R)-4-aminocyclohexyl)methyl)(methyl)amino) acetonitrile (140 mg, 0.774 mmol) to afford the desired product (100 mg, 34%) as a yellow solid: ESI MS *m/z* 455, $[C_{23}H_{27}BrN_4O+ H]^+$

Example 1059

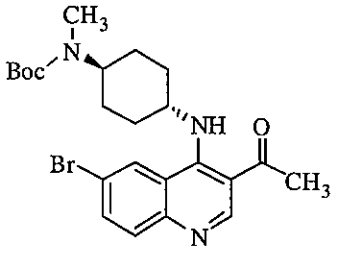
(6-Bromo-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl) (cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloro-7-fluoroquinolin-3-yl)(cyclopropyl) methanone (328 mg, 1.00 mmol) was reacted with 2-(((1R,4R)-4-aminocyclohexyl) methyl)(methyl)amino)acetonitrile (180 mg, 1.2 mmol) to afford the desired product (150 mg, 34%) as a off-white solid: ESI MS *m/z* 442, $[C_{22}H_{21}BrFN_3O+ H]^+$

Example 1060

tert-Butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl(methyl)carbamate

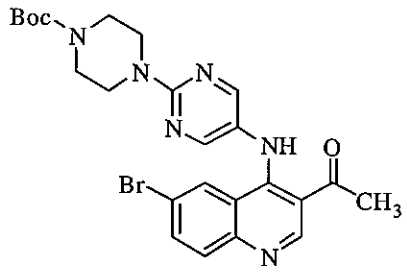


Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (300 mg, 1.06 mmol) was reacted with tert-butyl (1r,4r)-4-aminocyclohexyl(methyl)carbamate (362 mg, 1.59 mmol) to afford the desired product (250 mg, 47%) as a yellow solid: ESI MS *m/z* 501,

$[C_{25}H_{32}BrN_3O_3 + H]^+$

Example 1061

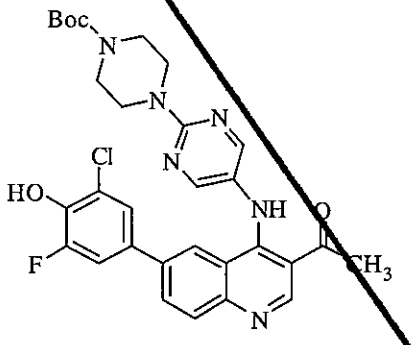
tert-butyl 4-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyrimidin-2-yl)piperazine-1-carboxylate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (300 mg, 1.06 mmol) was reacted with tert-butyl 4-(5-aminopyrimidin-2-yl)piperazine-1-carboxylate (495 mg, 1.59 mmol) to afford the desired product (250 mg, 44%) as a yellow solid: ESI MS *m/z* 527, $[C_{24}H_{27}BrN_6O_3 + H]^+$

Example 1062

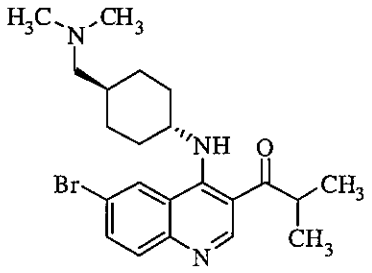
tert-butyl 4-(5-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) pyrimidin-2-yl)piperazine-1-carboxylate



Following general procedure D, tert-butyl tert-butyl 4-(5-(3-acetyl-6-bromoquinolin-4 -ylamino)pyrimidin-2-yl)piperazine-1-carboxylate (60 mg, 0.114 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (46 mg, 0.171 mmol) to afford the desired product (50 mg, 74%) as an orange solid: ESI MS *m/z* 593, $[C_{30}H_{30}ClFN_6O_4 + H]^+$

Example 1063

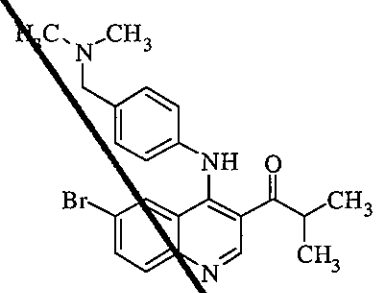
1-(6-bromo-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino) quinolin-3-yl)-2-methylpropan-1-one



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one (604 mg, 1.93 mmol) was reacted with (1r,4r)-4-((dimethylamino)methyl)cyclohexanamine (882 mg, 3.87 mmol) to afford the desired product (224 mg, 27%) as a yellow solid: ESI MS *m/z* 432, $[C_{22}H_{30}BrN_3O + H]^+$

Example 1064

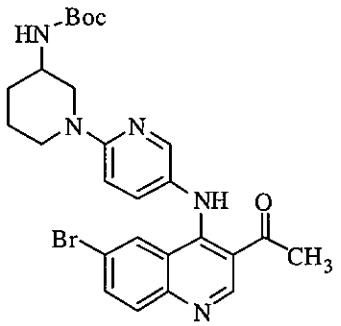
1-(6-bromo-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one (604 mg, 1.93 mmol) was reacted with 4-((dimethylamino)methyl)aniline (882 mg, 3.87 mmol) to afford the desired product (224 mg, 27%) as a yellow solid: ESI MS *m/z* 432, $[C_{22}H_{30}BrN_3O + H]^+$

Example 1065

tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate

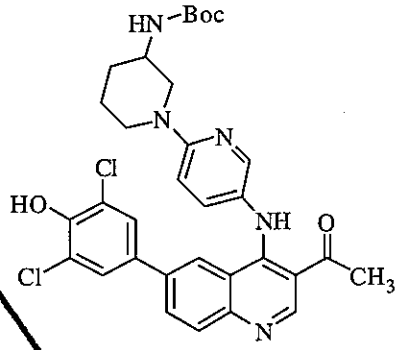


Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (194 mg, 0.681 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (210 mg,

0.821 mmol) to afford the desired product (205 mg, 55%) as a brown solid: ESI MS *m/z* 540, $[C_{26}H_{30}BrN_5O_3 + H]^+$

Example 1066

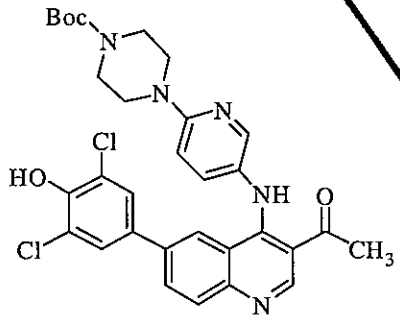
tert-butyl 1-(5-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate



Following general procedure D, tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyridin -2-yl)piperidin-3-ylcarbamate (60 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (48 mg, 0.166 mmol) to afford the desired product (52 mg, 76%) as an brown solid: ESI MS *m/z* 622, $[C_{32}H_{33}Cl_2N_5O_4 + H]^+$

Example 1067

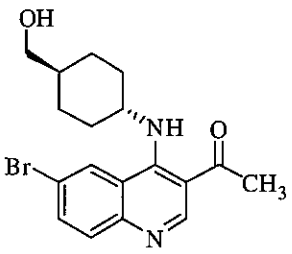
tert-butyl 4-(5-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino) pyridin-2-yl)piperazine-1-carboxylate



Following general procedure D, tert-butyl 4-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyridin -2-yl)piperazine-1-carboxylate (55 mg, 0.104 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (36 mg, 0.125 mmol) to afford the desired product (65 mg, 72%) as an green oil: ESI MS *m/z* 608, $[C_{31}H_{31}Cl_2N_5O_4 + H]^+$

Example 1068

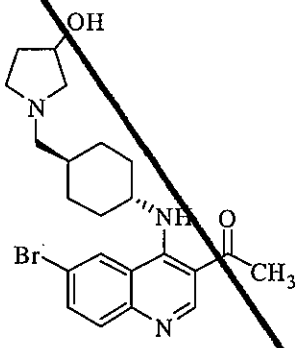
1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (1.4 g, 4.89 mmol) was reacted with (1R,4R)-4-aminocyclohexyl)methanol (970 mg, 5.87 mmol) to afford the desired product (877 mg, 48%) as a brown solid: ESI MS *m/z* 377, $[C_{18}H_{21}BrN_2O_2 + H]^+$

Example 1069

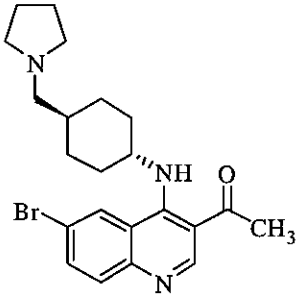
1-(6-bromo-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino) quinolin-3-yl)ethanone



To a solution of 1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl) ethanone (210 mg, 0.550 mmol) in dichloromethane (20 mL) was added triethylamine (229 µL, 1.65 mmol) and methanesulfonyl chloride (64 µL, 0.835 mmol) and the reaction was stirred at room temperature for 2 h. To the reaction mixture was added pyrrolidin-3-ol (222 µL, 2.75 mmol) and the reaction was stirred at 85 °C for 16 h. The reaction was concentrated and the residue was purified by flash chromatography to yield the expected product (150 mg, 61%) as a brown solid. ESI MS *m/z* 446, $[C_{22}H_{28}BrN_3O_2 + H]^+$

Example 170

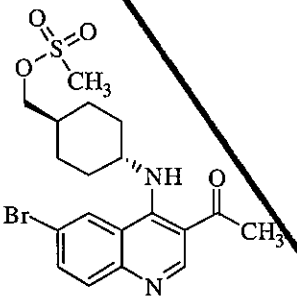
1-(6-bromo-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone



To a solution of 1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl) ethanone (200 mg, 0.530 mmol) in dichloromethane (20 mL) was added triethylamine (216 µL, 1.59 mmol) and methanesulfonyl chloride (60 µL, 0.795 mmol) and the reaction was stirred at room temperature for 2 h. To the reaction mixture was added pyrrolidine (213 µL, 2.65 mmol) and the reaction was stirred at 85 °C for 16 h. The reaction was concentrated and the residue was purified by flash chromatography to yield the expected product (127 mg, 56%) as a brown solid. ESI MS *m/z* 430, $[C_{22}H_{28}BrN_3O + H]^+$

Example 1071

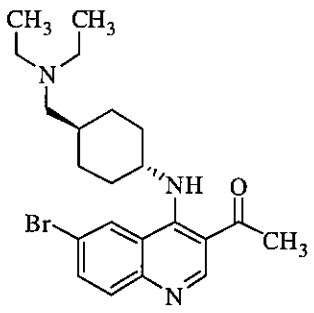
(1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate



To a solution of 1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl) ethanone (1.0 g, 2.65 mmol) in dichloromethane (70 mL) was added triethylamine (1.1mL, 7.95 mmol) and methanesulfonyl chloride (306 µL, 3.97 mmol) and the reaction was stirred at room temperature for 16 h. The completed reaction was concentrated and purified by flash chromatography to yield the expected product (990 mg, 82%) as a off-white solid. ESI MS *m/z* 455, $[C_{19}H_{23}BrN_2O_4S + H]^+$

Example 1072

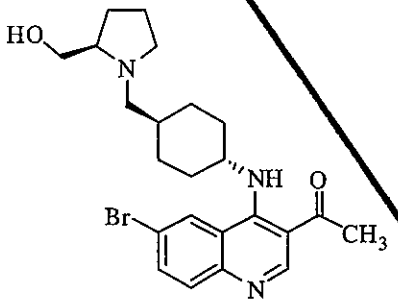
1-(6-bromo-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone



In 5 mL of DMF, (1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate (200 mg, 0.437 mmol) was combined with diethylamine (95 mg, 1.31 mmol) in the presence of triethylamine (183 μL, 1.31 mmol). The reaction mixture was stirred at 80 °C for 20 hrs in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (120 mg, 63%) as a yellow solid. ESI MS *m/z* 432, $[C_{22}H_{30}BrN_3O+ H]^+$

Example 1073

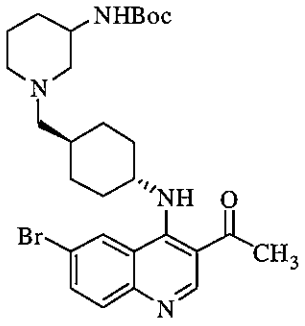
1-(6-bromo-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino) quinolin-3-yl)ethanone



To a solution of ((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate (350 mg, 0.765 mmol) and (R)-pyrrolidin-2-ylmethanol (400 mg, 3.82 mmol) in DMF (5 mL) was added triethylamine (531 μL, 3.82 mmol). The reaction mixture was stirred at 80 °C for 20 hrs in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (314 mg, 89%) as a yellow solid. ESI MS *m/z* 460, $[C_{23}H_{30}BrN_3O_2+ H]^+$

Example 1074

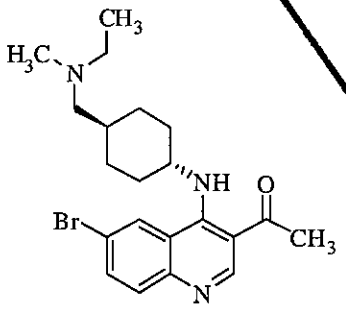
tert-butyl 1-((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexyl)methyl)piperidin-3-ylcarbamate



To a solution of (1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate (350 mg, 0.765 mmol) in DMF (5 mL) was added (tert-butyl piperidin-3-ylcarbamate (460 mg, 2.29 mmol) and triethylamine (318 µL, 2.29 mmol). The reaction mixture was stirred at 80 °C for 20 h in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (238 mg, 55%) as a yellow solid. ESI MS *m/z* 559, $[C_{28}H_{39}BrN_4O_3+ H]^+$

Example 1075

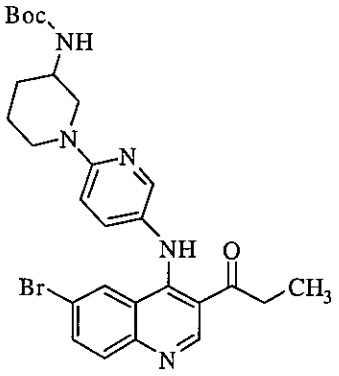
1-(6-bromo-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone



To a solution of (1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate (300 mg, 0.656 mmol) was added N-methylethanamine (190 mg, 3.28 mmol) and triethylamine (331 µL, 3.28 mmol). The reaction mixture was stirred at 80 °C for 20 hrs in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (206 mg, 75%) as a yellow solid. ESI MS *m/z* 418, $[C_{21}H_{28}BrN_3O + H]^+$

Example 1076

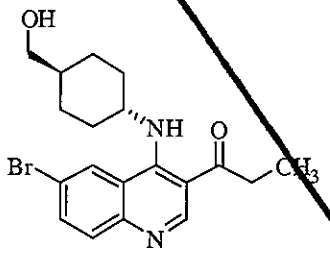
tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (235 mg, 0.792 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (348 mg, 1.19 mmol) to afford the desired product (94 mg, 21%) as an orange solid: ESI MS *m/z* 554, $[C_{27}H_{32}BrN_5O_3 + H]^+$

Example 1077

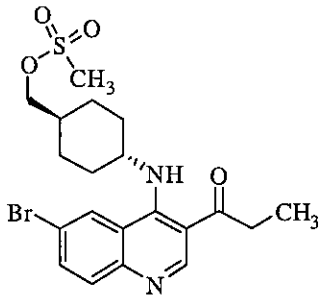
1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl)propan-1-one



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (894 mg, 3.0 mmol) was reacted with (1R,4R)-4-aminocyclohexyl)methanol (633 mg, 4.89 mmol) to afford the desired product (630 mg, 53%) as an yellow solid: ESI MS *m/z* 391, $[C_{19}H_{23}BrN_2O_2 + H]^+$

Example 1078

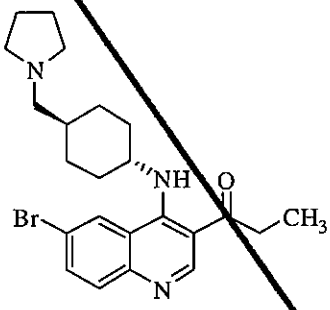
(1R,4R)-4-(6-bromo-3-propionylquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate



To a solution of 1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl) propan-1-one (630 mg, 1.6 mmol) and triethylamine (670 µL, 4.8 mmol) in 20 mL of dichloromethane was added dropwise methanesulfonyl chloride (186 µL, 2.4 mmol) and the reaction was stirred at room temperature for 16 h. The completed reaction was reduced to a yellow oil and purified by flash chromatography to yield the expected product (591 mg, 78%) as a white solid. ESI MS *m/z* 462, $[C_{20}H_{25}BrN_2O_4S + H]^+$

Example 1079

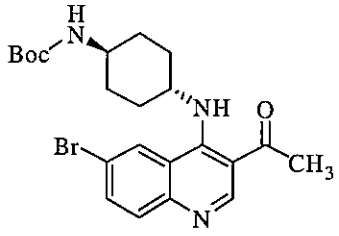
1-(6-bromo-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one



To a solution of (1R,4R)-4-(6-bromo-3-propionylquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate(295 mg, 0.629 mmol), N-methylethanamine (228 mg, 3.14 mmol) and triethylamine (436 µL, 3.14 mmol) in DMF (5 mL) and the reaction mixture was stirred at 80 °C for 20 h in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (210 mg, 75%) as a yellow solid. ESI MS *m/z* 444, $[C_{23}H_{30}BrN_3O + H]^+$

Example 1080

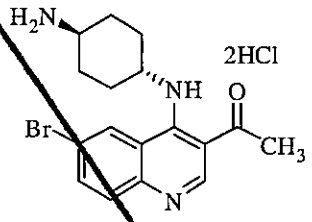
tert-butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (425 mg, 1.49 mmol) was reacted with tert-butyl (1r,4r)-4-aminocyclohexylcarbamate (400 mg, 1.87 mmol) to afford the desired product (501 mg, 73%) as an yellow solid: ESI MS *m/z* 462, $[C_{22}H_{28}BrN_3O_3 + H]^+$

Example 1081

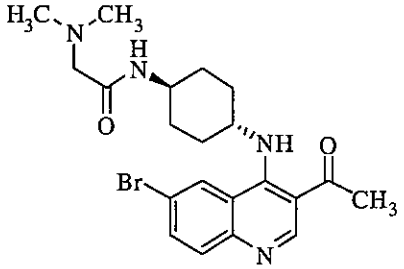
1-(4-(1R,4R)-4-aminocyclohexylamino)-6-bromoquinolin-3-yl)ethanone



Following general procedure A-1, tert-butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylcarbamate (501 mg, 1.08 mmol) afforded the desired product (450 mg, 96%) as an white solid: ESI MS *m/z* 362, $[C_{17}H_{20}BrN_3O + H]^+$

Example 1081

N-(1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)-2-(dimethylamino)acetamide

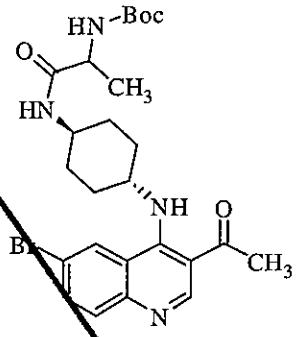


A solution of 2-(dimethylamino)acetic acid (58 mg, 0.568 mmol) and HATU (215 mg, 0.568 mmol) in DMF (5 mL) was stirred at rt for 10 min followed by the addition of *N,N*-diisopropylethylamine (443 µL, 2.73 mmol) and 1-(4-(1R,4R)-4-aminocyclohexylamino) -6-bromoquinolin -3-yl)ethanone (210 mg, 0.474 mmol) and the resulting solution was stirred at room temperature for 16 h. The completed reaction was diluted in 50 mL of

$CHCl_3$/isopropanol 3:1 mixture and washed with 5% LiCl solution (2 x 50 mL) and water (50 mL). The organic layer was separated, dried over anhydous sodium sulfate and concentrated to a orange residue. The material was purified by flash chromatography ($MeOH/CH_2Cl_2$) to afford the expected product (102 mg, 48%) as a yellow solid. ESI MS *m/z* 447, $[C_{21}H_{27}BrN_4O_2 + H]^+$

Example 1082

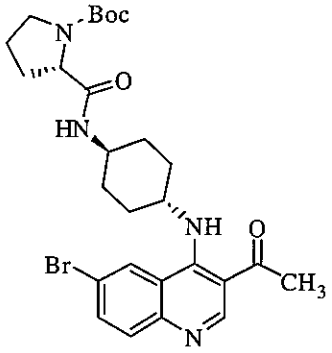
tert-butyl 1-(1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylamino)-1-oxopropan-2-ylcarbamate



A solution of 2-(tert-butoxycarbonylamino)propanoic acid (153 mg, 0.812 mmol) and HATU (308 mg, 0.812 mmol) in DMF (5 mL) was stirred at rt for 10 min followed by the addition of *N,N*-diisopropylethylamine (650 µL, 3.38 mmol) and 1-(4-(1R,4R)-4-aminocyclohexylamino) -6-bromoquinolin-3-yl)ethanone (300 mg, 0.677 mmol) and the resulting solution was stirred at room temperature for 16 h. The completed reaction was diluted in 50 mL of $CHCl_3$/isopropanol 3:1 mixture and washed with 5% LiCl solution (2 x 50 mL) and water (50 mL). The organic layer was dried over anhydous sodium sulfate concentrated to an orange residue. The material was purified by flash chromatography ($MeOH/CH_2Cl_2$) to afford the expected product (140 mg, 38%) as a yellow solid. ESI MS *m/z* 533, $[C_{25}H_{33}BrN_4O_4 + H]^+$

Example 1083

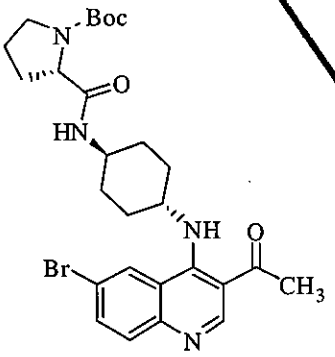
(S)-tert-butyl 2-((1r,4S)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylcarbamoyl) pyrrolidine-1-carboxylate



A solution of (S)-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid (174 mg, 0.812 mmol) and HATU (308 mg, 0.812 mmol) was stirred at rt for 10 min followed by the addition of *N*,*N*-diisopropylethylamine (650 µL, 3.38 mmol) and 1-(4-(1R,4R)-4-aminocyclohexylamino) -6-bromoquinolin-3-yl)ethanone (300 mg, 0.677 mmol) and the resulting solution was stirred at room temperature for 16 h. The completed reaction was diluted in 50 mL of $CHCl_3$/isopropanol 3:1 mixture and washed with 5% LiCl solution (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography ($MeOH/CH_2Cl_2$) to afford the expected product (273 mg, 72%) as a yellow solid. ESI MS *m/z* 559, $[C_{27}H_{35}BrN_4O_4 + H]^+$

Example 1084

(S)-tert-butyl 2-((1r,4S)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylcarbamoyl) pyrrolidine-1-carboxylate

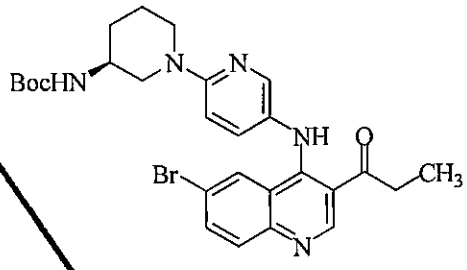


A solution of (S)-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid (174 mg, 0.812 mmol) and HATU (308 mg, 0.812 mmol) in DMF (5 mL) was stirred at rt for 10 min followed by the addition of *N*,*N*-diisopropylethylamine (650 µL, 3.38 mmol) and 1-(4-((1R,4R)-4-aminocyclohexylamino) -6-bromoquinolin-3-yl)ethanone (300 mg, 0.677 mmol) and the resulting solution was stirred at room temperature for 16h. The completed reaction was diluted in 50 mL of $CHCl_3$/isopropanol 3:1 mixture and washed with 5% LiCl solution (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over

anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography ($MeOH/CH_2Cl_2$) to afford the expected product (273 mg, 72%) as a yellow solid. ESI MS *m/z* 559, $[C_{27}H_{35}BrN_4O_4 + H]^+$

Example 1085

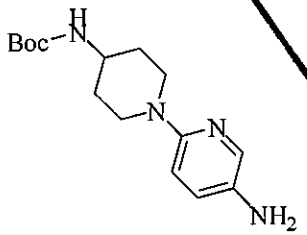
(S)-tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (301 mg, 1.02 mmol) was reacted with (S)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (600 mg, 2.05 mmol) to obtain the desired product (168 mg, 30%) as an purple semi-solid.: ESI MS *m/z* 554 $[C_{27}H_{32}BrN_5O_3 + H]^+$.

Example 1086

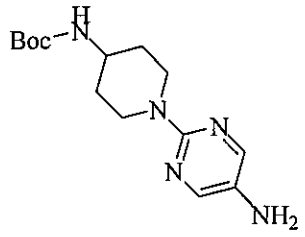
tert-butyl 1-(5-aminopyridin-2-yl)piperidin-4-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-4-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (744 mg, 80%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1087

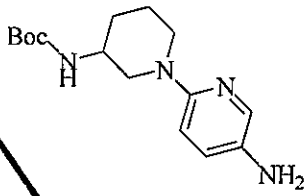
tert-butyl 1-(5-aminopyrimidin-2-yl)piperidin-4-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyrimidine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-4-ylcarbamate (683 mg, 3.5 mmol) followed by reduction to afford the desired product (792 mg, 87%) as a purple solid: ESI MS m/z 294 $[C_{14}H_{23}N_5O_2 + H]^+$.

Example 1088

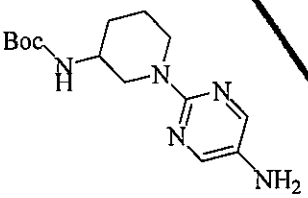
tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-3-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (847 mg, 93%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1089

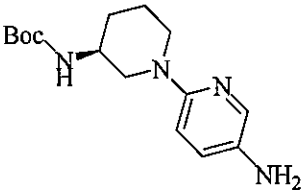
tert-butyl 1-(5-aminopyrimidin-2-yl)piperidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyrimidine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-3-ylcarbamate (683 mg, 3.5 mmol) followed by reduction to afford the desired product (762 mg, 84%) as a purple solid: ESI MS m/z 294 $[C_{14}H_{23}N_5O_2 + H]^+$.

Example 1090

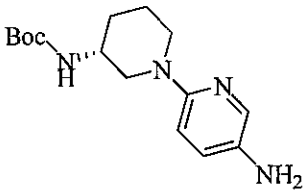
(S)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with (S)-tert-butyl piperidin-4-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (945 mg, quant.) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1091

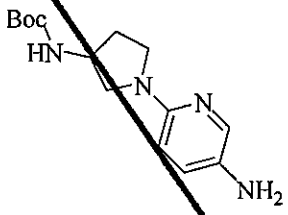
(R)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-4-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (872 mg, 96%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1092

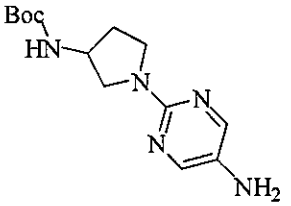
tert-butyl 1-(5-aminopyridin-2-yl)pyrrolidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl pyrrolidin-3-ylcarbamate (931 mg, 5 mmol) followed by reduction to afford the desired product (813 mg, 94%) as a purple solid: ESI MS m/z 279 $[C_{14}H_{22}N_4O_2 + H]^+$.

Example 1093

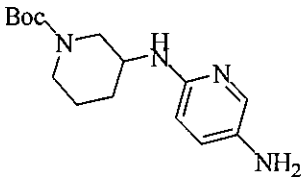
tert-butyl 1-(5-aminopyrimidin-2-yl)pyrrolidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyrimidine (500 mg, 3.1 mmol) was reacted with tert-butyl pyrrolidin-3-ylcarbamate (632 mg, 3.5 mmol) followed by reduction to afford the desired product (565 mg, 65%) as a purple solid: ESI MS m/z 280 $[C_{13}H_{21}N_5O_2 + H]^+$.

Example 1094

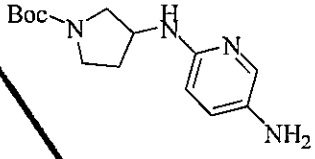
tert-butyl 3-(5-aminopyridin-2-ylamino)piperidine-1-carboxylate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl 3-aminopiperidine-1-carboxylate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (337 mg, 35%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1095

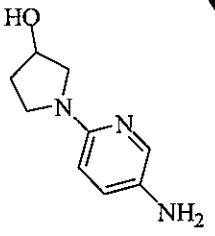
tert-butyl 3-(5-aminopyridin-2-ylamino)pyrrolidine-1-carboxylate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl 3-aminopyrrolidine-1-carboxylate (632 mg, 3.5 mmol) followed by reduction to afford the desired product (407 mg, 47%) as a purple solid: ESI MS m/z 279 $[C_{14}H_{22}N_4O_2 + H]^+$.

Example 1096

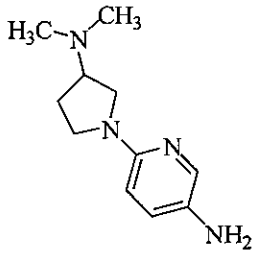
1-(5-aminopyridin-2-yl)pyrrolidin-3-ol



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with pyrrolidin-3-ol (330 mg, 3.5 mmol) followed by reduction to afford the desired product (440 mg, 52%) as a purple solid: ESI MS m/z 180 $[C_9H_{13}N_3O + H]^+$.

Example 1097

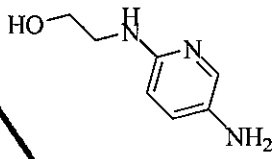
6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-amine



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with N,N-dimethylpyrrolidin-3-amine (400 mg, 3.5 mmol) followed by reduction to afford the desired product (360 mg, 56%) as a purple solid: ESI MS m/z 207 $[C_{11}H_{18}N_4 + H]^+$.

Example 1098

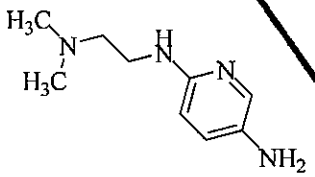
2-(5-aminopyridin-2-ylamino)ethanol



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with 2-aminoethanol (213 mg, 3.5 mmol) followed by reduction to afford the desired product (306 mg, 65%) as a purple solid: ESI MS m/z 154 $[C_7H_{11}N_3O + H]^+$.

Example 1099

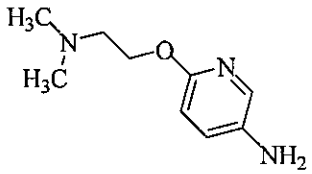
N^2-(2-(dimethylamino)ethyl)pyridine-2,5-diamine



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with N^1,N^1-dimethylethane-1,2-diamine (308 mg, 3.5 mmol) followed by reduction to afford the desired product (280 mg, 50%) as a purple solid: ESI MS m/z 181 $[C_9H_{16}N_4 + H]^+$.

Example 1100

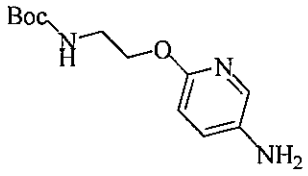
6-(2-(dimethylamino)ethoxy)pyridin-3-amine



Following General procedure I, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with 2-(dimethylamino)ethanol (309 mg, 3.5 mmol) followed by reduction to afford the desired product (340 mg, 61%) as a purple solid: ESI MS m/z 182 $[C_9H_{15}N_3O + H]^+$.

Example 1101

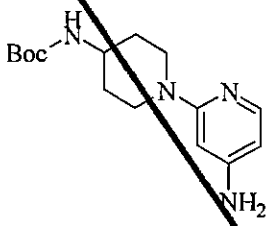
tert-butyl 2-(5-aminopyridin-2-yloxy)ethylcarbamate



Following General procedure I, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl 2-hydroxyethylcarbamate (560 mg, 3.5 mmol) followed by reduction to afford the desired product (410 mg, 52%) as a purple solid: ESI MS m/z 254 $[C_{12}H_{19}N_3O_3 + H]^+$.

Example 1102

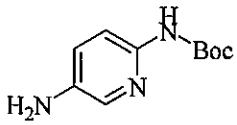
tert-butyl 1-(4-aminopyridin-2-yl)piperidin-4-ylcarbamate



Following General procedure H, 2-chloro-4-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-4-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (300 mg, 33%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1103

tert-butyl 5-aminopyridin-2-ylcarbamate

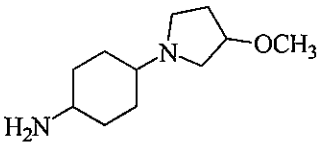


A solution of 5-nitropyridin-2-amine (500 mg, 3.5 mmol) in acetonitrile (10 mL) , triethylamine (2.5 equiv) and dimethylaminopyridine (0.05 equiv) was stirred for 10 min followed by addition of di-tert-butyl dicarbonate (1 equiv). The reaction mixture was stirred at room temperature until the reaction was complete (by LCMS analysis). The reaction was concentrated and the residue was suspended in methanol and filtered. The n a glass clave, the crude product was dissolved in tertrahydrofuran (0.1 M), degassed with nitrogen and Pd/C (10%, 0.1 equiv) was added to the

mixture. The clave was charged with hydrogen (40 Psi) and shaked at room temperature until the reduction was completed as indicated by LCMS analysis. The pressure was released and the reaction mixture was filtrated over a pad of celite. The filtrate was concentrated to obtain the desired product (437 mg, 60%) as a white solid: ESI MS m/z 210 $[C10H15N3O2 + H]^+$.

Example 1104

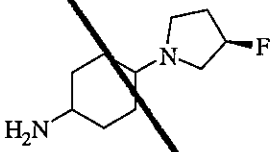
4-(3-methoxypyrrolidin-1-yl)cyclohexanamine



Following General procedure I, 3-methoxypyrrolidine hydrochloride (448 mg, 3.26 mmol) was reacted with tert-butyl 4-oxocyclohexylcarbamate (500 mg, 2.34 mmol) to afford the desired product (452 mg, 97%) as a yellow solid: ESI MS m/z 187 $[C_{11}H_{22}N_2O + H]^+$.

Example 1105

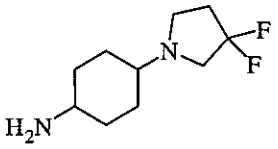
(R)-4-(3-fluoropyrrolidin-1-yl)cyclohexanamine



Following General procedure I, (R)-3-fluoropyrrolidine hydrochloride (407 mg, 3.26 mmol) was reacted with tert-butyl 4-oxocyclohexylcarbamate (500 mg, 2.34 mmol) to afford the desired product (200 mg, 51%) as a colorless oil: ESI MS m/z 187 $[C_{10}H_{19}FN_2 + H]^+$.

Example 1106

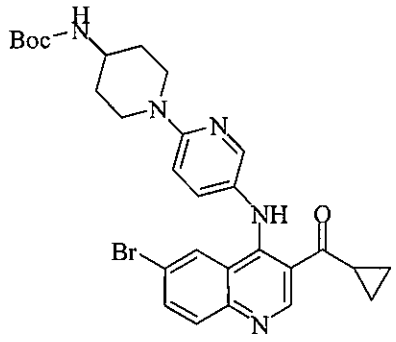
4-(3,3-difluoropyrrolidin-1-yl)cyclohexanamine



Following General procedure I, 3,3-difluoropyrrolidine hydrochloride (468 mg, 3.26 mmol) was reacted with tert-butyl 4-oxocyclohexylcarbamate (500 mg, 2.34 mmol) to afford the desired product (507 mg, quant.) as a colorless oil: ESI MS m/z 205 $[C_{10}H_{18}F_2N_2 + H]^+$.

Example 1107

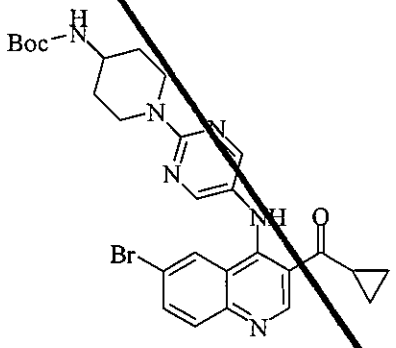
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline-4-ylamino)pyridin-2-yl) piperidin-4-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)piperidin-4-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (423 mg, 75%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example 1108

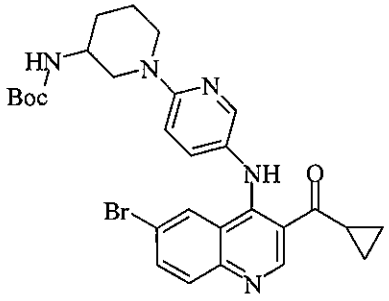
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino) pyrimidin-2-yl)piperidin-4-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyrimidin-2-yl)piperidin-4-ylcarbamate (440 mg, 1.5 mmol) to afford the desired product (374 mg, 66%) as a yellow solid: ESI MS m/z 567 $[C_{27}H_{31}BrN_6O_3 + H]^+$.

Example 1109

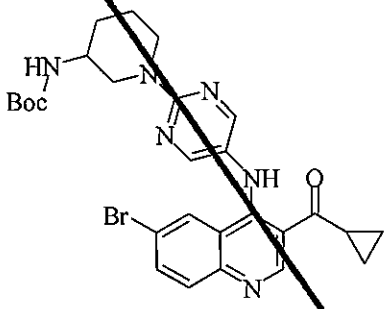
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino) pyridin-2-ylpiperidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (523 mg, 92%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example 1110

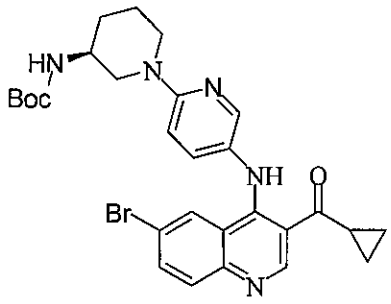
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl) piperidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyrimidin-2-yl)piperidin-3-ylcarbamate (440 mg, 1.5 mmol) to afford the desired product (462 mg, 80%) as a yellow solid: ESI MS m/z 567 $[C_{27}H_{31}BrN_6O_3 + H]^+$.

Example 1111

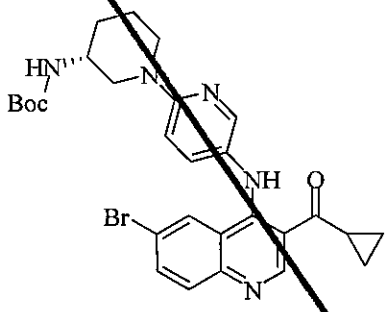
(S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with (S)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (527 mg, 93%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example1112

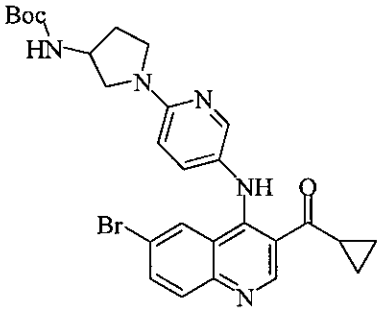
(R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with (R)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (516 mg, 91%) as a yellow solid: : ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example1113

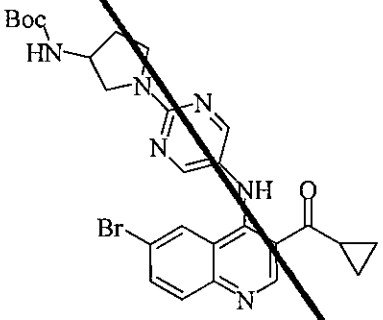
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl) pyrrolidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)pyrrolidin-3-ylcarbamate (417 mg, 1.5 mmol) to afford the desired product (488 mg, 88%) as a yellow solid: ESI MS m/z 552 $[C_{27}H_{30}BrN_5O_3 + H]^+$.

Example1114

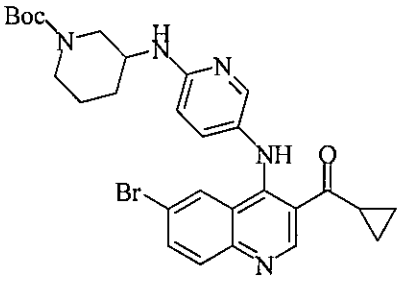
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl) pyrrolidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyrimidin-2-yl)pyrrolidin-3-ylcarbamate (418 mg, 1.5 mmol) to afford the desired product (336 mg, 61%) as a yellow solid: ESI MS m/z 553 $[C_{26}H_{29}BrN_6O_3 + H]^+$.

Example1115

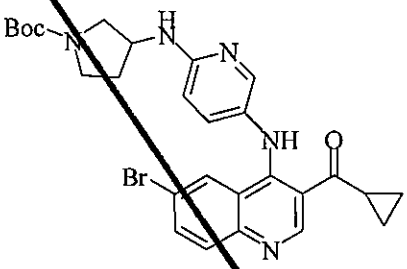
tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-ylamino) piperidine-1-carboxylate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (239 mg, 0.8 mmol) was reacted with tert-butyl 3-(5-aminopyridin-2-ylamino)piperidine-1-carboxylate (337 mg, 1.1 mmol) to afford the desired product (300 mg, 69%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example1116

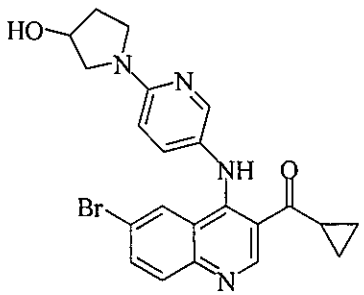
tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-ylamino) pyrrolidine-1-carboxylate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 3-(5-aminopyridin-2-ylamino)pyrrolidine-1-carboxylate (417 mg, 1.5 mmol) to afford the desired product (450 mg, 98%) as a yellow solid: ESI MS m/z 552 $[C_{27}H_{30}BrN_5O_3 + H]^+$.

Example1117

(6-bromo-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl) (cyclopropyl)methanone

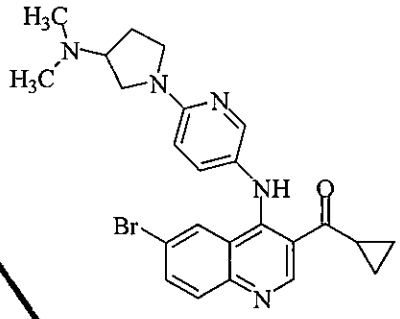


Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311

mg, 1 mmol) was reacted with 1-(5-aminopyridin-2-yl)pyrrolidin-3-ol (269 mg, 1.5 mmol) to afford the desired product (234 mg, 51%) as a yellow solid: ESI MS m/z 453 [$C_{22}H_{21}BrN_4O_2$ + H]$^+$.

Example1118

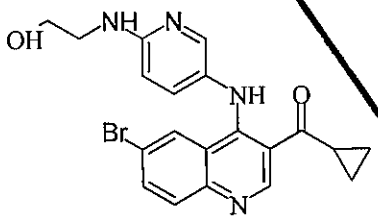
(6-bromo-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-amine (309 mg, 1.5 mmol) to afford the desired product (342 mg, 71%) as a yellow solid: ESI MS m/z 480 [$C_{24}H_{26}BrN_5O$ + H]$^+$.

Example1119

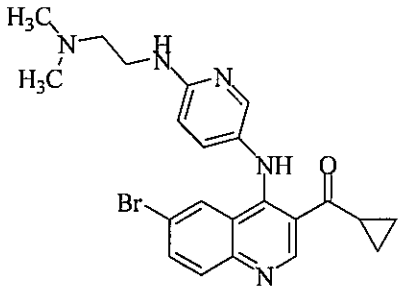
(6-bromo-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 2-(5-aminopyridin-2-ylamino)ethanol (230 mg, 1.5 mmol) to afford the desired product (287 mg, 67%) as a yellow solid: ESI MS m/z 427 [$C_{20}H_{19}BrN_4O_2$ + H]$^+$.

Example1120

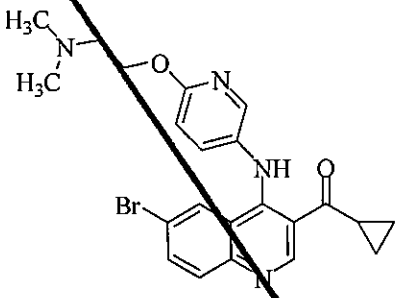
(6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with N^2-(2-(dimethylamino)ethyl)pyridine-2,5-diamine (270 mg, 1.5 mmol) to afford the desired product (300 mg, 66%) as a yellow solid: ESI MS m/z 454 $[C_{22}H_{24}BrN_5O + H]^+$.

Example1121

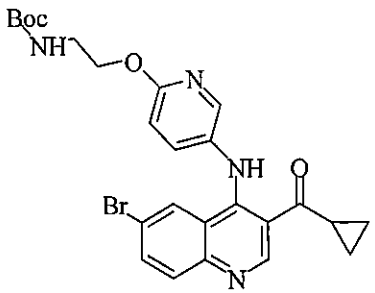
(6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl) (cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 6-(2-(dimethylamino)ethoxy)pyridin-3-amine (340 mg, 1.8 mmol) to afford the desired product (340 mg, 75%) as a yellow solid: ESI MS m/z 455 $[C_{22}H_{23}BrN_4O_2 + H]^+$.

Example 1122

tert-butyl 2-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino) pyridin-2-yloxy)ethylcarbamate

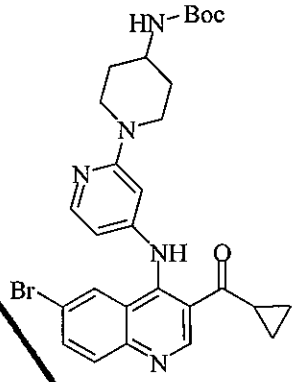


Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311

mg, 1 mmol) was reacted with tert-butyl 2-(5-aminopyridin-2-yloxy)ethylcarbamate (380 mg, 1.5 mmol) to afford the desired product (332 mg, 63%) as a yellow solid: ESI MS m/z 527 $[C_{25}H_{27}BrN_4O_4 + H]^+$.

Example1123

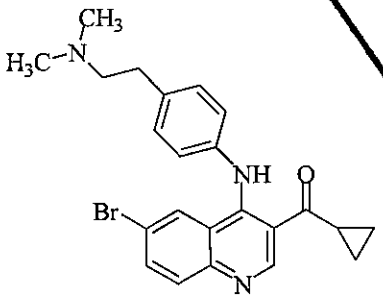
tert-butyl 1-(4-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-4-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (211 mg, 0.7 mmol) was reacted with tert-butyl 1-(4-aminopyridin-2-yl)piperidin-4-ylcarbamate (300 mg, 1 mmol) to afford the desired product (120 mg, 30%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example1124

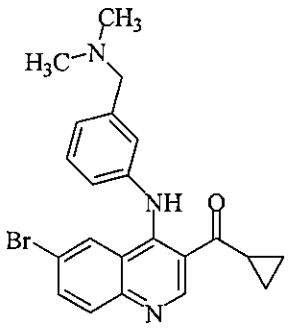
(6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 4-(2-(dimethylamino)ethyl)aniline (247 mg, 1.5 mmol) to afford the desired product (324 mg, 73%) as a yellow solid: ESI MS m/z 438 $[C_{23}H_{24}BrN_3O + H]^+$.

Example1125

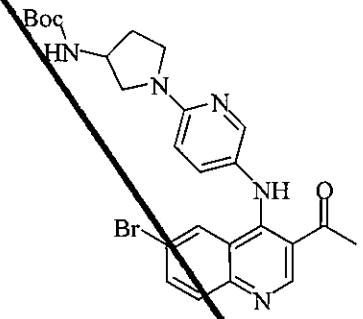
(6-bromo-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 3-((dimethylamino)methyl)aniline (226 mg, 1.5 mmol) to afford the desired product (343 mg, 810%) as a yellow solid: ESI MS m/z 424 $[C_{22}H_{22}BrN_3O + H]^+$.

Example 1126

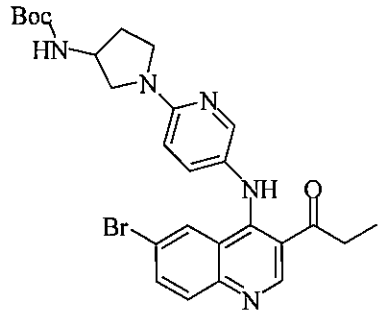
tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate



Following General procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (284 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)pyrrolidin-3-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (240 mg, 46%) as a yellow solid: ESI MS m/z 526 $[C_{25}H_{28}BrN_5O_3 + H]^+$.

Example 1127

tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate

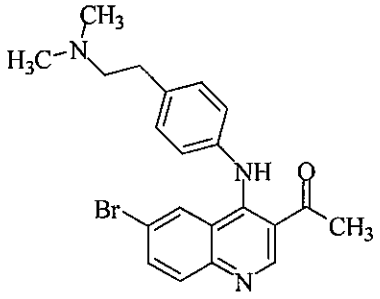


Following General procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (298 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)pyrrolidin-3-ylcarbamate (439 mg, 1.5

mmol) to afford the desired product (332 mg, 61%) as a yellow solid: ESI MS m/z 540 $[C_{26}H_{30}BrN_5O_3 + H]^+$.

Example 1128

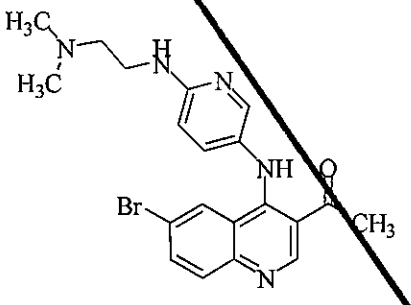
1-(6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone



Following General procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1 mmol) was reacted with 4-(2-(dimethylamino)ethyl)aniline (247 mg, 1.5 mmol) to afford the desired product (2284 mg, 55%) as a yellow solid: ESI MS m/z 412 $[C_{21}H_{22}BrN_3O + H]^+$.

Example 1129

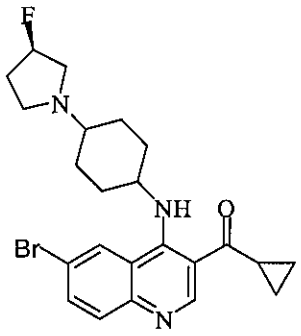
1-(6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone



Following General procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (284 mg, 1 mmol) was reacted with N^2-(2-(dimethylamino)ethyl)pyridine-2,5-diamine (270 mg, 1.5 mmol) to afford the desired product (320 mg, 74%) as a yellow solid: ESI MS m/z 428 $[C_{20}H_{22}BrN_5O + H]^+$.

Example 1130

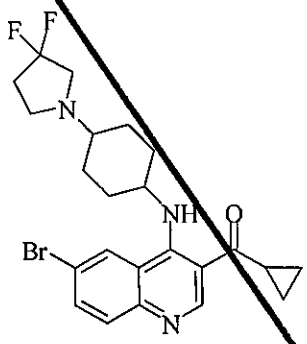
(R)-(6-bromo-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (278 mg, 0.89 mmol) was reacted with (R)-4-(3-fluoropyrrolidin-1-yl)cyclohexanamine (200 mg, 1.1 mmol) to afford the desired product (307 mg, 75%) as a yellow solid: ESI MS m/z 460 $[C_{23}H_{27}BrFN_3O + H]^+$.

Example 1131

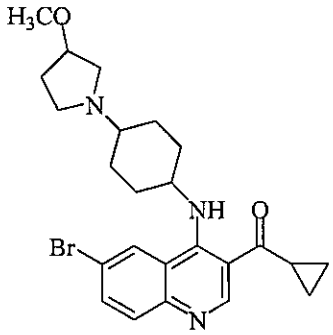
(6-bromo-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 4-(3,3-difluoropyrrolidin-1-yl)cyclohexanamine (306 mg, 1.5 mmol) to afford the desired product (218 mg, 46%) as a yellow solid: ESI MS m/z 478 $[C_{23}H_{26}BrF_2N_3O + H]^+$.

Example 1132

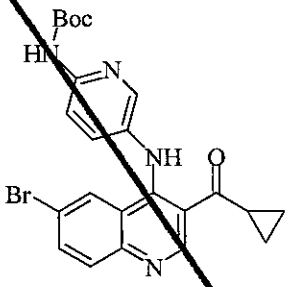
(6-bromo-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 4-(3-methoxypyrrolidin-1-yl)cyclohexanamine (297 mg, 1.5 mmol) to afford the desired product (210 mg, 45%) as a yellow solid: ESI MS m/z 472 $[C_{24}H_{30}BrN_3O_2 + H]^+$.

Example 1133

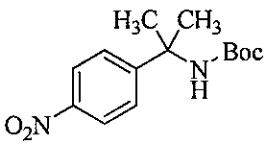
tert-butyl 5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 5-aminopyridin-2-ylcarbamate (313 mg, 1.5 mmol) to afford the desired product (352 mg, 72%) as a yellow solid: ESI MS m/z 483 $[C_{23}H_{23}BrN_4O_3 + H]^+$.

Example 1134

tert-Butyl 2-(4-nitrophenyl)propan-2-ylcarbamate

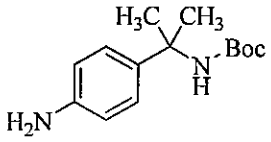


To a solution of 2-(4-nitrophenyl)propan-2-amine (1.0 g, 4.62 mmol) in dioxane (30 mL) at 0 °C was added a saturated solution of Na_2CO_3 (10 mL) and di-*tert*-butyl dicarbonate (1.95 g, 6.93 mmol) in dioxane (5 mL) and the resultant mixture was stirred at rt overnight. The dioxane was removed under vacuum and the resultant slurry was diluted with water, extracted with CH_2Cl_2,

dried with Na_2SO_4, and concentrated to give crude product (1.86 g) as an orange solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.20–8.16 (m, 2H), 7.58–7.54 (m, 2H), 5.02 (s, 1H), 1.58 (s, 6H), 1.53 (s, 9H).

Example 1135

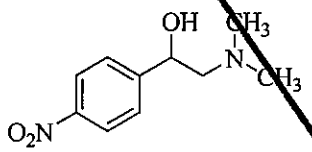
tert-Butyl 2-(4-aminophenyl)propan-2-ylcarbamate



A pressure flask was charged with a suspension of *tert*-butyl 2-(4-nitrophenyl)propan-2-yl-carbamate (4.62 mmol) in ethanol (20 mL) and palladium on carbon (100mg). The flask was placed on a Parr shaker, purged with H_2 (30 psi), and stirred overnight. The crude product was filtered through celite, concentrated, and chromatographed (hexane:ethyl acetate) to obtain the desired product (420 mg, 36% over two steps) as an orange-red oil: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.26–7.17 (m, 2H), 6.67–6.62 (m, 2H), 4.82 (s, 1H), 1.60 (s, 3H), 1.57 (s, 3H), 1.37 (s, 9H).

Example 1136

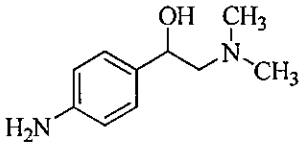
2-(Dimethylamino)-1-(4-nitrophenyl)ethanol



To a solution of 2-amino-1-(4-nitrophenyl)ethanone (500 mg, 2.30 mmol), paraformaldehyde (207 mg, 6.90 mmol), and sodium cyanoborohydride (433 mg, 6.90 mmol) in methanol (30 mL) was added acetic acid (catalytic) and the reaction stirred at room temperature for 18 h. The reaction mixture was quenched with water and the layers were separated. The combined organic layers were dried over anhydrous sodium sulfate, filtered, concentrated, and chromatographed (CH_2Cl_2/MeOH) to afford the desired product (164 mg, 34%) as an orange oil: ESI MS *m/z* 211 $[C_{10}H_{14}N_2O_3 + H]^+$.

Example 1137

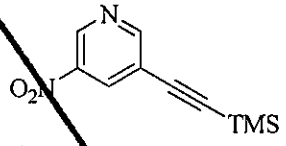
1-(4-Aminophenyl)-2-(dimethylamino)ethanol



A pressure flask was charged with a suspension 2-(dimethylamino)-1-(4-nitrophenyl)ethanol (160 mg, 0.770 mmol) in ethanol (10 mL) and Raney nickel (0.5 mL). The flask was placed on a Parr shaker, purged with H_2 (30 psi), and stirred for 4 h. The crude product was filtered through celite, and concentrated to obtain the crude product (210 mg) as an off-white solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.11–7.09 (m, 2H), 6.71–6.69 (m, 2H), 4.61–4.70 (m, 1H), 2.61–2.58 (m, 1H), 2.40–2.32 (m, 1H), 2.31 (s, 3H).

Example 1138

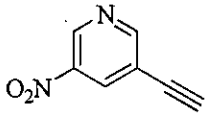
3-Nitro-5-((trimethylsilyl)ethynyl)pyridine



To a suspension of 3-bromo-5-nitropyridine (1.0 g, 4.90 mmol), $Pd(Ph_3P)_4$ (0.57 g, 0.49 mmol), and copper iodide (0.19 g, 0.98 mmol) in triethylamine (30 mL) was added ethynyltrimethylsilane (1.0 mL, 7.35 mmol) and the reaction was purged with N_2. The mixture was stirred at 80 °C for 2 h. The reaction mixture was filtered through celite and washed with ethyl acetate. The filtrate was washed with saturated solutions of $NaHCO_3$ and NaCl. The organic layer was concentrated to afford the crude product (1.72 g) as a light brown solid: ESI MS *m/z* 221 $[C_{10}H_{12}N_2O_2Si + H]^+$.

Example 1139

3-ethynyl-5-nitropyridine

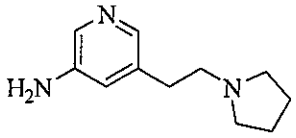


To a solution of 3-nitro-5-((trimethylsilyl)ethynyl)pyridine (4.90 mmol) in MeOH (15 mL) was added K_2CO_3 (67 mg, 0.49 mmol). The mixture was stirred at rt for 30 min. The reaction mixture was diluted with ethyl acetate, washed with water and brine, dried over sodium sulfate, and chromatographed (hexane/ethyl acetate) to afford the desired product (540 mg, 74% over

two steps) as a light brown solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 9.39–9.38 (m, 1H), 8.99–8.98 (m, 1H), 8.56–8.54 (m, 1H), 3.40 (s, 1H).

Example 1140

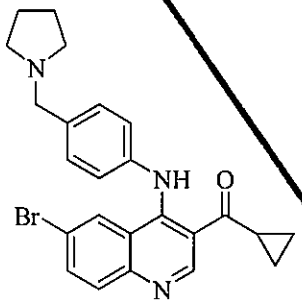
5-(2-(Pyrrolidin-1-yl)ethyl)pyridin-3-amine



To a solution of 3-ethynyl-5-nitropyridine (250 mg, 1.69 mmol) in ethanol (5 mL) was added pyrrolidine (0.56 mL, 6.76 mmol) and the reaction mixture was stirred at 80 °C for 16 h. The reaction mixture was cooled and palladium hydroxide on carbon (20 mg) was added. The flask was charged with H_2 (ballon) and stirred at rt for 16 h. The mixture was filtered over celite and the filtrate was concentrated to afford crude product (380 mg) as a dark red oil: ESI MS *m/z* 192 $[C_{11}H_{17}N_3 + H]^+$.

Example 1141

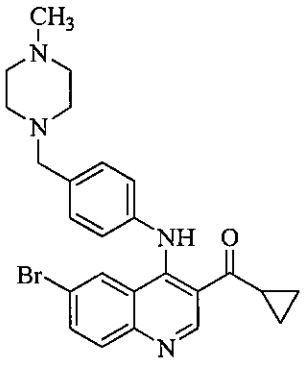
{6-Bromo-4-[4-(pyrrolidin-1-ylmethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (320 mg, 1.03 mmol) was reacted 4-(pyrrolidin-1-ylmethyl)aniline (385 mg, 1.55 mmol) to afford the desired product (387 mg, 83%) as a yellow solid: ESI MS *m/z* 450 $[C_{24}H_{24}BrN_3O + H]^+$.

Example 1142

{6-Bromo-4-[4-((4-methylpiperazin-1-yl)methyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone

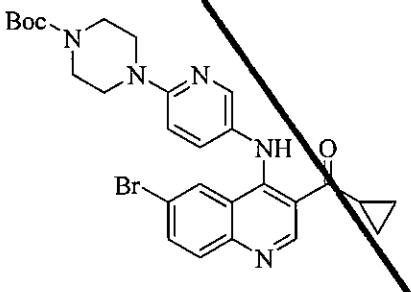


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (200 mg, 0.644 mmol) was reacted with 4-[(4-methylpiperazin-1-yl)methyl]aniline (200 mg, 0.966 mmol) to afford the desired product (173 mg, 56%) as a yellow solid: ESI MS *m/z* 479 $[C_{25}H_{27}BrN_4O + H]^+$.

Example 1143

tert-Butyl

4-{5-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate

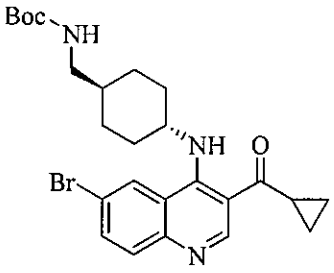


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (225 mg, 0.724 mmol) was reacted with *tert*-butyl 4-(5-aminopyridin-2-yl)piperazine-1-carboxylate (300 mg, 1.09 mmol) to afford the desired product (320 mg, 80%) as an orange solid: ESI MS *m/z* 552 $[C_{27}H_{30}BrN_5O_3 + H]^+$.

Example 1144

tert-Butyl

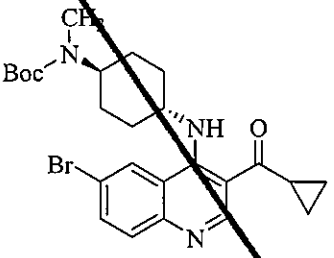
{trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (260 mg, 0.840 mmol) was reacted with *tert*-trans-butyl (4-aminocyclohexyl)methylcarbamate (287 mg, 1.26 mmol) to afford the desired product (290 mg, 69%) as an off-white solid: ESI MS *m/z* 502 $[C_{25}H_{32}BrN_3O_3 + H]^+$.

Example 1145

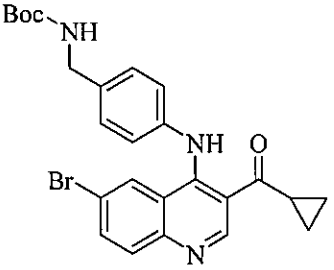
tert-Butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}(methyl)carbamate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (335 mg, 1.07 mmol) was reacted with *tert*-butyl-(trans)-4-aminocyclohexyl(methyl)carbamate (366 mg, 1.61 mmol) to afford the desired product (470 mg, 87%) as an orange foam: ESI MS *m/z* 502 $[C_{25}H_{32}BrN_3O_3 + H]^+$.

Example 1146

tert-Butyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate

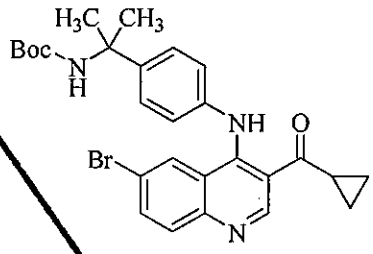


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (290 mg, 0.934 mmol) was reacted with *tert*-butyl 4-aminobenzylcarbamate (312 mg, 1.40 mmol) to afford the desired product (362 mg, 78%) as a yellow solid: ESI MS *m/z* 496 [$C_{25}H_{26}BrN_3O_3$ + H]$^+$.

Example 1147

tert-Butyl

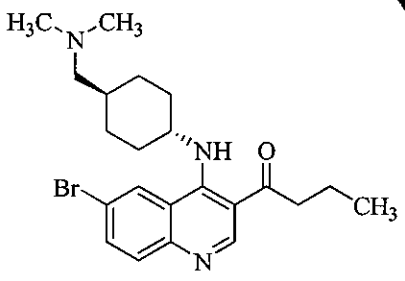
2-{4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (347 mg, 1.12 mmol) was reacted with *tert*-butyl 2-(4-aminophenyl)propan-2-ylcarbamate (420 mg, 1.68 mmol) to afford the desired product (422 mg, 63%) as a yellow solid: ESI MS *m/z* 524 [$C_{27}H_{30}BrN_3O_3$ + H]$^+$.

Example 1148

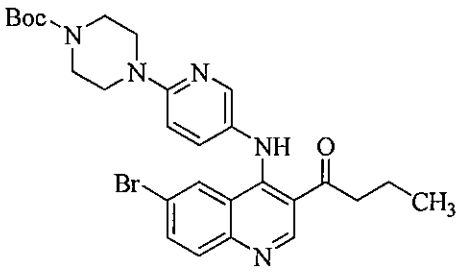
1-{6-Bromo-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one (0.350 mg, 1.12 mmol) was reacted with trans-4-[(dimethylamino)methyl]cyclohexanamine•HCl (385 mg, 1.68 mmol) to afford the desired product (160 mg, 33%) as an off-white solid: ESI MS *m/z* 432 [$C_{22}H_{30}BrN_3O$ + H]$^+$.

Example 1149

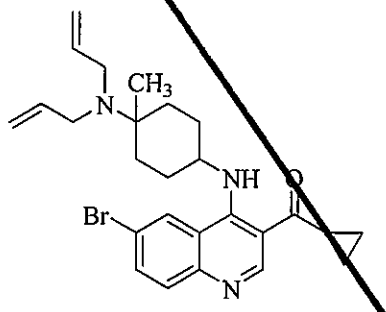
tert-Butyl 4-[5-(6-bromo-3-butyrylquinolin-4-ylamino)pyridin-2-yl]piperazine-1-carboxylate



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one (360 mg, 1.15 mmol) was reacted with *tert*-butyl 4-(5-aminopyridin-2-yl)piperazine-1-carboxylate (480 mg, 1.73 mmol) to afford the desired product (440 mg, 69%) as an orange solid: ESI MS *m/z* 554 $[C_{27}H_{32}BrN_5O_3 + H]^+$.

Example 1150

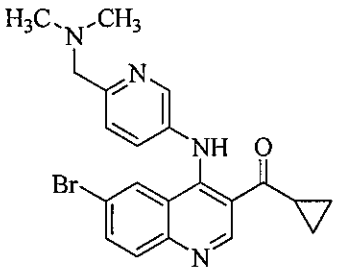
{6-Bromo-4-[4-(diallylamino)-4-methylcyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (300 mg, 0.966 mmol) was reacted with N^1,N^1-diallyl-1-methylcyclohexane-1,4-diamine (400 mg, 1.93 mmol) to afford the desired product (220 mg, 47%) as a yellow solid: ESI MS *m/z* 482 $[C_{26}H_{32}BrN_3O + H]^+$.

Example 1151

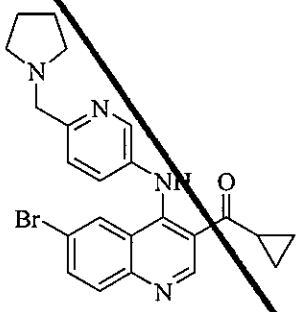
{6-Bromo-4-[6-((dimethylamino)methyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (330 mg, 1.06 mmol) was reacted with 6-[(dimethylamino)methyl]pyridin-3-amine (200 mg, 1.32 mmol) to afford the desired product (37 mg, 8%) as an orange oil: ESI MS *m/z* 425 $[C_{21}H_{21}BrN_4O+ H]^+$.

Example 1152

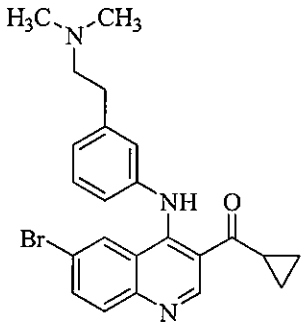
{6-Bromo-4-[6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.00 mmol) was reacted with 6-(pyrrolidin-1-ylmethyl)pyridin-3-amine (280 mg, 1.50 mmol) to afford the desired product (352 mg, 78%) as a yellow foam: ESI MS *m/z* 451 $[C_{23}H_{23}BrN_4O + H]^+$.

Example 1153

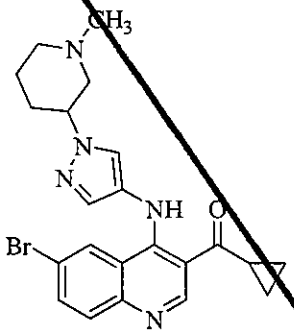
{6-Bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (280 mg, 0.91 mmol) was reacted with 3-[2-(dimethylamino)ethyl]aniline (150 mg, 0.91 mmol) to afford the desired product (270 mg, 68%) as a yellow solid: ESI MS *m/z* 438 [$C_{23}H_{24}BrN_3O$ + H]$^+$.

Example 1154

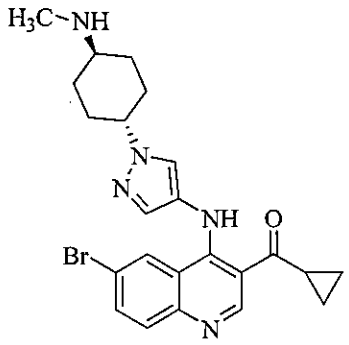
{6-Bromo-4-[1-(1-methylpiperidin-3-yl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (305 mg, 0.98 mmol) was reacted with 1-(1-methylpiperidin-3-yl)-1*H*-pyrazol-4-amine (265 mg, 1.47 mmol) to afford the desired product (100 mg, 22%) as a yellow solid: ESI MS *m/z* 454 [$C_{22}H_{24}BrN_5O$ + H]$^+$.

Example 1155

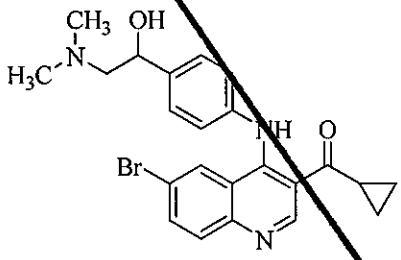
{6-Bromo-4-[1-(trans-4-(methylamino)cyclohexyl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.00 mmol) was reacted with 1-[trans-4-(methylamino)cyclohexyl]-1*H*-pyrazol-4-amine (388 mg, 2.00 mmol) to afford the desired product (65 mg, 14%) as an orange solid: ESI MS *m/z* 468 $[C_{23}H_{26}BrN_5O + H]^+$.

Example 1156

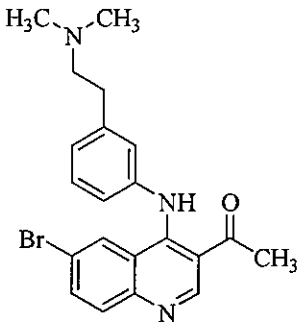
{6-Bromo-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (240 mg, 0.770 mmol) was reacted with 1-(4-aminophenyl)-2-(dimethylamino)ethanol (139 mg, 0.770 mmol) to afford the desired product (187 mg, 53%) as a yellow solid: ESI MS *m/z* 454 $[C_{23}H_{24}BrN_3O_2 + H]^+$.

Example 1157

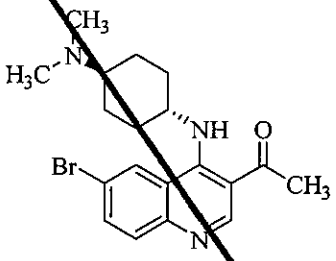
1-{6-Bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (340 mg, 1.20 mmol) was reacted with 3-(2-(dimethylamino)ethyl)aniline (215 mg, 1.31 mmol) to afford the desired product (360 mg, 50%) as a yellow solid: ESI MS *m/z* 412 $[C_{21}H_{22}BrN_3O + H]^+$.

Example 1158

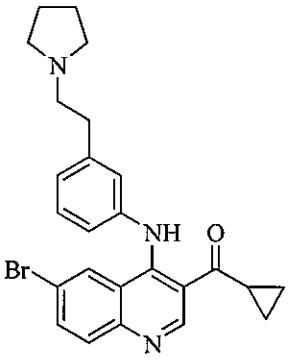
1-{6-Bromo-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (250 mg, 0.880 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine hydrochloride (280 mg, 1.32 mmol) to afford the desired product (63 mg, 18%): ESI MS *m/z* 390 $[C_{19}H_{24}BrN_3O + H]^+$.

Example 1159

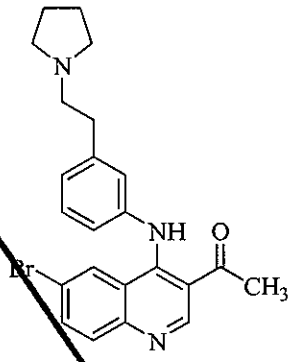
{6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (197 mg, 0.635 mmol) was reacted with 3-[2-(pyrrolidin-1-yl)ethyl]aniline (120 mg, 0.635 mmol) to afford the desired product (220 mg, 74%) as a yellow solid: ESI MS *m/z* 464 [$C_{25}H_{26}BrN_3O$ + H]$^+$.

Example 1160

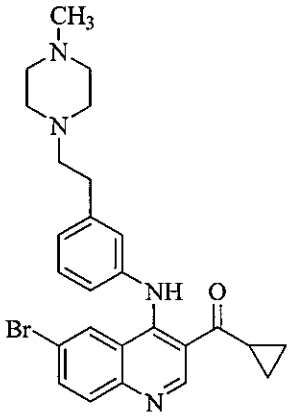
1-{6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (180 mg, 0.635 mmol) was reacted with 3-[2-(pyrrolidin-1-yl)ethyl]aniline (120 mg, 0.635 mmol) to afford the desired product (198 mg, 71%) as a yellow solid: ESI MS *m/z* 438 [$C_{23}H_{24}BrN_3O$ + H]$^+$.

Example 1161

{6-Bromo-4-[3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone

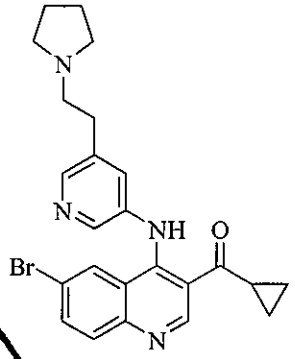


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (240

mg, 0.77 mmol) was reacted with 3-(2-(4-methylpiperazin-1-yl)ethyl)aniline (170 mg, 0.77 mmol) to afford the desired product (212 mg, 55%) as a yellow solid: ESI MS *m/z* 493 $[C_{26}H_{29}BrN_4O + H]^+$.

Example 1162

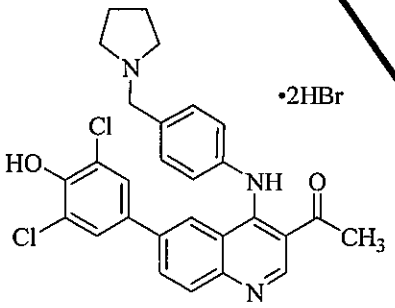
{6-Bromo-4-[5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (262 mg, 0.845 mmol) was reacted with 5-[2-(pyrrolidin-1-yl)ethyl]pyridin-3-amine (161 mg, 0.845 mmol) to afford the desired product (178 mg, 45%) as a white solid: ESI MS *m/z* 465 $[C_{24}H_{25}BrN_4O + H]^+$.

Example 416

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone hydrobromide

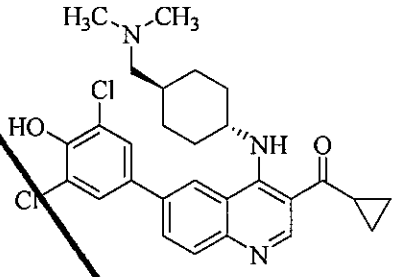


Following general procedure F, 1-(6-bromo-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinoline -3-yl)ethanone (4.0 g, 9.42 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.0 g, 14.13 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 40 mL) and HBr gas was bubbled through the suspension until a solution formed. The solution was concentrated to dryness and the resultant solid was triturated with diethyl ether. The mixture was filtered, washed with diethyl ether, and

dried to obtain desired product (3.37 g, 52% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.03 (br s, 1H), 10.59 (br s, 1H), 10.08 (br s, 1H), 9.27 (s, 1H), 8.43 – 8.27 (m, 2H), 8.12 (d, J = 8.8 Hz, 1H), 7.72 (d, J = 8.1 Hz, 2H), 7.59 – 7.47 (m, 4H), 4.47 (d, J = 5.3 Hz, 2H), 3.40 – 3.24 (m, 2H), 3.19 – 3.02 (m, 2H), 2.56 (s, 3H), 2.13 – 1.81 (m, 4H); APCI MS *m/z* 506 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 4.97 min.

Example 324

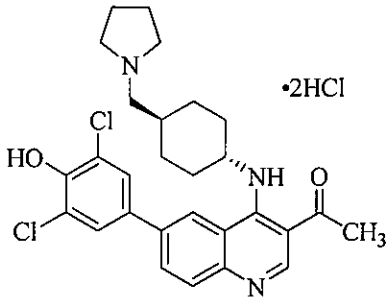
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(trans-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone



Following general procedure F except 2M Na_2CO_3 was used instead of 1M Cs_2CO_3, (6-bromo-4-(trans-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone (4.29 g, 9.96 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.32 g, 14.95 mmol) to afford the desired product (3.0 g, 59%) as a yellow solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.77 (br s, 1H), 9.05 (s, 1H), 8.36 (d, J = 2.1 Hz, 1H), 8.03 (dd, J = 8.7, 1.8 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.73 (s, 2H), 3.96 – 3.86 (m, 1H), 2.97 – 2.82 (m, 1H), 2.19 (s, 6H), 2.17 – 2.06 (m, 4H), 1.86 (d, J = 12.7 Hz, 2H), 1.60 – 1.36 (m, 3H), 1.15 – 0.91 (m, 6H); APCI MS *m/z* 512 $[C_{28}H_{31}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 5.15 min.

Example 768

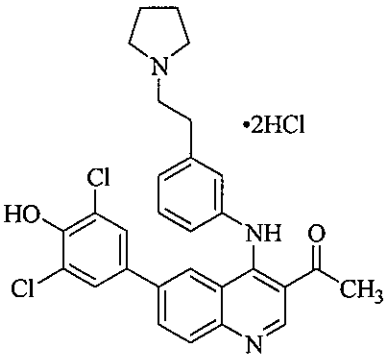
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(trans-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(trans-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone (6.0 g, 14.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.4 g, 15.0 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 200 mL) after which HCl (35 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to dryness and the resultant solid was triturated with dichloromethane. The mixture was filtered, washed with dichloromethane, and dried to obtain desired product (4.9 g, 60% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.10 (s, 1H), 8.47 (d, J = 2.1 Hz, 1H), 8.26 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.55 – 4.45 (m, 1H), 3.70 (br s, 2H), 3.20 – 3.04 (m, 4H), 2.74 (s, 3H), 2.45 (d, J = 12.6 Hz, 2H), 2.17 – 1.94 (m, 7H), 1.89 – 1.70 (m, , 2H), 1.46 – 1.27 (m, 2H); APCI MS *m/z* 512 $[C_{28}H_{31}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.69 min.

Example 802

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone hydrochloride

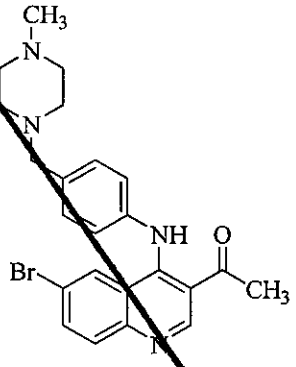


Following general procedure F, 1-(6-bromo-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino) quinolin-3-yl)ethanone (5.7 g, 13.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl -1,3,2-dioxaborolan-2-yl)phenol (4.1 g, 14.2 mmol) to obtain the free base. The purified product

was suspended in dichloromethane / methanol (1:1, 100 mL) after which HCl (40 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to dryness and the resultant solid was triturated with acetonitrile. The mixture was filtered, washed with acetonitrile, and dried to obtain desired product (5.6 g, 73% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.31 (s, 1H), 8.19 (dd, J = 8.8, 2.0 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.75 – 7.55 (m, 3H), 7.53 (s, 1H), 7.43 – 7.34 (m, 1H), 7.10 (s, 2H), 3.66 (br s, 2H), 3.48 – 3.36 (m, 2H), 3.24 – 3.06 (m, 4H), 2.82 (s, 3H), 2.07 (br s, 4H); APCI MS *m/z* 520 $[C_{29}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.17 min.

Example 1163

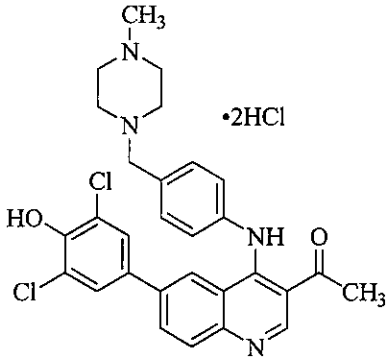
1-(6-bromo-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (5.0 g, 18.0 mmol) was reacted with 4-((4-methylpiperazin-1-yl)methyl)aniline (4.0 g, 19.0 mmol) to afford the desired product (6.7 g, 82%) as a yellow foam: ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.96 (s, 1H), 9.11 (s, 1H), 7.85 – 7.72 (m, 1H), 7.71 – 7.57 (m, 2H), 7.40 – 7.29 (m, 2H), 7.14 – 7.02 (m, 2H), 3.53 (s, 2H), 2.77 (s, 3H), 2.58 – 2.44 (br s, 8H), 2.30 (s, 3H).

Example 655

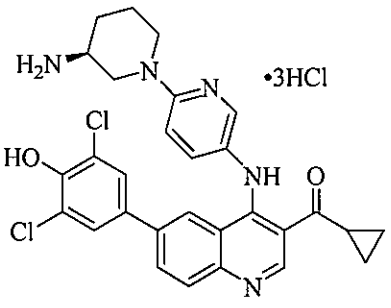
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino) quinolin-3-yl)ethanone (6.0 g, 13.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.2 g, 15.0 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 200 mL) after which HCl (36 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to dryness and the resultant solid was triturated with dichloromethane. The mixture was filtered, washed with dichloromethane, and dried to obtain desired product (5.2 g, 66% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.30 (s, 1H), 8.15 (dd, J = 8.8, 1.9 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.73 (d, J = 2.0 Hz, 1H), 7.62 (d, J = 8.0 Hz, 2H), 7.46 (d, J = 8.0 Hz, 2H), 7.10 (s, 2H), 3.81 (s, 2H), 3.55 – 3.31 (m, 2H), 3.29 – 2.89 (m, 4H), 2.89 (s, 3H), 2.82 (s, 3H), 2.80 – 2.35 (m, 2H); APCI MS *m/z* 535 $[C_{29}H_{28}Cl_2N_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 7.89 min.

Example 647

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

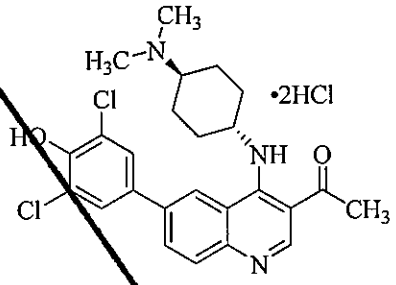


(*S*)-*tert*-Butyl 1-(5-(3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (5.7 g, 8.8 mmol) was reacted HCl (100 mL, 1.25M in methanol). The mixture was heated to 40 °C for 16 h. The reaction was diluted with ethyl acetate (100 mL). The resultant solid was filtered and washed with ethyl acetate. The solids

were dissolved in a mixture of water, methanol, and acetonitrile. The solution was concentrated by lyophilization to obtain desired product (4.7g, 81%) as an orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.36 (s, 1H), 8.32 – 8.22 (m, 3H), 8.08 (d, J = 9.2 Hz, 1H), 7.90 (dd, J = 9.5, 2.6 Hz, 1H), 7.48 (s, 2H), 7.36 (d, J = 9.5 Hz, 1H), 4.51 – 4.45 (m, 1H), 4.11 – 4.03 (m, 1H), 3.53 – 3.36 (m, 3H), 2.87 – 2.78 (m, 1H), 2.27 – 2.20 (m, 1H), 2.06 – 1.97 (m, 1H), 1.85 – 1.72 (m, 2H), 1.25 – 1.10 (m, 4H); ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 9.51 min.

Example 766

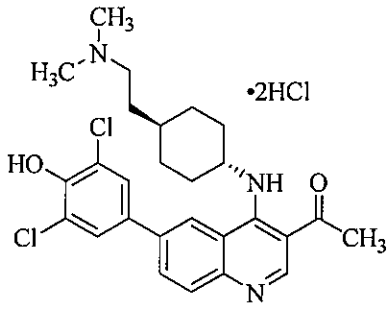
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(trans-4-(dimethylamino)cyclohexylamino)quinolin-3-yl) ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(trans-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)ethanone (4.48 g, 11.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.65 g, 13.0 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (2:1, 325 mL) after which HCl (115 mL, 1.25 M in methanol) was added to from a solution. The solution was concentrated to ~100 mL and the resultant solid was filtered, washed with dichloromethane, and dried to obtain desired product (4.2 g, 70% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.13 (s, 1H), 8.48 (br s, 1H), 8.30 (dd, *J* = 8.8, 1.8 Hz, 1H), 8.01 (d, *J* = 8.7 Hz, 1H), 7.77 (s, 2H), 4.62 (br s, 1H), 3.50 – 3.46 (m, 1H), 2.91 (s, 6H), 2.75 (s, 3H), 2.58 – 2.54 (m, 2H), 2.35 – 2.29 (m, 2H), 1.92 – 1.82 (m, 4H); ESI MS *m/z* 472 $[C_{25}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 6.41 min.

Example 740

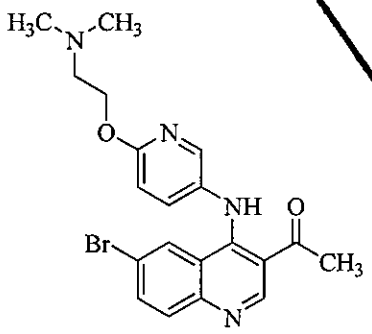
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(trans-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(trans-4-(2-(dimethylamino)ethyl)cyclohexyl amino)quinolin-3-yl)ethanone (4.8 g, 11.5 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.8 g, 13.1 mmol) to obtain the free base. The purified product was suspended in methanol (100 mL) after which HCl (125 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to ~50 mL and the resultant solid was filtered, washed with methanol, and dried to obtain desired product (3.8 g, 58% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.10 (s, 1H), 8.50 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.55 – 4.48 (m, 1H), 3.27 – 3.15 (m, 2H), 2.90 (s, 6H), 2.74 (s, 3H), 2.42 (br d, J = 12.3 Hz, 2H), 2.04 (br d, J = 12.9 Hz, 2H), 1.84 – 1.65 (m, 4H), 1.63 – 1.56 (m, 1H), 1.34 (q, J = 12.3 Hz, 2H); ESI MS *m/z* 500 $[C_{27}H_{31}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 6.87 min.

Example 1164

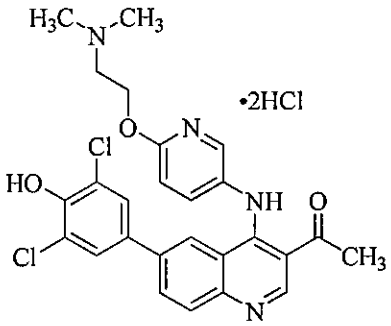
1-(6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (5.0 g, 18.0 mmol) was reacted with 6-(2-(dimethylamino)ethoxy)pyridin-3-amine (3.5 g, 19.0 mmol) to afford the desired product (5.7 g, 82%) as a yellow solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.90 (s, 1H), 9.12 (s, 1H), 8.08 – 7.98 (m, 1H), 7.87 – 7.76 (m, 1H), 7.74 – 7.63 (m, 2H), 7.33 (dd, J = 8.8, 2.8 Hz, 1H), 6.83 (d, J = 8.8 Hz, 1H), 4.44 (t, J = 5.5 Hz, 2H), 2.78 (s, 3H), 2.74 (t, J = 8.8 Hz, 2H), 2.36 (s, 6H).

Example 852

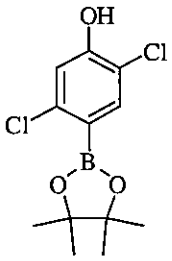
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone (5.0 g, 12.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.7 g, 13.0 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 300 mL) after which HCl (30 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to dryness to obtain desired product (5.2 g, 74% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.27 (s, 1H), 8.29 (d, J = 2.9 Hz, 1H), 8.20 – 8.10 (m, 1H), 8.06 – 7.96 (m, 1H), 7.87 – 7.77 (m, 2H), 7.20 (s, 2H), 7.17 – 7.08 (m, 1H), 4.81 – 4.71 (m, 2H), 3.64 (t, J = 5.1 Hz, 2H), 3.01 (s, 6H), 2.80 (s, 3H); ESI MS *m/z* 511 $[C_{26}H_{24}Cl_2N_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 6.86 min.

Example 443

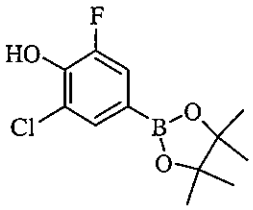
2,5-Dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol



Following general procedure E, 4-bromo-2,5-dichlorophenol (290 mg, 1.2 mmol) was reacted with bis(pinacolato)diboron (305 mg, 1.2 mmol) to afford the desired product (83 mg, 25%) as a waxy solid: ESI MS *m/z* 289 $[C_{12}H_{15}BCl_2O_3 + H]^+$.

Example 444

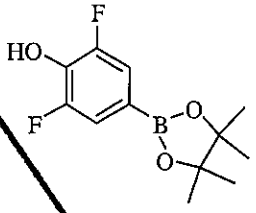
2-Chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol



Following general procedure E, 4-bromo-2-chloro-6-fluorophenol (271 mg, 1.2 mmol) was reacted with bis(pinacolato)diboron (305 mg, 1.2 mmol) to afford the desired product (340 mg, >99%) as a colorless oil: ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.57 (t, J = 1.3 Hz, 1H), 7.42 (dd, J = 10.2, 1.3 Hz, 1H), 1.33 (s, 12H).

Example 445

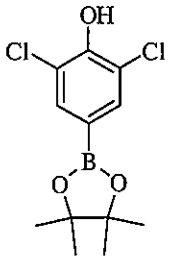
2,6-Difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol



Following general procedure E, 4-bromo-2,6-difluorophenol (251 mg, 1.20 mmol) was reacted with bis(pinacolato)diboron (338 mg, 1.32 mmol) to afford the desired product (340 mg, >99%) as a white solid: ESI MS m/z 257 $[C_{12}H_{15}BF_2O_3 + H]^+$.

Example 446

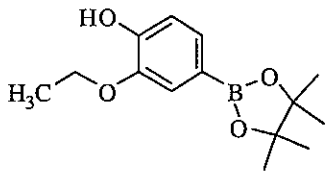
2,6-Dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol



Following general procedure E, 4-bromo-2,6-dichlorophenol (290 mg, 1.20 mmol) was reacted with bis(pinacolato)diboron (305 mg, 1.20 mmol) to afford the desired product (298 mg, 86%): ESI MS m/z 289 $[C_{12}H_{15}BCl_2O_3 + H]^+$.

Example 447

2-Ethoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol

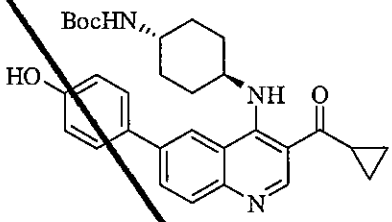


Following general procedure E, 4-bromo-2-ethoxyphenol (1.26 g, 5.80 mmol) was reacted with bis(pinacolato)diboron (1.77 g, 6.96 mmol) to afford the desired product (580 mg, 38%) as a white solid: ^{1}HNMR (500 MHz, $CDCl_3$) δ 7.36 (dd, J = 7.8, 1.2 Hz, 1H), 6.92 (d, J = 7.9 Hz, 1H), 5.91 (s, 1H), 4.16 (q, J = 7.0 Hz, 2H), 1.44 (t, J = 7.0 Hz, 3H), 1.33 (s, 12H).

Example 448

tert-Butyl

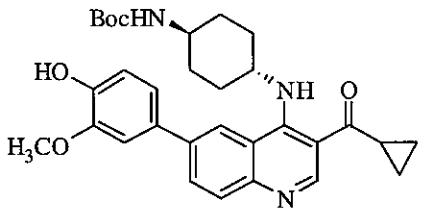
trans-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxyphenyl)quinolin-4-ylamino]cyclohexyl carbamate



Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (90 mg, 0.183 mmol) was reacted with 4-hydroxyphenyl boronic acid (38 mg, 0.275 mmol) to afford the desired product (48 mg, 52%) as an off-white solid: ESI MS *m/z* 502 $[C_{30}H_{35}N_3O_4 + H]^+$.

Example 449

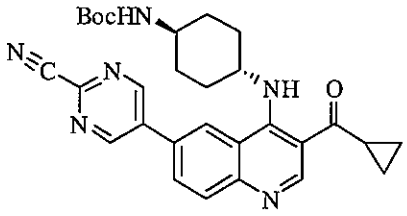
tert-Butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxy-3-methoxyphenyl) quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.200 mmol) to afford the desired product (45 mg, 85%) as an off-white solid: ESI MS *m/z* 532 $[C_{31}H_{37}N_3O_5 + H]^+$.

Example 450

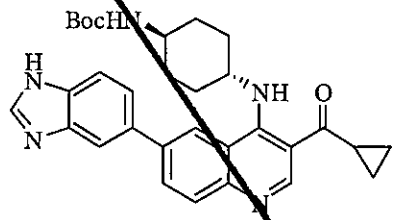
tert-Butyl *trans*-4-[6-(2-cyanopyrimidin-5-yl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrimidine-2-carbonitrile (46 mg, 0.200 mmol) to afford the crude product (52 mg): ESI MS *m/z* 513 $[C_{29}H_{32}N_6O_3 + H]^+$.

Example 451

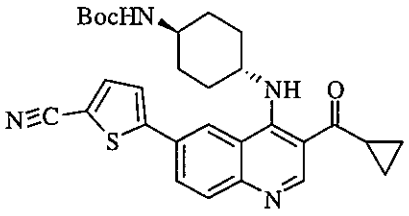
tert-Butyl *trans*-4-[6-(1*H*-benzo[*d*]imidazol-5-yl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] cyclohexylcarbamate



Following general procedure D, *tert*-butyl [*trans*-4-(6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 6-(4,4,5,5-tetramethyl -1,3,2-dioxaborolan-2-yl)-1*H*-benzo[*d*]imidazole (49 mg, 0.200 mmol) to afford the desired product (45.3 mg, 86%) as an off-white solid: ESI MS *m/z* 526 $[C_{31}H_{35}N_5O_3 + H]^+$.

Example 452

tert-Butyl *trans*-4-[6-(5-cyanothiophen-2-yl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] cyclohexylcarbamate



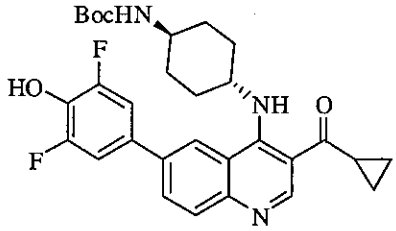
Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 5-cyanothiophen-2-ylboronic acid (31 mg, 0.200 mmol) to afford the desired product (24 mg,

47%) as a brown solid: ESI MS *m/z* 517 $[C_{29}H_{32}N_4O_3S + H]^+$.

Example 453

tert-Butyl

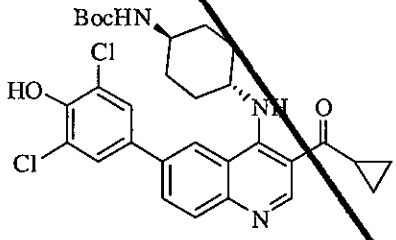
trans-4-[3-(Cyclopropanecarbonyl)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino] cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.196 mmol) to afford the desired product (26.2 mg, 49%) as an off-white solid: ESI MS *m/z* 538 $[C_{30}H_{33}F_2N_3O_4 + H]^+$.

Example 454

tert-Butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-4-ylamino]cyclohexylcarbamate

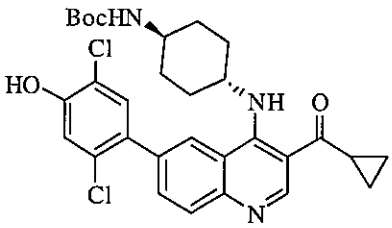


Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4 -ylamino]cyclohexylcarbamate (43 mg, 0.088 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.132 mmol) to afford the desired product (25 mg, 51%) as an off-white solid: ESI MS *m/z* 570 $[C_{30}H_{33}Cl_2N_3O_4 + H]^+$.

Example 455

tert-Butyl

trans-4-[3-(cyclopropanecarbonyl)-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino] cyclohexylcarbamate

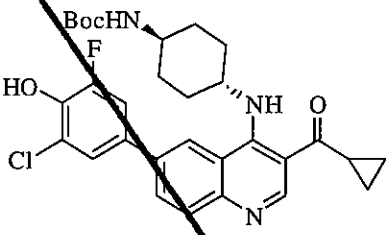


Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2,5-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (85 mg, 0.300 mmol) to afford the crude product (57 mg) as a white solid: ESI MS *m/z* 570 $[C_{30}H_{33}Cl_2N_3O_4 + H]^+$.

Example 456

tert-Butyl

trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] cyclohexylcarbamate

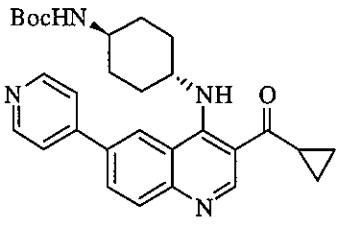


Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (130 mg, 0.478 mmol) to afford the desired product (20 mg, 36%) as an off white solid: ESI MS *m/z* 554 $[C_{30}H_{33}ClFN_3O_4 + H]^+$.

Example 457

tert-Butyl

trans-4-[3-(cyclopropanecarbonyl)-6-(pyridin-4-yl)quinolin-4-ylamino]cyclohexylcarbamate

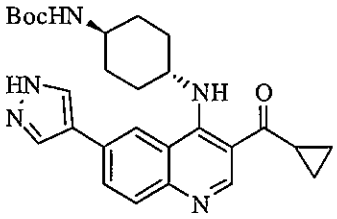


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with pyridin-4-ylboronic acid (25 mg, 0.200 mmol) to afford the crude product (50 mg) as an off-white solid: ESI MS *m/z* 487 $[C_{29}H_{34}N_4O_3 + H]^+$.

Example 458

tert-Butyl

trans-4-[3-(cyclopropanecarbonyl)-6-(1*H*-pyrazol-4-yl)quinolin-4-ylamino]cyclohexylcarbamate

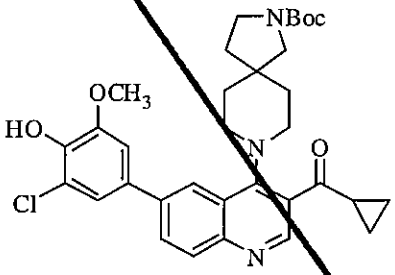


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-pyrazole (39 mg, 0.200 mmol) to afford the desired product (17 mg, 36%) as an off-white solid: ESI MS *m/z* 476 $[C_{27}H_{33}N_5O_3 + H]^+$.

Example 459

tert-Butyl

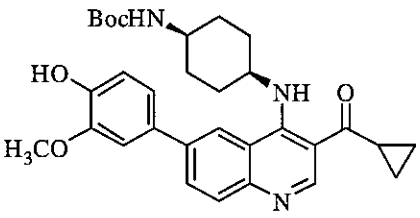
8-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl]-2,8-diazaspiro[4,5]decane-2-carboxylate



Following general procedure F, *tert*-butyl 8-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl]-2,8-diazaspiro[4,5]decane-2-carboxylate (50 mg, 0.097 mmol) was reacted with 3-chloro-4-hydroxy-5-methoxyphenylboronic acid (41 mg, 0.161 mmol) to afford the crude product (110 mg) as a brown oil: ESI MS *m/z* 593 $[C_{33}H_{38}ClN_3O_5 + H]^+$.

Example 460

tert-Butyl *cis*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxy-3-methoxyphenyl)quinolin-4-ylamino] cyclohexylcarbamate

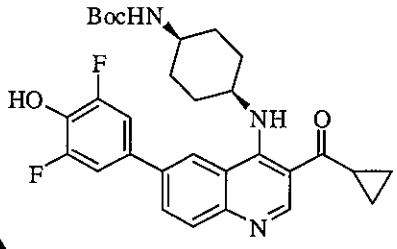


Following general procedure F, *tert*-butyl *cis*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4

-ylamino]cyclohexylcarbamate (40 mg, 0.082 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (31 mg, 0.124 mmol) to afford the crude product (43 mg) as a yellow solid: ESI MS *m/z* 532 $[C_{31}H_{37}N_3O_5 + H]^+$.

Example 461

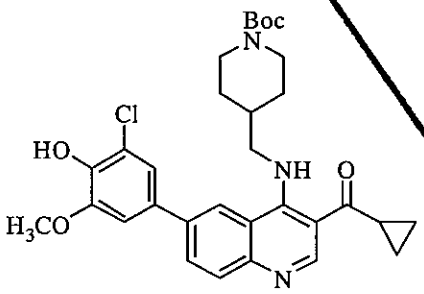
tert-Butyl *cis*-4-[3-(cyclopropanecarbonyl)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure F, *tert*-butyl *cis*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (54 mg, 0.110 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (34 mg, 0.132 mmol) to afford the desired product (51 mg, 86%) as a light yellow solid: ESI MS *m/z* 538 $[C_{30}H_{33}F_2N_3O_4 + H]^+$.

Example 462

tert-Butyl 4-{[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] methyl}piperidine-1-carboxylate

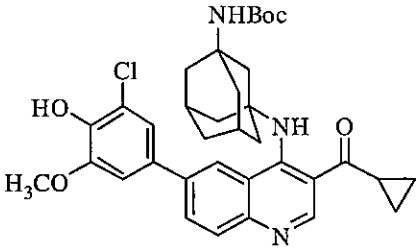


Following general procedure F, *tert*-butyl 4-{[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]methyl}piperidine-1-carboxylate (55 mg, 0.110 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (46 mg, 0.165 mmol) to afford the desired product (27 mg, 43%) as a yellow solid: ESI MS *m/z* 567 $[C_{31}H_{35}ClN_3O_5 + H]^+$.

Example 463

tert-Butyl 4-[3-(cyclopropanecarbonyl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)

quinolin-4-ylamino]adamantylcarbamate

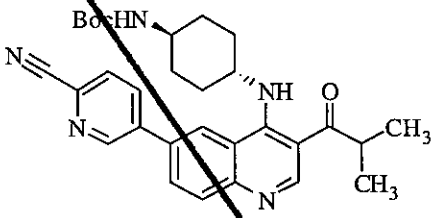


Following general procedure F, tert-butyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino]adamantylcarbamate (57 mg, 0.100 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan- 2-yl)phenol (43 mg, 0.150 mmol) to afford the crude product (84 mg) as a brown oil: ESI MS *m/z* 619 $[C_{35}H_{40}ClN_3O_5 + H]^+$.

Example 464

tert-Butyl

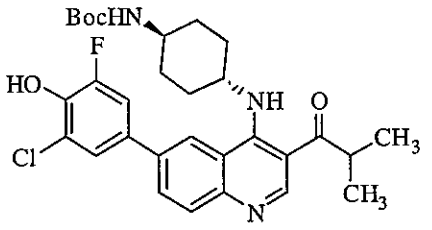
trans-4-[6-(6-cyanopyridin-3-yl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (47 mg, 0.093 mmol) was reacted with 5-(4,4,5,5-tetramethyl -1,3,2-dioxaborolan-2-yl)picolinonitrile (26 mg, 0.200 mmol) to afford the crude product (47 mg) as a yellow solid: ESI MS *m/z* 514 $[C_{30}H_{35}N_5O_3 + H]^+$.

Example 465

tert-Butyl *trans*-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino] cyclohexylcarbamate

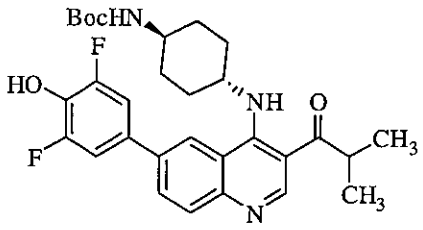


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (102 mg, 0.375 mmol) to afford the crude product (56 mg) as an off-white solid: ESI MS *m/z* 556 $[C_{30}H_{35}ClFN_3O_4 + H]^+$.

Example 466

tert-Butyl

trans-4-[6-(3,5-difluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate

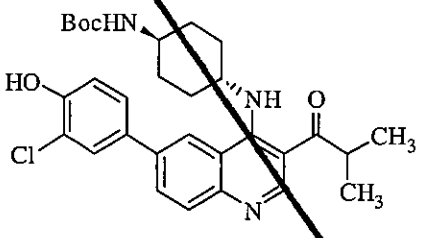


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (58 mg, 0.227 mmol) to afford the crude product (64 mg) as an off-white solid: ESI MS *m/z* 540 $[C_{30}H_{35}F_2N_3O_4 + H]^+$.

Example 467

tert-Butyl

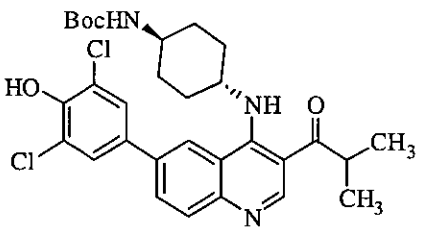
trans-4-[6-(3-chloro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (34 mg, 0.200 mmol) to afford the desired product (39 mg, 72%) as an off-white solid: ESI MS *m/z* 538 $[C_{30}H_{36}ClN_3O_4 + H]^+$.

Example 468

tert-Butyl *trans*-4-[6-(3,5-dichloro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino] cyclohexylcarbamate

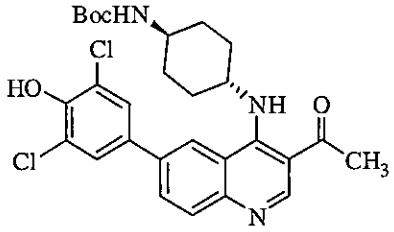


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino)cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-

tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.253 mmol) to afford the crude product (60 mg) as an off-white solid: ESI MS *m/z* 572 $[C_{30}H_{35}Cl_2N_3O_4 + H]^+$.

Example 469

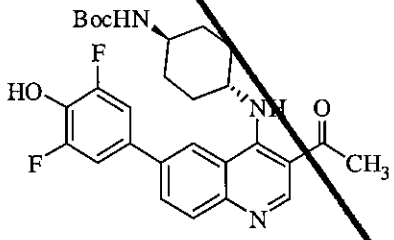
tert-Butyl *trans*-4-[3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino] cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-(3-acetyl-6-bromoquinolin -4-ylamino)cyclohexylcarbamate (40 mg, 0.087 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.195 mmol) to afford the crude product (46 mg) as a yellow solid: ESI MS *m/z* 544 $[C_{28}H_{31}Cl_2N_3O_4 + H]^+$.

Example 470

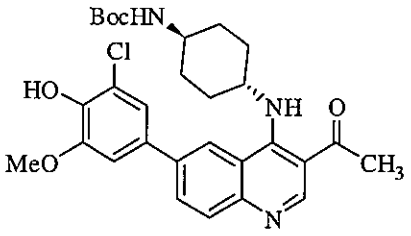
tert-Butyl *trans*-4-[3-acetyl-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylcarbamate (47 mg, 0.100 mmol) was reacted with 2,6-difluoro-4-(3,3,4,4 -tetramethylborolan-1-yl)phenol (97 mg, 0.382 mmol) to afford the desired product (30 mg, 59%) as a yellow solid: ESI MS *m/z* 512 $[C_{28}H_{31}F_2N_3O_4 + H]^+$.

Example 471

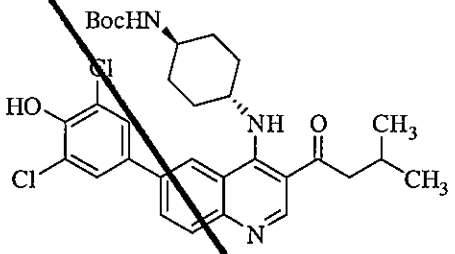
tert-Butyl *trans*-4-[3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylcarbamate (56 mg, 0.200 mmol) was reacted with 2-chloro-6-methoxy-4-(3,3,4,4-tetramethylborolan-1-yl)phenol (47 mg, 0.100 mmol) to afford the desired product (35 mg, 65%) as a yellow solid: ESI MS *m/z* 541 $[C_{29}H_{34}ClN_3O_5 + H]^+$.

Example 472

tert-Butyl *trans*-4-[6-(3,5-dichloro-4-hydroxyphenyl)-3-(3-methylbutanoyl)quinolin-4-ylamino] cyclohexylcarbamate

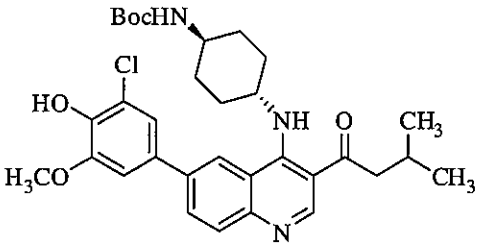


Following general procedure D, 1-{4-[*trans*-4-aminocyclohexylamino]-6-bromoquinolin -3-yl}-3-methylbutan-1-one (50 mg, 0.100 mmol) was reacted with 2,6-dichloro-4-(3,3,4,4 -tetramethylborolan-1-yl)phenol (42 mg, 0.145 mmol) to afford the desired product (36 mg, 61%) as a yellow solid: ESI MS *m/z* 587 $[C_{31}H_{37}Cl_2N_3O_4 + H]^+$.

Example 473

tert-Butyl

trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(3-methylbutanoyl)quinolin-4-ylamino] cyclohexylcarbamate

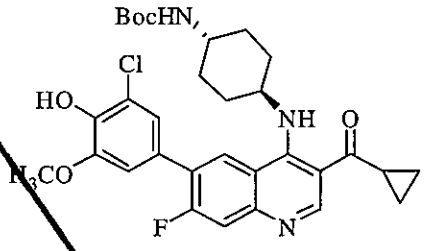


Following general procedure D, 1-{4-[*trans*-4-aminocyclohexylamino]-6-bromoquinolin-3-yl}-3-methylbutan-1-one (50 mg, 0.10 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-

dioxaborolan-2-yl)phenol (56 mg, 0.20 mmol) to afford the desired product (42 mg, 72%) as a yellow solid: ^{1}H NMR (500 MHz, $CDCl_3$) 10.81 (d, J = 7.9 Hz, 1 H), 8.99 (s, 1H), 8.22 (s, 1H), 7.97 (d, J = 8.3 Hz, 1H), 7.86 (d, J = 8.3 Hz, 1H), 7.22 (d, J = 1.9 Hz, 1H), 7.03 (d, J = 1.9 Hz, 1H), 5.96–5.91 (m, 1H), 4.00 (s, 3H), 3.52–3.46 (m, 1H), 2.89 (d, J = 6.9 Hz, 2H), 2.34–2.26 (m, 3H), 2.21–2.14 (m, 2H), 1.36–1.20 (m, 4H), 1.02 (d, J = 6.6 Hz, 2H), 1.45 (s, 9H).

Example 474

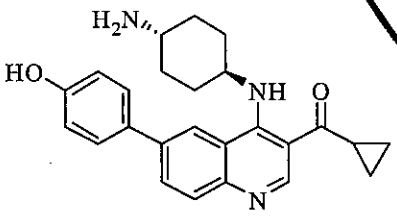
tert-Butyl *trans*-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)-7-fluoroquinolin-4-ylamino]



Following general procedure F, {4-[*trans*-4-aminocyclohexylamino]-6-bromo-7-fluoroquinolin-3-yl}(cyclopropyl)methanone (65 mg, 0.128 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (54 mg, 0.192 mmol) to afford the desired product (53 mg, 71%) as a yellow solid: ESI MS *m/z* 585 [$C_{31}H_{35}ClFN_3O_5$ + H]$^+$.

Example 55

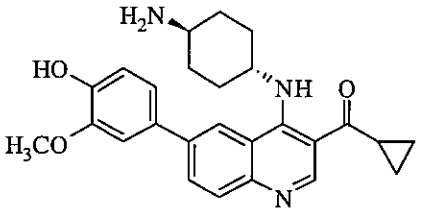
{4-[*trans*-4-Aminocyclohexylamino]-6-(4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl) methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (48 mg, 0.096 mmol) was reacted with TFA (0.40 mL) to afford the desired product (17.8 mg, 46%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.16 (s, 1H), 8.37 (d, J = 1.7 Hz, 1H), 8.07 (dd, J = 8.7, 1.8 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.60–7.56 (m, 2H), 6.96–6.92 (m, 2H), 4.29–4.19 (m, 1H), 3.29–3.20 (m, 1H), 2.89–2.80 (m, 1H), 2.37 (d, J = 12.8 Hz, 2H), 2.18 (d, J = 11.7 Hz, 2H), 1.75–1.64 (m, 2H), 1.64–1.53 (m, 2H), 1.23–1.18 (m, 2H), 1.15–1.09 (m, 2H); ESI MS *m/z* 402 [$C_{25}H_{27}N_3O_2$ + H]$^+$; HPLC 98.5% (AUC), t_R = 11.38 min.

Example 81

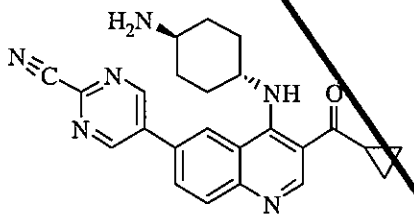
{4-[*trans*-4-Aminocyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxy-3-methoxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (45 mg, 0.085 mmol) was reacted with TFA (2 mL) to afford the desired product (11.3 mg, 31%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.12 (s, 1H), 8.36 (s, 1H), 8.04–7.98 (m, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.26 (d, J = 1.9 Hz, 1H), 7.17 (dd, J = 8.2, 2.0 Hz, 1H), 6.93 (d, J = 8.2 Hz, 1H), 4.14 (s, 1H), 3.97 (s, 3H), 3.20–3.07 (m, 2H), 2.87–2.75 (m, 2H), 2.28 (d, J = 12.2 Hz, 2H), 2.01 (d, J = 11.6 Hz, 2H), 1.64–1.53 (m, 2H), 1.40–1.26 (m, 3H), 1.21–1.14 (m, 2H), 1.12–1.03 (m, 2H); ESI MS *m/z* 432 $[C_{26}H_{29}N_3O_3 + H]^+$; HPLC 98.8% (AUC), t_R = 7.95 min.

Example 96

5-{4-[*trans*-4-Aminocyclohexylamino]-3-(cyclopropanecarbonyl)quinolin-6-yl}pyrimidine-2-carbonitrile

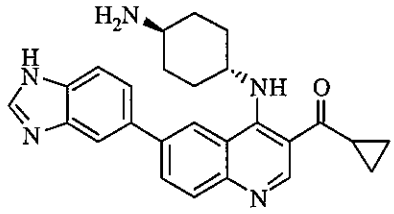


Following general procedure A-2, *tert*-butyl *trans*-4-[6-(2-cyanopyrimidin-5-yl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (52 mg, 0.100 mmol) was reacted with TFA (1 mL) to afford the desired product (13.7 mg, 33%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.33 (s, 2H), 9.19 (s, 1H), 8.60 (d, J = 1.9 Hz, 1H), 8.20 (dd, J = 8.7, 2.0 Hz, 1H), 8.05 (d, J = 8.7 Hz, 1H), 4.25–4.16 (m, 1H), 3.15–3.07 (m, 1H), 2.90–2.81 (m, 1H), 2.34 (d, J = 13.1 Hz, 2H), 2.12 (d, J = 11.8 Hz, 2H), 1.69–1.59 (m, 2H), 1.55–1.45 (m, 2H), 1.24–1.19 (m, 2H), 1.15–1.10 (m, 2H); ESI MS *m/z* 413 $[C_{24}H_{24}N_6O + H]^+$; HPLC 94.9% (AUC), t_R = 9.67 min.

Example 116

{4-[*trans*-4-Aminocyclohexylamino]-6-(1*H*-benzo[*d*]imidazol-5-yl)quinolin-3-yl}(cyclopropyl)

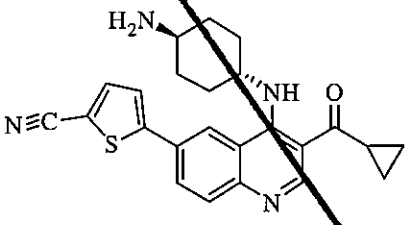
methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(1*H*-benzo[*d*]imidazol-5-yl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (45.3 mg, 0.086 mmol) was reacted with TFA (2 mL) to afford the desired product (21.3 mg, 58%) as an off-white solid: ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.16 (s, 1H), 8.48 (s, 1H), 8.27 (s, 1H), 8.12 (d, J = 8.7 Hz, 1H), 7.99–7.93 (m, 2H), 7.74 (d, J = 8.4 Hz, 1H), 7.67 (d, J = 8.4 Hz, 1H), 4.29 (s, 1H), 3.17 (s, 1H), 2.93–2.78 (m, 1H), 2.36 (d, J = 11.1 Hz, 2H), 2.15 (d, J = 11.2 Hz, 2H), 1.72–1.53 (m, 4H), 1.23–1.17 (m, 2H), 1.14–1.05 (m, 2H); ESI MS *m/z* 426 $[C_{26}H_{27}N_5O + H]^+$; HPLC 96.0% (AUC), t_R = 8.89 min.

Example 119

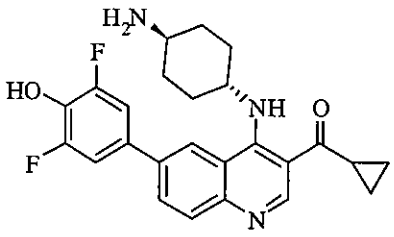
5-{4-[*trans*-4-Aminocyclohexylamino]-3-(cyclopropanecarbonyl)quinolin-6-yl} thiophene-2-carbonitrile



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(5-cyanothiophen-2-yl)-3-(cyclopropane carbonyl)quinolin-4-ylamino]cyclohexylcarbamate (24 mg, 0.047 mmol) was reacted with TFA (2 mL) to afford the desired product (13.2 mg, 32%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.25 (s, 1H), 8.54 (s, 1H), 8.27 (d, J = 8.6 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.85 (d, J = 4.0 Hz, 1H), 7.70 (d, J = 4.0 Hz, 1H), 4.28 (s, 1H), 3.28–3.25 (m, 1H), 2.89–2.83 (m, 1H), 2.42 (d, J = 12.8 Hz, 2H), 2.23 (d, J = 12.2 Hz, 2H), 1.80–1.63 (m, 4H), 1.27–1.21 (m, 2H), 1.19–1.13 (m, 2H); ESI MS *m/z* 417 $[C_{24}H_{24}N_4OS + H]^+$; HPLC 95.1% (AUC), t_R = 10.32 min.

Example 156

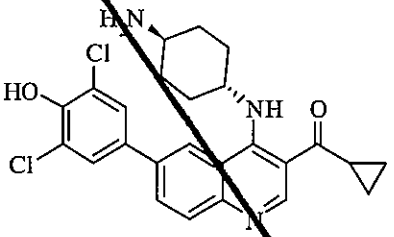
{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl} (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (26.2 mg, 0.049 mmol) was reacted with TFA (2 mL) to afford the desired product (17 mg, 79%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.14 (s, 1H), 8.36 (s, 1H), 8.03 (d, J = 9.5 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.35 (d, J = 9.6 Hz, 2H), 4.16 (s, 1H), 3.07 (s, 1H), 2.85 (s, 1H), 2.36 (d, J = 10.7 Hz, 2H), 2.18 (d, J = 14.1 Hz, 2H), 1.75–1.51 (m, 4H), 1.20 (s, 2H), 1.11 (s, 2H); ESI MS *m/z* 438 $[C_{25}H_{25}F_2N_3O_2 + H]^+$; HPLC 98.5% (AUC), t_R = 8.70 min.

Example 157(a)

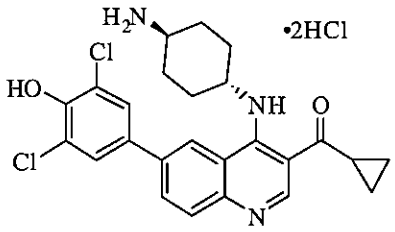
{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (25.7 mg, 0.045 mmol) was reacted with TFA (2 mL) to afford the desired product (8.8 mg, 42%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.14 (s, 1H), 8.35 (d, J = 1.7 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.94 (d, J = 8.7 Hz, 1H), 7.69 (s, 2H), 4.14 (s, 1H), 3.29–3.20 (m, 1H), 2.88–2.79 (m, 1H), 2.37 (d, J = 12.5 Hz, 2H), 2.19 (d, J = 11.0 Hz, 2H), 1.76–1.64 (m, 2H), 1.64–1.52 (m, 2H), 1.23–1.18 (m, 2H), 1.15–1.10 (m, 2H); ESI MS *m/z* 470 $[C_{25}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 94.9% (AUC), t_R = 10.74 min.

Example 157(b)

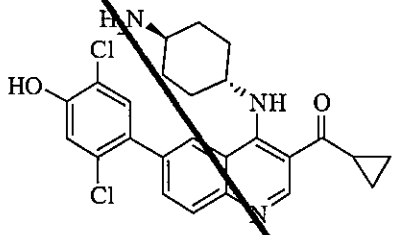
{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone dihydrochloride



A solution of {4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone (9.1 mg, 0.019 mmol) in methanol (10 mL) was treated with 1 N HCl (2 mL) and the mixture was concentrated and dried to obtain the desired salt (7.7 mg, 77%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.38 (s, 1H), 8.46 (s, 1H), 8.28 (d, J = 8.5 Hz, 1H), 7.99 (d, J = 8.5 Hz, 1H), 7.75 (bs, 2H), 2.86 (bs, 1H), 2.54–2.48 (m, 2H), 2.25–2.19 (m, 2H),1.87–1.79 (m, 2H), 1.72–1.50 (m, 2H), 1.40–1.18 (m, 4H); ESI MS *m/z* 470 $[C_{25}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 95.0% (AUC), t_R = 10.79 min.

Example 160

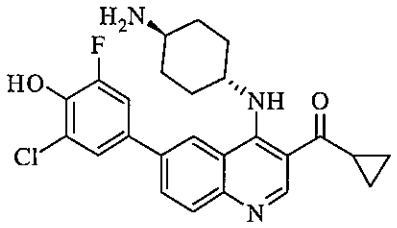
{4-[*trans*-4-Aminocyclohexylamino]-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (57 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (10.8 mg, 23%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.23 (s, 1H), 8.27 (d, J = 1.6 Hz, 1H), 7.94 (d, J = 8.6 Hz, 1H), 7.87 (dd, J = 8.7, 1.7 Hz, 1H), 7.48 (s, 1H), 7.12 (s, 1H), 4.27–4.14 (m, 1H), 3.27–3.18 (m, 1H), 2.95–2.82 (m, 1H), 2.34 (d, J = 11.5 Hz, 2H), 2.14 (d, J = 10.7 Hz, 2H), 1.72–1.59 (m, 2H), 1.59–1.48 (m, 2H), 1.26–1.16 (m, 2H), 1.16–1.07 (m, 2H); ESI MS *m/z* 470 $[C_{25}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 96.4% (AUC), t_R = 10.67 min.

Example 179

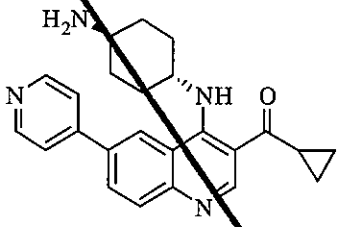
{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (20 mg, 0.036 mmol) was reacted with TFA (2 mL) to afford the desired product (14.5 mg, 32%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.13 (s, 1H), 8.35 (d, J = 1.8 Hz, 1H), 8.02 (dd, J = 8.7, 1.9 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.56–7.53 (m, 1H), 7.46 (dd, J = 11.6, 2.2 Hz, 1H), 4.17–4.11 (m, 1H), 3.28–3.19 (m, 1H), 2.88–2.81 (m, 1H), 2.36 (d, J = 12.8 Hz, 2H), 2.18 (d, J = 12.2 Hz, 2H), 1.68 (q, J = 10.6 Hz, 2H), 1.61–1.50 (m, 2H), 1.23–1.17 (m, 2H), 1.14–1.08 (m, 2H); ESI MS m/z 454 $[C_{25}H_{25}ClFN_3O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.52 min.

Example 212

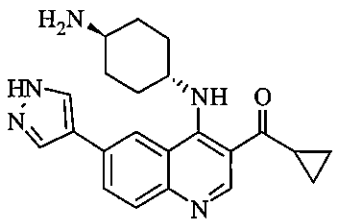
{4-[*trans*-4-Aminocyclohexylamino]-6-(pyridin-4-yl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(pyridin-4-yl) quinolin-4-ylamino]cyclohexylcarbamate (50 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (14.9 mg, 39%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.26 (s, 1H), 8.70 (dd, J = 4.6, 1.6 Hz, 2H), 8.65 (s, 1H), 8.30 (dd, J = 8.7, 1.7 Hz, 1H), 8.05 (d, J = 8.7 Hz, 1H), 7.87 (dd, J = 4.6, 1.7 Hz, 2H), 4.31 (br s, 1H), 3.28–3.22 (m, 1H), 2.91–2.82 (m, 1H), 2.41 (d, J = 13.2 Hz, 2H), 2.20 (d, J = 11.3 Hz, 2H), 1.82–1.69 (m, 2H), 1.67–1.55 (m, 2H), 1.28–1.23 (m, 2H), 1.20–1.16 (m, 2H); ESI MS m/z 387 $[C_{24}H_{26}N_4O + H]^+$; HPLC 95.0% (AUC), t_R = 8.34 min.

Example 213

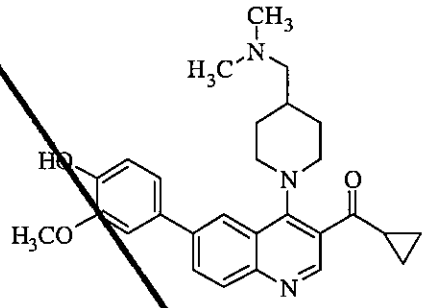
{4-[*trans*-4-Aminocyclohexylamino]-6-(1*H*-pyrazol-4-yl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(1*H*-pyrazol-4-yl)quinolin-4-ylamino]cyclohexylcarbamate (17 mg, 0.036 mmol) was reacted with TFA (2 mL) to afford the desired product (6.1 mg, 45%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.30 (br s, 1H), 8.54 (br s, 1H), 8.29 (dd, J = 8.7, 1.7 Hz, 1H), 8.19 (s, 2H), 7.94 (d, J = 8.8 Hz, 1H), 2.84 (s, 1H), 2.44 (s, 2H), 2.24 (d, J = 11.1 Hz, 2H), 1.89–1.76 (m, 2H), 1.67 (br s, 2H), 1.35–1.19 (m, 6H); ESI MS *m/z* 376 $[C_{22}H_{25}N_5O + H]^+$; HPLC 97.6 % (AUC), t_R = 9.39 min.

Example 133

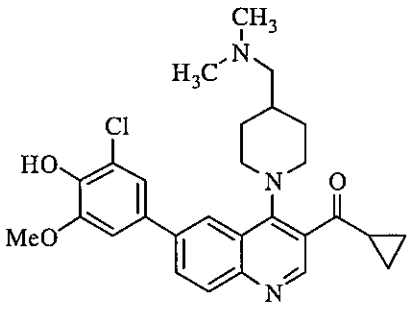
Cyclopropyl[4-{4-[(dimethylamino)methyl]piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl]methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (47 mg, 0.113 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.220 mmol) to afford the desired product (23.5 mg, 45%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.81 (s, 1H), 8.29 (d, J = 1.6 Hz, 1H), 8.10–8.00 (m, 2H), 7.30 (d, J = 2.0 Hz, 1H), 7.22 (dd, J = 8.2, 2.1 Hz, 1H), 6.94 (d, J = 8.2 Hz, 1H), 3.97 (s, 3H), 3.56 (d, J = 12.6 Hz, 2H), 3.27–3.15 (m, 4H), 2.95 (s, 6H), 2.65–2.55 (m, 1H), 2.15-2.25 (m, 1H), 1.95 (d, J = 12.6 Hz, 2H), 1.78–1.67 (m, 2H), 1.38–1.28 (m, 2H), 1.28–1.19 (m, 2H); ESI MS *m/z* 460 $[C_{28}H_{33}N_3O_3 + H]^+$; HPLC 95.9% (AUC), t_R = 9.74 min.

Example 276

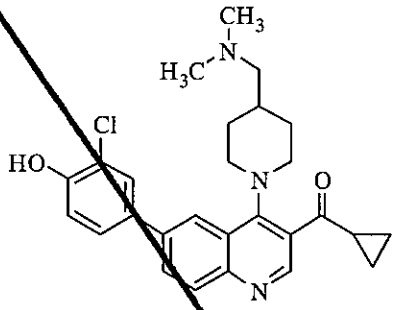
[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl} quinolin-3-yl](cyclopropyl)methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (35 mg, 0.084 mmol) was reacted with 2-chloro-6-methoxy-4-(3,3,4,4-tetramethylborolan-1-yl)phenol (42 mg, 0.150 mmol) to afford the desired product (29.1 mg, 70%) as an off-white solid: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.75 (s, 1H), 8.30 (s, 1H), 8.07–7.99 (m, 2H), 7.31 (d, J = 2.0 Hz, 1H), 7.25 (d, J = 1.9 Hz, 1H), 4.00 (s, 3H), 3.54 (d, J = 12.4 Hz, 2H), 3.22 (t, J = 12.2 Hz, 2H), 2.59–2.50 (m, 1H), 2.43 (d, J = 6.2 Hz, 2H), 2.35 (s, 6H), 2.01–1.83 (m, 3H), 1.67–1.53 (m, 2H), 1.35–1.27 (m, 2H), 1.27–1.19 (m, 2H); ESI MS m/z 494 $[C_{28}H_{32}ClN_3O_3 + H]^+$; HPLC 98.9% (AUC), t_R = 10.51 min.

Example 279

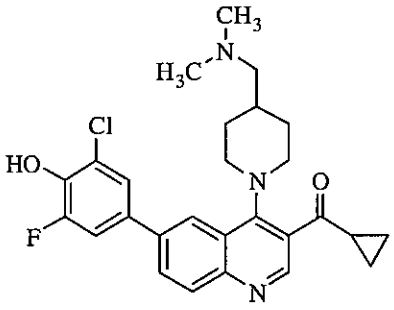
[6-(3-Chloro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl](cyclopropyl)methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (25 mg, 0.060 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (21 mg, 0.120 mmol) to afford the desired product (16.3 mg, 59%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.74 (s, 1H), 8.28 (s, 1H), 8.05–7.98 (m, 2H), 7.70 (d, J = 2.3 Hz, 1H), 7.53 (dd, J = 8.4, 2.3 Hz, 1H), 7.06 (d, J = 8.4 Hz, 1H), 3.53 (d, J = 12.7 Hz, 2H), 3.21 (t, J = 11.5 Hz, 2H), 2.60–2.51 (m, 1H), 2.43 (d, J = 6.8 Hz, 2H), 2.35 (s, 6H), 2.00–1.84 (m, 3H), 1.65–1.53 (m, 2H), 1.34–1.28 (m, 2H), 1.28–1.17 (m, 2H); ESI MS m/z 464 $[C_{27}H_{30}ClN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.38 min.

Example 347

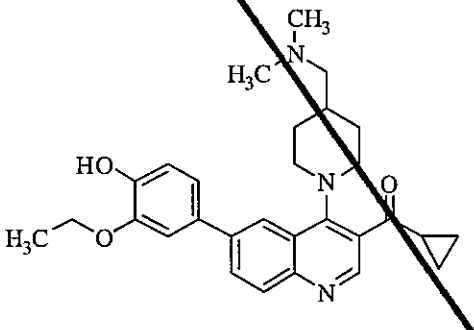
[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl](cyclopropyl)methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (30 mg, 0.072 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.150 mmol) to afford the desired product (22.3 mg, 64%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (s, 1H), 8.25 (d, J = 1.6 Hz, 1H), 8.10–7.95 (m, 2H), 7.55–7.46 (m, 1H), 7.42 (dd, J = 11.7, 2.3 Hz, 1H), 3.53 (d, J = 12.7 Hz, 2H), 3.22 (t, J = 11.6 Hz, 2H), 2.61 (d, J = 6.6 Hz, 2H), 2.59–2.51 (m, 1H), 2.48 (s, 6H), 1.96 (d, J = 10.0 Hz, 3H), 1.69–1.56 (m, 2H), 1.35–1.28 (m, 2H), 1.28–1.16 (m, 2H); ESI MS m/z 482 $[C_{27}H_{29}ClFN_3O_2 + H]^+$; HPLC 98.0% (AUC), t_R = 10.47 min.

Example 353

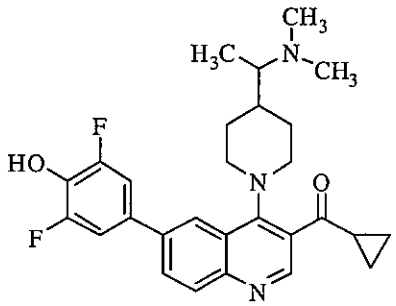
Cyclopropyl[4-{4-[(dimethylamino)methyl]piperidin-1-yl}-6-(3-ethoxy-4-hydroxyphenyl)quinolin-3-yl]methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (30 mg, 0.072 mmol) was reacted with 2-ethoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.150 mmol) to afford the desired product (14.3 mg, 42%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.73 (s, 1H), 8.31 (d, J = 1.7 Hz, 1H), 8.03 (m, 2H), 7.30 (d, J = 2.1 Hz, 1H), 7.22 (dd, J = 8.2, 2.1 Hz, 1H), 6.95 (d, J = 8.2 Hz, 1H), 4.22 (q, J = 7.0 Hz, 2H), 3.54 (d, J = 12.6 Hz, 2H), 3.26–3.12 (m, 2H), 2.59–2.50 (m, 1H), 2.44 (s, 2H), 2.37 (s, 6H), 2.02–1.83 (m, 3H), 1.68–1.53 (m, 2H), 1.49 (t, J = 7.0 Hz, 3H), 1.35–1.26 (m, 2H), 1.26–1.15 (m, 2H); ESI MS m/z 474 $[C_{29}H_{35}N_3O_3 + H]^+$; HPLC 96.9% (AUC), t_R = 10.59 min.

Example 181

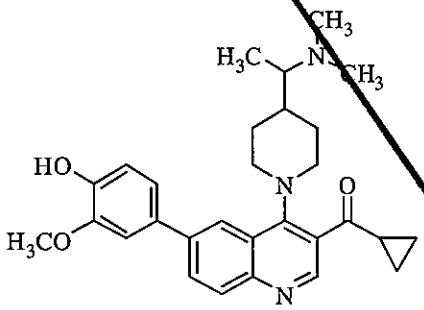
Cyclopropyl[6-(3,5-difluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl]methanone



Following general procedure F, (6-bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (60 mg, 0.139 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (39 mg, 0.153 mmol) to afford the desired product (30 mg, 44%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.82 (s, 1H), 8.26 (s, 1H), 8.03 (p, J = 8.8 Hz, 2H), 7.35 (dd, J = 8.0, 1.7 Hz, 2H), 3.56 (t, J = 10.3 Hz, 2H), 3.26–3.17 (m, 3H), 2.80 (s, 6H), 2.66–2.53 (m, 1H), 1.96 (d, J = 11.2 Hz, 3H), 1.87–1.68 (m, 2H), 1.37–1.22 (m, 8H); ESI MS m/z 480 $[C_{28}H_{31}F_2N_3O_2 + H]^+$; HPLC 98.6% (AUC), t_R = 10.24 min.

Example 187

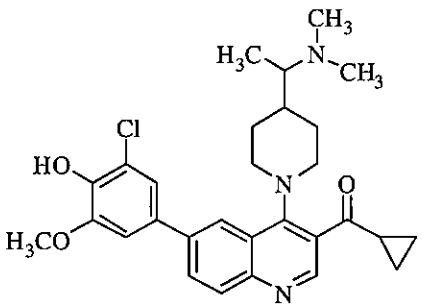
Cyclopropyl(4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl)methanone



Following general procedure F, (6-bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin- 3-yl)(cyclopropyl)methanone (42 mg, 0.097 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (36 mL, 0.146 mmol) to afford the desired product (26 mg, 56%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.82 (s, 1H), 8.29 (d, J = 1.6 Hz, 1H), 8.19– 7.97 (m, 2H), 7.31 (d, J = 2.1 Hz, 1H), 7.23 (dd, J = 8.2, 2.1 Hz, 1H), 6.95 (d, J = 8.2 Hz, 1H), 3.98 (s, 3H), 3.61 (t, J = 12.8 Hz, 2H), 3.48–3.36 (m, 1H), 3.27–3.17 (m, 2H), 2.98–2.84 (m, 5H), 2.67–2.56 (m, 1H), 2.11–1.73 (m, 5H), 1.40 (d, J = 6.8 Hz, 3H), 1.34–1.23 (m, 6H); ESI MS m/z 474 $[C_{29}H_{35}N_3O_3 + H]^+$; HPLC 98.6% (AUC), t_R = 9.95 min.

Example 250

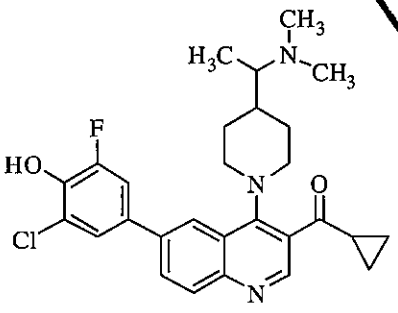
[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl](cyclopropyl)methanone



Following general procedure F, (6-bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (50 mg, 0.116 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.173 mmol) to afford the desired product (33 mg, 56%) as a yellow-green solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.74 (s, 1H), 8.30 (d, J = 1.6 Hz, 1H), 8.07–7.97 (m, 2H), 7.32 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 2.1 Hz, 1H), 4.00 (s, 3H), 3.55 (d, J = 12.2 Hz, 2H), 3.19 (dd, J = 19.9, 7.2 Hz, 2H), 2.64–2.58 (m, 1H), 2.58–2.50 (m, 1H), 2.40 (s, 6H), 2.03 (d, J = 12.7 Hz, 1H), 1.95–1.78 (m, 3H), 1.73–1.61 (m, 2H), 1.33–1.28 (m, 2H), 1.26–1.20 (m, 2H), 1.11 (d, J = 6.6 Hz, 3H); ESI MS m/z 508 $[C_{29}H_{34}ClN_3O_3 + H]^+$; HPLC 97.0% (AUC), t_R = 10.04 min.

Example 269

[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl](cyclopropyl)methanone

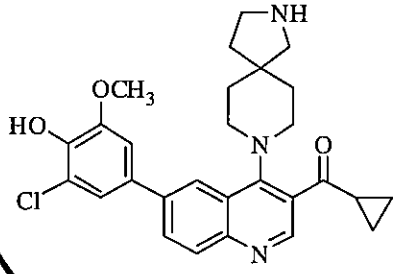


Following general procedure F, (6-bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (39 mg, 0.090 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (30 mg, 0.108 mmol) to afford the desired product (27 mg, 60%) as a light brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.81 (s, 1H), 8.26 (d, J = 1.6 Hz, 1H), 8.08–7.99 (m, 2H), 7.57–7.51 (m, 1H), 7.46 (dd, J = 11.6, 2.2 Hz, 1H), 3.55 (d, J = 11.5 Hz,

2H), 3.27–3.13 (m, 3H), 2.78 (s, 5H), 2.63–2.51 (m, 1H), 2.02 (s, 1H), 1.95 (d, J = 12.8 Hz, 2H), 1.82–1.67 (m, 2H), 1.41–1.19 (m, 8H), 0.90 (s, 1H); ESI MS m/z 496 $[C_{28}H_{31}ClFN_3O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.58 min.

Example 155

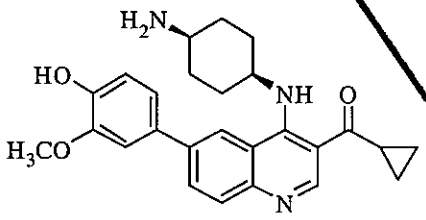
[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(2,8-diazaspiro[4,5]decan-8-yl)quinolin-3-yl] (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl 8-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl]-2,8-diazaspiro[4,5]decane-2-carboxylate (110 mg, 0.097 mmol) was reacted with TFA (2 mL) to afford the desired product (18 mg, 38%) as a green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.79 (s, 1H), 8.29 (s, 1H), 8.02 (s, 2H), 7.30 (d, J = 2.1 Hz, 1H), 7.23 (d, J = 2.1 Hz, 1H), 3.98 (s, 4H), 3.08 (s, 2H), 2.65–2.52 (m, 1H), 2.03–1.81 (m, 7H), 1.37–1.16 (m, 8H); ESI MS m/z 492 $[C_{28}H_{30}ClFN_3O_3 + H]^+$.

Example 165

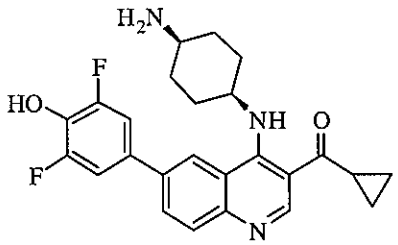
{4-(*cis*-4-Aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl} (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *cis*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxy-3-methoxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (43 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (20 mg, 56%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.26 (s, 1H), 8.39 (d, J = 1.7 Hz, 1H), 8.10 (dd, J = 8.8, 1.8 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.27 (d, J = 2.1 Hz, 1H), 7.18 (dd, J = 8.2, 2.1 Hz, 1H), 6.93 (d, J = 8.2 Hz, 1H), 4.74 (s, 1H), 3.96 (s, 3H), 3.12–3.02 (m, 1H), 2.98–2.84 (m, 1H), 2.17 (d, J = 9.9 Hz, 2H), 2.10–1.93 (m, 4H), 1.93–1.73 (m, 2H), 1.31–1.20 (m, 2H), 1.16–1.08 (m, 2H); ESI MS m/z 432 $[C_{26}H_{29}N_3O_3 + H]^+$; HPLC 98.4% (AUC), t_R = 9.93 min.

Example 180

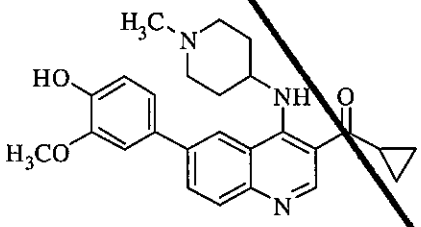
[4-(*cis*-4-Aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl] (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *cis*-4-[3-(cyclopropanecarbonyl)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (51 mg, 0.095 mmol) was reacted with TFA (2 mL) to afford the desired product (25 mg, 52%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.27 (s, 1H), 8.37 (d, J = 1.7 Hz, 1H), 8.06 (dd, J = 8.8, 1.9 Hz, 1H), 7.94 (d, J = 8.7 Hz, 1H), 7.33 (dd, J = 8.1, 1.6 Hz, 2H), 4.73 (s, 1H), 2.97–2.86 (m, 1H), 2.16 (d, J = 9.1 Hz, 2H), 2.09–1.97 (m, 5H), 1.91–1.76 (m, 2H), 1.27–1.20 (m, 2H), 1.20–1.10 (m, 2H); ESI MS *m/z* 438 $[C_{25}H_{25}F_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 5.12 min.

Example 177

Cyclopropyl[6-(4-hydroxy-3-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl]methanone

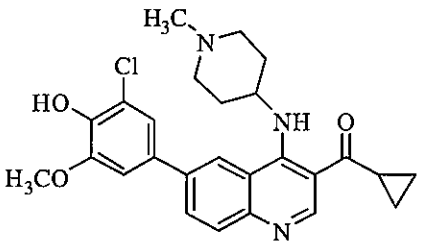


Following general procedure F, [6-bromo-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl] (cyclopropyl)methanone (47 mg, 0.121 mmol) was reacted with 2-methoxy-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (45 mg, 0.182 mmol) to afford the desired product (33 mg, 63%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.17 (s, 1H), 8.33 (d, J = 1.8 Hz, 1H), 8.05 (dd, J = 8.7, 1.9 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.27 (d, J = 2.1 Hz, 1H), 7.19 (dd, J = 8.2, 2.1 Hz, 1H), 6.94 (d, J = 8.2 Hz, 1H), 4.36 (s, 1H), 3.97 (s, 3H), 3.34 (s, 1H), 3.08 (s, 2H), 2.94–2.82 (m, 1H), 2.65 (s, 2H), 2.53 (s, 3H), 2.28 (d, J = 13.9 Hz, 2H), 1.89 (d, J = 10.3 Hz, 2H), 1.26–1.17 (m, 2H), 1.17–1.08 (m, 2H); ESI MS *m/z* 432 $[C_{26}H_{29}N_3O_3 + H]^+$; HPLC (AUC), t_R = 9.78 min.

Example 249

6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl]

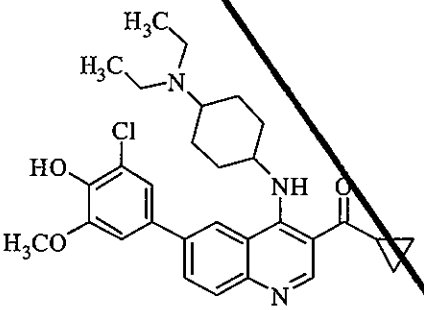
(cyclopropyl)methanone



Following general procedure F, [6-bromo-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl] (cyclopropyl)methanone (63 mg, 0.160 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.240 mmol) to afford the desired product (30 mg, 40%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.17 (s, 1H), 8.31 (d, J = 1.5 Hz, 1H), 8.04 (dd, J = 8.7, 1.8 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.29 (d, J = 2.1 Hz, 1H), 7.21 (d, J = 2.0 Hz, 1H), 4.36 (s, 1H), 3.99 (s, 3H), 3.20 (d, J = 9.4 Hz, 2H), 2.93–2.68 (m, 3H), 2.61 (s, 3H), 2.31 (d, J = 13.0 Hz, 2H), 2.00–1.81 (m, 2H), 1.28–1.18 (m, 2H), 1.17–1.05 (m, 2H); ESI MS m/z 466 [$C_{26}H_{28}ClN_3O_3$ + H]$^+$; HPLC 96.4% (AUC), t_R = 9.24 min.

Example 185(a)

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone

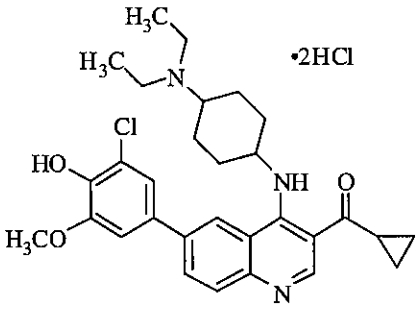


Following general procedure F, {6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone (45 mg, 0.101 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (34 mg, 0.121 mmol) to afford the desired product (28 mg, 53%) as a yellow glass: ^{1}H NMR (300 MHz, CD_3OD) δ 9.39 (s, 0.5H), 9.28 (s, 0.5H), 8.44 (d, J = 2.2 Hz, 1H), 8.30–8.21 (m, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.40–7.17 (m, 2H), 4.96 (s, 1H), 3.99 (d, J = 2.5 Hz, 3H), 3.72–3.52 (m, 1H), 3.43–3.18 (m, 3H), 2.98–2.81 (m, 1H), 2.59–1.75 (m, 9H), 1.48–1.34 (m, 6H), 1.31–1.13 (m, 4H); ESI MS m/z 522 [$C_{30}H_{36}ClN_3O_3$ + H]$^+$; HPLC >99% (AUC), t_R = 7.67 min.

Example 185(b)

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}

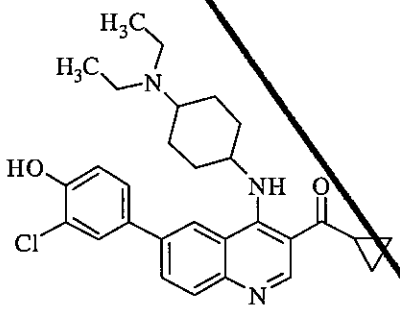
(cyclopropyl)methanone dihydrochloride



To {6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone (18 mg, 0.34 mmol) was added 1 M HCl (5 mL) and the mixture was concentrated to afford the desired product (15 mg, 75%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.43–9.36 (m, 1H), 8.49 (d, J = 2.2 Hz, 1H), 8.34 – 8.27 (m, 1H), 7.98 – 7.22 (m, 3H), 3.69 – 3.59 (m, 1H), 3.45 – 3.22 (m, 4H), 2.98–2.81 (m, 1H), 2.59–1.75 (m, 9H), 1.45 – 1.22 (m, 10H); ESI MS m/z 522 $[C_{30}H_{36}ClN_3O_3 + H]^+$; HPLC 95.2% (AUC), t_R = 10.26 min.

Example 192

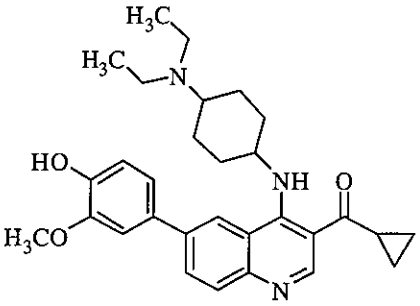
{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone



Following general procedure F, {6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone (65 mg, 0.146 mmol) was reacted with 3-chloro-4-hydroxyphenyl boronic acid (38 mg, 0.219 mmol) to afford the desired product (24 mg, 33%) as a light yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.29 (s, 0.5H), 9.20 (s, 0.5H), 8.38 (s, 1H), 8.12–8.04 (m, 1H), 7.98–7.91 (m, 1H), 7.74 (d, J = 2.3 Hz, 0.5H), 7.68 (d, J = 2.3 Hz, 0.5H), 7.58–7.48 (m, 1H), 7.06 (dd, J = 9.5, 8.5 Hz, 1H), 3.59–3.49 (m, 1H), 3.45–3.15 (m, 4H), 2.98–2.83 (m, 1H), 2.45 (d, J = 11.9 Hz, 1H), 2.30–2.19 (m, 2H), 2.11–1.88 (m, 4H), 1.86–1.70 (m, 2H), 1.38 (q, J = 7.4 Hz, 6H), 1.27–1.20 (m, 2H), 1.19–1.10 (m, 2H); ESI MS m/z 492 $[C_{29}H_{34}ClN_3O_2 + H]^+$; HPLC 97.5% (AUC), t_R = 4.53 min.

Example 193

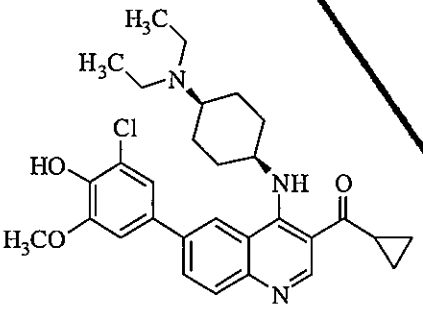
Cyclopropyl{4-[4-(diethylamino)cyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl}methanone



Following general procedure F, {6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone (58 mg, 0.130 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (34 mg, 0.136 mmol) to afford the desired product (40 mg, 60%) as a yellow glass: ^{1}H NMR (300 MHz, CD_3OD) δ 9.26 (s, 0.5H), 9.17 (s, 0.5H), 8.33 (dd, J = 8.2, 1.6 Hz, 1H), 8.09 (dt, J = 8.8, 1.7 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.25 (dd, J = 12.8, 2.1 Hz, 1H), 7.21–7.08 (m, 1H), 6.99–6.87 (m, 1H), 4.81 (s, 1H), 3.96 (d, J = 3.5 Hz, 3H), 3.64–3.44 (m, 1H), 3.40–3.12 (m, 3H), 2.96–2.76 (m, 1H), 2.41 (s, 1H), 2.24 (d, J = 12.4 Hz, 2H), 2.14–1.83 (m, 4H), 1.73 (t, J = 9.7 Hz, 2H), 1.46–1.30 (m, 6H), 1.26–1.07 (m, 4H); ESI MS *m/z* 488 $[C_{30}H_{37}N_3O_3 + H]^+$; HPLC 98.9% (AUC), t_R = 6.24 min.

Example 311

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*cis*-4-(diethylamino)cyclohexylamino] quinolin-3-yl}(cyclopropyl)methanone

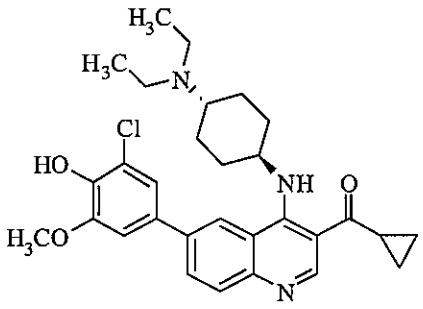


Following general procedure F, {6-bromo-4-[*cis*-4-(diethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (31 mg, 0.070 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (14.1 mg, 39%) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.25 (s, 1H), 8.36 (d, J = 1.8 Hz, 1H), 8.03 (dd, J = 8.7, 1.9 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.26 (d, J = 2.1 Hz, 1H), 7.20 (d, J = 2.1 Hz, 1H), 4.77 (s, J = 12.7 Hz, 1H), 3.98 (s, 3H), 3.50–3.41 (m, 1H), 3.23 (q, J = 7.2 Hz, 4H), 2.97–2.88 (m, 1H), 2.22 (d, J = 12.9 Hz, 2H), 2.09–1.85 (m, 6H), 1.35 (t, J = 7.3 Hz, 6H), 1.24–1.17 (m, 2H), 1.18–1.09 (m, 2H); ESI MS *m/z* 522 $[C_{30}H_{36}ClN_3O_3 +$

H]$^+$; HPLC 98.3% (AUC), t_R = 11.07 min.

Example 314

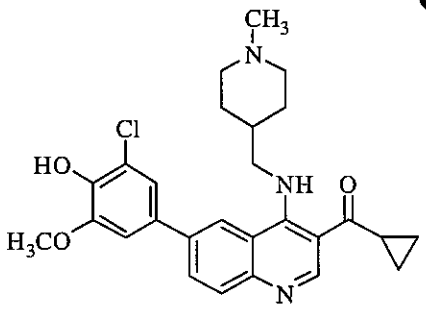
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(diethylamino)cyclohexylamino] quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[*trans*-4-(diethylamino)cyclohexylamino] quinolin-3-yl}(cyclopropyl)methanone (31 mg, 0.070 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl- 1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.015 mmol) to afford the desired product (19.7 mg, 54%) as an orange-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.15 (s, 1H), 8.34 (d, J = 1.8 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.31 (d, J = 2.1 Hz, 1H), 7.23 (d, J = 2.0 Hz, 1H), 4.20 (s, 1H), 3.99 (s, 3H), 3.12 (q, J = 7.2 Hz, 4H), 2.89–2.80 (m, 1H), 2.41 (d, J = 10.4 Hz, 2H), 2.15 (d, J = 9.8 Hz, 2H), 1.82–1.62 (m, 4H), 1.30 (t, J = 7.3 Hz, 6H), 1.23–1.16 (m, 2H), 1.15–1.04 (m, 2H); ESI MS *m/z* 522 [$C_{30}H_{36}ClN_3O_3$ + H]$^+$; HPLC 98.5% (AUC), t_R = 11.05 min.

Example 201

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(1-methylpiperidin-4-yl)methylamino] quinolin-3-yl}(cyclopropyl)methanone

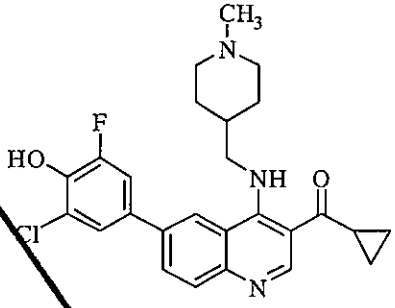


Following general procedure F, {6-bromo-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3-yl}(cyclopropyl)methanone (63 mg, 0.156 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (53 mg, 0.187 mmol) to afford the desired product (33 mg, 44%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.12 (s, 1H), 8.41 (d, J = 1.8 Hz, 1H), 7.99 (dd, J = 8.7, 1.9 Hz, 1H), 7.88 (d, J = 8.7 Hz, 1H), 7.26 (d, J = 2.1 Hz, 1H)

7.19 (d, J = 2.1 Hz, 1H), 3.98 (s, 3H), 3.84 (d, J = 6.7 Hz, 2H), 3.20–3.13 (m, 2H), 2.87–2.80 (m, 1H), 2.51 (s, J = 10.3 Hz, 3H), 2.46 (t, J = 11.6 Hz, 2H), 1.97 (d, J = 13.2 Hz, 2H), 1.90 (s, 1H), 1.53–1.40 (m, 2H), 1.24–1.17 (m, 2H), 1.14–1.07 (m, 2H); ESI MS m/z $[C_{27}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 8.32 min.

Example 270

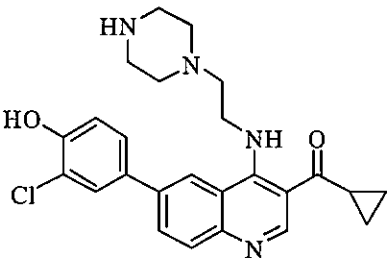
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[(1-methylpiperidin-4-yl)methylamino] quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, {6-bromo-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3-yl}(cyclopropyl)methanone (50 mg, 0.120 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.180 mmol) to afford the desired product (29 mg, 51%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.13 (s, 1H), 8.39 (d, J = 1.5 Hz, 1H), 8.02–7.83 (m, 2H), 7.56–7.37 (m, 2H), 3.84 (d, J = 5.9 Hz, 2H), 2.89–2.74 (m, 3H), 2.71 (s, 3H), 2.05 (d, J = 13.4 Hz, 3H), 1.65–1.47 (m, 2H), 1.28 (s, 3H), 1.24–1.16 (m, 2H), 1.16–1.02 (m, 2H), 0.88 (d, J = 6.9 Hz, 1H); ESI MS m/z $[C_{26}H_{27}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.14 min.

Example 215

{6-(3-Chloro-4-hydroxyphenyl)-4-[2-(piperazin-1-yl)ethylamino]quinolin-3-yl} (cyclopropyl)methanone

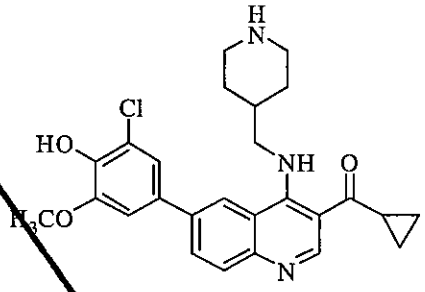


Following general procedure A-2, *tert*-butyl 4-{2-[6-(3-Chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]ethyl}piperazine-1-carboxylate (40 mg, 0.073 mmol) was reacted with TFA (2 mL) to afford the desired product (23 mg, 35%) as a yellow solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.00 (s, 1H), 9.09

(s, 1H), 8.46 (d, J = 1.8 Hz, 1H), 7.98 (dd, J = 8.7, 1.9 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.78 (d, J = 2.3 Hz, 1H), 7.61 (dd, J = 8.5, 2.3 Hz, 1H), 7.09 (d, J = 8.4 Hz, 1H), 3.82 (d, J = 5.2 Hz, 2H), 2.95–2.82 (m, 1H), 2.68 (t, J = 4.7 Hz, 4H), 2.55 (t, J = 6.0 Hz, 2H), 2.35 (s, 4H), 1.11–0.97 (m, 4H); ESI MS m/z 451 $[C_{25}H_{27}ClN_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.32 min.

Example 243

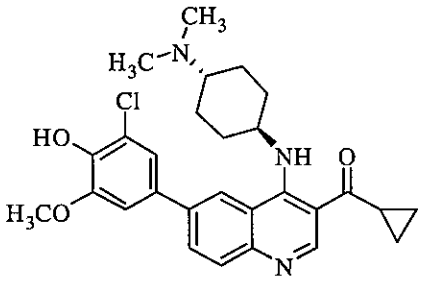
6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-4-ylmethylamino)quinolin-3-yl](cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl 4-{[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]methyl}piperidine-1-carboxylate (27 mg, 0.047 mmol) was reacted with TFA (1 mL) to afford the desired product (11 mg, 21%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.24 (s, 1H), 8.54 (d, J = 1.6 Hz, 1H), 8.16 (dd, J = 8.7, 1.7 Hz, 1H), 7.95 (d, J = 8.7 Hz, 1H), 7.33 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 2.1 Hz, 1H), 3.99 (s, J = 23.2 Hz, 5H), 3.51–3.42 (m, 2H), 3.18–3.14 (m, 1H), 3.07 (td, J = 13.0, 2.9 Hz, 2H), 2.90–2.81 (m, 1H), 2.22 (s, 1H), 2.13 (d, J = 14.0 Hz, 2H), 1.67–1.53 (m, 2H), 1.29–1.21 (m, 2H), 1.21–1.13 (m, 2H); ESI MS m/z 466 $[C_{26}H_{28}ClN_3O_3 + H]^+$; HPLC 97.7% (AUC), t_R = 8.47 min.

Example 255

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone

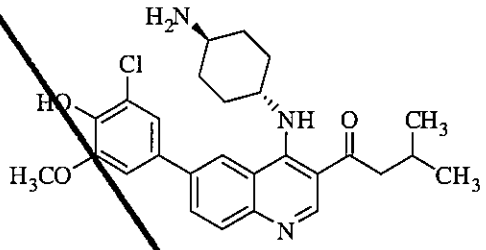


Following general procedure F, {6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (50 mg, 0.120 mmol) was reacted with

2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (51 mg, 0.180 mmol) to afford the desired product (22 mg, 37%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.18 (s, 1H), 8.36 (s, 1H), 8.09 (d, J = 10.4 Hz, 1H), 7.94 (d, J = 8.7 Hz, 1H), 7.33 (d, J = 2.0 Hz, 1H), 7.25 (d, J = 2.0 Hz, 1H), 4.24 (s, 1H), 3.99 (s, 3H), 3.35 (s, 1H), 2.86 (s, 7H), 2.46 (s, 2H), 2.21 (s, 2H), 1.73 (d, J = 10.4 Hz, 4H), 1.28–1.06 (m, 4H); ESI MS *m/z* $[C_{28}H_{32}ClN_3O_3 + H]^+$; HPLC 97.5% (AUC), t_R = 10.92 min.

Example 262

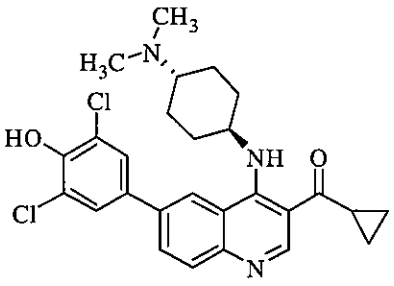
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4-hydroxy-6-mothoxyphenyl)quinolin-3-yl}-3-methylbutan-1-one dihydrochloride



Following general procedure D, *tert*-butyl *trans*-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(3-methylbutanoyl)quinolin-4-ylamino]cyclohexylcarbamate (42 mg, 0.072 mmol) was reacted with TFA (2 mL) to afford the desired product (15.4 mg, 44%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.96 (s, 1H), 8.32 (s, 1H), 8.04 (dd, J = 8.7, 1.8 Hz, 1H), 7.91 (d, J = 8.7 Hz, 1H), 7.28 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.1 Hz, 1H), 4.26-4.17 (m, 1H), 3.99 (s, 3H), 3.26–3.18 (m, 1H), 2.95 (d, J = 7.0 Hz, 2H), 2.41 (d, J = 12.9 Hz, 2H), 2.31–2.22 (m, 1H), 2.17 (d, J = 12.1 Hz, 2H), 1.78-1.66 (m, 2H), 1.62-1.50 (m, 2H) 1.03 (d, J = 6.6 Hz, 2H); ESI MS *m/z* 482 $[C_{27}H_{32}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.22 min.

Example 263

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}methanone

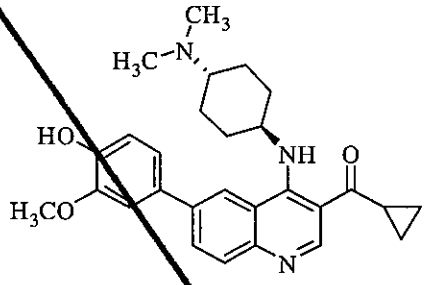


Following general procedure F,

{6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (45 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.135 mmol) to afford the desired product (32 mg, 58%) as a light yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.30 (s, 1H), 8.50 (s, 1H), 8.28 (d, J = 8.8 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.78 (s, 2H), 4.47 (s, 1H), 3.45 (s, 1H), 2.91 (s, 6H), 2.85 (s, 1H), 2.51 (s, 2H), 2.31 (s, 2H), 1.91–1.74 (m, 4H), 1.31–1.18 (m, 4H); ESI MS *m/z* 498 $[C_{27}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 96.9% (AUC), t_R = 11.50 min.

Example 266

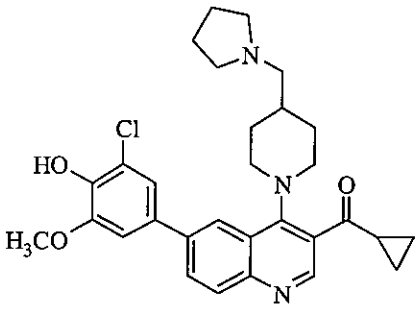
Cyclopropyl(4-((trans)-4-(dimethylamino)cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl)methanone



Following general procedure F, {6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (52 mg, 0.120 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (45 mg, 0.180 mmol) to afford the desired product (17 mg, 31%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.19 (s, 1H), 8.36 (s, 1H), 8.10 (dd, J = 8.8, 1.9 Hz, 1H), 7.93 (d, J = 8.8 Hz, 1H), 7.30 (d, J = 2.1 Hz, 1H), 7.21 (dd, J = 8.2, 2.1 Hz, 1H), 6.95 (d, J = 8.2 Hz, 1H), 4.22 (s, 1H), 3.97 (s, 3H), 2.84 (s, 7H), 2.43 (s, 2H), 2.21 (s, 2H), 1.68 (s, 3H), 1.27–1.18 (m, 2H), 1.18–1.07 (m, 2H); ESI MS *m/z* 460 $[C_{28}H_{33}N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.86 min.

Example 280

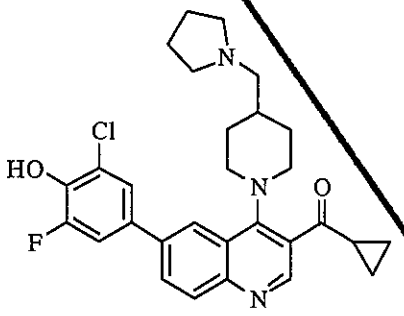
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.068 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (30 mg, 0.106 mmol) to afford the desired product (21.5 mg, 61%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (s, 1H), 8.29 (s, 1H), 8.07–7.99 (m, 2H), 7.31 (d, J = 2.0 Hz, 1H), 7.24 (d, J = 2.0 Hz, 1H), 3.99 (s, 3H), 3.54 (d, J = 12.4 Hz, 2H), 3.22 (t, J = 11.7 Hz, 2H), 2.82 (s, 4H), 2.71 (d, J = 6.5 Hz, 2H), 2.60–2.51 (m, 1H), 2.06–1.81 (m, 7H), 1.71–1.59 (m, 2H), 1.36–1.27 (m, 2H), 1.27–1.19 (m, 2H); ESI MS *m/z* 520 $[C_{30}H_{34}ClN_3O_3 + H]^+$; HPLC 98.6% (AUC), t_R = 10.70 min.

Example 283

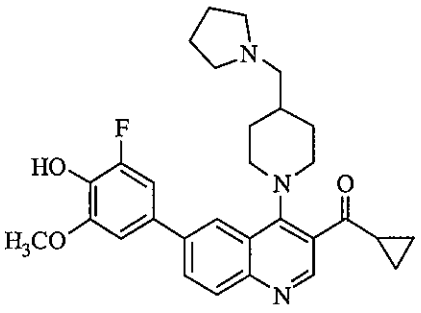
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.068 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (27 mg, 0.099 mmol) to afford the desired product (24.3 mg, 71%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.79 (s, 1H), 8.24 (d, J = 1.7 Hz, 1H), 8.06–7.96 (m, 2H), 7.53–7.49 (m, 1H), 7.42 (dd, J = 11.7, 2.2 Hz, 1H), 3.53 (d, J = 12.8 Hz, 2H), 3.28–3.15 (m, 6H), 3.09 (d, J = 7.0 Hz, 2H), 2.62–2.54 (m, 1H), 2.14–2.02 (m, 5H), 1.99 (d, J = 12.5 Hz, 2H), 1.77–1.63 (m, 2H), 1.36–1.28 (m, 2H), 1.28–1.17 (m, 2H); ESI MS *m/z* 508 $[C_{29}H_{31}ClFN_3O_2 + H]^+$; HPLC 98.1% (AUC), t_R = 10.69 min.

Example 307

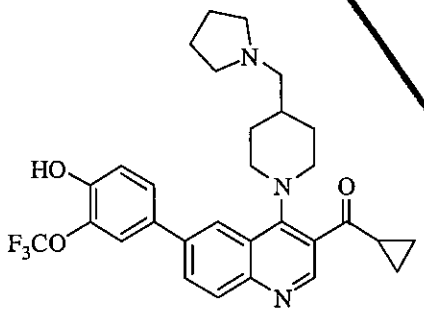
Cyclopropyl{6-(3-fluoro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}methanone



Following general procedure D, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (26 mg, 0.059 mmol) was reacted with 2-fluoro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (32 mg, 0.118 mmol) to afford the desired product (15.4 mg, 52%) as a gray solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.78 (s, 1H), 8.27 (s, 1H), 8.03 (s, 2H), 7.23–7.04 (m, 2H), 3.99 (s, 3H), 3.54 (d, J = 12.8 Hz, 2H), 3.28–3.11 (m, 6H), 3.05 (d, J = 6.6 Hz, 2H), 2.63–2.51 (m, 1H), 2.20–1.89 (m, 7H), 1.80–1.58 (m, 2H), 1.41–1.16 (m, 4H).

Example 313

Cyclopropyl{6-[4-hydroxy-3-(trifluoromethoxy)phenyl]-4-[4-(pyrrolidin-1-ylmethyl]piperidin-1-yl}quinolin-3-yl)methanone

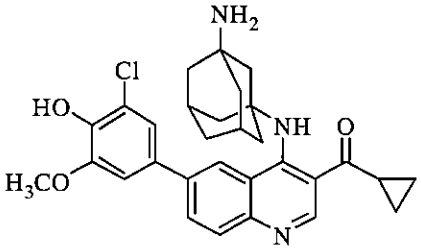


Following general procedure D, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.068 mmol) was reacted with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-(trifluoromethoxy)phenol (36 mg, 0.140 mmol) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.80 (s, 1H), 8.28 (d, J = 1.6 Hz, 1H), 8.08–7.98 (m, 2H), 7.64–7.57 (m, 2H), 7.12 (d, J = 9.0 Hz, 1H), 3.54 (d, J = 12.8 Hz, 2H), 3.32 (t, J = 11.5 Hz, 2H), 3.13 (s, 4H), 2.99 (s, 2H), 2.64–2.50 (m, 1H), 2.10–1.92 (m, 7H), 1.74–1.59

(m, 2H), 1.36–1.28 (m, 2H), 1.28–1.19 (m, 2H); ESI MS *m/z* 540 $[C_{30}H_{32}F_3N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.16 min.

Example 309

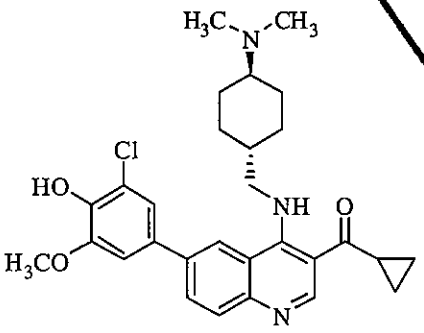
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(3-amino)adamantylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, *tert*-butyl 4-[3-(cyclopropanecarbonyl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino]adamantylcarbamate (62 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (26 mg, 50%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.20 (s, 1H), 8.50 (d, *J* = 1.9 Hz, 1H), 8.11 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.02 (d, *J* = 8.8 Hz, 1H), 7.36 (d, *J* = 2.0 Hz, 1H), 7.26 (d, *J* = 2.1 Hz, 1H), 3.99 (s, 3H), 3.03–2.91 (m, 1H), 2.33 (s, 2H), 2.05 (d, *J* = 12.5 Hz, 2H), 1.92–1.55 (m, 12H), 1.32–1.23 (m, 2H), 1.23–1.13 (m, 2H); ESI MS *m/z* 518 $[C_{30}H_{32}ClN_3O_3 + H]^+$; HPLC 96.0 % (AUC), t_R = 9.59 min.

Example 315

6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl](cyclopropyl)methanone

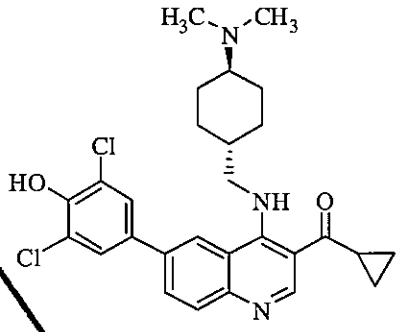


Following general procedure F, (6-bromo-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl)(cyclopropyl)methanone (58 mg, 0.135 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.202 mmol) to afford the desired product (30 mg, 44%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.25 (s, 1H), 8.52 (s, 1H), 8.15 (d, *J* = 8.7 Hz, 1H), 7.95 (d, *J* = 8.8 Hz,

1H), 7.30 (d, J = 2.0 Hz, 1H), 7.22 (d, J = 1.9 Hz, 1H), 3.98 (s, 3H), 3.95 (d, J = 6.5 Hz, 2H), 2.83 (s, J = 13.2 Hz, 6H), 2.15 (s, 4H), 2.05–1.93 (m, 1H), 1.88 (s, 1H), 1.73–1.48 (m, 2H), 1.43–1.08 (m, 7H); ESI MS m/z 508 $[C_{29}H_{34}ClN_3O_3 + H]^+$; HPLC 98.5% (AUC), t_R = 10.37 min.

Example 325

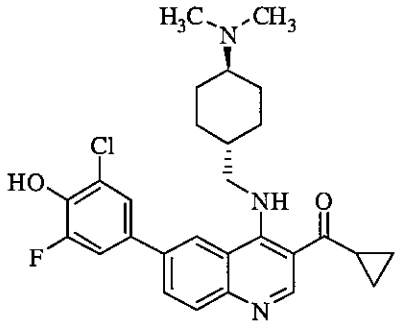
Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl]methanone



Following general procedure F, (6-bromo-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl)(cyclopropyl)methanone (66 mg, 0.153 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (65 mg, 0.230 mmol) to afford the desired product (38 mg, 50%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.24 (s, 1H), 8.49 (d, J = 1.7 Hz, 1H), 8.10 (dd, J = 8.8, 1.8 Hz, 1H), 7.95 (d, J = 8.8 Hz, 1H), 7.68 (s, 2H), 3.90 (d, J = 6.5 Hz, 2H), 2.85 (d, J = 11.2 Hz, 6H), 2.15 (t, J = 11.3 Hz, 4H), 2.01–1.74 (m, 2H), 1.61 (dd, J = 21.6, 12.1 Hz, 2H), 1.46–1.07 (m, 7H); ESI MS m/z 512 $[C_{28}H_{31}Cl_2N_3O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.65 min.

Example 327

6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl](cyclopropyl)methanone

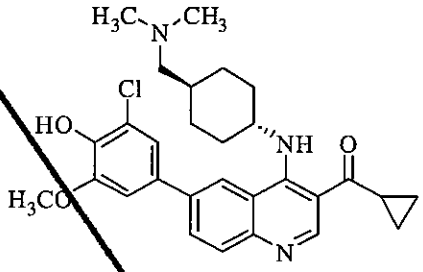


Following general procedure F, (6-bromo-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl)(cyclopropyl)methanone (58 mg, 0.134 mmol) was reacted with

2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.201 mmol) to afford the desired product (19 mg, 29%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.24 (s, 1H), 8.52 (s, 1H), 8.11 (d, J = 8.8 Hz, 1H), 7.95 (d, J = 8.8 Hz, 1H), 7.56 (s, 1H), 7.49 (dd, J = 11.5, 2.2 Hz, 1H), 3.92 (d, J = 6.4 Hz, 2H), 2.84 (s, 6H), 2.15 (s, 4H), 2.02–1.93 (m, 1H), 1.88 (s, 1H), 1.72–1.51 (m, 2H), 1.43–1.10 (m, 7H); ESI MS m/z 496 [$C_{28}H_{31}ClN_3O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 10.39 min

Example 316

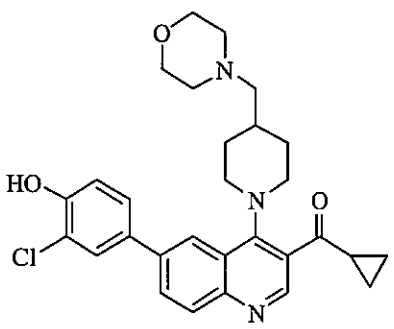
6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{*trans*-4-[(dimethylamino)methyl]cyclohexylamino}quinolin-3-yl](cyclopropyl)methanone



Following general procedure F, {6-bromo-4-[*trans*-4-{(dimethylamino)methyl}cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (58 mg, 0.135 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.203 mmol) to afford the desired product (21 mg, 30%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.23 (s, 1H), 8.42 (s, 1H), 8.17 (dd, J = 8.7, 1.7 Hz, 1H), 7.96 (d, J = 8.8 Hz, 1H), 7.31 (d, J = 2.1 Hz, 1H), 7.24 (d, J = 2.0 Hz, 1H), 4.28 (s, 1H), 3.99 (s, 3H), 3.03 (d, J = 6.7 Hz, 2H), 2.89 (s, 6H), 2.83 (d, J = 4.7 Hz, 1H), 2.38 (d, J = 12.1 Hz, 2H), 2.09–1.89 (m, 4H), 1.79–1.58 (m, J = 24.6, 11.7 Hz, 2H), 1.38–1.09 (m, 7H); ESI MS m/z 508 [$C_{29}H_{34}ClN_3O_3$ + H]$^+$; HPLC 98.8% (AUC), t_R = 10.38 min.

Example 332

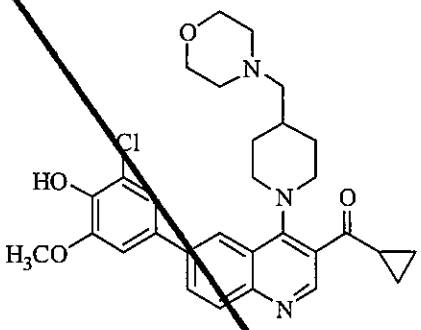
{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.065 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (34 mg, 0.200 mmol) to afford the desired product (20.3 mg, 62%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.73 (s, 1H), 8.29 (s, 1H), 8.04–7.98 (m, 2H), 7.71 (d, J = 2.3 Hz, 1H), 7.54 (dd, J = 8.4, 2.3 Hz, 1H), 7.07 (d, J = 8.4 Hz, 1H), 3.74–3.71 (m, 3H), 3.53 (d, J = 12.7 Hz, 2H), 3.34 (s, 3H), 3.26–3.15 (m, 2H), 2.59–2.46 (m, 4H), 2.38 (d, J = 6.7 Hz, 2H), 1.98 (d, J = 12.9 Hz, 3H), 1.66–1.52 (m, 2H), 1.36–1.27 (m, 2H), 1.27–1.20 (m, 2H); ESI MS m/z 506 [$C_{29}H_{32}ClN_3O_3$ + H]$^+$; HPLC 98.0% (AUC), t_R = 10.44 min.

Example 334

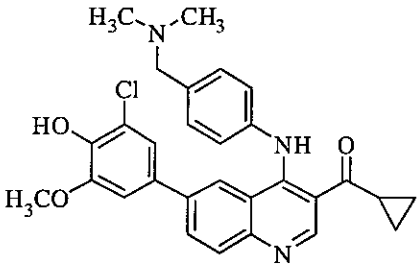
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.065 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.150 mmol) to afford the desired product (20 mg, 57%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.73 (s, 1H), 8.33 (d, J = 1.7 Hz, 1H), 8.07–7.97 (m, 2H), 7.33 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 2.1 Hz, 1H), 4.00 (s, 3H), 3.75–3.68 (m, 4H), 3.55 (d, J = 12.7 Hz, 2H), 3.25–3.15 (m, 2H), 2.61–2.41 (m, 5H), 2.36 (d, J = 6.9 Hz, 2H), 2.07–1.90 (m, 3H), 1.68–1.54 (m, 2H), 1.37–1.27 (m, 2H), 1.26–1.20 (m, 2H); ESI MS m/z 536 [$C_{30}H_{34}ClN_3O_4$ + H]$^+$; HPLC >99% (AUC), t_R = 10.57 min.

Example 339

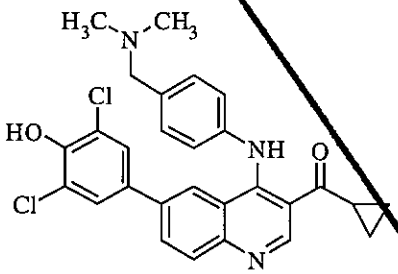
-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl]cyclopropyl)methanone



Following general procedure F, (6-bromo-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl)(cyclopropyl)methanone (38 mg, 0.090 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.133 mmol) to afford the desired product (39 mg, 86%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.26 (s, 1H), 8.10–7.97 (m, 2H), 7.95 (s, 1H), 7.49 (d, J = 8.4 Hz, 2H), 7.25 (d, J = 8.3 Hz, 2H), 6.98 (d, J = 1.9 Hz, 1H), 6.81 (d, J = 2.0 Hz, 1H), 4.27 (s, 2H), 3.87 (s, 3H), 2.98–2.85 (m, 1H), 2.78 (s, 6H), 1.23–1.00 (m, 4H); ESI MS *m/z* 502 $[C_{29}H_{28}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.69 min.

Example 345

Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl]methanone

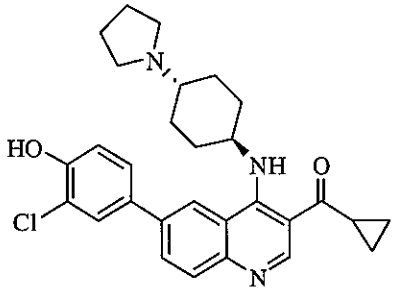


Following general procedure F, (6-bromo-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl)(cyclopropyl)methanone (44 mg, 0.104 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (45 mg, 0.156 mmol) to afford the desired product (38 mg, 73%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.26 (s, 1H), 7.99 (d, J = 10.0 Hz, 2H), 7.94 (s, 1H), 7.51 (d, J = 8.4 Hz, 2H), 7.29 (s, J = 9.9 Hz, 3H), 7.25 (s, 1H), 4.32 (s, 2H), 2.94–2.85 (m, 1H), 2.82 (s, 6H), 1.17–1.01 (m, 4H); ESI MS *m/z* 506 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 94.4% (AUC), t_R = 11.19 min.

Example 342

{6-(3-Chloro-4-hydroxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl}(cyc

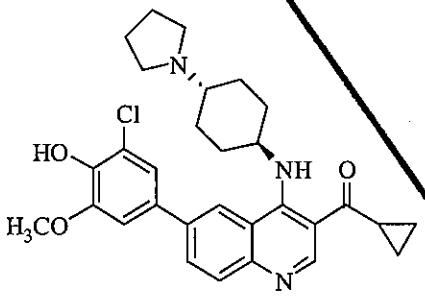
lopropyl)methanone



Following general procedure D, {6-bromo-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (24 mg, 0.054 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (26 mg, 0.150 mmol) to afford the desired product (22 mg, 83%) as a brown solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.14 (s, 1H), 8.34 (s, 1H), 8.01 (dd, J = 8.8, 1.8 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.70 (d, J = 2.2 Hz, 1H), 7.52 (dd, J = 8.5, 2.3 Hz, 1H), 7.06 (d, J = 8.5 Hz, 1H), 4.18 (s, 1H), 3.24 (s, 4H), 3.07 (s, 1H), 2.90–2.78 (m, 1H), 2.34 (d, J = 14.5 Hz, 4H), 2.02 (s, 4H), 1.73–1.51 (m, 4H), 1.26–1.15 (m, 2H), 1.15–1.02 (m, 2H); ESI MS *m/z* 490 $[C_{29}H_{32}ClN_3O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.90 min.

Example 343

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinoli n-3-yl}(cyclopropyl)methanone

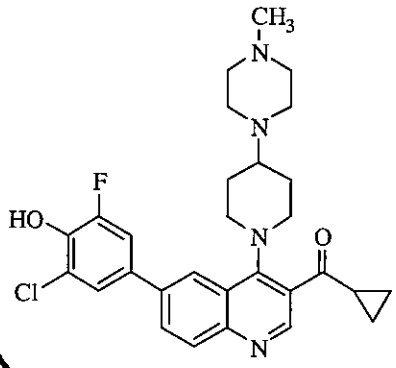


Following general procedure D, {6-bromo-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (25 mg, 0.150 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (20 mg, 67%), as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.14 (s, 1H), 8.34 (d, J = 1.9 Hz, 1H), 8.03 (dd, J = 8.7, 1.9 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.29 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.0 Hz, 1H), 4.15 (t, J = 10.6 Hz, 1H), 3.99 (s, 3H), 3.16 (s, 4H), 2.94 (s, 1H), 2.89–2.79 (m, 1H), 2.38 (d, J = 12.5 Hz, 2H), 2.28 (d, J = 11.9 Hz, 2H), 1.99 (s, 4H), 1.70–1.50 (m, 4H), 1.23–1.17 (m, 2H), 1.13–1.06 (m, 2H); ESI MS *m/z* 520

$[C_{30}H_{34}ClN_3O_3 + H]^+$; HPLC 98.7% (AUC), t_R = 10.99 min.

Example 349

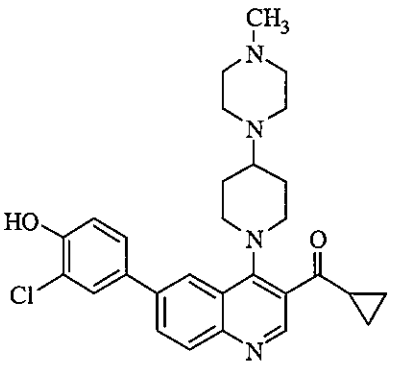
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.066 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.150 mmol) to afford the desired product (23 mg, 67%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.77 (s, 1H), 8.28 (d, J = 1.3 Hz, 1H), 8.05–7.99 (m, 2H), 7.58–7.53 (m, 1H), 7.46 (dd, J = 11.6, 2.2 Hz, 1H), 3.55 (d, J = 13.1 Hz, 2H), 3.25– 3.15 (m, 2H), 2.93–2.47 (m, 10H), 2.39 (s, 3H), 2.12 (d, J = 10.8 Hz, 2H), 1.96–1.82 (m, 2H), 1.34–1.28 (m, 2H), 1.27–1.20 (m, 2H); ESI MS *m/z* 523 $[C_{29}H_{32}ClFN_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.14 min.

Example 350

{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone

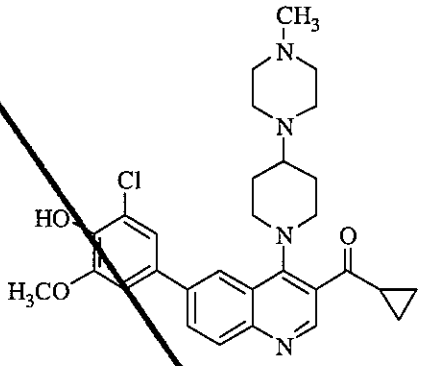


Following general procedure D, {6-bromo-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.065 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (26 mg, 0.150

mmol) to afford the desired product (19 mg, 57%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (s, 1H), 8.28 (s, 1H), 8.06–7.98 (m, 2H), 7.71 (d, J = 2.3 Hz, 1H), 7.54 (dd, J = 8.4, 2.3 Hz, 1H), 7.09–7.03 (m, 1H), 3.55 (d, J = 12.8 Hz, 2H), 3.34 (s, 3H), 3.23–3.15 (m, 2H), 2.81–2.50 (m, 8H), 2.35 (s, 3H), 2.12 (d, J = 10.6 Hz, 2H), 1.92–1.81 (m, 2H), 1.34–1.28 (m, 2H), 1.27–1.20 (m, 2H); ESI MS m/z 505 $[C_{29}H_{33}ClN_4O_4 + H]^+$; HPLC >99% (AUC), t_R = 10.01 min.

Example 351

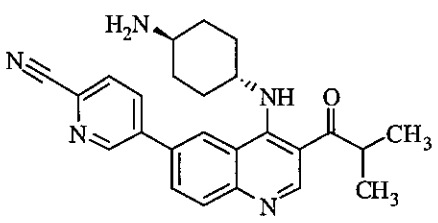
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (102 mg, 0.225 mmol was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.150 mmol) to afford the desired product (16.8 mg, 48%) as an orange-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (2, 1H), 8.30 (d, J = 1.4 Hz, 1H), 8.08–8.00 (m, 2H), 7.33 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 2.0 Hz, 1H), 4.00 (s, 3H), 3.57 (d, J = 12.9 Hz, 2H), 3.34 (s, 3H), 3.24–3.16 (m, 2H), 2.79–2.52 (m, 7H), 2.36 (s, 3H), 2.11 (d, J = 11.3 Hz, 2H), 1.96–1.83 (m, 2H), 1.34–1.28 (m, 2H), 1.26–1.19 (m, 2H); ESI MS m/z 535 $[C_{30}H_{35}ClN_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.13 min.

Example 108

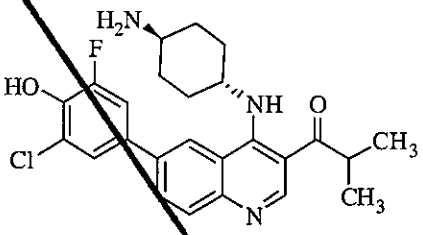
5-{4-[*trans*-4-Aminocyclohexylamino]-3-isobutyrylquinolin-6-yl}picolinonitrile



Following general procedure A-1, *tert*-butyl *trans*-4-[6-(6-cyanopyridin-3-yl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate (47.7 mg, 0.093 mmol) was reacted with 6 N hydrochloric acid (3 mL) to afford the desired product (20.8 mg, 54%) as a light yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.13–9.11 (m, 1H), 9.06 (s, 1H), 8.55 (d, J = 1.8 Hz, 1H), 8.39 (dd, J = 8.1, 2.3 Hz, 1H), 8.19 (dd, J = 8.7, 1.9 Hz, 1H), 8.04 (d, J = 3.8 Hz, 1H), 8.02 (d, J = 4.4 Hz, 1H), 4.35–4.27 (m, 1H), 3.82–3.73 (m, 1H), 3.29–3.22 (m, 1H), 2.40 (d, J = 12.7 Hz, 2H), 2.19 (d, J = 12.1 Hz, 2H), 1.78–1.68 (m, 2H), 1.67–1.56 (m, 2H), 1.25 (d, J = 6.8 Hz, 6H); ESI MS *m/z* 414 $[C_{25}H_{27}N_5O + H]^+$; HPLC 98.3 % (AUC), t_R = 7.96 min.

Example 205

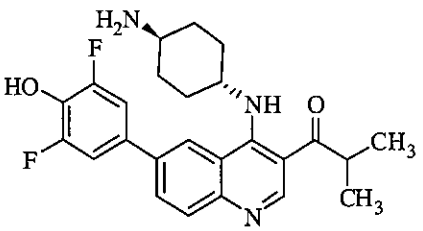
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate (56 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (28 mg, 61%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.96 (s, 1H), 8.31 (d, J = 1.8 Hz, 1H), 7.99 (dd, J = 8.7, 1.9 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.51–7.47 (m, 1H), 7.39 (dd, J = 11.8, 2.3 Hz, 1H), 4.23–4.15 (m, 1H), 3.82–3.69 (m, 1H), 3.28–3.18 (m, 1H), 2.39 (d, J = 12.7 Hz, 2H), 2.19 (d, J = 12.0 Hz, 2H), 1.71 (q, J = 10.5 Hz, 2H), 1.62–1.53 (m, 2H), 1.24 (d, J = 6.8 Hz, 6H), ESI MS *m/z* 456$[C_{25}H_{27}ClFN_3O_2 + H]^+$; HPLC 98.1% (AUC), t_R = 10.69 min.

Example 214

1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one

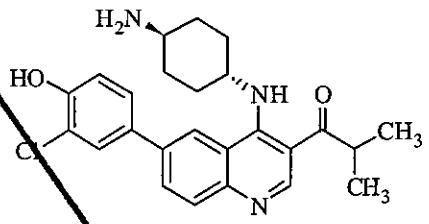


Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3,5-difluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate

(64 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (10.8 mg, 36%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.97 (s, 1H), 8.32 (d, J = 1.8 Hz, 1H), 8.00 (dd, J = 8.7, 2.0 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.28 (dd, J = 7.8, 1.9 Hz, 2H), 4.26–4.15 (m, 1H), 3.81–3.69 (m, 1H), 3.27–3.18 (m, 1H), 2.38 (d, J = 12.6 Hz, 2H), 2.18 (d, J = 11.7 Hz, 2H), 1.69 (q, J = 10.4 Hz, 2H), 1.61–1.53 (m, 2H), 1.24 (d, J = 6.8 Hz, 6H); ESI MS *m/s* 440 $[C_{25}H_{27}F_2N_3O_2 + H]^+$; HPLC 95.0 % (AUC), t_R = 10.50 min.

Example 219

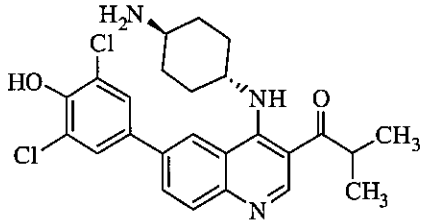
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3-chloro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate (39 mg, 0.072 mmol) was reacted with TFA (2 mL) to afford the desired product (15.4 mg, 41%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.05 (s, 1H), 8.40 (s, 1H), 8.13 (d, J = 8.8 Hz, 1H), 7.95 (d, J = 8.7 Hz, 1H), 7.72 (d, J = 2.3 Hz, 1H), 7.54 (dd, J = 8.4, 2.3 Hz, 1H), 7.08 (d, J = 8.4 Hz, 1H), 4.31 (s, 1H), 3.80–3.72 (m, 1H), 3.29–3.26 (m, 1H), 2.44 (d, J = 12.7 Hz, 2H), 2.22 (d, J = 10.6 Hz, 2H), 1.84–1.74 (m, 2H), 1.67–1.56 (m, 2H), 1.25 (d, J = 6.8 Hz, 6H); ESI MS *m/z* 438 $[C_{25}H_{28}ClN_3O_2 + H]^+$; HPLC 96.5% (AUC), t_R = 9.19 min.

Example 225(a)

1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one

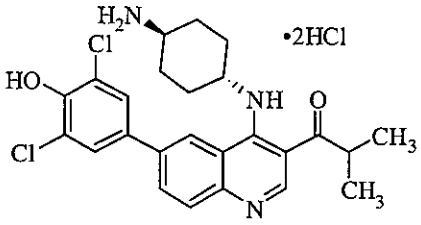


Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3,5-dichloro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate (60 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (20.3 mg, 43%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.98 (s, 1H), 8.33 (s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.67 (s, 2H), 4.18 (s, 1H), 3.80–3.70 (m, 1H), 2.96 (s, 1H),

2.40 (d, J = 11.4 Hz, 2H), 2.20 (d, J = 12.2 Hz, 2H), 1.73 (q, J = 11.1 Hz, 2H), 1.65–1.53 (m, 2H), 1.24 (d, J = 6.8 Hz, 6H); ESI MS m/z 472 $[C_{25}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.95 min.

Example 225(b)

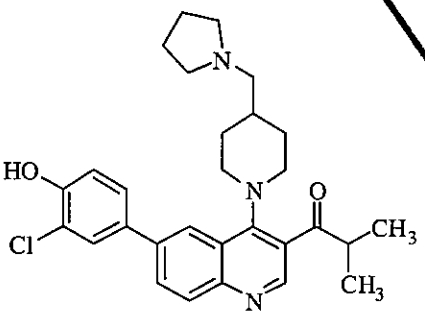
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one dihydrochloride



To a suspension of 1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one (3.0 g, 6.4 mmol) in THF (50 mL) was added 3 M HCl (30 mL) and the reaction micture was heated at 60 °C for 2 h. The reaction mixture was cooled and the precipitate was filtered to afford the desired product (4.7 g, 92%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.18 (bs, 1H), 8.49 (bs, 1H), 8.27 (d, J = 8.8 Hz, 1H), 7.02 (d, J = 8.8 Hz, 1H), 7.75 (bs, 2H), 4.54 (bs, 1H), 3.80–3.69 (m, 1H), 2.52 (bs, 2H), 2.29–2.22 (m, 2H), 1.94–1.81 (m, 2H),, 1.75–1.62 (m, 2H), 1.24 (d, J = 6.8 Hz, 6H); ESI MS m/z 472 $[C_{25}H_{27}Cl_2N_3O_2 + H]^+$.

Example 318

1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one

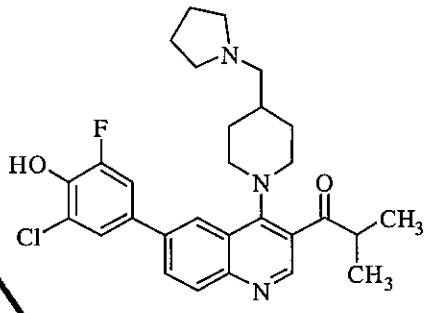


Following general procedure D, 1-{6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one (30 mg, 0.068 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (17 mg, 0.100 mmol) to afford the desired product (18.9 mg, 56%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.75 (s, 1H), 8.28 (d, J = 1.2 Hz, 1H), 8.06–7.99 (m, 2H), 7.70 (d, J = 2.3 Hz, 1H), 7.54 (dd, J = 8.4, 2.3 Hz, 1H), 7.06 (d, J = 8.4 Hz, 1H), 3.54–3.41 (m, 3H), 3.23–3.11 (m, 6H),

3.03 (d, J = 6.8 Hz, 2H), 2.11–1.93 (m, 7H), 1.74–1.62 (m, 2H), 1.26 (d, J = 6.9 Hz, 6H); ESI MS m/z 492 $[C_{29}H_{34}ClN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.93 min.

Example 323

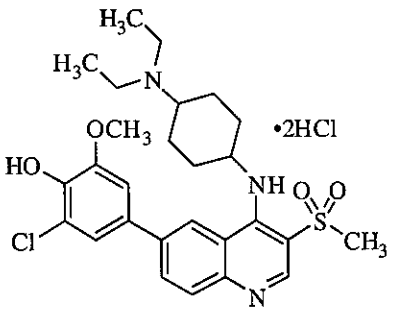
1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one



Following general procedure D,
1-{6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one (30 mg, 0.068 mmol) was reacted with
2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (27 mg, 0.102 mmol) to afford the desired product (27.4 mg, 79%) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.77 (s, 1H), 8.27 (d, J = 1.8 Hz, 1H), 8.07–7.99 (m, 2H), 7.55–7.51 (m, 1H), 7.44 (dd, J = 11.6, 2.2 Hz, 1H), 3.54–3.42 (m, 3H), 3.38–3.33 (m, 4H), 3.21–3.11 (m, 4H), 2.15–2.06 (m, 5H), 1.99 (d, J = 11.0 Hz, 2H), 1.75–1.64 (m, 2H), 1.26 (d, J = 6.9 Hz, 6H); ESI MS m/z 510 $[C_{29}H_{33}ClFN_3O_2 + H]^+$; HPLC 97.7% (AUC), t_R = 11.07 min.

Example 240

2-Chloro-4-{4-[4-(diethylamino)cyclohexylamino]-3-(methylsulfonyl)quinolin-6-yl}-6-methoxy phenol dihydrochloride

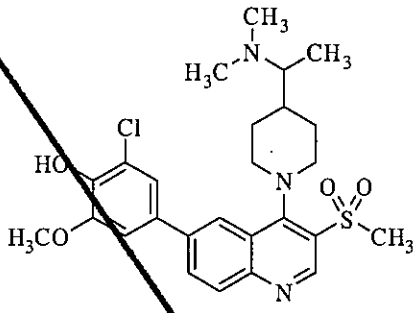


Following general procedure D,
N^1-[6-bromo-3-(methylsulfonyl)quinolin-4-yl]-N^4,N^4-diethylcyclohexane-1,4-diamine (40 mg, 0.088 mmol) was reacted with
2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.176 mmol)

to afford, after treatment with 1M HCl, the desired product (24.5 mg, 50%) as an orange-brown solid: ^{1}H NMR (500 MHz, DMSO-d_6+D_2O) δ 8.91 (d, J = 8.0 Hz, 1H), 8.44–8.32 (m, 2H), 8.08 (d, J = 8.5 Hz, 1H), 7.48–7.27 (m, 2H), 3.98–3.95 (m, 3H), 3.46 (d, J = 11.4 Hz, 5H), 3.28–3.03 (m, 5H), 2.38 (s, 2H), 2.27 (d, J = 13.0 Hz, 1H), 2.15 (s, 1H), 2.10–1.96 (m, 2H), 1.90–1.69 (m, 3H), 1.33–1.23 (m, 6H); ESI MS m/z 532 $[C_{27}H_{34}ClN_3O_4S + H]^+$; HPLC 98.9% (AUC), t_R = 10.49 min.

Example 245

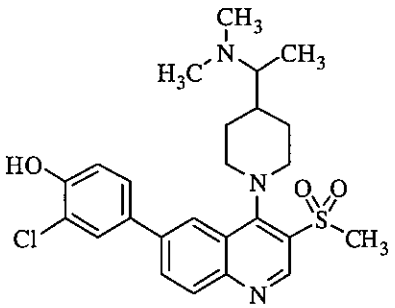
2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl]-6-methoxyphenol



Following general procedure D, 1-{1-[6-bromo-3-(methylsulfonyl)quinolin-4-yl]piperidin-4-yl}-*N*,*N*-dimethylethanamine (35 mg, 0.079 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (22.6 mg, 55%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.22 (s, 1H), 8.41 (s, 1H), 8.20–8.15 (m, 2H), 7.33 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 2.1 Hz, 1H), 4.00 (s, 3H), 3.71 (t, J = 11.8 Hz, 2H), 3.56 (d, J = 11.9 Hz, 2H), 3.49–3.42 (m, 3H), 2.96 (s, 1H), 2.64 (s, 6H), 2.10–1.90 (m, 3H), 1.82–1.63 (m, 2H), 1.26 (d, J = 6.7 Hz, 3H); ESI MS m/z 518 $[C_{26}H_{32}ClN_3O_4S + H]^+$; HPLC 98.8 % (AUC), t_R = 10.97 min.

Example 246

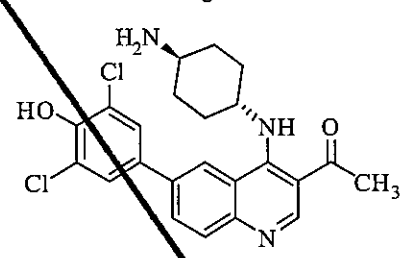
2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl]phenol



Following general procedure D, 1-{1-[6-bromo-3-(methylsulfonyl)quinolin-4-yl]piperidin-4-yl}-*N*,*N*-dimethylethanamine (35 mg, 0.079 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (26 mg, 0.150 mmol) to afford the desired product (9.4 mg, 24%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.23 (s, 1H), 8.39 (d, J = 1.5 Hz, 1H), 8.24–8.13 (m, 2H), 7.74 (d, J = 2.2 Hz, 1H), 7.58 (dd, J = 8.4, 2.3 Hz, 1H), 7.09 (d, J = 8.4 Hz, 1H), 3.81–3.70 (m, 2H), 3.55 (s, 2H), 3.45 (s, 3H), 3.28–3.22 (m, 1H), 2.84 (s, 6H), 2.14 (d, J = 7.9 Hz, 1H), 1.95 (d, J = 11.0 Hz, 2H), 1.87–1.68 (m, 2H), 1.38 (d, J = 6.7 Hz, 3H); ESI MS *m/z* 488 $[C_{25}H_{30}ClN_3O_3S + H]^+$; HPLC >99% (AUC), t_R = 10.84 min.

Example 256

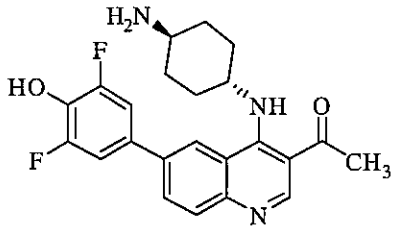
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}ethanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (46 mg, 0.085 mmol) was reacted with TFA (2 mL) to afford the desired product (19.4 mg, 51%) as an orange solid: ^{1}H NMR (500 MHz, DMSO-d_6+D_2O) δ 8.92 (s, 1H), 8.20 (s, 1H), 8.04 (d, J = 8.9 Hz, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.63 (s, 2H), 4.09 (s, 1H), 3.20–3.11 (m, 1H), 2.68 (s, 3H), 2.26 (d, J = 12.7 Hz, 2H), 2.08 (d, J = 10.1 Hz, 2H), 1.69–1.58 (m, 2H), 1.57–1.46 (m, 2H); ESI MS *m/z* 444 $[C_{23}H_{23}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.70 min.

Example 258

1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl}ethanone

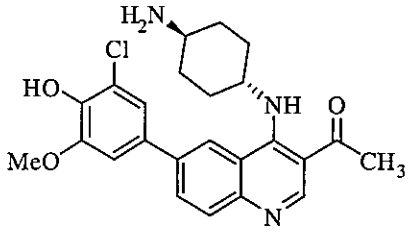


Following general procedure A-2, *tert*-butyl *trans*-4-[3-acetyl-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (30 mg, 0.059 mmol) was reacted with TFA (2 mL) to afford the desired product (14.2 mg, 59%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.98 (s, 1H), 8.35 (d, J = 1.9 Hz, 1H),

8.07–8.00 (m, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.33 (dd, J = 8.0, 1.6 Hz, 2H), 4.29 (s, 1H), 3.23 (s, 1H), 2.71 (s, 3H), 2.41 (d, J = 12.2 Hz, 2H), 2.19 (d, J = 12.1 Hz, 2H), 1.76–1.67 (m, 2H), 1.63–1.54 (m, 2H); ESI MS m/z 412 $[C_{23}H_{23}F_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 8.13 min.

Example 259

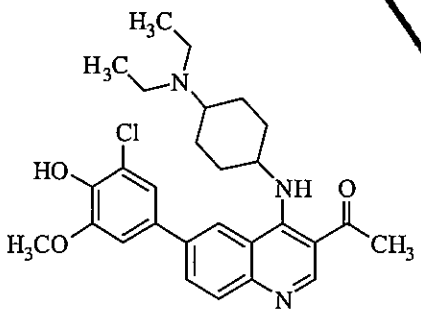
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl}ethanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (35 mg, 0.065 mmol) was reacted with TFA (2 mL) to afford the desired product (13.6 mg, 48%) as an orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.95 (s, 1H), 8.32 (d, J = 1.8 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.27 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.0 Hz, 1H), 4.23 (t, J = 11.1 Hz, 1H), 3.99 (s, 3H), 3.24–3.16 (m, 1H), 2.70 (s, J = 6.3 Hz, 3H), 2.41 (d, J = 12.4 Hz, 2H), 2.18 (d, J = 12.1 Hz, 2H), 1.80–1.66 (m, 2H), 1.61–1.50 (m, 2H); ESI MS m/z 440 $[C_{24}H_{26}ClN_3O_3 + H]^+$; HPLC 97.6% (AUC), t_R = 8.45 min.

Example 303

1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone

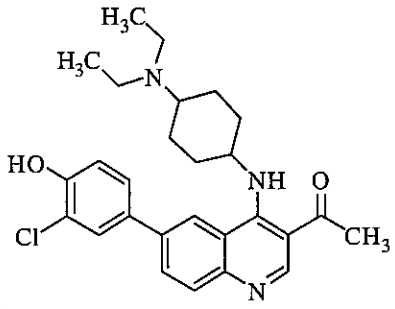


Following general procedure D, 1-{6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone (42 mg, 0.100 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.200 mmol) to afford the desired product (21.5 mg, 43%) as an orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.93 (s, 1H), 8.32 (d, J = 1.8 Hz, 1H), 8.03 (dd, J = 8.7, 1.9 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.29 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.1 Hz, 1H), 4.26 (s, 1H), 3.99 (s, 3H),

3.08 (q, J = 7.2 Hz, 4H), 2.69 (s, 3H), 2.44 (s, 2H), 2.14 (s, 2H), 1.79–1.64 (m, 4H), 1.29 (t, J = 7.2 Hz, 6H); ESI MS m/z 496 $[C_{28}H_{34}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.50 min.

Example 335

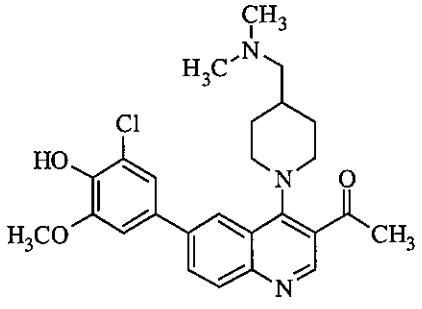
1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure D,
1-{6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone (30 mg, 0.072 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (34 mg, 0.200 mmol) to afford the desired product (19.7 mg, 59%) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.95 (s, 1H), 8.34 (d, J = 1.8 Hz, 1H), 8.02 (dd, J = 8.7, 1.9 Hz, 1H), 7.91 (d, J = 8.7 Hz, 1H), 7.71 (d, J = 2.3 Hz, 1H), 7.53 (dd, J = 8.4, 2.3 Hz, 1H), 7.06 (d, J = 8.4 Hz, 1H), 4.31 (s, 1H), 3.52–3.43 (m, 1H), 3.22 (q, J = 7.2 Hz, 4H), 2.70 (s, 3H), 2.46 (d, J = 12.3 Hz, 2H), 2.20 (d, J = 11.9 Hz, 2H), 1.88–1.65 (m, 4H), 1.41–1.30 (m, 6H); ESI MS m/z 466 $[C_{27}H_{32}ClN_3O_2 + H]^+$; HPLC 97.7% (AUC), t_R = 10.44 min.

Example 305

1-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl]ethanone

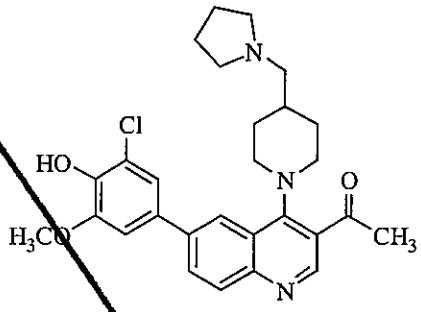


Following general procedure D,
1-(6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)ethanone (17.7 mg, 0.045 mmol) was reacted with
2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (28 mg, 0.100 mmol)

to afford the desired product (10.4 mg, 49%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (s, 1H), 8.32 (d, J = 1.3 Hz, 1H), 8.07–7.97 (m, 2H), 7.31 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 2.1 Hz, 1H), 4.00 (s, 3H), 3.48 (d, J = 12.5 Hz, 2H), 3.16 (t, J = 11.5 Hz, 2H), 2.68 (s, 3H), 2.43 (d, J = 6.6 Hz, 2H), 2.36 (s, 6H), 2.01–1.85 (m, 3H), 1.67–1.54 (m, 2H); ESI MS m/z 468 $[C_{26}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.12 min.

Example 321

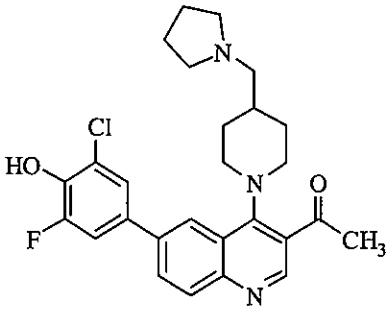
1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone



Following general procedure D, 1-{6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone (30 mg, 0.072 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (25.4 mg, 71%) as a yellow brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.80 (s, 1H), 8.29 (s, 1H), 8.03 (s, 2H), 7.30 (d, J = 2.1 Hz, 1H), 7.24 (d, J = 2.0 Hz, 1H), 3.99 (s, 3H), 3.49 (d, J = 12.9 Hz, 2H), 3.24–3.12 (m, 6H), 3.02 (d, J = 5.5 Hz, 2H), 2.70 (s, 3H), 2.13–1.96 (m, 7H), 1.77–1.62 (m, 2H); ESI MS m/z 494 $[C_{28}H_{32}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.45 min.

Example 336

1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone

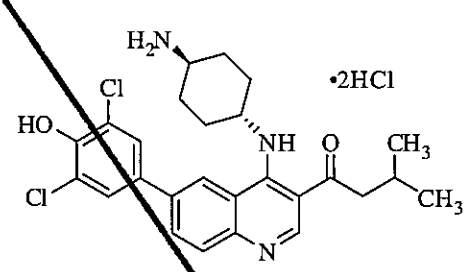


Following general procedure D,

1-{6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone (30 mg, 0.072 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.150 mmol) to afford the desired product (19.4 mg, 56%) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.81 (s, 1H), 8.26 (d, J = 1.8 Hz, 1H), 8.07–7.96 (m, 2H), 7.56–7.48 (m, 1H), 7.44 (dd, J = 11.6, 2.2 Hz, 1H), 3.48 (d, J = 12.6 Hz, 2H), 3.38–3.33 (m, 4H), 3.23–3.13 (m, 4H), 2.71 (s, 3H), 2.16–2.06 (m, 5H), 2.00 (d, J = 11.1 Hz, 2H), 1.78–1.65 (m, 2H);ESI MS *m/z* 482 $[C_{27}H_{29}ClN_3O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.36 min.

Example 267

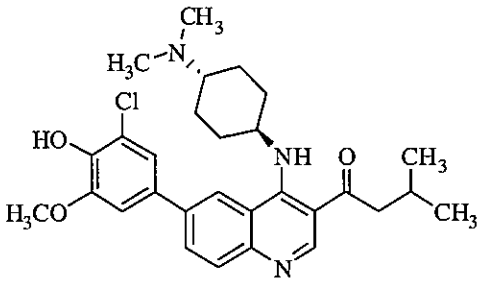
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}-3-methylbutan-1-one dihydrochloride



Following general procedure D, *tert*-butyl *trans*-4-[6-(3,5-dichloro-4-hydroxyphenyl)-3-(3-methylbutanoyl)quinolin-4-ylamino]cyclohexyl carbamate (36 mg, 0.061 mmol) was reacted with TFA (2 mL) to afford the desired product (10.4 mg, 35%) as a white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.16 (s, 1H), 8.46 (s, 1H), 8.29 (d, J = 8.7 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.74 (s, 2H), 4.56 (s, 1H), 3.27 (s, 1H), 3.03 (d, J = 6.8 Hz, 2H), 2.51 (s, 2H), 2.36–2.21 (m, 3H), 1.96–1.83 (m, 2H), 1.67 (d, J = 12.5 Hz, 2H), 1.05 (d, J = 6.6 Hz, 6H); ESI MS *m/z* 486 $[C_{26}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 98.2% (AUC), t_R = 10.02 min.

Example 348

1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}-3-methylbutan-1-one

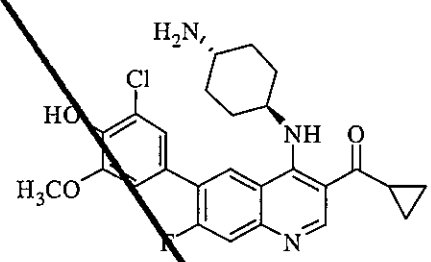


Following general procedure D, 1-{6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}-3-methylbutan-1-one

(13 mg, 0.030 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (21 mg, 0.075 mmol) to afford the desired product (8.8 mg, 58%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.94 (s, 1H), 8.35 (d, J = 1.8 Hz, 1H), 8.03 (dd, J = 8.7, 1.9 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.29 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.1 Hz, 1H), 4.24 (t, J = 10.8 Hz, 1H), 3.99 (s, 3H), 2.94 (d, J = 7.0 Hz, 2H), 2.82 (s, 1H), 2.53 (s, 6H), 2.42 (d, J = 12.0 Hz, 2H), 2.33–2.21 (m, 1H), 2.14 (d, J = 12.0 Hz, 2H), 1.72–1.53 (m, 4H), 1.03 (d, J = 6.7 Hz, 6H); ESI MS m/z 510 [$C_{29}H_{36}ClN_3O_3$ + H]$^+$; HPLC >99% (AUC), t_R = 11.38 min.

Example 284

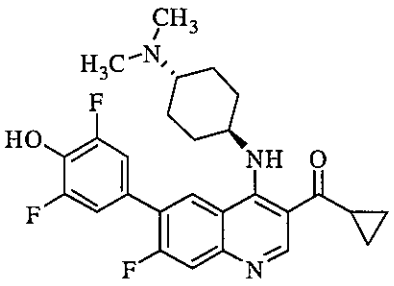
{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)-7-fluoroquinolin-4-ylamino]cyclohexylcarbamate (53 mg, 0.091 mmol) was reacted with TFA (2 mL) to afford the desired product (30 mg, 48%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.23 (s, 1H), 8.35 (d, J = 7.8 Hz, 1H), 7.65 (d, J = 11.2 Hz, 1H), 7.21 (d, J = 10.6 Hz, 2H), 4.20 (s, 1H), 3.95 (s, 3H), 2.84 (s, 1H), 2.39 (d, J = 12.4 Hz, 2H), 2.18 (d, J = 11.5 Hz, 2H), 1.83–1.64 (m, 2H), 1.62–1.43 (m, 2H), 1.31–1.09 (m, 4H); ESI MS m/z 484 [$C_{26}H_{27}ClFN_3O_3$ + H]$^+$; HPLC 98.1% (AUC), t_R = 8.84 min.

Example 294

Cyclopropyl{6-(3,5-difluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}methanone

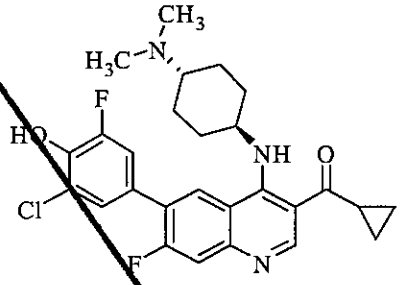


Following general procedure F, {6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}(cyclopropyl)met

hanone (43 mg, 0.099 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.149 mmol) to afford the desired product (14 mg, 29%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.27 (s, 1H), 8.37 (d, J = 7.8 Hz, 1H), 7.68 (d, J = 11.1 Hz, 1H), 7.33 (d, J = 7.8 Hz, 2H), 4.31 (s, 1H), 3.47–3.35 (m, 1H), 3.27 (s, J = 6.8 Hz, 1H), 2.88 (s, 5H), 2.87–2.75 (m, 1H), 2.43 (s, 2H), 2.26 (s, 2H), 1.88–1.64 (m, 4H), 1.35–1.13 (m, 4H), ESI MS m/z 484 $[C_{27}H_{28}F_3N_3O_2 + H]^+$; HPLC 98.3% (AUC), t_R = 8.83 min.

Example 295

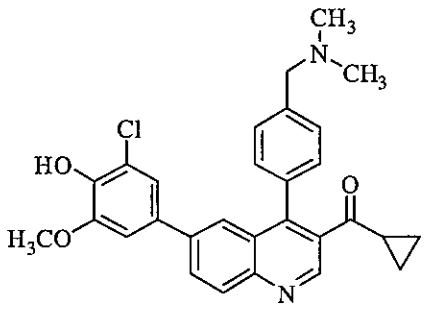
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoro quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, {6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}(cyclopropyl)methanone (38 mg, 0.087 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.131 mmol) to afford the desired product (39 mg, 90%) as a green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.19 (s, 1H), 8.29 (d, J = 8.1 Hz, 1H), 7.60 (d, J = 11.8 Hz, 1H), 7.49 (s, 1H), 7.42 (dt, J = 11.6, 2.0 Hz, 1H), 4.18 (s, 1H), 2.86 (s, 6H), 2.85–2.78 (m, 1H), 2.42 (s, 2H), 2.19 (s, 2H), 1.76–1.62 (m, 4H), 1.22–1.07 (m, 5H); ESI MS m/z 500 $[C_{27}H_{28}ClF_2N_3O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 9.21 min.

Example 356

6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]phenyl}quinolin-3-yl](cyclopropyl)methanone

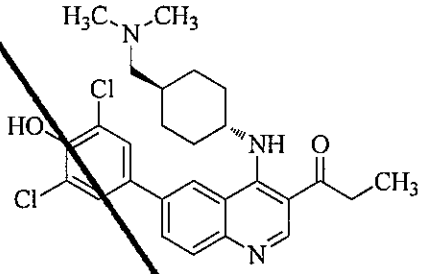


Following general procedure F,

[4-chloro-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl](cyclopropyl)methanone (18 mg, 0.046 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (20 mg, 0.067 mmol) to afford the desired product (10 mg, 45%) as a light brown-yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_6) δ 8.99 (s, 1H), 8.26–8.10 (m, 2H), 7.75 (d, J = 7.6 Hz, 3H), 7.62 (d, J = 8.1 Hz, 2H), 7.08 (dd, J = 14.8, 2.0 Hz, 2H), 4.41 (s, 2H), 3.91 (s, J = 10.1 Hz, 3H), 2.89 (s, 6H), 2.11–2.00 (m, 1H), 1.08–0.97 (m, 2H), 0.83–0.73 (m, 2H); ESI MS m/z 487 [$C_{29}H_{27}ClN_2O_3$ + H]$^+$; HPLC 96.5% (AUC), t_R = 12.01 min.

Example 715

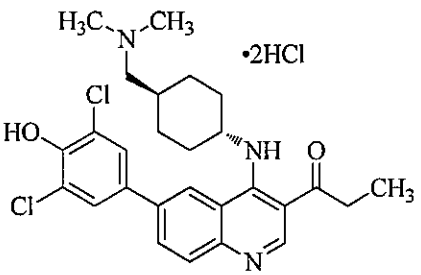
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans-4-((dimethylamino)methyl)cyclohexyl)amino) quinolin-3-yl)propan-1-one



Following general procedure M, 1-(6-bromo-4-((trans-4-((dimethylamino)methyl)cyclohexyl) amino)quinolin-3-yl)propan-1-one (125 mg, 0.30 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (95 mg, 0.33 mmol) to afford the desired product (53 mg, 35%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - d_6) δ 10.50 (d, J = 8.0 Hz, 1H), 8.96 (s, 1H), 8.31 (d, J = 2.1 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.72 (s, 2H), 4.14 – 4.06 (m, 1H), 3.13 (q, J = 7.2 Hz, 2H), 2.23 – 2.15 (m, 2H), 2.18 (s, 6H), 2.15 – 2.10 (m, 1H), 1.88 (d, J = 12.9 Hz, 2H), 1.61 – 1.43 (m, 3H), 1.17 – 1.01 (m, 5H). ESI MS m/z 500 [$C_{27}H_{31}Cl_2N_3O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 8.70 min.

Example 716

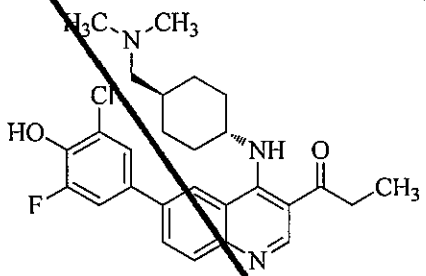
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans-4-((dimethylamino)methyl)cyclohexyl)amino) quinolin-3-yl)propan-1-one dihydrochloride



To a solution of 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans-4-((dimethylamino)methyl) cyclohexyl)amino)quinolin-3-yl)propan-1-one (3.75 g, 7.49 mmol) in methanol (150 mL) and dichloromethane (150 mL) was added HCl (1.25 M in methanol, 30 mL, 37.5 mmol). The solution was allowed to stir for 5 min, then concentrated under reduced pressure. The resultant solid was triturated with dichloromethane (25 mL), then dried under vacuum at 85 °C to afford the desired product (4.1 g, 95%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.12 (br s, 1H), 8.47 (br s, 1H), 8.26 (dd, J = 8.7, 1.8 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.51 (br s, 1H), 3.18 (q, J = 7.2 Hz, 2H), 3.09 (d, J = 6.5 Hz, 2H), 2.93 (s, 6H), 2.45 (d, J = 12.3 Hz, 2H), 2.11 – 2.02 (m, 3H), 1.88 – 1.76 (m, 2H), 1.40 – 1.30 (m, 2H), 1.24 (t, J = 7.1 Hz, 3H). APCI MS *m/z* 500 $[C_{27}H_{31}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.99 min.

Example 714

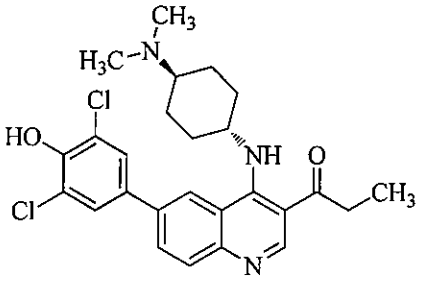
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans-4-((dimethylamino)methyl) cyclohexyl)amino)quinolin-3-yl)propan-1-one



Following general procedure M, 1-(6-bromo-4-((trans-4-((dimethylamino)methyl)cyclohexyl) amino)quinolin-3-yl)propan-1-one (125 mg, 0.30 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (90 mg, 0.33 mmol) to afford the desired product (41 mg, 28%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - d_6) δ 10.46 (d, J = 8.0 Hz, 1H), 8.96 (s, 1H), 8.33 (d, J = 2.2 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.86 (d, J = 8.7 Hz, 1H), 7.64 – 7.57 (m, 2H), 4.13 – 4.07 (m, 1H), 3.13 (q, J = 7.2 Hz, 2H), 2.22 – 2.15 (m, 2H), 2.13 (s, 6H), 1.87 (d, J = 12.9 Hz, 2H), 1.57 – 1.42 (m, 3H), 1.16 – 0.99 (m, 5H). ESI MS *m/z* 484 $[C_{27}H_{31}ClFN_3O_2 + H]^+$; HPLC 98.5% (AUC), t_R = 8.36 min.

Example 754

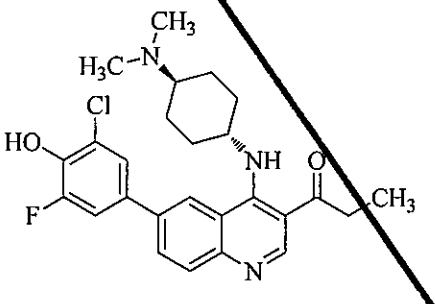
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans-4-(dimethylamino)cyclohexyl)amino) quinolin-3-yl)propan-1-one



Following general procedure M, 1-(6-bromo-4-((trans-4-(dimethylamino)cyclohexyl)amino) quinolin-3-yl)propan-1-one (125 mg, 0.31 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (98 mg, 0.34 mmol) to afford the desired product (74 mg, 49%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - *d*6) δ 10.58 (d, *J* = 7.9 Hz, 1H), 8.96 (s, 1H), 8.30 (d, *J* = 2.1 Hz, 1H), 8.03 (dd, *J* = 8.7, 1.9 Hz, 1H), 7.84 (d, *J* = 8.7 Hz, 1H), 7.771 (s, 2H), 4.19 – 4.15 (br s, 1H), 3.13 (q, *J* = 7.2 Hz, 2H), 2.56 – 2.51 (m, 1H), 2.32 (s, 6H), 2.26 – 2.22 (m, 2H), 1.96 – 1.89 (m, 2H), 1.56 – 1.47 (m, 4H), 1.14 (t, *J* = 7.2 Hz, 3H). APCI MS *m/z* 486 $[C_{26}H_{29}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.28 min.

Example 757

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans-4-(dimethylamino)cyclohexyl)amino) quinolin-3-yl)propan-1-one

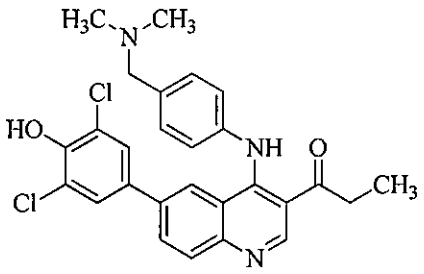


Following general procedure M, 1-(6-bromo-4-((trans-4-(dimethylamino)cyclohexyl)amino) quinolin-3-yl)propan-1-one (125 mg, 0.31 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (93 mg, 0.34 mmol) to afford the desired product (81 mg, 56%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - d_6) δ 10.56 (d, *J* = 8.0 Hz, 1H), 8.97 (s, 1H), 8.34 (d, *J* = 2.1 Hz, 1H), 8.04 (dd, *J* = 8.7, 1.9 Hz, 1H), 7.85 (d, *J* = 8.7 Hz, 1H), 7.65 – 7.58 (m, 2H), 4.18 – 4.12 (m, 1H), 3.13 (q, *J* = 7.2 Hz, 2H), 2.39 – 2.32 (m, 1H), 2.27 – 2.19 (m, 8H), 1.93 – 1.86 (m, 2H), 1.56 – 1.39 (m, 4H), 1.12 (t, *J* = 7.2 Hz, 3H). ESI MS *m/z* 470 $[C_{26}H_{29}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 7.97 min.

Example 771

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)

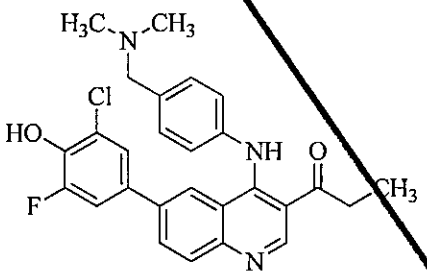
quinolin-3-yl)propan-1-one



Following general procedure M, 1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino) uinolin-3-yl)propan-1-one (125 mg, 0.30 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (96 mg, 0.33 mmol) to afford the desired product (113 mg, 76%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - d_6) δ 11.98 (s, 1H), 9.01 (s, 1H), 8.09 – 7.88 (m, 3H), 7.36 (s, 2H), 7.33 – 7.28 (m, 2H), 7.17 – 7.08 (m, 2H), 3.47 (s, 2H), 3.08 (q, J = 7.1 Hz, 2H), 2.16 (s, 6H), 0.98 (t, J = 7.1 Hz, 3H).

Example 770

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino) quinolin-3-yl)propan-1-one

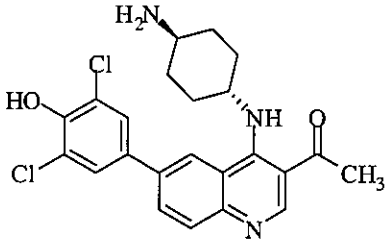


Following general procedure M, 1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino) quinolin-3-yl)propan-1-one (125 mg, 0.30 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (91 mg, 0.33 mmol) to afford the desired product (89 mg, 62%) as a yellow solid. ^{1}H NMR (300 MHz, DMSO - d_6) δ 11.00 (s, 1H), 9.02 (s, 1H), 8.09 – 7.88 (m, 3H), 7.35 – 7.18 (m, 4H), 7.16 – 7.09 (m, 2H), 3.42 (s, 2H), 3.09 (q, J = 7.1 Hz, 2H), 2.14 (s, 6H), 0.98 (t, J = 7.1 Hz, 3H). APCI MS m/z 478 $[C_{27}H_{25}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.10 min.

Example 939

1-(4-((1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)etha

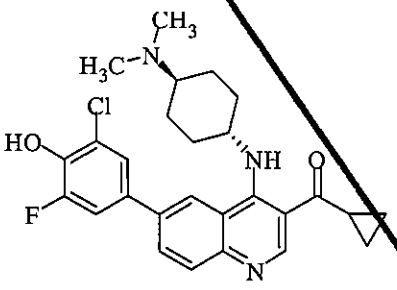
ne



Following general procedure A, 1 tert-butyl (1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-4-ylamino)cyclohexylcarbamate (4.5 g, 8.3 mmol) was treated with a solution of 3N HCl to afford desired product (3.6 g, 99%) as a pale yellow solid: ^{1}H NMR (500 MHz, D_2O) δ 8.93 (s, 1H), 7.89 (d, J = 8.6 Hz, 1H), 7.76 (d, J = 8.7 Hz, 1H), 7.64 (s, 1H), 7.06 (s, 2H), 4.08 (m, 1H), 3.31 (t, J = 12.0 Hz, 1H), 2.18 (d, J = 12.0 Hz, 4H), 1.80 (q, J = 12.1 Hz, 2H), 1.50 (q, J = 12.1 Hz, 2H); APCI MS m/z 444 $[C_{23}H_{23}Cl_2N_3O_2 + H]^+$; HPLC 98.3% (AUC), t_R = 6.93 min.

Example 264

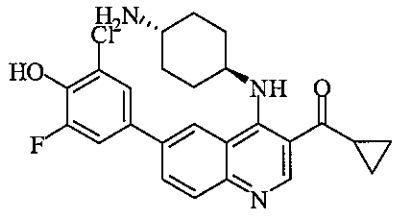
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexylamino)quinoli n-3-yl)(cyclopropyl)methanone



Following general procedure F, (6-bromo-4-((1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanon e (5.0 g, 12 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.9 g, 14.4 mmol) to afford the desired product (3.0 g, 52%) as a yellow solid: ^{1}H NMR (300 MHz, DMSO) δ 9.84 (s, 1H), 9.06 (s, 1H), 8.38 (s, 1H), 8.04 (dd, J = 8.8, 1.8 Hz, 1H), 7.86(d, J = 8.8 Hz, 1H), 7.61 (m, 2H), 3.99 (m, 1H), 2.90 (dt, J = 8.0, 3.0 Hz, 1H), 2.35 (m, 1H), 2.23 (s, 5H), 2.16 (d, J = 12.6 Hz, 4H), 1.89 (d, J = 11.2 Hz, 2H), 1.43 (dt, J = 22.4, 12.6 Hz, 4H), 1.06 (m, 4H); ESI MS m/z 482 $[C_{27}H_{29}ClFN_3O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 4.85 min.

Example 936

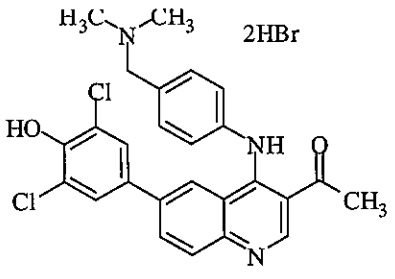
(4-((1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



(tert-butyl (1R,4R)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexylcarbamate (5.1 g, 9.2 mmol) was treated with a solution of HCl in dioxane (275 mL, 4 N). The mixture was stirred for 8 h at ambient temperature, producing a off white precipitate. The precipitate was collected by vacuum filtration and purified by titration of dichloromethane in a solution of methanol to afford desired product (3.01 g, 62%) as an off-white solid: ^{1}H NMR (300 MHz, D_2O) δ 9.03 (s, 1H), 7.90 (d, J = 8.8 Hz, 1H), 7.78 (s, 1H), 7.71 (d, J = 8.8 Hz, 1H), 7.12 (d, J = 11.7 Hz, 1H), 7.05 (s, 1H), 4.04 (s, 1H), 3.27 (t, J = 12.0 Hz, 1H), 2.62 (p, J = 6.1 Hz, 1H), 2.14 (d, J = 11.9 Hz, 4H), 1.71 (q, J = 12.3 Hz, 2H), 1.47 (q, J = 12.1 Hz, 2H), 1.20 (m, 4H); APCI MS m/z 454 $[C_{25}H_{25}ClFN_3O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 10.64 min.

Example 942

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone

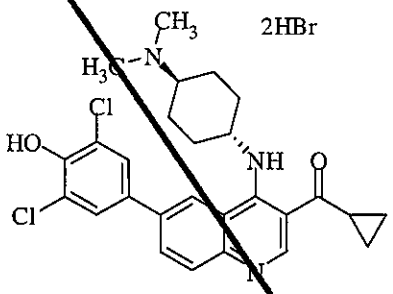


Following general procedure F, 1-(6-bromo-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone (2.0 g, 5.09

mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (2.2 g, 7.6 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 40 mL) and HBr gas was bubbled through the suspension until a solution formed. The solution was concentrated to dryness and the resultant solid was triturated with diethyl ether. The mixture was filtered, washed with diethyl ether, and dried to obtain desired product (3.0 g, 94% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, DMSO) δ 11.93 (s, 1H), 10.59 (s, 1H), 9.81 (s, 1H), 9.24 (s, 1H), 8.37 (m, 2H), 8.11 (d, J = 8.8 Hz, 1H), 7.66 (d, J = 8.5 Hz, 2H), 7.56 (s, 2H), 7.51 (d, J = 8.5 Hz, 2H), 4.39 (d, J = 4.0 Hz, 2H), 2.74 (d, J = 4.0 Hz, 6H), 2.54 (s, 3H). APCI MS m/z 480 [$C_{26}H_{23}Cl_2N_3O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 4.79 min.

Exapmle 941

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone

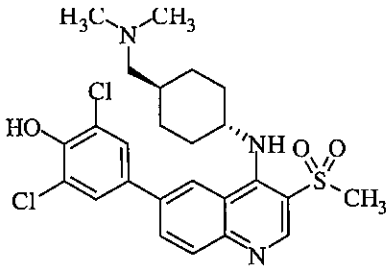


Following general procedure F, (6-bromo-4-((1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone (3.7 g, 8.9 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.8 g, 13.3 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 40 mL) and HBr gas was bubbled through the suspension until a solution formed. The solution was concentrated to dryness and the resultant solid was triturated with diethyl ether. The mixture was filtered, washed with diethyl ether, and dried to obtain desired product (3.1 g, 53% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.34 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.76 (s, 2H), 4.60 (s, 1H), 3.52 (s, 1H), 2.93 (s, 6H), 2.86 (m, 1H), 2.52 (s, 2H), 2.34 (s, 2H), 1.89 (m, 4H), 1.26 (m, 3H); APCI MS m/z 498 [$C_{27}H_{29}Cl_2N_3O_2$ + H]$^+$; HPLC 98.9% (AUC), t_R = 5.08 min.

Example 420

2,6-dichloro-4-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)qui

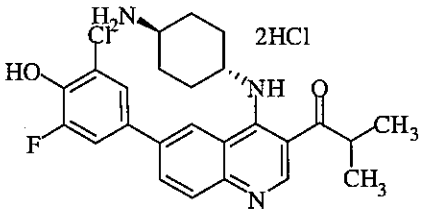
nolin-6-yl)phenol



Following general procedure F, 6-bromo-N-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)-3-(methylsulfonyl)quinolin-4-amine (5.6 mg, 13 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.4 g, 15 mmol) to obtain the desired product (5.3 g, 77%) as a tan solid: ^{1}H NMR (300 MHz, MeOD) δ 8.76 (s, 1H), 8.26 (d, J = 1.8 Hz, 1H), 8.04 (dd, J = 8.8, 1.8 Hz, 1H), 7.94 (d, J = 8.8 Hz, 1H), 7.64 (s, 2H), 4.15 (tt, J = 11.4, 3.9 Hz, 1H), 3.23 (s, 3H), 2.98 (d, J = 6.6 Hz, 2H), 2.85 (s, 6H), 2.35 (d, J = 12.6 Hz, 2H), 1.95 (m, 3H), 1.66 (q, J = 12.5 Hz, 2H), 1.25 (q, J = 12.5 Hz, 2H); ESI MS m/z 522 $[C_{25}H_{29}Cl_2N_3O_3S + H]^+$; HPLC 99.8% (AUC), t_R = 5.61 min.

Example 937

1-(4-((1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)-2-methylpropan-1-one

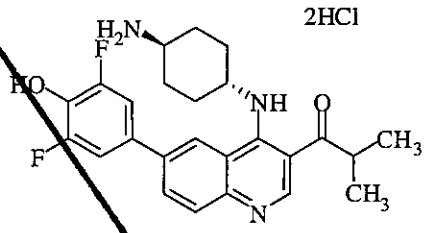


tert-butyl (1r,4r)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino)cyclohexylcarbamate (5.1 g, 9.2 mmol) was treated with a solution of HCl in dioxane (75 mL, 4 N). The mixture was stirred for 20 h at ambient temperature, producing a off white precipitate. The precipitate was collected by vacuum filtration and purified by titration of dichloromethane in a solution of methanol to afford desired product (4.7 g, %) as a yellow solid:

^{1}H NMR (500 MHz, D_2O) δ 9.00 (s, 1H), 7.97 (dd, J = 8.8, 1.8 Hz, 1H), 7.91 (s, 1H), 7.79 (d, J = 8.8 Hz, 1H), 7.18 (dd, J = 11.6, 2.3 Hz, 1H), 7.13 (s, 1H), 4.19 (s, 1H), 3.62 (dt, J = 13.6, 6.8 Hz, 1H), 3.34 (tt, J = 11.7, 3.9 Hz, 1H), 2.22 (m, 4H), 1.78 (q, J = 12.4 Hz, 2H), 1.54 (q, J = 12.4 Hz, 2H), 1.21 (d, J = 6.7 Hz, 6H); APCI MS *m/z* 456 $[C_{25}H_{27}ClFN_3O_2 + H]^+$; HPLC 99.3% (AUC), t_R = 5.38 min.

Example 938

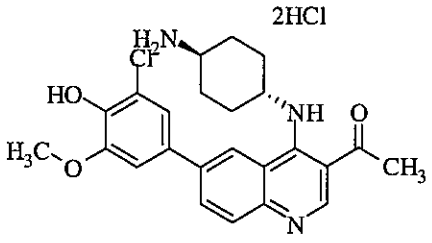
1-(4-((1R,4R)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan-1-one



tert-butyl (1R,4R)-4-(6-(3,5-difluoro-4-hydroxyphenyl)-3-isobutyrylquinolin -4-ylamino)cyclohexylcarbamate (8 g, 15 mmol) was treated with a solution of HCl in dioxane (125 mL, 4 N). The mixture was stirred for 16 h at ambient temperature, producing a off white precipitate. The precipitate was collected by vacuum filtration and purified by titration of dichloromethane in a solution of methanol to afford desired product (6.2 g, 81%) as a pale yellow solid: ^{1}H NMR (500 MHz, D_2O) δ 8.96 (s, 1H), 7.90 (dd, J = 8.8, 1.8 Hz, 1H), 7.86 (d, J = 2.0 Hz, 1H), 7.74 (d, J = 8.7 Hz, 1H), 6.96 (d, J = 9.2 Hz, 2H), 4.14 (s, 1H), 3.58 (dt, J = 13.6, 6.8 Hz, 1H), 3.33 (tt, J = 11.6, 3.8 Hz, 1H), 2.20 (m, 4H), 1.76 (q, J = 12.8, 2H), 1.52 (q, J = 12.8, Hz, 2H), 1.20 (d, J = 6.7 Hz, 6H); APCI MS *m/z* 440 $[C_{25}H_{27}F_2N_3O_2 + H]^+$; HPLC 99.7% (AUC), t_R = 7.52 min.

Example 940

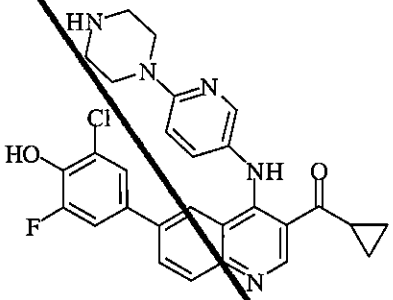
1-(4-((1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)ethanone



Following general procedure A, tert-butyl (1R,4R)-4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino)cyclohexylcarbamate (6.2 g, 11.5 mmol) was treated with a solution of 3N HCl to afford desired product (5.6 g, 95%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.31 (dd, J = 8.7, 1.8 Hz, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.33 (d, J = 2.1 Hz, 1H), 7.27 (d, J = 2.1 Hz, 1H), 4.52 (s, 1H), 4.00 (s, 3H), 2.75 (s, 3H), 2.52 (d, J = 12.6 Hz, 2H), 2.25 (d, J = 12.6 Hz, 2H), 1.88 (q, J = 12.5 Hz, 2H), 1.64 (q, J = 12.5 Hz, 2H); APCI MS m/z 440 $[C_{24}H_{26}ClN_3O_3 + H]^+$; HPLC 98.8% (AUC), t_R = 5.45 min.

Example 425

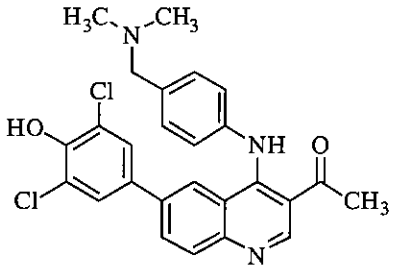
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure F, tert-butyl 4-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperazine-1-carboxylate (8,4 g, 15 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (5.0 g, 18 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (3.9 g, 53% over 2 steps) as a yellow/orange solid: ^{1}H NMR (300 MHz, MeOD) δ 9.26 (s, 1H), 8.07 (d, J = 2.8 Hz, 1H), 7.89 (s, 2H), 7.75 (s, 1H), 7.47 (dd, J = 9.0, 2.8 Hz, 1H), 7.02 (s, 1H), 6.96 (d, J = 9.0 Hz, 1H), 6.84 (dd, J = 12.2, 2.4 Hz, 1H), 3.72 (t, J = 5.2 Hz, 5H), 3.12 (t, J = 5.2 Hz, 5H), 2.92 (m, 1H), 1.22 (m, 2H), 1.12 (m, 2H); ESI MS m/z 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 8.67 min.

Example 374

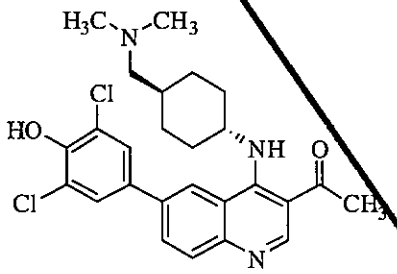
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)ethanone (50 mg, 0.13 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (84 mg, 0.29 mmol) to afford the desired product (42.9 mg, 71%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.13 (s, 1H), 7.97 – 7.89 (m, 2H), 7.74 (d, J = 1.6 Hz, 1H), 7.45 (d, J = 8.1 Hz, 2H), 7.28 – 7.22 (m, 2H), 7.11 (s, 2H), 3.83 (s, 2H), 2.78 (s, 3 H), 2.41 (s, 6H). ESI MS *m/z* 480 $[C_{26}H_{23}Cl_2N_3O_2 + H]^+$; HPLC 98.3% (AUC), t_R = 10.60 min.

Example 379

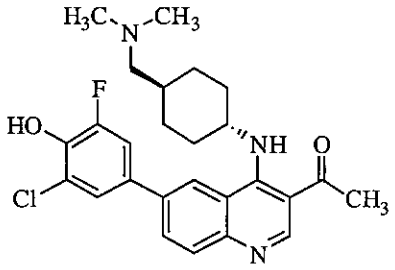
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone (32 mg, 0.079 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (51 mg, 0.176 mmol) to afford the desired product (24.5 mg, 64%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.93 (s, 1H), 8.34 (d, J = 2.0 Hz, 2H), 7.99 (dd, J = 8.7, 2.0 Hz, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.62 (s, 2H), 4.27 – 4.20 (m, 1H), 2.80 – 2.74 (d, J = 6.9 Hz, 2H), 2.71 – 2.63 (m, 9H), 2.37 (d, J = 12.8 Hz, 2H), 1.99 (d, J = 13.2 Hz, 2H), 1.89 – 1.85 (m, 1H), 1.70 – 1.58 (m, 2H), 1.33 – 1.21 (m, 2H). ESI MS *m/z* 486 $[C_{26}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 97.9% (AUC), t_R = 10.81 min.

Example 379

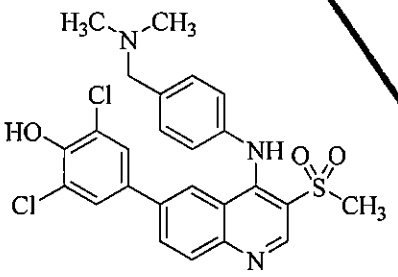
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone (32 mg, 0.079 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (32 mg, 0.118 mmol) to afford the desired product (24.0 mg, 65%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.91 (s, 1H), 8.33 (d, J = 1.9 Hz, 1H), 7.97 (dd, J = 8.7, 1.9 Hz, 1H), 7.87 (d, J = 8.7 Hz, 1H), 7.47 (s, 1H), 7.37 (d, J = 11.8Hz, 1H), 4.27 – 4.18 (m, H), 2.69 (s, 3H), 2.59 – 2.55 (m, 2H), 2.52 (s, 6H), 2.34 (d, J = 12.7 Hz, 2H), 1.99 (d, J = 13.2 Hz, 2H), 1.84 – 1.74 (m, 1H), 1.67 – 1.55 (m, 2H), 1.28 – 1.17 (m, 2H).ESI MS *m/z* 470 $[C_{26}H_{29}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.56 min.

Example 400

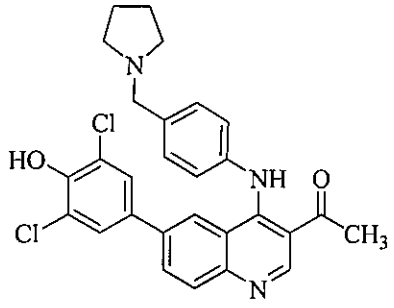
2,6-dichloro-4-(4-((4-((dimethylamino)methyl)phenyl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol



Following general procedure D, 6-bromo-N-(4-((dimethylamino)methyl)phenyl)-3-(methylsulfonyl)quinolin-4-amine (43 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (53 mg, 0.183 mmol) to afford the desired product (31.7 mg, 61%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.00 (s, 1H), 8.06 – 7.97 (m, 2H), 7.74 (s, 3H), 7.46 – 7.38 (m, 2H), 7.21 (d, J = 8.0 Hz, 2H), 7.13 (s, 2H), 3.86 (s, 2H), 3.27 (s, 3H), 2.45 (s, 6H). ESI MS *m/z* 516 $[C_{25}H_{23}Cl_2N_3O_2S + H]^+$; HPLC 94.5% (AUC), t_R = 11.57 min.

Example 415

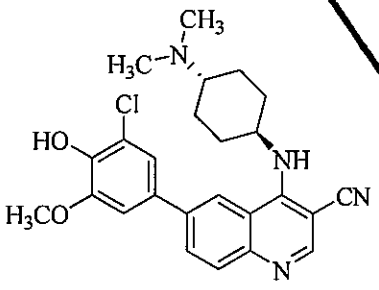
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-(pyrrolidin-1-ylmethyl)phenyl)amino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((4-(pyrrolidin-1-ylmethyl)phenyl)amino)quinolin-3-yl)ethanone (42.4 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38.4 mg, 0.133 mmol) to afford the desired product (28.4 mg, 56%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.17(s, 1H), 8.02 – 7.94 (m, 2H), 7.77 (s, 1H), 7.55 (d, J = 8.4 Hz, 2H), 7.32 (d, J = 8.4 Hz, 2H), 7.20 (s, 2H), 4.41 (s, 2H), 3.27 (br s, 4H), 2.78 (s, 3H), 2.07 (br s, 4H). ESI MS m/z 506 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 95.1% (AUC), t_R = 10.81 min.

Example 447

6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((*trans*-4-(dimethylamino)cyclohexyl)amino)quinoline-3-carbonitrile



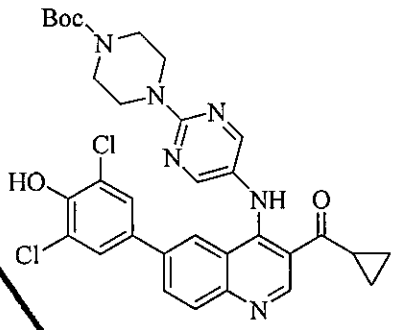
Following general procedure D, 6-bromo-4-((*trans*-4-(dimethylamino)cyclohexyl)amino)quinoline-3-carbonitrile (28 mg, 0.075 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (32 mg, 0.113 mmol) to afford the desired product (11.9 mg, 35%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.46 – 8.40 (m, 2H), 8.02 (dd, J = 8.7, 1.9 Hz, 1H), 7.86 (d, J = 8.7 Hz, 1H), 7.42 (d, J = 2.0 Hz, 1H), 7.29 (d, J = 2.0 Hz, 1H), 4.48 – 4.38 (m, 1H), 3.99 (s, 3H), 2.50 – 2.39 (m, 1H), 2.35 (s, 6H), 2.29 (d, J = 11.8 Hz, 2H), 2.06 (d, J = 12.0 Hz, 2H), 1.73 – 1.61 (m, 2H), 1.59 – 1.47 (m,

2H). ESI MS *m/z* 451 $[C_{25}H_{27}ClN_4O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 10.61 min.

Example 1000

tert-butyl

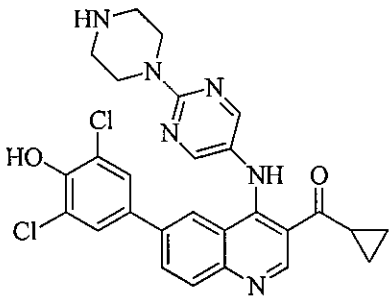
4-(5-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyrimidin-2-yl)piperazine-1-carboxylate



Following general procedure D, *tert*-butyl 4-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyrimidin-2-yl)piperazine-1-carboxylate (54 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (66 mg, >99%) as a yellow-brown solid. ESI MS *m/z* 635 $[C_{32}H_{32}Cl_2N_6O_4 + H]^+$

Example 497

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((2-(piperazin-1-yl)pyrimidin-5-yl)amino)quinolin-3-yl)methanone

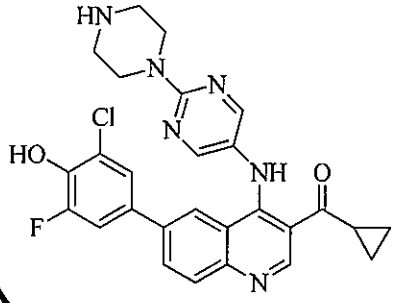


Following general procedure A-2, *tert*-butyl 4-(5-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyrimidin-2-yl)piperazine-1-carboxylate (0.10 mmol) was reacted with TFA (2 mL) to afford the desired product (7.4 mg, 14% over two steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.24 (s, 1H), 8.30 (s, 2H), 7.93 (d, *J* = 1.3 Hz, 2H), 7.83 (d, *J* = 1.3 Hz, 1H), 7.21 (s, 2H), 3.98 (t, *J* = 5.2

Hz, 4H), 3.06 (t, J = 5.2 Hz, 4H), 2.94 – 2.86 (m, 1H), 1.24 – 1.08 (m, 4H). ESI MS m/z 535 $[C_{27}H_{24}Cl_2N_6O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.54 min.

Example 496

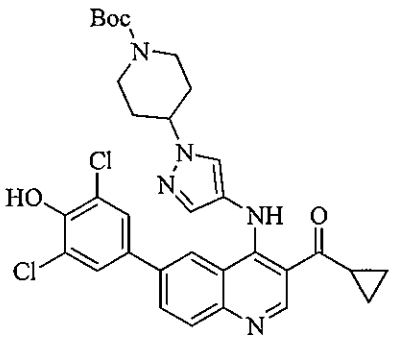
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((2-(piperazin-1-yl)pyrimidin-5-yl)amino)quinolin-3-yl)(cyclopropyl)methanone



To a suspension of *tert*-butyl 4-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyrimidin-2-yl)piperazine-1-carboxylate (54 mg, 0.10 mmol) and Pd(dppf)Cl_2 (11mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 400 µL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the vessel was sealed. The mixture was then heated under microwave irradiation conditions at 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to column chromatography (silica, 0–20% methanol/dichloromethane). The resultant residue was dissolved in THF (3 mL) and TFA (2 mL) and heated at 65 °C for 16 hours. The mixture was then cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (13.0 mg, 25%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.24 (s, 1H), 8.30 (s, 2H), 7.98 – 7.90 (m, 2H), 7.84 (s, 1H), 7.14 – 7.09 (m, 1H), 6.93 (dd, J = 12.0, 2.4 Hz, 1H), 3.96 (t, J = 5.2 Hz, 4H), 3.05 (t, J = 5.2 Hz, 4H), 2.94 – 2.85 (m, 1H), 1.24 – 1.08 (m, 4H). ESI MS m/z 519 $[C_{27}H_{24}ClFN_6O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.34 min.

Example 1165

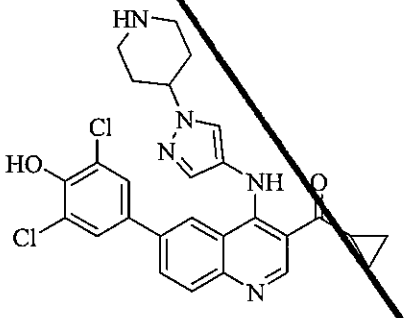
tert-butyl 4-(4-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate



Following general procedure D, *tert*-butyl 4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (54 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (36 mg, 58%) as a yellow-brown solid. ESI MS *m/z* 622 $[C_{32}H_{33}Cl_2N_5O_4 + H]^+$

Example 511

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(piperidin-4-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)methanone

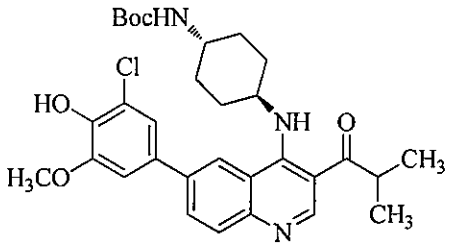


Following general procedure A-2, *tert*-butyl 4-(4-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (36 mg, 0.058 mmol) was reacted with TFA (2 mL) to afford the desired product (21.5 mg, 41%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.48 (br s, 1H), 8.22 (d, *J* = 9.2 Hz, 1H), 8.01 (d, *J* = 8.4 Hz, 2H), 7.69 (s, 1H), 7.39 (br s, 2H), 4.68 – 4.58 (m, 1H), 3.57 (dt, *J* = 13.2, 3.8 Hz, 2H), 3.27 – 3.17 (m, 2H), 2.88 (br s, 1H), 2.36 – 2.25 (m, 4H), 1.41 – 1.10 (m, 4H). ESI MS *m/z* 522 $[C_{27}H_{25}Cl_2N_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.75 min.

Example 1166

tert-butyl (*trans*-4-((6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-isobutyrylquinolin-4-yl)amino)cyclohexy

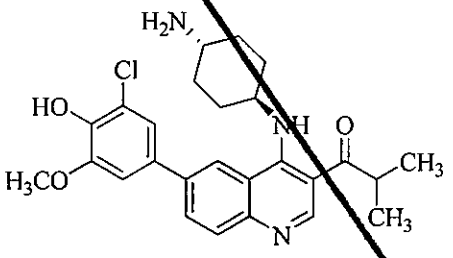
l)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((6-bromo-3-isobutyrylquinolin-4-yl)amino)cyclohexyl)carbamate (49 mg, 0.10 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (77 mg, >99%) as a viscous brown oil. ESI MS *m/z* 568 $[C_{31}H_{38}ClN_3O_5 + H]^+$

Example 502

1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-2-methylpropan-1-one



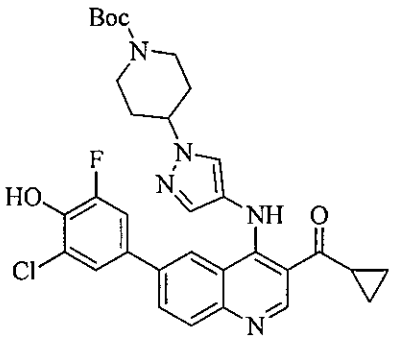
Following general procedure A-2, *tert*-butyl (*trans*-4-((6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-isobutyrylquinolin-4-yl)amino)cyclohexyl)carbamate (0.10 mmol) was reacted with TFA (2 mL) to afford the desired product (16.6 mg, 35% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.96 (s, 1H), 8.34 (d, J = 2.1 Hz, 2H), 8.03 (dd, J = 8.6, 2.1 Hz, 1H), 7.90 (d, J = 8.6 Hz, 1H), 7.28 (d, J = 2.2 Hz, 1H), 7.20 (d, J = 2.2 Hz, 1H), 4.22 – 4.13 (m, 1H), 3.98 (s, 3H), 3.81 – 3.71 (m, 2H), 3.03 – 2.94 (m, 1H), 2.38 – 2.32 (d, J = 12.6 Hz, 2H), 2.13 – 2.06 (m, 2H), 1.73 – 1.61 (q, J = 12.5 Hz, 2H), 1.50 – 1.38 (m, 2H), 1.24 (d, J = 6.7 Hz, 6H). ESI MS *m/z* 468 $[C_{26}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.34 min.

Example 1167

tert-butyl

4-(4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1

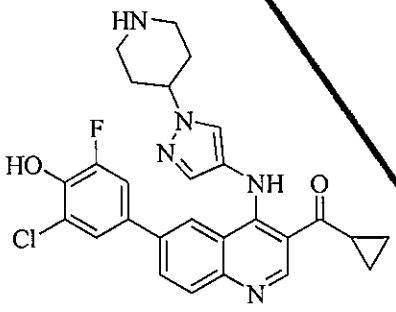
H-pyrazol-1-yl)piperidine-1-carboxylate



Following general procedure D, *tert*-butyl 4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (54 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (60 mg, 99%) as a yellow-brown solid. ESI MS *m/z* 606 $[C_{32}H_{33}ClFN_5O_4 + H]^+$

Example 520

tert-butyl 4-(4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate

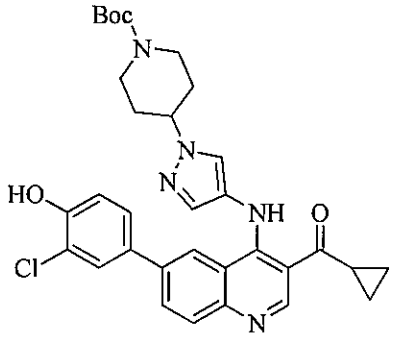


Following general procedure A-2, *tert*-butyl 4-(4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (60 mg, 0.099 mmol) was reacted with TFA (2 mL) to afford the desired product (25.2 mg, 50%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO-*d6*) δ 10.94 (s, 1H), 9.09 (s, 1H), 8.16 (d, *J* = 2.1 Hz, 1H), 8.01 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.90 – 7.84 (m, 2H), 7.43 (s, 1H), 7.30 (s, 1H), 7.19 (d, *J* = 12.1 Hz, 1H), 4.31 – 4.23 (m, 1H), 3.12 (d, *J* = 12.6 Hz, 2H), 2.92 – 2.83 (m, 1H), 2.70 (t, *J* = 12.3 Hz, 2H), 2.03 – 1.96 (m, 2H), 1.92 – 1.81 (m, 2H), 1.02 – 0.95 (m, 4H). ESI MS *m/z* 506 $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.41 min

Example 1168

tert-butyl

4-(4-((6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate

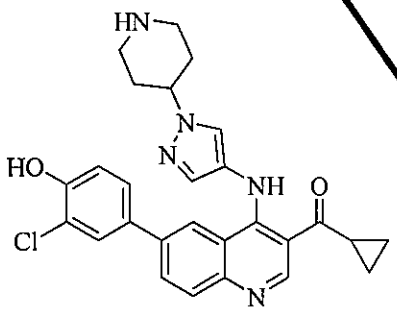


Following general procedure D, *tert*-butyl 4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (54 mg, 0.10 mmol) was reacted with (3-chloro-4-hydroxyphenyl)boronic acid (34 mg, 0.20 mmol) to afford the desired product (62 mg, >99%) as a yellow-brown solid. ESI MS *m/z* 588 $[C_{32}H_{34}ClN_5O_4 + H]^+$

Example 519

ALB 150143

(6-(3-chloro-4-hydroxyphenyl)-4-((1-(piperidin-4-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)(cyclopropyl)methanone

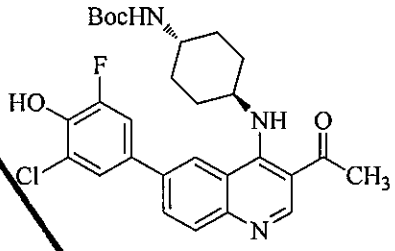


Following general procedure A-2, *tert*-butyl 4-(4-((6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (0.10 mmol) was reacted with TFA (2 mL) to afford the desired product (27 mg, 55% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.99 (s, 1H), 9.12 (s, 1H), 8.18 (d, J = 2.2 Hz, 1H), 8.02 – 7.96 (m, 1H), 7.92 – 7.86 (m, 2H), 7.45 (d, J = 2.3 Hz, 1H), 7.41 (s, 1H), 7.28 – 7.22 (m, 1H), 7.01 (d, J = 8.5 Hz, 1H), 4.24 – 4.14 (m, 1H),

3.06 – 2.99 (m, 2H), 2.94 – 2.85 (m, 1H), 2.62 – 2.53 (m, 2H), 1.95 – 1.89 (m, 2H), 1.83 – 1.71 (m, 2H), 1.02 – 0.97 (m, 4H). ESI MS *m/z* 488 $[C_{27}H_{26}ClN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.30 min.

Example 1169

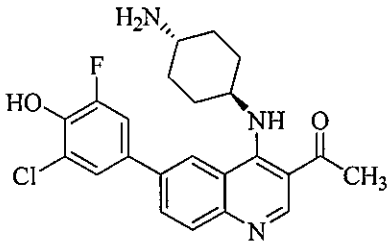
tert-butyl (*trans*-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl)carbamate (46 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (38 mg, 72%) as a light brown solid. ESI MS *m/z* 528 $[C_{28}H_{31}ClFN_3O_4 + H]^+$

Example 527

1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone

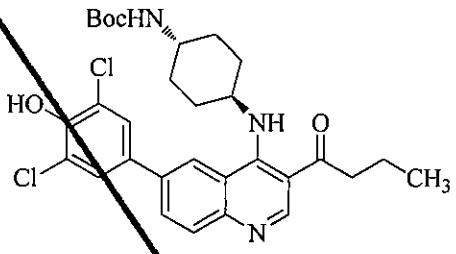


To a suspension of *tert*-butyl (*trans*-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate (38 mg, 0.072 mmol) in THF (3 mL) was added water (2 mL) and 6N aqueous HCl (2 mL). The resultant solution was heated at 65 °C for 4 h. The reaction mixture was cooled and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The residue was eluted through an ion-exchange column

(using methanol and 7 N methanol in ammonia) to obtain the desired product (18.1 mg, 59%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.12 (s, 1H), 8.47 (s, 1H), 8.32 – 8.26 (m, 1H), 7.99 (d, *J* = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (d, *J* = 11.5 Hz, 1H), 4.57 – 4.53 (m, 1H), 2.74 (s, 3H), 2.51 (d, *J* = 12.3 Hz, 2H), 2.26 (d, *J* = 12.3 Hz, 2H), 1.87 (q, *J* = 12.5 Hz, 2H), 1.71 – 1.62 (m, 2H). ESI MS *m/z* 428 $[C_{23}H_{23}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.43 min.

Example 1170

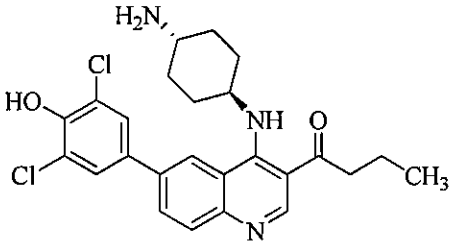
tert-butyl (*trans*-4-((3-butyryl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((6-bromo-3-butyrylquinolin-4-yl)amino)cyclohexyl)carbamate (49 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.16 mmol) to afford the desired product (35.2 mg, 61%) as a yellow-brown solid. ESI MS *m/z* 572 $[C_{30}H_{35}Cl_2N_3O_4 + H]^+$

Example 535

1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)butan-1-one

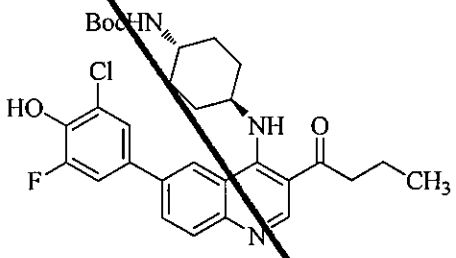


To a suspension of *tert*-butyl (*trans*-4-((3-butyryl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamat

e (35.2 mg, 0.061 mmol) in THF (3 mL) was added water (2 mL) and 6N aqueous HCl (2 mL). The resultant solution was heated at 65 °C for 4 h. The reaction mixture was cooled and concentrated The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (3.7 mg, 13%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.15 (s, 1H), 8.46 (s, 1H), 8.27 (d, *J* = 8.8 Hz, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.72 (s, 2H), 4.53 (br s, 1H), 3.12 (t, *J* = 7.2 Hz, 2H), 2.52 (s, 2H), 2.28 (d, *J* = 12.3 Hz, 2H), 1.95 – 1.75 (m, 4H), 1.73 – 1.64 (m, 2H), 1.06 (t, *J* = 7.4 Hz, 3H). ESI MS *m/z* 472 $[C_{25}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.86 min.

Example 1171

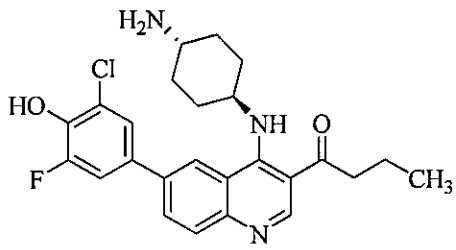
tert-butyl (*trans*-4-((3-butyryl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((6-bromo-3-butyrylquinolin-4-yl)amino)cyclohexyl)carbamate (49 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (36 mg, 64%) as a yellow-brown solid. ESI MS *m/z* 556 $[C_{30}H_{35}ClFN_3O_4 + H]^+$

Example 532

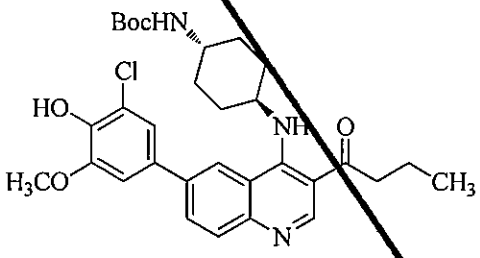
1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)butan-1-one



To a suspension of *tert*-butyl (*trans*-4-((3-butyryl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate (36 mg, 0.065 mmol) in THF (3 mL) was added water (2 mL) and 6N aqueous HCl (2 mL). The resultant solution was heated at 65 °C for 4 h. The reaction mixture was cooled and concentrated. The resultant residue was triturated with diethyl ether. The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (21.1 mg, 71%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.15 (s, 1H), 8.47(s, 1H), 8.28 (dd, *J* = 8.7, 1.8 Hz, 1H), 7.99 (d, *J* = 8.7 Hz, 1H), 7.59 (s, 1H), 7.51 (d, *J* = 11.4 Hz, 1H), 4.55 (br s, 1H), 3.13 (t, *J* = 7.2 Hz, 2H), 2.53 – 2.49 (m, 2H), 2.26 (d, *J* = 12.1 Hz, 2H), 1.94 – 1.74 (m, 4H), 1.68 – 1.62 (m, 2H), 1.06 (t, *J* = 7.4 Hz, 3H). ESI MS *m/z* 456 $[C_{25}H27ClFN3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.83 min.

Example 1172

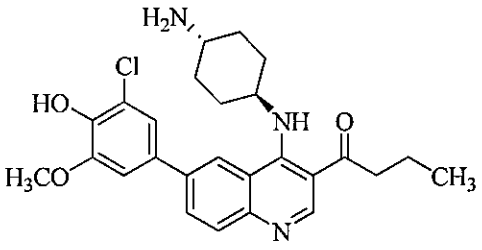
tert-butyl (*trans*-4-((3-butyryl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((6-bromo-3-butyrylquinolin-4-yl)amino)cyclohexyl)carbamate (49 mg, 0.10 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (36 mg, 63%) as a yellow solid. ESI MS *m/z* 568 $[C_{31}H_{38}ClN_3O_5 + H]^+$

Example 529

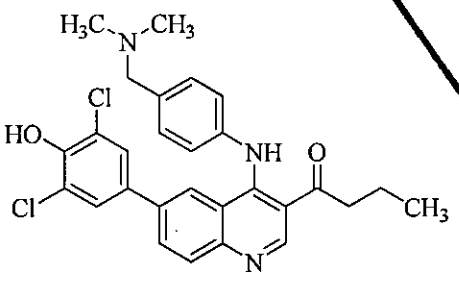
1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)butan-1-one



To a suspension of *tert*-butyl (*trans*-4-((3-butyryl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate (36 mg, 0.063 mmol) in THF (3 mL) was added water (2 mL) and 6N aqueous HCl (2 mL). The resultant solution was heated at 65 °C for 4 h. The reaction mixture was cooled and concentrated. The resultant residue was triturated with diethyl ether. The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (22 mg, 75%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.96 (s, 1H), 8.34 (d, J = 2.0 Hz, 1H), 8.02 (dd, J = 8.7, 2.0 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.28 (d, J = 2.1 Hz, 1H), 7.20 (d, J = 2.1 Hz, 2H), 4.24 – 4.18 (m, 1H), 3.98 (s, 3H), 3.11 – 3.00 (m, 3H), 2.37 (d, J = 12.9 Hz, 2H), 2.10 (d, J = 12.2 Hz, 2H), 1.84 – 1.74 (m, J = 7.4 Hz, 2H), 1.71 – 1.65 (m, J = 12.6 Hz, 2H), 1.49 – 1.43 (m, 2H), 1.04 (t, J = 7.4 Hz, 3H). ESI MS *m/z* 468 [$C_{26}H_{30}ClN_3O_3$ + H]$^+$; HPLC >99% (AUC), t_R = 10.17 min.

Example 530

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino) quinolin-3-yl)butan-1-one

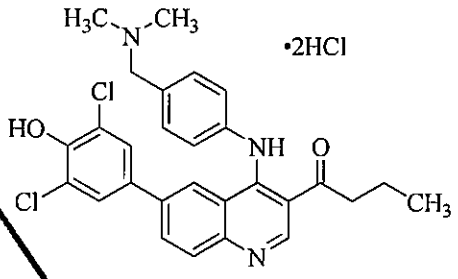


Following general procedure D, 1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one (43 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.16 mmol) to afford the desired product (21.8 mg, 43%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 7.97 – 7.87 (m, 2H), 7.73 (d, J = 1.8 Hz, 1H), 7.46 – 7.39 (m, 2H), 7.26 – 7.19 (m, 2H), 7.10 (s, 2H), 3.80 (s, 2H), 3.17 (t, J = 7.3 Hz, 2H), 2.39 (s, 6H), 1.81

(h, J = 7.4 Hz, 2H), 1.05 (t, J = 7.4 Hz, 3H). ESI MS m/z 508 $[C_{28}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 99% (AUC), t_R = 11.22 min.

Example 531

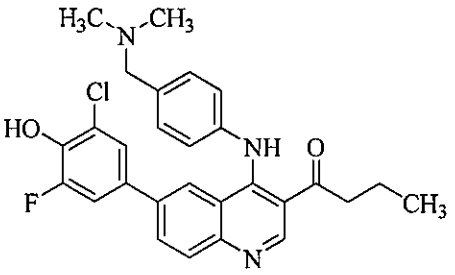
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one dihydrochloride



To a suspension of 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one (6.5 mg, 0.0082 mmol) in methanol (4 mL) was added 2N HCl in ether (2.0 mL, 4 mmol). The resultant clear yellow solution was concentrated to afford the desired product as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.35 (s, 1H), 8.23 (dd, J = 8.8, 1.9 Hz, 1H), 8.03 (d, J = 8.8 Hz, 1H), 7.85 (d, J = 1.9 Hz, 1H), 7.78 – 7.72 (m, 2H), 7.65 – 7.58 (m, 2H), 7.18 (s, 2H), 4.48 (s, 2H), 3.20 (t, J = 7.2 Hz, 2H), 2.88 (s, 6H), 1.81 (h, J = 7.3 Hz, 2H), 1.07 (t, J = 7.4 Hz, 3H). ESI MS m/z 508 $[C_{28}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 93.5% (AUC), t_R = 11.88 min.

Example 537

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one

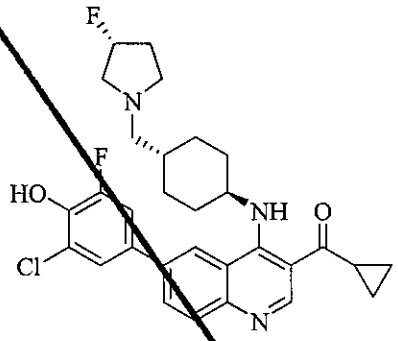


Following general procedure D, 1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one (43 mg, 0.10 mmol) was reacted with

2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (30.9 mg, 63%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.35 (s, 1H), 8.22 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.03 (d, *J* = 8.8 Hz, 1H), 7.85 (d, *J* = 1.9 Hz, 1H), 7.77 – 7.70 (m, 2H), 7.65 – 7.58 (m, 2H), 7.08 (dd, *J* = 11.5, 2.1 Hz, 1H), 6.95 (s, *J* = 2.1 Hz, 1H), 4.46 (s, 2H), 3.19 (t, *J* = 7.2 Hz, 2H), 2.88 (s, 6H), 1.81 (h, *J* = 7.4 Hz, 2H), 1.07 (t, *J* = 7.4 Hz, 3H). ESI MS *m/z* 492 $[C_{28}H_{27}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.90 min.

Example 570

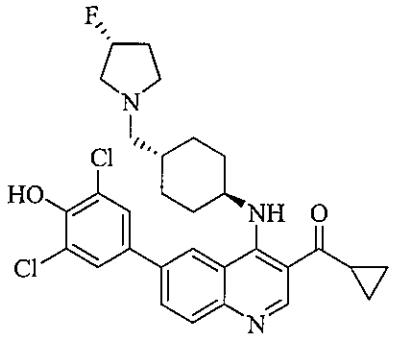
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-((*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (47 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.16 mmol) to afford the desired product (33.9 mg, 63%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) ^{1}H NMR (500 MHz, $CDCl_3$) δ 10.78 (br s, 1H), 9.24 (s, 1H), 8.24 (d, *J* = 2.1 Hz, 1H), 8.03 (d, *J* = 8.7 Hz, 1H), 7.84 (dd, *J* = 8.7, 2.1 Hz, 1H), 7.44 – 7.39 (d, *J* = 2.0 Hz, 1H), 7.29 (dd, *J* = 11.1, 2.0 Hz, 1H), 5.25 – 5.10 (m, 1H), 4.10 – 4.04 (m, 1H), 2.91 – 2.65 (m, 4H), 2.51 – 2.27 (m, 5H), 2.24 – 2.01 (m, 5H), 1.65 – 1.50 (m, 3H), 1.31 – 1.21 (m, 1H), 1.13 – 1.04 (m, 4H). ESI MS *m/z* 540 $[C_{30}H_{32}ClF_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.95 min.

Example 571

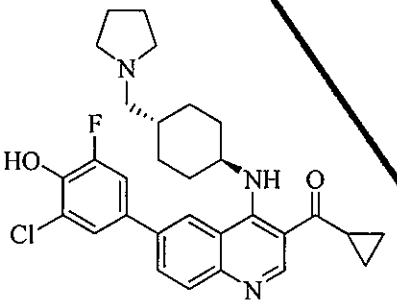
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)methanon



Following general procedure D, (6-bromo-4-((*trans*-4-((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (47 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (25.7 mg, 46%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 10.81 (br s, 1H), 9.24 (s, 1H), 8.24 (s, 1H), 8.04 (d, J = 8.7 Hz, 1H), 7.85 (dd, J = 8.7, 2.0 Hz, 2H), 7.54 (s, 2H), 5.25 – 5.10 (m, 1H), 4.10 – 4.04 (m, 1H), 2.85 – 2.65 (m, J = 8.1, 4.6 Hz, 4H), 2.50 – 2.28 (m, 5H), 2.21 – 2.04 (m, 5H), 1.65 – 1.50 (m, 3H), 1.30 – 1.22 (m, 1H), 1.14 – 1.04 (m, 4H). ESI MS *m/z* 556 $[C_{30}H_{32}Cl_2FN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.19 min.

Example 587

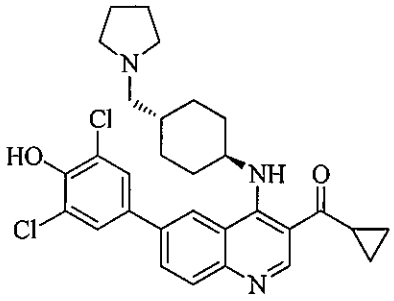
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, (6-bromo-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone (46 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.16 mmol) to afford the desired product (32 mg, 61%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.28 (s, 1H), 7.94 (dd, J = 8.7, 1.9 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.47 – 7.43 (m, 1H), 7.35 (dd, J = 11.9, 2.4 Hz, 1H), 4.15 – 4.07 (m, 1H), 3.23 – 3.15 (m, 4H), 2.92 (d, J = 6.8 Hz, 2H), 2.87 – 2.78 (m, 1H), 2.30 (d, J = 12.7 Hz, 2H), 2.06 – 1.97 (m, 6H), 1.87 – 1.78 (m, 1H), 1.56 (q, J = 12.4 Hz, 2H), 1.30 – 1.14 (m, 4H), 1.13 – 1.05 (m, 2H). ESI MS *m/z* 522 $[C_{30}H_{33}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.04 min.

Example 584

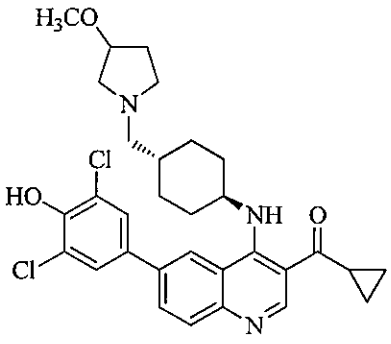
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)methanone



To a suspension of (6-bromo-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (46 mg, 0.10 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, then directly subjected to column chromatography (silica, 0–20% methanol/dichloromethane). The resultant residue was dissolved in ethyl acetate and washed with saturated sodium bicarbonate solution. The organic layer was dried over anhydrous sodium sulfate and concentrated to afford the desired product (22.1 mg, 41%) as a yellow solid. ^1H NMR (500 MHz, MeOD) δ 9.09 (s, 1H), 8.28 (d, J = 2.1 Hz, 1H), 7.97 – 7.91 (m, 1H), 7.84 (d, J = 8.7 Hz, 1H), 7.55 (s, 2H), 4.19 – 4.10 (m, 1H), 3.14 – 3.07 (m, 4H), 2.89 – 2.78 (m, 3H), 2.31 (d, J = 12.6 Hz, 5H), 2.04 – 1.97 (m, 4H), 1.83 – 1.79 (m, 1H), 1.57 (q, J = 12.3 Hz, 4H), 1.32 – 1.04 (m, 6H). ESI MS m/z 538 $[C_{30}H_{33}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.29 min.

Example 598

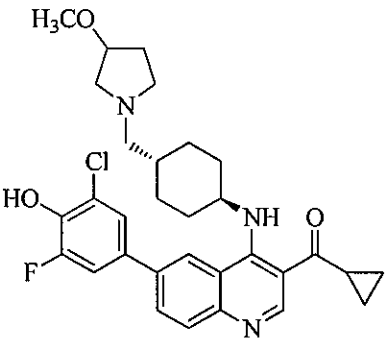
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)methanone



To a suspension of (6-bromo-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (49 mg, 0.10 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, then directly subjected to column chromatography (silica, 0–20% methanol/dichloromethane). The resultant residue was dissolved in ethyl acetate and washed with saturated sodium bicarbonate solution. The organic layer was dried over anhydrous sodium sulfate and concentrated to afford the desired product (30.6 mg, 54%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.36 (br s, 1H), 8.47 (br s, 1H), 8.27 (dd, J = 8.7, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.75 – 7.71 (s, 2H), 4.53 – 4.49 (br s, 1H), 4.19 (d, J = 18.9 Hz, 1H), 3.85 – 3.74 (m, 2H), 3.35 (s, 3H), 3.28 – 3.26 (m, 1H), 3.25 – 3.12 (m, 3H), 2.84 (br s, 1H), 2.45 – 2.39 (m, 3H), 2.20 – 1.97 (m, 4H), 1.80 – 1.73 (m, 2H), 1.37 –1.18 (m, 6H). ESI MS *m/z* 568 $[C_{31}H_{35}Cl_2N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.42 min.

Example 627

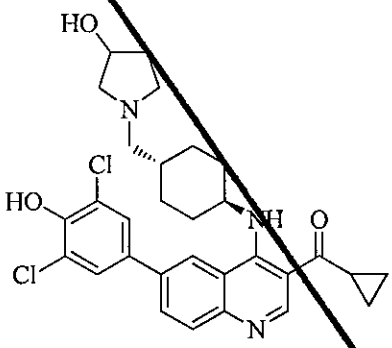
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, (6-bromo-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (49 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (34 mg, 62%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.35 (br s, 1H), 8.47 (br s, 1H), 8.26 (dd, *J* = 8.8, 1.8 Hz, 1H), 7.98 (d, *J* = 8.7 Hz, 1H), 7.59 (br s, 1H), 7.51 (d, *J* = 11.4 Hz, 1H), 4.50 (br s, 1H), 4.18 (d, *J* = 19.4 Hz, 1H), 3.85 – 3.74 (m, 2H), 3.36 (s, 3 H), 3.22 – 3.13 (m, 3H), 2.84 (s, 1H), 2.45 – 2.29 (m, 3H), 2.22 – 2.05 (m, 4H), 1.80 – 1.71 (m, 2H), 1.35 – 1.19 (m, 6H). ESI MS *m/z* 552 $[C_{31}H_{35}ClFN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.30 min.

Example 600

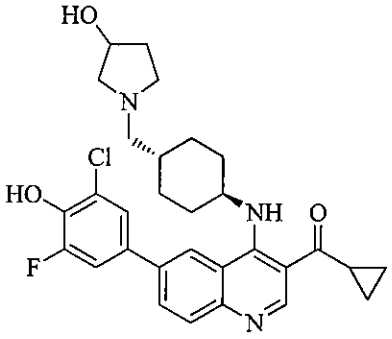
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)methanone



Following general procedure M, (6-bromo-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (47 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (34 mg, 61%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.13 (s, 1H), 8.34 (d, *J* = 2.0 Hz, 1H), 7.98 (dd, *J* = 8.7, 2.0 Hz, 1H), 7.92 – 7.87 (m, 1H), 7.63 (s, 2H), 4.59-4.54 (m, 1H), 4.53 – 4.47 (m, 1H), 4.17 – 4.11 (m, 1H), 3.16 – 3.10 (m, 2H), 2.94 (d, *J* = 7.0 Hz, 2H), 2.88 – 2.79 (m, 1H), 2.33 (d, *J* = 12.9 Hz, 2H), 2.28 – 2.17 (m, 1H), 2.06 – 1.96 (m, 3H), 1.84 (br s, 1H), 1.59 (q, *J* = 12.2 Hz, 2H), 1.31 – 1.06 (m, 6H). ESI MS *m/z* 554 $[C_{30}H_{33}Cl_2N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.11 min.

Example 631

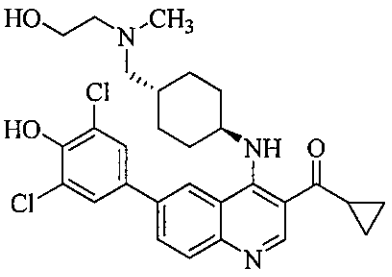
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, (6-bromo-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (25 mg, 0.053 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (27 mg, 0.10 mmol) to afford the desired product (17 mg, 60%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.34 (d, J = 2.0 Hz, 1H), 7.97 (dd, J = 8.7, 2.0 Hz, 1H), 7.88 (d, J = 8.7 Hz, 1H), 7.48 (s, 1H), 7.38 (d, J = 11.8, 1H), 4.46 – 4.38 (m, 1H), 4.18 – 4.10 (m, 1H), 3.08 – 3.01 (m, 2H), 2.93 – 2.78 (m, 3H), 2.70 – 2.64 (m, 2H), 2.331 (d, J = 12.9 Hz, 2H), 2.23 – 2.12 (m, 1H), 2.01 (t, J = 13.6 Hz, 2H), 1.87 – 1.80 (m, 1H), 1.75 – 1.71 (m, 1H), 1.56 (q, J = 12.4 Hz, 2H), 1.27 – 1.05 (m, 6H). ESI MS *m/z* 538 $[C_{30}H_{33}ClFN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.78 min.

Example 634

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone

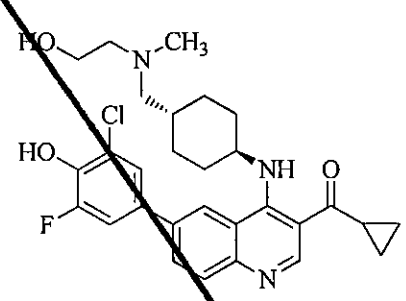


Following general procedure M, 6-bromo-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (46 mg, 0.10 mmol) was reacted with

2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (30.7 mg, 57%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.32 (d, J = 2.0 Hz, 1H), 7.96 (dd, J = 8.7, 2.0 Hz, 1H), 7.87 (d, J = 8.7 Hz, 1H), 7.58 (s, 2H), 4.18 – 4.10 (m, 1H), 3.73 (t, J = 5.7 Hz, 2H), 2.87 – 2.78 (m, 3H), 2.63 – 2.56 (m, 2H), 2.53 (s, 3H), 2.30 (d, J = 12.6 Hz, 2H), 2.02 (d, J = 13.0 Hz, 2H), 1.79 – 1.75 (m, 1H),1.56 (q, J = 12.2 Hz, 2H), 1.30 – 1.15 (m, 4H), 1.12 – 1.05 (m, 2H). ESI MS m/z 542 [$C_{29}H_{33}Cl_2N_3O_3$ + H]$^+$; HPLC >99% (AUC), t_R = 11.14 min.

Example 632

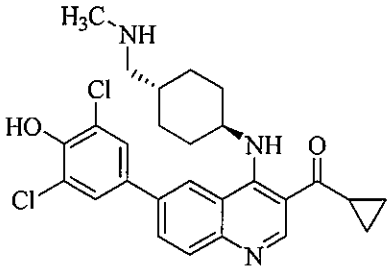
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, 6-bromo-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (40 mg, 0.087 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.13 mmol) to afford the desired product (27 mg, 59%) as a light yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.37 (d, J = 2.0 Hz, 1H), 7.99 (dd, J = 8.7, 2.0 Hz, 1H), 7.89 (d, J = 8.8 Hz, 2H), 7.50 (d, J = 2.1 Hz, 1H), 7.41 (dd, J = 11.7, 2.1 Hz, 1H), 4.17 – 4.13 (m, 1H), 3.73 (t, J = 5.8 Hz, 2H), 2.87 – 2.80 (m, 3H), 2.59 (d, J = 6.8 Hz, 2H), 2.53 (s, 3H), 2.31 (d, J = 13.1 Hz, 2H), 2.01 (d, J = 13.1 Hz, 2H), 1.79 – 1.75 (m, 1H), 1.61 – 1.55 (m, 1H), 1.25 – 1.14 (m, 4H), 1.13 – 1.05 (m, 2H). ESI MS m/z 526 [$C_{29}H_{33}ClFN_3O_3$ + H]$^+$; HPLC >99% (AUC), t_R = 10.80 min.

Example 685

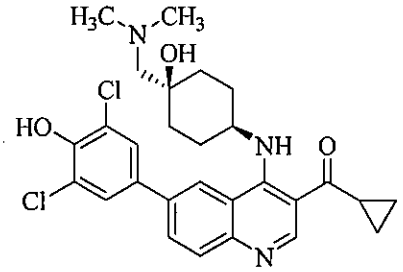
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((methylamino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone



To a suspension of (6-bromo-4-((*trans*-4-((methylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (42 mg, 0.10 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with saturated sodium bicarbonate solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (14.7 mg, 29%) as a yellow solid. ^1H NMR (500 MHz, MeOD + TFA-*d*) δ 9.35 (br s, 1H), 8.47 (br s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.74 (s, 2H), 4.52 (br s, 1H), 2.94 (d, J = 6.9 Hz, 2H), 2.83 (br s, 2H), 2.73 (s, 3H), 2.46 – 2.42 (br s, 2H), 2.05 (d, J = 13.0 Hz, 2H), 1.88 (br s, 1H), 1.77 – 1.68 (m, 2H), 1.36 – 1.19 (m, 6H). ESI MS *m/z* 498 $[C_{27}H_{29}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.08 min.

Example 652

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)amino)quinolin-3-yl)methanone

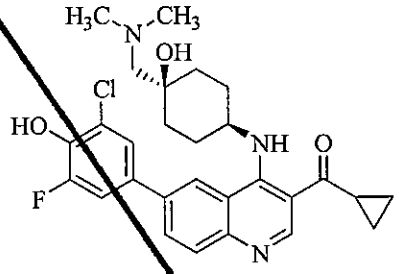


Following general procedure M, (6-bromo-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)amino)quinolin-3-yl)(cyclo

ropyl)methanone (35 mg, 0.078 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.12 mmol) to afford the desired product (28.8 mg, 70%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.31 (d, J = 2.0 Hz, 1H), 7.96 (dd, J = 8.7, 2.0 Hz, 1H), 7.91 – 7.84 (m, 1H), 7.60 (s, 2H), 4.20 – 4.12 (m, 1H), 2.88 – 2.80 (m, 2H), 2.77 (s, 2 H), 2.66 (s, 3H), 2.09 (d, J = 12.3 Hz, 2H), 2.00 – 1.84 (m, 4H), 1.68 – 1.58 (m, 2H), 1.23 – 1.13 (m, 2H), 1.14 – 1.05 (m, 2H). ESI MS m/z 528 $[C_{28}H_{31}Cl_2N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.70 min.

Example 673

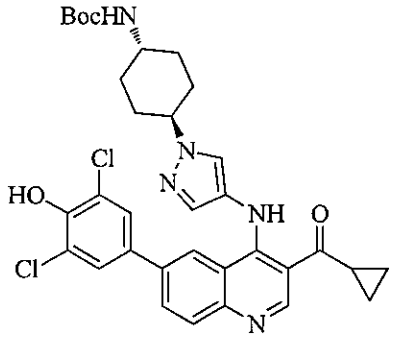
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, (6-bromo-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (35 mg, 0.078 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.13 mmol) to afford the desired product (26.7 mg, 67%) as a yellow-brown solid. ^{1}H NMR (500 MHz, MeOD) δ 9.14 (s, 1H), 8.35 (d, J = 2.0 Hz, 1H), 7.97 (dd, J = 8.7, 2.0 Hz, 1H), 7.92 – 7.84 (m, 1H), 7.51 – 7.46 (m, 1H), 7.39 (dd, J = 11.8, 2.3 Hz, 1H), 4.20 – 4.12 (m, 1H), 2.90 – 2.78 (m, 1H), 2.74 (s, 2H), 2.64 (s, 3H), 2.12 – 2.06 (d, J = 12.5 Hz, 2H), 2.00 – 1.82 (m, 4H), 1.72 – 1.56 (m, 2H), 1.23 – 1.12 (m, 2H), 1.14 – 1.05 (m, 2H). ESI MS m/z 512 $[C_{28}H_{31}ClFN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.47 min.

Example 1173

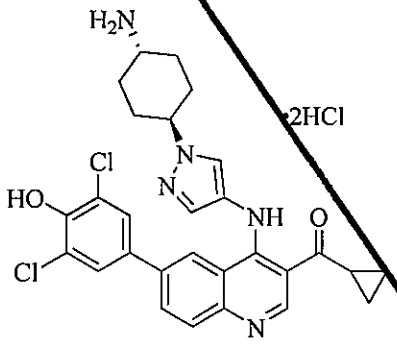
tert-butyl (*trans*-4-(4-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)cyclohexyl)carbamate



Following general procedure M, *tert*-butyl (*trans*-4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)cyclohexyl)carbamate (45 mg, 0.081 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (23 mg, 45%) as a yellow-brown solid. ESI MS *m/z* 636 $[C_{33}H_{35}Cl_2N_5O_4 + H]^+$

Example 706

(4-((1-(*trans*-4-aminocyclohexyl)-1*H*-pyrazol-4-yl)amino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone dihydrochloride

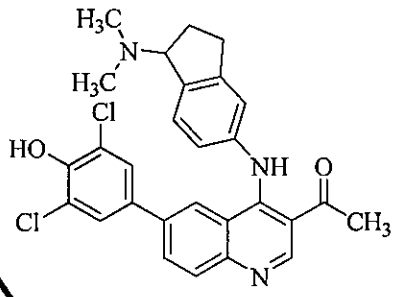


To a solution of *tert*-butyl (*trans*-4-(4-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)cyclohexyl)carbamate (23 mg, 0.036 mmol) in THF (3 mL) was added trifluoroacetic acid (2 mL). The resultant mixture was heated at 65 °C for 16 h. The mixture was then cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (5 mL) and HCl (2 M in diethyl ether, 2.0 mL, 4 mmol) was added. The resultant solution was concentrated to give the desired product (11.1 mg, 50%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.50 (br s, 1H), 8.22 (d, J = 8.7 Hz, 1H), 8.13 (br s, 1H), 8.00 (d, J = 8.7 Hz, 2H), 7.63 (s, 2H), 7.36 (br s, 2H), 4.35 – 4.31 (m, 1H), 3.26 – 3.17 (m, 1H), 2.97 – 2.89

(br s, 1H), 2.28 – 2.16 (m, 4H), 2.04 – 1.91 (m, 2H), 1.70 – 1.58 (m, 2H), 1.33 – 1.20 (m, 4H). ESI MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 96.0% (AUC), t_R = 11.44 min.

Example 720

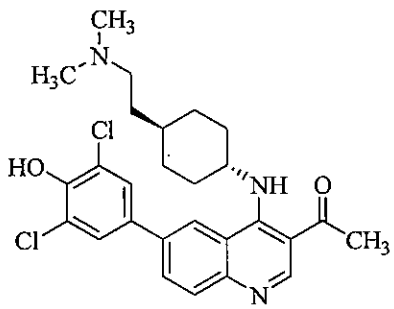
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)amino)quinolin-3-yl)ethanone



Following general procedure M,
1-(6-bromo-4-((1-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)amino)quinolin-3-yl)ethanone (19 mg, 0.0448 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.12 mmol) to afford the desired product (13.9 mg, 61%) as an orange solid. ^{1}H NMR (500 MHz, DMSO) δ 11.27 (s, 1H), 9.07 (s, 1H), 8.03 (dd, *J* = 8.7, 2.1 Hz, 1H), 7.96 – 7.87 (m, 2H), 7.35 – 7.27 (m, 3H), 7.16 (d, *J* = 2.1 Hz, 1H), 7.03 – 6.97 (m, 1H), 4.45 (br s, 1H), 2.95 – 2.84 (m, 1H), 2.84 – 2.73 (m, 1H), 2.65 (s, 3H), 2.21 (s, 6H), 2.14 – 2.06 (m, 2H).
ESI MS *m/z* 505 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 98.5% (AUC), t_R = 11.22 min.

Example 739

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone

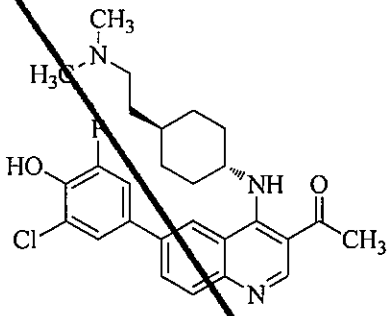


Following general procedure M,

1-(6-bromo-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone (63 mg, 0.15 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (65 mg, 0.225 mmol) to afford the desired product (7.3 mg, 9.7%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO) δ 10.69 (d, J = 8.0 Hz, 1H), 8.92 (s, 1H), 8.27 (d, J = 2.1 Hz, 1H), 8.01 (dd, J = 8.6, 2.1 Hz, 1H), 7.82 (d, J = 8.7 Hz, 1H), 7.66 (s, 2H), 4.21 – 4.12 (m, 1H), 2.65 (s, 3H), 2.48 – 2.41 (m, 2H), 2.28 (s, 3H), 2.23 – 2.16 (m, 2H), 1.88 – 1.81 (m, 2H), 1.51 – 1.38 (m, 5H), 1.23 – 1.12 (m, 2H). ESI MS *m/z* 500 $[C_{27}H_{31}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.40 min.

Example 741

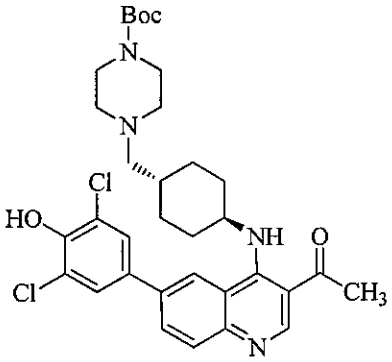
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure M, 1-(6-bromo-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone (63 mg, 0.15 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (61 mg, 0.225 mmol) to afford the desired product (37 mg, 51%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO) δ 10.65 (d, J = 7.9 Hz, 1H), 8.93 (s, 1H), 8.31 (d, J = 2.1 Hz, 1H), 8.03 (dd, J = 8.7, 2.1 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.61 – 7.54 (m, 2H), 4.20 – 4.11 (m, 1H), 2.66 (s, 3H), 2.28 (t, J = 7.3 Hz, 2H), 2.22 – 2.15 (m, 2H), 2.15 (s, 6H), 1.84 (d, J = 12.5 Hz, 2H), 1.51 – 1.31 (m, 5H), 1.21 – 1.09 (m, 2H). ESI MS *m/z* 484 $[C_{27}H_{31}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.13 min.

Example 1174

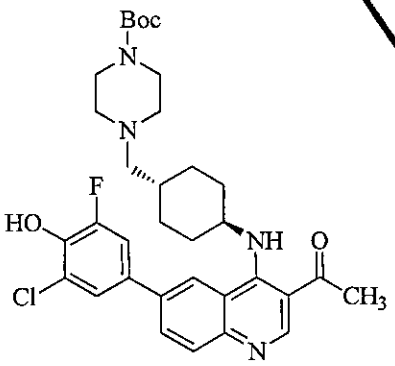
tert-butyl 4-((*trans*-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate



To a suspension of *tert*-butyl 4-((*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate (55 mg, 0.10 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, then directly subjected to column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product (50 mg, 80%) as a brown solid. ESI MS *m/z* 627 $[C_{33}H_{40}Cl_2N_4O_4 + H]^+$

Example 1175

tert-butyl 4-((*trans*-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate

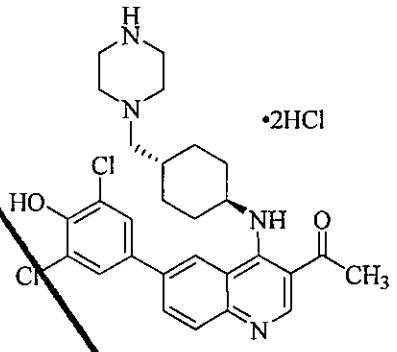


Following general procedure M, *tert*-butyl 4-((*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate (55 mg, 0.10 mmol) was reacted with

2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (66 mg, >99%) as an off-white solid. ESI MS *m/z* 611 $[C_{33}H_{40}ClFN_4O_4 + H]^+$

Example 772

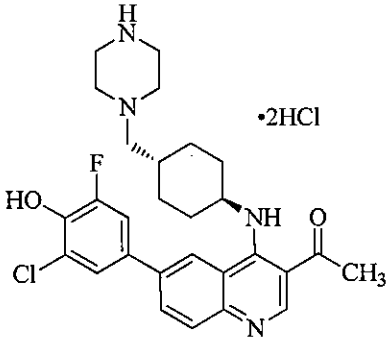
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(piperazin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride



To a solution of *tert*-butyl 4-((*trans*-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)methyl) piperazine-1-carboxylate (50 mg, 0.80 mmol) in THF (5 mL) was added aqueous 1 N HCl (4 mL) and the reaction mixture was heated at 65 °C for 4 h. The reaction mixture was cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (5 mL) and HCl (1 M in water, 2.0 mL, 2 mmol) was added. The resultant solution was concentrated to give the desired product (19.9 mg, 41%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.48 (s, 1H), 8.28 (dd, *J* = 8.7, 1.8 Hz, 1H), 7.97 (d, *J* = 8.7 Hz, 1H), 7.73 (s, 2H), 4.57 – 4.53 (m, 1H), 3.67 – 3.63 (br s, 4H), 3.63 – 3.31 (br s, 2H), 3.14 – 3.10 (br s, 2H), 2.74 (s, 3H), 2.46 (d, *J* = 12.2 Hz, 2H), 2.18 (d, *J* = 12.8 Hz, 2H), 2.08 (br s, 1H), 1.80 (q, *J* = 12.4 Hz, 2H), 1.41 – 1.34 (m, 2H). ESI MS *m/z* 527 $[C_{28}H_{32}Cl_2N_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.49 min.

Example 783

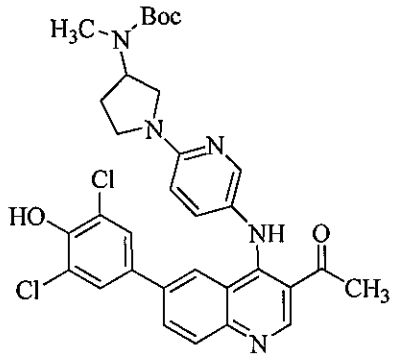
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(piperazin-1-ylmethyl)cyclohexyl)amino) quinolin-3-yl)ethanone dihydrochloride



To a solution of *tert*-butyl 4-((*trans*-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate (66 mg, 0.10 mmol) in THF (5 mL) was added water (5 mL) and aqueous 6 N HCl (1.0 mL). The reaction mixture was heated at 65 °C for 2 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (5 mL) and HCl (6 M in water, 0.5 mL, 3 mmol) was added. The resultant solution was concentrated to give the desired product (24.2 mg, 41%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.7, 1.7 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (d, J = 11.3 Hz, 1H), 4.57 – 4.53 (br s, 1H), 3.67 (br s, 4H), 3.50 (br s, 2H), 3.17 (br s, 2H), 2.74 (s, 3H), 2.46 (d, J = 11.9 Hz, 2H), 2.18 (d, J = 12.5 Hz, 2H), 2.10 (br s, 1H), 1.83 – 1.77 (m, 2H), 1.41 – 1.34 (m, 2H). ESI MS *m/z* 511 [$C_{28}H_{32}ClFN_4O_2$ + H]$^+$; HPLC 98.3% (AUC), t_R = 9.38 min.

Example 1176

tert-butyl (1-(5-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate

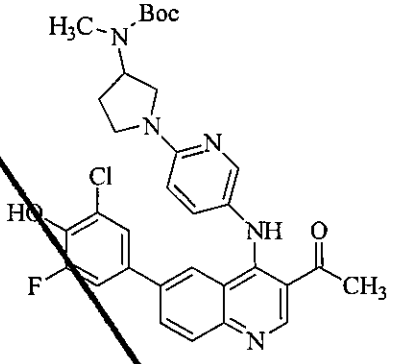


Following general procedure M, *tert*-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate

(80 mg, 0.15 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (72 mg, 0.25 mmol) to afford the desired product (94 mg, 100%) as a brown solid. ESI MS *m/z* 622 [$C_{32}H_{33}Cl_2N_5O_4$ + H]$^+$

Example 1177

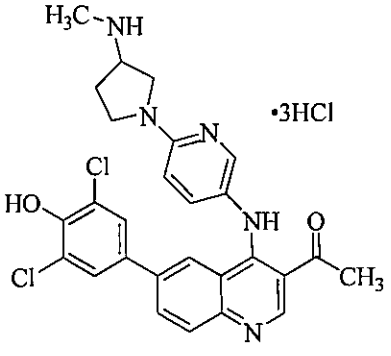
tert-butyl (1-(5-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate



Following general procedure M, *tert*-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (80 mg, 0.15 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.25 mmol) to afford the desired product (85 mg, 95%) as a brown solid. ESI MS *m/z* 606 [$C_{32}H_{33}ClFN_5O_4$ + H]$^+$

Example 788

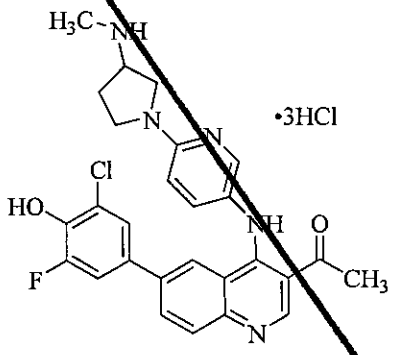
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone trihydrochloride



To a solution of *tert*-butyl (1-(5-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (94 mg, 0.15 mmol) in THF (5 mL) was added water (3 mL) and HCl (6 M in water, 2 mL, 12 mmol). The reaction mixture was heated at 65 °C for 4 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (5 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (81.2 mg, 85%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.32 (s, 1H), 8.32 – 8.25 (m, 2H), 8.13 – 8.05 (m, 2H), 8.03 – 7.97 (m, 1H), 7.37 (s, 2H), 7.22 (d, J = 9.1 Hz, 1H), 4.17 – 4.07 (m, 2H), 4.00 – 3.90 (m, 2H), 3.86 – 3.77 (m, 1H), 2.84 (s, 3H), 2.79 (s, 3H), 2.71 – 2.60 (m, 1H), 2.48 – 2.38 (m, 1H).
ESI MS *m/z* 522 $[C_{27}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 97.5% (AUC), t_R = 10.00 min.

Example 785

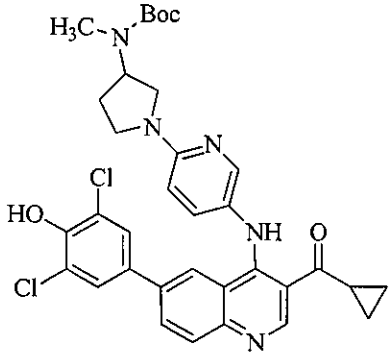
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone trihydrochloride



To a solution of *tert*-butyl (1-(5-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (85 mg, 0.14 mmol) in THF (5 mL) was added water (3 mL) and HCl (6 M in water, 2 mL, 12 mmol). The reaction mixture was heated at 65 °C for 3 h, cooled to room temperature and concentrated. The resultant residue was triturated with dichloromethane to give the desired product (55 mg, 64%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.31 (s, 1H), 8.31 – 8.23 (m, 2H), 8.10 – 8.03 (m, 2H), 7.95 (dd, J = 9.4, 2.4 Hz, 1H), 7.22 (dd, J = 11.5, 2.3 Hz, 1H), 7.18 – 7.11 (m, 2H), 4.14 – 4.08 (m, 2H), 3.98 – 3.86 (m, 2H), 3.83 – 3.74 (m, 1H), 2.84 (s, 3H), 2.79 (s, 3H), 2.71 – 2.60 (m, 1H), 2.47 – 2.36 (m, 1H).
ESI MS *m/z* 506 $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 9.62 min.

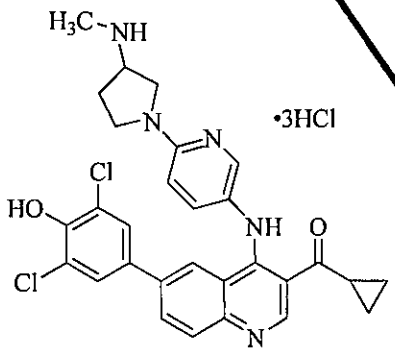
Example 1178

tert-butyl
(1-(5-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate



Following general procedure M, *tert*-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (98 mg, 0.173 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.275 mmol) to afford the desired product (90 mg, 80%) as a brown solid. ESI MS *m/z* 647 [$C_{34}H_{35}Cl_2N_5O_4$ + H]$^+$

Example 804
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)methanone trihydrochloride

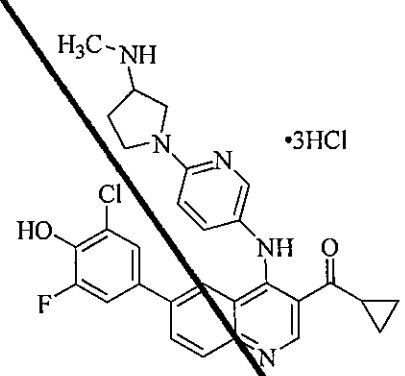


To a solution of *tert*-butyl (1-(5-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (90 mg, 0.14 mmol) in THF (3 mL) was added TFA (2 mL). The reaction mixture was heated at 65 °C for 16 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90%

acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (12.3 mg, 13%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.37 (s, 1H), 8.31 – 8.19 (m, 3H), 8.05 (d, J = 8.8 Hz, 1H), 7.87 (dd, J = 9.3, 2.7 Hz, 1H), 7.44 (s, 2H), 7.05 (d, J = 9.3 Hz, 1H), 4.12 – 4.01 (m, 2H), 3.93 – 3.81 (m, 2H), 3.80 – 3.71 (m, 1H), 2.88 – 2.79 (m, 1H), 2.83 (s, 3H), 2.69 – 2.58 (m, 1H), 2.43 – 2.32 (m, 1H), 1.26 – 1.18 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 95.9% (AUC), t_R = 10.31 min.

Example 789

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride

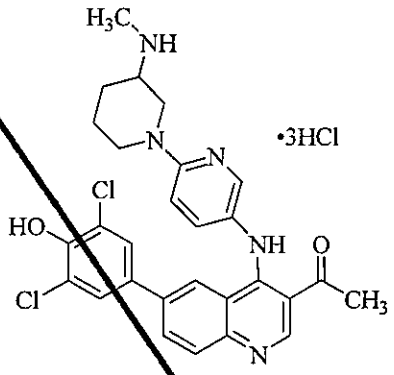


To a suspension of *tert*-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (88 mg, 0.155 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.25 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a brown solid. This solid was dissolved in THF (3 mL) and TFA (2 mL). The reaction mixture was heated at 65 °C for 16 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (46.5 mg, 47%) as an orange solid. ^{1}H NMR (500

MHz, MeOD) δ 9.34 (s, 1H), 8.36 (s, 1H), 8.31 (dd, J = 8.8, 1.9 Hz, 1H), 8.24 (d, J = 2.5 Hz, 1H), 8.08 (d, J = 8.8 Hz, 1H), 8.02 – 7.95 (m, 1H), 7.41 – 7.33 (m, 2H), 7.20 (dd, J = 9.6, 2.5 Hz, 1H), 4.17 – 4.07 (m, 2H), 3.99 – 3.88 (ddd, J = m, 2H), 3.85 – 3.76 (m, 1H), 2.84 (s, 3H), 2.83 – 2.75 (m, 1H), 2.72 – 2.61 (m, 1H), 2.49 – 2.38 (m, 1H), 1.24 – 1.12 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 10.10 min.

Example 806

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(methylamino)piperidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone trihydrochloride

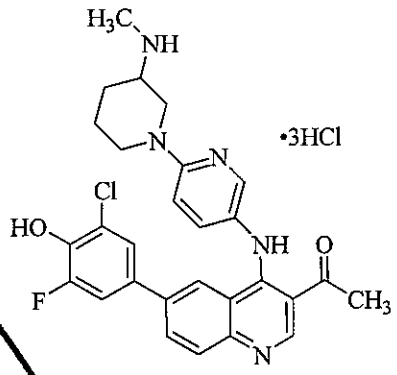


To a suspension of *tert*-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl)piperidin-3-yl)(methyl)carbamate (80 mg, 0.144 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.28 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a brown solid. This solid was dissolved in THF (3 mL), water (2 mL) and HCl (6 M in water, 2 mL, 12 mmol). The reaction mixture was heated at 65 °C for 3 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (55.4 mg, 60%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.30 (s, 1H), 8.29 – 8.21 (m, 2H), 8.06 – 8.00 (m, 2H), 7.83 (dd, J = 9.1, 2.7 Hz, 1H), 7.30 (s, 2H), 7.30 – 7.26 (m, 1H), 4.47 (br s, 1H), 3.98 (d, J = 13.4 Hz, 1H), 3.63 (br s, 1H), 3.50 – 3.40 (m, 1H), 3.37 – 3.32 (m, 1H), 2.80 (s, 3H), 2.80 (s, 3H), 2.30 – 2.23 (m, 1H), 2.03 – 1.95 (m, 1H), 1.88 – 1.69 (m, 2H). ESI

MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.17 min.

Example 815

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(methylamino)piperidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone trihydrochloride

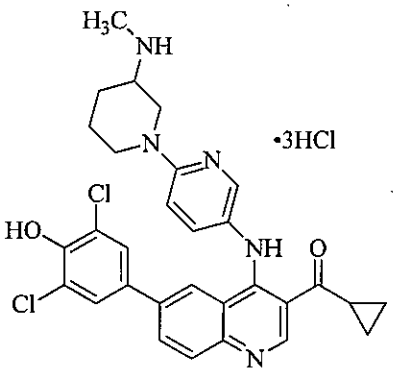


To a suspension of *tert*-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl)piperidin-3-yl)(methyl)carbamate (80 mg, 0.144 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.28 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a brown solid. This solid was dissolved in THF (3 mL), water (3 mL) and HCl (6 M in water, 2 mL, 12 mmol). The reaction mixture was heated at 65 °C for 4 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (63.2 mg, 70%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.28 (s, 1H), 8.29 – 8.19 (m, 2H), 8.04 – 7.96 (m, 2H), 7.78 (d, *J* = 9.5 Hz, 1H), 7.24 (d, *J* = 2.3 Hz, 1H), 7.13 – 7.06 (m, 2H), 4.46 (br s, 1H), 3.96 (d, *J* = 13.1 Hz, 1H), 3.61 (br s, 1H), 3.48 – 3.37 (m, 1H), 2.82 (s, 3H), 2.80 (s, 3H), 2.28 – 2.24 (m, 1H), 2.02 – 1.94 (m, 1H), 1.88 – 1.70 (m, 2H). ESI MS *m/z* 520 $[C_{32}H_{32}Cl_2N_4O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 9.82 min.

Example 807

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(methylamino)piperidin-1-yl)pyridin-3-y

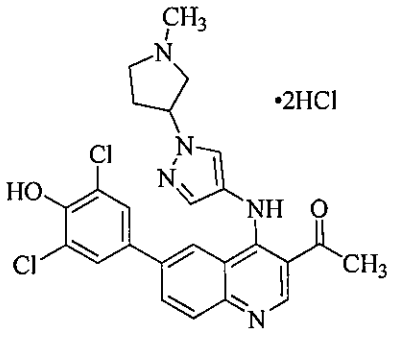
l)amino)quinolin-3-yl)methanone trihydrochloride



To a suspension of *tert*-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyridin-2-yl)piperidin-3-yl)(methyl)carbamate (80 mg, 0.137 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.28 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a brown solid. This solid was dissolved in THF (3 mL) and TFA (2 mL). The reaction mixture was heated at 65 °C for 16 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (39.6 mg, 43%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.29 – 8.21 (m, 2H), 8.19 (s, 1H), 8.04 (d, J = 8.8 Hz, 1H), 7.78 (dd, J = 9.3, 2.7 Hz, 1H), 7.40 (s, 2H), 7.25 (d, J = 9.3 Hz, 1H), 4.44 (br s, 1H), 4.00 – 3.92 (m, 1H), 3.61 (br s, 1H), 3.48 – 3.36 (m, 1H), 3.37 – 3.32 (m, 1H), 2.89 – 2.81 (s, 1H), 2.80 (s, 3H), 2.28 – 2.22 (m, 1H), 2.02 – 1.94 (m, 1H), 1.87 – 1.69 (m, 2H), 1.24 – 1.16 (m, 4H). ESI MS *m/z* 561 $[C_{30}H_{29}Cl_2N_5O_2 + H]^+$; HPLC 97.8% (AUC), t_R = 10.73 min.

Example 814

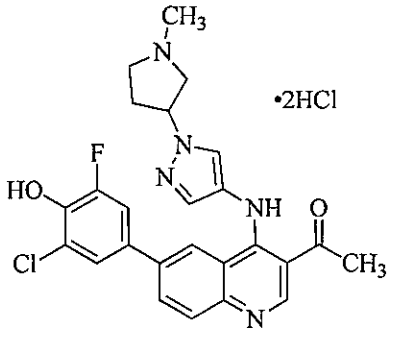
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone dihydrochloride



To a suspension of 1-(6-bromo-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone (80 mg, 0.19 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.28 mmol) and Pd(dppf)Cl_2 (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a residue that was further purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The resultant residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (66.2 mg, 60%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.27 (br s, 1H), 8.26 – 8.17 (m, 2H), 8.11 (s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.79 (s, 1H), 7.37 (s, 2H), 5.41 (br s, 1H), 4.25 – 3.93 (m, 2H), 3.84 – 3.32 (m, 2H), 3.21 – 3.03 (m, 3H), 2.82 – 2.78 (br s, 1H), 2.80 (3, 3H), 2.39 (br s, 1H). ESI MS *m/z* 496 $[C_{25}H_{23}Cl_2N_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.56 min.

Example 813

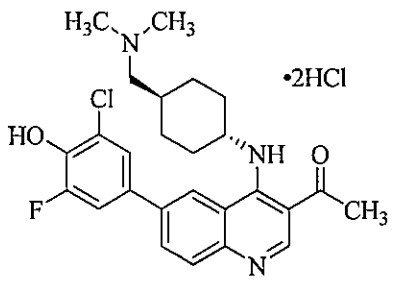
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl) amino)quinolin-3-yl)ethanone dihydrochloride



To a suspension of 1-(6-bromo-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone (80 mg, 0.19 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.25 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a residue that was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (69.8 mg, 65%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.28 (s, 1H), 8.26 – 8.17 (m, 2H), 8.12 (s, 1H), 8.05 – 7.99 (d, J = 8.8 Hz, 1H), 7.82 – 7.77 (m, 1H), 7.25 (s, 1H), 7.13 (d, J = 11.3 Hz, 1H), 5.46 – 5.34 (m, 1H), 4.28 – 3.96 (m, 2H), 3.88 – 3.55 (m, 1H), 3.41 – 3.32 (m, 1H), 3.15 (s, 1H), 3.05 (s, 2H), 2.93 – 2.81 (m, 1H), 2.80 (s, 3H), 2.68 – 2.33 (m, 1H); ESI MS *m/z* 480 $[C_{25}H_{23}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.44 min.

Example 943

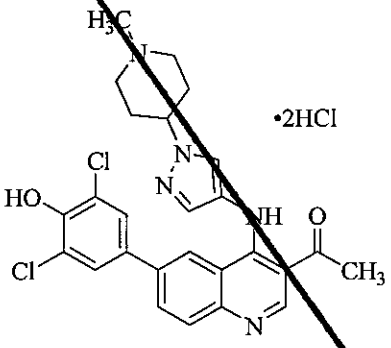
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl) amino)quinolin-3-yl)ethanone dihydrochloride



To a suspension of 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone (3.06 g, 6.51 mmol) in methanol (250 mL) was added HCl (1.25 M in MeOH, 25 mL, 31.2 mmol). The resultant suspension was partially concentrated, filtered and rinsed with ethyl acetate to give the desired product (3.10 g, 88%) as a light yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.00 (s, 1H), 8.38 (d, J = 2.0 Hz, 1H), 8.10 (dd, J = 8.7, 2.0 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.54 (dd, J = 2.2, 1.5 Hz, 1H), 7.46 (dd, J = 11.5, 2.3 Hz, 1H), 4.38 – 4.29 (m, 1H), 3.06 (d, J = 6.6 Hz, 2H), 2.91 (s, 6H), 2.71 (s, 3H), 2.40 (d, J = 12.7 Hz, 2H), 2.04 – 1.95 (m, 3H), 1.75 – 1.65 (m, 2H), 1.31 (q, J = 12.8 Hz, 2H). ESI MS *m/z* 470 $[C_{26}H_{29}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.75 min.

Example 836

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone dihydrochloride

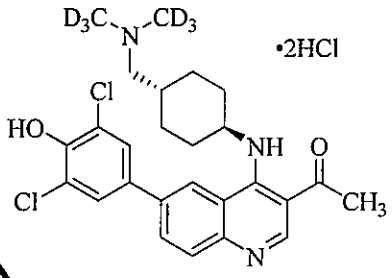


To a suspension of 1-(6-bromo-4-((1-(1-methylpiperidin-4-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone (50 mg, 0.116 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and Pd(dppf)Cl_2 (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a residue that was dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.0 mL, 1.25 mmol) was added. The resultant solution was concentrated to give the desired product (18.6 mg, 27%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.26 (s, 1H), 8.25 – 8.18 (m, 1H), 8.18 – 8.07 (m, 2H), 8.00 (d, J = 8.8 Hz, 1H), 7.71 (s, 1H), 7.36 – 7.32 (m, 2H), 4.70 – 4.61 (m, 1H), 3.68 (d, 2H), 3.53 –

3.45 (m, 1H), 3.41 – 3.22 (m, 1H), 2.94 (s, 3H), 2.80 (s, 3H), 2.53 – 2.33 (m, 4H). ESI MS *m/z* 510 $[C_{26}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 9.46 min.

Example 864

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride

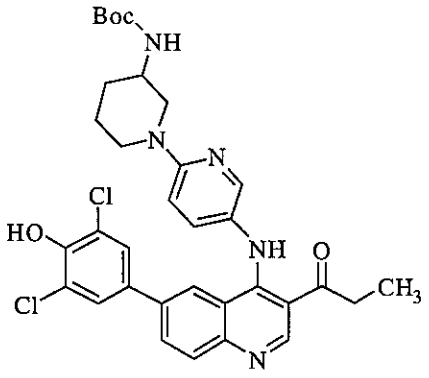


To a suspension of 1-(6-bromo-4-((*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone (273 mg, 0.67 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (289 mg, 1.0 mmol) and Pd(dppf)Cl_2 (49 mg, 0.067 mmol) in dioxane (20 mL) was added Cs_2CO_3 (1.0 M in H_2O, 2 mL, 2 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a residue that was dissolved in methanol (20 mL) and HCl (1.25 M in methanol, 8.0 mL, 12 mmol) was added. The resultant solution was concentrated to give the desired product (245 mg, 75%) as a light brown solid. ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.27 (dd, *J* = 8.8, 1.8 Hz, 1H), 7.99 (d, *J* = 8.8 Hz, 1H), 7.73 (s, 2H), 4.54 (br s, 1H), 3.08 (d, *J* = 6.6 Hz, 2H), 2.74 (s, 3H), 2.46 (d, *J* = 12.3 Hz, 2H), 2.10 – 2.00 (m, 3H), 1.87 – 1.75 (m, 2H), 1.36 (q, *J* = 12.9 Hz, 2H).

ESI MS *m/z* 492 $[C_{26}H_{23}D_6Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.81 min.

Example 1179

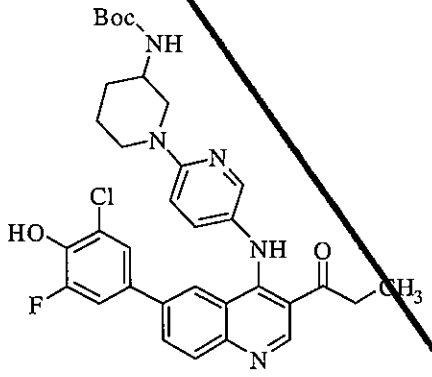
tert-butyl 1-(5-(6-(3,5-dichloro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate



Following general procedure D, tert-butyl tert-butyl 1-(5-(6-bromo-3-propionyl quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (46 mg, 0.091 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (39 mg, 0.137 mmol) to afford the desired product (35 mg, 60%) as an yellow solid: ESI MS *m/z* 636, $[C_{33}H_{35}Cl_2N_5O_4 + H]^+$

Example 1180

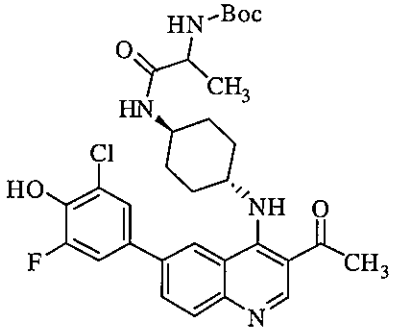
tert-butyl 1-(5-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate (46 mg, 0.091 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (37 mg, 0.137 mmol) to afford the desired product (32 mg, 60%) as an yellow solid: ESI MS *m/z* 619, $[C_{33}H_{35}ClFN_5O_4 + H]^+$

Example 1181

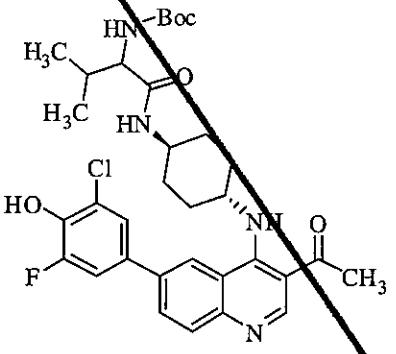
tert-butyl 1-((1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexylamino)-1-oxopropan-2-ylcarbamate



Following general procedure D, tert-butyl 1-((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylamino)-1-oxopropan-2-ylcarbamate(70 mg, 0.131 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.157 mmol) to afford the desired product (42 mg, 53%) as an orange solid: ESI MS *m/z* 599, $[C_{31}H_{36}ClFN_4O_5 + H]^+$

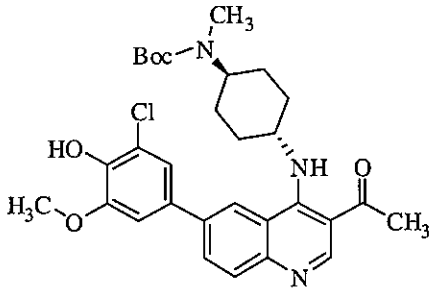
Example 1182

tert-butyl 1-((1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexylamino)-3-methyl-1-oxobutan-2-ylcarbamate



Following general procedure D, tert-butyl 1-(1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylamino)-3-methyl-1-oxobutan-2-ylcarbamate (70 mg, 0.131 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.150 mmol) to afford the desired product (24 mg, 31%) as an yellow solid: ESI MS *m/z* 627, $[C_{33}H_{40}ClFN_4O_5 + H]^+$

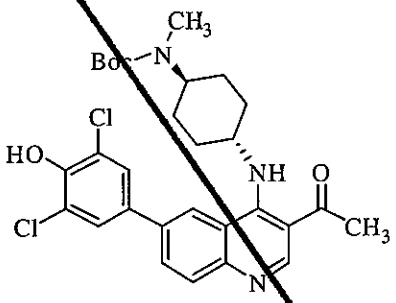
Example 1183tert-Butyl (1r,4r)-4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-4-ylamino)cyclohexyl(methyl)carbamate



Following general procedure D, tert-butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexyl(methyl)carbamate (60 mg, 0.126 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.152 mmol) to afford the desired product (53 mg, 72%) as a yellow brown solid; ESI MS *m/z* 580, $[C_{32}H_{38}ClN_3O_5 + H]^+$

Example 1184

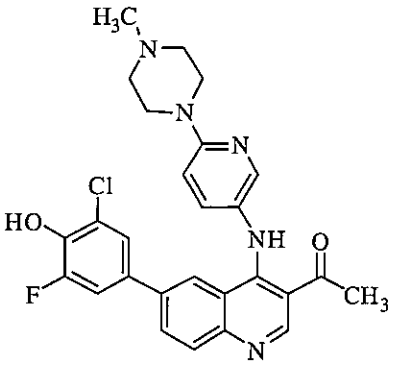
tert-butyl (1r,4r)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-4-ylamino)cyclohexyl(methyl)carbamate



Following general procedure D, tert-butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl(methyl)carbamate (60 mg, 0.126 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (44 mg, 0.151 mmol) to afford the desired product (60 mg, 81%) as a yellow brown solid: ESI MS *m/z* 584, $[C_{31}H_{35}Cl_2N_3O_4 + H]^+$

Example 487

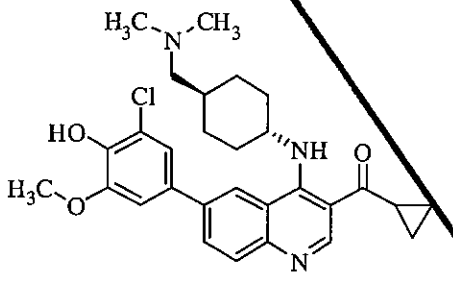
1-(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone



Following general procedure D, [1-(6-bromo-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone(50 mg, 0.113 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (34 mg, 0.124 mmol) to afford the desired product (18 mg, 31%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.08 (s, 1H), 8.07 (d, J = 3 Hz, 1H), 7.91 (s, 2H), 7.82 (s, 1H), 7.49 (dd, *J* = 12, 2.0 Hz, 1H), 7.00–6.92 (m, 3H), 3.66 (t, *J* = 10 Hz, 4H), 2.77 (s, 3H), 2.62 (t, *J* =10 Hz, 4H), 2.39 (s, 3H); ESI MS *m/z* 506, $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 14.39 min.

Example 513

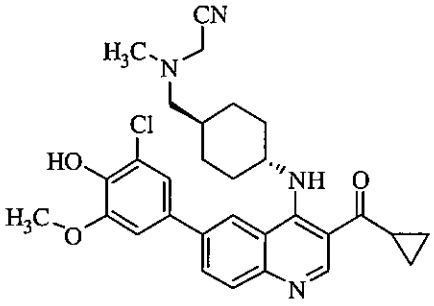
(6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone(60 mg, 0.139 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl -1,3,2- dioxaborolan-2-yl)phenol (48 mg, 0.167 mmol) to afford the desired product (25 mg, 35%) as a green-yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.16 (s, 1H), 8.34 (d, J = 2 Hz, 1H), 7.99 (dd, J = 11, 2 Hz, 1H), 7.89 (d, J = 8.5 Hz, 1H), 7.24 (d, *J* = 2.5 Hz, 1H), 7.1 (d, J = 2 Hz, 1H), 4.61 (d, *J* = 3.5 Hz, 1H), 3.97 (s, 3H), 2.85 (quint, *J* = 15.5 Hz, 1H), 2.51 (d, J = 7 Hz, 3H) 2.43 (s, 3H), 2.00 (m, 2H), 1.90 (m, 2H) 1.75 (m, 3H), 1.19 (q, J = 10.5 Hz, 2H), 1.10 (quint, J = 14.5, 2H), ; ESI MS *m/z* 508, $[C_{29}H_{34}ClN_3O_3 + H]^+$; HPLC 99.0% (AUC), t_R = 9.64 min.

Example 518

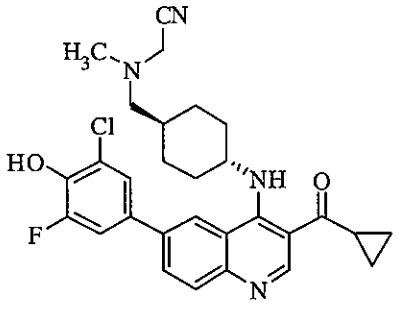
2-((((1R,4R)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropane carbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile



Following general procedure D, 2-((((1R,4R)-4-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile (40 mg, 0.087 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (29 mg, 0.105 mmol) to afford the desired product (15 mg, 32%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.16 (s, 1H), 8.35 (s, 1H), 7.98 (dd, J = 10.5, 1.5 Hz, 1H), 7.88 (d, J = 8.5 Hz, 1H), 7.25 (d, *J* = 2 Hz, 1H), 7.18 (d, J = 1.5 Hz, 1H), 4.59 (s, 1H), 3.97 (s, 3H), 3.66 (s, 2H) 2.84 (quint, *J* = 15.5 Hz, 1H), 2.39 (d, J = 7 Hz, 2H) 2.35 (s, 3H) 1.98 (m, 2H), 1.87 (m, 2H), 1.73 (m, 3H), 1.45 (q, J = 11 Hz, 2H) 1.21 (q, J = 16.5 Hz, 2H), 1.10 (d, J = 4 Hz, 2H), ; ESI MS *m/z* 533, $[C_{30}H_{33}ClN_4O_3 + H]^+$; HPLC 96.4% (AUC), t_R = 12.62 min.

Example 524

2-((((1R,4R)-4-(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl) quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile

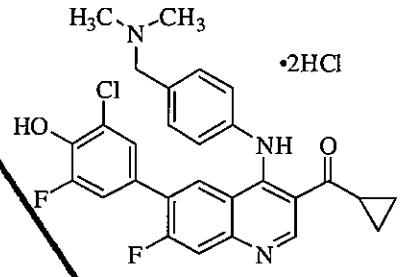


Following general procedure D, 2-((((1R,4R)-4-(6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile (40 mg, 0.087 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (28 mg, 0.105 mmol) to

afford the desired product (18 mg, 39%) as a yellow solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.38 (s, 1H), 9.16 (s, 1H), 8.34 (s, 1H), 8.04 (dd, J = 10.5, 1.5 Hz, 1H), 7.88 (d, J = 8.5 Hz, 1H), 7.63 (m, 2H), 4.42 (s, 1H), 3.72 (s, 2H), 2.95 (quint, J = 15.5 Hz, 1H), 2.28 (d, J = 7.5 Hz, 2H) 2.24 (s, 3H) 1.79 (m, 4H), 1.64 (m, 3H), 1.34 (m, 2H), 1.10 (q, J = 12 Hz, 2H), 1.04 (m, 2H), ; ESI MS *m/z* 521, $[C_{29}H_{30}ClFN_4O_2 + H]^+$; HPLC 94.9% (AUC), t_R = 12.59 min.

Example 541

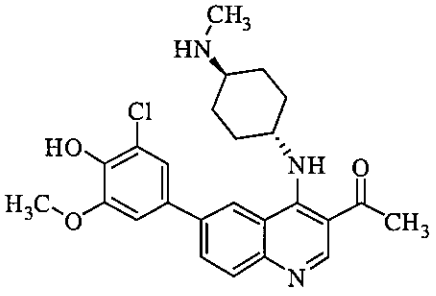
(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, ((6-bromo-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone (40 mg, 0.090 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (31 mg, 0.113 mmol) to afford the desired product (11 mg, 24%) as a yellow solid: 1H NMR (500 MHz, DMSO-d_6) δ 10.70 (s, 1H), 9.06 (s, 1H), 8.09 (d, J = 8.5 Hz, 1H), 7.75 (d, J = 12 Hz, 1H), 7.26 (d, J = 8.5 Hz, 2H), 7.21 (d, J = 6.5 Hz, 2H), 7.09 (d, J = 8.5, 2H), 3.40 (s, 2H), 2.77 (quint, J = 15 Hz, 1H), 2.13 (s, 6H), 0.86 (m, 4H); ESI MS *m/z* 508, $[C_{28}H_{24}ClF_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.83 min.

Example 542

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino) quinolin-3-yl)ethanone

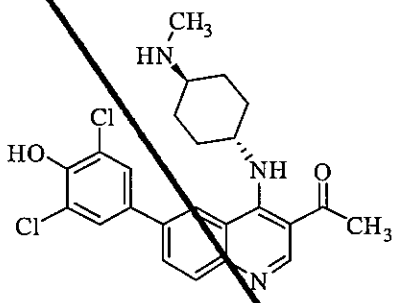


tert-butyl(1r,4r)-4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino)cyclohe

xyl(methyl)carbamate (53 mg, 0.096 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), and eluted through an ion-exchange column (using methanol and 7 N ammonia in methanol) to obtain the desired product (11 mg, 25%) as a yellow solid: 1H NMR (500 MHz, DMSO-d_6) δ 10.56 (s, 1H), 8.94 (s, 1H), 8.28 (s, 1H), 8.05 (s, 1H), 7.87 (s, 1H), 7.29 (s, 2H), 4.17 (s, 1H), 3.95 (s, 3H), 2.66 (s, 3H), 2.38 (s, 4H), 2.23 (s, 2H), 2.03 (s, 2H), 1.67 (s, 1H), 1.51 (s, 2H), 1.30 (s, 3H), ESI MS *m/z* 454, $[C_{25}H_{28}ClN_3O_3 + H]^+$; HPLC 99.5% (AUC), t_R = 9.99 min.

Example 558

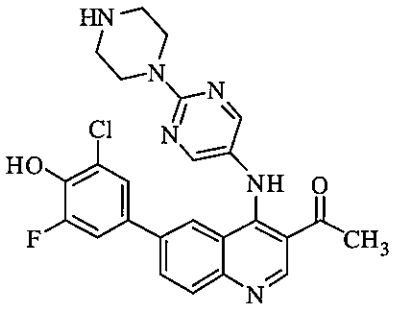
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino) quinolin-3-yl)ethanone



Following procedure A-2 tert-butyl(1r,4r)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-4-ylamino)cyclohexyl(methyl)carbamate (60 mg, 0.107 mmol) was treated with TFA (2 mL) to afford the desired product (8 mg, 16%) as a yellow-orange solid: 1H NMR (300 MHz, CD_3OD) δ 9.12 (s, 1H), 8.45 (s, 1H), 8.29 (d, J = 8.7 Hz, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.73 (s, 2H), 4.59 (s, 1H), 2.74 (s, 6H), 2.54 (t, J = 13.5, 2H), 2.35 (d, J = 11.4 Hz, 2H), 1.85 (m, 2H), 1.64 (m, 2 H), 1.30 (m, 2H); ESI MS *m/z* 458, $[C_{24}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 99.2% (AUC), t_R = 10.01 min.

Example 594

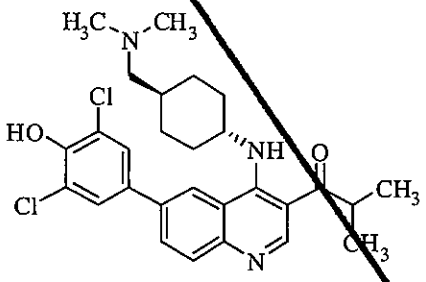
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino) quinolin-3-yl)ethanone



Following procedure A-2 tert-butyl 4-(5-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino)pyrimidin-2-yl)piperazine-1-carboxylate (50 mg, 0.084 mmol) was treated with TFA (2 mL) to afford the desired product (11 mg, 26%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.29 (s, 1H), 8.55 (s, 2H), 8.23 (dd, J = 11, 2 Hz, 1H), 8.02 (m, 2H), 7.12 (m, 2H), 4.21 (t, J = 10 Hz, 4H), 3.35 (t, J = 10.5 Hz, 4H) 2.80 (s, 3H); ESI MS *m/z* 493, $[C_{25}H_{22}ClFN_6O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 9.90 min.

Example 608

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one

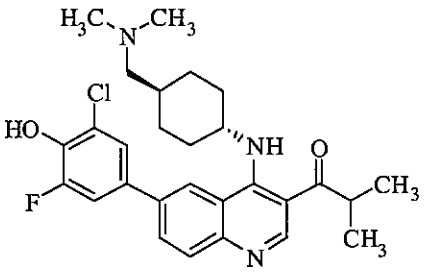


Following general procedure D, 1-(6-bromo-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one (80 mg, 0.185 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (64 mg, 0.222 mmol) to afford the desired product (39 mg, 41%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.17 (s, 1H), 8.47 (s, 1H), 8.26 (dd, J = 10, 1.5 Hz, 1H), 7.99 (d, J = 8.5 Hz, 1H), 7.72 (s, 2H), 4.52 (s, 1H), 3.73 (t, J = 11.5 Hz, 1H) 3.08 (d, J = 6.5 Hz, 2H) 2.92 (s, 6H), 2.44 (s, 2H), 2.05 (d, J = 11.5, 3H), 1.81 (q, J = 35.5, 2H) 1.36 (m, 2H), 1.26 (d, J = 6.5, 6H); ESI MS *m/z* 514, $[C_{28}H_{33}Cl_2N_3O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 11.33 min.

Example 620

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)

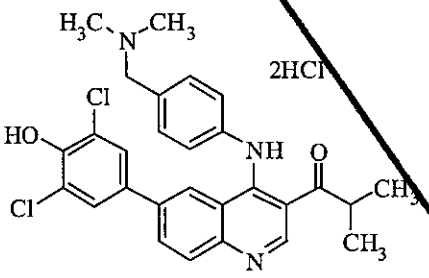
cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one



Following general procedure D, 1-(6-bromo-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl amino)quinolin-3-yl)-2-methylpropan-1-one (64 mg, 0.148 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (60 mg, 0.222 mmol) to afford the desired product (18 mg, 24%) as a green-yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.92 (s, 1H), 8.29 (s, 1H), 7.95 (dd, J = 10.5, 2 Hz, 1H), 7.84 (d, J = 8.7 Hz, 1H), 7.45 (s, 1H), 7.35 (dd, J = 14.1, 2.4 Hz, 1H) 4.16 (s, 1H), 3.74 (quint, J = 13.5 Hz, 1H) 2.59 (d, J = 7.2 Hz, 2H) 2.54 (s, 6H), 2.32 (d, J = 12 Hz, 2H), 1.97 (d, J = 12.9, 2H), 1.60 (q, J = 35.5, 2H) 1.22 (m, 8H), ESI MS *m/z* 498, $[C_{28}H_{33}ClFN_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 11.15 min.

Example 641

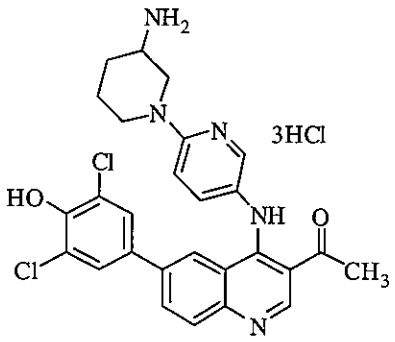
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one



Following general procedure D, 1-(6-bromo-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one (80 mg, 0.186 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (84 mg, 0.291 mmol) to afford the desired product (58 mg, 61%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.09 (s, 1H), 7.90 (s, 2H), 7.74 (s, 1H), 7.42(d, J = 8.4 Hz, 2H), 7.20 (d, J = 8.1 Hz, 2H), 7.10 (s, 2H), 3.81 (m, 3H), 2.41 (s, 6H), 1.24 (d, J = 6.6, 6H), ESI MS *m/z* 508, $[C_{28}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 99.7% (AUC), t_R = 11.16 min.

Example 681

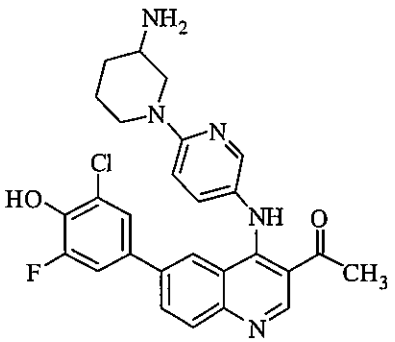
1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone



tert-butyl 1-(5-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (52 mg, 0.080 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (40 mg, 79%) as a yellow-brown solid: 1H NMR (500 MHz, DMSO-d_6) δ 10.56 (s, 1H), 8.94 (s, 1H), 8.28 (s, 1H), 8.05 (s, 1H), 7.87 (s, 1H), 7.29 (s, 2H), 4.17 (s, 1H), 3.95 (s, 3H), 2.66 (s, 3H), 2.38 (s, 4H), 2.23 (s, 2H), 2.03 (s, 2H), 1.67 (s, 1H), 1.51 (s, 2H), 1.30 (s, 3H); ESI MS *m/z* 454, $[C_{25}H_{28}ClN_3O_3 + H]^+$; HPLC 99.5% (AUC), t_R = 9.99 min.

Example 733

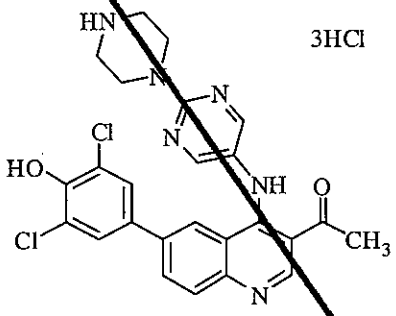
1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone



tert-butyl
1-(5-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (80 mg, 0.132 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (30 mg, 45%) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.26 (s, 1H), 8.24 (d, J = 2.9 Hz, 1H), 8.20 (dd, J = 8.9, 1.9 Hz, 1H), 7.99 (d, J = 8.9 Hz, 1H), 7.95 (d, J = 2.0 Hz, 1H), 7.68 (dd, J = 9.1, 2.8 Hz, 1H), 7.11 (d, J = 9.1 Hz, 1H), 7.04 (m, 2H), 4.54 (s, 1H), 4.02 (d, J = 12.7 Hz, 1H), 2.80 (s, 3H), 2.18 (m, 1H), 1.94 (m, 1H), 1.74 (m, 2H); ESI MS m/z 506, $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC 95.2% (AUC), t_R = 10.84 min.

Example 702

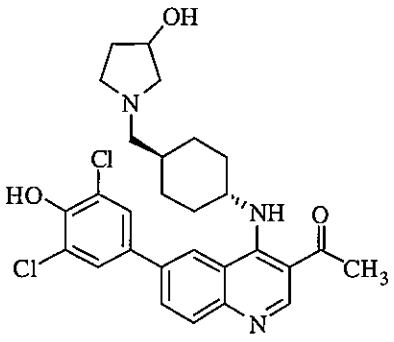
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone



tert-butyl
4-(5-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)pyridin-2-yl)piperazine-1-carboxylate (65mg, 0.106 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (43 mg, 65%) as a yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.28 (s, 1H), 8.29 (d, J = 2.7 Hz, 1H), 8.22 (dd, J = 8.8, 2.0 Hz, 1H), 8.00 (m, 2H), 7.77 (dd, J = 9.2, 2.7 Hz, 1H), 7.24 (s, 2H), 7.19 (d, J = 9.2 Hz, 1H), 3.98 (t, J = 5.3 Hz, 4H), 3.36 (t, J = 5.3 Hz, 4H), 2.80 (s, 3H); ESI MS m/z 508, $[C_{25}H_{22}Cl_2N_6O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 10.10 min.

Example 753

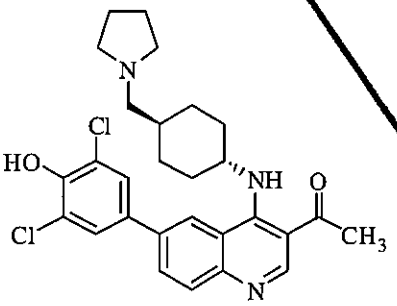
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone (75 mg, 0.168 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (60 mg, 0.201 mmol) to afford the desired product (9 mg, 10%) as an yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.27 (dd, *J* = 8.8, 1.8 Hz, 1H), 7.98 (d, *J* = 8.8 Hz, 1H), 7.72 (s, 2H), 4.56 (m, 2H), 3.81 (m, 1H), 3.60 (d, *J* = 11.8 Hz, 1H), 3.18 (m, 3H), 2.73 (s, 3H), 2.46 (d, *J* = 11.8 Hz, 2H), 2.38 (d, *J* = 7.2 Hz, 1H), 2.07 (m, 4H), 1.79 (q, *J* = 12.3 Hz, 2H), 1.38 (q, *J* = 12.3 Hz, 2H); ESI MS *m/z* 528, $[C_{28}H_{31}Cl_2N_3O_3 + H]^+$; HPLC 96.4% (AUC), t_R = 11.04 min.

Example 767

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino) quinolin-3-yl)ethanone

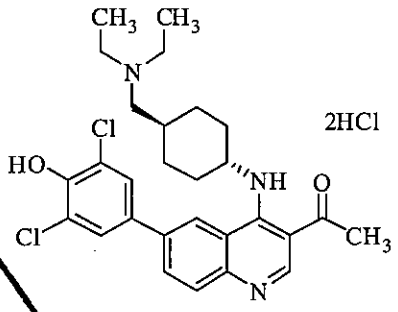


Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl) cyclohexylamino)quinolin-3-yl)ethanone (127 mg, 0.295 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (100 mg, 0.354 mmol) to afford the desired product (40 mg, 26%) as an light yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.27 (dd, *J* = 8.7, 1.9 Hz, 1H), 7.97 (d, *J* = 8.7 Hz, 1H), 7.72 (s, 2H), 4.53 (s, 1H), 3.70 (m, 2H), 3.14 (m, 4H), 2.73 (s, 3H), 2.46 (d, *J* = 12.3 Hz, 2H), 2.18 (m,

1H), 2.06 (m, 5H), 1.80 (q, J = 12.3 Hz, 2H), 1.37 (m, 2H); ESI MS m/z 512, $[C_{28}H_{31}Cl_2N_3O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 10.49 min.

Example 790

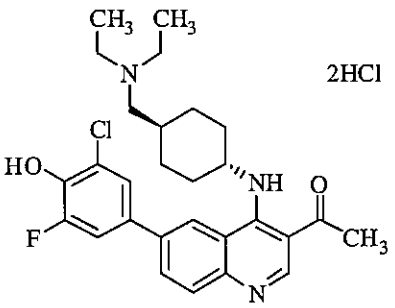
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl) cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((diethylamino)methyl)cyclohexyl amino)quinolin-3-yl)ethanone (60 mg, 0.139 mmol) was reacted with 2,6-dichloro -4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.167 mmol) to afford the desired product (38 mg, 46%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.28 (dd, J = 8.7, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.73 (s, 2H), 4.54 (s, 1H), 3.27 (m, 2H), 3.07 (d, J = 6.7 Hz, 2H), 2.74 (s, 3H), 2.46 (d, J = 12.0 Hz, 2H), 2.11 (d, J = 12.7 Hz, 2H), 2.03 (s, 1H), 1.83 (q, J = 12.7 Hz, 2H), 1.38 (m, 8H); ESI MS m/z 514, $[C_{28}H_{33}Cl_2N_3O_2 + H]^+$; HPLC 96.5% (AUC), t_R = 10.38 min.

Example 794

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexyl amino)quinolin-3-yl)ethanone

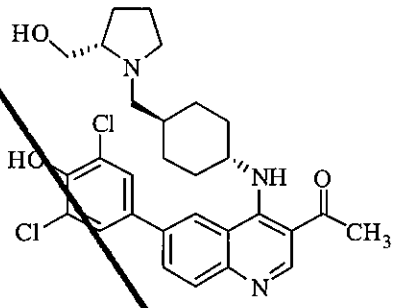


Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone (113 mg, 0.261 mmol) was reacted with

2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (100 mg, 0.392 mmol) to afford the desired product (80 mg, 53%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.5, 2.3 Hz, 1H), 4.54 (s, 1H), 3.28 (m, 2H), 3.08 (d, J = 6.7 Hz, 2H), 2.74 (s, 3H), 2.46 (d, J = 12.2 Hz, 2H), 2.10 (d, J = 12.8 Hz, 2H), 2.02 (m, 1H), 1.81 (q, J = 11.1 Hz, 2H), 1.35 (m, 8H); ESI MS m/z 498, $[C_{28}H_{33}ClFN_3O_2 + H]^+$; HPLC 99.5% (AUC), t_R = 10.23 min.

Exapmple 792

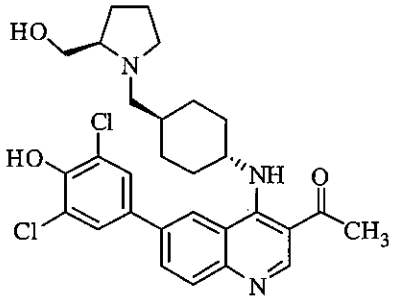
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl) methyl)cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone (70 mg, 0.152 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (63 mg, 0.228 mmol) to afford the desired product (11 mg, 17%) as an yellow-brown solid: ^{1}H NMR (300 MHz, MeOD) δ 9.11 (s, 1H), 8.49 (s, 1H), 8.28 (dd, J = 8.8, 1.7 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.56 (s, 1H), 3.90 (m, 1H), 3.70 (m, 3H), 3.32 (m, 2H), 3.23 (m, 2H), 3.08 (dd, J = 12.8, 5.6 Hz, 1H), 2.74 (s, 3H), 2.46 (d, J = 12.5 Hz, 2H), 2.17 (m, 7H), 1.83 (m, 3H), 1.38 (q, J = 24.5, 11.3 Hz, 2H); ESI MS m/z 542, $[C_{29}H_{33}Cl_2N_3O_3 + H]^+$; HPLC 99.2% (AUC), t_R = 10.19 min.

Example 812

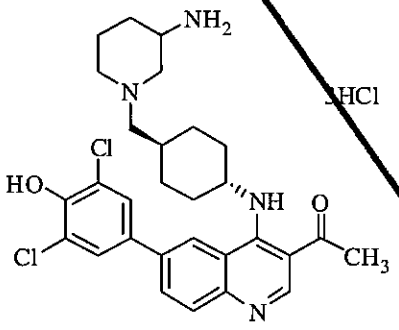
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl) methyl)cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone (94 mg, 0.204 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (86 mg, 0.306 mmol) to afford the desired product (42 mg, 38%) as a yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.05 (s, 1H), 8.44 (s, 1H), 8.20 (dd, J = 8.7, 1.8 Hz, 1H), 7.95 (d, J = 8.7 Hz, 1H), 7.71 (s, 2H), 4.44 (s, 1H), 3.89 (m, 1H), 3.70 (m, 3H), 3.09 (m, 1H), 2.72 (s, 3H), 2.43 (d, J = 12.5 Hz, 2H), 2.12 (m, 5H), 1.85 (m, 3H), 1.36 (q, J = 15.0, 13.8 Hz, 2H); ESI MS m/z 542, $[C_{29}H_{33}Cl_2N_3O_3 + H]^+$; HPLC 99.8% (AUC), t_R = 10.12 min.

Example 810

1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone

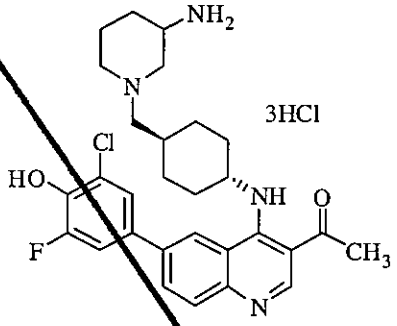


To a suspension of tert-butyl 1-((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl)piperidin-3-ylcarbamate (80 mg, 0.173 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.260 mmol) and Pd(dppf)Cl_2 (12 mg, 0.017mmol) in dioxane (4 mL) was added Cs_2CO_3 (260 μL, 2.0 M solution in H_2O). N_2 gas was bubbled through the reaction mixture and the vessel was sealed. The mixture was then heated under microwave irradiation conditions to 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to purification by preperatory HPLC. The crude mixture was then treated with TFA to deprotect the pendant amine

and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (26 mg, 23%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.01 (s, 1H), 8.37 (s, 1H), 8.17 (dd, J = 8.7, 1.9 Hz, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.62 (s, 2H), 4.45 (s, 1H), 3.73 (s, 2H), 3.61 (s, 1H), 3.11 (d, J = 6.1 Hz, 2H), 2.97 (s, 1H), 2.65 (s, 3H), 2.36 (s, 2H), 2.08 (m, 6H), 1.72 (q, J = 12.4 Hz, 2H), 1.62 (s, 1H), 1.31 (q, J = 11.9 Hz, 2H); ESI MS m/z 541, $[C_{29}H_{34}Cl_2N_4O_2 + H]^+$; HPLC 98.3% (AUC), t_R = 9.34 min.

Example 818

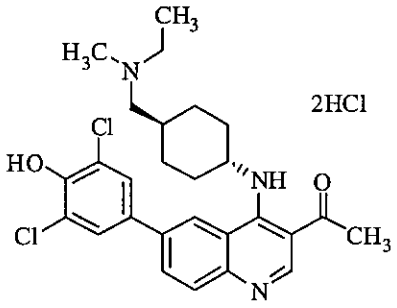
1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone



To a suspension of tert-butyl 1-((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl)piperidin-3-ylcarbamate (100 mg, 0.217 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (88 mg, 0.325 mmol) and Pd(dppf)Cl_2 (15 mg, 0.021mmol) in dioxane (4 mL) was added Cs_2CO_3 (325 µL, 2.0 M solution in H_2O). N_2 gas was bubbled through the reaction mixture and the vessel was sealed. The mixture was then heated under microwave irradiation conditions to 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to purification by preperatory HPLC. The crude fractions were then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (28 mg, 20%) as a brown solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.4, 2.3 Hz, 1H), 4.55 (s, 1H), 3.74 (m, 2H), 3.17 (m, 1H), 3.01 (m, 1H), 2.73 (s, 3H) 2.46 (d, J = 6.3 Hz, 2H), 2.12 (m, 6H), 1.80 (q, J = 12.5 Hz, 2H), 1.68 (m, 1H), 1.38 (m, 2H).; ESI MS m/z 525, $[C_{29}H_{34}ClFN_4O_2 + H]^+$; HPLC 95.5% (AUC), t_R = 9.07 min.

Example 817

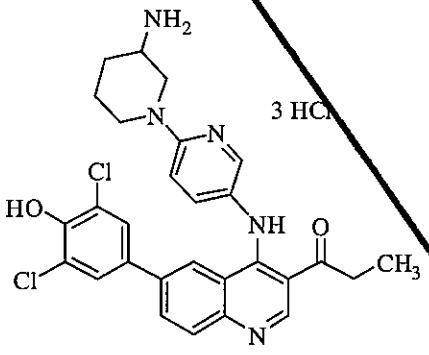
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone (68 mg, 0.162 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (69 mg, 0.244 mmol) to afford the desired product (34 mg, 59%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.28 (dd, J = 8.7, 1.8 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.54 (s, 1H), 3.17 (ddd, J = 31.2, 13.3, 7.4 Hz, 2H), 3.03 (m, 1H), 2.90 (s, 3H), 2.74 (s, 3H), 2.46 (d, J = 12.0 Hz, 2H), 2.13 (d, J = 13.6 Hz, 1H), 2.06 (d, J = 12.0 Hz, 2H), 1.80 (m, 2H), 1.37 (m, 5H); ESI MS m/z 500, $[C_{27}H_{31}Cl_2N_3O_2 + H]^+$; HPLC 96.5% (AUC), t_R = 10.07 min.

Example 824

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one

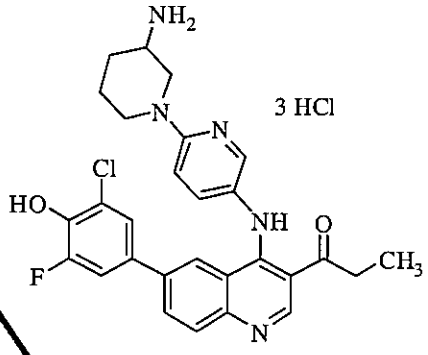


tert-butyl 1-(5-(6-(3,5-dichloro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (35mg, 0.055 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (12 mg, 33%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.29 (s, 1H), 8.24 (m, 2H), 8.04 (m, 2H), 7.81 (d, J = 8.3 Hz, 1H), 7.31 (s, 2H), 7.25 (d, J = 8.9 Hz, 1H), 4.53 (s, 1H), 4.06 (d, J = 13.3 Hz, 1H), 3.39 (m, 2H), 3.24 (q, J = 14.1, 7.0 Hz, 2H), 2.22 (m, 1H), 1.98 (m, 1H), 1.74 (m, 2H),

1.25 (t, J = 6.9 Hz, 3H); ESI MS m/z 536, $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.33 min.

Example 825

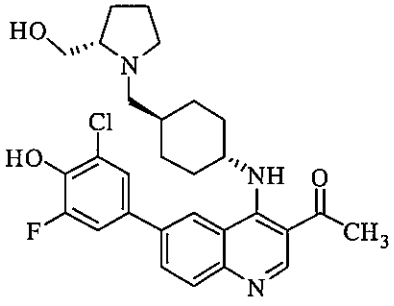
1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one



tert-butyl 1-(5-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (32mg, 0.051 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (16 mg, 49%) as an orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.28 (s, 1H), 8.23 (m, 2H), 8.01 (m, 2H), 7.75(d, J = 8.5 Hz, 1H), 7.19 (d, J = 8.4 Hz, 1H), 7.10 (t, J = 4.9 Hz, 2H), 4.54 (s, 1H), 4.04 (d, J = 13.0 Hz, 1H), 3.36 (m, 3H), 3.24 (q, J = 13.3, 6.2 Hz, 1H), 2.20 (m, 1H), 1.97 (m, 1H), 1.74 (m, 2H), 1.26 (t, J = 6.6 Hz, 3H); ESI MS m/z 520, $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.05 min.

Example 844

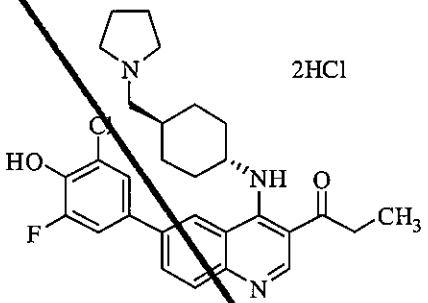
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl) cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((1S,4r)-4-(((S)-2-(hydroxymethyl) pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone (120 mg, 0.260 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (106 mg, 0.391 mmol) to afford the desired product (23 mg, 17%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10(s, 1H), 8.48 (s, 1H) 8.26 (dd, J = 8.7, 1.8 Hz, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.52 (dd, J = 11.3, 2.3 Hz, 1H), 4.53 (s, 1H), 3.90 (dd, J = 12.2, 3.8 Hz, 1H), 3.77 (m, 2H), 3.66 (m, 1H), 3.38 (m, 1H), 3.23 (m, 1H), 3.09 (dd, J = 12.9, 5.5 Hz, 1H), 2.74 (s, 3H), 2.45 (d, J = 12.3 Hz, 2H), 2.24 (m, 2H), 2.10 (m, 4H), 1.89 (m, 1H), 1.79 (q, J = 12.2 Hz, 2H), 1.37 (dt, J = 22.1, 12.6 Hz, 3H); ESI MS m/z 526, $[C_{29}H_{33}ClFN_3O_3 + H]^+$; HPLC 97.4% (AUC), t_R = 9.79 min.

Example 846

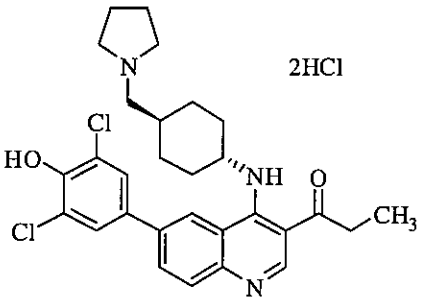
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl) cyclohexylamino)quinolin-3-yl)propan-1-one



Following general procedure D, 1-(6-bromo-4-((1R,4R)- 4-(pyrrolidin-1-ylmethyl)cyclohexyl amino)quinolin-3-yl)propan-1-one (90 mg, 0.209 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (85 mg, 0.313 mmol) to afford the desired product (43 mg, 35%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.48 (s, 1H), 8.26 (dd, J = 8.7, 1.7 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (d, J = 11.3 Hz, 1H), 4.54 (s, 1H), 3.71 (dt, J = 11.1, 5.4 Hz, 2H), 3.17 (m, 6H), 2.47 (d, J = 12.3 Hz, 2H), 2.17 (m, 2H), 2.07 (m, 2H), 1.81 (q, J = 12.3 Hz, 2H), 1.36 (q, J = 16.3, 15.2 Hz, 2H), 1.24 (t, J = 7.1 Hz, 3H) ESI MS m/z 510, $[C_{29}H_{33}ClFN_3O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 10.29 min.

Example 843

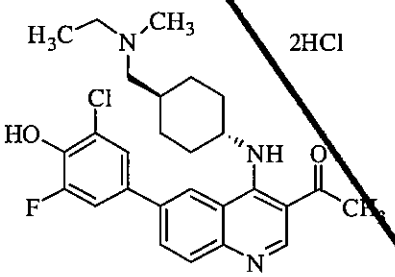
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl) cyclohexylamino)quinolin-3-yl)propan-1-one



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl amino)quinolin-3-yl)propan-1-one (90 mg, 0.209 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.314 mmol) to afford the desired product (38 mg, 30%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.13 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.7, 1.9 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.73 (s, 2H), 4.54 (s, 1H), 3.71 (m, 2H), 3.15 (m, 7H), 2.47 (d, J = 12.2 Hz, 2H), 2.17 (m, 2H), 2.07 (m, 4H), 1.81 (q, J = 12.3 Hz, 2H), 1.37 (q, J = 25.1, 12.0 Hz, 2H), 1.24 (t, J = 7.1 Hz, 3H); ESI MS m/z 526, $[C_{29}H_{33}Cl_2N_3O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.49 min.

Example 845

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl) cyclohexylamino)quinolin-3-yl)ethanone

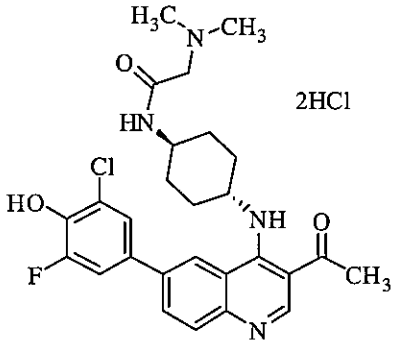


Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((ethyl(methyl)amino)methyl) cyclohexylamino)quinolin-3-yl)ethanone (98 mg, 0.233 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2- dioxaborolan-2-yl)phenol (97 mg, 0.318 mmol) to afford the desired product (40 mg, 31%) as an off white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.7, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.4, 2.2 Hz, 1H), 4.53 (s, 1H), 3.12 (m, 2H), 2.89 (s, 3H), 2.74 (s, 3H), 2.46 (d, J = 12.5 Hz, 2H), 2.08 (m, 3H), 1.81 (q, J = 12.1 Hz, 2H), 1.37 (m, 5H); ESI MS m/z 484, $[C_{27}H_{31}ClFN_3O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 9.90 min.

Example 876

N-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino)

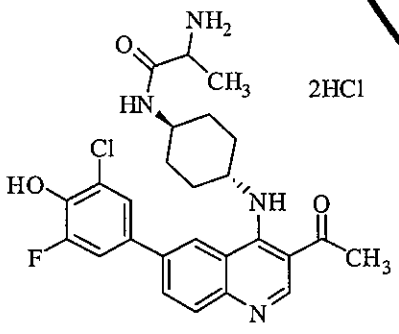
cyclohexyl)-2-(dimethylamino)acetamide



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclo hexylamino)quinolin-3-yl)ethanone (50 mg, 0.112 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (37 mg, 0.134 mmol) to afford the desired product (40 mg, 61%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.49 (s, 1H), 8.27 (dd, J = 8.7, 1.7 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.5, 2.3 Hz, 1H), 4.55 (s, 1H), 3.93 (s, 3H), 2.94 (s, 6H), 2.74 (s, 3H), 2.44 (d, J = 12.3 Hz, 2H), 2.17 (d, J = 11.6 Hz, 2H), 1.85 (q, J = 11.0 Hz, 2H), 1.55 (q, J = 12.6 Hz, 2H); ESI MS m/z 513, $[C_{27}H_{30}ClFN_4O_3 + H]^+$; HPLC 99.9% (AUC), t_R = 9.49 min.

Example 878

N-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)-2-aminopropanamide



tert-butyl 1-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino)cyclo hexylamino)-1-oxopropan-2-ylcarbamate (42 mg, 0.070 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off

washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (20 mg, 50%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10(s, 1H), 8.50 (s, 1H), 8.27 (dd, J = 8.7, 1.7 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.1, 2.3 Hz, 1H), 4.56 (s, 1H), 3.87 (dt, J = 19.5, 7.0 Hz, 3H), 3.66 (m, 1H), 2.74 (s, 3H), 2.44 (d, J = 12.2 Hz, 2H), 2.15 (m, 2H), 2.97 (m, 1H), 1.85 (q, J = 12.7 Hz, 2H), 1.56 (m, 2H), 1.49 (m, 5H), 1.38 (t, J = 10.1 Hz, 1H); ESI MS *m/z* 499, $[C_{26}H_{28}ClFN_4O_3 + H]^+$; HPLC 98.7% (AUC), t_R = 9.47 min.

Example 886

N-(1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)-2-aminopropanamide

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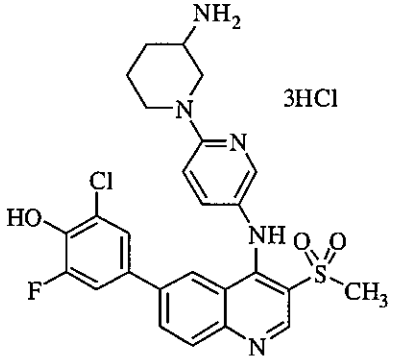
tert-butyl 1-((1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)cyclohexyl amino)-1-oxopropan-2-ylcarbamate (37 mg, 0.060 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (18 mg, 58%) as a white solid: ^{1}H NMR (300 MHz, MeOD) δ 9.09 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.8, 1.7 Hz, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.72 (s, 2H), 4.52 (s, 1H), 3.87 (m, 2H), 2.73 (s, 3H), 2.43 (d, J = 12.3 Hz, 2H), 2.15 (d, J = 12.3 Hz, 2H), 1.84 (q, J = 11.9 Hz, 2H), 1.51 (m, 5H); ESI MS *m/z* 515, $[C_{26}H_{28}Cl_2N_4O_3 + H]^+$; HPLC 97.8% (AUC), t_R = 9.75 min.

Example 909

4-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-3-(methylsulfonyl) quinolin-6-yl)-2-chloro-6-fluorophenol

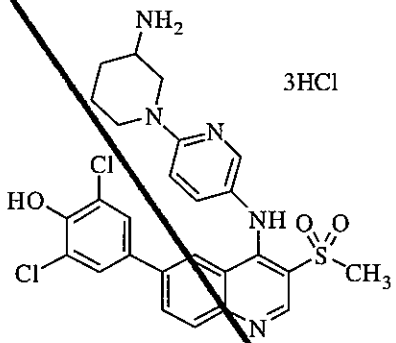


To a suspension of tert-butyl 1-(5-(6-bromo-3-(methylsulfonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate (70 mg, 0.121 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.145 mmol) and $Pd(dppf)Cl_2$ (9 mg, 0.012 mmol) in dioxane (4 mL) was added Cs_2CO_3 (182 µL, 2.0 M solution in H_2O). The reaction mixture was degassed

using N_2 and heated at 140 °C for 30 min. The reaction mixture was cooled to rt and to purification by preperatory HPLC. The crude mixture was then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (15 mg, 19%) as a brown-yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.07 (s, 1H), 8.32 (d, J = 2.7 Hz, 1H), 8.27 (dd, J = 8.8, 1.9 Hz, 1H), 8.05 (d, J = 8.8 Hz, 1H), 7.93 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 9.3, 2.7 Hz, 1H), 7.28 (m, 1H), 7.09 (m, 2H), 4.56 (q, J = 10.4, 9.4 Hz, 1H), 4.09 (d, J = 13.3 Hz, 1H), 3.47 (s, 3H), 3.39 (d, J = 8.1 Hz, 2H), 2.21 (s, 1H), 2.01 (m, 1H), 1.75 (m, 2H); ESI MS *m/z* 542, $[C_{26}H_{25}ClFN_5O_3S + H]^+$; HPLC 99.6% (AUC), t_R = 9.90 min.

Example 913

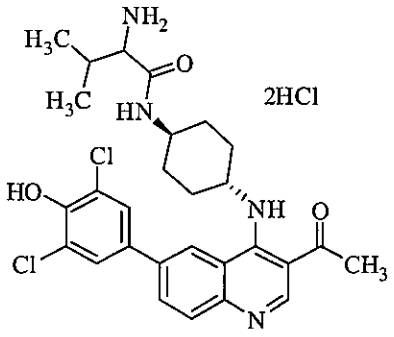
4-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-3-(methylsulfonyl) quinolin-6-yl)-2,6-dichlorophenol



To a suspension of tert-butyl 1-(5-(6-bromo-3-(methylsulfonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate (70 mg, 0.121 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.142 mmol) and $Pd(dppf)Cl_2$ (9 mg, 0.012 mmol) in dioxane (4 mL) was added Cs_2CO_3 (182 μL, 2.0 M solution in H_2O). N_2 gas was bubbled through the reaction mixture, the vessel was sealed and the mixture was then heated imicrowave irradiation conditions to 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to purification by preperatory HPLC. The crude mixture was then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (8.2 mg, 10%) as an orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.03 (s, 1H), 8.27 (d, J = 2.7 Hz, 1H), 8.24 (dd, J = 8.8, 1.9 Hz, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.93 (d, J = 1.9 Hz, 1H), 7.74 (dd, J = 9.2, 2.7 Hz, 1H), 7.22 (s, 2H), 7.11 (d, J = 9.2 Hz, 1H), 4.60(s, 1H), 4.05 (d, J = 13.5 Hz, 1H), 3.45 (s, 3H), 3.26 (m, 3H), 2.19 (d, J = 11.1 Hz, 1H), 1.94 (d, J = 11.0 Hz, 1H), 1.71 (m, 2H); ESI MS *m/z* 558, $[C_{26}H_{25}Cl_2N_5O_3S + H]^+$; HPLC 98.9% (AUC), t_R = 10.14 min.

Example 915

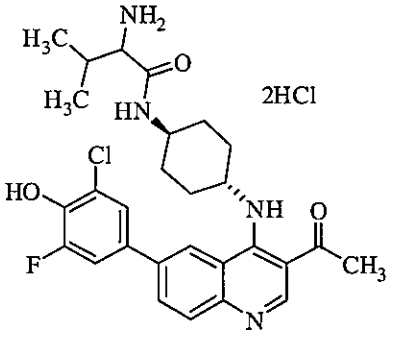
N-(1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)cyclohexyl)-2-amino-3-methylbutanamide



To a solution of tert-butyl 1-(1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinoline -4-ylamino)cyclohexyl amino)-3-methyl-1-oxobutan-2-ylcarbamate (30 mg, 0.046 mmol) in dichloromethane (5 mL) was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature. The resulting precipitate was filtered off, washed with dichloromethane (10 mL) and dried under vacuum to obtain the desired product as the HCl salt (12 mg, 54%) as an orange-brown solid: ^{1}H NMR (500 MHz, MeOD) δ 9.12 – 9.07 (s, 1H), 8.53 – 8.47 (s, 1H), 8.29 – 8.26 (dd, J = 8.8, 1.7 Hz, 1H), 8.01 – 7.94 (d, J = 8.7 Hz, 1H), 7.76 – 7.69 (s, 2H), 4.58 – 4.48 (s, 1H), 3.95 – 3.87 (m, 1H), 3.62 – 3.57 (d, J = 6.0 Hz, 1H), 2.76 – 2.68 (m, 2H), 2.50 – 2.38 (t, J = 13.9 Hz, 2H), 2.22 – 2.12 (td, J = 13.8, 6.7 Hz, 3H), 1.90 – 1.78 (m, 1H), 1.65 – 1.51 (m, 2H), 1.11 – 0.98 (t, J = 6.3 Hz, 6H); ESI MS m/z 545, $[C_{28}H_{32}Cl_2N_4O_3$+ H$]^+$; HPLC 95.6% (AUC), t_R = 10.13 min.

Example 907

N-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)-2-amino-3-methylbutanamide

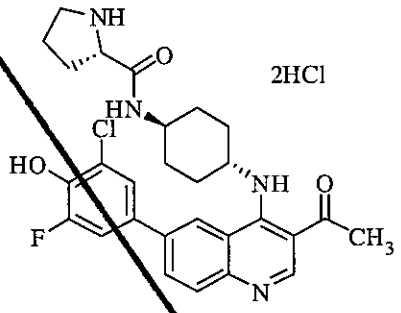


To a solution of tert-butyl 1-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin -4-ylamino) cyclohexylamino)-3-methyl-1-oxobutan-2-ylcarbamate (24 mg, 0.038 mmol) in dichloromethane (5 mL) was added a 2.0 M HCl solution in diethyl ether (2 mL) and the mixture

was stirred for 16 h at room temperature. The resulting precipitate was filtered, washed with dichloromethane (10 mL) and dried under vacuum to obtain the desired product as the HCl salt (9 mg, 40%) as an off-white solid: ^{1}H NMR (300 MHz, MeOD) δ 9.10 (s, 1H), 8.50 (s, 1H), 8.28 (dd, J = 8.8, 1.7 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.59 (s, 1H), 7.53 (dd, J = 11.4, 2.2 Hz, 1H), 4.55 (s, 1H), 3.88 (m, 1H), 3.59 (d, J = 6.1 Hz, 1H), 2.74 (s, 3H), 2.45 (d, J = 12.2 Hz, 2H), 2.17 (q, J = 6.8 Hz, 3H), 1.85 (q, J = 12.5 Hz, 2H), 1.57 (p, J = 12.9 Hz, 2H), 1.06 (dd, J = 6.9, 3.5 Hz, 6H); ESI MS m/z 527, $[C_{28}H_{32}ClFN_4O_3 + H]^+$; HPLC 99.0% (AUC), t_R = 9.97 min.

Example 921

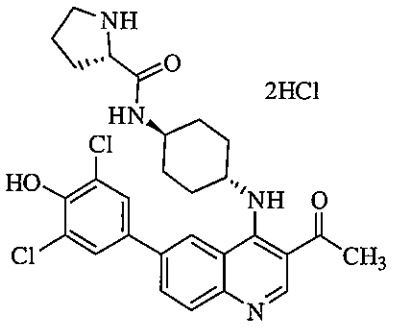
(S)-N-((1R,4S)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)pyrrolidine-2-carboxamide



To a suspension of (S)-tert-butyl 2-((1r,4S)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclo hexylcarbamoyl)pyrrolidine-1-carboxylate (130 mg, 0.232 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan -2-yl)phenol (76 mg, 0.279 mmol) and $Pd(dppf)Cl_2$ (16 mg, 0.023 mmol) in dioxane (4 mL) was added Cs_2CO_3 (348 µL, 2.0 M solution in H_2O). The crude mixture was then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (14 mg, 11%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.50 (s, 1H), 8.27 (dd, J = 8.8, 1.9 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.4, 2.4 Hz, 1H), 4.55 (s, 1H), 4.21 (dd, J = 8.5, 6.8 Hz, 1H), 3.88 (t, J = 11.3 Hz, 1H), 3.42 (dt, J = 11.4, 7.1 Hz, 1H), 2.74 (s, 3H), 2.44 (m, 3H), 2.17 (s, 2H), 2.03 (m, 3H), 1.84 (q, J = 15.4, 14.6 Hz, 2H), 1.56 (dt, J = 20.1, 9.9 Hz, 2H); ESI MS m/z 525, $[C_{28}H_{30}ClFN_4O_3 + H]^+$; HPLC 98.5% (AUC), t_R = 9.70 min.

Example 920

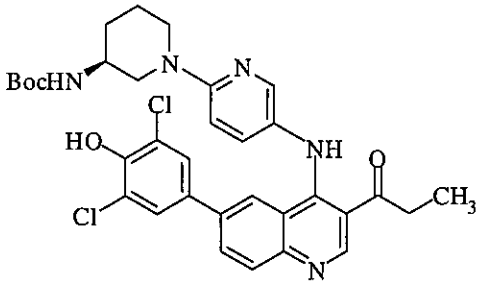
(S)-N-((1R,4S)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)pyrrolidine-2-carboxamide



To a suspension of (S)-tert-butyl 2-((1r,4S)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl carbamoyl)pyrrolidine-1-carboxylate (70 mg, 0.125 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.150 mmol) and $Pd(dppf)Cl_2$ (9 mg, 0.013 mmol) in dioxane (4 mL) was added Cs_2CO_3 (187 μL, 2.0 M solution in H_2O). N_2 gas was bubbled through the reaction mixture and the vessel was sealed. The mixture was then heated under microwave irradiation conditions to 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to purification by preperatory HPLC. The crude mixture was then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (40 mg, 52%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.51 (s, 1H), 8.30 (dd, J = 8.8, 1.8 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.75 (s, 2H), 4.57 (s, 1H), 4.24 (m, 1H), 3.90 (t, J = 11.8 Hz, 1H), 3.44 (dt, J = 11.5, 6.9 Hz, 1H), 3.36 (m, 1H), 2.76 (s, 3H), 2.46 (m, 3H), 2.19 (d, J = 12.2 Hz, 2H), 2.05 (m, 3H), 1.87 (q, J = 13.5 Hz, 2H), 1.58 (p, J = 13.7, 13.2 Hz, 2H); ESI MS m/z 541, $[C_{28}H_{30}Cl_2N_4O_3 + H]^+$; HPLC 97.7% (AUC), t_R = 9.94 min.

Example 1185

(S)-tert-butyl 1-(5-(6-(3,5-dichloro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate

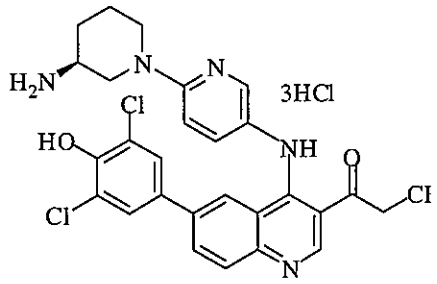


Following general procedure F, (S)-tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate (80 mg, 0.14 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.17 mmol) to afford the desired product (50 mg, 54%) as an orange solid: ESI MS m/z 636 $[C_{33}H_{35}Cl_2N_5O_4 +$

$H]^+$

Example 934

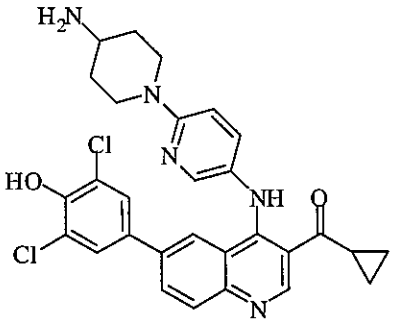
(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl)propan-1-one



Following general procedure A, (S)-tert-butyl 1-(5-(6-(3,5-dichloro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (50 g, 0.078 mmol) was treated with a solution of 3N HCl to afford desired product (36.2 mg, 72%) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.29 (s, 1H), 8.25 (m, 2H), 8.05 (m, 2H), 7.85 (d, J = 8.8 Hz, 1H), 7.31 (m, 3H), 4.52 (s, 1H), 4.06 (d, J = 12.6 Hz, 1H), 3.81 (m, 3H), 2.16 (q, J = 7.0 Hz, 2H), 2.22 (s, 1H), 1.98 (s, 1H), 1.24 (t, J = 7.0 Hz, 3H); APCI MS m/z 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.30 min.

Example 548

(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

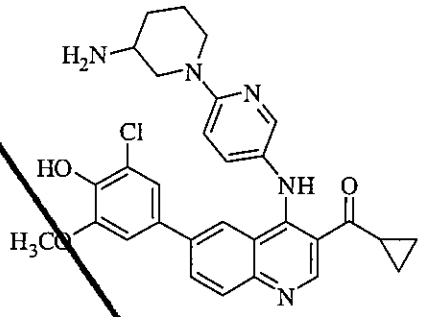


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinoline -4-ylamino)pyridin-2-yl)piperidin-4-ylcarbamate (100 mg, 0.18mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (52 mg, 53% over 2 steps) as a red solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-d)

δ 9.37 (s, 1H), 8.21 (m, 3H), 8.02 (d, J = 8.8 Hz, 1H), 7.72 (dd, J = 9.3, 2.9 Hz, 1H), 7.36 (s, 2H), 7.18 (d, J = 9.2 Hz, 1H), 4.52 (d, J = 13.2 Hz, 2H), 3.44 (m, 1H), 3.14 (t, J = 11.8 Hz, 2H), 2.83 (m, 1H), 2.15 (d, J = 11.5 Hz, 2H), 1.69 (dd, J = 24.5, 7.9 Hz, 2H), 1.21 (m, 4H); ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.73 min.

Example 554

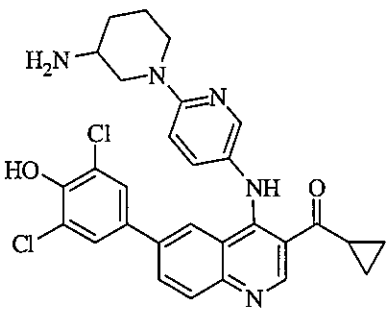
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinoline-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (77 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (39 mg, 40% over 2 steps) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.39 (s, 1H), 8.25 (s, 1H), 8.14 (s, 1H), 8.05 (s, 1H), 7.77 (s, 1H), 7.21 (s, 1H), 7.02 (s, 1H), 6.87 (s, 1H), 4.49 (s, 1H), 4.02 (s, 1H), 3.93 (s, 3H), 2.84 (s, 1H), 2.22 (s, 1H), 1.97 (s, 1H), 1.75 (s, 2H), 1.23(s, 4H); ESI MS *m/z* 544 $[C_{30}H_{30}ClN_5O_3 + H]^+$; HPLC 99.7% (AUC), t_R = 10.68 min.

Example 556

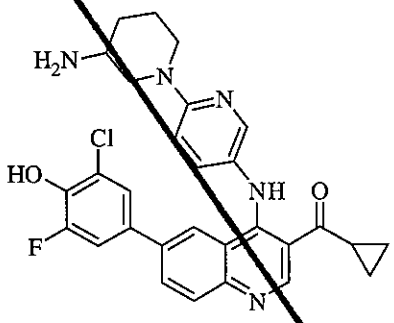
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (49 mg, 50% over 2 steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.22 (m, 2H), 8.12 (s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.70 (dd, J = 9.2, 2.7 Hz, 1H), 7.34 (s, 2H), 7.13 (d, J = 9.3 Hz, 1H), 4.52 (s, 1H), 4.02 (dt, J = 13.0, 4.1 Hz, 1H), 3.35 (m, 2H), 2.85 (tt, J = 7.8, 4.5 Hz, 1H), 2.20 (m, 1H), 1.95 (m, 1H), 1.73 (q, J = 9.8 Hz, 2H), 1.22(m, 4H); ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 99.6% (AUC), t_R = 10.95 min.

Example 561

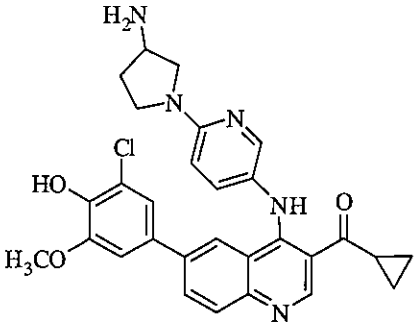
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (39 mg, 41% over 2 steps) as a orange-red solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.22 (m, 2H), 8.09 (s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.71 (dd, J = 9.2, 2.8 Hz, 1H), 7.15 (m, 3H), 4.51 (d, J = 10.3 Hz, 1H), 4.02 (m, 1H), 3.37 (m, 1H), 2.85 (tt, J = 7.7, 4.6 Hz, 1H), 2.20 (m, 1H), 1.97(m, 1H), 1.75 (m, 2H), 1.22(m, 4H); ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.81 min.

Exapmle 568

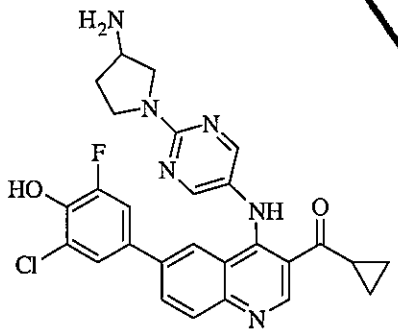
(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (77 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (17 mg, 18% over 2 steps) as a orange-yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.38 (s, 1H), 8.31 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.25 (m, 2H), 8.05 (d, *J* = 8.8 Hz, 1H), 7.89 (dd, *J* = 9.3, 2.6 Hz, 1H), 7.13 (d, *J* = 2.1 Hz, 1H), 7.06 (dd, *J* = 9.3, 0.8 Hz, 1H), 6.90 (s, 1H), 4.15 (dt, *J* = 11.2, 5.7 Hz, 1H), 4.04 (dd, *J* = 11.9, 6.5 Hz, 1H), 3.94 (s, 3H), 3.86 (m, 1H), 3.76 (m, 2H), 2.83 (dt, *J* = 12.1, 6.3 Hz, 1H), 2.60 (dt, *J* = 21.4, 6.6 Hz, 1H), 2.31 (td, *J* = 13.4, 5.7 Hz, 1H), 1.21 (m, 4H); ESI MS *m/z* 529 $[C_{29}H_{28}ClN_5O_3 + H]^+$; HPLC 99.6% (AUC), t_R = 9.97 min.

Exapmle 572

(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

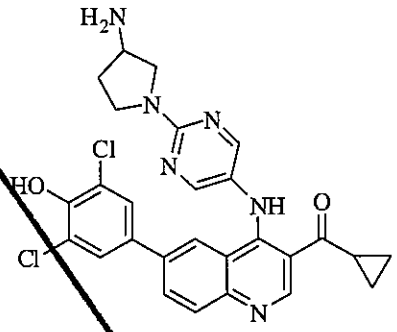


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyrimidin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as a yellow-orange solid: ^{1}H NMR (500

MHz, CD_3OD + TFA-*d*) δ 9.35 (s, 1H), 8.48 (s, 2H), 8.25 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.19 (s, 1H), 8.04 (d, *J* = 8.8 Hz, 1H), 7.22 (s, 2H), 4.06 (m, 2H), 3.91 (dt, *J* = 11.7, 7.4 Hz, 1H), 3.82 (m, 2H), 2.82 (s, 1H), 2.54 (td, *J* = 13.1, 6.8 Hz, 1H), 2.24 (td, *J* = 13.2, 5.7 Hz, 1H), 1.21 (s, 4H); ESI MS *m/z* 519 $[C_{27}H_{24}ClFN_6O_2 + H]^+$; HPLC 99.7% (AUC), t_R = 10.05 min.

Exapmle 574

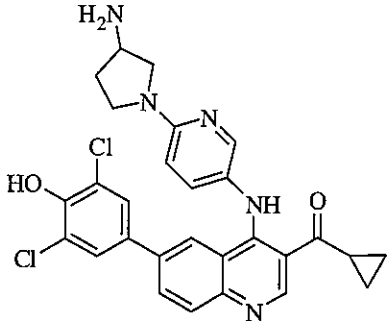
(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyrimidin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (35 mg, 36% over 2 steps) as a yellow-orange solid: ^1H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.34 (s, 1H), 8.47 (s, 2H), 8.26 (m, 2H), 8.04 (d, *J* = 8.8 Hz, 1H), 7.41 (s, 2H), 4.07 (m, 2H), 3.91 (m, 1H), 3.81 (m, 2H), 2.81 (s, 1H), 2.52 (m, 1H), 2.23 (tt, *J* = 13.0, 5.7 Hz, 1H), 1.20 (s, 4H); ESI MS *m/z* 535 $[C_{27}H_{24}Cl_2N_6O_2 + H]^+$; HPLC 100.0% (AUC), t_R = 10.30 min.

Exapmle 575

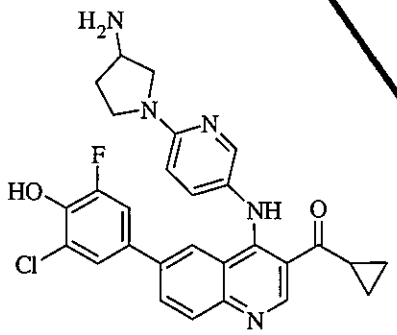
(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (23 mg, 24% over 2 steps) as a orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.35 (s, 1H), 8.33 (s, 1H), 8.30 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.28 (d, *J* = 2.5 Hz, 1H), 8.11 (d, *J* = 8.8 Hz, 1H), 8.04 (dd, *J* = 9.6, 2.5 Hz, 1H), 7.49 (s, 2H), 7.26 (d, *J* = 9.5 Hz, 1H), 4.22 (p, *J* = 6.3 Hz, 1H), 4.12 (dd, *J* = 12.0, 6.5 Hz, 1H), 3.96 (dt, *J* = 10.9, 7.5 Hz, 1H), 3.86 (m, 2H), 2.78 (p, *J* = 7.2 Hz, 1H), 2.65 (td, *J* = 15.3, 14.8, 6.8 Hz, 1H), 2.40 (td, *J* = 13.3, 5.3 Hz, 1H), 1.20 (m, 4H);ESI MS *m/z* 534 $[C_{28}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 99.6% (AUC), t_R = 10.29 min.

Example 576

(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

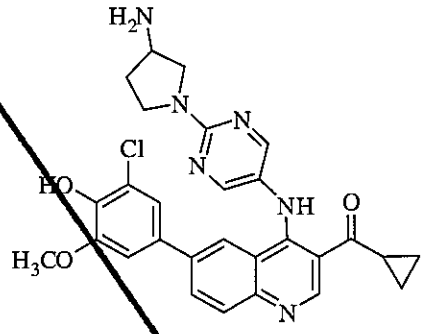


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (21 mg, 23% over 2 steps) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.36 (s, 1H), 8.27 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.24 (d, *J* = 2.7 Hz, 2H), 8.06 (d

J = 8.8 Hz, 1H), 7.90 (dd, J = 9.4, 2.6 Hz, 1H), 7.27 (m, 2H), 7.08 (d, J = 9.4 Hz, 1H), 4.16 (p, J = 6.2 Hz, 1H), 4.05 (dd, J = 11.9, 6.5 Hz, 1H), 3.88 (dt, J = 10.8, 7.5 Hz, 1H), 3.79 (dt, J = 10.5, 3.3 Hz, 2H), 2.81 (p, J = 6.0 Hz, 1H), 2.61 (dq, J = 13.3, 6.6 Hz, 1H), 2.33 (td, J = 13.4, 5.3 Hz, 1H), 1.20 (m, 4H); ESI MS m/z 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC 99.4% (AUC), t_R = 10.02 min.

Example 582

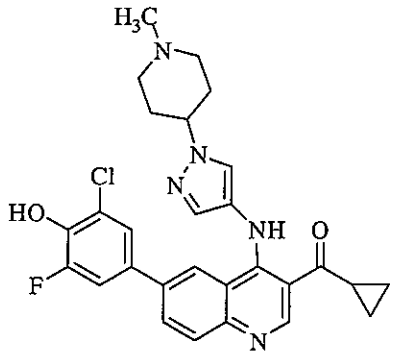
(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyrimidin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (77 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (20 mg, 21% over 2 steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-d) δ 9.35 (s, 1H), 8.48 (s, 2H), 8.29 (dd, J = 8.8, 1.9 Hz, 1H), 8.21 (s, 1H), 8.04 (d, J = 8.8 Hz, 1H), 7.09 (s, 1H), 6.89 (s, 1H), 4.04 (m, 2H), 3.94 (s, 3H), 3.89 (m, 1H), 3.79 (m, 2H), 2.82 (s, 1H), 2.52 (ddd, J = 14.8, 12.7, 6.4 Hz, 1H), 2.23 (dt, J = 11.6, 6.2 Hz, 1H), 1.21 (s, 4H); ESI MS m/z 531 $[C_{28}H_{27}ClN_6O_3 + H]^+$; HPLC 99.9% (AUC), t_R = 10.11 min.

Example 592

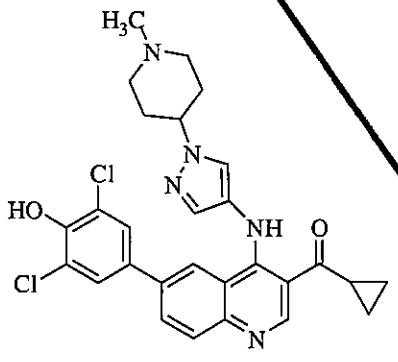
(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino) quinolin-3-yl)(cyclopropyl)methanone (50 mg, 0.11mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.17 mmol) to afford the desired product (35 mg, 59%)as a yellow-green solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.94 (s, 1H), 8.24 (m, 1H), 8.02 (m, 2H), 7.68 (s, 1H), 7.22 (s, 2H), 4.62 (t, J = 5.3 Hz, 1H), 3.69 (d, J = 12.7 Hz, 2H), 3.50 (s, 1H), 3.25 (m, 2H), 2.95 (s, 3H), 2.39 (m, 4H), 1.24 (m, 4H); ESI MS *m/z* 520 $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC 99.5% (AUC), t_R = 10.37 min.

Example 593

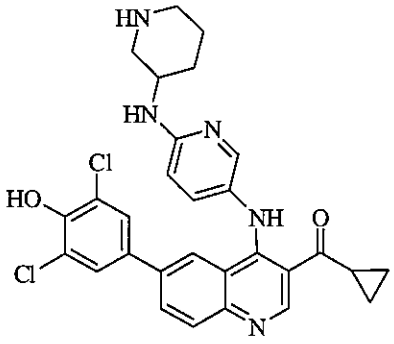
Cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino) quinoline-3-yl)(cyclopropyl)methanone (50 mg, 0.11mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.17 mmol) to afford the desired product (36 mg, 63%)as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.45 (s, 1H), 8.23 (d, J = 9.0 Hz, 1H), 8.01 (d, J = 9.2 Hz, 2H), 7.68 (s, 1H), 7.40 (s, 1H), 4.62 (m, 1H), 3.68 (d, J = 12.8 Hz, 2H), 3.41 (m, 1H), 3.25 (m, 2H), 2.94 (s, 3H), 2.37 (m, 3H), 1.22 (m, 3H); ESI MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.57 min.

Exapmle 610

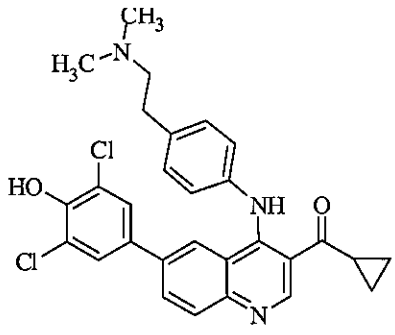
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridine-3-ylamino)quinolin-3-yl)methanone



Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-ylamino)piperidine-1-carboxylate (100 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2- dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (11 mg, 11% over 2 steps) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.23 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.15 (s, 1H), 8.12 (d, *J* = 2.6 Hz, 1H), 8.00 (d, *J* = 8.7 Hz, 1H), 7.58 (dd, *J* = 9.0, 2.7 Hz, 1H), 7.36 (s, 2H), 6.82 (d, *J* = 9.2 Hz, 1H), 4.22 (ddd, *J* = 14.0, 10.0, 3.8 Hz, 1H), 3.63 (dd, *J* = 12.1, 3.5 Hz, 1H), 3.02 (td, *J* = 11.9, 3.4 Hz, 1H), 2.89 (m, 2H), 2.12 (m, 1H), 1.86 (dtt, *J* = 14.9, 11.2, 3.7 Hz, 1H), 1.71 (q, *J* = 10.1 Hz, 1H), 1.21 (m, 4H); ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 99.5% (AUC), t_R = 10.58 min.

Exapmle 613

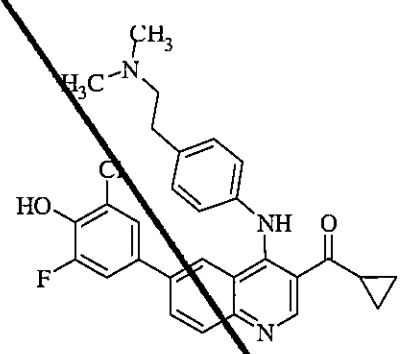
Cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl) phenylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(4-(2-(dimethylamino)ethyl)phenyl amino)quinolin-3-yl)(cyclopropyl)methanone (100 mg, 0.23 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (98 mg, 0.34 mmol) to afford the desired product (66 mg, 55%)as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.46 (s, 1H), 8.21 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.01 (d, *J* = 8.8 Hz, 1H), 7.89 (s, 1H), 7.56 (d, *J* = 8.4 Hz, 2H), 7.46 (d, *J* = 8.4 Hz, 2H), 7.23 (s, 2H), 3.40 (m, 2H), 3.22 (m, 2H), 2.98 (s, 6H), 2.90 (m, 1H), 1.22 (m, 4H); ESI MS *m/z* 520 $[C_{29}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 97.6% (AUC), t_R = 11.15 min.

Exapmle 615

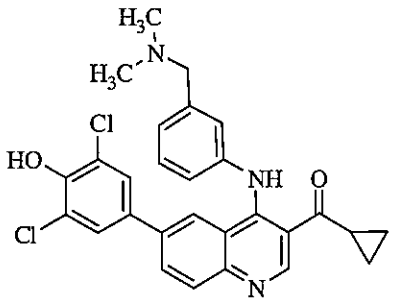
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone (100 mg, 0.23 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (93 mg, 0.34 mmol) to afford the desired product (83 mg, 72%)as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.46 (s, 1H), 8.21 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.01 (d, *J* = 8.8 Hz, 1H), 7.89 (s, 1H), 7.57 (d, *J* = 8.4 Hz, 2H), 7.47 (d, *J* = 8.4 Hz, 2H), 7.04 (m, 2H), 3.41 (m, 2H), 3.21 (m, 2H), 2.99 (s, 7H), 2.90 (m, 1H), 1.23 (m, 4H); ESI MS *m/z* 504 $[C_{29}H_{27}ClFN_3O_2 + H]^+$; HPLC 97.0% (AUC), t_R = 10.95 min.

Example 624

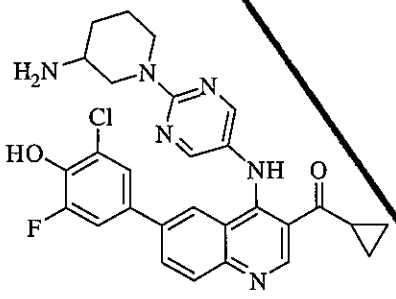
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(3-((dimethylamino)methyl)phenylamino)quinoline -3-yl)(cyclopropyl)methanone (100 mg, 0.23mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (98 mg, 0.34 mmol) to afford the desired product (87 mg, 75%)as a yellow-green solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.45 (s, 1H), 8.25 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.05 (d, *J* = 8.8 Hz, 1H), 7.97 (s, 1H), 7.74 (m, 1H), 7.63 (m, 3H), 7.28 (s, 2H), 4.39 (s, 2H), 2.84 (m, 7H), 1.19(m, 4H); ESI MS *m/z* 506 [$C_{28}H_{25}Cl_2N_3O_2$ + H]$^+$; HPLC 98.0% (AUC), t_R = 11.15 min.

Exapmle 626

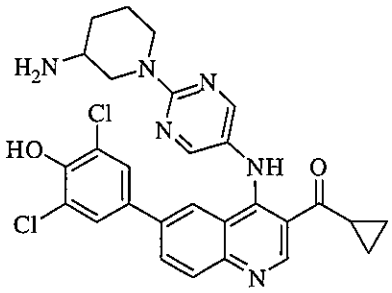
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (106 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (28 mg, 23% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.34 (br s, 1H), 8.45 (s, 2H), 8.25 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.21 (br s, 1 H), 8.04 (d, *J* = 8.8 Hz, 1H), 7.25 (br s, 1H), 4.81 – 4.74 (m, 1H), 4.47 (d, *J* = 12.8 Hz, 1H), 3.48 – 3.31 (m, 3H), 2.80 (br s, 1H), 2.24 – 2.16 (m, 1H), 1.97 – 1.87 (m, 1H), 1.81 – 1.62 (m, 2H), 1.21 – 1.16 (m, *J* = 7.3 Hz, 4H); ESI MS *m/z* 533 [$C_{28}H_{26}ClFN_6O_2$ + H]$^+$; HPLC >99.0% (AUC), t_R = 10.89 min.

Example 628

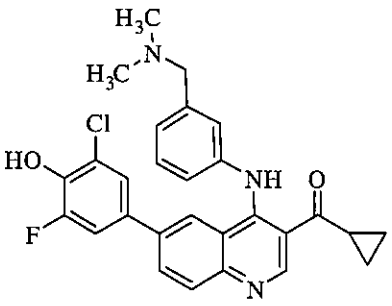
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (112 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (8.0 mg, 8% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.33 (br s, 1H), 8.44 (s, 2H), 8.27 (dd, J = 8.9, 1.8 Hz, 1H), 8.29 – 8.19 (m, 1H), 8.04 (d, J = 8.9 Hz, 1H), 7.44 (br s, 2H), 4.81 – 4.71 (m, 1H), 4.48 – 4.44 (m, 1H), 3.46 – 3.31 (m, 3H), 2.84 – 2.77 (m, 1H), 2.23 – 2.16 (m, 1H), 1.96 – 1.87 (m, 1H), 1.80 – 1.60 (m, 2H), 1.21 – 1.15 (m, 4H); ESI MS *m/z* 549 [$C_{28}H_{26}Cl_2N_6O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 11.00 min.

Example 629

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone

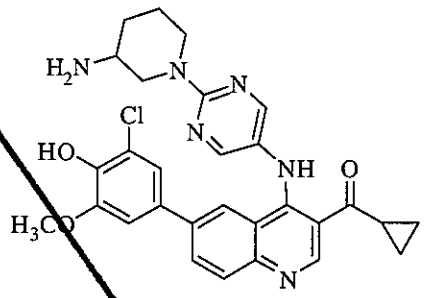


Following general procedure D, (6-bromo-4-(3-((dimethylamino)methyl)phenylamino)quinoline -3-yl)(cyclopropyl)methanone (100 mg, 0.23 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (93 mg, 0.34 mmol) to afford the desired product (81 mg, 72%) as a yellow-green solid. ^{1}H NMR (300 MHz, MeOD + TFA-*d*) δ 9.44 (s,

1H), 8.25 (dd, J = 8.9, 1.9 Hz, 1H), 8.09 – 7.95 (m, 2H), 7.79 – 7.54 (m, 4H), 7.19 (dd, J = 11.5, 2.3 Hz, 1H), 7.06 (s, 1H), 4.38 (s, 2H), 2.91 – 2.82 (m, 1H), 2.83 (s, 6H), 1.23 – 1.14 (m, 4H); ESI MS m/z 490 $[C_{29}H_{25}ClFN_3O_2 + H]^+$; HPLC 97.3% (AUC), t_R = 11.07 min.

Example 644

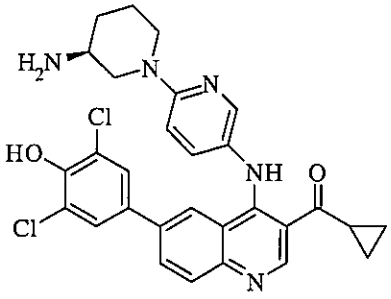
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (111 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (16 mg, 11% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-d) δ 9.35 (br s, 1H), 8.45 (s, 2H), 8.29 (dd, J = 8.8, 1.9 Hz, 1H), 8.26 – 8.15 (br s, 1H), 8.03 (d, J = 8.8 Hz, 1H), 7.07 (br s, 1H), 6.96 (br s, 1H), 4.76 (d, J = 12.9 Hz, 1H), 4.45 (br s, 1H), 3.37 – 3.31 (m, 1H), 2.82 (br s, 1H), 2.23 – 2.16 (m, 1H), 1.96 – 1.85 (m, 1H), 1.78 – 1.68 (m, 1H), 1.68 – 1.56 (m, 1H), 1.22 – 1.16 (m, 4H); ESI MS m/z 545 $[C_{29}H_{29}ClN_6O_3 + H]^+$; HPLC 98.5% (AUC), t_R = 10.69 min.

Exapmle 646

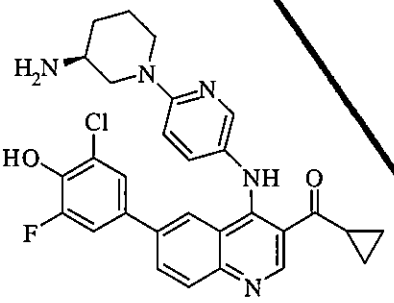
(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (75 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (29 mg, 31% over 2 steps) as a light orange solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.25 – 8.19 (m, 2H), 8.11 (br s, 1H), 8.01 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.32 (s, 2H), 7.7 (d, *J* = 9.1 Hz, 1H), 4.53 (br s, 1H), 4.00 (dt, *J* = 12.8, 4.0 Hz, 1H), 3.39 – 3.23 (m, 3H), 2.86 (br s, 1H), 2.22 – 2.12 (m, 1H), 1.98 – 1.88 (m, 1H), 1.78 – 1.64 (m, 2H), 1.26 – 1.16 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.97 min.

Example 648

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

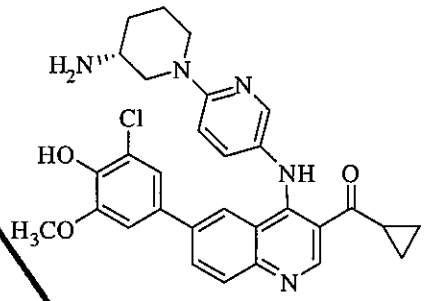


Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (71 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (27 mg, 30% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.15 (m, 2H), 8.06 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.66 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.16 – 7.05 (m, 3H), 4.53 (d, *J* = 11.0 Hz, 1H), 4.01 (dd, *J* = 13.4, 4.6 Hz, 1H), 3.41 – 3.23 (m, 3H), 2.86 (br s, 1H), 2.23 – 2.15 (m, 1H), 1.99 – 1.88 (m, 1H), 1.79 – 1.66 (m,

2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.78 min.

Example 649

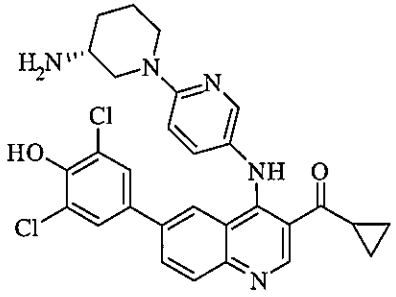
(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (30 mg, 32% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.20 (m, 2H), 8.10 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.05 (d, *J* = 9.1 Hz, 1H), 6.99 (s, 1H), 6.83 (br s, 1H), 4.55 – 4.49 (d, *J* = 11.6 Hz, 1H), 4.04 – 3.95 (m, 1H), 3.91 (s, 3H), 3.28 – 3.18 (m, 3H), 2.87 (br s, 1H), 2.22 – 2.14 (m, 1H), 1.96 – 1.87 (m, 1H), 1.76 – 1.62 (m, 2H), 1.28 – 1.16 (m, 4H).; ESI MS *m/z* 544 $[C_{30}H_{30}ClN_5O_3 + H]^+$; HPLC >99.0% (AUC), t_R = 10.74 min.

Example 650

(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

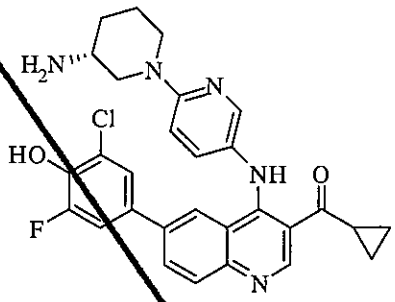


Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with

2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (75 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (18 mg, 19% over 2 steps) as a yellow-orange solid. NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.25 – 8.19 (m, 2H), 8.10 (br s, 1H), 8.01 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.32 (s, 2H), 7.07 (d, *J* = 9.1 Hz, 1H), 4.53 (br s, 1H), 4.01 (dt, *J* = 13.7, 4.0 Hz, 1H), 3.39 – 3.23 (m, 1H), 2.86 (br s, 1H), 2.22 – 2.12 (m, 1H), 1.97 – 1.88 (m, 1H), 1.78 – 1.64 (m, 2H), 1.26 – 1.16 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.96 min.

Example 651

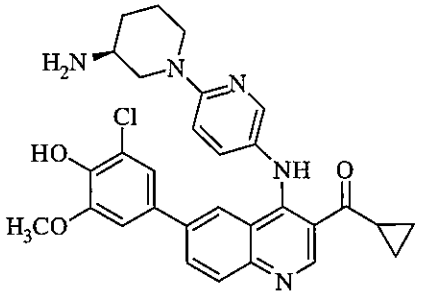
(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (71 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (33 mg, 37% over 2 steps) as an orange-yellow solid ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.41 (s, 1H), 8.28 – 8.14 (m, 2H), 8.05 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.16 – 7.04 (m, 3H), 4.53 (d, *J* = 11.6 Hz, 1H), 3.99 (dt, *J* = 13.7, 4.0 Hz, 1H), 3.40 – 3.21 (m, 3H), 2.86 (br s, 3H), 2.23 – 2.15 (m, 1H), 1.98 – 1.89 (m, 1H), 1.79 – 1.65 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.77 min.

Example 660

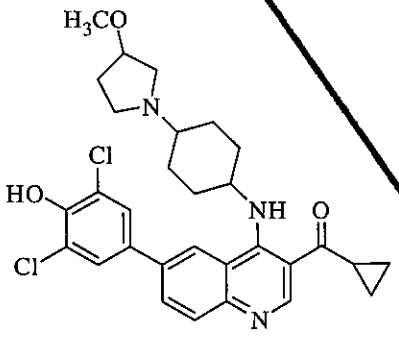
(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.20 (m, 2H), 8.10 (br s, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.65 (dd, J = 9.1, 2.8 Hz, 1H), 7.05 (d, J = 9.1 Hz, 1H), 6.99 (s, 1H), 6.83 (br s, 1H), 4.52 (d, J = 11.7 Hz, 1H), 4.04 – 3.96 (m, 1H), 3.91 (s, 3H), 3.37 – 3.18 (m, 3H), 2.87 (br s, 1H), 2.21 – 2.14 (m, 1H), 1.96 – 1.87 (m, 1H), 1.76 – 1.62 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 544 [$C_{30}H_{30}ClN_5O_3$ + H]$^+$; HPLC >99.0% (AUC), t_R = 10.76 min.

Example 661

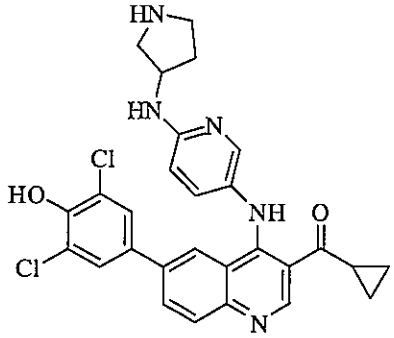
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl) cyclohexylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl)methanone (60 mg, 0.13 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.19 mmol) to afford the desired product (46 mg, 64%) as a brown solid: ^{1}H NMR ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.42 (s, 1H), 8.46 (s, 1H), 8.31 – 8.23 (m, 1H), 8.04 – 7.97 (m, 1H), 7.75 (s, 1H), 7.71 (s, 1H), 4.99 (br s, 1H), 4.23 – 4.14 (m, 1H), 3.82 – 3.61 (m, 2H), 3.43 – 3.30 (m, 6H), 3.27 – 3.19 (m, 1H), 2.93 – 2.82 (m, 1H), 2.60 – 2.03 (m, 7H), 2.02 – 1.61 (m, 3H),1.37 – 1.18 (m, 4H).; ESI MS m/z 554 [$C_{30}H_{33}Cl_2N_3O_3$ + H]$^+$; HPLC >99.0% (AUC), t_R = 10.97 min.

Example 666

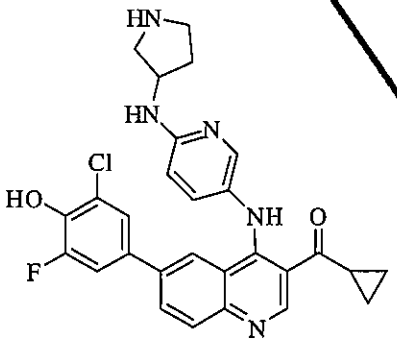
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino) quinolin-3-yl)methanone



Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-ylamino)pyrrolidine-1-carboxylate (100 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (43 mg, 45% over 2 steps) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.26 – 8.07 (m, 3H), 8.00 (d, *J* = 8.9 Hz, 1H), 7.57 (dd, *J* = 8.9, 2.7 Hz, 1H), 7.34 (s, 2H), 6.85 – 6.77 (m, 1H), 4.65 – 4.56 (m, 1H), 3.66 – 3.49 (m, 2H), 3.44 – 3.30 (m, 2H), 2.86 (br s, 1H), 2.47 – 2.36 (m, 1H), 2.18 – 2.07 (m, 1H), 1.27 – 1.15 (m, 4H).ESI MS *m/z* 534 $[C_{28}H_{25}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.64 min.

Example 667

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin- 3-ylamino) quinolin-3-yl)(cyclopropyl)methanone

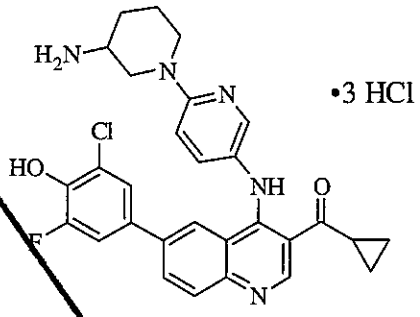


Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-ylamino)pyrrolidine-1-carboxylate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.22 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.17 – 8.06 (m, 2H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.55 (dd, *J* = 8.9, 2.7 Hz, 1H), 7.19 – 7.13 (m, 2H), 6.83 – 6.76 (m, 1H), 4.65 – 4.56 (m,

1H), 3.66 – 3.49 (m, 2H), 3.45 – 3.30 (m, 2H), 2.87 (br s, 1H), 2.48 – 2.37 (m, 1H), 2.19 – 2.08 (m, 1H), 1.28 – 1.16 (m, 4H). ESI MS *m/z* 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.43 min.

Example 562

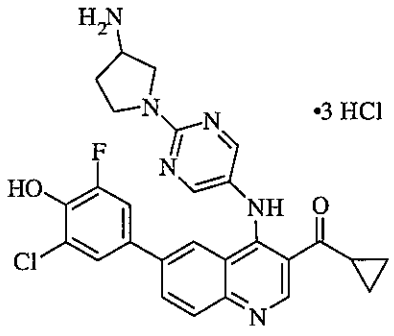
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin -3-yl)(cyclopropyl)methanone (12 mg, 0.02 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (10 mg, 80%) as an orange-red solid: ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.27 – 8.19 (m, 2H), 8.13 (br s, 1H), 8.02 (d, *J* = 8.8 Hz, 1H), 7.72 (dd, *J* = 9.2, 2.7 Hz, 1H), 7.21 – 7.07 (m, 3H), 4.52 (d, *J* = 10.8 Hz, 1H), 4.06 – 3.98 (m, 1H), 3.43 – 3.31 (m, 3H), 2.90 – 2.81 (m, 1H), 2.28 – 2.16 (m, 1H), 2.01 – 1.92 (m, 1H), 1.80 – 1.68 (m, 2H), 1.31 – 1.15 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.82 min.

Example 573

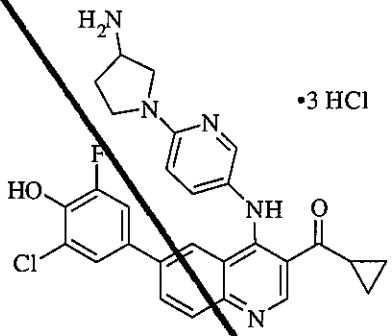
(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone (30 mg, 0.06 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (33 mg, 92%) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.31 (br s, 1H), 8.45 (s, 2H), 8.23 – 8.14 (m, 2H), 8.02 (d, J = 8.8 Hz, 1H), 7.26 – 7.20 (m, 2H), 4.11 – 4.00 (m, 2H), 3.94 – 3.73 (m, 3H), 2.83 (br s, 1H), 2.58 – 2.48 (m, 1H), 2.28 – 2.17 (m, 1H), 1.22 – 1.14 (m, 4H), 0.92 – 0.88 (s, 0H).ESI MS *m/z* 519 [$C_{27}H_{24}ClFN_6O_2$ + H]$^+$; HPLC >99.0% (AUC), t_R = 5.18 min.

Example 577

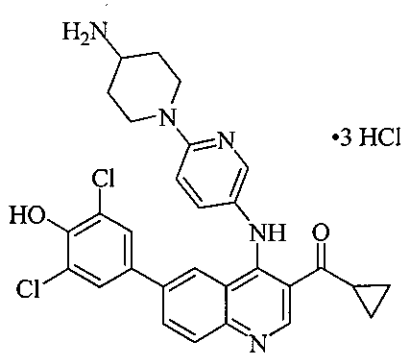
(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone (15 mg, 0.03 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (23 mg, quant.) as a yellow-brown solid. ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.28 – 8.19 (m, 2H), 8.16 (br s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.79 (dd, J = 9.1, 2.7 Hz, 1H), 7.26 – 7.16 (m, 2H), 6.94 (d, J = 9.2 Hz, 1H), 4.16 – 4.08 (m, 1H), 4.05 – 3.97 (m, 1H), 3.88 – 3.79 (m, 1H), 3.78 – 3.69 (m, 2H), 2.85 (br s, 1H), 2.64 – 2.53 (m, 1H), 2.34 – 2.21 (m, 1H), 1.25 – 1.15 (m, 4H). ESI MS *m/z* 518 [$C_{28}H_{25}ClFN_5O_2$ + H]$^+$; HPLC 98.1% (AUC), t_R = 5.13 min.

Example 549

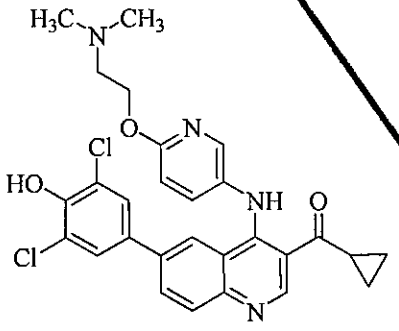
(4-(6-(4-Aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-l) (cyclopropyl)methanone hydrochloride



A suspension of{4-[6-(4-Aminopiperidin-1-yl)pyridin-3-ylamino]-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl}(cyclopropyl)methanone (19 mg, 0.02 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until the suspension was clear. The solvent was removed under reduced pressure to afford the desired product (19 mg, 83%) as a red solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.33 (s, 1H), 8.16–8.08 (m, 2H), 8.05 (s, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.57 (dd, J = 8.8, 2.9 Hz, 1H), 7.29 (s, 2H), 7.04 (d, J = 9.1 Hz, 1H), 4.52 (d, J = 13.4 Hz, 2H), 3.44–3.32 (m, 1H), 3.08–2.99 (m, 2H), 2.88 (s, 1H), 2.11 (d, J = 12.2 Hz, 2H), 1.71–1.59 (m, 2H), 1.24–1.13 (m, 4H); ESI MS m/z 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99% (AUC), t_R =11.52 min.

Example 711

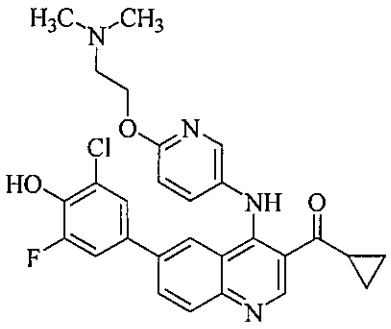
Cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy) pyridin-3-ylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino) quinolin-3-yl)(cyclopropyl)methanone (100 mg, 0.22 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2- dioxaborolan-2-yl)phenol (95 mg, 0.33 mmol) to afford the desired product (24 mg, 20%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-d) δ 9.25 (s, 1H), 8.07 (d, J = 2.8 Hz, 1H), 7.94 (s, 2H), 7.80 (s, 1H), 7.56 (dd, J = 8.5, 2.8 Hz, 1H), 7.18 (s, 2H), 6.93 (d, J = 8.5 Hz, 1H), 4.54 (t, J = 5.5 Hz, 2H), 3.04 (t, J = 5.5 Hz, 2H), 2.95–2.86 (m, 1H), 2.54 (s, 6H), 1.23–1.14 (m, 2H), 1.17 – 1.08 (m, 2H); ESI MS m/z 537 $[C_{28}H_{26}Cl_2N_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.42 min.

Example 710

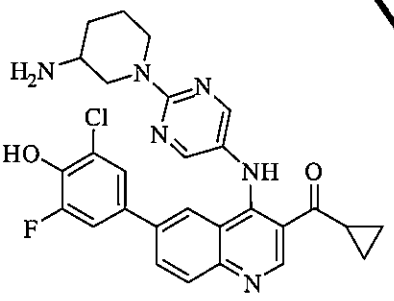
(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone (100 mg, 0.22 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (90 mg, 0.33 mmol) to afford the desired product (21 mg, 18%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.25 (s, 1H), 8.08 (d, J = 2.7 Hz, 1H), 7.94 (m, 2H), 7.82 (s, 1H), 7.56 (dd, J = 8.8, 2.7 Hz, 1H), 7.06–6.96 (m, 2H), 6.92 (d, J = 8.8 Hz, 1H), 4.53 (t, J = 5.5 Hz, 2H), 3.00 (t, J = 5.5 Hz, 2H), 2.95–2.86 (m, 1H), 2.51 (s, 6H), 1.23 – 1.14 (m, 2H), 1.17 – 1.07 (m, 2H); ESI MS *m/z* 521 $[C_{28}H_{26}ClFN_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.15 min.

Example 626

(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

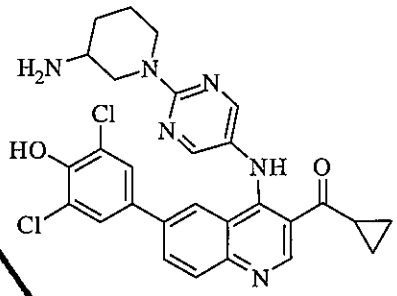


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (106 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (28 mg, 23% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.34 (br s, 1H), 8.45 (s, 2H), 8.25 (dd, J = 8.8, 1.9 Hz, 1H), 8.21 (br s, 1 H), 8.04 (d, J =

8.8 Hz, 1H), 7.25 (br s, 1H), 4.81 – 4.74 (m, 1H), 4.47 (d, J = 12.8 Hz, 1H), 3.48 – 3.31 (m, 3H), 2.80 (br s, 1H), 2.24 – 2.16 (m, 1H), 1.97 – 1.87 (m, 1H), 1.81 – 1.62 (m, 2H), 1.21 – 1.16 (m, J = 7.3 Hz, 4H); ESI MS m/z 533 $[C_{28}H_{26}ClFN_6O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.89 min.

Example 628

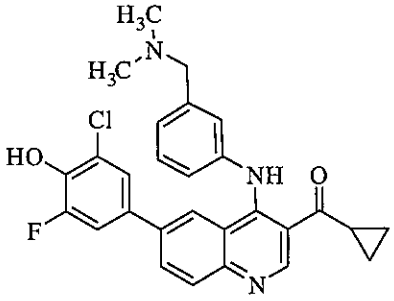
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (112 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (8.0 mg, 8% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-d) δ 9.33 (br s, 1H), 8.44 (s, 2H), 8.27 (dd, J = 8.9, 1.8 Hz, 1H), 8.29 – 8.19 (m, 1H), 8.04 (d, J = 8.9 Hz, 1H), 7.44 (br s, 2H), 4.81 – 4.71 (m, 1H), 4.48 – 4.44 (m, 1H), 3.46 – 3.31 (m, 3H), 2.84 – 2.77 (m, 1H), 2.23 – 2.16 (m, 1H), 1.96 – 1.87 (m, 1H), 1.80 – 1.60 (m, 2H), 1.21 – 1.15 (m, 4H); ESI MS m/z 549 $[C_{28}H_{26}Cl_2N_6O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.00 min.

Example 629

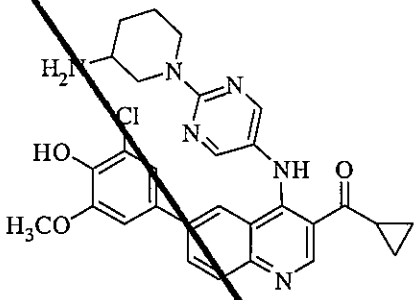
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(3-((dimethylamino)methyl)phenylamino)quinoline -3-yl)(cyclopropyl)methanone (100 mg, 0.23 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (93 mg, 0.34 mmol) to afford the desired product (81 mg, 72%) as a yellow-green solid. ^{1}H NMR (300 MHz, MeOD + TFA-*d*) δ 9.44 (s, 1H), 8.25 (dd, *J* = 8.9, 1.9 Hz, 1H), 8.09 – 7.95 (m, 2H), 7.79 – 7.54 (m, 4H), 7.19 (dd, *J* = 11.5, 2.3 Hz, 1H), 7.06 (s, 1H), 4.38 (s, 2H), 2.91 – 2.82 (m, 1H), 2.83 (s, 6H), 1.23 – 1.14 (m, 4H); ESI MS *m/z* 490 [$C_{29}H_{29}ClFN_3O_2$ + H]$^+$; HPLC 97.3% (AUC), t_R = 11.07 min.

Example 644

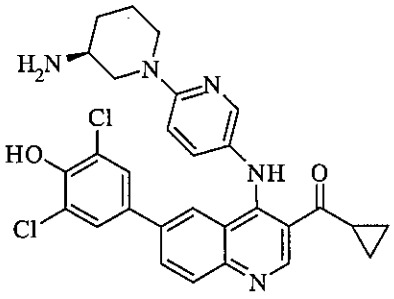
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (111 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (16 mg, 11% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.35 (br s, 1H), 8.45 (s, 2H), 8.29 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.26 – 8.15 (br s, 1H), 8.03 (d, *J* = 8.8 Hz, 1H), 7.07 (br s, 1H), 6.96 (br s, 1H), 4.76 (d, *J* = 12.9 Hz, 1H), 4.45 (br s, 1H), 3.37 – 3.31 (m, 1H), 2.82 (br s, 1H), 2.23 – 2.16 (m, 1H), 1.96 – 1.85 (m, 1H), 1.78 – 1.68 (m, 1H), 1.68 – 1.56 (m, 1H), 1.22 – 1.16 (m, 4H); ESI MS *m/z* 545 [$C_{29}H_{29}ClN_6O_3$ + H]$^+$; HPLC 98.5% (AUC), t_R = 10.69 min.

Example 646

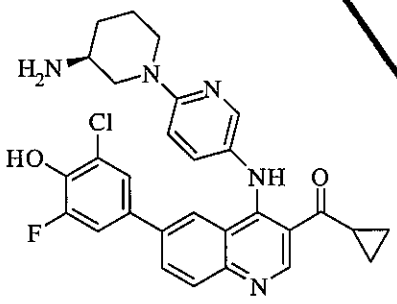
(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (75 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (29 mg, 31% over 2 steps) as a light orange solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.25 – 8.19 (m, 2H), 8.11 (br s, 1H), 8.01 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.32 (s, 2H), 7.7 (d, *J* = 9.1 Hz, 1H), 4.53 (br s, 1H), 4.00 (dt, *J* = 12.8, 4.0 Hz, 1H), 3.39 – 3.23 (m, 3H), 2.86 (br s, 1H), 2.22 – 2.12 (m, 1H), 1.98 – 1.88 (m, 1H), 1.78 – 1.64 (m, 2H), 1.26 – 1.16 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.97 min.

Example 648

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

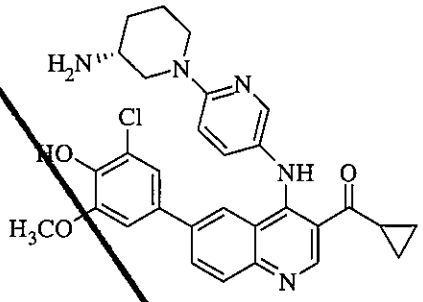


Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (71 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (27 mg, 30% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD

+ TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.15 (m, 2H), 8.06 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.66 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.16 – 7.05 (m, 3H), 4.53 (d, *J* = 11.0 Hz, 1H), 4.01 (dd, *J* = 13.4, 4.6 Hz, 1H), 3.41 – 3.23 (m, 3H), 2.86 (br s, 1H), 2.23 – 2.15 (m, 1H), 1.99 – 1.88 (m, 1H), 1.79 – 1.66 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.78 min.

Example 649

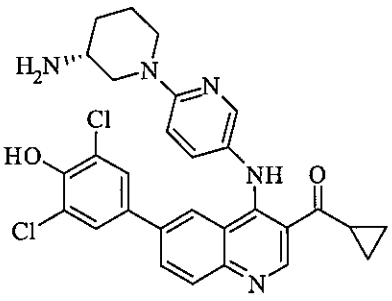
(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (30 mg, 32% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.20 (m, 2H), 8.10 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.05 (d, *J* = 9.1 Hz, 1H), 6.99 (s, 1H), 6.83 (br s, 1H), 4.55 – 4.49 (d, *J* = 11.6 Hz, 1H), 4.04 – 3.95 (m, 1H), 3.91 (s, 3H), 3.28 – 3.18 (m, 3H), 2.87 (br s, 1H), 2.22 – 2.14 (m, 1H), 1.96 – 1.87 (m, 1H), 1.76 – 1.62 (m, 2H), 1.28 – 1.16 (m, 4H).; ESI MS *m/z* 544 $[C_{30}H_{30}ClN_5O_3 + H]^+$; HPLC >99.0% (AUC), t_R = 10.74 min.

Example 650

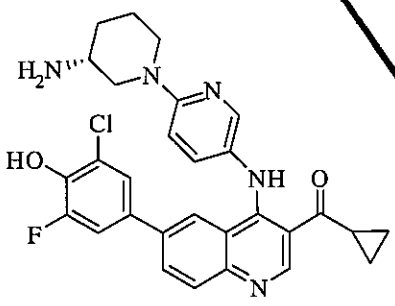
(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (75 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (18 mg, 19% over 2 steps) as a yellow-orange solid. NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.25 – 8.19 (m, 2H), 8.10 (br s, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.65 (dd, J = 9.1, 2.8 Hz, 1H), 7.32 (s, 2H), 7.07 (d, J = 9.1 Hz, 1H), 4.53 (br s, 1H), 4.01 (dt, J = 13.7, 4.0 Hz, 1H), 3.39 – 3.23 (m, 1H), 2.86 (br s, 1H), 2.22 – 2.12 (m, 1H), 1.97 – 1.88 (m, 1H), 1.78 – 1.64 (m, 2H), 1.26 – 1.16 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.96 min.

Example 651

(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

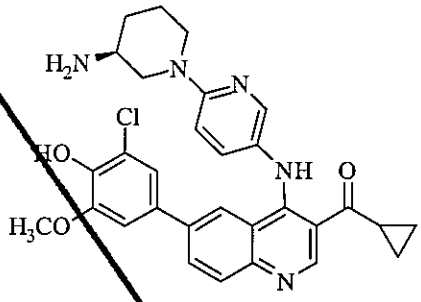


Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (71 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (33 mg, 37% over 2 steps) as an orange-yellow solid ^{1}H NMR (500 MHz,

MeOD + TFA-*d*) δ 9.41 (s, 1H), 8.28 – 8.14 (m, 2H), 8.05 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.16 – 7.04 (m, 3H), 4.53 (d, *J* = 11.6 Hz, 1H), 3.99 (dt, *J* = 13.7, 4.0 Hz, 1H), 3.40 – 3.21 (m, 3H), 2.86 (br s, 3H), 2.23 – 2.15 (m, 1H), 1.98 – 1.89 (m, 1H), 1.79 – 1.65 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}Cl_FN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.77 min.

Example 660

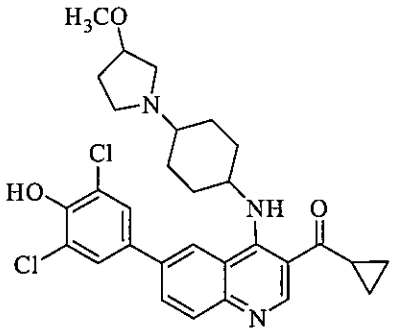
(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.20 (m, 2H), 8.10 (br s, 1H), 7.99 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.05 (d, *J* = 9.1 Hz, 1H), 6.99 (s, 1H), 6.83 (br s, 1H), 4.52 (d, *J* = 11.7 Hz, 1H), 4.04 – 3.96 (m, 1H), 3.91 (s, 3H), 3.37 – 3.18 (m, 3H), 2.87 (br s, 1H), 2.21 – 2.14 (m, 1H), 1.96 – 1.87 (m, 1H), 1.76 – 1.62 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 544 $[C_{30}H_{30}ClN_5O_3 + H]^+$; HPLC >99.0% (AUC), t_R = 10.76 min.

Example 661

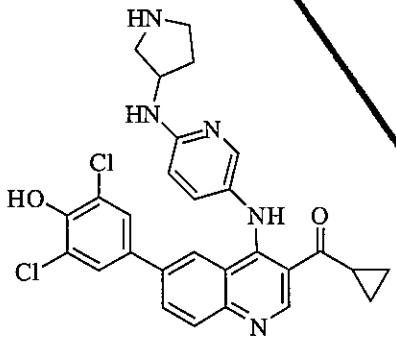
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone (60 mg, 0.13 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.19 mmol) to afford the desired product (46 mg, 64%) as a brown solid: ^{1}H NMR ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.42 (s, 1H), 8.46 (s, 1H), 8.31 – 8.23 (m, 1H), 8.04 – 7.97 (m, 1H), 7.75 (s, 1H), 7.71 (s, 1H), 4.99 (br s, 1H), 4.23 – 4.14 (m, 1H), 3.82 – 3.61 (m, 2H), 3.43 – 3.30 (m, 6H), 3.27 – 3.19 (m, 1H), 2.93 – 2.82 (m, 1H), 2.60 – 2.03 (m, 7H), 2.02 – 1.61 (m, 3H),1.37 – 1.18 (m, 4H).; ESI MS m/z 554 $[C_{30}H_{33}Cl_2N_3O_3 + H]^+$; HPLC >99.0% (AUC), t_R = 10.97 min.

Example 666

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino) quinolin-3-yl)methanone

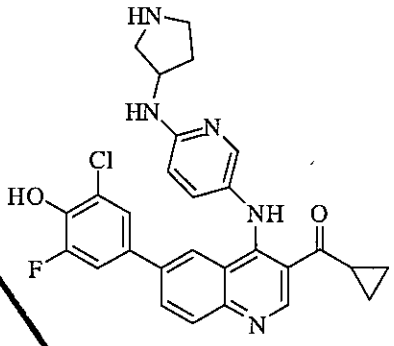


Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-ylamino)pyrrolidine-1-carboxylate (100 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (43 mg, 45% over 2 steps) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.26 – 8.07 (m, 3H), 8.00 (d, *J* = 8.9 Hz, 1H), 7.57 (dd, *J* = 8.9, 2.7 Hz, 1H), 7.34 (s, 2H), 6.85 – 6.77 (m, 1H), 4.65 – 4.56 (m, 1H), 3.66 – 3.49 (m, 2H),

3.44 – 3.30 (m, 2H), 2.86 (br s, 1H), 2.47 – 2.36 (m, 1H), 2.18 – 2.07 (m, 1H), 1.27 – 1.15 (m, 4H).ESI MS *m/z* 534 $[C_{28}H_{25}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.64 min.

Example 667

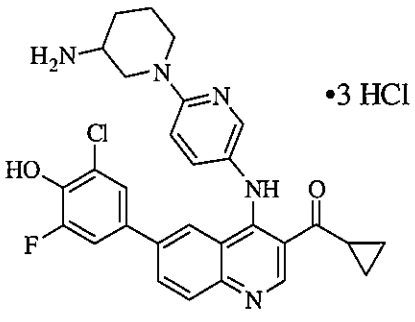
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-ylamino)pyrrolidine-1-carboxylate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.22 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.17 – 8.06 (m, 2H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.55 (dd, *J* = 8.9, 2.7 Hz, 1H), 7.19 – 7.13 (m, 2H), 6.83 – 6.76 (m, 1H), 4.65 – 4.56 (m, 1H), 3.66 – 3.49 (m, 2H), 3.45 – 3.30 (m, 2H), 2.87 (br s, 1H), 2.48 – 2.37 (m, 1H), 2.19 – 2.08 (m, 1H), 1.28 – 1.16 (m, 4H). ESI MS *m/z* 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.43 min.

Example 562

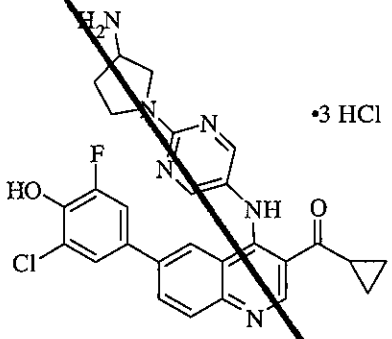
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin -3-yl)(cyclopropyl)methanone hydrochloride



(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone (12 mg, 0.02 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (10 mg, 80%) as an orange-red solid: ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.27 – 8.19 (m, 2H), 8.13 (br s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.72 (dd, J = 9.2, 2.7 Hz, 1H), 7.21 – 7.07 (m, 3H), 4.52 (d, J = 10.8 Hz, 1H), 4.06 – 3.98 (m, 1H), 3.43 – 3.31 (m, 3H), 2.90 – 2.81 (m, 1H), 2.28 – 2.16 (m, 1H), 2.01 – 1.92 (m, 1H), 1.80 – 1.68 (m, 2H), 1.31 – 1.15 (m, 4H). ESI MS m/z 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.82 min.

Example 573

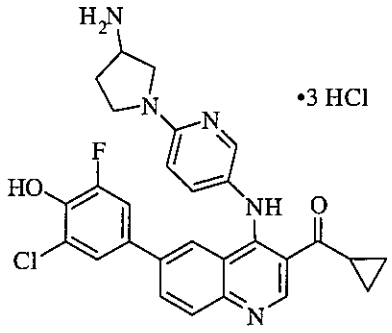
(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone (30 mg, 0.06 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (33 mg, 92%) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.31 (br s, 1H), 8.45 (s, 2H), 8.23 – 8.14 (m, 2H), 8.02 (d, J = 8.8 Hz, 1H), 7.26 – 7.20 (m, 2H), 4.11 – 4.00 (m, 2H), 3.94 – 3.73 (m, 3H), 2.83 (br s, 1H), 2.58 – 2.48 (m, 1H), 2.28 – 2.17 (m, 1H), 1.22 – 1.14 (m, 4H), 0.92 – 0.88 (s, 0H).ESI MS m/z 519 $[C_{27}H_{24}ClFN_6O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 5.18 min.

Example 577

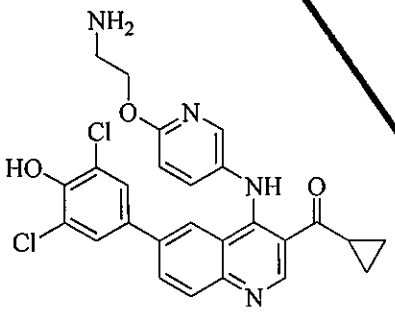
(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinoli n-3-yl)(cyclopropyl)methanone (15 mg, 0.03 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (23 mg, quant.) as a yellow-brown solid. ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.28 – 8.19 (m, 2H), 8.16 (br s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.79 (dd, J = 9.1, 2.7 Hz, 1H), 7.26 – 7.16 (m, 2H), 6.94 (d, J = 9.2 Hz, 1H), 4.16 – 4.08 (m, 1H), 4.05 – 3.97 (m, 1H), 3.88 – 3.79 (m, 1H), 3.78 – 3.69 (m, 2H), 2.85 (br s, 1H), 2.64 – 2.53 (m, 1H), 2.34 – 2.21 (m, 1H), 1.25 – 1.15 (m, 4H). ESI MS *m/z* 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC 98.1% (AUC), t_R = 5.13 min.

Example 723

(4-(6-(2-Aminoethoxy)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

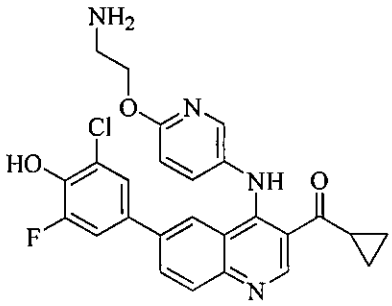


Following general procedure D, tert-butyl 2-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yloxy)ethylcarbamate (100 mg, 0.19 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (81 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (21 mg, 22% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.33–8.24 (m, 2H), 8.13–8.04 (m, 2H), 7.83 (dd, J = 8.8, 2.8 Hz, 1H), 7.37 (s, 2H),

7.14 (d, J = 8.8 Hz, 1H), 4.66 (t, J = 5.1 Hz, 2H), 3.43 (t, J = 5.1 Hz, 2H), 2.87 – 2.80 (m, 1H), 1.22 – 1.15 (m, 4H); ESI MS m/z 509 $[C_{26}H_{22}Cl_2N_4O_3 + H]^+$; HPLC 99.7% (AUC), t_R = 11.26 min.

Example 724

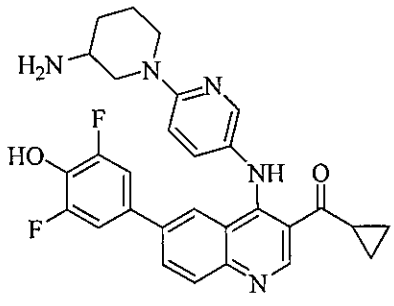
(4-(6-(2-Aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 2-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yloxy)ethylcarbamate (100 mg, 0.19mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (76 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (24 mg, 26% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-d) δ 9.40 (s, 1H), 8.34–8.24 (m, 2H), 8.13–8.03 (m, 2H), 7.82 (dd, J = 8.8, 2.8 Hz, 1H), 7.24 – 7.10 (m, 3H), 4.65 (t, J 5.1 = Hz, 2H), 3.43 (t, J = 5.1 Hz, 2H), 2.87 – 2.81 (m, 1H), 1.23 – 1.15 (m, 4H); ESI MS m/z 493 $[C_{26}H_{22}ClFN_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.00 min.

Example 725

(4-(6-(3-Aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

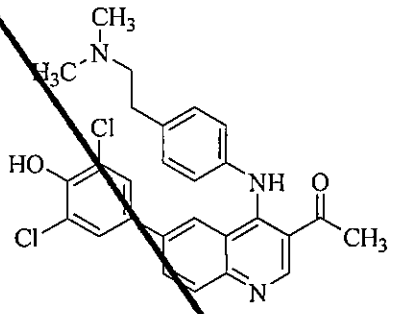


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (88 mg, 0.15 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (60 mg, 0.23 mmol) to obtain

the protected intermediate which was subjected to general procedure A-2 to afford the desired product (72 mg, 93% over two steps) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.43 (s, 1H), 8.29–8.20 (m, 2H), 8.09–8.00 (m, 2H), 7.70 (dd, *J* = 9.1, 2.7 Hz, 1H), 7.15 (d, *J* = 9.1 Hz, 1H), 7.05–6.93 (m, 2H), 4.55 (d, *J* = 12.5 Hz, 1H), 4.04 (d, *J* = 12.5 Hz, 1H), 3.44–3.35 (m, 2H), 2.93–2.84 (m, 1H), 2.27–2.19 (m, 1H), 2.04–1.94 (m, 1H), 1.84–1.71 (m, 2H), 1.31–1.19 (m, 4H); ESI MS *m/z* 516 $[C_{29}H_{27}F_2N_5O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 11.31 min.

Example 744

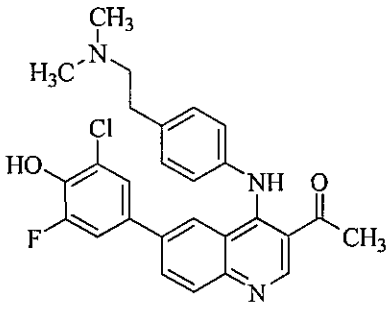
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)ethanone (76 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (81 mg, 0.28 mmol) to afford the desired product (49 mg, 55%)as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.30 (s, 1H), 8.19 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.01 (d, *J* = 8.8 Hz, 1H), 7.76 (d, *J* = 2.0 Hz, 1H), 7.60 (d, *J* = 8.3 Hz, 2H), 7.49 (d, *J* = 8.3 Hz, 2H), 7.13 (s, 2H), 3.45–3.37 (m, 2H), 3.29–3.20 (m, 2H), 3.00 (s, 6H), 2.82 (s, 3H); ESI MS *m/z* 494 $[C_{27}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 96.9% (AUC), t_R = 12.01 min.

Example 745

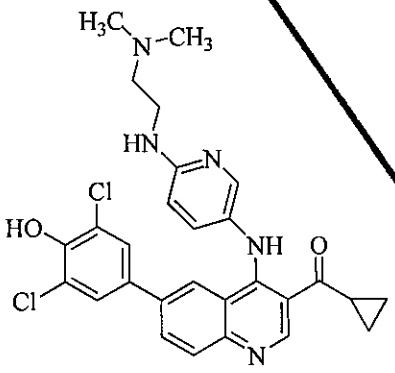
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(4-(2-(dimethylamino)ethyl)phenyl amino)quinolin-3-yl)ethanone (76 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4 -(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (76 mg, 0.28 mmol) to afford the desired product (23 mg, 27%)as a yellow-green solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.30 (s, 1H), 8.19 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.76 (d, *J* = 2.1 Hz, 1H), 7.60 (d, *J* = 8.3 Hz, 2H), 7.50 (d, *J* = 8.3 Hz, 2H), 6.99–6.92 (m, 2H), 3.46–3.39 (m, 2H), 3.27–3.19 (m, 2H), 3.00 (s, 6H), 2.82 (s, 3H); ESI MS *m/z* 478 $[C_{27}H_{25}ClFN_3O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.91 min.

Example 747

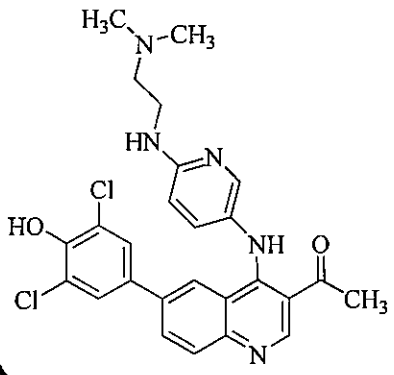
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-yl amino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridine -3-ylamino)quinolin-3-yl)(cyclopropyl)methanone (75 mg, 0.17mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.25 mmol) to afford the desired product (24 mg, 26%) as an orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.38 (s, 1H), 8.32–8.18 (m, 3H), 8.07 (d, *J* = 9.3 Hz, 1H), 7.86 (dd, *J* = 9.3, 2.6 Hz, 1H), 7.48 (s, 2H), 7.15 (d, *J* = 9.3 Hz, 1H), 3.91 (t, *J* = 6.1 Hz, 2H), 3.49 (t, *J* = 6.1 Hz, 2H), 2.99 (s, 6H), 2.87–2.78 (m, 1H), 1.24–1.18 (m, 4H); ESI MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 96.5% (AUC), t_R = 11.28 min.

Example 758

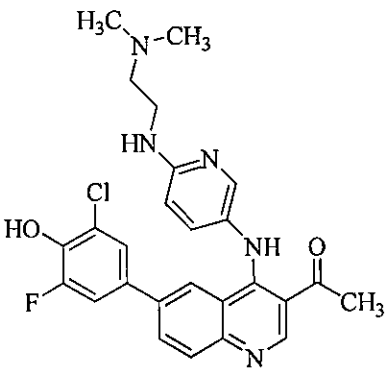
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino) quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridine -3-ylamino)quinolin-3-yl)ethanone (100 mg, 0.23mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (101 mg, 0.35 mmol) to afford the desired product (39 mg, 33%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.28 (s, 1H), 8.26–8.17 (m, 2H), 8.04–7.99 (m, 2H), 7.67 (dd, J = 9.0, 2.7 Hz, 1H), 7.28 (s, 2H), 6.93 (d, J = 9.0 Hz, 1H), 3.86–3.82 (m, 2H), 3.44–3.36 (m, 2H), 2.96 (s, 6H), 2.81 (s, 3H); ESI MS *m/z* 510 $[C_{26}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 96.6% (AUC), t_R = 10.74 min.

Example 762

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino) pyridin-3-ylamino)quinolin-3-yl)ethanone

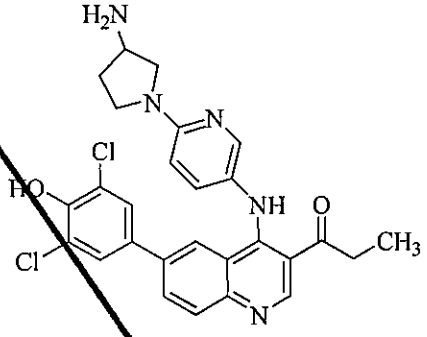


Following general procedure D, 1-(6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridine -3-ylamino)quinolin-3-yl)ethanone (100 mg, 0.23mmol) was reacted with 2-chloro-6-fluoro -4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan -2-yl)phenol (82 mg, 0.35 mmol) to afford the desired

product (18 mg, 16%)as a green-yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.26–8.20 (m, 2H), 8.05–7.99 (m, 2H), 7.69 (dd, *J* = 9.0, 2.7 Hz, 1H), 7.18–7.07 (m, 2H), 6.96 (d, *J* = 9.0 Hz, 1H), 3.89–3.83 (m, 2H), 3.46–3.37 (m, 2H), 2.96 (s, 6H), 2.80 (s, 3H); ESI MS *m/z* 494 $[C_{26}H_{25}ClFN_5O_2 + H]^+$; HPLC 97.2% (AUC), t_R = 10.46 min.

Example 761

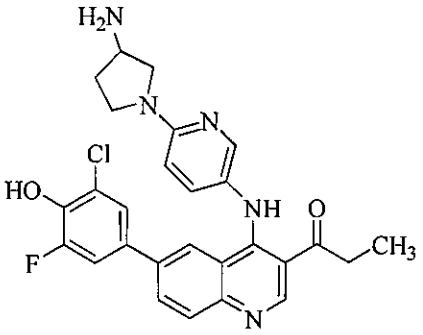
1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)propan-1-one



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (81 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (8 mg, 9% over two steps) as an orange-brown solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.27–8.19 (m, 2H), 8.07–7.99 (m, 2H), 7.85–7.70 (m, 1H), 7.29 (s, 2H), 6.96 (d, *J* = 9.2 Hz, 1H), 4.15–4.05 (m, 1H), 4.07–3.99 (m, 1H), 3.89–3.80 (m, 1H), 3.79–3.65 (m, 2H), 3.27–3.18 (m, 2H), 2.63–2.52 (m, 1H), 2.34–2.23 (m, 1H), 1.31–1.22 (m, 3H); ESI MS *m/z* 522 $[C_{27}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 95.7% (AUC), t_R = 10.98 min.

Example 760

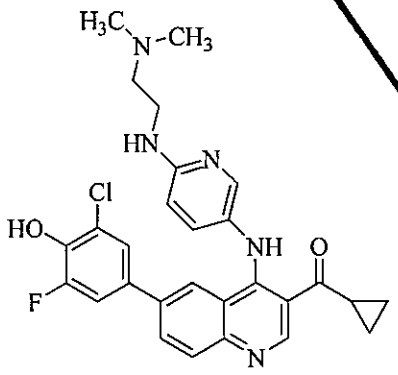
1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino) pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (76 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (34 mg, 37% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.29–8.18 (m, 2H), 8.06–7.99 (m, 2H), 7.82 (dd, J = 9.1, 2.6 Hz, 1H), 7.16–7.10 (m, 1H), 7.07 (s, 1H), 6.97 (d, J = 9.1 Hz, 1H), 4.17–4.08 (m, 1H), 4.06–3.98 (m, 1H), 3.90–3.81 (m, 1H), 3.79–3.70 (m, 2H), 3.27–3.19 (m, 2H), 2.64–2.53 (m, 1H), 2.35–2.25 (m, 1H), 1.26 (t, J = 7.1 Hz, 3H); ESI MS *m/z* 506 $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.75 min.

Example 776

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino) quinolin-3-yl)(cyclopropyl)methanone

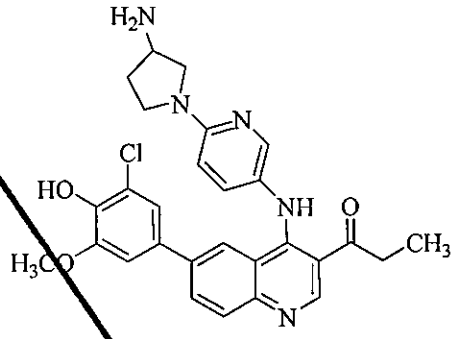


Following general procedure D, (6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone (75 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (69 mg, 0.25 mmol) to afford the desired product (6 mg, 7%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.25 (dd, J = 8.8, 1.9 Hz, 1H), 8.22–8.15 (m, 2H), 8.03 (d, J = 8.8 Hz, 1H),

7.69 (dd, J = 9.1, 2.6 Hz, 1H), 7.27–7.18 (m, 2H), 6.95 (d, J = 9.1 Hz, 1H), 3.87–3.81 (m, 2H), 3.46–3.39 (m, 2H), 2.97 (s, 6H), 2.89–2.78 (m, 1H), 1.28–1.17 (m, 4H); ESI MS m/z 520 $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.34 min.

Example 775

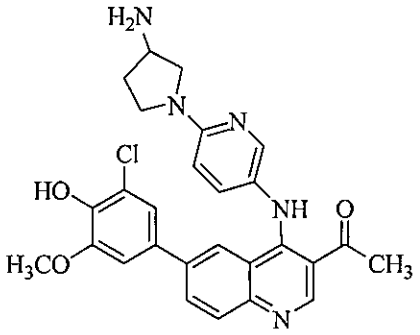
1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)propan-1-one



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino) pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (24 mg, 27% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-d) δ 9.28 (s, 1H), 8.29–8.23 (m, 2H), 8.06–7.98 (m, 2H), 7.78 (dd, J = 9.1, 2.7 Hz, 1H), 7.02 (d, J = 2.2 Hz, 1H), 6.92 (d, J = 9.1 Hz, 1H), 6.70 (d, J = 2.2 Hz, 1H), 4.14–4.06 (m, 1H), 4.04–3.96 (m, 1H), 3.91 (s, 3H), 3.87–3.77 (m, 1H), 3.75–3.66 (m, 2H), 3.23 (q, J = 7.1 Hz, 2H), 2.62–2.51 (m, 1H), 2.32–2.22 (m, 1H), 1.27 (t, J = 7.1 Hz, 3H); ESI MS m/z 518 $[C_{28}H_{28}ClN_5O_3 + H]^+$; HPLC 98.5% (AUC), t_R = 9.79 min.

Example 774

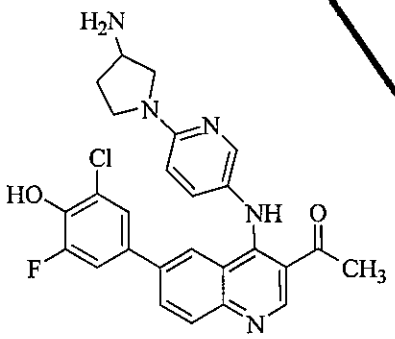
1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)ethanone



Following general procedure D, tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino) pyridin-2-yl)pyrrolidin-3-ylcarbamate (80 mg, 0.15 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (65 mg, 0.23 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (11 mg, 15% over two steps) as a yellow-brown: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.28 (s, 1H), 8.31–8.25 (m, 2H), 8.06–7.99 (m, 2H), 7.83 (dd, J = 9.2, 2.7 Hz, 1H), 7.04 (d, J = 2.2 Hz, 1H), 7.98 (d, J = 9.2 Hz, 1H), 6.71 (d, J = 2.2 Hz, 1H), 4.16–4.07 (m, 1H), 4.07–3.96 (m, 2H), 3.91 (s, 3H), 3.88–3.79 (m, 1H), 3.79–3.69 (m, 2H), 2.80 (s, 3H), 2.63–2.53 (m, 1H), 2.34–2.23 (m, 1H); ESI MS *m/z* 504 $[C_{27}H_{26}ClN_5O_3 + H]^+$; HPLC 95.4% (AUC), t_R = 9.37 min.

Example 773

1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone

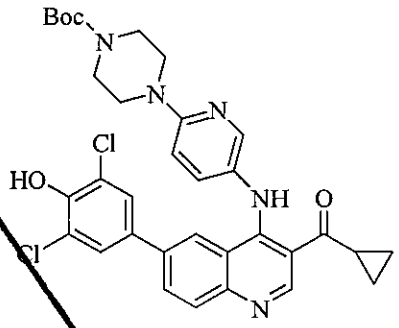


Following general procedure D, tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino) pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.19 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (76 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (13 mg, 16% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.29–8.20 (m, 2H), 8.05–7.99 (m, 2H), 7.84 (dd, J = 9.2, 2.6 Hz, 1H),

7.15 (d, J = 11.6 Hz, 1H), 7.07 (s, 1H), 7.00 (d, J = 9.2 Hz, 1H), 4.17–4.09 (m, 1H), 4.07–4.00 (m, 1H), 3.90–3.81 (m, 1H), 3.80–3.71 (m, 2H), 2.79 (s, 3H), 2.65–2.52 (m, 1H), 2.36–2.25 (m, 1H); ESI MS m/z 492 $[C_{26}H_{23}ClFN_5O_2 + H]^+$; HPLC 97.6% (AUC), t_R = 9.73 min.

Example 1186

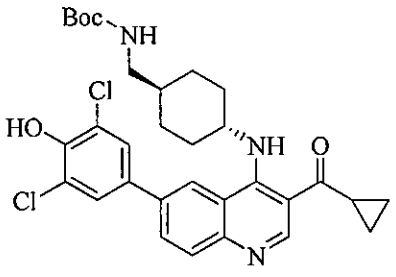
tert-Butyl 4-{5-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-4-ylamino] pyridin-2-yl}piperazine-1-carboxylate



Following general procedure F, *tert*-butyl 4-{5-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate (65 mg, 0.118 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (51 mg, 0.177 mmol) to afford the crude product (75 mg) as an orange solid: ESI MS m/z 634 $[C_{33}H_{33}Cl_2N_5O_4 + H]^+$.

Example 1187

tert-Butyl {trans-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate



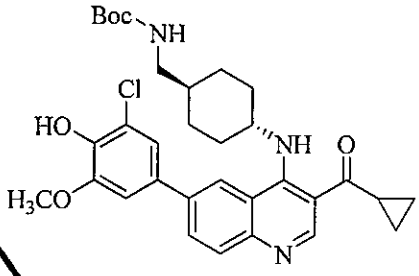
Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (62 mg, 0.123 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (53 mg, 0.185 mmol) to

afford the crude product (58 mg) as a yellow solid: ESI MS *m/z* 584 $[C_{31}H_{35}Cl_2N_3O4 + H]^+$.

Example 1188

tert-Butyl

{trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate

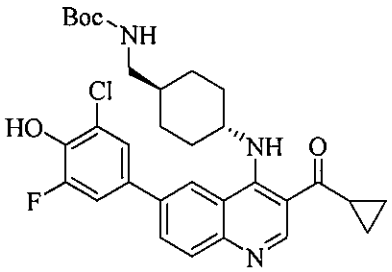


Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (65 mg, 0.123 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.185 mmol) to afford the crude product (90 mg) as a yellow-green solid: ESI MS *m/z* 580 $[C_{32}H_{38}ClN_3O_5 + H]^+$.

Example 1189

tert-Butyl

{trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate



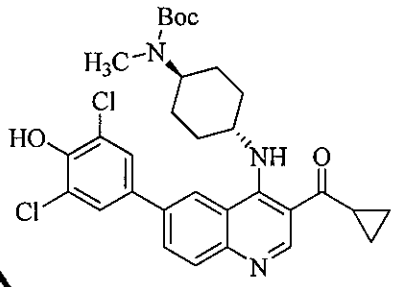
Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (62 mg, 0.123 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.185 mmol) to

afford the crude product (69 mg) as a yellow-green solid.

Example 1190

tert-Butyl

trans-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate

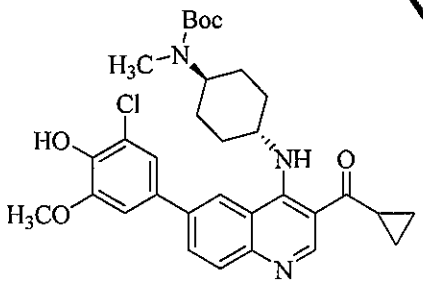


Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}(methyl)carbamate (61 mg, 0.120 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.180 mmol) to afford the crude product (65 mg) as a brown oil: ESI MS *m/z* 584 $[C_{31}H_{35}Cl_2N_3O_4 + H]^+$.

Example 1191

tert-Butyl

trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate

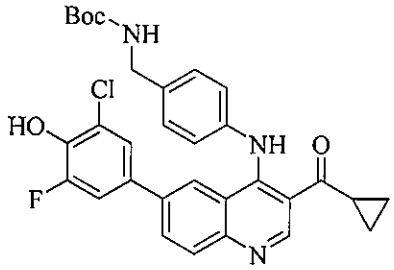


Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}(methyl)carbamate (68 mg, 0.135 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (58 mg, 0.203 mmol) to afford the crude product (90 mg) as a brown oil: ESI MS *m/z* 580 $[C_{32}H_{38}ClN_3O_5 + H]^+$.

Example 1192

tert-Butyl

4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate

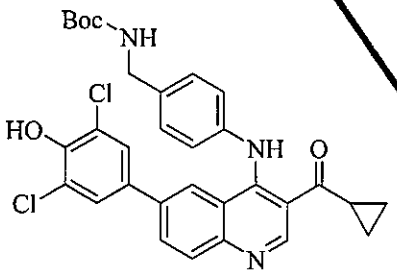


Following general procedure F, *tert*-butyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate (63 mg, 0.127 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.191 mmol) to afford the crude product (70 mg) as a yellow solid: ESI MS *m/z* 562 $[C_{31}H_{29}ClFN_3O_4 + H]^+$.

Example 1193

tert-Butyl

4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]benzylcarbamate

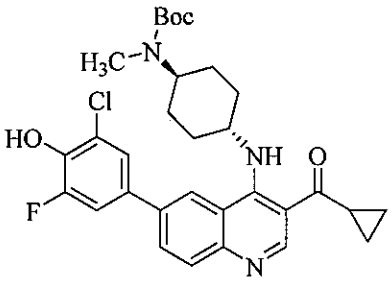


Following general procedure F, *tert*-butyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate (58 mg, 0.117 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.176 mmol) to afford the crude product (67 mg) as a yellow solid.

Example 1194

tert-Butyl

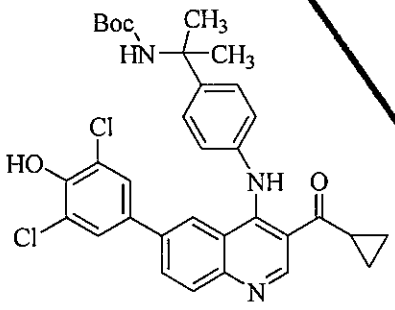
trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate



Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}(methyl)carbamate (63 mg, 0.125 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (51 mg, 0.188 mmol) to afford the crude product (75 mg) as a yellow-green solid: ESI MS *m/z* 568 [$C_{31}H_{35}ClFN_3O_4$ + H]$^+$.

Example 1195

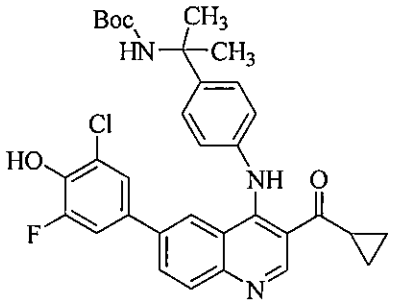
tert-Butyl 2-{4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate



Following general procedure F, *tert*-butyl 2-{4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate (76 mg, 0.145 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (63 mg, 0.212 mmol) to afford the crude product (75 mg) as a yellow solid: ESI MS *m/z* 606 [$C_{33}H_{33}Cl_2N_3O_4$ + H]$^+$.

Example 1196

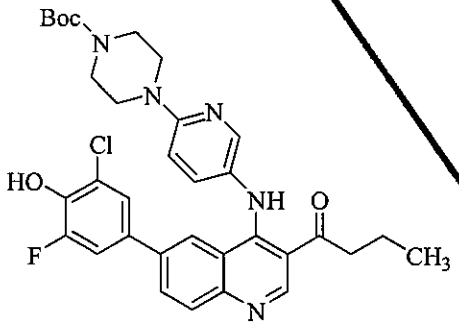
tert-Butyl
2-{4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate



Following general procedure F, *tert*-butyl 2-{4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate (74 mg, 0.140 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.210 mmol) to afford the crude product (68 mg) as a yellow solid: ESI MS *m/z* 590 $[C_{33}H_{33}ClFN_3O_4 + H]^+$.

Example 1197

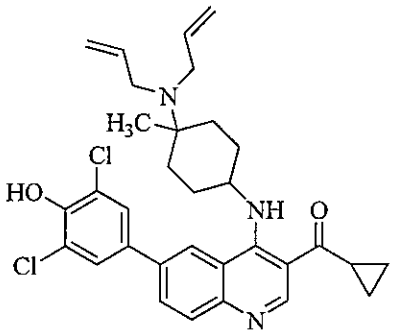
tert-Butyl
4-{5-[3-butyryl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate



Following general procedure F, *tert*-butyl 4-[5-(6-bromo-3-butyrylquinolin-4-ylamino)pyridin-2-yl]piperazine-1-carboxylate (80 mg, 0.144 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (59 mg, 0.216 mmol) to afford the crude product (66 mg) as an orange solid: ESI MS *m/z* 620 $[C_{33}H_{35}ClFN_5O_4 + H]^+$.

Example 583

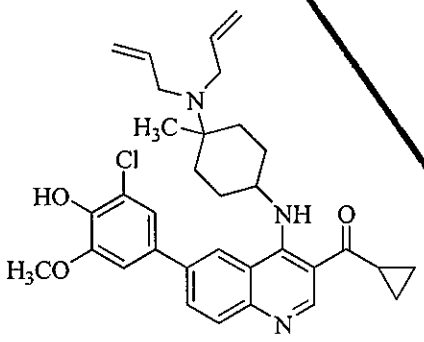
Cyclopropyl{4-[4-(diallylamino)-4-methylcyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}methanone



Following general procedure F, {6-Bromo-4-[4-(diallylamino)-4-methylcyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (96 mg, 0.198 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (86 mg, 0.297 mmol) to afford the desired product (23 mg, 27%) as a yellow solid: ESI MS *m/z* 564 $[C_{32}H_{35}Cl_2N_3O_2 + H]^+$.

Example 1198

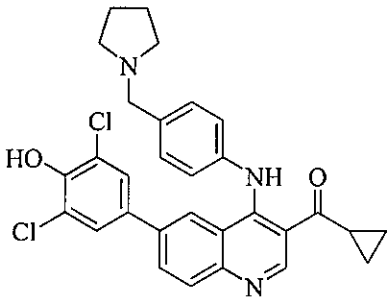
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diallylamino)-4-methylcyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, {6-Bromo-4-[4-(diallylamino)-4-methylcyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (120 mg, 0.248 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (105 mg, 0.372 mmol) to afford the crude product (49 mg) as a brown-green solid: ESI MS *m/z* 560 $[C_{33}H_{38}ClN_3O_3 + H]^+$.

Example 366

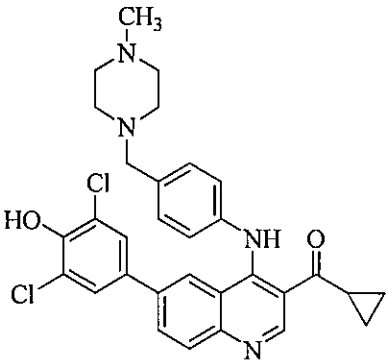
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)phenylamino]quinolin-3-yl}methanone



Following general procedure F, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (49 mg, 0.108 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (46 mg, 0.162 mmol) to afford the desired product (31 mg, 54%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + acetic acid-d_4) δ 9.31 (s, 1H), 8.06–7.99 (m, 2H), 7.91 (s, 1H), 7.55 (d, J = 8.4 Hz, 2H), 7.35–7.26 (m, 4H), 4.41 (s, 2H), 2.93–2.86 (m, 1H), 2.13–2.03 (m, 4H), 1.23–1.06 (m, 4H); ESI MS m/z 532 $[C_{30}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 94.9% (AUC), t_R = 11.43 min.

Example 397

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[4-((4-methylpiperazin-1-yl)methyl)phenylamino]quinolin-3-yl}methanone

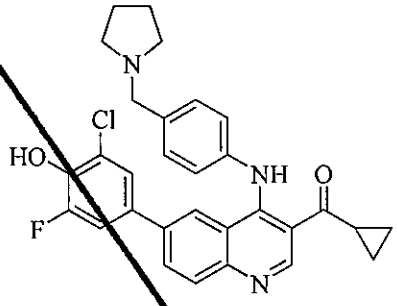


Following general procedure D, {6-bromo-4-[4-((4-methylpiperazin-1-yl)methyl)phenylamino]quinolin-3-yl}(cyclopropyl)metha

none (42 mg, 0.088 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.132 mmol) to afford the desired product (41 mg, 83%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.27 (s, 1H), 7.93–7.85 (m, 2H), 7.74 (s, 1H), 7.37 (d, J = 8.1 Hz, 2H), 7.19–7.10 (m, 4H), 3.61 (s, 2H), 2.95–2.88 (m, 1H), 2.74–2.68 (m, 4H), 2.57–2.46 (m, 7H), 1.23–1.10 (m, 4H); ESI MS m/z 561 $[C_{31}H_{30}Cl_2N_4O_2 + H]^+$; HPLC 94.4% (AUC), t_R = 8.57 min.

Example 396

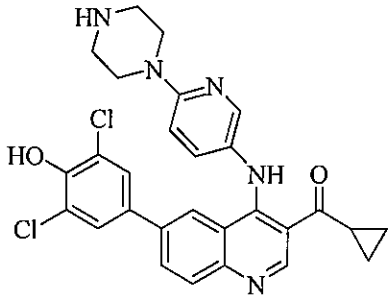
{6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F,{6-bromo-4-[4-(pyrrolidin-1-ylmethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (45 mg, 0.100 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.150 mmol) to afford the desired product (37 mg, 72%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + acetic acid-d_4) δ 9.28 (s, 1H), 8.04–7.97 (m, 2H), 7.92 (s, 1H), 7.53 (d, J = 8.4, 2H), 7.27 (d, J = 8.4 Hz, 2H), 7.19–7.06 (m, 2H), 4.39 (s, 2H), 2.95–2.84 (m, 1H), 2.14–2.03 (m, 4H), 1.21–1.04 (m, 4H); ESI MS m/z 516 $[C_{30}H_{27}ClFN_3O_2 + H]^+$; HPLC 95.7% (AUC), t_R = 8.70 min.

Example 409

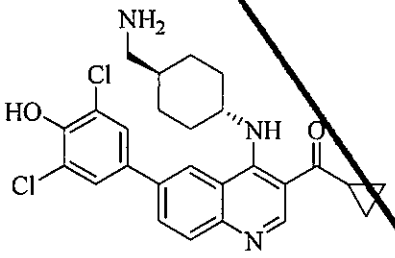
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[6-(piperazin-1-yl)pyridin-3-ylamino]quinolin-3-yl}methanone



Following general procedure A-2, *tert*-butyl 4-{5-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate (0.118 mmol) was reacted with TFA (2 mL) to afford the desired product (26 mg, 41% over two steps) as an orange solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.92 (s, 1H), 9.35 (s, 1H), 8.07–7.94 (m, 2H), 7.75–7.68 (m, 2H), 7.08–7.02 (m, 2H), 6.72–6.65 (m, 1H), 3.71–3.64 (m, 4H), 3.17–3.10 (m, 4H), 2.84–2.77 (m, 1H), 1.34–1.10 (m, 4H); ESI MS *m/z* 534 $[C_{28}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 97.3% (AUC), t_R = 8.65 min.

Example 495

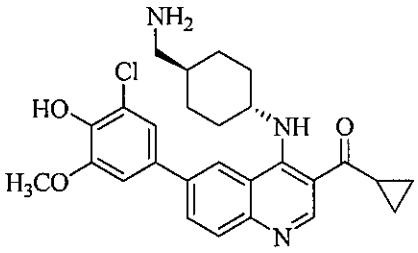
{4-[trans-4-(Aminomethyl)cyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl {trans-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (0.123 mmol) was reacted with TFA (2 mL) to afford the desired product (24 mg, 40% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.36 (s, 1H), 8.47 (s, 1H), 8.27 (d, *J* = 8.4 Hz, 1H), 7.98 (d, *J* = 8.4 Hz, 1H), 7.74 (s, 2H), 4.54–4.48 (m, 1H), 2.92–2.76 (m, 3H), 2.50–2.37 (m, 2H), 2.08–2.02 (m, 2H), 1.83–1.64 (m, 3H), 1.41–1.15 (m, 6H); ESI MS *m/z* 484 $[C_{26}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.38 min.

Example 493

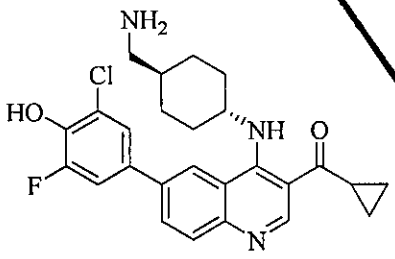
{4-[trans-4-(Aminomethyl)cyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl {trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (0.123 mmol) was reacted with TFA (2 mL) to afford the desired product (28 mg, 47% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.35 (s, 1H), 8.49 (s, 1H), 8.30 (d, *J* = 8.7 Hz, 1H), 7.97 (d, *J* = 8.7 Hz, 1H), 7.33 (s, 1H), 7.26 (s, 1H), 4.0 (s, 1H), 3.99 (s, 3H), 2.90–2.81 (m, 3H), 2.47–2.41 (m, 2H), 2.10–1.98 (m, 2H), 1.83–1.64 (m, 3H), 1.37–1.17 (m, 6H); ESI MS *m/z* 480 [$C_{27}H_{30}ClN_3O_3$ + H]$^+$; HPLC >99% (AUC), t_R = 11.15 min.

Example 501

{4-[trans-4-(Aminomethyl)cyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone

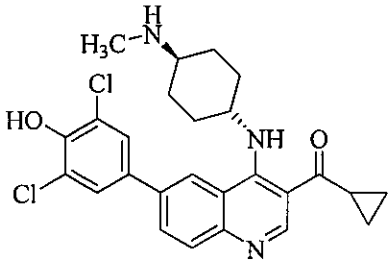


Following general procedure A-2, *tert*-butyl {trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (0.123 mmol) was reacted with TFA (2 mL) to afford the desired product (23 mg, 40% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.37 (s, 1H), 8.45 (s, 1H), 8.26 (d, *J* = 8.7 Hz, 1H), 7.98 (d, *J* = 8.7 Hz, 1H), 7.63–7.47 (m, 2H), 4.51 (s, 1H), 2.92–2.83 (m, 3H), 2.46–2.40 (m, 2H), 2.11–1.98 (m, 2H), 1.83–1.63 (m, 3H), 1.32–1.14 (m, 6H); ESI MS *m/z* 468 [$C_{26}H_{27}ClFN_3O_2$ + H]$^+$; HPLC 98.6% (AUC), t_R = 11.33

min.

Example 510

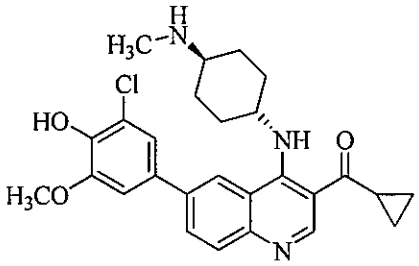
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[trans-4-(methylamino)cyclohexylamino]quinolin-3-yl}methanone



Following general procedure A-2, *tert*-butyl trans-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate (0.120 mmol) was reacted with TFA (2 mL) to afford the desired product (30 mg, 51% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.35 (s, 1H), 8.48 (s, 1H), 8.28 (d, *J* = 8.7 Hz, 1H), 8.00 (d, *J* = 8.7 Hz, 1H), 7.75 (s, 2H), 4.52 (s, 1H), 2.84 (s, 1H), 2.73 (s, 2H), 2.53–2.46 (m, 2H), 2.38–2.28 (m, 2H), 1.88–1.77 (m, 2H), 1.65–1.58 (m, 2H), 1.33–1.20 (m, 4H); ESI MS *m/z* 484 $[C_{26}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.66 min.

Example 517

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[trans-4-(methylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone

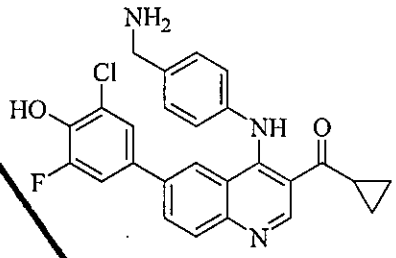


Following general procedure A-2, *tert*-butyl trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate (0.135 mmol) was reacted with TFA (2 mL) to afford the desired product (41 mg, 63% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*)

δ 9.34 (s, 1H), 8.48 (s, 1H), 8.31 (d, J = 8.7 Hz, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.35 (s, 1H), 7.27 (s, 1H), 4.50 (s, 1H), 4.00 (s, 3H), 2.88–2.81 (m, 1H), 2.74 (s, 3H), 2.54–2.47 (m, 2H), 2.38–2.27 (m, 2H), 1.88–1.77 (m, 2H), 1.62–1.56 (m, 2H), 1.34–1.16 (m, 4H); ESI MS m/z 480 $[C_{27}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 9.45 min.

Example 504

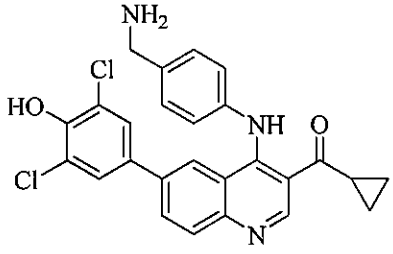
{4-[4-(Aminomethyl)phenylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl 4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate (0.127 mmol) was reacted with TFA (2 mL) to afford the desired product (31 mg, 53% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-d) δ 9.44 (s, 1H), 8.24 (dd, J = 8.9, 1.9 Hz, 1H), 8.08–7.96 (m, 2H), 7.69 (d, J = 8.4 Hz, 2H), 7.55 (d, J = 8.4 Hz, 2H), 7.17–7.06 (m, 1H), 7.06–6.98 (m, 1H), 4.27 (s, 2H), 2.93–2.80 (m, 1H), 1.25–1.11 (m, 4H); ESI MS m/z 462 $[C_{26}H_{21}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 12.22 min.

Example 507

{4-[4-(Aminomethyl)phenylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone

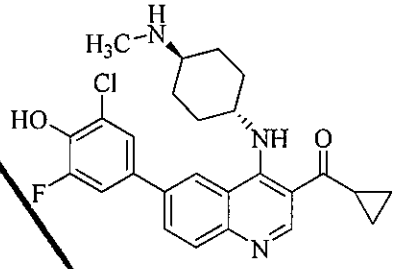


Following general procedure A-2, *tert*-butyl 4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]benzylcarba

mate (0.117 mmol) was reacted with TFA (2 mL) to afford the desired product (26 mg, 46% over two steps) a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.44 (s, 1H), 8.25 (d, *J* = 8.7 Hz, 1H), 8.09–7.95 (m, 2H), 7.70 (d, *J* = 8.4 Hz, 2H), 7.55 (d, *J* = 8.4 Hz, 2H), 7.24 (s, 2H), 4.28 (s, 2H), 2.88–2.83 (m, 1H), 1.26–1.13 (m, 4H); ESI MS *m/z* 478 $[C_{26}H_{21}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.99 min.

Example 523

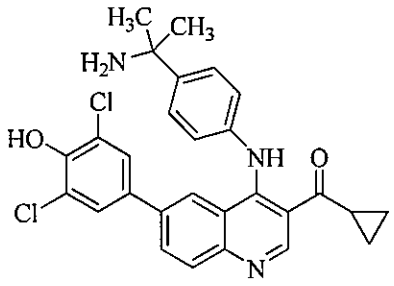
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[trans-4-(methylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate (0.125 mmol) was reacted with TFA (2 mL) to afford the desired product (32 mg, 55% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.80 (s, 1H), 9.8 (s, 1H), 8.25 (s, 1H), 7.99 (d, *J* = 8.7 Hz, 1H), 7.83 (d, *J* = 8.7 Hz, 1H), 7.49–7.34 (m, 2H), 4.00–3.94 (s, 1H), 3.00–2.85 (m, 1H), 2.85–2.74 (m, 1H), 2.44 (s, 3H), 2.25–2.04 (m, 4H), 1.60–1.30 (m, 4H), 1.15–0.98 (m, 4H); ESI MS *m/z* 468 $[C_{26}H_{27}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.03 min.

Example 602

{4-[4-(2-Aminopropan-2-yl)phenylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, tert-butyl

2-{4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate (0.145 mmol) was TFA (2 mL) to afford the desired product (21 mg, 28% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.33 (s, 1H), 8.26 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.19 (s, 1H), 8.05 (d, *J* = 8.8 Hz, 1H), 7.67 (d, *J* = 8.6 Hz, 2H), 7.53 (d, *J* = 8.6 Hz, 2H), 7.43 (s, 2H), 2.82–2.73 (m, 1H), 1.78 (s, 6H), 1.18–1.10 (m, 4H); ESI MS *m/z* 506 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 11.00 min.

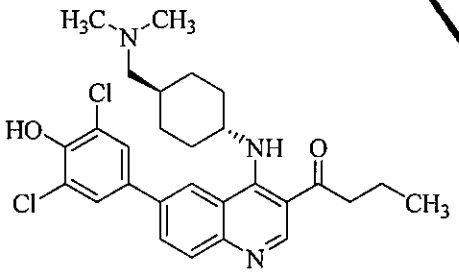
Example 604

{4-[4-(2-Aminopropan-2-yl)phenylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone

Following general procedure A-2, *tert*-butyl 2-{4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate (0.140 mmol) was reacted with TFA (2 mL) to afford the desired product (22 mg, 32% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-I) δ 9.38 (s, 1H), 8.26 (dd, *J* = 8.9, 1.9 Hz, 1H), 8.12 (s, 1H), 8.05 (d, *J* = 8.8 Hz, 1H), 7.69 (d, *J* = 8.0, 2H), 7.54 (d, *J* = 8.0 Hz, 2H), 7.30 (t, *J* = 1.9 Hz, 1H), 7.10–7.03 (m, 1H), 2.84–2.76 (m, 1H), 1.79 (s, 6H), 1.19–1.12 (m, 4H).; ESI MS *m/z* 490 $[C_{28}H_{25}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.80 min.

Example 605

1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one

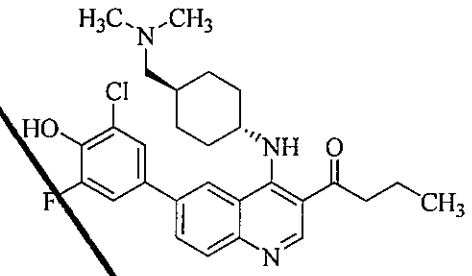


Following general procedure A-1, 1-{6-bromo-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one (48 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.165 mmol) to afford the desired product (20 mg, 35%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD +

TFA-*d*) δ 9.14 (s, 1H), 8.47 (s, 1H), 8.27 (dd, J = 8.7, 1.8 Hz, 1H), 8.98 (d, J = 8.7 Hz, 1H), 7.72 (s, 2H), 4.53 (s, 1H), 3.16–3.06 (m, 4H), 2.94 (s, 6H), 2.50–2.43 (m, 2H), 2.08–2.02 (m, 3H), 1.88–1.74 (m, 4H), 1.39–1.32 (m, 1H), 1.06 (t, J = 7.4 Hz, 3H); ESI MS *m/z* 514 $[C_{28}H_{33}Cl_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 11.27 min.

Example 597

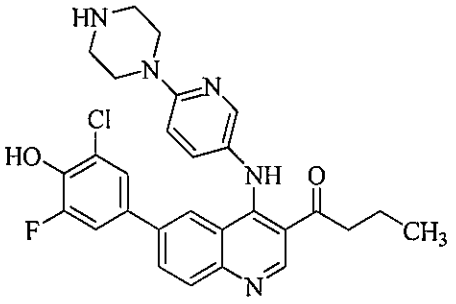
1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one



Following general procedure A-1, 1-{6-bromo-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one (48 mg, 0.110 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (45 mg, 0.165 mmol) to afford the desired product (36 mg, 67%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.14 (s, 1H), 8.47 (s, 1H), 8.26 (dd, J = 8.7, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.58 (s, 1H), 7.50 (d, J = 11.3 Hz, 1H), 4.52 (s, 1H), 3.16–3.05 (m, 4H), 2.95 (s, 6H), 2.49–2.43 (m, 2H), 2.08–2.01 (m, 2H), 1.85–1.74 (m, 4H), 1.41–1.32 (m, 1H), 1.09–1.02 (t, J = 7.4 Hz, 3H); ESI MS *m/z* 498 $[C_{28}H_{33}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.08 min.

Example 623

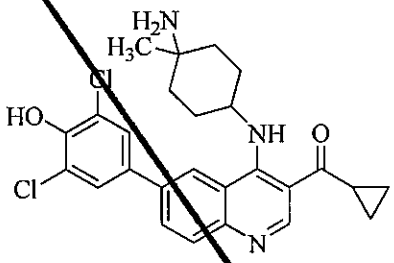
1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[6-(piperazin-1-yl)pyridin-3-ylamino]quinolin-3-yl}butan-1-one



Following general procedure A-2, *tert*-butyl 4-{5-[3-butyryl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate (0.144 mmol) was reacted with TFA (2 mL) to afford the desired product (39 mg, 51% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.29 (d, *J* = 2.7 Hz, 1H), 8.20 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.03–7.95 (m, 2H), 7.74 (dd, *J* = 8.8, 2.7 Hz, 1H), 7.16 (d, *J* = 9.0 Hz, 1H), 7.11–7.02 (m, 2H), 3.94 (t, *J* = 5.3 Hz, 4H), 3.37 (t, *J* = 5.3 Hz, 4H), 3.19 (t, *J* = 7.2 Hz, 2H), 1.87–1.76 (m, 2H), 1.11–1.04 (t, I = 7.2 Hz, 3H); ESI MS *m/z* 520 $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.99 min.

Example 638

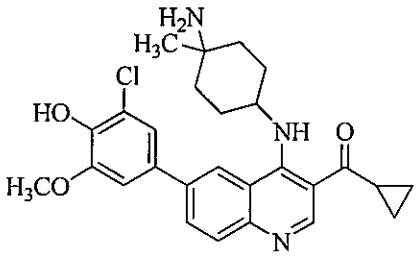
[4-(4-Amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl](cyclopropyl)methanone



To a solution of cyclopropyl{4-[4-(diallylamino)-4-methylcyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}methanone (23 mg, 0.041 mmol) in dioxane (3 mL) was added $Pd(PPh_3)_4$ (5 mg, 0.004 mmol) and *N*,*N*-dimethylbartituric acid (32 mg, 0.205 mmol). The resultant mixture purged with N_2 and heated to 80 °C for 16 h. The solution was allowed to cool to rt, diluted with ethyl acetate, filtered and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The purified product was concentrated, diluted with a saturated $NaHCO_3$ solution, extracted with a mixture of $CHCl_3$/isopropanol (3:1), and dried with Na_2SO_4 to obtain the desired product as the free base (5 mg, 25%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.39 (s, 1H), 8.45 (s, 1H), 8.28 (dd, *J* = 8.7, 1.9 Hz, 1H), 8.01 (d, *J* = 8.7 Hz, 1H), 7.73 (s, 2H), 4.76–4.72 (m, 1H), 2.89–2.85 (m, 1H), 2.33–2.25 (m, 2H), 2.09–1.97 (m, 4H), 1.96–1.89 (m, 2H), 1.45 (s, 3H), 1.41–1.18 (m, 4H); ESI MS *m/z* 484 $[C_{26}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 98.6% (AUC), t_R = 11.05 min.

Example 639

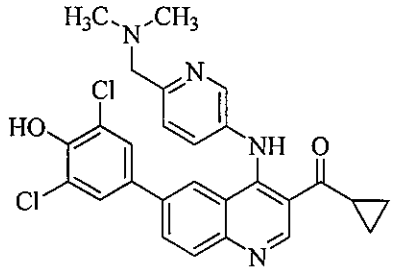
[4-(4-Amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl](cyclopropyl)methanone



To a solution of {6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diallylamino)-4-methylcyclohexylamino]quino lin-3-yl}(cyclopropyl)methanone (49 mg, 0.088 mmol) in dioxane (3 mL) was added $Pd(PPh_3)_4$ (10 mg, 0.008 mmol) and *N*,*N*-dimethylbartituric acid (68 mg, 0.438 mmol). The resultant mixture purged with N_2 and heated to 80 °C for 16 h. The solution was allowed to cool to rt, diluted with ethyl acetate, filtered and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The purified product was concentrated, diluted with a saturated $NaHCO_3$ solution, extracted with a mixture of $CHCl_3$/isopropanol (3:1), and dried with Na_2SO_4 to obtain the desired product as the free base (5 mg, 4%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.14 (s, 1H), 8.34 (s, 1H), 8.00 (dd, *J* = 8.7, 2.0 Hz, 1H), 7.89 (d, *J* = 8.7 Hz, 1H), 7.26 (d, *J* = 2.2 Hz, 1H), 7.20 (d, *J* = 2.2 Hz, 1H), 4.29–4.21 (m, 1H), 3.97 (s, 3H), 2.89–2.80 (m, 1H), 2.14–2.07 (m, 2H), 1.92–1.71 (m, 4H), 1.70–1.61 (m, 2H), 1.28 (s, 3H), 1.25–1.06 (m, 4H); ESI MS *m/z* 480 $[C_{27}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.46 min.

Example 657

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[6-((dimethylamino)methyl)pyridin-3-ylamino]quinolin-3-yl}methanone

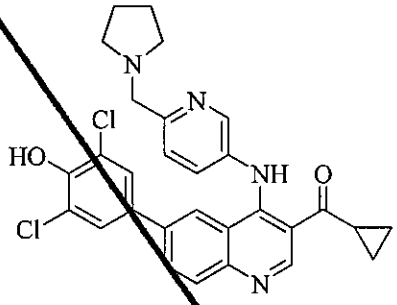


Following general procedure F, {6-bromo-4-[6-((dimethylamino)methyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methano

ne (37 mg, 0.087 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.131 mmol) to afford the desired product (25 mg, 57%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.37 (s, 1H), 8.75 (s, 1H), 8.32 (dd, *J* = 8.8, 2.1 Hz, 1H), 8.22 (d, *J* = 2.1 Hz, 1H), 8.10 (d, *J* = 8.8 Hz, 1H), 7.96 (dd, *J* = 8.4, 2.6 Hz, 1H), 7.65 (d, *J* = 8.4 Hz, 1H), 7.47 (s, 2H), 4.58 (s, 2H), 2.95 (s, 6H), 2.83–2.74 (m, 1H), 1.19–1.07 (m, 4H); ESI MS *m/z* 507 $[C_{27}H_{24}Cl_2N_4O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.66 min.

Example 658

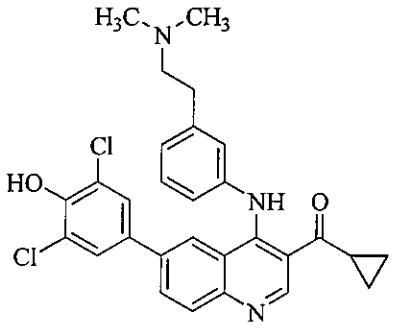
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino]quinolin-3-yl}methanone



Following general procedure F, {6-Bromo-4-[6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methanone (45 mg, 0.100 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (34 mg, 64%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.72 (d, *J* = 2.5 Hz, 1H), 8.30 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.16–8.06 (m, 2H), 7.96 (dd, *J* = 8.3, 2.5 Hz, 1H), 7.65 (d, *J* = 8.3 Hz, 1H), 7.46 (s, 2H), 4.65 (s, 2H), 3.63–3.59 (m, 2H), 3.21–3.12 (m, 2H), 2.85–2.76 (m, 1H), 2.20–2.16 (m, 2H), 2.09–2.01 (m, 2H), 1.20–1.10 (m, 4H); ESI MS *m/z* 533 $[C_{29}H_{26}Cl_2N_4O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 10.76 min.

Example 698

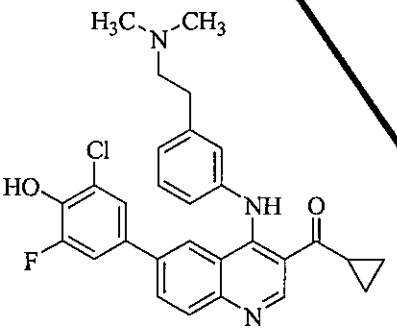
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}methanone



Following general procedure F, {6-Bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (58 mg, 0.132 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.198 mmol) to afford the desired product (49 mg, 71%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.46 (s, 1H), 8.22 (dd, *J* = 8.7, 2.0 Hz, 1H), 8.02 (d, *J* = 8.7 Hz, 1H), 7.89 (s, 1H), 7.67–7.59 (m, 1H), 7.56 (d, *J* = 7.7 Hz, 1H), 7.8 (s, 1H), 7.39 (d, *J* = 7.7 Hz, 1H), 7.20 (s, 2H), 3.40–3.34 (m, 2H), 3.19–3.12 (m, 2H), 2.98–2.85 (s, 8H), 1.27–1.16 (m, 4H); ESI MS *m/z* 520 $[C_{29}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 95.9% (AUC), t_R = 11.40 min.

Example 693

{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone

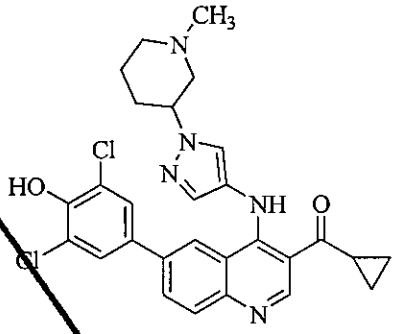


Following general procedure F, {6-Bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (54 mg, 0.123 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.185 mmol) to afford the desired product (57 mg, 92%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.44 (s, 1H), 8.21 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.02 (d, *J* = 8.8 Hz, 1H), 7.92 (s, 1H), 7.66–7.57 (m, 1H), 7.54 (d, *J* = 7.7 Hz, 1H), 7.50 (s, 1H), 7.39 (dd, *J* = 7.8, 2.2 Hz, 1H), 7.12 (d,

J = 11.5 Hz, 1H), 6.96 (s, 1H), 3.40–3.32 (m, 2H), 3.18–3.11 (m, 2H), 2.97–2.86 (m, 8H), 1.26–1.15 (m, 4H); ESI MS *m/z* 504 $[C_{29}H_{27}ClFN_3O_2 + H]^+$; HPLC 96.4% (AUC), t_R = 11.15 min.

Example 703

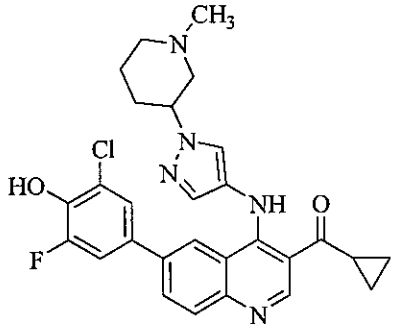
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[1-(1-methylpiperidin-3-yl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}methanone



Following general procedure F, {6-Bromo-4-[1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone (53 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.165 mmol) to afford the desired product (50 mg, 84%) as a green-yellow solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.83 (s, 1H), 9.06 (s, 1H), 8.23 (d, J = 2.1 Hz, 1H), 8.04 (dd, J = 8.7, 2.1 Hz, 1H), 7.93–7.85 (m, 2H), 7.50 (s, 2H), 7.43 (s, 1H), 4.22–4.12 (m, 1H), 2.94 (d, J = 11.4 Hz, 2H), 2.88–2.79 (m, 1H), 2.39 (s, 3H), 2.27–2.20 (m, 2H), 2.02–1.89 (m, 4H), 1.02–0.91 (m, 4H); ESI MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.6% (AUC), t_R = 10.40 min.

Example 699

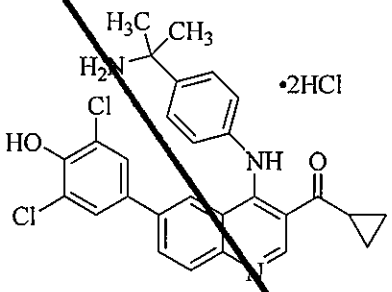
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[1-(1-methylpiperidin-3-yl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, {6-Bromo-4-[1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone (58 mg, 0.120 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.180 mmol) to afford the desired product (46 mg, 74%) as a yellow-green solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.86 (s, 1H), 9.08 (s, 1H), 8.24 (d, J = 2.1 Hz, 1H), 8.04 (dd, J = 8.8, 2.1 Hz, 1H), 7.93–7.83 (m, 2H), 7.43 (s, 1H), 7.39–7.30 (m, 2H), 4.19–4.12 (m, 1H), 2.95–2.81 (m, 3H), 2.29–2.15 (s, 5H), 2.01–1.89 (m, 4H), 1.02–0.92 (m, 4H).; ESI MS m/z 520 [$C_{28}H_{27}ClFN_5O_2$ + H]$^+$; HPLC 98.6% (AUC), t_R = 10.16 min.

Example 601

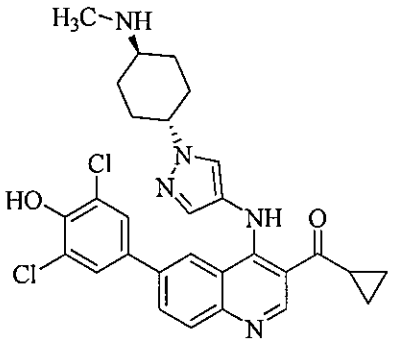
{4-[4-(2-Aminopropan-2-yl)phenylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone hydrochloride



To a suspension of {4-[4-(2-aminopropan-2-yl)phenylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone (14 mg, 0.028 mmol) in methanol (5 mL) was added HCl in ether (3 drops, 2 M). The resultant solution was concentrated to obtain the desired product as the hydrochloride salt (13 mg) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.31 (s, 1H), 8.24–8.22 (m, 1H), 8.18 (s, 1H), 8.04 (d, J = 8.8 Hz, 1H), 7.65 (d, J = 9.0 Hz, 2H), 7.49 (d, J = 9.0 Hz, 2H), 7.42 (s, 2H), 2.84–2.75 (m, 1H), 1.78 (s, 6H), 1.18–1.09 (m, 4H); ESI MS m/z 506 [$C_{28}H_{25}Cl_2N_3O_2$ + H]$^+$; HPLC 98.5% (AUC), t_R = 10.80 min.

Example 705

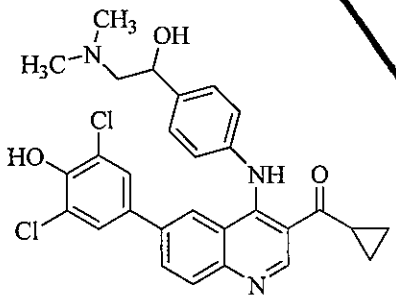
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[1-(trans-4-(methylamino)cyclohexyl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}methanone



Following general procedure F, {6-bromo-4-[1-(trans-4-(methylamino)cyclohexyl)-1H-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone (60 mg, 0.128 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.192 mmol) to afford the desired product (17 mg, 24%) as a light yellow solid: ^{1}H NMR (500 MHz, DMSO-d_6 + TFA-*d*) δ 8.95–8.65 (br s, 1H), 8.63–8.51 (m, 1H), 8.40 (d, J = 8.8 Hz, 1H), 8.06 (d, J = 8.8 Hz, 1H), 8.02–7.97 (m, 1H), 7.54 (s, 1H), 4.26 (m, 1H), 3.11–3.02 (m, 1H), 2.60 (s, 3H), 2.20–2.08 (m, 4H), 1.88–1.76 (m, 2H), 1.58–1.46 (m, 2H), 1.00–0.76 (m, 4H); ESI MS *m/z* 550 $[C_{29}H_{29}Cl_2N_5O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 11.56 min.

Example 709

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}methanone

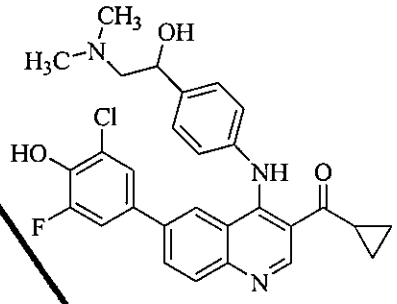


Following general procedure F, {6-bromo-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (50 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (48 mg, 0.165 mmol) to afford the desired product (41 mg, 69%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.38 (s, 1H), 8.22 (dd, J = 8.8, 2.0 Hz, 1H), 8.07–7.98 (m, 2H), 7.65 (d, J = 8.4 Hz,

2H), 7.48 (d, J = 8.4 Hz, 2H), 7.38 (s, 2H), 5.18 (dd, J = 9.8, 4.3 Hz, 1H), 3.25–3.14 (m, 2H), 3.02 (s, 3H), 2.95 (s, 3H), 2.86–2.79 (m, 1H), 1.22–1.11 (m, 4H); ESI MS m/z 536 $[C_{29}H_{27}Cl_2N_3O_3 + H]^+$; HPLC 95.1% (AUC), t_R = 11.42 min.

Example 707

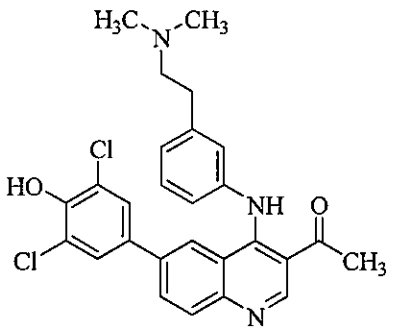
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-1, {6-bromo-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (50 mg, 0.110 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (30 mg, 0.165 mmol) to afford the desired product (23 mg, 40%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-d) 9.41 (s, 1H), 8.22 (dd, J = 8.8, 2.0 Hz, 1H), 8.02 (d, J = 8.8 Hz, 2H), 7.66 (d, J = 8.0 Hz, 2H), 7.49 (d, J = 8.0 Hz, 2H), 7.23 (s, 1H), 7.09–7.02 (m, 1H), 5.20 (dd, J = 10.6, 3.8 Hz, 1H), 3.31–3.20 (m, 2H), 3.03 (s, 3H), 2.97 (s, 3H), 2.89–2.80 (m, 1H), 1.25–1.14 (m, 4H); ESI MS m/z 520 $[C_{29}H_{27}ClFN_3O_3 + H]^+$; HPLC 94.8% (AUC), t_R = 11.31 min.

Example 729

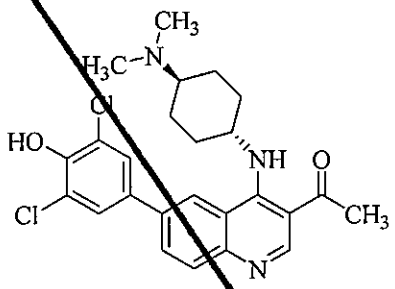
1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}ethanone



Following general procedure F, 1-{6-bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}ethanone (50 mg, 0.120 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.180 mmol) to afford the desired product (46 mg, 77%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.31 (s, 1H), 8.20 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.72 (s, 1H), 7.70–7.56 (m, 2H), 7.51 (s, 1H), 7.40 (d, *J* = 7.8 Hz, 1H), 7.10 (s, 2H), 3.40–3.30 (m, 2H), 3.21–3.11 (m, 2H), 2.94 (s, 6H), 2.82 (s, 3H); ESI MS *m/z* 494 [$C_{27}H_{25}Cl_2N_3O_2$ + H]$^+$; HPLC 96.8% (AUC), t_R = 8.94 min.

Example 765

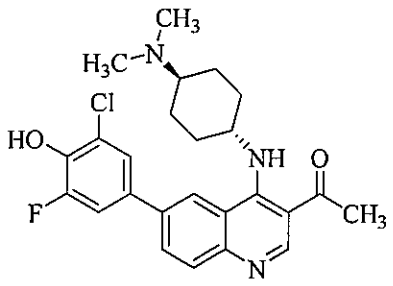
1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure F, 1-{6-bromo-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone (30 mg, 0.0.77 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (44 mg, 0.154 mmol) to afford the desired product (29 mg, 80%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.13 (s, 1H), 8.48 (s, 1H), 8.30 (dd, *J* = 8.7, 1.8 Hz, 1H), 8.00 (d, *J* = 8.7 Hz, 1H), 7.77 (s, 2H), 4.66–4.62 (m, 1H), 3.50–3.46 (m, 1H), 2.91 (s, 6H), 2.75 (s, 3H), 2.59–2.55 (m, 2H), 2.34–2.30 (m, 2H), 1.92–1.84 (m, 4H); ESI MS *m/z* 472 [$C_{25}H_{27}Cl_2N_3O_2$ + H]$^+$; HPLC 98.0% (AUC), t_R = 9.78 min.

Example 763

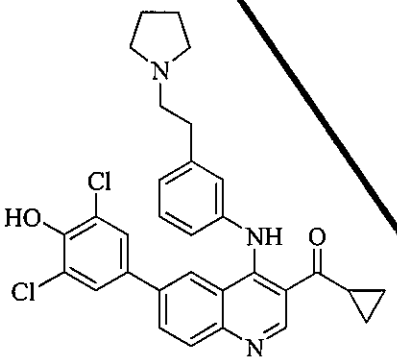
1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure F, 1-{6-bromo-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone (30 mg, 0.077 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.154 mmol) to afford the desired product (24 mg, 68%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.13 (s, 1H), 8.48 (s, 1H), 8.29 (dd, *J* = 8.8, 1.8 Hz, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.63 (s, 1H), 7.56 (dd, J = 11.4, 2.3 Hz, 1H), 4.65–4.61 (m, 1H), 3.50–3.46 (m, 1H), 2.91 (s, 6H), 2.75 (s, 3H), 2.58–2.54 (m, 2H), 2.34–2.28 (m, 2H), 1.91–1.81 (m, 4H); ESI MS *m/z* 456 $[C_{25}H_{27}ClFN_3O_2 + H]^+$; HPLC 97.1% (AUC), t_R = 9.52 min.

Example 797

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}methanone

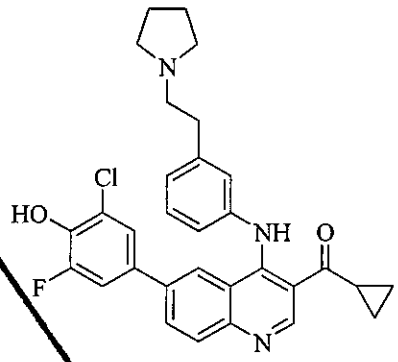


Following general procedure A-1, {6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (56 mg, 0.120 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.180 mmol) to afford the desired product (10 mg, 15%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.46 (s, 1H), 8.22 (dd, *J* = 8.8, 1.9 Hz, 2H), 8.02 (d, *J* = 8.8 Hz, 2H), 7.90–7.85 (m, 1H), 7.66–7.59 (m, 1H), 7.58–7.53 (m, 1H), 7.47 (s, 1H), 7.42–7.36 (m, 1H), 7.19 (s, 2H), 3.72–3.63 (m, 2H), 3.45–3.37 (m, 2H), 3.18–3.04 (m, 4H), 2.92–2.84 (m, 1H), 2.20–2.08 (m,

2H), 2.08–1.97 (m, 2H), 1.31–1.13 (m, 4H); ESI MS *m/z* 546 $[C_{31}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 97.3% (AUC), t_R = 11.04 min.

Example 799

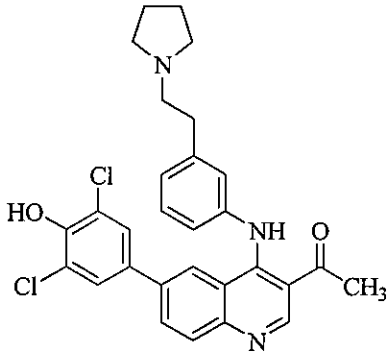
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-1, {6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (56 mg, 0.120 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.180 mmol) to afford the desired product (20 mg, 32%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.45 (s, 1H), 8.22 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.02 (d, *J* = 8.8 Hz, 1H), 7.91 (s, 1H), 7.65–7.58 (m, 1H), 7.54 (d, *J* = 7.8, 1H), 7.46 (s, 1H), 7.38 (d, *J* = 7.8 Hz, 1H), 7.12 (dd, *J* = 11.5, 2.3 Hz, 1H), 6.95 (s, 1H), 3.72–3.64 (m, 2H), 3.45–3.36 (m, 2H), 3.17–3.05 (m, 4H), 2.92–2.83 (m, 1H), 2.20–2.09 (m, 2H), 2.08–1.99 (m, 2H), 1.31–1.16 (m, 4H); ESI MS *m/z* 530 $[C_{31}H_{29}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.82 min.

Example 801

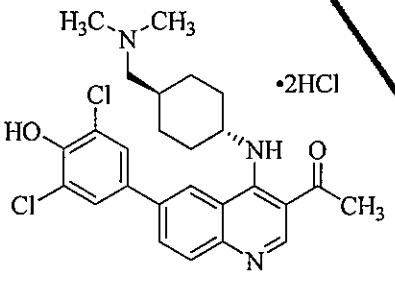
1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}ethanone



Following general procedure F, {6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}ethanone (53 mg, 0.120 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.180 mmol) to afford the desired product (31 mg, 50%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.31 (s, 1H), 8.21 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.73 (s, 1H), 7.69–7.58 (m, 2H), 7.53 (s, 1H), 7.44–7.38 (m, 1H), 7.10 (s, 2H), 3.72–3.63 (m, 2H), 3.46–3.39 (m, 2H), 3.25–3.14 (m, 2H), 3.14–3.04 (m, 2H), 2.82 (s, 3H), 2.19–2.08 (m, 2H), 2.08–1.97 (m, 2H); ESI MS *m/z* 520[$C_{29}H_{27}Cl_2N_3O_2$ + H]$^+$; HPLC 97.5% (AUC), t_R = 10.62 min.

Example 944

1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}ethanone hydrochloride

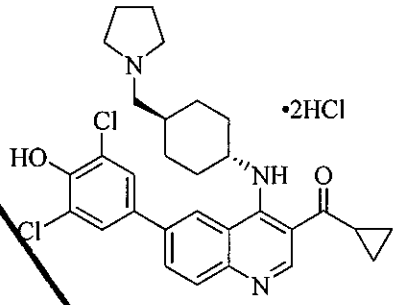


To a suspension of 1-{6-(3,5-dichloro-4-hydroxyphenyl)-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}ethanone (200 mg, 0.410 mmol) in methanol (20 mL) was added 1M HCl in water (1.03 mL, 1.03 mmol). The resultant solution was concentrated to an approximate volume of 5 mL. The precipitate was washed with acetonitrile to obtain the desired product as the hydrochloride salt (200 mg) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.05 (s, 1H), 8.43 (d, *J* = 1.9 Hz, 1H), 8.18 (dd, *J* = 8.7, 1.9 Hz, 1H), 7.96 (d, *J* = 8.7 Hz, 1H), 7.70 (s, 2H),

4.46–4.38 (m, 1H), 3.07 (d, J = 6.6 Hz, 2H), 2.92 (s, 6H), 2.73 (s, 3H), 2.44 (d, J = 12.2 Hz, 2H), 2.08–1.98 (m, 3H), 1.82–1.70 (m, 2H), 1.40–1.28 (m, 2H); ESI MS m/z 486 [$C_{26}H_{29}Cl_2N_3O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 9.94 min.

Example 585

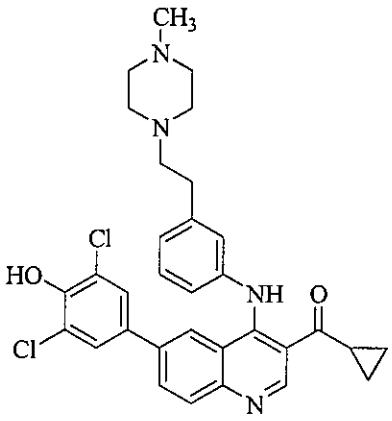
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[trans-4-(pyrrolidin-1-ylmethyl)cyclohexylamino]quinolin-3-yl}methanone hydrochloride



To a suspension of cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[trans-4-(pyrrolidin-1-ylmethyl)cyclohexylamino]quinolin-3-yl}methanone (3.69 g, 6.85 mmol) in methanol (70 mL) was added 1M HCl in water (17 mL, 17 mmol) at 0 °C. The resultant solution was concentrated to an approximate volume of 30 mL. The precipitate was washed with acetonitrile to obtain the desired product as the hydrochloride salt (3.58 g) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.34 (s, 1H), 8.49 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.74 (s, 2H), 4.51–4.47 (m, 1H), 3.73–3.69 (m, 2H), 3.18–3.09 (m, 4H), 2.84 (br s, 1H), 2.44–2.40 (br s, 2H), 2.19–1.97 (m, 7H), 1.84–1.71 (m, 2H), 1.36–1.18 (m, 6H); ESI MS m/z 538 [$C_{30}H_{33}Cl_2N_3O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 10.65 min.

Example 829

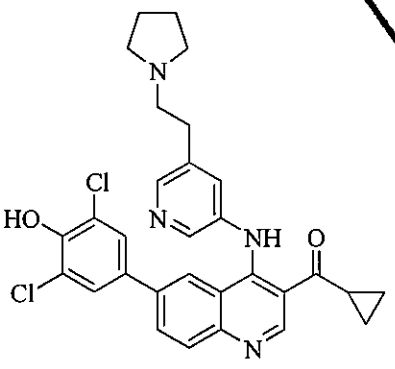
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino]quinolin-3-yl}methanone



Following general procedure F, {6-bromo-4-[3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)metha none (56 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (48 mg, 0.165 mmol) to afford the desired product (47 mg, 74%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.25 (s, 1H), 7.89 (s, 2H), 7.69 (s, 1H), 7.41 (t, J = 7.7 Hz, 1H), 7.24 (d, J = 7.7 Hz, 1H), 7.13–6.94 (m, 4H), 2.97–2.84 (m, 1H), 2.82–2.72 (m, 2H), 2.66–2.51 (m, 10H), 2.43 (s, 3H), 1.32–1.06 (m, 4H).ESI MS *m/z* 575 [$C_{32}H_{32}Cl_2N_4O_2$ + H]$^+$; HPLC 95.1% (AUC), t_R = 10.37 min.

Example 881

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-ylamino]quinolin-3-yl}methanone

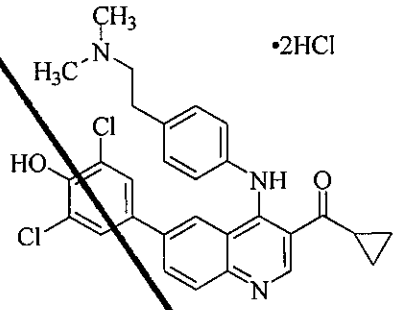


Following general procedure A-1, {6-bromo-4-[5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methano ne (54 mg, 0.116 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.174 mmol) to

afford the desired product (29 mg, 46%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.36 (s, 1H), 8.64 (dd, *J* = 16.9, 2.1 Hz, 2H), 8.32 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.17 (d, *J* = 2.0 Hz, 1H), 8.11 (d, *J* = 8.8 Hz, 1H), 7.99 (t, *J* = 2.0 Hz, 1H), 7.43 (s, 2H), 3.74–3.70 (m, 2H), 3.50–3.43 (m, 2H), 3.24–3.17 (m, 2H), 3.16–3.12 (m, 2H), 2.79–2.76 (m, 1H), 2.19–2.15 (m, 2H), 2.07–2.03 (m, 2H), 1.18–1.07 (m, 4H); ESI MS *m/z* 547 $[C_{30}H_{28}Cl_2N_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.93 min.

Example 614

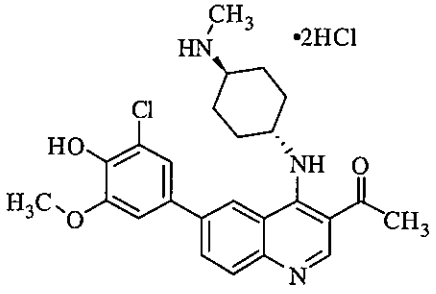
Cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone dihydrochloride



Following general procedure D, (6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone (7.3 g, 16.7 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (5.6 g, 20mol) to obtain the desired product which was dissolved methanol and treated with excess 1 N aq. HCl. During removal of the methanol via rotary evaporation a precipitate appeared which was filtered to obtain the desired product (5.6 g, 64% over 2 steps) as a yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.46 (s, 1H), 8.21 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.01 (d, *J* = 8.8 Hz, 1H), 7.89 (s, 1H), 7.57 (d, *J* = 9 Hz, 2H), 7.46 (d, *J* = 9 Hz, 2H), 7.23 (s, 2H), 3.40 (m, 2H), 3.22 (m, 2H), 2.98 (s, 7H), 2.90 (t, *J* = 4.8 Hz, 1H), 1.22 (m, 4H); ESI MS *m/z* 520 $[C_{29}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 99.1% (AUC), t_R = 10.54 min.

Example 543

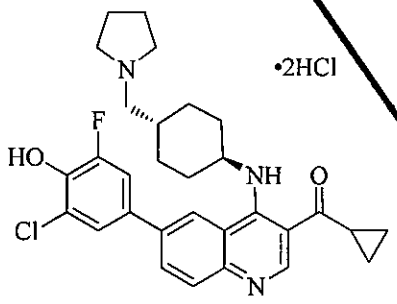
1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride



To a solution of tert-butyl(1r,4r)-4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-4-ylamino)cyclohexyl(methyl)carbamate (100 mg, 0.180 mmol) in dichloromethane (5 mL) was added HCl (2 mL, 2 M in diethylether) and the mixture was stirred for 16 h at room temperature. The resulting precipitate was filtered, washed with dichloromethane (10 mL), and dried under vaccuum to obtain the desired product (40 mg, 42%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.47 (s, 1H), 8.31 (dd, J = 8.7, 1.8 Hz, 1H), 8.00 (d, J = 8.7 Hz, 1H), 7.33 (s, 1H), 7.27 (s, 1H), 4.56 (s, 1H), 4.00 (s, 3H), 3.26 (t, J = 12.6 Hz, 1H), 2.75 (s, 3H), 2.73 (s, 3H), 2.54 (d, J = 12.6 Hz, 2H), 2.35 (d, J = 11.7 Hz, 2H), 1.86 (q, J = 12.6 Hz, 2H), 1.63 (q, J = 12.6 Hz, 2H); ESI MS m/z 454, $[C_{25}H_{28}ClN_3O_3 + H]^+$; HPLC 97.6% (AUC), t_R = 9.49 min.

Example 588

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone dihydrochloride

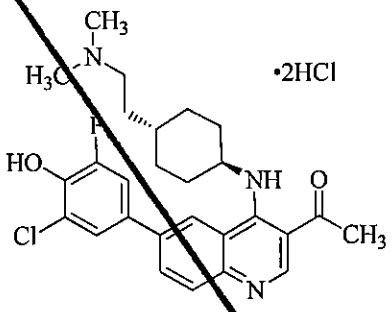


To a suspension of (6-bromo-4-((trans-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino) quinoline-3-yl)(cyclopropyl)methanone (60 mg, 0.13 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (54 mg, 0.20 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). The reaction mixture was degassed with nitrogen and heated at 80 °C for 2 h. The reaction mixture was cooled to room temperature, diluted with a satd. aq. $NaHCO_3$ (20 mL) and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium

sulfate, concentrated and purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The desired fractions were combined and concentrated and the residue was dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.5 mL, 1.9 mmol). The mixture was concentrated to obtain the desired product (42.9 mg, 55%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.35 (br s, 1H), 8.47 (br s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.60 (br s, 1H), 7.53 (d, J = 11.1 Hz, 1H), 4.51 (br s, 1H), 3.74 – 3.66 (m, 2H), 3.18 – 3.07 (m, 4H), 2.85 (br s, 1H), 2.44 (br s, 2H), 2.24 – 1.96 (m, 5H), 1.83 – 1.71 (m, 2H), 1.36 – 1.18 (m, 6H). ESI MS m/z 522 $[C_{30}H_{33}ClFN_3O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.35 min.

Example 742

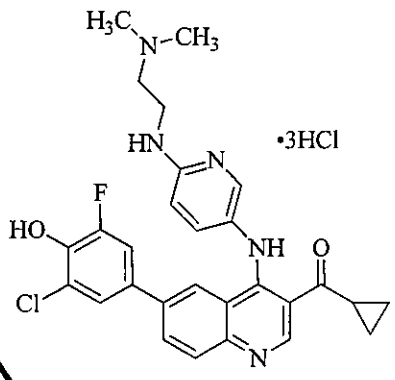
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride



To a suspension of 1-(6-bromo-4-((trans-4-(2-(dimethylamino)ethyl)cyclohexyl) amino)quinolin-3-yl)ethanone (63 mg, 0.15 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (61 mg, 0.22 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). The reaction mixture was degassed with nitrogen and heated at 80 °C for 2 h. The reaction mixture was cooled to room temperature, diluted with a satd. aq. $NaHCO_3$ (20 mL) and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with a mixture of $CHCl_3$/isopropanol (3:1). The desired fractions were concentrated and dissolved in methanol and resultant residue was dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.5 mL, 1.9 mmol) was added. The resulting solution was concentrated to give the desired product (55.9 mg, 67%) as an off-white solid ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.50 (s, 1H), 8.27 (dd, J = 8.7, 1.7 Hz, 1H), 7.97 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.4, 2.3 Hz, 1H), 4.54 – 4.50 (m, 1H), 3.25 – 3.17 (m, 2H), 2.90 (s, 6H), 2.73 (s, 3H), 2.42 (d, J = 12.2 Hz, 2H), 2.04 (d, J = 12.9 Hz, 2H), 1.80 – 1.68 (m, 4H), 1.59 (br s, 1H), 1.39 – 1.27 (m, 2H). ESI MS m/z 484 $[C_{27}H_{31}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.01 min.

Example 777

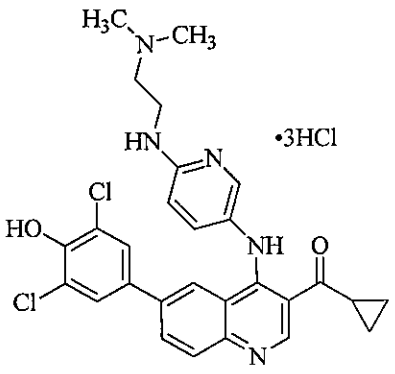
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-((2-(dimethylamino)ethyl)amino)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride



To a suspension of (6-bromo-4-((6-((2-(dimethylamino)ethyl)amino)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone (91 mg, 0.20 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (82 mg, 0.30 mmol) and $Pd(dppf)Cl_2$ (14.6 mg, 0.02 mmol) in dioxane (6 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.6 mL, 0.6 mmol). The reaction mixture was degassed with nitrogen and heated at 80 °C for 2 h. The solution was cooled to room temperature, diluted with a satd. aq. $NaHCO_3$ solution and extracted with $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The desired fractions were combined and concentrated. The residue was dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.5 mL, 1.9 mmol) and the mixture was concentrated to give the desired product (97.7 mg, 78%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.38 (s, 1H), 8.32 – 8.17 (m, 3H), 8.04 (d, J = 8.8 Hz, 1H), 7.73 (d, J = 9.0 Hz, 1H), 7.31 – 7.23 (m, 2H), 7.01 (d, J = 9.2 Hz, 1H), 3.87 (t, J = 6.1 Hz, 2H), 3.44 (t, J = 6.1 Hz, 2H), 2.98 (s, 6H), 2.89 – 2.80 (m, 1H), 1.24 – 1.18 (m, 4H). ESI MS m/z 520 $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC 97.9% (AUC), t_R = 9.99 min.

Example 748

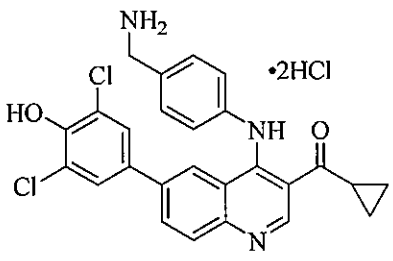
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-((2-(dimethylamino)ethyl)amino)pyridin-3-yl)amino)quinolin-3-yl)methanone trihydrochloride



To a suspension of (6-bromo-4-((6-((2-(dimethylamino)ethyl)amino)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl) methanone (91 mg, 0.20 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (87 mg, 0.30 mmol) and $Pd(dppf)Cl_2$ (14.6 mg, 0.02 mmol) in dioxane (6 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.6 mL, 0.6 mmol). The reaction mixture was degassed with nitrogen and heated at 80 °C for 2 h. The reaction mixture was cooled to room temperature, diluted with a satd. aq. $NaHCO_3$ (20 mL) and extracted with $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with a mixture of $CHCl_3$/isopropanol (3:1). The desired fractions were concentrated and dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.5 mL, 1.9 mmol). The resulting solution was concentrated to give the desired product (95.6 mg, 74%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.38 (s, 1H), 8.33 – 8.23 (m, 2H), 8.19 (d, J = 2.5 Hz, 1H), 8.05 (d, J = 8.8 Hz, 1H), 7.77 (dd, J = 9.2, 2.6 Hz, 1H), 7.45 (s, 2H), 7.06 (d, J = 9.2 Hz, 1H), 3.88 (t, J = 6.1 Hz, 2H), 3.45 (t, J = 6.1 Hz, 2H), 2.98 (s, 6H), 2.88 – 2.79 (m, 1H), 1.24 – 1.18 (m, 4H). ESI MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.24 min.

Example 508

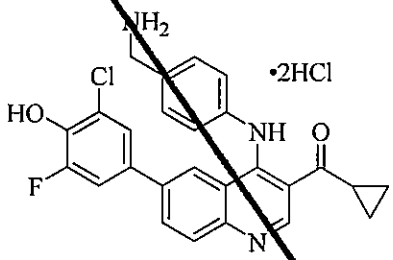
(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl) methanone hydrochloride



Following general procedure F, tert-butyl 4-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)benzylcarbamate (20 mg, 0.04 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (17 mg, 0.06 mmol) to obtain the boc-intermediate, which was deprotected using general procedure A-2. The desired fractions from the preparative HPLC were combined and concentrated. The residue was dissolved in methanol and HCl (2 mL, 1.25 M in methanol) and concentrated to obtain the desired product (15 mg, 68% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.43 (s, 1H), 8.24 (dd, J = 8.8, 1.9 Hz, 1H), 8.04 (d, J = 8.8 Hz, 1H), 7.99 (s, 1H), 7.70 (d, J = 8.2 Hz, 2H), 7.55 (d, J = 8.2 Hz, 2H), 7.25 (s, 2H), 4.28 (s, 2H), 2.91–2.82 (m, 1H), 1.25–1.14 (m, 4H); ESI MS m/z 478 $[C_{26}H_{21}Cl_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.00 min.

Example 505

(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

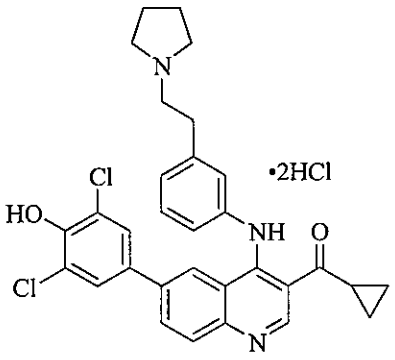


Following general procedure F, tert-butyl 4-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)benzylcarbamate (20 mg, 0.04 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (16 mg, 0.06 mmol) to obtain the Boc-intermediate, which was deprotected using general procedure A-2. The desired fractions from the preparative HPLC were combined and concentrated. The residue was dissolved in methanol and HCl (2 mL, 1.25 M in methanol) and concentrated to obtain the desired product (17 mg, 81% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.43 (s, 1H), 8.24 (dd, J = 8.8, 2.0 Hz, 1H), 8.04 (d, J = 8.8 Hz, 1H), 8.00 (s, 1H), 7.70 (d, J = 8.3 Hz, 2H), 7.55 (d, J = 8.3 Hz, 2H), 7.13 (dd, J = 11.5, 2.3 Hz, 1H), 7.03 (s, 1H), 4.27 (s, 2H), 2.91–2.82 (m, 1H), 1.25–1.14 (m, 4H); ESI MS m/z 462 $[C_{26}H_{21}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.77 min.

Example 798

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quin

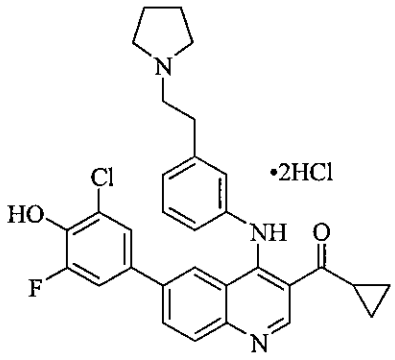
olin-3-yl)methanone hydrochloride



Following general procedure F, (6-bromo-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone (41 mg, 0.088 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.13 mmol) and the desired compound was dissolved in methanol (4 mL) and HCl (2 mL, 1.25 M in methanol). The mixture was concentrated to obtain the desired product (47 mg, 86% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.45 (s, 1H), 8.22 (dd, J = 8.8, 1.9 Hz, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.88 (s, 1H), 7.65–7.58 (m, 1H), 7.57–7.54 (m, 1H), 7.48 (s, 1H), 7.41–7.31 (m, 1H), 7.20 (s, 2H), 3.69–3.65 (m, 2H), 3.45–3.38 (m, 2H), 3.19–3.12 (m, 2H), 3.11–3.07 (m, 2H), 2.93–2.86 (m, 1H), 2.15–2.11 (s, 2H), 2.05–2.01 (s, 2H), 1.24 – 1.16 (m, 4H); ESI MS *m/z* 546 $[C_{31}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 97.8% (AUC), t_R = 10.83 min.

Example 800

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

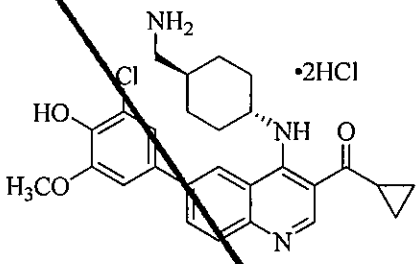


Following general procedure F, (6-bromo-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone (45

mg, 0.097 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.15 mmol) to obtain the desired product which was dissolved in methanol and HCl (2 mL, 1.25 M in methanol). The mixture was concentrated to obtain the desired product (46 mg, 79% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.44 (s, 1H), 8.23 (dd, J = 8.8, 1.9 Hz, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.92 (s, 1H), 7.65–7.57 (m, 1H), 7.56–7.47 (m, 2H), 7.41–7.35 (m, 1H), 7.16–7.10 (m, 1H), 6.97 (s, 1H), 3.71–3.65 (m, 2H), 3.46–3.39 (m, 2H), 3.19–3.06 (m, 4H), 2.91–2.87 (m, 1H), 2.19–2.09 (m, 2H), 2.06–2.00 (m, 2H), 1.26 – 1.15 (m, 4H); ESI MS m/z 530 $[C_{31}H_{29}ClFN_3O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.81 min.

Example 494

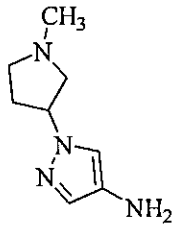
(4-(4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride



Following general procedure F, tert-butyl (4-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methylcarbamate (25 mg, 0.05 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (21 mg, 0.08 mmol) to obtain the Boc-intermediate, which was deprotected using general procedure A-2. The desired fractions from the preparative HPLC were combined and concentrated and the residue was dissolved in methanol and HCl (2 mL, 1.25 M in methanol). The mixture was concentrated to obtain desired product (18 mg, 66% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.34 (s, 1H), 8.50 (s, 1H), 8.30 (dd, J = 8.7, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.35 (s, 1H), 7.27 (s, 1H), 4.53–4.49 (m, 1H), 4.00 (s, 3H), 2.89–2.81 (m, 3H), 2.46–2.42 (m, 2H), 2.08–2.02 (m, 2H), 1.83–1.68 (m, 3H), 1.35–1.18 (m, 6H).; ESI MS m/z 480 $[C_{27}H_{30}ClN_3O_3 + H]^+$; HPLC 98.2% (AUC), t_R = 10.03 min.

Example 1199

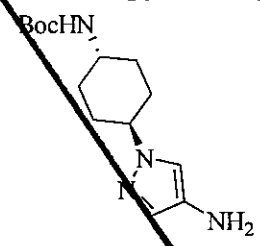
1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-amine



A solution of tert-butyl 3-(4-nitro-1H-pyrazol-1-yl)pyrrolidine-1-carboxylate(1.0 g, 3.5 mmol) in THF and slowly added to a round bottomed flask with LAH (0.54 g, 14 mmol) in THF at 0 °C. Upon complete addition the reaction mixture was heated at reflux for 2 h. The reaction mixture was cooled to 0 °C and quenched by the stepwise addition of 0.5 mL ethanol, 0.5 mL water and 1.5 mL of 3 N NaOH. The resulting solids were filtered and the residue was concentrated to obtain the desired amino pyrazole as a dark foam. ESI MS *m/z* 167 $[C_8H_{14}N_4 + H]^+$

Example 1200

tert-butyl (1r,4r)-4-(4-amino-1H-pyrazol-1-yl)cyclohexylcarbamate



To a solution of nitro pyrazole (1.0 g, 8.8 mmol), tert-butyl (1r,4r)-4-hydroxycyclohexyl carbamate (1.9 g, 8.8 mmol) and triphenyl phosphine (2.1 g, 11 mmol) in THF (50 mL) was added DIAD (2.9 g, 11 mmol) and the reaction mixture was stirred for 18 h. The reaction mixture was concentrated and purified by flash chroma tography to obtain tert-butyl (1r,4r)-4-(4-nitro-1H-pyrazol-1-yl)cyclohexylcarbamate (1.1 g) as an off-white foam. The foam was dissolved in tertrahydrofuran (0.1 M), degassed with nitrogen and placed in a Parr shaker with Pd/C (10%, 0.1 equiv). The Parr shaker was charged with hydrogen (40 Psi) and the reaction was allowed to proceed at room temperature until complete, as indicated by LCMS analysis. The reaction mixture was filtered over diatomaceous earth and the filtrate was concentrated to obtain the desired amino pyrazole.

Compounds of the invention of this application not particularly described in the Examples above were also be synthesized by similar or analogous methods by referring to the above-mentioned Examples and such.

Next, the pharmacological activities of a representative example, compound (I), will be described using Test Examples.

Examples

Kinase assay

MELK activity was determined in the presence or absence of compounds using fluorescein isothiocyanate-labeled (FITC-labeled) histone H3 peptide as a substrate. The extent of FITC-labeled histone H3 peptide phosphorylation was measured by immobilized metal ion affinity-based fluorescence polarization (IMAP) technology (Sportsman JR, et al., Assay Drug Dev. Technol. 2: 205-14, 2004) using IMAP FP Progressive Binding System (Molecular Devices Corporation). Test compounds were dissolved in DMSO at 12.5 mM and then serially diluted as the DMSO concentration in the assays to be 1%. The serially diluted compounds, 0.8 ng/micro-L PBK (Carna Biosciences) and 100 nM FITC-labeled histone H3 peptide were reacted in a reaction buffer (20 mM HEPES, 0.01% Tween-20, 0.3 mM $MgCl_2$, 2 mM dithiothreitol, 50micro-M ATP, pH 7.4) at room temperature for 1 hour. The reaction was stopped by the addition of three fold assay volume of progressive binding solution. Following 0.5 hour incubation at room temperature, fluorescence polarization was measured by Wallac EnVision 2103 multilabel reader (PerkinElmer). IC50 values were calculated by nonlinear four parameter fit using SigmaPlot, version 10.0 (Systat Software, Inc.).

IC_{50} values of the typical compounds of the present invention are shown in following table 2:

Table 2

Example	**Compound Name**	**IC_{50} (μM) (kinase assay)**
55	{4-[*trans*-4-Aminocyclohexylamino]-6-(4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.021
81	{4-[*trans*-4-Aminocyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.007
96	5-{4-[*trans*-4-Aminocyclohexylamino]-3-(cyclopropanecarbonyl)quinolin-6-yl}pyrimidine -2-carbonitrile	0.0024
108	5-{4-[*trans*-4-Aminocyclohexylamino] -3-isobutyrylquinolin-6-yl}picolinonitrile	0.03
116	{4-[*trans*-4-Aminocyclohexylamino]-6-(1*H*-benzo[*d*]imidazol-5-yl)quinolin-3-yl} (cyclopropyl)methanone	0.018
119	5-{4-[*trans*-4-Aminocyclohexylamino]-3-(cyclopropanecarbonyl)quinolin-6-yl}thiophene -2-carbonitrile	0.046
133	Cyclopropyl[4-{4-[(dimethylamino)methyl] piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl]methanone	0.0036

155	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(2,8-diazaspiro[4,5]decan-8-yl)quinolin-3-yl](cyclopropyl)methanone	0.004
156	{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.023
157(a)	{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.0076
157(b)	{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl) methanone dihydrochloride	0.003
160	{4-[*trans*-4-Aminocyclohexylamino]-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.072
165	{4-(*cis*-4-Aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.0019
177	Cyclopropyl[6-(4-hydroxy-3-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl]methanone	0.026
179	{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl) methanone	0.0065
180	[4-(*cis*-4-Aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl](cyclopropyl)methanone	0.0026
181	Cyclopropyl[6-(3,5-difluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl] methanone	0.011
185(a)	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone	0.0026
185(b)	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone dihydrochloride	0.0026
187	Cyclopropyl(4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	0.0034
192	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	0.011
193	Cyclopropyl{4-[4-(diethylamino)cyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl}methanone	0.007

201	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3-yl}(cyclopropyl)methanone	0.0034
205	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one	0.01
212	{4-[*trans*-4-Aminocyclohexylamino]-6-(pyridin-4-yl) quinolin-3-yl}(cyclopropyl)methanone	0.054
213	{4-[*trans*-4-Aminocyclohexylamino]-6-(1*H*-pyrazol-4-yl)quinolin-3-yl}(cyclopropyl)methanone	0.067
214	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro -4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one	0.043
215	{6-(3-Chloro-4-hydroxyphenyl)-4-[2-(piperazin-1-yl) ethylamino]quinolin-3-yl}(cyclopropyl)methanone	0.017
219	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4 -hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one	0.023
225(a)	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro -4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one	0.0025
225(b)	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl]-2-methylpropan-1-one dihydrochloride	0.0028
240	2-Chloro-4-{4-[4-(diethylamino)cyclohexylamino]-3-(methylsulfonyl)quinolin-6-yl}-6-methoxyphenol dihydrochloride	0.0053
243	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl](cyclopropyl)methanone	0.0044
245	2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl]-6-methoxyphenol	0.0016
246	2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl]phenol	0.01
249	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl](cyclopropyl) methanone	0.0079
250	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.0013
255	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-	0.0019

	(dimethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone	
256	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro -4-hydroxyphenyl)quinolin-3-yl}ethanone	0.0041
258	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro -4-hydroxyphenyl)quinolin-3-yl}ethanone	0.04
259	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl}ethanone	0.0024
262	1-(4-((trans)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-3-methylbutan-1-one	0.005
263	Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino] quinolin-3-yl}methanone	0.0017
266	Cyclopropyl(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	0.0016
267	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro -4-hydroxyphenyl)quinolin-3-yl}-3-methylbutan-1-one dihydrochloride	0.0022
269	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.0037
270	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3-yl} (cyclopropyl)methanone	0.021
276	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4 -[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.0008
279	[6-(3-Chloro-4-hydroxyphenyl)-4-{4-[(dimethylamino) methyl]piperidin-1-yl}quinolin-3-yl](cyclopropyl) methanone	0.0046
280	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.0017
283	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}	0.0029

	(cyclopropyl)methanone	
284	{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin-3-yl}(cyclopropyl)methanone	0.013
294	Cyclopropyl{6-(3,5-difluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}methanone	0.042
295	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}(cyclopropyl)methanone	0.027
303	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone	0.0061
305	1-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl]ethanone	0.0022
307	Cyclopropyl{6-(3-fluoro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}methanone	0.0041
309	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(3-amino)adamantylamino]quinolin-3-yl}(cyclopropyl)methanone	0.0087
311	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*cis*-4-(diethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	0.0032
313	Cyclopropyl{6-[4-hydroxy-3-(trifluoromethoxy)phenyl]-4-[4-(pyrrolidin-1-ylmethyl]piperidin-1-yl}quinolin-3-yl)methanone	0.012
314	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(diethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	0.0031
315	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl](cyclopropyl)methanone	0.0043
316	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{*trans*-4-[(dimethylamino)methyl]cyclohexylamino}quinolin-3-yl](cyclopropyl)methanone	0.011
318	1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(pyrrolidin	0.02

	-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2 -methylpropan-1-one	
321	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl} ethanone	0.0027
323	1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl} -2-methylpropan-1-one	0.013
325	Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino} quinolin-3-yl]methanone	0.011
327	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl] (cyclopropyl)methanone	0.0055
332	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(morpholinomethyl)p iperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone	0.035
334	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.0081
335	1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}ethanone	0.021
336	1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl} ethanone	0.0069
339	[-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl] cyclopropyl)methanone	0.004
342	{6-(3-Chloro-4-hydroxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone	0.0046
343	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone	0.0021
345	Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl] methanone	0.003
347	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-	0.0024

	[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	
348	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino] quinolin-3-yl}-3-methylbutan-1-one	0.0043
349	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.0046
350	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.005
351	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.0022
353	Cyclopropyl[4-{4-[(dimethylamino)methyl] piperidin-1-yl}-6-(3-ethoxy-4-hydroxyphenyl) quinolin-3-yl]methanone	0.0017
356	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]phenyl}quinolin-3-yl] (cyclopropyl)methanone	0.0042
384	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone	0.0046
385	(6-(3-chloro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone	0.019
386	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone	0.0092
387	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	0.089
388	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	0.014
389	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone	0.031
390	2-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone	0.025

391	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone	0.0037
392	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0034
393	2-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone	0.095
394	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.0018
395	2-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone	1
396	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0088
397	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	0.0076
398	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0084
399	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol	0.016
400	2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.0034
401	2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.0055
402	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.0058
403	(6-(3-chloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.011
404	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0038
405	cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-(4-((4-met	0.017

	hylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	
406	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.019
407	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	0.0051
408	2-chloro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	0.009
409	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	0.0017
410	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol	0.0068
411	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.0091
412	2-chloro-6-methoxy-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	0.002
413	5-(3-acetyl-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0029
414	5-(3-acetyl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0022
415	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone	0.035
416	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone **dihydrobromide**	0.0032
417	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)methanone	0.0099
418	5-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0073
419	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone	0.0059
420	2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.0023
421	2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.0017

422	2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol	0.0041
423	2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol	0.0063
424	2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.013
425	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0027
426	5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0025
427	(6-(3-chloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0062
428	6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile	0.0037
429	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.019
430	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(4-methylpiperazin-1-yl)phenyl)quinolin-3-yl)methanone	0.033
431	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenyl)quinolin-3-yl)methanone	0.0039
432	6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinoline-3-carbonitrile	0.056
433	6-(3-chloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile	0.043
434	6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile	0.035
435	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.049
436	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenyl)quinolin-3-yl)(cyclopropyl)methanone	0.014
437	1-(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethyla	0.0011

	mino)ethanone	
438	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(4-methylpiperazin-1-yl)phenyl)quinolin-3-yl)(cyclopropyl)methanone	0.018
439	5-(3-(cyclopropanecarbonyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.058
440	4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-1-(2-(pyrrolidin-1-yl)ethyl)piperazin-2-one	0.0044
441	1-(4-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	0.004
442	1-(4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	0.0035
443	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.043
444	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.036
445	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	0.022
446	6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinoline-3-carbonitrile	0.0027
447	6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinoline-3-carbonitrile	0.0018
448	(6-(5-chloro-4-hydroxy-2-methylphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.06
449	cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)methanone	0.014
450	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-morpholinoethylamino)pyridin-3-yl)quinolin-3-yl)methanone	0.018
451	4-(3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)-N-(2-(dimethylamino)ethyl)benzamide	0.0025

452	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenyl)quinolin-3-yl)methanone	0.0026
453	cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(1H-indol-5-yl)quinolin-3-yl)methanone	0.094
454	cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(4-hydroxy-3-(trifluoromethyl)phenyl)quinolin-3-yl)methanone	0.014
455	1-((1S,4S)-5-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethylamino)ethanone	0.069
456	1-((1S,4S)-5-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethylamino)ethanone	0.0078
457	(6-(3-chloro-5-ethoxy-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.0024
458	cyclopropyl(6-(4-(difluoromethoxy)phenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)methanone	0.63
459	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-yl)quinolin-3-yl)methanone	0.0091
460	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.083
461	5-(3-(cyclopropanecarbonyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.015
462	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.066
463	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)methanone	0.02
464	1-((1S,4S)-5-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethylamino)ethanone	0.051
465	cyclopropyl(6-(4-(difluoromethyl)phenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)methanone	0.91
466	2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfinyl)quinolin-6-yl)phenol	0.016

467	2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfinyl)quinolin-6-yl)-6-fluorophenol	0.011
468	2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfinyl)quinolin-6-yl)-6-methoxyphenol	0.0051
469	5-(3-(cyclopropanecarbonyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0015
470	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.015
471	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.011
472	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.023
473	2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfinyl)quinolin-6-yl)phenol	0.0082
474	5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)indolin-2-one	0.66
475	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)(cyclopropyl)methanone	0.072
476	(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)phenyl)(4-methylpiperazin-1-yl)methanone	0.0081
477	1-(4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	0.0016
478	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	0.0078
479	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)methanone	0.04
480	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(5-hydroxy-1H-indol-2-yl)quinolin-3-yl)-2-methylpropan-1-one	0.054
481	methyl 4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoate	1.4
482	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-me	0.0061

	thylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	
483	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.016
484	1-(4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	0.0069
485	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethoxy)phenyl)quinolin-3-yl)methanone	0.0056
486	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-ethoxy-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.0021
487	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.01
488	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.0041
489	(4-(4-((1H-imidazol-1-yl)methyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.019
490	(4-(4-((1H-imidazol-1-yl)methyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.014
491	4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2,6-dichlorophenol	0.0075
492	4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoic acid	1.4
493	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.003
494	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0016
495	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0029
496	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0048
497	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)methanone	0.0021

498	4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl) quinolin-6-yl)-2-chloro-6-fluorophenol	0.046
499	4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl) quinolin-6-yl)-2-chlorophenol	0.036
500	4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl) quinolin-6-yl)-2-chloro-6-methoxyphenol	0.0049
501	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro -5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)met hanone	0.046
502	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hyd roxy-5-methoxyphenyl)quinolin-3-yl)-2-methylpropan-1-o ne	0.007
503	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)meth anone	0.0047
504	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0089
505	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0027
506	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0032
507	(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydro xyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0038
508	(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydro xyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0017
509	5-(4-(4-(aminomethyl)phenylamino)-3-(cyclopropanecarbo nyl)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0013
510	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)methanon e	0.0019
511	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(piperi din-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	0.017
512	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)me thanone	0.0022

513	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.002
514	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0028
515	(4-((1s,4s)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0034
516	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1,2,3,6-tetrahydropyridin-4-yl)quinolin-3-yl)(cyclopropyl)methanone	0.0044
517	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-(methylamino)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone	0.0014
518	2-((((1s,4s)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	0.013
519	(6-(3-chloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.003
520	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0016
521	1-(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-5,6-dihydropyridin-1(2H)-yl)-2-(dimethylamino)ethanone	0.0024
522	5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0014
523	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0024
524	2-((((1s,4s)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	0.01
525	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0048
526	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4	0.0021

	-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl) methanone	
527	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.015
528	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0044
529	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)butan-1-one	0.0038
530	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	0.0045
531	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one dihydrochloride	0.0052
532	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	0.017
533	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-methylpiperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.0088
534	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-methylpiperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.025
535	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	0.0024
536	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1H-pyrazol-4-yl)quinolin-3-yl)(cyclopropyl)methanone	0.11
537	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	0.016
538	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	0.02
539	5-(3-butyryl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0038
540	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	0.064
541	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	0.077
542	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(	0.0043

	methylamino)cyclohexylamino)quinolin-3-yl)ethanone	
543	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.0018
544	4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino)benzamide	0.018
545	4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)benzamide	0.019
546	4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)benzamide	0.04
547	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)methanone	0.02
548	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.002
549	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0027
550	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0057
551	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	1.1
552	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)methanone	0.58
553	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0056
554	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0031
555	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	5.1

556	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0044
557	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0056
558	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.0025
559	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.01
560	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.11
561	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0027
562	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0017
563	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	1.8
564	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	0.26
565	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	2.1
566	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.003
567	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0083
568	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0027

569	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.011
570	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.016
571	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0043
572	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.003
573	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.004
574	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0018
575	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0031
576	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0019
577	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride)	0.0037
578	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.021
579	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0042
580	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0045
581	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3,3-d	0.63

	ifluoropyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl) methanone	
582	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0031
583	cyclopropyl(4-(4-(diallylamino)-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)methanone	0.089
584	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone	0.0016
585	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	0.0014
586	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0028
587	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0032
588	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0037
589	(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.011
590	(4-(6-aminopyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.014
591	(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.032
592	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0015
593	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	0.0013
594	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	0.0048

595	(4-(4,4'-bipiperidin-1-yl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0042
596	(4-(4,4'-bipiperidin-1-yl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0016
597	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	0.0073
598	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0023
599	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.005
600	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.00073
601	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0014
602	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0011
603	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0036
604	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0028
605	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	0.002
606	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	0.0063
607	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	0.0051
608	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimeth	0.0022

	ylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methyl propan-1-one	
609	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl) methanone	0.0025
610	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0017
611	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0023
612	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0055
613	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	0.0022
614	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	0.0016
615	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0082
616	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	0.0044
617	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	0.0042
618	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0042
619	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	0.0028
620	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	0.0066
621	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.01

622	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0037
623	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	0.011
624	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)methanone	0.0016
625	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	0.15
626	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0011
627	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.024
628	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0015
629	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0051
630	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0023
631	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0021
632	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0031
633	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0016
634	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0008
635	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-	0.00074

	4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	
636	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0098
637	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0035
638	(4-(4-amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0034
639	(4-(4-amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0012
640	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	0.027
641	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	0.0076
642	(R)-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0075
643	(R)-cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	0.004
644	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0028
645	(R)-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0062
646	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0011
647	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0015

648	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0019
649	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0021
650	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.001
651	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.00076
652	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)methanone	0.0011
653	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	0.0098
654	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	0.0082
655	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)methyl)phenyl)amino)quinolin-3-yl)ethanone	0.0029
656	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	0.033
657	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((dimethylamino)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0089
658	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0078
659	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.013
660	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0024
661	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-met	0.0018

	hoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	
662	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.004
663	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.003
664	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	0.14
665	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0033
666	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	0.024
667	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.01
668	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0039
669	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.012
670	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0069
671	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.025
672	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.014
673	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3	0.0042

	-yl)(cyclopropyl)methanone	
674	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	0.0069
675	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.83
676	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.29
677	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.014
678	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	0.011
679	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.03
680	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	0.011
681	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.00086
682	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.018
683	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.016
684	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.05
685	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((methylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0016
686	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-methoxyp	0.0035

	yrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	
687	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0033
688	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	0.0032
689	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.013
690	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0047
691	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.012
692	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0056
693	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0083
694	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	0.0072
695	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone hydrochloride	0.0056
696	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.012
697	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0084
698	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	0.0024
699	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpip	0.0026

	eridin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	
700	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((2-fluoroethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0015
701	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((2-fluoroethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.003
702	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	0.0014
703	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	0.0013
704	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	0.0023
705	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1R,4R)-4-(methylamino)cyclohexyl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	0.0017
706	(4-(1-(1R,4R)-4-aminocyclohexyl)-1H-pyrazol-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0011
707	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0059
708	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0065
709	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)methanone	0.0035
710	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0069
711	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0032

712	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0036
713	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	0.0024
714	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	0.004
715	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	0.0015
716	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one dihydrochloride	0.0016
717	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	0.011
718	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	0.0055
719	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0092
720	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(dimethylamino)-2,3-dihydro-1H-inden-5-ylamino)quinolin-3-yl)ethanone	0.0033
721	1-(6-(3,5-difluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.035
722	(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0043
723	(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0019
724	(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0055
725	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methan	0.003

	one	
726	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)methanone	0.0057
727	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0034
728	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclopentylamino)quinolin-3-yl)ethanone	0.0057
729	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0022
730	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0026
731	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0047
732	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.0017
733	1-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.00099
734	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0016
735	(4-(2-(4-aminopiperidin-1-yl)pyridin-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0041
736	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	0.036
737	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	0.055
738	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0026
739	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0032
740	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanon	0.0013

	e hydrochloride	
741	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0048
742	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone **di**hydrochloride	0.0044
743	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0042
744	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0017
745	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0083
746	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0029
747	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0043
748	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone hydrochloride	0.0026
749	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0017
750	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0023
751	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0067
752	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.001
753	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0015
754	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimeth	0.02

	ylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	
755	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	0.0018
756	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl)quinolin-3-yl)methanone	0.0023
757	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	0.0062
758	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.0053
759	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.013
760	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	0.0034
761	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	0.0026
762	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.014
763	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.0087
764	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(pyrrolidin-3-yl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0029
765	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.0022
766	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0017
767	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0018
768	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0015
769	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.0049

770	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.015
771	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.0054
772	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.0021
773	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.006
774	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	0.0019
775	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)propan-1-one	0.0034
776	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0027
777	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	0.0034
778	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.021
779	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.0017
780	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.002
781	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.013
782	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0055
783	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethano	0.0088

	ne dihydrochloride	
784	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1r,3r)-3-((dimethylamino)methyl)cyclobutylamino)quinolin-3-yl)ethanone	0.0031
785	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.0056
786	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.0031
787	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0058
788	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.0017
789	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	0.0028
790	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.0018
791	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0031
792	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0011
793	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1S,4r)-4-((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0018
794	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.0056
795	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.035
796	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(3-(2-(di	0.026

	methylamino)ethyl)phenylamino)quinolin-3-yl)methanone	
797	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	0.0056
798	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	0.0029
799	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0047
800	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.012
801	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.004
802	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0021
803	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.016
804	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	0.002
805	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone hydrochloride	0.0028
806	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.0012
807	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	0.0015
808	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0065
809	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.016

810	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	0.0018
811	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0027
812	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0017
813	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.0021
814	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.0009
815	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.0018
816	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0027
817	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.0014
818	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	0.0043
819	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	0.0024
820	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	0.0018
821	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	0.0053
822	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl	0.0031

	)methanone	
823	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	0.0027
824	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.0016
825	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.0018
826	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0083
827	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0033
828	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.017
829	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	0.0051
830	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.019
831	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0054
832	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.009
833	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-2-methylquinolin-3-yl)ethanone hydrochloride	0.17
834	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-2-methylquinolin-3-yl)ethanone hydrochloride	0.82
835	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0027

836	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.0014
837	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-2-methyl-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	0.54
838	1-(6-(3,5-dichloro-4-hydroxyphenyl)-2-methyl-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	0.097
839	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)-7-(trifluoromethyl)quinolin-3-yl)methanone	4.2
840	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)-7-(trifluoromethyl)quinolin-3-yl)(cyclopropyl)methanone	3.1
841	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-7-(trifluoromethyl)quinolin-3-yl)(cyclopropyl)methanone	0.77
842	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-7-(trifluoromethyl)quinolin-3-yl)methanone	0.93
843	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	0.0016
844	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0046
845	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.002045
846	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	0.0023
847	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-methylquinolin-3-yl)ethanone hydrochloride	0.55
848	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimeth	0.011

	ylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	
849	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(4-methylpiperazine-1-carbonyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.018
850	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-3-ylamino)quinolin-3-yl)ethanone	0.0019
851	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-3-ylamino)quinolin-3-yl)ethanone	0.04
852	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.0028
853	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	0.018
854	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	0.0032
855	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.0021
856	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-methyl-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.46
857	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-methylquinolin-3-yl)ethanone	3.4
858	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)-7-methylquinolin-3-yl)ethanone hydrochloride	0.2
859	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-methylquinolin-3-yl)ethanone hydrochloride	0.84
860	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)propan-1-one	0.0062
861	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(di	0.0032

	methylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	
862	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0067
863	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)propan-1-one	0.0039
864	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((bis-(trideuteromethyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride	0.0011
865	(1r,4r)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-N,N-dimethylcyclohexanecarboxamide hydrochloride	0.0093
866	1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-methyl-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.34
867	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	0.014
868	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(diethylamino)ethoxy)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0029
869	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(diethylamino)ethoxy)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.01
870	(1r,4r)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)-N,N-dimethylcyclohexanecarboxamide hydrochloride	0.035
871	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	0.0028
872	1-(4-((1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexyl)amino)-6-(3,5-dichloro-4-hydroxyphenyl)-7-methylquinolin-3-yl)ethanone hydrochloride	0.055
873	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	0.0067

	hydrochloride	
874	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-1-methylpyrrolidine-2-carboxamide hydrochloride	0.016
875	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-1-methylpyrrolidine-2-carboxamide hydrochloride	0.0035
876	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide dihydrochloride	0.0088
877	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide hydrochloride	0.0027
878	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	0.0079
879	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	0.011
880	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.04
881	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)methanone	0.0064
882	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone	0.019
883	2,6-dichloro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	0.002
884	2,6-dichloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.0035
885	2-chloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride	0.0083
886	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphen	0.00066

	yl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	
887	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)sulfonyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	0.036
888	1-(6-(4'-hydroxy-[1,1'-biphenyl]-4-yl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	3.4
889	2-chloro-4-(4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride	0.0092
890	2,6-dichloro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	0.0027
891	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	0.0095
892	(1r,4r)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-N-(2-(dimethylamino)ethyl)cyclohexanecarboxamide hydrochloride	0.0023
893	(1r,4r)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)-N-(2-(dimethylamino)ethyl)cyclohexanecarboxamide hydrochloride	0.0052
894	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)sulfonyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	0.039
895	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.065
896	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	0.22
897	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((2-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	0.021
898	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(4-methylpiperazine-1-carbonyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0044

899	2,6-dichloro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.0017
900	2-chloro-6-fluoro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.0032
901	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.1
902	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.042
903	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	0.0017
904	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	0.017
905	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.11
906	2,6-dichloro-4-(4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.0024
907	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	0.0047
908	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(pyridin-4-yl)quinolin-3-yl)ethanone hydrochloride	0.23
909	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2-chloro-6-fluorophenol trihydrochloride	0.0016
910	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-indazol-5-yl)quinolin-3-yl)ethanone hydrochloride	0.1
911	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone	0.13

	hydrochloride	
912	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-pyrazol-4-yl)quinolin-3-yl)ethanone hydrochloride	0.074
913	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2,6-dichlorophenol trihydrochloride	0.00094
914	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	0.028
915	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	0.0031
916	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	0.0048
917	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone hydrochloride	0.003
918	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	0.022
919	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	0.034
920	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	0.0019
921	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	0.012
922	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	0.0042
923	1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.007

924	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	0.018
925	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.019
926	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0079
927	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)methanone hydrochloride	0.0096
928	2-amino-N-(1R,4R)-4-((6-(3,5-dichloro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	0.015
929	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)ethanone hydrochloride	0.064
930	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	0.017
931	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	0.0062
932	2-amino-N-(1R,4R)-4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	0.047
933	2-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)-5-methoxyisoindolin-1-one	1.2
934	(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.0012
935	1-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-6-(4-hydroxyphenyl)quinolin-3-yl)ethanone dihydrochloride	0.08
936	(4-((trans)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4- hydroxyphenyl)quinolin-3-yl)	0.0019

	(cyclopropyl)methanone hydrochloride	
937	1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4- hydroxyphenyl)quinolin-3-yl) -2-methylpropan-1-one dihydrochloride	0.0054
938	1-(4-((trans)-4-aminocyclohexylamino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one dihydrochloride	0.017
939	1-(4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone hydrochloride	0.0034
940	1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5- methoxyphenyl)quinolin-3-yl) ethanone dihydrochloride	0.0012
941	cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4- (dimethylamino)cyclohexylamino) quinolin-3-yl)methanone dihydrobromide	0.0016
264	(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4- (dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	0.0029
324	cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4- ((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) methanone	0.00085
366	Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[4-(pyrr olidin-1-ylmethyl)phenylamino]quinolin-3-yl}methanone	0.15
374	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamin o)methyl)phenyl)amino)quinolin-3-yl)ethanone	0.0066
942	1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone dihydrobromide	0.0022
378	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((di methylamino)methyl)cyclohexyl)amino)quinolin-3-yl)etha none	0.0061
943	1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4- ((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride	0.004
379	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((dimethy	0.0031

	lamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone	
944	1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride	0.00094

Examples

Western blot analysis

To evaluate the expression status of MELK in several cell lines, western blot analysis was performed using crude cell lysate collected from those cells. Anti-MELK antibody (clone 31, BD Biosciences) was used to visualize the expression. Breast cancer cell lines, BT-549, T47D and A549 expressed MELK significantly although Bladder cancer cell line and HT-1197 showed no expression of MELK.

Examples

Cell-based assay

Active candidate inhibitors against MELK were evaluated for their target-specific cytotoxicity using T47D, A549, BT-549, and HT-1197 cells was used for negative control. 100 micro-L of cell suspension was seeded onto 96-well microtiter plate (ViewPlate-96FTC, PerkinElmer). The initial cell concentration of T47D, A549, BT-549, and HT-1197 were 3,000 cells/well, 2,000 cells/well and 2,500 cells/well, respectively. Cellular growth was determined using Cell Counting Kit-8 (DOJINDO) at 72 hours after the exposure of the candidate inhibitors. IC50 was used as an indicator of the anti-proliferative activity of the inhibitors, and calculated by serial dilution method (0, 1.5625, 3.125, 6.25, 12.5, 25, 50, and 100 micro-M). Accurate IC50 values were calculated as described previously.

IC_{50} values of the typical compounds of the present invention are shown in following table 3:

Table 3;

Example	Compound Name	IC50 (μM) (BT549)	IC_{50} (μM) (T47D)	IC_{50} (μM) (A549)	IC_{50} (μM) (HT1197)
55	{4-[*trans*-4-aminocyclohexylamino]-6-(4-hydroxyphenyl)quinolin-3- yl}(cyclopropyl)methanone	0.43	0.2	0.48	2
81	{4-[*trans*-4-aminocyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl}(cyclopropyl) methanone	0.55	0.13	0.23	1.2
96	5-{4-[*trans*-4-aminocyclohexylamino]-3-(cyclopropanecarbonyl) quinolin-6-yl}pyrimidine-2-carbonitrile	0.93	0.29	1.3	1.5

108	5-{4-[*trans*-4-aminocyclohexylamino]-3-isobutyrylquinolin-6-yl} picolinonitrile	14	6.1	13	15
116	{4-[*trans*-4-aminocyclohexylamino]-6-(1*H*-benzo[*d*]imidazol-5-yl) quinolin-3-yl}(cyclopropyl) methanone	5.7	1.8	13	15
119	5-{4-[*trans*-4-aminocyclohexylamino]-3-(cyclopropanecarbonyl) quinolin-6-yl}thiophene-2-carbonitrile	14	8.8	5.4	8
133	Cyclopropyl[4-{4-[(dimethylamino)methyl] piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl]methanone	1.7	0.7	0.79	1.9
155	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(2,8-diazaspiro[4,5]decan-8-yl)quinolin-3-yl](cyclopropyl) methanone	0.79	0.43	0.68	2.4
156	{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl) methanone	0.16	0.095	0.88	3.1
157(a)	{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} (cyclopropyl)methanone	0.33	0.15	0.57	3.7
157(b)	{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} (cyclopropyl)methanone dihydrochloride	0.31	0.096	0.3	4.7
160	{4-[*trans*-4-aminocyclohexylamino]-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}	0.39	0.28	0.68	1.2

	(cyclopropyl)methanone				
165	{4-(*cis*-4-aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl}(cyclopropyl) methanone	0.93	0.57	0.45	2.1
177	Cyclopropyl[6-(4-hydroxy-3-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl]methanone	2.6	0.88	0.83	2.3
179	{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl} (cyclopropyl)methanone	0.22	0.11	0.85	5.2
180	[4-(*cis*-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl](cyclopropyl) methanone	0.3	0.17	0.9	4.5
181	Cyclopropyl[6-(3,5-difluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}quinolin-3-yl] methanone	0.73	0.47	0.7	1.1
185(a)	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	2	0.59	0.42	6.9
185(b)	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone dihydrochloride	1	0.49	0.18	4.7
187	Cyclopropyl(4-{4-[1-(dimethylamino) ethyl]piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	2	0.44	0.65	2.2
192	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylami	1.3	0.57	0.42	2.2

	no) cyclohexylamino]quinolin -3-yl}(cyclopropyl)methanone				
193	Cyclopropyl{4-[4-(diethylamino) cyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl}methanone	2	0.52	0.59	4.2
201	{6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4 -[(1-methylpiperidin-4-yl) methylamino]quinolin-3-yl} (cyclopropyl)methanone	1.8	0.76	0.73	7.4
205	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl} -2-methylpropan-1-one	0.15	0.066	0.6	2.4
212	{4-[*trans*-4-aminocyclohexylamino]-6-(pyridin-4-yl)quinolin-3-yl } (cyclopropyl)methanone	2.5	2.7	0.99	7.2
213	{4-[*trans*-4-aminocyclohexylamino]-6-(1*H*-pyrazol-4-yl)quinolin -3-yl}(cyclopropyl)methanone	1.7	0.89	5.1	14
214	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxy phenyl)quinolin-3-yl}-2-methylpropan-1-one	0.084	0.048	0.65	1.4
215	{6-(3-Chloro-4-hydroxyphenyl)-4-[2-(piperazin-1-yl)ethylamino] quinolin-3-yl}(cyclopropyl) methanone	1.5	0.92	1.2	3.9
219	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-4-hydroxyphenyl) quinolin-3-yl}-2-methylpropan-1-one	0.25	0.11	0.32	1.2
225(a)	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}	0.18	0.073	0.43	2

	-2-methylpropan-1-one				
225(b)	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} -2-methylpropan-1-one dihydrochloride	0.17	0.048	0.22	1.6
240	2-Chloro-4-{4-[4-(diethylamino) cyclohexylamino]-3-(methylsulfonyl)quinolin -6-yl}-6-methoxyphenol dihydrochloride	1.5	0.97	0.78	3.8
243	[6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-(piperidin-4-ylmethylamino)quinolin -3-yl](cyclopropyl)methanone	1.8	0.33	0.62	7.3
245	2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl] -6-methoxyphenol	0.74	0.35	0.25	2.3
246	2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl] phenol	0.72	0.18	0.35	1.2
249	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl](cyclopropyl) methanone	1.3	0.83	0.3	4.4
250	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.61	0.16	0.11	1.7
255	{6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-[*trans*-4-(dimethylamino)	0.64	0.28	0.18	1.9

	cyclohexylamino]quinolin -3-yl}(cyclopropyl)methanone				
256	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} ethanone	0.31	0.064	0.44	100
258	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl}ethanone	0.16	0.071	0.85	100
259	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl} ethanone	0.43	0.057	0.099	2.5
262	1-(4-((trans)-4-aminocyclohexyl amino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) -3-methylbutan-1-one	0.91	0.13	0.36	2.2
263	Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino) cyclohexylamino] quinolin-3-yl}methanone	0.41	0.13	0.2	1.2
266	Cyclopropyl(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	0.94	0.29	0.37	3
267	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} -3-methylbutan-1-one dihydrochloride	0.72	0.14	0.38	3
269	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.5	0.22	0.44	1.3
270	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-	1.1	0.51	0.69	2.9

	[(1-methylpiperidin-4-yl) methylamino]quinolin-3-yl} (cyclopropyl)methanone				
276	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl] piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.58	0.16	0.21	1.8
279	[6-(3-Chloro-4-hydroxyphenyl)-4-{4-[(dimethylamino) methyl]piperidin-1-yl} quinolin-3-yl](cyclopropyl) methanone	0.86	0.21	0.3	6.7
280	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.71	0.25	0.2	2.9
283	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.72	0.35	0.54	3
284	{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin-3-yl} (cyclopropyl)methanone	1.7	0.62	0.49	2.2
294	Cyclopropyl{6-(3,5-difluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino) cyclohexylamino]-7-fluoroquinolin-3-yl} methanone	0.55	0.68	0.43	1.5
295	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino) cyclohexylamino]-7-	0.39	0.42	0.31	1.2

	fluoroquinolin-3-yl} (cyclopropyl)methanone				
303	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}ethanone	1.7	0.39	0.35	7.4
305	1-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl] piperidin-1-yl}quinolin-3-yl] ethanone	0.33	0.21	0.14	3.6
307	Cyclopropyl{6-(3-fluoro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} methanone	1.2	0.79	0.53	3
309	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(3-amino) adamantylamino]quinolin -3-yl}(cyclopropyl)methanone	0.49	0.32	0.28	0.5
311	{6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-[*cis*-4-(diethylamino) cyclohexylamino]quinolin -3-yl}(cyclopropyl)methanone	1.5	0.51	0.21	7.6
313	Cyclopropyl{6-[4-hydroxy-3 -(trifluoromethoxy)phenyl]-4-[4-(pyrrolidin-1-ylmethyl] piperidin-1-yl}quinolin-3-yl) methanone	1.3	0.67	0.8	3.2
314	{6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-[*trans*-4-(diethylamino) cyclohexylamino]quinolin -3-yl}(cyclopropyl)methanone	0.73	0.16	0.22	4.3
315	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{[*trans*-4-(di	1.4	0.64	0.3	3

	methylamino)cyclohexyl] methylamino}quinolin-3-yl] (cyclopropyl)methanone				
316	[6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-{*trans*-4-[(dimethylamino)methyl] cyclohexylamino}quinolin -3-yl](cyclopropyl)methanone	1.3	0.5	0.32	6.3
318	1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} -2-methylpropan-1-one	1.8	0.92	0.87	1.4
321	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} ethanone	0.29	0.19	0.18	5.1
323	1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} -2-methylpropan-1-one	1.5	0.63	0.87	1.2
325	Cyclopropyl[6-(3,5-dichloro -4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl] methylamino}quinolin-3-yl] methanone	1.9	0.78	0.62	10
327	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl] methylamino}quinolin-3-yl] (cyclopropyl)methanone	1	0.48	0.42	3
332	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(morpholinomethyl) piperidin-1-yl]quinolin-3-yl}	1.5	0.67	0.55	10

	(cyclopropyl)methanone				
334	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone	0.77	0.55	0.41	4.8
335	1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}ethanone	0.65	0.1	0.29	1.2
336	1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} ethanone	0.85	0.33	0.8	5
339	[-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl] phenylamino}quinolin-3-yl] cyclopropyl)methanone	0.49	0.15	0.19	1.9
342	{6-(3-Chloro-4-hydroxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl) cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	0.68	0.25	0.27	1.8
343	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl) cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	0.68	0.33	0.2	3.4
345	Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl] phenylamino}quinolin-3-yl] methanone	0.1	0.054	0.051	0.67
347	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-	0.62	0.42	0.48	1.8

	[(dimethylamino)methyl] piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone				
348	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(dimethylamino) cyclohexylamino]quinolin-3-yl}-3-methylbutan-1-one	0.93	0.41	0.47	3
349	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.91	0.49	0.98	5.8
350	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.98	0.26	0.44	2.5
351	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(4-methyl piperazin-1-yl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.67	0.34	0.33	3
353	Cyclopropyl[4-{4-[(dimethylamino)methyl] piperidin-1-yl}-6-(3-ethoxy-4-hydroxyphenyl)quinolin-3-yl]methanone	1.5	0.61	0.68	2.5
356	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl] phenyl}quinolin-3-yl] (cyclopropyl)methanone	0.87	0.46	0.45	3.5

">100" in the table means over 100 microM.

IC_{50} values of the typical compounds of the present invention are shown in following table 4:

Table 4;

Example	Compound Name	IC50 (μM) (22Rv1)	IC50 (μM) (T47D)	IC50 (μM) (HT1197)	IC50 (μM) (A549)	IC50 (μM) (DU4475)
384	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone	NT	2	4	1.9	NT
385	(6-(3-chloro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone	NT	1.7	1.9	0.94	NT
386	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone	NT	0.41	0.78	0.4	0.29
387	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	NT	1.6	1.9	1	NT
388	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	NT	0.52	1.5	0.52	0.21
389	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone	NT	2.9	6.9	1.7	NT
390	2-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone	NT	2	10	2.7	NT
391	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone	NT	0.12	4.2	1	NT
392	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethyla	NT	0.25	10	0.27	0.44

	mino)methyl)cyclohexylamino)quinolin-3-yl)ethanone					
393	2-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone	NT	2.6	100	2.2	NT
394	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.4	5.3	0.25	0.24
395	2-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)-1-(4-methylpiperazin-1-yl)ethanone	NT	10	10	NT	NT
396	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.38	0.21	1.6	0.087	0.084
397	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	NT	0.33	5.2	0.15	0.088
398	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.78	4.7	0.38	0.73
399	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol	NT	0.27	1.9	0.47	0.16
400	2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	NT	0.23	1.8	0.3	0.07
401	2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.52	0.19	2.2	0.44	0.11
402	(6-(3-chloro-5-fluoro-4-hydroxyphe	NT	0.88	5.1	1.2	0.48

	nyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl) piperazin-1-yl)quinolin-3-yl)(cyclo propyl)methanone					
403	(6-(3-chloro-4-hydroxyphenyl)-4-(4 -(2-(pyrrolidin-1-yl)ethyl)piperazin -1-yl)quinolin-3-yl)(cyclopropyl)m ethanone	NT	4.5	10	1.3	NT
404	(6-(3-chloro-4-hydroxy-5-methoxy phenyl)-4-(5-(piperazin-1-yl)pyridi n-2-ylamino)quinolin-3-yl)(cyclopr opyl)methanone	NT	0.31	2.2	0.42	NT
405	cyclopropyl(6-(4-hydroxy-3-metho xyphenyl)-4-(4-((4-methylpiperazin -1-yl)methyl)phenylamino)quinolin -3-yl)methanone	NT	0.76	3.5	0.8	NT
406	(6-(3-chloro-4-hydroxyphenyl)-4-(4 -((4-methylpiperazin-1-yl)methyl)p henylamino)quinolin-3-yl)(cyclopr opyl)methanone	NT	1.3	3.4	0.49	NT
407	2-chloro-6-fluoro-4-(3-(methylsulfo nyl)-4-(4-(pyrrolidin-1-ylmethyl)pi peridin-1-yl)quinolin-6-yl)phenol	NT	0.75	6.5	3.8	NT
408	2-chloro-4-(3-(methylsulfonyl)-4-(4 -(pyrrolidin-1-ylmethyl)piperidin-1 -yl)quinolin-6-yl)phenol	NT	8.2	9.5	3.2	NT
409	cyclopropyl(6-(3,5-dichloro-4-hydr oxyphenyl)-4-(5-(piperazin-1-yl)py ridin-2-ylamino)quinolin-3-yl)meth anone	NT	0.074	1.6	0.26	0.098
410	2-chloro-4-(4-(4-((dimethylamino) methyl)phenylamino)-3-(methylsulf onyl)quinolin-6-yl)-6-methoxyphen ol	NT	0.58	6.2	1.2	NT
411	2-chloro-4-(4-(4-((dimethylamino) methyl)phenylamino)-3-(methylsulf onyl)quinolin-6-yl)phenol	NT	0.29	0.63	0.37	NT
412	2-chloro-6-methoxy-4-(3-(methylsu	NT	1.4	2.5	0.58	NT

	lfonyl)-4-(4-(pyrrolidin-1-ylmethyl) piperidin-1-yl)quinolin-6-yl)phenol					
413	5-(3-acetyl-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.35	1.2	1.7	NT
414	5-(3-acetyl-4-(4-((dimethylamino) methyl)phenylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	NT	0.24	0.8	1.5	NT
415	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.12	10	0.15	0.067
416	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone dihydrobromide	0.19	0.066	6.1	0.11	0.053
417	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-((dimethylamino) methyl)pyridin-2-ylamino)quinolin-3-yl)methanone	NT	1.7	22	1.8	NT
418	5-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.23	1.4	0.95	0.5
419	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.99	5.1	0.84	NT
420	2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	NT	0.47	2.5	0.69	0.14
421	2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.64	0.47	2.1	0.68	0.086
422	2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-	NT	0.7	4.4	0.65	NT

	(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol					
423	2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol	NT	0.42	2.4	0.93	0.31
424	2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	NT	0.43	1.1	0.79	NT
425	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.082	0.042	1.4	0.16	0.044
426	5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyridine-2-carbonitrile	NT	0.43	3.7	1.5	NT
427	(6-(3-chloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.2	1.4	0.24	0.24
428	6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile	NT	7.6	13	2.6	NT
429	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	3.8	19	5.1	NT
430	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(4-methylpiperazin-1-yl)phenyl)quinolin-3-yl)methanone	NT	1.3	9.2	2.7	NT
431	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenyl)quinolin-3-yl)metha	NT	0.97	7.5	0.59	NT

	none					
432	6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinoline-3-carbonitrile	NT	0.98	4.9	1.1	NT
433	6-(3-chloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile	NT	0.54	3.7	0.7	NT
434	6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinoline-3-carbonitrile	NT	0.45	10	1.1	NT
435	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	2.7	12	2.8	NT
436	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.63	4.9	0.63	NT
437	1-(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	NT	0.28	1.7	0.19	0.22
438	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(4-methylpiperazin-1-yl)phenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.39	6.5	0.25	0.49
439	5-(3-(cyclopropanecarbonyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.61	3.6	4	NT
440	4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-1-(2-(pyrrolidin-1-yl)ethyl)piperazin-2-one	NT	1.1	10	5.1	NT
441	1-(4-(6-(3-chloro-4-hydroxyphenyl)	NT	0.63	46	0.54	NT

	-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone					
442	1-(4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	NT	0.74	5.5	1.5	NT
443	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	7.1	10	6.6	NT
444	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	6.8	10	7.6	NT
445	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	NT	7.5	10	4.1	NT
446	6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinoline-3-carbonitrile	NT	0.61	10	8.4	NT
447	6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinoline-3-carbonitrile	NT	0.15	2	0.4	0.076
448	(6-(5-chloro-4-hydroxy-2-methylphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	NT	2	7.9	1.7	NT
449	cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)methanone	NT	1.8	3.3	0.64	NT
450	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-morpholinoethy	NT	1.8	12	4.6	NT

	lamino)pyridin-3-yl)quinolin-3-yl) methanone					
451	4-(3-(cyclopropanecarbonyl)-6-(3,5 -dichloro-4-hydroxyphenyl)quinoli n-4-yl)-N-(2-(dimethylamino)ethyl) benzamide	NT	0.68	17	3.8	NT
452	cyclopropyl(6-(3,5-dichloro-4-hydr oxyphenyl)-4-(4-(pyrrolidin-1-ylme thyl)phenyl)quinolin-3-yl)methano ne	NT	0.55	10	1.6	NT
453	cyclopropyl(4-(4-((dimethylamino) methyl)piperidin-1-yl)-6-(1H-indol-5-yl)quinolin-3-yl)methanone	NT	1.2	2.3	0.48	NT
454	cyclopropyl(4-(4-((dimethylamino) methyl)piperidin-1-yl)-6-(4-hydrox y-3-(trifluoromethyl)phenyl)quinoli n-3-yl)methanone	NT	4	5.3	1.3	NT
455	1-((1S,4S)-5-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropane carbonyl)quinolin-4-yl)-2,5-diazabi cyclo[2.2.1]heptan-2-yl)-2-(dimeth ylamino)ethanone	NT	12	60	37	NT
456	1-((1S,4S)-5-(6-(3-chloro-4-hydrox y-5-methoxyphenyl)-3-(cyclopropa necarbonyl)quinolin-4-yl)-2,5-diaza bicyclo[2.2.1]heptan-2-yl)-2-(dimet hylamino)ethanone	NT	3.8	29	4.2	NT
457	(6-(3-chloro-5-ethoxy-4-hydroxyph enyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclo propyl)methanone	NT	0.22	2.4	0.14	0.21
458	cyclopropyl(6-(4-(difluoromethoxy)phenyl)-4-(4-((dimethylamino)met hyl)piperidin-1-yl)quinolin-3-yl)me thanone	NT	7.2	8.4	NT	NT
459	cyclopropyl(6-(3,5-dichloro-4-hydr oxyphenyl)-4-(6-(piperazin-1-yl)py	NT	0.83	10	1.9	NT

	ridin-3-yl)quinolin-3-yl)methanone					
460	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.65	4.2	0.59	0.42
461	5-(3-(cyclopropanecarbonyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.17	2	1.6	0.41
462	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.44	6	0.7	1.1
463	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)methanone	NT	0.52	3.6	0.43	0.26
464	1-((1S,4S)-5-(6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-2,5-diazabicyclo[2.2.1]heptan-2-yl)-2-(dimethylamino)ethanone	NT	6.6	17	5.5	3.4
465	cyclopropyl(6-(4-(difluoromethyl)phenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)methanone	NT	8.6	5.6	NT	NT
466	2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfinyl)quinolin-6-yl)phenol	NT	0.67	6.7	1.9	1.1
467	2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfinyl)quinolin-6-yl)-6-fluorophenol	NT	1.5	35	13	1.2
468	2-chloro-4-(4-(4-((dimethylamino)methyl)piperidin-1-yl)-3-(methylsulfinyl)quinolin-6-yl)-6-methoxyphenol	NT	0.93	9.2	1.4	0.93
469	5-(3-(cyclopropanecarbonyl)-4-(6-(	NT	0.18	1.4	0.99	0.43

	4-methylpiperazin-1-yl)pyridin-3-yl amino)quinolin-6-yl)pyrimidine-2-c arbonitrile					
470	(6-(3-chloro-4-hydroxy-5-methoxy phenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl) (cyclopropyl)methanone	NT	0.26	2.2	0.56	0.68
471	(6-(3-chloro-5-fluoro-4-hydroxyphe nyl)-4-(6-(4-methylpiperazin-1-yl)p yridin-3-ylamino)quinolin-3-yl)(cy clopropyl)methanone	NT	0.14	2.8	0.21	0.14
472	cyclopropyl(6-(3,5-dichloro-4-hydr oxyphenyl)-4-(6-(4-methylpiperazi n-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.3	5.7	0.18	0.11
473	2,6-dichloro-4-(4-(4-((dimethylami no)methyl)phenylamino)-3-(methyl sulfinyl)quinolin-6-yl)phenol	NT	0.43	2.4	1.2	0.6
474	5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1 -yl)quinolin-6-yl)indolin-2-one	NT	10	10	NT	NT
475	(6-(3-chloro-4-hydroxy-5-methoxy phenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)(cy clopropyl)methanone	NT	0.53	4.7	0.79	1.9
476	(4-(6-(3-chloro-4-hydroxy-5-metho xyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)phenyl)(4-methylpip erazin-1-yl)methanone	NT	0.23	2.1	0.31	0.5
477	1-(4-(3-acetyl-6-(3-chloro-4-hydrox y-5-methoxyphenyl)quinolin-4-yl)p iperazin-1-yl)-2-(dimethylamino)et hanone	NT	0.87	4.7	0.36	0.3
478	cyclopropyl(6-(3,5-dichloro-4-hydr oxyphenyl)-4-(3-((4-methylpiperazi n-1-yl)methyl)phenyl)quinolin-3-yl)methanone	NT	0.53	2.9	0.87	0.75

479	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)methanone	NT	0.92	7.9	1.7	1.7
480	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(5-hydroxy-1H-indol-2-yl)quinolin-3-yl)-2-methylpropan-1-one	NT	0.59	4.6	2.7	0.93
481	methyl 4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoate	NT	10	10	NT	NT
482	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	NT	0.54	3.1	0.96	0.9
483	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	NT	0.64	0.89	0.64	0.69
484	1-(4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)piperazin-1-yl)-2-(dimethylamino)ethanone	NT	1.1	17	3.4	0.58
485	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethoxy)phenyl)quinolin-3-yl)methanone	NT	0.77	10	1.2	1.2
486	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-ethoxy-4-hydroxyphenyl)quinolin-3-yl)ethanone	NT	0.15	2.2	0.11	0.13
487	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	NT	0.041	1.4	0.088	0.1
488	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-y	0.38	0.084	2.1	0.2	0.14

	l)pyridin-3-ylamino)quinolin-3-yl)ethanone					
489	(4-(4-((1H-imidazol-1-yl)methyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	1.1	5.1	1.4	0.97
490	(4-(4-((1H-imidazol-1-yl)methyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.78	5.8	0.68	0.86
491	4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2,6-dichlorophenol	NT	7	10	10	2.9
492	4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoic acid	NT	10	10	NT	NT
493	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.078	0.82	0.095	0.068
494	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.13	0.081	0.48	0.085	0.055
495	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.045	3.9	0.35	0.018
496	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.036	0.64	0.079	0.068
497	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)methanone	NT	0.052	0.97	0.12	0.056
498	4-(4-(1R,4R)-4-aminocyclohexyla	NT	10	10	10	2.8

	mino)-3-(methylsulfinyl)quinolin-6-yl)-2-chloro-6-fluorophenol					
499	4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2-chlorophenol	NT	2.7	18	9.7	2.5
500	4-(4-(1R,4R)-4-aminocyclohexylamino)-3-(methylsulfinyl)quinolin-6-yl)-2-chloro-6-methoxyphenol	NT	1.6	13	4.5	1.8
501	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.061	1.2	0.57	0.031
502	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-2-methylpropan-1-one	NT	0.045	0.31	0.1	0.041
503	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.24	1.1	0.37	0.46
504	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.084	0.71	0.081	0.019
505	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.088	0.063	0.4	0.067	0.026
506	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.15	0.74	0.18	0.13
507	(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.094	0.58	0.059	0.018
508	(4-(4-(aminomethyl)phenylamino)-	0.099	0.078	0.49	0.067	0.02

	6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride					
509	5-(4-(4-(aminomethyl)phenylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.19	0.95	0.79	0.6
510	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)methanone	NT	0.054	1	0.12	0.018
511	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	NT	0.18	10	0.31	0.019
512	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.41	2.9	0.3	0.31
513	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.19	3.8	0.099	0.086
514	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.44	0.77	0.26	0.21
515	(4-((1s,4s)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.23	3.9	0.83	0.12
516	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1,2,3,6-tetrahydropyridin-4-yl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.52	3	0.36	0.66
517	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-(methylamino)cyclohexyl)amino)quinolin-3-yl)(	0.18	0.067	0.38	0.072	0.048

	cyclopropyl)methanone					
518	2-((((1s,4s)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	NT	0.16	3.4	0.17	0.078
519	(6-(3-chloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.12	1.6	0.14	0.1
520	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.081	10	0.52	0.042
521	1-(4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-5,6-dihydropyridin-1(2H)-yl)-2-(dimethylamino)ethanone	NT	0.22	1.5	0.18	0.27
522	5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.23	1.1	0.95	0.91
523	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.033	1.3	0.19	0.024
524	2-((((1s,4s)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	NT	0.2	1.7	0.39	0.1
525	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.48	11	1.7	0.46
526	cyclopropyl(6-(3,5-dichloro-4-hydr	NT	0.29	1.2	0.25	0.15

	oxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone					
527	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	NT	0.034	10	0.42	0.02
528	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	1.8	4.2	1.3	1.7
529	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)butan-1-one	NT	0.081	1.5	0.15	0.076
530	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	NT	0.07	1.2	0.15	0.086
531	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one dihydrochloride	NT	0.11	1.3	0.18	0.072
532	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	NT	0.046	2.9	0.49	0.03
533	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-methylpiperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.48	2.6	0.26	0.4
534	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-methylpiperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	NT	1.1	2.8	1.4	0.96
535	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	NT	0.077	3.3	0.24	0.025
536	(6-(3-chloro-4-hydroxy-5-methoxy	NT	1.3	14	NT	NT

	phenyl)-4-(1H-pyrazol-4-yl)quinolin-3-yl)(cyclopropyl)methanone					
537	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	NT	0.11	0.94	0.15	0.098
538	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	NT	0.28	3.1	0.45	0.27
539	5-(3-butyryl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.37	1.6	1.6	1.5
540	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	0.89	3.7	0.25	0.35
541	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	0.42	1.1	0.076	0.087
542	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.078	1.7	0.1	0.069
543	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.14	0.047	1.1	0.076	0.051
544	4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino)benzamide	NT	0.17	2.2	0.47	0.2
545	4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)benzamide	NT	0.62	16	3.9	0.56
546	4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)	NT	1.1	12	1	1

	quinolin-4-ylamino)benzamide					
547	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)methanone	NT	0.41	1.9	0.13	0.14
548	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.097	3.4	0.45	0.099
549	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	0.15	3.2	0.48	0.078
550	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.1	2.7	0.58	1
551	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	2	6.9	NT	NT
552	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)methanone	NT	1.1	9	NT	NT
553	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.12	2.1	0.39	0.95
554	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.068	1.9	0.08	0.025
555	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	0.44	10	NT	NT

556	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.03	0.96	0.023	0.016
557	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.11	3.1	0.52	0.18
558	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.094	10	0.32	0.043
559	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.46	3.2	0.59	0.35
560	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.041	10	NT	NT
561	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0055	0.3	0.008	0.0066
562	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	0.0064	0.19	0.012	0.0089
563	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	1.3	3.3	NT	NT
564	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	0.43	1.8	NT	NT
565	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphen	NT	0.3	10	NT	NT

	yl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone					
566	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.11	2.6	0.4	0.13
567	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.076	1.9	0.34	0.18
568	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.057	0.62	0.082	0.045
569	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.62	1.8	0.4	0.41
570	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.14	0.16	0.13	0.089
571	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.18	0.39	0.16	0.08
572	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0076	0.37	0.03	0.011
573	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	0.0072	0.57	0.032	0.013

574	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.031	1.4	0.087	0.021
575	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.028	1.2	0.068	0.029
576	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0094	0.53	0.026	0.012
577	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride)	NT	0.0065	0.52	0.029	0.014
578	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	3	8	1.9	2.8
579	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.17	7.8	0.27	0.14
580	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.25	4.1	0.69	0.12
581	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3,3-difluoropyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	NT	1.4	5.3	NT	NT
582	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.052	1.1	0.097	0.064

583	cyclopropyl(4-(4-(diallylamino)-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)methanone	NT	2.6	10	3.1	2.3
584	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.13	0.86	0.071	0.053
585	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	0.1	0.12	0.78	0.073	0.043
586	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.38	3	0.2	0.19
587	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.11	0.55	0.084	0.065
588	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.16	0.091	0.46	0.096	0.063
589	(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.61	1.4	0.18	0.21
590	(4-(6-aminopyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.48	2.2	0.48	0.19
591	(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.26	1.4	0.36	0.12

592	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.24	2.8	0.13	0.068
593	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	NT	0.32	4.3	0.094	0.044
594	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	NT	0.025	0.66	0.063	0.048
595	(4-(4,4'-bipiperidin-1-yl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.41	3.4	0.57	0.64
596	(4-(4,4'-bipiperidin-1-yl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.18	5.1	1.5	0.14
597	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	NT	0.11	1.1	0.16	0.083
598	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.18	1	0.13	0.066
599	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.51	2.8	0.54	0.37
600	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.078	0.76	0.12	0.029
601	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyph	NT	0.09	0.42	0.047	0.023

	enyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride					
602	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.082	0.13	0.73	0.074	0.038
603	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.52	1.7	0.64	0.37
604	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.087	0.094	0.79	0.097	0.039
605	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	NT	0.095	1.1	0.13	0.051
606	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.65	1.1	0.65	0.34
607	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	NT	0.24	3.4	0.48	0.24
608	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.15	0.46	0.25	0.072
609	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.22	3.9	0.68	0.34
610	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl	NT	0.22	10	0.39	0.073

	)methanone					
611	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.13	10	0.57	0.39
612	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.84	4.7	0.72	0.4
613	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	NT	0.13	1	0.075	0.028
614	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	0.12	0.12	1.6	0.075	0.024
615	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.17	1.4	0.086	0.042
616	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	NT	0.22	1.8	0.66	0.5
617	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	NT	0.33	1.7	0.19	0.32
618	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.23	2.3	0.21	0.12
619	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.51	0.32	2.6	0.28	0.15

	hydrochloride					
620	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.16	0.17	0.18	0.095
621	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.24	3.9	0.91	0.11
622	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	1.1	5.5	0.43	0.32
623	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	NT	0.085	2	0.2	0.1
624	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)methanone	NT	0.55	3	0.089	0.043
625	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	NT	1.5	10	NT	NT
626	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0051	0.05	0.0073	0.0048
627	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.15	0.55	0.11	0.083
628	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0069	0.33	0.019	0.0056

629	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.58	3.3	0.12	0.069
630	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.41	3.6	0.19	0.17
631	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.074	0.85	0.17	0.055
632	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.069	0.72	0.1	0.069
633	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.21	2.3	0.12	0.15
634	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.099	0.75	0.095	0.042
636	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.16	0.94	0.2	0.18
637	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)metha	NT	0.68	2.9	0.32	0.67

	none					
638	(4-(4-amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.1	0.67	0.12	0.022
639	(4-(4-amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.1	0.46	0.09	0.062
640	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.54	0.82	0.61	0.52
641	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.22	0.74	0.23	0.097
642	(R)-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.17	0.76	0.2	0.11
643	(R)-cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.37	2.4	0.34	0.14
644	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.047	2.4	0.23	0.068
645	(R)-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.45	2.2	0.33	0.29
646	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.02	0.56	0.022	0.0035

647	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.03	0.03	0.75	0.08	0.015
648	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0095	0.28	0.013	0.0046
649	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.087	1.4	0.15	0.077
650	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0099	0.32	0.015	0.0072
651	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0054	0.12	0.0075	0.0071
652	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)methanone	NT	0.15	1.4	0.15	0.051
653	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	NT	1.3	1.9	0.5	0.32
654	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	NT	0.091	8.7	0.19	0.11
655	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)methyl)phenyl)amino)quinolin-3-yl)ethanone	0.18	0.072	7.8	0.23	0.097
656	1-(6-(3-chloro-5-fluoro-4-hydroxyp	NT	0.19	6.1	0.41	0.27

	henyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone					
657	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((dimethylamino)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.31	2.8	0.18	0.098
658	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.3	4.5	0.072	0.07
659	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.6	7.3	0.32	0.28
660	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.1	2.9	0.22	0.046
661	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.25	1.4	0.17	0.1
662	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.19	0.95	0.15	0.14
663	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.32	4.6	0.22	0.17
664	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	NT	1.7	10	NT	NT

665	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.16	3.7	0.53	0.22
666	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.34	10	10	0.071
667	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.17	10	7.7	0.077
668	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	1	2.6	0.56	1.4
669	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.24	4.4	0.46	0.75
670	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.43	5.3	0.72	0.59
671	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.3	4.9	0.85	0.52
672	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.39	3.2	0.17	0.16
673	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)(cyclopropyl)metha	NT	0.16	1.4	0.16	0.089

	none					
674	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.11	2.4	0.46	0.15
675	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.8	4.4	NT	NT
676	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	1	11	NT	NT
677	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.33	1.5	0.36	0.38
678	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.41	5.1	1.2	0.11
679	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.23	3.7	1.3	0.12
680	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.59	2.4	1.3	1
681	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone	NT	0.0046	0.25	0.017	0.0085
682	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.55	14	0.7	0.32

683	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.69	9.8	1.2	1.3
684	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-((4-methylpiperazin-1-yl)methyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.88	15	1.5	0.82
685	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((methylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.054	0.73	0.14	0.018
686	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.19	1.1	0.17	0.16
687	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.63	3.3	0.45	0.33
688	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	NT	0.056	1.7	0.23	0.11
689	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.28	9.4	1.5	3.2
690	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.25	4	0.3	0.19
691	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.18	5.9	0.32	0.22
692	(6-(3-chloro-4-hydroxy-5-methoxy	NT	1	5.9	0.37	0.3

	phenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone					
693	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.37	10	0.09	0.085
694	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	NT	0.41	7.5	0.15	0.12
695	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone hydrochloride	0.23	0.26	5.8	0.13	0.1
696	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.46	5.4	0.18	0.17
697	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.81	1.8	0.44	0.64
698	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	NT	0.3	10	0.05	0.04
699	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.24	2.7	0.18	0.072
700	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((2-fluoroethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.47	2.2	0.47	0.25

701	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((2-fluoroethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.29	0.98	0.41	0.38
702	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	NT	0.046	2.4	0.14	0.044
703	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	NT	0.33	5.5	0.11	0.052
704	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	NT	0.17	2.1	0.2	0.12
705	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1R,4R)-4-(methylamino)cyclohexyl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	NT	0.24	10	1.7	0.072
706	(4-(1-(1R,4R)-4-aminocyclohexyl)-1H-pyrazol-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	0.042	7.9	0.59	0.02
707	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.21	3.4	0.13	0.081
708	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.41	4.6	0.3	0.25
709	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)methanone	NT	0.2	3.8	0.14	0.077

710	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.15	2	0.09	0.083
711	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.22	5.7	0.11	0.073
712	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.31	5.4	0.31	0.34
713	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.2	4.9	0.18	0.15
714	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.054	0.55	0.11	0.067
715	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.087	0.84	0.11	0.046
716	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one dihydrochloride	0.14	0.14	0.82	0.12	0.055
717	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	NT	0.42	2	0.65	0.34
718	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	NT	0.36	2	0.44	0.19
719	(6-(3-chloro-5-fluoro-4-hydroxyphe	NT	0.32	10	0.69	0.17

	nyl)-4-(piperidin-4-ylamino)quinoli n-3-yl)(cyclopropyl)methanone					
720	1-(6-(3,5-dichloro-4-hydroxypheny l)-4-(1-(dimethylamino)-2,3-dihydr o-1H-inden-5-ylamino)quinolin-3-y l)ethanone	NT	0.059	0.38	0.063	0.032
721	1-(6-(3,5-difluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)me thyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.15	3.4	0.32	0.22
722	(4-(6-(2-aminoethoxy)pyridin-3-yla mino)-6-(3-chloro-4-hydroxy-5-met hoxyphenyl)quinolin-3-yl)(cyclopr opyl)methanone	NT	0.19	2.3	0.32	0.19
723	(4-(6-(2-aminoethoxy)pyridin-3-yla mino)-6-(3,5-dichloro-4-hydroxyph enyl)quinolin-3-yl)(cyclopropyl)me thanone	NT	0.099	2.1	0.23	0.028
724	(4-(6-(2-aminoethoxy)pyridin-3-yla mino)-6-(3-chloro-5-fluoro-4-hydro xyphenyl)quinolin-3-yl)(cycloprop yl)methanone	NT	0.07	2.8	0.23	0.035
725	(4-(6-(3-aminopiperidin-1-yl)pyridi n-3-ylamino)-6-(3,5-difluoro-4-hyd roxyphenyl)quinolin-3-yl)(cyclopro pyl)methanone	NT	0.0078	0.11	0.012	0.01
726	cyclopropyl(6-(3,5-dichloro-4-hydr oxyphenyl)-4-(piperidin-4-ylamino) quinolin-3-yl)methanone	NT	0.56	2.4	0.52	0.14
727	(6-(3-chloro-4-hydroxy-5-methoxy phenyl)-4-(piperidin-4-ylamino)qui nolin-3-yl)(cyclopropyl)methanone	NT	0.37	1.1	0.19	0.14
728	1-(6-(3,5-dichloro-4-hydroxypheny l)-4-((1R,3R)-3-((4-methylpiperazi n-1-yl)methyl)cyclopentylamino)qu inolin-3-yl)ethanone	NT	0.83	5.7	0.85	0.48
729	1-(6-(3,5-dichloro-4-hydroxypheny	NT	0.52	10	0.2	0.1

	l)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone					
730	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	2.1	8	0.82	0.69
731	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.11	1.3	0.17	0.13
732	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	NT	0.0056	0.18	0.0094	0.0099
733	1-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.0064	0.0035	0.064	0.0086	0.01
734	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.51	6.4	0.25	0.36
735	(4-(2-(4-aminopiperidin-1-yl)pyridin-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	1	20	3.5	0.5
736	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	NT	0.14	10	0.22	0.19
737	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	NT	0.31	10	0.29	0.27
738	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quin	NT	0.51	7.8	0.34	2.1

	olin-3-yl)ethanone					
739	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.14	4	0.14	0.099
740	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.17	0.099	3.5	0.13	0.087
741	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.037	2	0.085	0.062
742	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.094	0.034	1.7	0.078	0.063
743	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.66	4.8	0.53	0.36
744	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.11	0.43	0.082	0.031
745	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.12	0.99	0.16	0.063
746	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.23	6.2	0.18	0.11
747	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.16	2.6	0.091	0.043
748	cyclopropyl(6-(3,5-dichloro-4-hydr	0.1	0.088	1.6	0.069	0.032

	oxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone hydrochloride					
749	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.12	6.4	0.22	0.21
750	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.2	1.7	0.39	0.19
751	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.32	1.7	0.58	0.29
752	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.18	3.4	0.2	0.17
753	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.13	71	0.37	0.057
754	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.19	10	0.22	0.072
755	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.35	3.4	0.34	0.21
756	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl)quinolin-3-yl)methanone	NT	0.5	10	0.54	0.27
757	1-(6-(3-chloro-5-fluoro-4-hydroxyp	NT	0.064	0.76	0.15	0.069

	henyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one					
758	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	NT	0.15	24	0.22	0.055
759	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.67	10	0.42	0.2
760	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	NT	0.0087	0.77	0.031	0.016
761	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	NT	0.031	1.5	0.053	0.022
762	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	NT	0.12	5.2	0.25	0.089
763	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.14	0.05	1.8	0.27	0.093
764	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(pyrrolidin-3-yl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.95	0.18	5.3	1.3	0.18
765	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.14	0.081	1.7	0.17	0.047
766	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cy	0.1	0.074	1	0.14	0.06

	clohexyl)amino)quinolin-3-yl)ethanone hydrochloride					
767	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.15	0.12	24	0.16	0.083
768	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.11	0.11	28	0.13	0.07
769	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.79	0.12	2.8	0.48	0.14
770	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.17	0.074	0.84	0.11	0.062
771	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.16	0.072	0.72	0.095	0.045
772	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.14	0.058	3.2	0.26	0.076
773	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.0094	0.0042	0.7	0.028	0.017
774	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	0.082	0.034	0.71	0.045	0.056
775	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-y	0.12	0.049	0.75	0.049	0.065

	l)propan-1-one					
776	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.15	0.073	1.2	0.08	0.038
777	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	0.17	0.12	1.6	0.12	0.047
778	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.48	0.1	2.6	0.17	0.22
779	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.23	0.14	10	1	0.13
780	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.67	0.41	3.2	0.62	1.5
781	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.34	0.1	3.3	0.2	0.19
782	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.41	0.15	5.9	0.23	0.16
783	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.087	0.027	4.2	0.2	0.057
784	1-(6-(3,5-dichloro-4-hydroxypheny	0.95	0.6	3.2	0.74	0.2

	l)-4-((1r,3r)-3-((dimethylamino)methyl)cyclobutylamino)quinolin-3-yl)ethanone					
785	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.076	0.035	1.3	0.094	0.074
786	1-(4-((1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.17	0.07	10	0.96	0.23
787	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.72	0.48	5.2	0.44	2.7
788	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.1	0.071	8.6	0.16	0.07
789	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	0.077	0.039	0.8	0.076	0.053
790	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.14	0.1	4.2	0.14	0.098
791	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.49	0.58	9.3	0.27	0.43
792	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohe	0.12	0.079	100	0.1	0.073

	xylamino)quinolin-3-yl)ethanone					
793	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.34	0.15	7.1	0.17	0.33
794	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.094	0.031	0.75	0.077	0.074
795	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.83	0.51	3.5	0.34	0.31
796	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	0.52	0.43	0.67	0.14	0.14
797	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	0.12	0.31	100	0.022	0.03
798	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	0.092	0.16	NT	0.019	0.02
799	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.25	0.52	10	0.081	0.079
800	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.17	0.26	NT	0.041	0.046
801	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.15	0.37	100	0.081	0.06

802	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	0.16	0.45	100	0.08	0.072
803	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.44	0.65	100	0.17	0.12
804	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	0.1	0.088	1.4	0.17	0.042
805	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone hydrochloride	0.32	0.17	2.4	0.34	0.11
806	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.039	0.027	0.33	0.054	0.032
807	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	0.055	0.068	1.1	0.056	0.032
808	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.22	0.12	8.2	0.22	0.11
809	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	2.6	4.6	10	3.1	10
810	1-(4-(1R,4R)-4-((3-aminopiperidin-	0.056	0.034	2.3	0.12	0.044

	1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride					
811	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.45	0.49	5.4	0.28	0.38
812	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.2	0.15	100	0.18	0.086
813	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.11	0.07	0.75	0.25	0.054
814	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.13	0.14	0.78	0.29	0.057
815	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.034	0.016	0.052	0.041	0.041
816	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.44	0.28	7.3	0.25	0.36
817	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.12	0.097	11	0.15	0.074
818	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.064	0.022	2.7	0.14	0.071

	trihydrochloride					
819	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	0.64	0.38	3.3	0.44	0.17
820	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	0.82	0.32	2.9	0.46	0.15
821	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	0.25	0.23	3.3	0.59	0.17
822	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.43	0.29	2.5	0.48	0.16
823	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	0.32	0.21	2.6	0.45	0.16
824	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.026	0.021	0.28	0.036	0.026
825	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.016	0.01	0.069	0.017	0.018
826	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.48	0.25	1.9	0.54	0.22
827	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.43	0.49	5.9	0.51	0.2

828	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.72	5.7	9.5	0.23	0.16
829	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	0.23	0.7	5.7	0.087	0.057
830	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	1.3	1.5	15	0.73	0.26
831	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.83	1.1	11	0.32	0.12
832	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.44	0.17	0.79	0.26	0.24
835	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((4-methylpiperazin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.81	0.58	2.7	0.79	0.6
836	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.27	0.35	100	0.45	0.082
838	1-(6-(3,5-dichloro-4-hydroxyphenyl)-2-methyl-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	7.2	17	25	11	14
843	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	0.19	0.15	4.2	0.15	0.057

844	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.18	0.067	3.3	0.18	0.092
845	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.11	0.063	1.4	0.14	0.069
846	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	0.16	0.096	0.71	0.13	0.071
848	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	0.44	0.14	5.3	0.29	0.16
849	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(4-methylpiperazine-1-carbonyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	1	0.47	4.5	1.3	1
850	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-3-ylamino)quinolin-3-yl)ethanone	1.2	1.1	4	0.89	0.32
851	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-3-ylamino)quinolin-3-yl)ethanone	1.5	1.6	6	1.6	0.65
852	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.55	0.16	22	0.29	0.088
853	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)qui	0.65	0.2	10	0.45	0.38

	nolin-3-yl)ethanone					
854	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	0.51	0.25	10	0.39	0.28
855	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.64	0.26	73	0.38	0.23
860	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)propan-1-one	0.45	0.14	3.6	0.29	0.24
861	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.24	0.22	1	0.25	0.19
862	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.2	0.26	1.1	0.29	0.2
863	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)propan-1-one	0.59	0.44	14	0.5	0.31
864	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((bis-(trideuteromethyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride	0.081	0.067	1.3	0.11	0.043
865	(1r,4r)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-N,N-dimethylcyclohexanecarboxamide hydrochloride	1.5	0.38	3.9	0.86	0.94
866	1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-methyl-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-	4.1	2.4	4.5	2.3	2.9

	3-yl)ethanone hydrochloride					
867	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	4.6	2.9	53	1.6	1.3
868	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(diethylamino)ethoxy)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.3	0.14	2.8	0.28	0.29
869	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(diethylamino)ethoxy)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.32	0.084	0.7	0.23	0.26
870	(1r,4r)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)-N,N-dimethylcyclohexane carboxamide hydrochloride	2.3	0.53	3.5	1.6	2.1
871	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	0.82	1.8	25	0.4	0.33
872	1-(4-((1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexyl)amino)-6-(3,5-dichloro-4-hydroxyphenyl)-7-methylquinolin-3-yl)ethanone hydrochloride	14	17	100	100	0.26
873	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	100	100	100	0.35	0.17
874	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-1-methylpyrrolidine-2-carboxamide hydrochloride	0.18	0.04	1	0.14	0.12
875	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl	0.29	0.14	4.4	0.24	0.21

	)amino)cyclohexyl)-1-methylpyrrolidine-2-carboxamide hydrochloride					
876	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide dihydrochloride	0.14	0.033	1.5	0.16	0.092
877	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide hydrochloride	0.18	0.11	2	0.2	0.11
878	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	0.096	0.027	21	0.83	0.03
879	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	3	1.1	9	3.8	0.9
880	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	7.4	3.1	46	1.8	0.96
881	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)methanone	100	100	100	0.15	0.078
882	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone	3.1	100	100	0.27	0.15
883	2,6-dichloro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	1.5	1.4	100	1.9	0.53
884	2,6-dichloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3	4.1	0.91	13	2.1	0.51

	-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride					
885	2-chloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride	2.5	0.7	10	3	0.62
886	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	0.088	0.045	11	0.64	0.016
887	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)sulfonyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	100	1.3	100	100	100
889	2-chloro-4-(4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride	1.8	1.5	12	2.5	1.2
890	2,6-dichloro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	0.94	1.3	3	1.1	0.35
891	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	0.92	0.73	2.4	1.3	0.46
892	(1r,4r)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-N-(2-(dimethylamino)ethyl)cyclohexanecarboxamide hydrochloride	1.1	0.59	100	6.3	0.4
893	(1r,4r)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)-N-(2-(dimethylamino)ethyl)cyclohexanecarboxamide hydrochloride	1.6	0.59	100	100	0.67
894	1-(6-(3-chloro-5-fluoro-4-hydroxyp	100	1.5	63	100	100

	henyl)-4-((4-((4-methylpiperazin-1-yl)sulfonyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride					
895	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)ethanone hydrochloride	2.2	0.94	9.3	4	9.1
897	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((2-methyl-5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone	11	4.5	71	8.5	8.8
898	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(4-methylpiperazine-1-carbonyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.78	0.44	3	0.87	0.96
899	2,6-dichloro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	1.5	1.6	10	4	0.26
900	2-chloro-6-fluoro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	3.1	1.6	10	10	0.54
901	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	2.1	1.2	9.1	2.3	9.5
902	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	3.1	3.3	12	2.9	14
903	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	0.27	0.55	1.5	0.36	0.16
904	1-(6-(3-chloro-5-fluoro-4-hydroxyp	0.33	0.51	1.6	0.43	0.26

	henyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride					
906	2,6-dichloro-4-(4-((6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	2	1.3	9.3	2	0.66
907	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	0.059	0.016	3.7	0.18	0.033
909	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2-chloro-6-fluorophenol trihydrochloride	0.44	0.19	10	2.8	0.042
910	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-indazol-5-yl)quinolin-3-yl)ethanone hydrochloride	3.4	6	9.8	2.6	5.4
911	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	5.4	10	13	3.2	10
912	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-pyrazol-4-yl)quinolin-3-yl)ethanone hydrochloride	1.7	4.2	8	1.3	1.4
913	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2,6-dichlorophenol trihydrochloride	0.58	0.27	100	2.6	0.025
914	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide	0.28	0.075	3.6	0.65	0.19

	hydrochloride					
915	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	0.099	0.053	3.5	0.29	0.062
916	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	1.5	1.2	3.1	1.1	1
917	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone hydrochloride	0.91	0.7	3.3	0.68	0.19
918	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	6.6	3	10	5.5	3.2
919	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	3.5	1.9	6.4	4.3	2.5
920	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	0.34	0.1	6.5	0.86	0.073
921	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	0.21	0.048	10	1.2	0.068
922	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,	0.65	0.2	4.5	0.62	0.21

	3-dimethylbutanamide hydrochloride					
923	1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.29	0.63	2.5	0.39	0.2
924	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	3	3.2	3.3	3.7	1.8
925	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.27	0.52	1.5	0.38	0.27
926	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.69	2.7	5	0.61	0.55
927	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)methanone hydrochloride	0.78	1.3	12	0.71	0.48
928	2-amino-N-(1R,4R)-4-((6-(3,5-dichloro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	2	1.4	8.1	4.1	1.1
929	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)ethanone hydrochloride	0.71	3.3	3.9	0.87	2.8
930	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	2.5	1.7	14	4.4	1.3

931	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	2.1	1.4	100	1.8	1.5
932	2-amino-N-(1R,4R)-4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	1.6	0.71	7.6	4.7	1
934	(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.02	0.014	0.6	0.034	0.016
935	1-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-6-(4-hydroxyphenyl)quinolin-3-yl)ethanone dihydrochloride	0.53	1.6	4.3	0.86	1.5

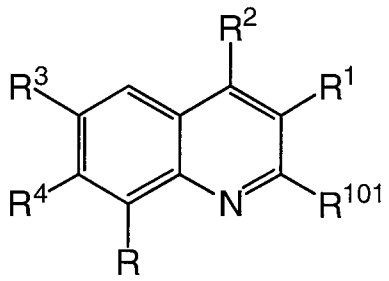
NT: Not tested

Industrial Applicability

The present invention provides a novel quinoline derivative having MELK inhibitory effect. The compounds of the present invention may be used for pharmaceutical composition for inhibiting MELK. Such pharmaceutical compositions are suitable for treating or preventing cancer.

CLAIMS

1. A compound or a pharmaceutically acceptable salt thereof for use in the treatment or prevention of cancer, wherein the compound is represented by the following formula:



(I)

wherein,

R^1 represents

a C_1-C_6 alkylsulfonyl, or

-CO-R^5 [wherein,

R^5 is

a C_1-C_6 alkyl,

a C_3-C_{10} cycloalkyl],

R^2 represents

an aryl which may have a substituent group selected from Substituent Group C,

an aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, or

-$NR^{6A}R^{7A}$;

wherein R^{6A} represents a hydrogen atom, and R^{7A} represents -$(CH_2)_n$-R^{10A} (wherein n represents an integer of 0 to 6, and R^{10A} represents a C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D, an aryl which may have a substituent group selected from Substituent Group E, an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl, an aromatic heterocyclic group which may have a substituent group selected from Substituent Group I), or R^{6A} and R^{7A} form with an adjacent nitrogen atom a heterocyclic group which may have a substituent group selected from Substituent Group F,

R^3 represents

an aryl which may have a substituent group selected from Substituent Group G, or an aromatic heterocyclic group which may have a substituent group selected from Substituent Group H;

R^4 represents

a hydrogen atom, or
a halogen;
R represents
a hydrogen atom, or
a halogen, and
R^{101} represents
a hydrogen atom.

wherein the above-mentioned Substituents C to I are one to three substituents each independently selected from the following Substituent Groups:

Substituent Group C: a halogen, a hydroxy, a C_1-C_6 alkoxy, and a di(C_1-C_6 alkyl) amino;

Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either one of C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6 alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic carbonylamino;

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent);

Substituent Group F: a carbamoyl, an amino, a C_1-C_6 aminoalkyl, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), an aliphatic heterocyclic-(C_1-C_6 alkylenyl), and an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl;

Substituent Group G: a halogen, a hydroxy, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, a trifluoromethoxy, a C_1-C_6 aminoalkyl, a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), an amino, a C_1-C_6 alkylsulfonylamino, a carbamoyl, a sulfamoyl, a (C_1-C_6 alkyl)ureide, a benzylureide, andan aliphatic heterocyclic group;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a

carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group I: an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino group or a C_1-C_6 alkylamino as a substituent); an aliphatic heterocyclic-(C_1-C_6 alkylenyl); an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent); a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl); a C_1-C_6 aminoalkyloxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino; a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent).

2. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^1 is -CO-R^5.

3. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^1 is a methylsulfonyl.

4. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^2 is -$NR^{6A}R^{7A}$ (wherein, R^{6A} and R^{7A} have the same meaning as described in claim 1).

5. The compound or a pharmaceutically acceptable salt thereof of claim 4, wherein R^{6A} is a hydrogen atom, and R^{7A} is -$(CH_2)_n$-R^{10A} (wherein, n and R^{10A} each have the same meaning as described in claim 1).

6. The compound or a pharmaceutically acceptable salt thereof of claim 4, wherein R^{6A} and R^{7A} form with an adjacent nitrogen atom a heterocyclic group which may have a substituent group selected from Substituent Group F described in claim 1.

7. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^2 is a piperidin-4-spiro-3'-pyrrolidin-1-yl, a piperidyl which may have a substituent group selected from Substituent Group F described in claim 1, or a 1-piperazinyl which may have a substituent group selected from Substituent Group F described in claim 1.

8. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^2 is an aryl which may have a substituent group selected from Substituent Group C described in claim 1.

9. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^2 is a phenyl which may have a substituent group selected from Substituent Group C described in claim 1.

10. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^3 is an aryl which may have a substituent group selected from Substituent Group G described in claim 1, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H described in claim 1.

11. The compound or a pharmaceutically acceptable salt thereof of claim 1, which is selected from

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)-cyclo- hexylamino)quinolin-3-yl)ethanone;

2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)-quinolin-6-yl)phenol;

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)methanone;

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)propan-1-one;

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)-cyclohexyl)-amino)quinolin-3-yl)propan-1-one;

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl)-cyclo-hexylamino)quinolin-3-yl)ethanone;

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl)-cyclohexylamino)-quinolin-3-yl)ethanone;

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)methanone;

(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)ethanone;

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)propan-1-one; and

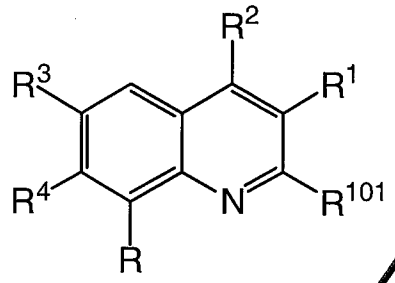
(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxypheny l)quinolin-3-yl)propan-1-one;

and a pharmaceutically acceptable salt thereof.

12. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein the cancer is selected from the group consisting of breast cancer, lung cancer, bladder cancer, lymphoma, and uterine cancer.

13. A pharmaceutical composition for use in the treatment or prevention of cancer, which comprises as an active ingredient the compound or a pharmaceutically acceptable salt thereof of any one of claims 1 to 12.

14. Use of a compound or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for the treatment or prevention of cancer, wherein the compound is represented by the following formula:



(I)

wherein,

R^1 represents

a C_1-C_6 alkylsulfonyl, or

-CO-R^5 [wherein,

R^5 is

a C_1-C_6 alkyl,

a C_3-C_{10} cycloalkyl],

R^2 represents

an aryl which may have a substituent group selected from Substituent Group C,

an aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, or

-$NR^{6A}R^{7A}$;

wherein R^{6A} represents a hydrogen atom, and R^{7A} represents -$(CH_2)_n$-R^{10A} (wherein n

represents an integer of 0 to 6, and R^{10A} represents a C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D, an aryl which may have a substituent group selected from Substituent Group E, an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl, an aromatic heterocyclic group which may have a substituent group selected from Substituent Group I), or R^{6A} and R^{7A} form with an adjacent nitrogen atom a heterocyclic group which may have a substituent group selected from Substituent Group F,

R^3 represents

an aryl which may have a substituent group selected from Substituent Group G, or an aromatic heterocyclic group which may have a substituent group selected from Substituent Group H;

R^4 represents

a hydrogen atom, or

a halogen;

R represents

a hydrogen atom, or

a halogen, and

R^{101} represents

a hydrogen atom.

wherein the above-mentioned Substituents C to I are one to three substituents each independently selected from the following Substituent Groups:

Substituent Group C: a halogen, a hydroxy, a C_1-C_6 alkoxy, and a di(C_1-C_6 alkyl) amino;

Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either one of C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6 alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic-carbonylamino;

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino,

a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent);

Substituent Group F: a carbamoyl, an amino, a C_1-C_6 aminoalkyl, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), an aliphatic heterocyclic-(C_1-C_6 alkylenyl), and an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl;

Substituent Group G: a halogen, a hydroxy, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, a trifluoromethoxy, a C_1-C_6 aminoalkyl, a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), an amino, a C_1-C_6 alkylsulfonylamino, a carbamoyl, a sulfamoyl, a (C_1-C_6 alkyl)ureide, a benzylureide, andan aliphatic heterocyclic group;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group I: an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino group or a C_1-C_6 alkylamino as a substituent); an aliphatic heterocyclic-(C_1-C_6 alkylenyl); an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent); a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl); a C_1-C_6 aminoalkyloxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino; a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent).

15. The use of claim 14, wherein R^1 is -CO-R^5.

16. The use of claim 14, wherein R^1 is a methylsulfonyl.

17. The use of claim 14, wherein R^2 is -$NR^{6A}R^{7A}$ (wherein, R^{6A} and R^{7A} have the same meaning as described in claim 14).

18. The use of claim 17, wherein R^{6A} is a hydrogen atom, and R^{7A} is -$(CH_2)_n$-R^{10A} (wherein, n and R^{10A} each have the same meaning as described in claim 14).

19. The use of claim 17, wherein R^{6A} and R^{7A} form with an adjacent nitrogen atom a heterocyclic group which may have a substituent group selected from Substituent Group F described in claim 14.

20. The use of claim 14, wherein R^2 is a piperidin-4-spiro-3'-pyrrolidin-1-yl, a piperidyl which may have a substituent group selected from Substituent Group F described in claim 14, or a

1-piperazinyl which may have a substituent group selected from Substituent Group F described in claim 14.

21. The use of claim 14, wherein R^2 is an aryl which may have a substituent group selected from Substituent Group C described in claim 14.

22. The use of claim 14, wherein R^2 is a phenyl which may have a substituent group selected from Substituent Group C described in claim 14.

23. The use of claim 14, wherein R^3 is an aryl which may have a substituent group selected from Substituent Group G described in claim 14, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H described in claim 14.

24. The use of claim 14, wherein the compound or a pharmaceutically acceptable salt thereof is selected from:

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)-cyclo-hexylamino)quinolin-3-yl)ethanone;

2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)-quinolin-6-yl)phenol;

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)methanone;

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)propan-1-one;

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)-cyclohexyl)-amino)quinolin-3-yl)propan-1-one;

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl)-cyclo-hexylamino)quinolin-3-yl)ethanone;

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl)-cyclohexylamino)-quinolin-3-yl)ethanone;

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)methanone;

(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)ethanone;

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)propan-1-one; and

(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one;

and a pharmaceutically acceptable salt thereof.

25. The use of claim 14, wherein the cancer is selected from the group consisting of breast cancer, lung cancer, bladder cancer, lymphoma, and uterine cancer.

Quinoline Derivatives and MELK Inhibitors Containing the Same

Technical Field

The present invention relates to a quinoline derivative for inhibiting MELK activity, a method for the preparation thereof, and a pharmaceutical composition containing the compound as an active ingredient.

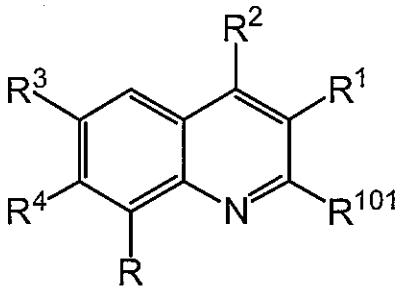
Background Art

MELK, maternal embryonic leucine zipper kinase, was previously identified as a new member of the snf1/AMPK serine-threonine kinase family that is involved in mammalian embryonic development (Heyer BS et al., Dev Dyn. 1999 Aug 215(4):344-51). The gene was shown to play an important role in stem cell renewal (Nakano I et al., J Cell Biol. 2005 Aug 1, 170(3):413-27), cell-cycle progression (Blot J et al., Dev Biol. 2002 Jan 15, 241(2):327-38; Seong HA et al., Biochem J. 2002 Feb 1, 361(Pt 3):597-604) and pre-mRNA splicing (Vulsteke V et al., J Biol Chem. 2004 Mar 5, 279(10):8642-7. Epub 2003 Dec 29). In addition, through gene expression profile analysis using a genome-wide cDNA microarray containing 23,040 genes, MELK was recently shown to be up-regulated in breast cancer (Lin ML et al., Breast Cancer Res. 2007; 9 (1):R17, WO2006/016525, WO2008/023841). In fact, MELK is up-regulated in several cancer cells, for example lung, bladder, lymphoma and cervical cancer cells (See WO2004/031413, WO2007/013665, and WO2006/085684, the disclosures of which are incorporated by reference herein). Northern blot analysis on multiple human tissues and cancer cell lines demonstrated that MELK was over-expressed at a significantly high level in a great majority of breast cancers and cell lines, but was not expressed in normal vital organs (heart, liver, lung and kidney) (WO2006/016525). Furthermore, suppression of MELK expression by siRNA was shown to significantly inhibit growth of human breast cancer cells. Accordingly, MELK is considered to be a suitable target for cancer therapy in the treatment of a wide array of cancer types. The present inventors have endeavored to develop an effective inhibitor of MELK and have found that a compound can selectively inhibit the activity of MELK.

Summary of Invention

The present invention relates to the following (1) to (33).

(1) A compound represented by the following formula or a pharmaceutically acceptable salt thereof:



(I)

wherein,

R^1 represents

a hydrogen atom,

a halogen,

a cyano,

an optionally substituted C_3-C_{10} cycloalkyl,

an optionally substituted aromatic heterocyclic group,

an optionally substituted C_1-C_6 alkylsulfinyl,

an optionally substituted C_1-C_6 alkylsulfonyl, or

-CO-R^5 [wherein,

R^5 is

an optionally substituted C_1-C_6 alkyl,

an optionally substituted aliphatic heterocyclic-(C_1-C_6 alkylenyl),

an optionally substituted C_3-C_{10} cycloalkyl,

an optionally substituted aryl,

an optionally substituted aromatic heterocyclic group,

a hydroxy,

an optionally substituted C_1-C_6 alkoxy, or

-NR^8R^9 (wherein,

R^8 and R^9 are the same or different and represent

a hydrogen atom,

an optionally substituted aryl,

an optionally substituted C_1-C_6 alkyl,

an optionally substituted C_3-C_{10} cycloalkyl,

an optionally substituted aromatic heterocyclic-(C_1-C_6 alkylenyl), or

an optionally substituted aliphatic heterocyclic-(C_1-C_6 alkylenyl))],

R^2 represents

a hydrogen atom,

a halogen,

a hydroxy,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy),
an optionally substituted aryl,
an optionally substituted aromatic heterocyclic group,
an optionally substituted aliphatic heterocyclic group,
$-NR^6R^7$ [wherein,
R^6 and R^7 are the same or different and represent
a hydrogen atom,
an optionally substituted C_1-C_6 alkyl,
a C_1-C_6 aminoalkyl,
an optionally substituted C_1-C_6 alkylamino-(C_1-C_6 alkylenyl),
an optionally substituted di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl),
an optionally substituted C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl), or
$-(CH_2)_n-R^{10}$ (wherein,
n represents an integer of 0 to 6, and
R^{10} is
an optionally substituted C_3-C_{10} cycloalkyl,
an optionally substituted aryl,
an optionally substituted aromatic heterocyclic group, or
an optionally substituted aliphatic heterocyclic group), or
R^6 and R^7 form with an adjacent nitrogen atom an optionally substituted heterocyclic group],
R^3 represents
a hydrogen atom,
a halogen,
an optionally substituted C_1-C_6 alkyl,
an optionally substituted C_1-C_6 alkoxy,
an optionally substituted C_3-C_8 cycloalkenyl,
an optionally substituted aryl,
an optionally substituted aromatic heterocyclic group, or
an optionally substituted aliphatic heterocyclic group,
R^4 represents
a hydrogen atom,
a halogen
a cyano,
a C_1-C_6 alkyl which may have a halogen as a substituent, or
an optionally substituted C_1-C_6 alkoxy,

R represents
a hydrogen atom, or
a halogen, and
R^{101} represents
a hydrogen atom, or a C_1-C_6 alkyl.

In particular, the following compounds or pharmaceutically acceptable salts thereof among the compounds represented by the above-mentioned formula (I):
wherein,
R^1 is
a hydrogen atom,
a halogen,
a cyano,
a C_3-C_{10} cycloalkyl,
an aromatic heterocyclic group,
a C_1-C_6 alkylsulfinyl,
a C_1-C_6 alkylsulfonyl, or
-CO-R^5 [wherein,
R^5 represents
an optionally substituted C_1-C_6 alkyl which may have a substituent group selected from Substituent Group A,
an aliphatic heterocyclic-(C_1-C_6 alkylenyl),
a C_3-C_{10} cycloalkyl,
an optionally substituted aryl which may have a substituent group selected from Substituent Group B,
an aromatic heterocyclic group,
a hydroxy,
a C_1-C_6 alkoxy, or
-NR^8R^9 (wherein,
R^8 and R^9 are the same or different and represent
a hydrogen atom,
an optionally substituted aryl which may have a substituent group selected from Substituent Group B,
a C_1-C_6 alkyl,
an optionally substituted C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group B,
an aromatic heterocyclic-(C_1-C_6 alkylenyl), or

an optionally substituted aliphatic heterocyclic-(C_1-C_6 alkylenyl) which may have a substituent group selected from Substituent Group B)],

R^2 represents

a hydrogen atom,

a halogen,

a hydroxy,

a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy),

an optionally substituted aryl which may have a substituent group selected from Substituent Group B,

an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group B,

an optionally substituted aliphatic heterocyclic group which may have a substituent group selected from Substituent Group B,

$-NR^6R^7$ [wherein,

R^6 and R^7 are the same or different and represent

a hydrogen atom,

an optionally substituted C_1-C_6 alkyl which may have a substituent group selected from Substituent Group A,

a C_1-C_6 aminoalkyl,

a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl),

a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) which may be substituted with a hydroxy,

a C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl), or

$-(CH_2)_n-R^{10}$ (wherein,

n represents an integer of 0 to 6, and

R^{10} represents

an optionally substituted C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group B,

an optionally substituted aryl which may have a substituent group selected from Substituent Group B,

an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group B, or

an optionally substituted aliphatic heterocyclic group which may have a substituent group selected from Substituent Group B), or

R^6 and R^7 form with an adjacent nitrogen atom an optionally substituted heterocyclic group which may have a substituent group selected from Substituent Group B],

R^3 represents

a hydrogen atom,

a halogen,
a C_1-C_6 alkyl,
an optionally substituted C_1-C_6 alkoxy which may have a substituent group selected from Substituent Group A,
an optionally substituted C_3-C_8 cycloalkenyl which may have a substituent group selected from Substituent Group B,
an optionally substituted aryl which may have a substituent group selected from Substituent Group B,
an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group B, or
an optionally substituted aliphatic heterocyclic group which may have a substituent group selected from Substituent Group B, and

R^4 represents
a hydrogen atom, or
a halogen,

R^{101} represents
a hydrogen atom,

the above-mentioned substituents are one to three substituents each independently selected from the following Substituent Groups:

Substituent Group A: a halogen, an aliphatic heterocyclic group, an optionally substituted aliphatic heterocyclic-carbonyl which may be substituted with a C_1-C_6 alkyl (the C_1-C_6 alkyl has the same meaning as the aforementioned C_1-C_6 alkyl)

Substituent Group B:
a halogen,
a hydroxy,
a cyano,
a C_1-C_6 alkyl,
a C_1-C_6 alkoxy,
a carboxyl,
a C_1-C_6 alkoxycarbonyl,
a trifluoromethoxy,
a difluoromethoxy,
a trifluoromethyl,
a difluoromethyl,
an amino,
a C_1-C_6 alkylamino (wherein, the C_1-C_6 alkyl may have a hydroxy as a substituent),
a di(C_1-C_6 alkyl)amino,

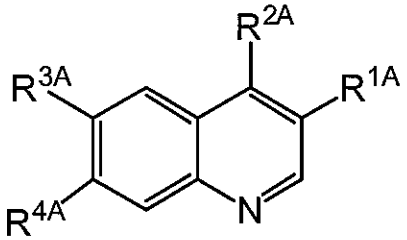
a diallylamino,
a C_1-C_6 alkylsulfonylamino,
a C_2-C_7 alkanoylamino,
a carbamoyl,
a sulfamoyl,
a benzylureide,
a (C_1-C_6 alkyl)ureide,
a C_1-C_6 hydroxyalkyl,
a C_1-C_6 aminoalkyl,
a C_1-C_6 aminoalkylenyloxy,
a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkyl may have a halogen as a substituent),
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either a C_1-C_6 alkyl or a C_1-C_6 alkylenyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom),
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonyl,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonylamino,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)aminocarbonyl,
an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino, a hydroxy, a halogen, a di(C_1-C_6 alkyl)amino, a C_1-C_6 alkylamino, or a C_1-C_6 alkoxy as a substituent),
an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl, an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, or a halogen as a substituent),
an aliphatic heterocyclic-carbonyl (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent),
an aliphatic heterocyclic-carbonylamino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent),
an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent),
an aliphatic heterocyclic-(C_1-C_6 alkylenyl)amino,
an aliphatic heterocyclic-(C_1-C_6 alkylenyl)oxy,
an aromatic heterocyclic-(C_1-C_6 alkylenyl),
an aliphatic heterocyclic-sulfonyl which may be substituted with a C_1-C_6 alkyl,
a C_1-C_6 aminoalkylcarbonylamino,

a hydroxyphenyl,
a dimethylaminocarbonyl,
an aminocyclohexylaminocarbonyl,
a methylpiperazinylphosphonyl,
a C_3-C_8 cycloalkyl (wherein, the cycloalkyl may have an amino, a C_1-C_6 alkylamino, or a C_1-C_6 aminoalkyl as a substituent), and
an oxo.

(2) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (1), wherein R^4 is a hydrogen atom or a halogen and R^{101} is a hydrogen atom.

(3) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (2), wherein
R^1 is R^{1A} [R^{1A} represents a cyano, a C_1-C_6 alkylsulfinyl, a C_1-C_6 alkylsulfonyl, or -CO-R^{5A} (wherein, R^{5A} represents a C_1-C_6 alkyl or a C_3-C_{10} cycloalkyl)],
R^2 is R^{2A} {R^{2A} represents an optionally substituted aryl which may have a substituent group selected from Substituent Group C, an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, or -$NR^{6A}R^{7A}$ [wherein, R^{6A} represents a hydrogen atom, and R^{7A} represents -$(CH_2)_n$-R^{10A} (wherein, n represents an integer of 0 to 6, and R^{10A} represents an optionally substituted C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D, an optionally substituted aryl which may have a substituent group selected from Substituent Group E, an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl, or an aromatic heterocyclic group which may have a substituent group selected from Substituent Group I), or R^{6A} and R^{7A} form with an adjacent nitrogen atom an optionally substituted heterocyclic group which may have a substituent group selected from Substituent Group F]},
R^3 is R^{3A} (R^{3A} represents an optionally substituted aryl which may have a substituent group selected from Substituent Group G, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H), and
R^4 is a hydrogen atom or a halogen and R^{101} is a hydrogen atom.

More specifically, a compound represented by the following formula (IA) or a pharmaceutically acceptable salt thereof:



(IA)

wherein,

R^{1A} represents

a cyano,

a C_1-C_6 alkylsulfinyl,

a C_1-C_6 alkylsulfonyl, or

a -CO-R^{5A} (wherein, R^{5A} represents a C_1-C_6 alkyl, or a C_3-C_{10} cycloalkyl),

R^{2A} represents

an optionally substituted aryl which may have a substituent group selected from Substituent Group C,

an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, or

-$NR^{6A}R^{7A}$ [wherein,

R^{6A} represents a hydrogen atom, and

R^{7A} represents

-$(CH_2)_n$-R^{10A} (wherein,

n represents an integer of 0 to 6, and

R^{10A} represents

an optionally substituted C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D,

an optionally substituted aryl which may have a substituent group selected from Substituent Group E,

an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl, or

an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group I), or

R^{6A} and R^{7A} form with an adjacent nitrogen atom an optionally substituted heterocyclic group which may have a substituent group selected from Substituent Group F],

R^{3A} represents

an optionally substituted aryl which may have a substituent group selected from Substituent Group G, or

an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H,

R^{4A} represents a hydrogen atom or a halogen, and

the above-mentioned substituents C to I are one to three substituents each independently selected from the following Substituent Groups:

Substituent Group C: a halogen, a hydroxy, a C_1-C_6 alkoxy, and a di(C_1-C_6 alkyl)amino;

Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a

hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6 alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic-carbonylamino;

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent);

Substituent Group F: a carbamoyl, an amino, a C_1-C_6 aminoalkyl, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), an aliphatic heterocyclic-(C_1-C_6 alkylenyl), and an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl;

Substituent Group G: a halogen, a hydroxy, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, a trifluoromethoxy, a C_1-C_6 aminoalkyl, a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), an amino, a C_1-C_6 alkylsulfonylamino, a carbamoyl, a sulfamoyl, a (C_1-C_6 alkyl)ureide, a benzylureide, and an aliphatic heterocyclic group;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group I: an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino group, or a C_1-C_6 alkylamino as a substituent); an aliphatic heterocyclic-(C_1-C_6 alkylenyl); an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent); a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl); a C_1-C_6 aminoalkyloxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino; a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent).

(4) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (3), wherein R^1 is -CO-R^{5A} (wherein, R^{5A} has the same meaning as described above).

(5) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (4), wherein R^{5A} is a C_1-C_6 alkyl or a C_3-C_{10} cycloalkyl.

(6) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (4),

wherein R^{5A} is a methyl, an n-propyl, an isopropyl, an isobutyl, or a cyclopropyl.

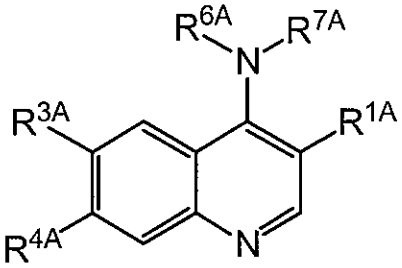
(7) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (3), wherein R^1 is a cyano.

(8) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (3), wherein R^1 is a C_1-C_6 alkylsulfonyl.

(9) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (3), wherein R^1 is a methylsulfonyl.

(10) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (9), wherein R^2 is $-NR^{6A}R^{7A}$ (wherein, R^{6A} and R^{7A} have the same meaning as described above).

Especially, a compound represented by the following formula (IB) or a pharmaceutically acceptable salt thereof:



(IB)

wherein, R^{1A}, R^{3A}, R^{4A}, R^{6A}, and R^{7A} have the same meaning as described above.

(11) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (10), wherein R^{6A} is a hydrogen atom, and R^{7A} is $-(CH_2)_n-R^{10A}$ (wherein, n and R^{10A} have the same meaning as described above).

(12) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (11), wherein R^{10A} is a three- to eight-membered monocyclic aliphatic heterocyclic group comprising at least one nitrogen atom which may be substituted with a C_1-C_6 alkyl; a C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D; a phenyl which may have a substituent group selected from Substituent Group E; or an aromatic heterocyclic group which may have a substituent group selected from Substituent Group I, wherein the aromatic heterocyclic group is a pyridyl, a pyrimidinyl, or a pyrazolyl.

Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6

alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic-carbonylamino

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent)

(13) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (11) or (12), wherein n is an integer of 0 to 2.

(14) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (10), wherein R^{6A} and R^{7A} form with an adjacent nitrogen atom an optionally substituted heterocyclic group which may have a substituent group selected from Substituent Group F.

(15) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (10), wherein R^2 is a piperidin-4-spiro-3'-pyrrolidin-1-yl, an optionally substituted piperidino which may have a substituent group selected from Substituent Group F, or an optionally substituted 1-piperazinyl which may have a substituent group selected from Substituent Group F.

(16) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (10), wherein R^2 is a piperidin-4-spiro-3'-pyrrolidin-1-yl, a piperidino which may have a substituent group selected from substituent Fa, or a 1-piperazinyl which may have a substituent group selected from substituent Fa, wherein the substituent Fa is a substituent selected from the group consisting of an amino, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a pyrrolidinyl-(C_1-C_6 alkylenyl), a morpholino-(C_1-C_6 alkylenyl), a 1-piperazinyl whose hydrogen atom on the nitrogen of position 4 may be substituted with a C_1-C_6 alkyl, and a piperazin-1-yl-(C_1-C_6 alkylenyl) whose hydrogen atom on the nitrogen of position 4 may be substituted with a C_1-C_6 alkyl.

(17) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (9), wherein R^2 is an optionally substituted aryl which may have a substituent group selected from Substituent Group C.

(18) The compound or a pharmaceutically acceptable salt thereof of the above-mentioned (1) to (9), wherein R^2 is an optionally substituted phenyl which may have a substituent group selected from Substituent Group C.

(19) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (18), wherein R^3 is an optionally substituted aryl which may have a substituent group selected from Substituent Group G, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H.

(20) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (18), wherein R^3 is an optionally substituted phenyl which may have a

substituent group selected from Substituent Group G, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, wherein the aromatic heterocyclic group is selected from the group consisting of a thienyl, a pyrrolyl, an imidazolyl, an isoxazolyl, a pyridyl, a pyrimidinyl, a pyrazolyl, a 1H-indazolyl, a benzimidazolyl, a [1,2,4]triazolo[1,5-a]pyridyl, or a pyrrolo[2,3-b]pyridyl.

(21) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (18), wherein R^3 is an optionally substituted phenyl which may have a substituent group selected from Substituent Group G, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H, wherein the aromatic heterocyclic group is selected from the group consisting of a pyridyl, a thienyl, a pyrimidinyl, a benzimidazolyl, and a 1H-indazolyl.

(22) The compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (21), wherein R is a hydrogen atom.

(23) A compound or a pharmaceutically acceptable salt thereof, selected from the following Compound Group:

compound 55 : (4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 76 : 5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl) quinolin-6-yl)picolinonitrile

compound 81 : (4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 96 : 5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl)quinolin -6-yl)pyrimidine-2-carbonitrile

compound 107 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)-2-methylpropan -1-one

compound 108 : 5-(4-((trans)-4-aminocyclohexylamino) -3-isobutyrylquinolin-6-yl) picolinonitrile

compound 116 : (4-((trans)-4-aminocyclohexylamino)-6- (1H-benzo[d]imidazol-5-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 118 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2-methoxyphenol

compound 119 : 5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl)quinolin -6-yl)thiophene-2-carbonitrile

compound 124 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 125 : 5-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) picolinamide

compound 126 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 132 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)pyridin-2(1H)-one

compound 133 : cyclopropyl(4-(4-((dimethylamino) methyl)piperidin-1-yl)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone

compound 136 : 5-(3-(cyclopropanecarbonyl)-4- (4-((dimethylamino)methyl) piperidin-1-yl)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 143 : (4-((trans)-4-aminocyclohexylamino)-6- (3,5-dimethylisoxazol-4-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 144 : (4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrrol-3-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 147 : (4-((trans)-4-aminocyclohexylamino)-6- (4-(aminomethyl)phenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 148 : (6-([1,2,4]triazolo[1,5-a]pyridin -6-yl)-4-((trans)-4-aminocyclohexylamino)quinolin -3-yl)(cyclopropyl)methanone

compound 155 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(2,8-diazaspiro[4.5]decan-8-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 156 : (4-((trans)-4-aminocyclohexylamino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 157(a) : (4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone hydrochloride

compound 157(b) : (4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone dihydrochloride

compound 158 : (4-((trans)-4-aminocyclohexylamino)-6- (3-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 159 : 5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)-2-hydroxybenzonitrile

compound 160 : (4-((trans)-4-aminocyclohexylamino)-6- (2,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 161 : (4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3,5-dimethylphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 162 : (6-(1H-benzo[d]imidazol-5-yl)-4- (2,8-diazaspiro[4.5]decan-8-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 163 : (4-((cis)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl) methanone

compound 164 : 5-(4-((cis)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 165 : (4-((cis)-4-aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quin olin -3-yl)(cyclopropyl)methanone

compound 166 : (4-((cis)-4-aminocyclohexylamino)-6- (1H-benzo[d]imidazol-5-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 169 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 170 : 5-(3-(cyclopropanecarbonyl)-4- (1-methylpiperidin-4-ylamino) quinolin-6-yl)pyrimidine-2- carbonitrile

compound 172 : 5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl)quinolin -6-yl)-3-methylpicolinonitrile

compound 174 : cyclopropyl(6-(3,5-difluoro -4-hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone

compound 175 : cyclopropyl(6-(3,5-dichloro -4-hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone

compound 176 : (6-(3-chloro-4-hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 177 : cyclopropyl(6-(4-hydroxy -3-methoxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone

compound 178 : 5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl)quinolin -6-yl)-1H-benzo[d]imidazol -2(3H)-one

compound 179 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 180 : (4-((cis)-4-aminocyclohexylamino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 181 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4- (4-(1-(dimethylamino)ethyl) piperidin-1-yl)quinolin-3-yl) methanone

compound 182 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl)quinolin -6-yl)-1H-pyrrole-2-carbonitrile

compound 183 : (4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrrolo[2,3-b]pyridin-5-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 185(a) : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone hydrochloride

compound 185(b) : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4- (4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone dihydrochloride

compound 186 : 5-(3-(cyclopropanecarbonyl)-4- (4-(diethylamino) cyclohexylamino)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 187 : cyclopropyl(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-6-(4-hydroxy -3-methoxyphenyl)quinolin-3-yl) methanone

compound 189 : (4-((trans)-4-aminocyclohexylamino)-6- (2,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 190 : (4-((trans)-4-aminocyclohexylamino)-6- (2,3-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 191 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2-chloro-6-fluorophenol

compound 192 : (6-(3-chloro-4-hydroxyphenyl) -4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 193 : cyclopropyl(4-(4-(diethylamino) cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl) methanone

compound 194 : cyclopropyl(4-(4-(diethylamino) cyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl) methanone

compound 195 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2-chlorophenol

compound 196 : cyclopropyl(6-(4-hydroxy-3- methoxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) methanone

compound 197 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methylphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 199 : (6-(1H-benzo[d]imidazol-5-yl)-4- (4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 200 : 5-(3-(cyclopropanecarbonyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 201 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 202 : (6-(1H-benzo[d]imidazol-5-yl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 203 : (6-(3-chloro-4-hydroxyphenyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 204 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) methanone

compound 205 : 1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4- hydroxyphenyl)quinolin-3-yl) -2-methylpropan-1-one

compound 207 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2,6-difluorophenol

compound 208 : Cyclopropy l(6-(3,5-difluoro-4-hydroxyphenyl) -4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)methanone

compound 209 : (4-((cis)-4-aminocyclohexylamino)-6- (2-chlorophenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 210 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(2- (piperazin-1-yl)ethylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 212 : (4-((trans)-4-aminocyclohexylamino)-6- (pyridin-4-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 213 : (4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrazol-4-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 214 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one

compound 215 : (6-(3-chloro-4-hydroxyphenyl)-4- (2-(piperazin-1-yl)ethylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 216 : cyclopropyl(6-(4-hydroxy-3 -methoxyphenyl)-4- (2-(piperazin-1-yl)ethylamino) quinolin-3-yl)methanone

compound 219 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one

compound 220 : 5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl)quinolin -6-yl)-3-fluoropicolinonitrile

compound 222 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 224 : 5-(3-(cyclopropanecarbonyl)-4- (piperidin-1-yl)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 225(a) : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one

compound 225(b) : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan -1-one dihydrochloride

compound 226 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2,6-dichlorophenol

compound 227 : 4-(4-((trans)-4-aminocyclohexylamino)-3- (methylsulfonyl)quinolin-6-yl) -2-chloro-6-methoxyphenol

compound 228 : (4-((trans)-4-aminocyclohexylamino)-6- (2-methoxypyridin-4-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 229 : (4-((trans)-4-aminocyclohexylamino)-6- (3-methyl-1H-pyrazol-4-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 230 : (4-((trans)-4-aminocyclohexylamino)-6- (3,4-dimethoxyphenyl)quinolin -3-yl)(cyclopropyl)methanone

compound 231 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(cyclopentylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 233 : (6-(3-chloro-4-hydroxyphenyl)-4- (piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 236 : 2-chloro-4-(4-(4-(diethylamino) cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) phenol

compound 237 : (4-((trans)-4-aminocyclohexylamino)-6- (2-chloropyridin-4-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 239 : 5-(4-(cyclopentylamino)-3- (cyclopropanecarbonyl)quinolin -6-yl)pyrimidine-2-carbonitrile

compound 240 : 2-chloro-4-(4-(4-(diethylamino) cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6- methoxyphenol dihydrochloride

compound 241 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone

compound 242 : cyclopropyl(6-(4-hydroxy -3-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone

compound 243 : (6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 244 : 5-(4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)-3-(methylsulfonyl) quinolin-6-yl)pyrimidine -2-carbonitrile

compound 245 : 2-chloro-4-(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-3-(methylsulfonyl) quinolin-6-yl)-6-methoxyphenol

compound 246 : 2-chloro-4-(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-3-(methylsulfonyl) quinolin-6-yl)phenol

compound 247 : 5-(4-(4-(diethylamino) cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 249 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 250 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 251 : 2-chloro-4-(4-((1-methylpiperidin- 4-yl)methylamino)-3-(methylsulfonyl)quinolin-6-yl) phenol

compound 252 : 2-chloro-6-methoxy-4- (4-((1-methylpiperidin-4-yl) methylamino)-3-(methylsulfonyl) quinolin-6-yl)phenol

compound 254 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone

compound 255 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 256 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone

compound 258 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone

compound 259 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) ethanone

compound 261 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-3- methylbutan-1-one

compound 262 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) -3-methylbutan-1-one

compound 263 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone

compound 264 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 265 : (6-(3-chloro-4-hydroxyphenyl)-4- ((trans)-4-(dimethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 266 : cyclopropyl(4-((trans)-4- (dimethylamino)cyclohexylamino) -6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone

compound 267 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-3-methylbutan -1-one dihydrochloride

compound 268 : 5-(3-(cyclopropanecarbonyl)-4- ((trans)-4-(dimethylamino) cyclohexylamino)quinolin -6-yl)pyrimidine-2-carbonitrile

compound 269 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(1- (dimethylamino)ethyl)piperidin-1- yl)quinolin-3-yl)(cyclopropyl) methanone

compound 270 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4- ((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 271 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 273 : (4-((trans)-4-aminocyclohexylamino)-6- (2-fluoropyridin-4-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 274 : 2-chloro-4-(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-3-(isopropylsulfonyl) quinolin-6-yl)-6-methoxyphenol

compound 275 : 2-chloro-4-(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-3-(isopropylsulfonyl) quinolin-6-yl)phenol

compound 276 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4- ((dimethylamino)methyl)piperidin- 1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 278 : cyclopropyl(4-((trans)-4- (dimethylamino)cyclohexylamino) -7-fluoro-6-(4-hydroxy-3- methoxyphenyl)quinolin-3-yl) methanone

compound 279 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 280 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 281 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 282 : 5-(3-(cyclopropanecarbonyl)-4- (4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-6-yl)pyrimidine-2- carbonitrile

compound 283 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin -3-yl)(cyclopropyl)methanone

compound 284 : (4-((trans)-4-aminocyclohexylamino)-6-(3- chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin- 3-yl)(cyclopropyl)methanone

compound 285 : (4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)-7- fluoroquinolin-3-yl)(cyclopropyl) methanone

compound 286 : (4-((trans)-4-aminocyclohexylamino)-7-fluoro-6 -(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone

compound 287 : (4-((trans)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 288 : (4-((trans)-4-aminocyclohexylamino)-6- (2-chloro-3-fluoropyridin-4-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 289 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 290 : 5-(3-(cyclopropanecarbonyl)-4- ((trans)-4-(dimethylamino) cyclohexylamino)-7- fluoroquinolin-6-yl)pyrimidine-2- carbonitrile

compound 294 : cyclopropyl(6-(3,5-difluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl)methanone

compound 295 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 296 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-2-methylpropan -1-one

compound 297 : 1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(3-fluoro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)-2-methylpropan -1-one

compound 298 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 299 : cyclopropyl(4-(4-(1- (dimethylamino)ethyl)piperidin -1-yl)-7-fluoro-6-(4-hydroxy-3- methoxyphenyl)quinolin-3-yl) methanone

compound 300 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-7-fluoroquinolin-3-yl) (cyclopropyl)methanone

compound 301 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)-7-fluoroquinolin -3-yl)methanone

compound 302 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl)-2-methylpropan-1-one

compound 303 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)ethanone

compound 304 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 305 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone

compound 306 : 1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(4-hydroxy -3-(trifluoromethoxy)phenyl) quinolin-3-yl)-2- methylpropan-1-one

compound 307 : cyclopropyl(6-(3-fluoro-4-hydroxy -5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)methanone

compound 308 : {6-(3-Chloro-5-fluoro-4 -hydroxyphenyl)-4-[(3-amino) adamantylamino]quinolin-3-yl} (cyclopropyl)methanone

compound 309 : {6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-[(3-amino) adamantylamino]quinolin-3-yl} (cyclopropyl)methanone

compound 310 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone

compound 311 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((cis)-4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 312 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichlorophenyl)quinolin-3-yl) -2-methylpropan-1-one

compound 313 : cyclopropyl(6-(4-hydroxy-3- (trifluoromethoxy)phenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)methanone

compound 314 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 315 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 316 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 317 : 1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(3-ethoxy-4-hydroxyphenyl)quinolin-3-yl)-2- methylpropan-1-one

compound 318 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl) piperidin-1-yl)quinolin-3-yl) -2-methylpropan-1-one

compound 319 : 1-(6-(3-chloro-4-hydroxy-5 -methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)-2-methylpropan-1-one

compound 320 : 1-(6-(3-chloro-4-hydroxyphenyl)-4 -(4-(pyrrolidin-1-ylmethyl) piperidin-1-yl)quinolin-3-yl) ethanone

compound 321 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)ethanone

compound 322 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-2-methylpropan -1-one

compound 323 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3 -yl)-2-methylpropan-1-one

compound 324 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) methanone

compound 325 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) methanone

compound 326 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 327 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 328 : (6-(3-chloro-4-hydroxyphenyl)-4- ((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 329 : (6-(3-chloro-4-hydroxyphenyl)-4- (((trans)-4-(dimethylamino) cyclohexyl)methylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 330 : 1-(4-(4-((trans)-4-aminocyclohexylamino)-3-isobutyrylquinolin-6-yl)phenyl)-3- benzylurea

compound 331 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -3-methylbutan-1-one

compound 332 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(morpholinomethyl)piperidin -1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 333 : 1-(4-(4-((trans)-4-aminocyclohexylamino)-3-isobutyrylquinolin-6-yl)phenyl) -3-methylurea

compound 334 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(morpholinomethyl)piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone

compound 335 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) ethanone

compound 336 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-3-yl)ethanone

compound 337 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(morpholinomethyl)piperidin-1-yl) quinolin-3-yl) (cyclopropyl)methanone

compound 338 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 339 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 341 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 342 : (6-(3-chloro-4-hydroxyphenyl)-4- ((trans)-4-(pyrrolidin-1-yl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 343 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone

compound 344 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -3-methylbutan-1-one

compound 345 : cyclopropyl(6-(3,5-dichloro -4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) methanone

compound 346 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 347 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 348 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-3-methylbutan -1-one

compound 349 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- (4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 350 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 351 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 353 : cyclopropyl(4-(4-((dimethylamino) methyl)piperidin-1-yl)-6-(3-ethoxy-4-hydroxyphenyl) quinolin-3-yl)methanone

compound 354 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl)piperidin -1-yl)quinolin-3-yl)-2,2-dimethylpropan-1-one

compound 355 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 358 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 359 : cyclopropyl(4-(4-((dimethylamino) methyl)phenylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone

compound 360 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 361 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-((trans)-4-(dimethylamino) cyclohexylamino)quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 362 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone

compound 363 : 1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl) -2,2-dimethylpropan-1-one

compound 364 : 1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone

compound 365 : cyclopropyl(6-(4-hydroxy-3 -methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) methanone

compound 366 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)phenylamino) quinolin-3-yl)methanone

compound 367 : (6-(3-chloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 368 : (6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4- (pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone

compound 369 : 5-(3-acetyl-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-6-yl)pyrimidine -2-carbonitrile

compound 370 : (6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 371 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(2-(pyrrolidin-1-yl)ethyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 372 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin -1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 373 : (6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4-(1-methylpiperidin-4-yl)piperazin -1-yl)quinolin-3-yl)(cyclopropyl) methanone

compound 374 : 1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone

compound 375 : (6-(3-chloro-4-hydroxyphenyl)-4- (4-(1-methylpiperidin-4-yl) piperazin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 376 : (6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- (1-methylpiperidin-4-yl) piperazin-1-yl)quinolin-3-yl) (cyclopropyl)methanone

compound 377 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone

compound 378 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone

compound 379 : 1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone

compound 380 : 5-(3-(cyclopropanecarbonyl)-4-(4- (pyrrolidin-1-ylmethyl) phenylamino)quinolin-6-yl) pyrimidine-2-carbonitrile

compound 381 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopentyl) methanone

compound 382 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopentyl)methanone

compound 383 : (6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopentyl)methanone

compound 384 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone

compound 385 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone

compound 386 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone

compound 387 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone

compound 388 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone

compound 389 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone

compound 391 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone

compound 392 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 394 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 397 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone

compound 398 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 399 : 2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol

compound 400 : 2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol

compound 401 : 2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride

compound 402 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 403 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 404 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 405 : cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone

compound 406 : (6-(3-chloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 407 : 2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol

compound 408 : 2-chloro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol

compound 409 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)methanone

compound 410 : 2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol

compound 411 : 2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol

compound 412 : 2-chloro-6-methoxy-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol

compound 413 : 5-(3-acetyl-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 414 : 5-(3-acetyl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 415 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone

compound 416 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone **dihydrobromide**

compound 417 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)methanone

compound 418 : 5-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 419 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone

compound 420 : 2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol

compound 421 : 2,6-dichloro-4-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexylamino) -3-(methylsulfonyl)quinolin-6-yl)phenol

compound 422 : 2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol

compound 423 : 2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol

compound 424 : 2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol

compound 425 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-yl amino)quinolin-3-yl)(cyclopropyl)methanone

compound 426 : 5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclo hexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 427 : (6-(3-chloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)q uinolin-3-yl)(cyclopropyl)methanone

compound 429 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-((dimethylamino)methyl) pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 435 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)py ridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 439 : 5-(3-(cyclopropanecarbonyl)-4-(5-((dimethylamino)methyl)pyridin-2-yla mino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 440 : 4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quin olin-4-yl)-1-(2-(pyrrolidin-1-yl)ethyl)piperazin-2-one

compound 443 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)py ridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 444 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 445 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)methanone

compound 448 : (6-(5-chloro-4-hydroxy-2-methylphenyl)-4-(4-((dimethylamino)methyl)p iperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 449 : cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)methanone

compound 453 : cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(1H-indol-5-yl)quinolin-3-yl)methanone

compound 457 : (6-(3-chloro-5-ethoxy-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone

compound 459 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-yl)quinolin-3-yl)methanone

compound 460 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 461 : 5-(3-(cyclopropanecarbonyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 462 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 463 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)methanone

compound 469 : 5-(3-(cyclopropanecarbonyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 470 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 471 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 472 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 474 : 5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)indolin-2-one

compound 478 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone

compound 479 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)methanone

compound 480 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(5-hydroxy-1H-indol-2-yl)quinolin-3-yl)-2-methylpropan-1-one

compound 481 : methyl 4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoate

compound 482 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone

compound 483 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 486 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-ethoxy-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 487 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 488 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 493 : (4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 494 : (4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 495 : (4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 496 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 497 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)methanone

compound 501 : (4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 502 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-2-methylpropan-1-one

compound 503 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 504 : (4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 505 : (4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 506 : (4-(4-(aminomethyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 507 : (4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 508 : (4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 509 : 5-(4-(4-(aminomethyl)phenylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 510 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)methanone

compound 511 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone

compound 512 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 513 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 514 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 515 : (4-((1s,4s)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 517 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-(methylamino)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone

compound 518 : 2-((((1s,4s)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile

compound 519 : (6-(3-chloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 520 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 522 : 5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile

compound 523 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 524 : 2-((((1s,4s)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile

compound 525 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 526 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 527 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxy phenyl)quinolin-3-yl)ethanone

compound 528 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2 -ylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 529 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-metho xyphenyl)quinolin-3-yl)butan-1-one

compound 530 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phen ylamino)quinolin-3-yl)butan-1-one

compound 531 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phen ylamino)quinolin-3-yl)butan-1-one dihydrochloride

compound 532 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxy phenyl)quinolin-3-yl)butan-1-one

compound 535 : 1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxypheny l)quinolin-3-yl)butan-1-one

compound 537 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl)butan-1-one

compound 538 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)meth yl)phenylamino)quinolin-3-yl)butan-1-one

compound 539 : 5-(3-butyryl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)py rimidine-2-carbonitrile

compound 540 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 541 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)ph enylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 542 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino) cyclohexylamino)quinolin-3-yl)ethanone

compound 543 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino) cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 547 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)me thyl)phenylamino)-7-fluoroquinolin-3-yl)methanone

compound 548 : (4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydro xyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 549 : (4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydro xyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 550 : (4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 551 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 552 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)methanone

compound 553 : (4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 554 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 555 : (4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 556 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 557 : (4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 558 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone

compound 559 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 560 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone

compound 561 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 562 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 563 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 564 : (4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 565 : (4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone

compound 566 : (4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 567 : (4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 568 : (4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 569 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 570 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 571 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 572 : (4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 573 : (4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 574 : (4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 575 : (4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 576 : (4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 577 : (4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride)

compound 578 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 579 : (4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 580 : (4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 582 : (4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 584 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone

compound 585 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride

compound 586 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-yl methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 587 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmet hyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 588 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmet hyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 589 : (4-(6-aminopyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

compound 590 : (4-(6-aminopyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinoli n-3-yl)(cyclopropyl)methanone

compound 591 : (4-(6-aminopyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)qu inolin-3-yl)(cyclopropyl)methanone

compound 592 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1 H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 593 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4 -yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone

compound 594 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin -5-ylamino)quinolin-3-yl)ethanone

compound 595 : (4-(4,4'-bipiperidin-1-yl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinol in-3-yl)(cyclopropyl)methanone

compound 596 : (4-(4,4'-bipiperidin-1-yl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone

compound 597 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino) methyl)cyclohexylamino)quinolin-3-yl)butan-1-one

compound 598 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxy pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 599 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-methoxypyrr olidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methano ne

compound 600 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxy pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 601 : (4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphen yl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 602 : (4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphen yl)quinolin-3-yl)(cyclopropyl)methanone

compound 603 : (4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 604 : (4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 605 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one

compound 606 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 607 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one

compound 608 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 609 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 610 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 611 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 612 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 613 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone

compound 614 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride

compound 615 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 616 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone

compound 617 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one

compound 620 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 621 : (4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 622 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-((dimethylamino)methyl) phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 623 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one

compound 624 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)methanone

compound 625 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one

compound 626 : (4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 627 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 628 : (4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 629 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 630 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 631 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 632 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 633 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 634 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 635 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride

compound 638 : (4-(4-amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 639 : (4-(4-amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 640 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 641 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 644 : (4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 646 : (S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 647 : (S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 648 : (S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 649 : (R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 650 : (R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 651 : (R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 652 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)methanone

compound 653 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone

compound 654 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone

compound 655 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)methyl)phenyl)amino)quinolin-3-yl)ethanone

compound 656 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone

compound 657 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((dimethylamino)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 658 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 659 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 660 : (S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 661 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone

compound 665 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 666 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 667 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 672 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 673 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 674 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 680 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one

compound 681 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 685 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((methylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone

compound 686 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 687 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 688 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone

compound 692 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 693 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 698 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone

compound 699 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 702 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride

compound 703 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone

compound 704 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride

compound 706 : (4-(1-(1R,4R)-4-aminocyclohexyl)-1H-pyrazol-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 707 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 708 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 709 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)methanone

compound 710 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 711 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 712 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 713 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 714 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 715 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 716 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one dihydrochloride

compound 717 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone

compound 718 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone

compound 719 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 720 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(dimethylamino)-2,3-dihydro-1H-inden-5-ylamino)quinolin-3-yl)ethanone

compound 721 : 1-(6-(3,5-difluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 722 : (4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 723 : (4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 724 : (4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 725 : (4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 726 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)methanone

compound 727 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 729 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 730 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 731 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 732 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 733 : 1-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 734 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 735 : (4-(2-(4-aminopiperidin-1-yl)pyridin-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

compound 736 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one

compound 737 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one

compound 738 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 739 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 740 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 741 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 742 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone **di**hydrochloride

compound 743 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 744 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 745 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 746 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 747 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 748 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone hydrochloride

compound 749 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 750 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 751 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 752 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 753 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 754 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 755 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 756 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl)quinolin-3-yl)methanone

compound 757 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one

compound 758 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 759 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 760 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one

compound 761 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one

compound 762 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone

compound 763 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone

compound 765 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone

compound 766 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 767 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 768 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 769 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one

compound 770 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one

compound 771 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one

compound 772 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl) cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 773 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone

compound 774 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydro xy-5-methoxyphenyl)quinolin-3-yl)ethanone

compound 775 : 1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydro xy-5-methoxyphenyl)quinolin-3-yl)propan-1-one

compound 776 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylam ino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 777 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylam ino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride

compound 778 : cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1 -yl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 779 : 1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3, 5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride

compound 780 : 1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride

compound 783 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylm ethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 784 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1r,3r)-3-((dimethylamino)methy l)cyclobutylamino)quinolin-3-yl)ethanone

compound 785 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolid in-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride

compound 786 : 1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride

compound 788 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride

compound 789 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin -1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride

compound 790 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 791 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 792 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethy l)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 793 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydro xymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanon e

compound 794 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)m ethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 795 : cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmeth yl)pyridin-3-ylamino)quinolin-3-yl)methanone

compound 796 : cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)et hyl)phenylamino)quinolin-3-yl)methanone

compound 797 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)et hyl)phenylamino)quinolin-3-yl)methanone

compound 798 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)et hyl)phenylamino)quinolin-3-yl)methanone hydrochloride

compound 799 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)ph enylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 800 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)ph enylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

compound 801 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phen ylamino)quinolin-3-yl)ethanone

compound 802 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phen yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 803 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl) phenylamino)quinolin-3-yl)ethanone

compound 804 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyr rolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride

compound 805 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone hydrochloride

compound 806 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-y l)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride

compound 807 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pip eridin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride

compound 808 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 809 : 1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride

compound 810 : 1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride

compound 811 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 812 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 813 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride

compound 814 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride

compound 815 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride

compound 816 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 817 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 818 : 1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride

compound 819 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone

compound 820 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone

compound 821 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone

compound 822 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 823 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone

compound 824 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride

compound 825 : 1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride

compound 826 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 827 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride

compound 828 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone

compound 829 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone

compound 830 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 831 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone

compound 836 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride

compound 843 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride

compound 844 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone

compound 845 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride

compound 846 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride

compound 848 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride

compound 852 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone dihydrochloride

compound 861 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 862 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 864 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((bis-(trideuteromethyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride

compound 873 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 876 : N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide dihydrochloride

compound 877 : N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide hydrochloride

compound 878 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride

compound 879 : 2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride

compound 880 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 881 : cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)methanone

compound 882 : (6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone

compound 883 : 2,6-dichloro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride

compound 884 : 2,6-dichloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride

compound 885 : 2-chloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride

compound 886 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride

compound 890 : 2,6-dichloro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride

compound 891 : 2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride

compound 895 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 896 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 899 : 2,6-dichloro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride

compound 900 : 2-chloro-6-fluoro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride

compound 901 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 902 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride

compound 903 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride

compound 904 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride

compound 907 : N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride

compound 908 : 1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(pyridin-4-yl)quinolin-3-yl)ethanone hydrochloride

compound 909 : 4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2-chloro-6-fluorophenol trihydrochloride

compound 910 : 1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-indazol-5-yl)quinolin-3-yl)ethanone hydrochloride

compound 911 : 1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 912 : 1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-pyrazol-4-yl)quinolin-3-yl)ethanone hydrochloride

compound 913 : 4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2,6-dichlorophenol trihydrochloride

compound 914 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride

compound 915 : N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride

compound 916 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride

compound 917 : cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone hydrochloride

compound 918 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride

compound 919 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride

compound 920 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride

compound 921 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride

compound 922 : (S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride

compound 923 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 924 : (6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride

compound 925 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 926 : 1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride

compound 927 : cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)methanone hydrochloride

compound 928 : 2-amino-N-(1R,4R)-4-((6-(3,5-dichloro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride

compound 929 : 1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)ethanone hydrochloride

compound 930 : 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride

compound 931 : 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride

compound 932 : 2-amino-N-(1R,4R)-4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride

compound 934 : (S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl)propan-1-one trihydrochloride

compound 935 : 1-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-6-(4-hydroxy phenyl)quinolin-3-yl)ethanone dihydrochloride

compound 936 : (4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone hydrochloride

compound 937 : 1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) -2-methylpropan-1-one dihydrochloride

compound 938 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one hydrochloride

compound 939 : 1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone hydrochloride

compound 940 : 1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) ethanone hydrochloride

compound 941 : cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone dihydrobromide

compound 942 : 1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone dihydrobromide

compound 943 : 1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride

compound 944 : 1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride

compound 945 : 5-(3-acetyl-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-6-yl)pyridin-2(1H)-one hydrochloride

Of these, preferable compounds are as follow;

Compound Nos:

55, 81, 96, 108, 116, 119, 133, 155, 156, 157(a), 157(b), 160, 165, 177, 179, 180, 181, 185(a), 185(b), 187, 192, 193, 201, 205, 212, 213, 214, 215, 219, 225(a), 225(b), 240, 243, 245, 246, 249, 250, 255, 256, 258, 259, 262, 263, 264, 266, 267, 269, 270, 276, 279, 280, 283, 284, 294, 295, 303, 305, 307, 309, 311, 313, 314, 315, 316, 318, 321, 323, 324, 325, 327, 332, 334, 335, 336, 339, 342, 343, 345, 347, 348, 349, 350, 351, 353, 356, 366, 374, 378, 379, 396, 397, 400, 409, 415, 416, 421, 425, 425, 447, 487, 493, 494, 495, 496, 497, 501, 502, 504, 505, 507, 508, 510, 511, 513, 517, 518, 519, 520, 523, 524, 527, 529, 530, 531, 532, 535, 537, 541, 542, 543, 548,

549, 554, 556, 558, 561, 562, 568, 570, 570, 571, 572, 573, 574, 575, 576, 577, 582, 584, 585, 587, 588, 592, 593, 594, 597, 598, 600, 601, 602, 604, 605, 608, 610, 613, 614, 615, 620, 623, 624, 626, 627, 628, 629, 631, 632, 634, 638, 639, 641, 644, 646, 647, 648, 649, 650, 651, 652, 655, 657, 658, 660, 661, 666, 667, 673, 681, 685, 693, 698, 699, 702, 703, 705, 706, 707, 709, 710, 711, 714, 715, 716, 720, 723, 724, 725, 729, 732, 733, 739, 740, 741, 742, 744, 745, 747, 748, 753, 754, 757, 758, 760, 761, 762, 763, 765, 766, 767, 768, 770, 771, 772, 773, 774, 775, 776, 777, 783, 785, 788, 789, 790, 792, 794, 797, 798, 799, 800, 801, 802, 804, 806, 807, 810, 812, 813, 814, 815, 817, 818, 824, 825, 829, 836, 843, 845, 846, 852, 864, 876, 878, 881, 886, 907, 909, 913, 915, 920, 921, 934, 936, 937, 938, 939, 940, 941, 942, 943 and 944.

(24) A pharmaceutical composition comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(25) An MELK inhibitor comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(26) An MELK-expression modulating agent comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(27) An antitumor agent comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(28) A therapeutic and/or preventive agent for a disease that involves overexpression of MELK, comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23).

(29) The therapeutic and/or preventive agent of the above-mentioned (28), wherein the disease is cancer.

(30) The therapeutic and/or preventive agent of the above-mentioned (29), wherein the cancer is selected from the group consisting of breast cancer, lung cancer, bladder cancer, lymphoma, and uterine cancer.

(31) A method for treating and/or preventing a disease that involves overexpression of MELK, wherein an effective amount of a compound or a pharmaceutically acceptable salt thereof of the above-mentioned (1) to (23) is administered to a subject in need thereof.

(32) A compound or a pharmaceutically acceptable salt thereof any one of the above-mentioned (1) to (23) for use in a treatment and/or prevention of a disease that involves overexpression of MELK.

(33) Use of a compound or a pharmaceutically acceptable salt thereof of any one of the above-mentioned (1) to (23) in the manufacture of a therapeutic and/or preventive agent for a disease that involves overexpression of MELK.

Accordingly, it is an object of the present invention to provide a compound for inhibiting MELK activity.

It is another object of the present invention to provide an inhibitor having high

inhibitory activity against MELK.

It is still another object of the present invention to provide a method for preparing the compound.

It is a further object of the present invention to provide a pharmaceutical composition including the compound, a pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

Description of Embodiments

Definition

Hereinafter, a compound represented by formula (I) will be referred to as compound (I). The same applies to the compounds represented by the other formula numbers. It must be noted that as used herein and in the appended claims, the singular forms "a", "an", and "the" include plural reference unless the context clearly dictates otherwise. Thus, for example, reference to a "group" is a reference to one or more groups.

In the definitions of each of the groups of formulas (I), (IA), and (IB),

The "C_1-C_6 alkyl", and the "C_1-C_6 alkyl portion" of "C_1-C_6 alkoxy", "C_1-C_6 alkylsulfinyl", and "C_1-C_6 alkylsulfonyl" mean a straight-chain or branched-chain "monovalent alkyl group (a group formed by removing one hydrogen atom from an alkane)" having one to six carbon atoms. Specifically, examples of the "C_1-C_6 alkyl" and the "C_1-C_6 alkyl portion" include methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, 1-methylbutyl, 1-ethylpropyl, 2-methylbutyl, isopentyl, tert-pentyl, 1,2-dimethylpropyl, neopentyl, hexyl, 1-methylpentyl, 1-ethylbutyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, isohexyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 1-isopropylpropyl, 1-ethyl-1-methylpropyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 2,2-dimethylbutyl, 2-ethylbutyl, and 3-ethylbutyl, but are not limited thereto. In particular, for R^5 or R^{5A}, methyl, ethyl, propyl, isopropyl, isobutyl, or tert-butyl is preferred, and methyl, ethyl, propyl, isopropyl, or isobutyl is most preferred.

Hereinbelow, in this specification, the C_1-C_6 alkyl portion in each group has the same definition as the aforementioned "C_1-C_6 alkyl portion" unless otherwise noted.

Specific examples of "C_1-C_6 alkoxy" include methoxy, ethoxy, propoxy, isopropoxy, isobutyloxy, tert-butyloxy, butoxy, pentyloxy, and hexyloxy, but are not limited thereto. In particular, for R^5, ethoxy is preferred. In particular, for R^4, methoxy is preferred.

"C_1-C_6 alkoxycarbonyl" refers to a monovalent group in which the "C_1-C_6 alkoxy" binds to a carbonyl.

Preferred examples of "C_1-C_6 alkylsulfonyl" include methylsulfonyl, ethylsulfonyl, isopropylsulfonyl, and such, but are not limited thereto. In particular, methylsulfonyl is most preferred.

Preferred examples of "C_1-C_6 alkylsulfinyl" include methylsulfinyl, ethylsulfinyl,

isopropylsulfinyl, and such, but are not limited thereto. In particular, methylsulfinyl is most preferred.

The term "halogen" means each of the fluorine, chlorine, bromine, and iodine atoms.

The term "halogenated C_1-C_6 alkyl" refers to "C_1-C_6 alkyl" substituted by the above-defined "halogen", wherein the C_1-C_6 alkyl has the same meaning as defined above. Preferred examples of "halogenated C_1-C_6 alkyl" trifluoromethyl and such, but are not limited thereto.

The term "C_3-C_{10} cycloalkyl" refers to a saturated monocyclic hydrocarbon group having three to eight carbon atoms, and a bridged cyclic hydrocarbon group having four to ten carbon atoms which is formed when two or more saturated monocyclic hydrocarbons share two or more carbon atoms. Specifically, examples of "C_3-C_{10} cycloalkyl" include saturated monocyclic hydrocarbon groups such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl, and bridged cyclic hydrocarbon groups such as adamantyl, but are not limited thereto. In particular, for R^5 or R^{5A}, cyclopropyl or cyclopentyl is preferred, and cyclopropyl is most preferred. In particular, for R^{10} or R^{10A}, cyclohexyl or adamantyl is preferred.

The term "C_3-C_8 cycloalkenyl" refers to an unsaturated monocyclic hydrocarbon group having three to eight carbon atoms. Specific examples include cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl, but are not limited thereto. In particular, cyclohexenyl is preferred.

The term "aryl" refers to an aromatic hydrocarbon group having six to 14 carbon atoms, and a bicyclic or tricyclic group in which an aromatic hydrocarbon group and a three- to eight-membered cyclic hydrocarbon are condensed. Specific examples include phenyl, 1-naphthyl, 2-naphthyl, 1-anthryl, 2-anthryl, 9-anthryl, and 2,3-dihydro-1H-indenyl but are not limited thereto. In particular, phenyl or 2,3-dihydro-1H-indenyl is preferred.

The term "heterocyclic group" refers to an aromatic heterocyclic group and/or an aliphatic heterocyclic group.

The term "aromatic heterocyclic group" refers to a five-membered or six-membered monocyclic aromatic heterocyclic group comprising at least one heteroatom, preferably one to three heteroatoms, selected from a nitrogen atom, an oxygen atom, or a sulfur atom; and a bicyclic or tricyclic condensed aromatic heterocyclic group comprising at least one atom, preferably one to three atoms, selected from a nitrogen atom, an oxygen atom, or a sulfur atom formed by fusion of four- to eight-membered rings. Specific examples include furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiazolyl, isothiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, benzofuranyl, benzothiophenyl, benzooxazolyl, benzothiazolyl, isoindolyl, indolyl, 1H-indazolyl, benzimidazolyl, benzotriazolyl, oxazolopyrimidinyl, thiazolopyrimidinyl, pyrrolopyridinyl,

pyrrolopyrimidinyl, imidazopyridinyl, purinyl, quinolinyl, isoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthyridinyl, pyridopyrimidinyl, [1,2,4] triazolo[1,5-a]pyridyl, and pyrrolo[2,3-b]pyridyl, but are not limited thereto. Particularly, thienyl, pyrrolyl, imidazolyl, isoxazolyl, pyridyl, pyrimidinyl, pyrazolyl, 1H-indazolyl, benzimidazolyl, [1,2,4]triazolo[1,5-a]pyridyl, or pyrrolo[2,3-b]pyridyl is preferred. In particular, for R^1, pyridyl or benzimidazolyl is most preferable. In particular, for R^3 or R^{3A}, thienyl, pyridyl, pyrimidinyl, 1H-indazolyl, or benzimidazolyl is most preferred. In particular, for R^5 or R^{5A}, thienyl is most preferred. In particular, for R^{10} or R^{10A}, pyridyl, pyrimidinyl, pyrazolyl, thienyl or imidazolyl is more preferred and pyridyl, pyrazolyl, or thienyl is most preferred.

The term "aliphatic heterocyclic group" refers to a three- to eight-membered monocyclic aliphatic heterocyclic group comprising at least one heteroatom, preferably one to three atoms, selected from a nitrogen atom, an oxygen atom, and a sulfur atom; a bicyclic or tricyclic condensed aliphatic heterocyclic group comprising at least one atom, preferably one to three atoms, selected from a nitrogen atom, an oxygen atom, and a sulfur atom formed by fusion of three- to eight-membered rings; and a spiro-cyclic or bridged-cyclic aliphatic heterocyclic group comprising at least one heteroatom, preferably one to three atoms, selected from a nitrogen atom, an oxygen atom, and a sulfur atom. A group of an aliphatic heterocyclic condensed with an aryl group or an aromatic heterocyclic is also included in the definition of "aliphatic heterocyclic group".

Specific examples include aziridinyl, azetidinyl, pyrrolidinyl, piperidino, piperidyl, azepanyl, 1,2,5,6-tetrahydropyridyl, 1,2,3,6-tetrahydropyridyl, imidazolidinyl, pyrazolidinyl, piperazinyl, homopiperazinyl, pyrazolinyl, oxiranyl, tetrahydrofuranyl, tetrahydro-2H-pyranyl, 5,6-dihydro-2H-pyranyl, oxazolidinyl, morpholino, morpholinyl, tetrahydrothiophenyl, tetrahydro-2H-thiopyranyl, thioxazolidinyl, thiomorpholinyl, 2H-oxazolyl, 2H-thioxazolyl, dihydroindolyl, dihydroisoindolyl, dihydrobenzofuranyl, benzoimidazolidinyl, 2,3-dihydrobenzimidazolyl, 2,3-dihydrobenzoxazolyl, dihydrobenzothioxazolyl, benzodioxolinyl, tetrahydroquinolyl, tetrahydroisoquinolyl, dihydro-2H-chromanyl, dihydro-1H-chromanyl, dihydro-2H-thiochromanyl, dihydro-1H-thiochromanyl, tetrahydroquinoxalinyl, tetrahydroquinazolinyl, dihydrobenzodioxanyl, oxetanyl, 1,2-dihydropyridyl, 1-azabicyclo[2.2.2]octan-3-yl, 2,5-azabicyclo[2.2.1]heptyl, 8-azabicyclo[3.2.1]octyl, piperidin-4-spiro-3'-pyrrolidin-1-yl, and isoindolyl, but are not limited thereto. In particular, azetidinyl, pyrrolidinyl, piperidino, piperidyl, piperazinyl, morpholino, morpholinyl, 1,2-dihydropyridyl, 1,2,5,6-tetrahydropyridyl, 1-azabicyclo[2.2.2]octan-3-yl, 2,5-azabicyclo[2.2.1]heptyl, 8-azabicyclo[3.2.1]octyl, 2,3-dihydrobenzimidazolyl, or piperidin-4-spiro-3'-pyrrolidin-1-yl is preferred. In particular, for R^3 or R^{3A}, morpholino, morpholinyl, 1,2-dihydropyridyl, 1-azabicyclo[2.2.2]octan-3-yl, 1,2,5,6-tetrahydropyridyl, or 2,3-dihydrobenzimidazolyl is most preferred. In particular, for R^{10} or R^{10A}, piperidyl,

pyrrolidinyl, or piperazinyl is more preferred, and piperidyl or piperazinyl is most preferred.

"Heterocyclic group formed with an adjacent nitrogen atom" refers to a group formed by removing a hydrogen atom on a nitrogen atom in heterocycles of a three- to eight-membered monocyclic heterocyclic group comprising at least one nitrogen atom, preferably one to two atoms (the monocyclic heterocyclic group may contain other nitrogen atoms, oxygen atoms, or sulfur atoms); a bicyclic or tricyclic condensed heterocyclic group comprising at least one nitrogen atom, preferably one to two atoms, formed by fusion of three- to eight-membered rings (the condensed heterocyclic group may contain other nitrogen atoms, oxygen atoms, or sulfur atoms); and a spiro-cyclic heterocyclic group comprising at least one nitrogen atom, preferably one to two atoms (the monocyclic heterocyclic group may contain other nitrogen atoms, oxygen atoms, or sulfur atoms). Specific examples include 1-aziridinyl, 1-azetidinyl, 1-pyrrolidinyl, piperidino, 1-azepanyl, 1-perhydroazepinyl, 1-perhydroazocinyl, 1-pyrrolyl, 1-imidazolidinyl, 1-imidazolyl, 1-pyrazolidinyl, 1-pyrazolinyl, 1-pyrazolyl, 1-piperadinyl, 1-homopiperadinyl, 1-oxazolidinyl, morpholino, thiomorpholino, 1-dihydroindolyl, 2-dihydroisoindolyl, 1-indolyl, 2-isoindolyl, 1-tetrahydroquinolyl, 2-tetrahydroisoquinolyl, and piperidin-4-spiro-3'-pyrrolidin-1-yl, but are not limited thereto. In particular, piperidino, 1-piperazinyl, and piperidin-4-spiro-3'-pyrrolidin-1-yl are preferred.

"Aromatic heterocyclic-(C_1-C_6 alkylenyl)" and "aliphatic heterocyclic-(C_1-C_6 alkylenyl)" refer to a monovalent group in which an aromatic heterocyclic or an aliphatic heterocyclic binds to a C_1-C_6 alkylene portion. The "C_1-C_6 alkylene portion" of "aromatic heterocyclic-(C_1-C_6 alkylenyl)" and "aliphatic heterocyclic-(C_1-C_6 alkylenyl)" means a straight-chain or branched-chain "divalent alkyl group (a group formed by removing two hydrogen atoms from an alkane)" having one to six carbon atoms. Specific examples include groups formed by removing a single hydrogen atom from each of the groups indicated as examples for the aforementioned "C_1-C_6 alkyl". The "aromatic heterocyclic group portion" of aromatic heterocyclic-(C_1-C_6 alkylenyl) has the same meaning as the aforementioned aromatic heterocyclic group, and specific examples include groups indicated as examples for the aforementioned aromatic heterocyclic group. The "aliphatic heterocyclic group portion" of aliphatic heterocyclic-(C_1-C_6 alkylenyl) has the same meaning as the aforementioned aliphatic heterocyclic group, and specific examples include groups indicated as examples for the aforementioned aliphatic heterocyclic group.

Hereinbelow, in this specification, the "-(C_1-C_6 alkylenyl)" in each group has the same definition as the aforementioned "-(C_1-C_6 alkylenyl)" unless otherwise noted.

Preferred examples of "aromatic heterocyclic-(C_1-C_6 alkylenyl)" include aromatic heterocyclic methyl, aromatic heterocyclic ethyl, and aromatic heterocyclic propyl, and more preferred examples include imidazolylmethyl, imidazolylethyl, and imidazolylpropyl, and most preferred examples include imidazolylethyl, but are not limited thereto.

Preferred examples of "aliphatic heterocyclic-(C_1-C_6 alkylenyl)" include aliphatic heterocyclic methyl, aliphatic heterocyclic ethyl, and aliphatic heterocyclic propyl, and more preferred examples include morpholinomethyl, morpholinoethyl, morpholinopropyl, piperadinylmethyl, piperadinylethyl, piperadinylpropyl, piperidylmethyl, piperidylethyl, piperidylpropyl, pyrrolidinylmethyl, pyrrolidinylethyl, and pyrrolidinylpropyl and most preferred examples include morpholinopropyl, pyrrolidinylmethyl, piperadinylmethyl, piperadinylethyl, and piperidylmethyl, but are not limited thereto.

"Aromatic heterocyclic-(C_1-C_6 alkylenyl)amino" and "aliphatic heterocyclic-(C_1-C_6 alkylenyl)amino" refer to a group in which a hydrogen atom of an amino group is replaced with the aforementioned "aromatic heterocyclic-(C_1-C_6 alkylenyl)" or "aliphatic heterocyclic-(C_1-C_6 alkylenyl)".

"Aliphatic heterocyclic-amino" refers to a group in which a hydrogen atom of an amino group is replaced with the aforementioned aliphatic heterocyclic group.

"C_1-C_6 aminoalkyl" refers to a group in which any hydrogen atom of the aforementioned alkyl group is replaced with an amino group.

"C_1-C_6 alkylamino" and "di(C_1-C_6 alkyl)amino" refer to a group in which one and two hydrogen atoms, respectively of an amino group is/are replaced with the aforementioned C_1-C_6 alkyl. Herein, a hydrogen atom in the C_1-C_6 alkyl portion of the "C_1-C_6 alkylamino" and "di(C_1-C_6 alkyl)amino" can be a deuterium.

"C_2-C_7 alkanoylamino" and "C_1-C_6 alkylsulfonylamino" refer to a group in which one hydrogen atom of an amino group is replaced with "C_2-C_7 alkanoyl" and "C_1-C_6 alkylsulfonyl", respectively.

"C_1-C_6 alkylamino-(C_1-C_6 alkylenyl)", "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)", and "C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl)" refer to a group in which "C_1-C_6 alkylamino", "di(C_1-C_6 alkyl)amino", and "C_2-C_7 alkanoylamino", respectively bind to "(C_1-C_6 alkylenyl)".

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy)" refers to a group in which "di(C_1-C_6 alkyl)amino" binds to "(C_1-C_6 alkylenyloxy)".

The "C_1-C_6 alkylene portion" of "C_1-C_6 alkylamino-(C_1-C_6 alkylenyl)", "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)", "C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl)", and "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy)" means a straight-chain or branched-chain "divalent alkyl group (a group formed by removing two hydrogen atoms from an alkane)" having one to six carbon atoms. Specific examples include groups produced by removing a single hydrogen atom from each of the groups indicated as examples for the aforementioned "C_1-C_6 alkyl".

The "C_1-C_6 alkyl portion" of "C_1-C_6 aminoalkyl", "C_1-C_6 alkylamino", "di(C_1-C_6 alkyl)amino", "C_1-C_6 alkylamino-(C_1-C_6 alkylenyl)", "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)", "C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl)", and "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy)" has the same meaning as the aforementioned C_1-C_6 alkyl portion, and specific examples include

groups indicated as examples for the aforementioned C_1-C_6 alkyl portion. The two alkyl portions of di(C_1-C_6 alkyl)amino may be the same or different.

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonyl" refers to a monovalent group in which the aforementioned "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)" binds to a carbonyl.

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)aminocarbonyl" refers to a monovalent group in which a group in which one hydrogen atom of an amino is replaced with the aforementioned "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)" binds to a carbonyl.

"C_1-C_6 aminoalkylcarbonyl" refers to a monovalent group in which the aforementioned "C_1-C_6 aminoalkyl" binds to a carbonyl.

"C_1-C_6 aminoalkylcarbonylamino" refers to a monovalent group in which one hydrogen atom of an amino is replaced with the aforementioned "C_1-C_6 aminoalkylcarbonyl".

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonyl" refers to a monovalent group in which the aforementioned "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)" binds to a carbonyl.

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkyl)carbonylamino" refers to a monovalent group in which one hydrogen atom of an amino group is replaced with the aforementioned "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkyl)carbonyl".

"Aliphatic heterocyclic group-carbonyl" refers to a monovalent group in which the aforementioned "aliphatic heterocyclic group" binds to a carbonyl.

"Aliphatic heterocyclic group-carbonylamino" refers to a monovalent group in which one hydrogen atom of an amino group is replaced with the aforementioned "aliphatic heterocyclic group-carbonyl".

"Di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino" refers to a monovalent group in which one hydrogen atom of an amino group is replaced with the aforementioned "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)".

"C_1-C_6 hydroxyalkyl" refers to a group in which any hydrogen atom of an alkyl group is replaced with a hydroxy group.

"C_1-C_6 aminoalkyloxy" refers to a monovalent group in which a hydrogen atom of a hydroxy group is replaced with the aforementioned "C_1-C_6 aminoalkyl".

Preferred examples of "C_1-C_6 aminoalkyl" include aminomethyl, aminoethyl, aminopropyl, 1-amino-1-methylethyl, and 3-amino-2-methylpropyl.

Preferred examples of "C_1-C_6 alkylamino-(C_1-C_6 alkylenyl)" include methylaminomethyl, methylaminoethyl, ethylaminoethyl, and ethylaminopropyl.

Preferred examples of "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)" include dimethylaminomethyl, (methyl)(ethyl)aminomethyl, diethylaminomethyl, de(t-butyl)aminomethyl, dimethylaminoethyl, diethylaminoethyl, dimethylaminopropyl, and diethylaminopropyl.

Preferred examples of "C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl)" include

acetylaminomethyl, acetylaminoethyl, acetylaminopropyl, and 3-(acetylamino)-2-methylpropyl.

Preferred examples of "di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy)" include di(C_1-C_6 alkyl)aminomethyloxy, di(C_1-C_6 alkyl)aminoethyloxy, and di(C_1-C_6 alkyl)aminopropyloxy, and more preferred examples include dimethylaminoethyloxy and dimethylaminopropyloxy.

Substituents of the optionally substituted C_1-C_6 alkyl, the optionally substituted C_1-C_6 alkoxy, the optionally substituted C_1-C_6 alkylsulfinyl, the optionally substituted C_1-C_6 alkylsulfonyl, the optionally substituted C_2-C_7 alkanoylamino-(C_1-C_6 alkylenyl), the optionally substituted C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), and the optionally substituted di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) may be the same or different, and may be one to an allowable number of substituents for example, preferably one to three substituents. Specific examples include halogen, hydroxy, cyano, C_1-C_6 alkoxy, trifluoromethoxy, amino, C_1-C_6 alkylamino, di(C_1-C_6 alkyl)amino, C_1-C_6 alkylsulfonylamino, carbamoyl, sulfamoyl, benzylureide, (C_1-C_6 alkyl)ureide, C_2-C_7 alkanoylamino, aliphatic heterocyclic group which may be substituted with C_1-C_6 alkyl (the C_1-C_6 alkyl has the same meaning as the aforementioned C_1-C_6 alkyl), dimethylaminopropylaminocarbonyl, aminocyclohexylaminocarbonyl, oxo, and aliphatic heterocyclic-carbonyl which may be substituted with C_1-C_6 alkyl (the C_1-C_6 alkyl has the same meaning as the aforementioned C_1-C_6 alkyl) but are not limited thereto.

Substituents of the optionally substituted C_3-C_{10} cycloalkyl, the optionally substituted C_3-C_8 cycloalkenyl, the optionally substituted aryl, the optionally substituted aromatic heterocyclic group, the optionally substituted aliphatic heterocyclic group, the optionally substituted aromatic heterocyclic-(C_1-C_6 alkylenyl), the optionally substituted aliphatic heterocyclic-(C_1-C_6 alkylenyl), the optionally substituted heterocyclic group formed with an adjacent nitrogen atom, and the optionally substituted di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyloxy) may be the same or different, and may be one to an allowable number of substituents for example, preferably one to three substituents. Specific examples include

halogen,
hydroxy,
cyano,
C_1-C_6 alkyl,
C_1-C_6 alkoxy,
carboxyl,
C_1-C_6 alkoxycarbonyl,
trifluoromethoxy,
difluoromethoxy,
trifluoromethyl,
difluoromethyl,
amino,

C_1-C_6 alkylamino (wherein, C_1-C_6 alkyl may have hydroxy as a substituent),
di(C_1-C_6 alkyl)amino,
diallylamino,
C_1-C_6 alkylsulfonylamino,
C_2-C_7 alkanoylamino,
carbamoyl,
sulfamoyl,
benzylureide,
(C_1-C_6 alkyl)ureide,
C_1-C_6 hydroxyalkyl,
C_1-C_6 aminoalkyl,
C_1-C_6 aminoalkylenyloxy,
C_1-C_6 alkylamino-(C_1-C_6 alkylenyl) (wherein, C_1-C_6 alkyl may have halogen as a substituent),
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl or C_1-C_6 alkylenyl may have hydroxy or cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom),
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy,
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino,
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonyl,
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonylamino,
di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)aminocarbonyl,
aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have C_1-C_6 alkyl, amino, hydroxy, halogen, di(C_1-C_6 alkyl)amino, C_1-C_6 alkylamino, or C_1-C_6 alkoxy as a substituent),
aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic group may have C_1-C_6 alkyl, amino, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy, C_1-C_6 alkylamino, di(C_1-C_6 alkyl)amino, or halogen as a substituent),
an aliphatic heterocyclic-carbonyl (wherein, the aliphatic heterocyclic may have C_1-C_6 alkyl as a substituent),
an aliphatic heterocyclic-carbonylamino (wherein, the aliphatic heterocyclic may have C_1-C_6 alkyl as a substituent),
an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have C_1-C_6 alkyl or amino as a substituent),
aliphatic heterocyclic-(C_1-C_6 alkylenyl)amino,
aliphatic heterocyclic-(C_1-C_6 alkylenyl)oxy,
aromatic heterocyclic-(C_1-C_6 alkylenyl),

aliphatic heterocyclic-sulfonyl which may be substituted with C_1-C_6 alkyl,
C_1-C_6 aminoalkylcarbonylamino,
hydroxyphenyl,
dimethylaminocarbonyl,
aminocyclohexylaminocarbonyl,
methylpiperazinylphosphonyl,
C_3-C_8 cycloalkyl (wherein, the cycloalkyl may have amino, C_1-C_6 alkylamino, or C_1-C_6 aminoalkyl as a substituent), and
oxo, but are not limited thereto.

In particular, substituents selected from Substituent Group A or B as more preferred substituents in formula (I) are the following.

Substituent Group A: a halogen;

Substituent Group B:
a halogen,
a hydroxy,
a cyano,
a C_1-C_6 alkyl,
a C_1-C_6 alkoxy,
a trifluoromethoxy,
an amino,
a C_1-C_6 alkylamino,
a di(C_1-C_6 alkyl)amino,
a C_1-C_6 alkylsulfonylamino,
a C_1-C_6 aminoalkyl,
an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino, a C_1-C_6 alkylamino, or a C_1-C_6 alkoxy as a substituent),
an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl, an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent),
an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent),
a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl),
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl or C_1-C_6 alkylenyl may have hydroxy or cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom),
a carbamoyl,
a sulfamoyl,

a (C_1-C_6 alkyl)ureide,
a benzylureide,
a dimethylaminopropylaminocarbonyl,
an aminocyclohexylaminocarbonyl,
a C_1-C_6 aminoalkylcarbonylamino,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)carbonylamino,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy,
a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino,
an aliphatic heterocyclic-carbonylamino,
a C_2-C_7 alkanoylamino,
a C_1-C_6 aminoalkylenyloxy,
a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent),
and an oxo.

Furthermore, substituents selected from Substituent Groups C to I as more preferred substituents in formulas (IA) and (IB) are the following.

Substituent Group C: a halogen, a hydroxy, a C_1-C_6 alkoxy, and a di(C_1-C_6 alkyl) amino;

Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6 alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic-carbonylamino;

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent);

Substituent Group F: a carbamoyl, an amino, a C_1-C_6 aminoalkyl, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), an aliphatic heterocyclic-(C_1-C_6 alkylenyl), and an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl;

Substituent Group G: a halogen, a hydroxy, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, a trifluoromethoxy, a C_1-C_6 aminoalkyl, a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6

alkyl)amino-(C_1-C_6 alkylenyl), an amino, a C_1-C_6 alkylsulfonylamino, a carbamoyl, a sulfamoyl, a (C_1-C_6 alkyl)ureide, a benzylureide, and an aliphatic heterocyclic group;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group I: an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino group, or a C_1-C_6 alkylamino as a substituent); an aliphatic heterocyclic-(C_1-C_6 alkylenyl); an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent); a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl); a C_1-C_6 aminoalkylenyloxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino; a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent).

The "C_1-C_6 alkyl portion" of a (C_1-C_6 alkyl)ureide, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_2-C_7 alkanoylamino, and a C_1-C_6 alkylsulfonylamino of each substituent exemplified herein has the same meaning as the aforementioned C_1-C_6 alkyl portion, and specific examples include the groups and such indicated as examples for the aforementioned C_1-C_6 alkyl portion. The two alkyl portions of di(C_1-C_6 alkyl)amino may be the same or different.

Preferred examples of "(C_1-C_6 alkyl)ureide" include methylureide and ethylureide, but are not limited thereto.

Preferred examples of "C_1-C_6 hydroxyalkyl" include hydroxymethyl and hydroxyethyl, but are not limited thereto.

Preferred examples of "C_1-C_6 alkylsulfonylamino" include methylsulfonylamino, ethylsulfonylamino, and isopropylsulfonylamino, but are not limited thereto.

Preferred examples of "C_1-C_6 alkylamino" include methylamino and ethylamino, but are not limited thereto.

Preferred examples of "di(C_1-C_6 alkyl)amino" include dimethylamino and diethylamino, but are not limited thereto.

Specific examples of "C_2-C_7 alkanoylamino" include acetylamino, propionylamino, butyrylamino, isobutyrylamino, valerylamino, isovalerylamino, and hexanoylamino, but are not limited thereto. In particular, acetylamino is preferred.

In addition, more preferred examples among each of the Substituent Groups are shown below.

As Substituent C, a dimethylamino is most preferred.

As Substituent D, a methyl, hydroxy, an aminomethyl, a dimethylaminomethyl, $(CD_3)_2NCH_2$- (wherein D means deuterium atom), an ethylmethylaminomethyl, a diethylaminomethyl, a di-tert-butylaminomethyl, a dimethylaminoethyl, an amino, a methylamino, a dimethylamino, a diethylamino, a methylaminomethyl, a

cyanomethyl(methyl)aminomethyl, a 2-hydroxyethyl(methyl)aminomethyl, a pyrrolidinyl, a methoxypyrrolidinyl, a pyrrolidinylmethyl, a pyrrolidinylethyl, a piperazinylmethyl, a fluoropyrrolidinylmethyl, a hydroxypyrrolidinylmethyl, a hydroxymethylpyrrolidinylmethyl, a methoxypyrrolidinylmethyl, an aminopiperidinylmethyl, a dimethylaminomethylcarbonylamino, a 1-aminoethylcarbonylamino, a 1-aminoisobutylcarbonylamino, or a pyrrolidinylcarbonylamino is most preferred.

As Substituent E, a halogen, an aminomethyl, a 1-amino-1-methylethyl, a 2-(dimethylamino)-1-hydroxyethyl, a dimethylaminomethyl, a dimethylaminoethyl, an acetylamino, a dimethylamino, a methylpiperazinylmethyl, a pyrrolidinylmethyl, a pyrrolidinylethyl, or a methylpiperazinylethyl is more preferred, and a dimethylaminomethyl is most preferred.

As Substituent F, a carbamoyl, an amino, an aminomethyl, a methylaminomethyl, a dimethylaminomethyl, a 1-(dimethylamino)ethyl, a pyrrolidinylmethyl, a pyrrolidinylethyl, a morpholino, a morpholinomethyl, or a 4-methylpiperazinyl is preferred, and a dimethylaminomethyl, a 1-(dimethylamino)ethyl, a pyrrolidinylmethyl, a morpholinomethyl, or a 4-methylpiperazinyl is most preferred.

As Substituent G, a halogen, a hydroxy, a cyano, a methyl, a methoxy, an ethoxy, a trifluoromethoxy, an amino, a methylsulfonylamino, a carbamoyl, a sulfamoyl, a dimethylaminomethyl, a methylureide, a benzylureide, or a piperazinyl is more preferred, and a halogen, a hydroxy, a methoxy, an ethoxy, or a trifluoromethoxy is most preferred.

As Substituent H, a halogen, a cyano, a methyl, a methoxy, an amino, a carbamoyl, or a dimethylaminopropylaminocarbonyl, or an aminocyclohexylaminocarbonyl is preferred, and a cyano is most preferred.

As Substituent I, a dimethylaminomethyl, a piperazinyl, a methylpiperazinyl, a piperidyl, methylpiperidyl, an aminopiperidyl, a methylaminopiperidyl, a methylpyrrolidinyl, a pyrrolidinylmethyl, an aminopyrrolidinyl, a methylaminopyrrolidinyl, a dimethylaminopyrrolidinyl, a piperidylamino, a pyrrolidinylamino, an aminocyclohexyl, a methylaminocyclohexyl, a 2-aminoethoxy, a 2-(dimethylamino)ethoxy, a 2-(dimethylamino)ethylamino, a 2-pyrrolidinylethyl, or a dimethylaminoethyloxy is most preferred.

Pharmaceutically acceptable salts of compound (I) mean, for example, pharmaceutically acceptable acid-added salts, amino acid-added salts, or such. Specific examples of the pharmaceutically acceptable acid-added salts of compound (I) include inorganic acid salts such as hydrochloride, sulfate, and phosphate, organic acid salts such as acetate, maleate, fumarate, citrate, and such, and examples of pharmaceutically acceptable amino acid-added salts include addition salts such as of lysine, glycine, phenylalanine, asparagine acid, or glutamic acid.

Examples of diseases involving overexpression of MELK, which may be treated and/or

prevented by pharmaceutical compositions comprising as an active ingredient a compound or a pharmaceutically acceptable salt thereof of the present invention, include cancer, breast cancer, bladder cancer, cervical cancer, cholangiocellular carcinoma, chronic myeloid leukemia (CML), colorectal cancer, endometriosis, esophagus cancer, gastric cancer, liver cancer, non-small cell lung cancer (NSCLC), lymphoma, osteosarcoma, ovarian cancer, pancreatic cancer, prostate cancer, renal carcinoma and small cell lung cancer (SCC), but are not limited thereto. Examples of the cancer which may be treated and/or prevented include breast cancer, bladder cancer, cervical cancer, cholangiocellular carcinoma, CML, colorectal cancer, endometriosis, esophagus cancer, gastric cancer, liver cancer, NSCLC, lymphoma, osteosarcoma, ovarian cancer, pancreatic cancer, prostate cancer, renal carcinoma and SCC, but are not limited thereto.

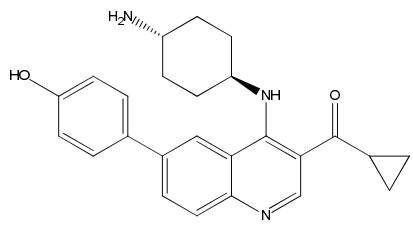
Compound (I) includes compounds which may have stereoisomers such as regioisomers, geometrical isomers, optical isomers, and tautomers, and all possible isomers including them and mixtures thereof are included in the present invention.

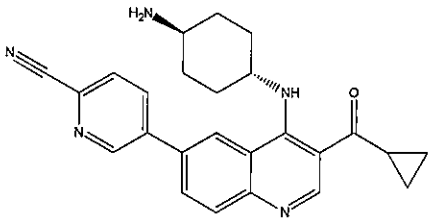
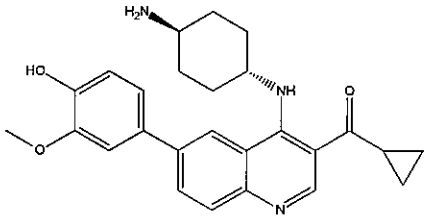
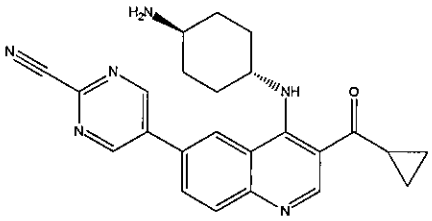
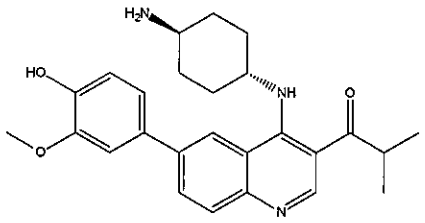
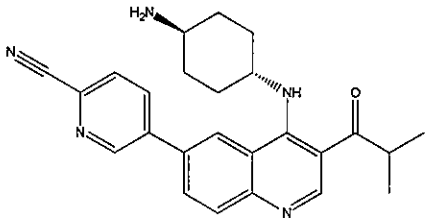
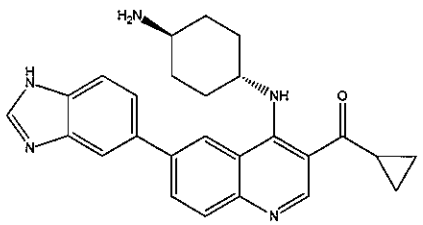
When a salt of compound (I) is to be obtained, if compound (I) is obtained in the form of a salt, it may be purified as it is, and if it is obtained in a free form, compound (I) can be isolated and purified by dissolving or suspending it in an appropriate solvent, and adding an acid or amino acid to form a salt.

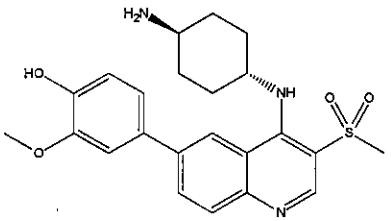
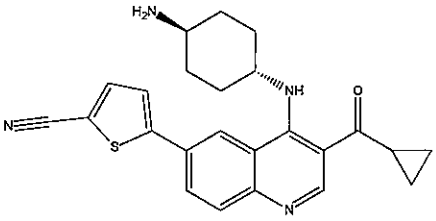
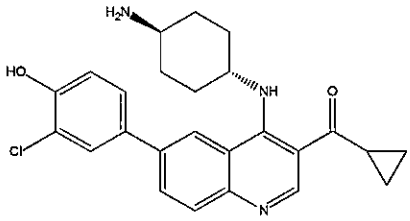
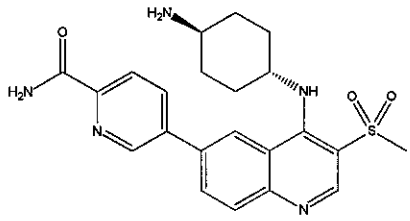
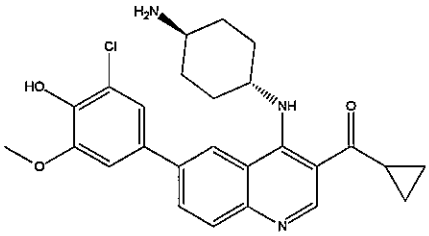
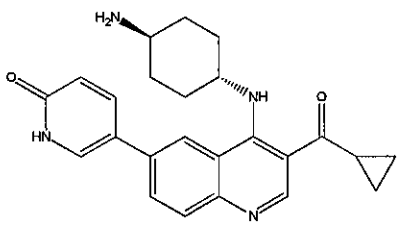
Furthermore, compound (I) and pharmaceutically acceptable salts thereof may exist in a form of adducts with water or various other solvents, and these adducts are also included in the present invention.

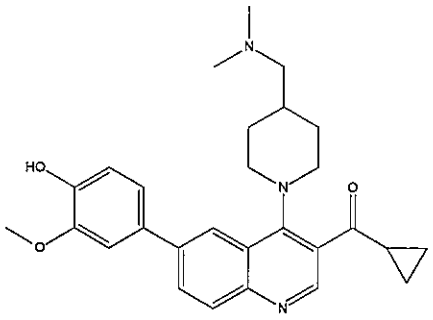
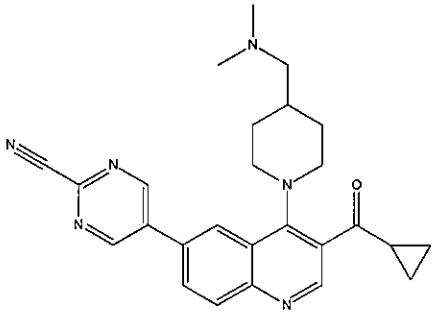
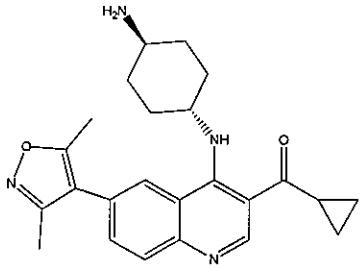
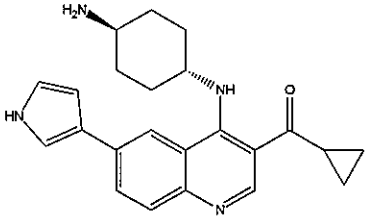
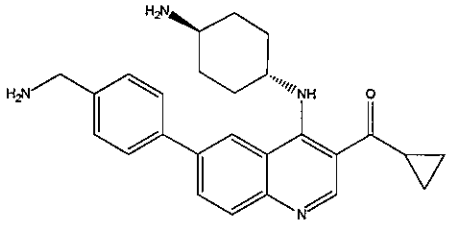
Specific examples of Compound (I) of the present invention are shown in Table 1. However, compounds of the present invention are not limited thereto. (Example No. corresponds to above mentioned compound number.)

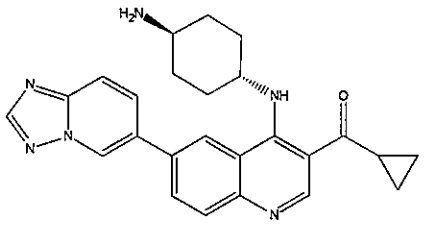
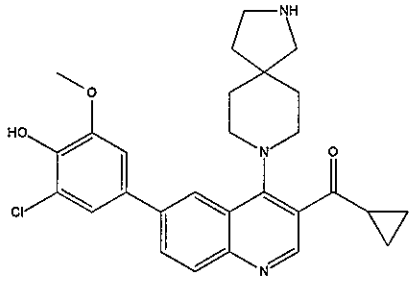
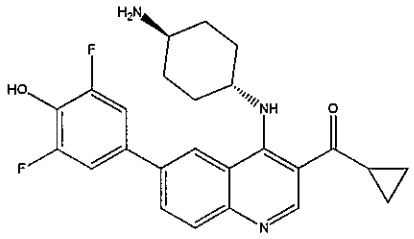
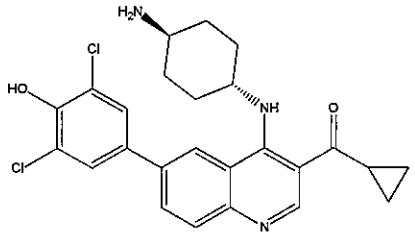
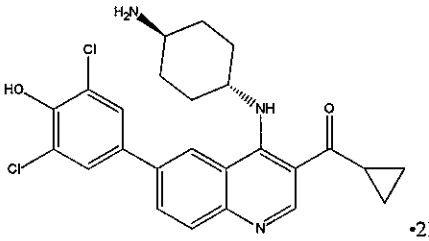
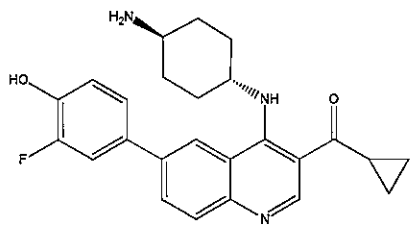
Table 1

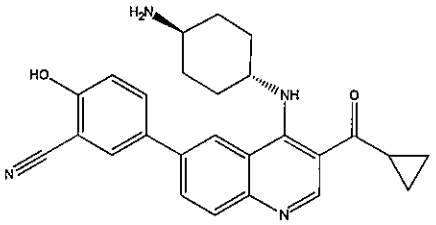
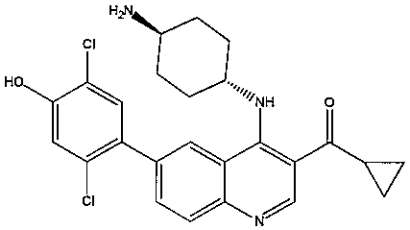
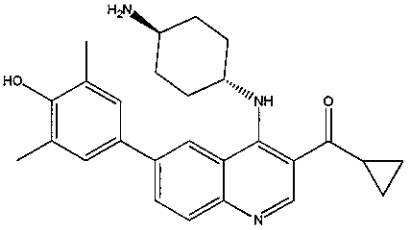
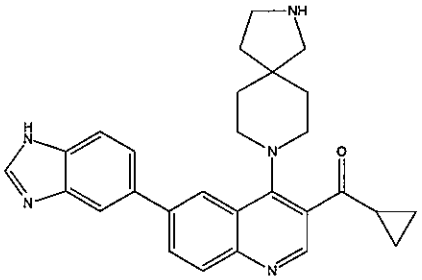
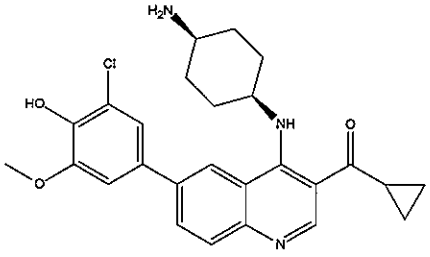
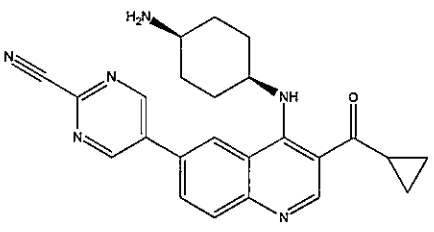
No.	Structure	Compound Name	ESI MS (*m/z*)
55		(4-((trans)-4-aminocyclohexylamino)-6-(4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	402

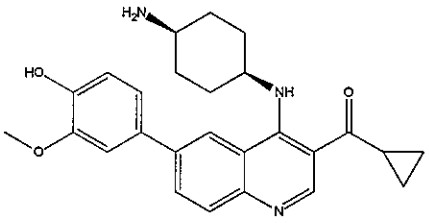
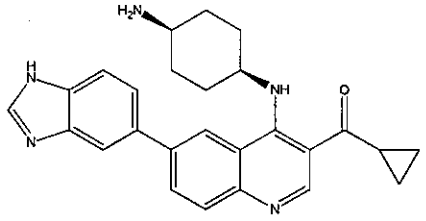
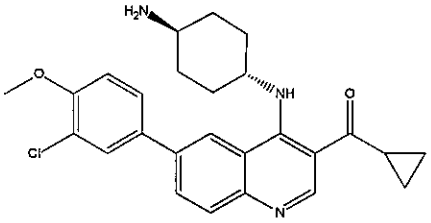
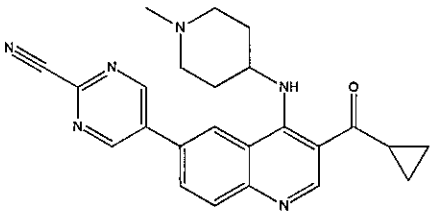
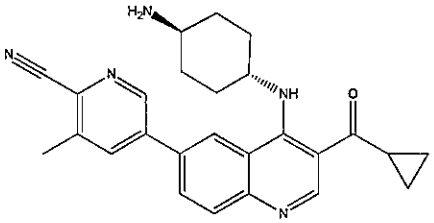
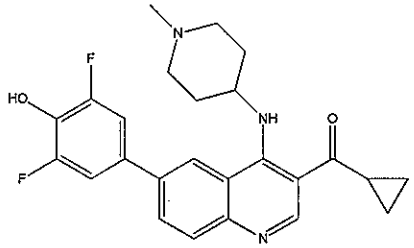
76		5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl) quinolin-6-yl)picolinonitrile	411
81		(4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	432
96		5-(4-((trans)-4-aminocyclohexylamino)-3- (cyclopropanecarbonyl)quinolin -6-yl)pyrimidine-2-carbonitrile	412
107		1-(4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)-2-methylpropan -1-one	434
108		5-(4-((trans)-4-aminocyclohexylamino) -3-isobutyrylquinolin-6-yl) picolinonitrile	414
116		(4-((trans)-4-aminocyclohexylamino)-6- (1H-benzo[d]imidazol-5-yl) quinolin-3-yl)(cyclopropyl) methanone	426

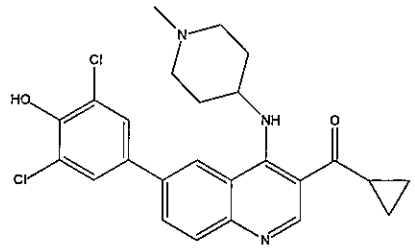
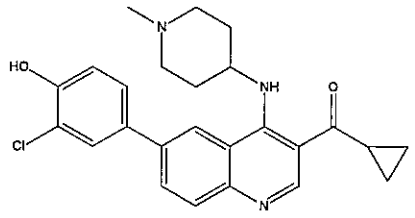
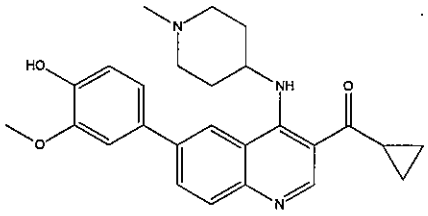
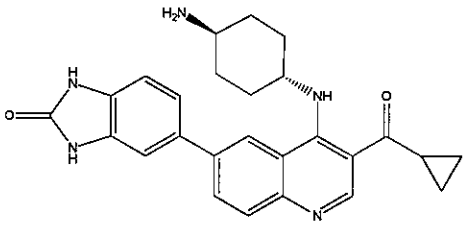
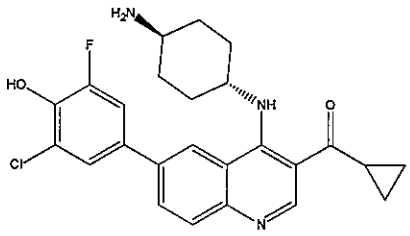
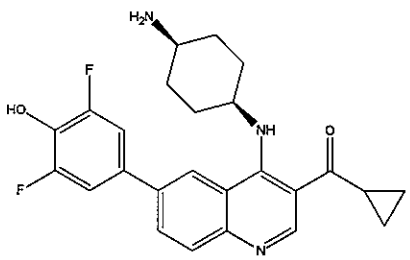
118		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-2-methoxyphenol	442
119		5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)thiophene-2-carbonitrile	417
124		(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	436
125		5-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) picolinamide	440
126		(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) (cyclopropyl)methanone	466
132		5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyridin-2(1H)-one	402

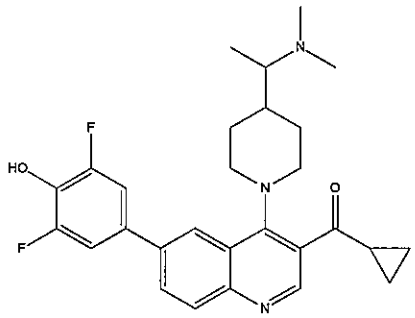
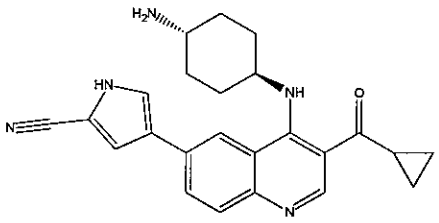
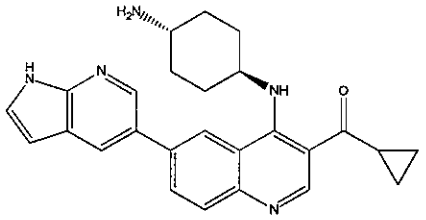
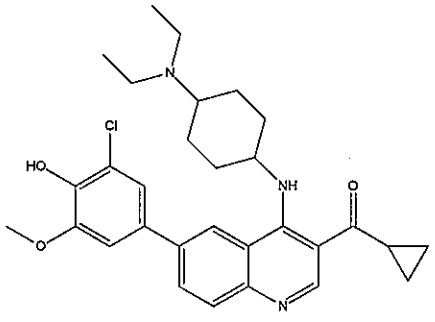
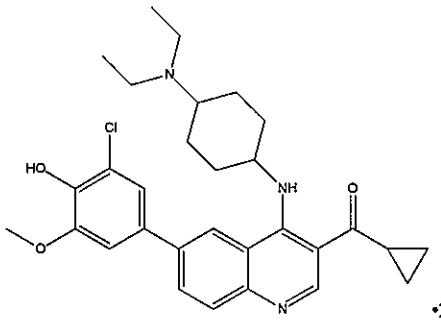
133		cyclopropyl(4-(4-((dimethylamino) methyl)piperidin-1-yl)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	460
136		5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-6-yl) pyrimidine-2-carbonitrile	441
143		(4-((trans)-4-aminocyclohexylamino)-6- (3,5-dimethylisoxazol-4-yl) quinolin-3-yl)(cyclopropyl) methanone	405
144		(4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrrol-3-yl)quinolin-3-yl) (cyclopropyl)methanone	374
147		(4-((trans)-4-aminocyclohexylamino)-6- (4-(aminomethyl)phenyl) quinolin-3-yl)(cyclopropyl) methanone	415

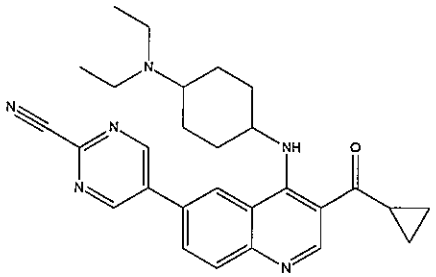
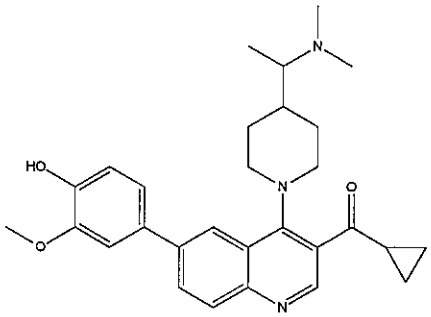
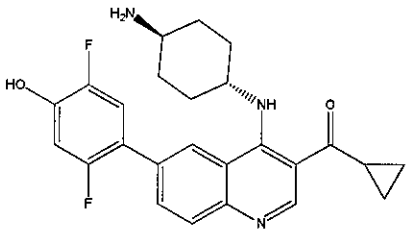
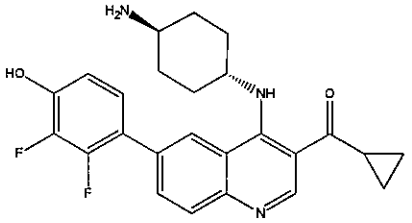
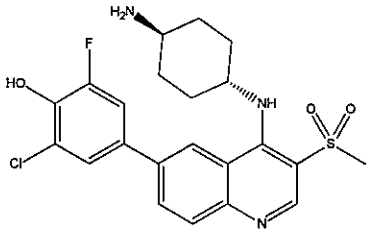
148		(6-([1,2,4]triazolo[1,5-a]pyridin -6-yl)-4-((trans)-4-aminocyclohexyl amino)quinolin -3-yl)(cyclopropyl)methanone	427
155		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2,8-diazaspiro[4.5]decan-8-yl) quinolin-3-yl)(cyclopropyl) methanone	492
156		(4-((trans)-4-aminocyclohexylamino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	437
157(a)	 ·HCl	(4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone hydrochloride	470
157(b)	 ·2HCl	(4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone dihydrochloride	470
158		(4-((trans)-4-aminocyclohexylamino)-6- (3-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	419

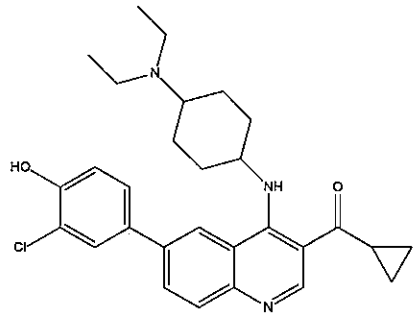
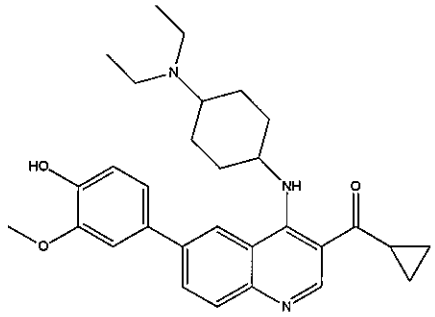
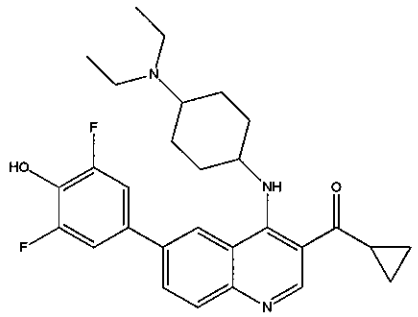
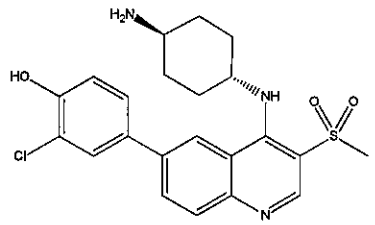
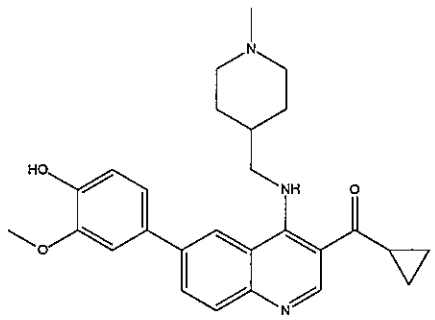
159		5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)-2-hydroxybenzonitrile	427
160		(4-((trans)-4-aminocyclohexylamino)-6- (2,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	470
161		(4-((trans)-4-aminocyclohexylamino)-6- (4-hydroxy-3,5-dimethylphenyl) quinolin-3-yl)(cyclopropyl) methanone	430
162		(6-(1H-benzo[d]imidazol-5-yl)-4-(2,8-diazaspiro[4.5]decan-8-yl) quinolin-3-yl)(cyclopropyl) methanone	452
163		(4-((cis)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl) methanone	466
164		5-(4-((cis)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl) pyrimidine-2-carbonitrile	412

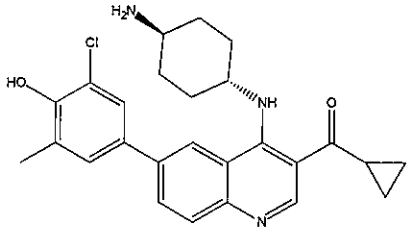
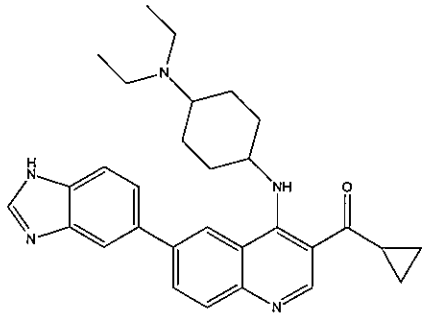
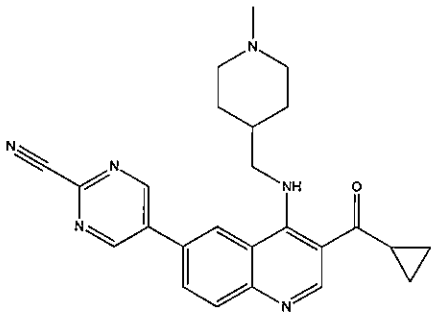
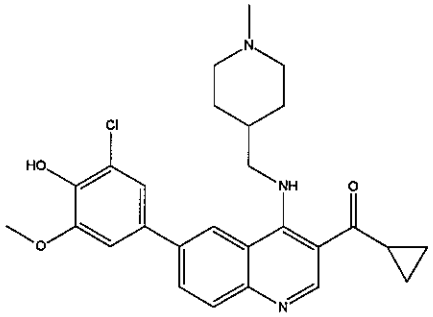
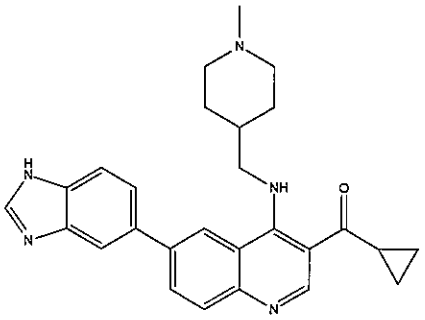
165		(4-((cis)-4-aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin -3-yl)(cyclopropyl)methanone	432
166		(4-((cis)-4-aminocyclohexylamino)-6- (1H-benzo[d]imidazol-5-yl) quinolin-3-yl)(cyclopropyl) methanone	426
169		(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	450
170		5-(3-(cyclopropanecarbonyl)-4-(1-methylpiperidin-4-ylamino) quinolin-6-yl)pyrimidine-2-carbonitrile	412
172		5-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin -6-yl)-3-methylpicolinonitrile	426
174		cyclopropyl(6-(3,5-difluoro -4-hydroxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone	437

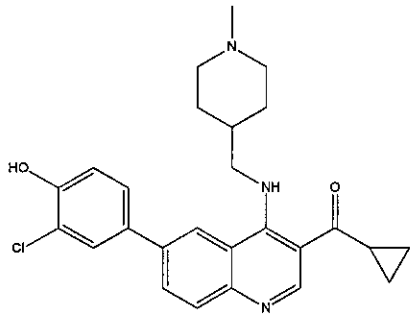
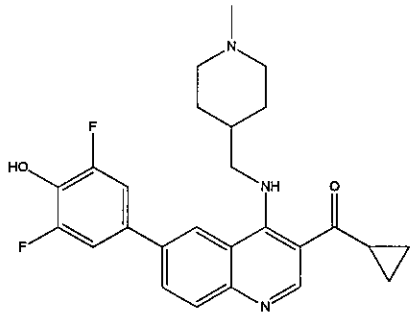
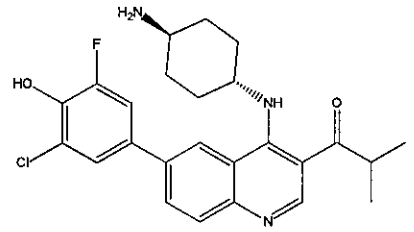
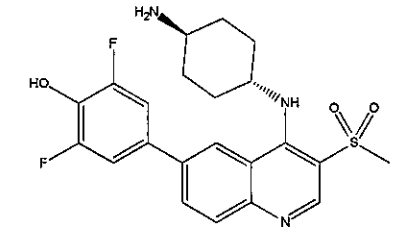
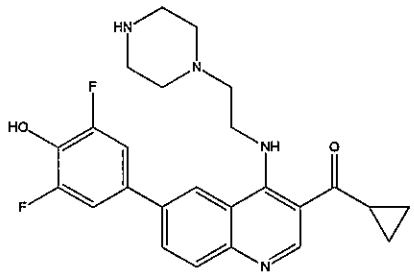
175		cyclopropyl(6-(3,5-dichloro -4-hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone	470
176		(6-(3-chloro-4-hydroxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone	436
177		cyclopropyl(6-(4-hydroxy -3-methoxyphenyl)-4- (1-methylpiperidin-4-ylamino) quinolin-3-yl)methanone	432
178		5-(4-((trans)-4-aminocyclohexylami no)-3- (cyclopropanecarbonyl)quinolin -6-yl)-1H-benzo[d]imidazol -2(3H)-one	442
179		(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-5-fluoro-4- hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone	454
180		(4-((cis)-4-aminocyclohexylamino)- 6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	437

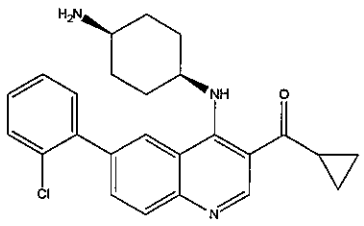
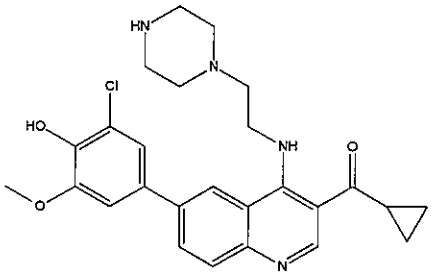
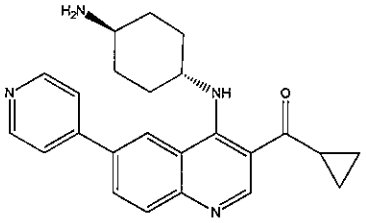
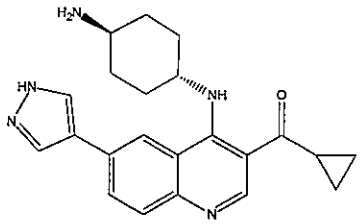
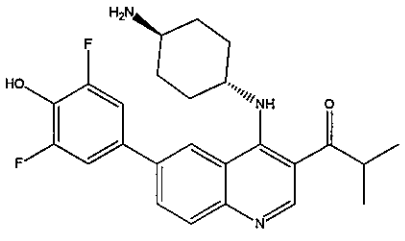
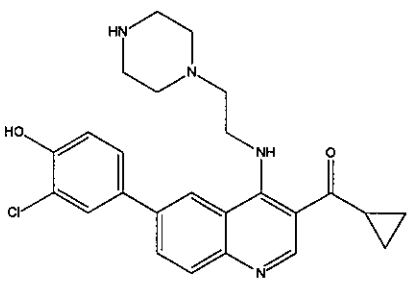
181		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)quinolin-3-yl) methanone	480
182		4-(4-((trans)-4-aminocyclohexylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)-1H-pyrrole-2-carbonitrile	399
183		(4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrrolo[2,3-b]pyridin-5-yl) quinolin-3-yl)(cyclopropyl) methanone	426
185(a)	 ·HCl	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone hydrochloride	522
185(b)	 ·2HCl	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone dihydrochloride	522

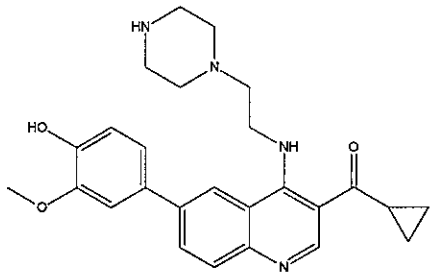
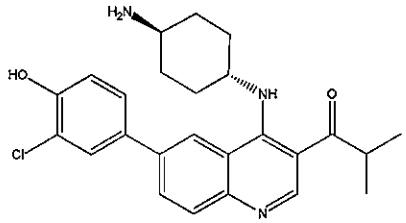
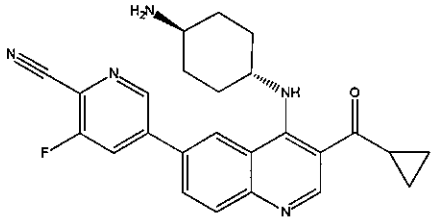
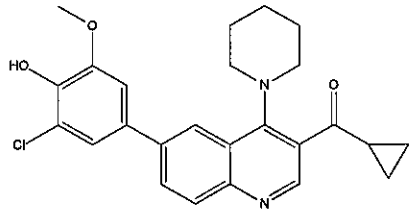
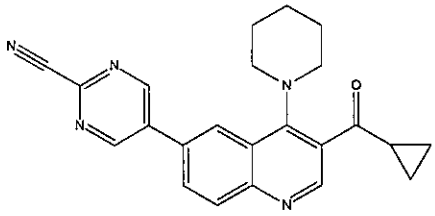
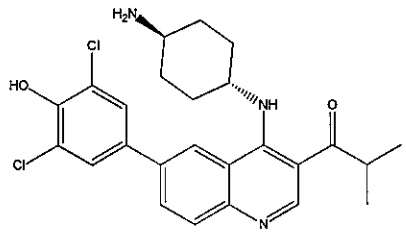
186		5-(3-(cyclopropanecarbonyl)-4-(4-(diethylamino) cyclohexylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	469
187		cyclopropyl(4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-6-(4-hydroxy -3-methoxyphenyl)quinolin-3-yl) methanone	474
189		(4-((trans)-4-aminocyclohexylamino)-6- (2,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	437
190		(4-((trans)-4-aminocyclohexylamino)-6- (2,3-difluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	437
191		4-(4-((trans)-4-aminocyclohexylami no)-3-(methylsulfonyl)quinolin-6-yl) -2-chloro-6-fluorophenol	464

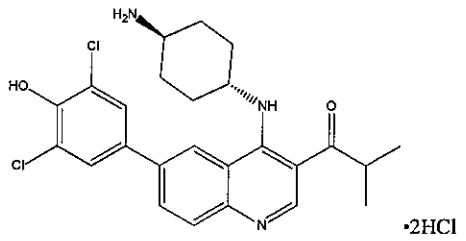
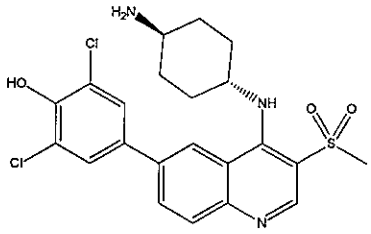
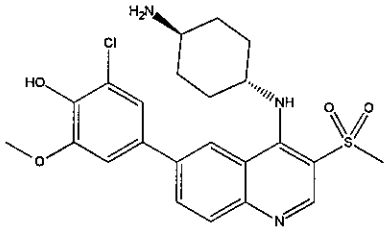
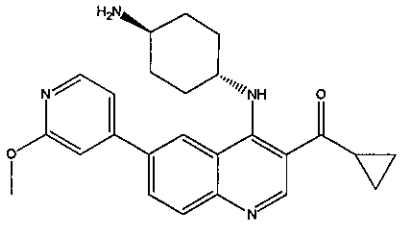
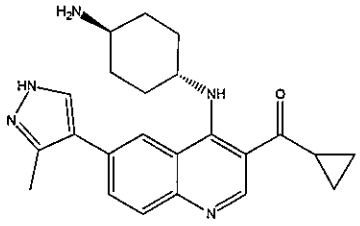
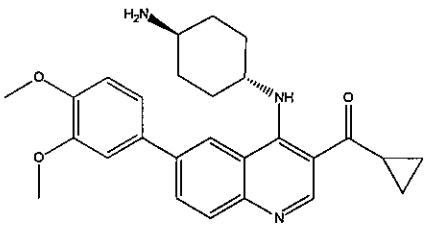
192		(6-(3-chloro-4-hydroxyphenyl) -4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	492
193		cyclopropyl(4-(4-(diethylamino) cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl) methanone	488
194		cyclopropyl(4-(4-(diethylamino) cyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl) methanone	494
195		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) -2-chlorophenol	446
196		cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) methanone	446

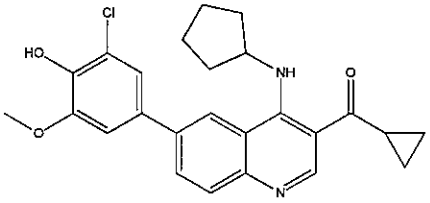
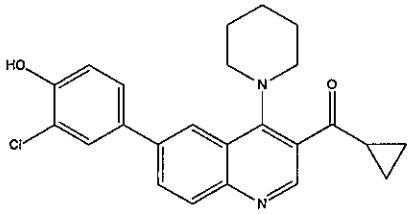
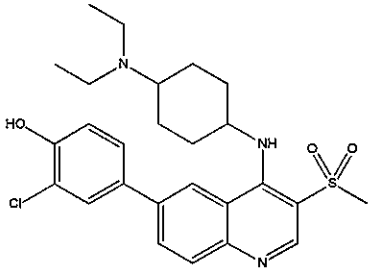
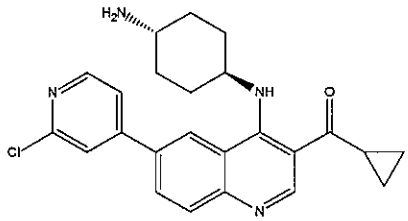
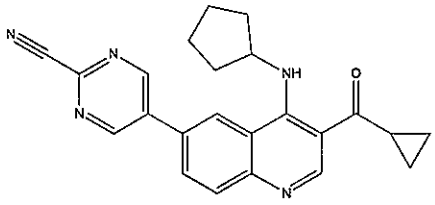
197		(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methylphenyl)quinolin-3-yl) (cyclopropyl)methanone	450
199		(6-(1H-benzo[d]imidazol-5-yl)-4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	482
200		5-(3-(cyclopropanecarbonyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	427
201		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	480
202		(6-(1H-benzo[d]imidazol-5-yl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	440

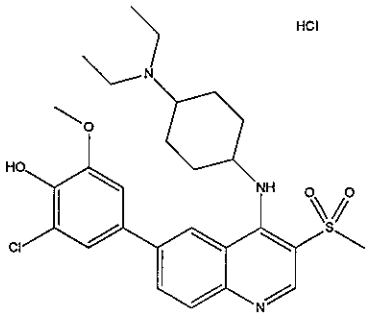
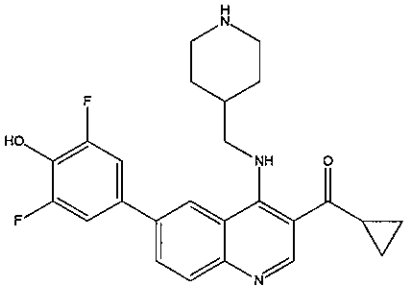
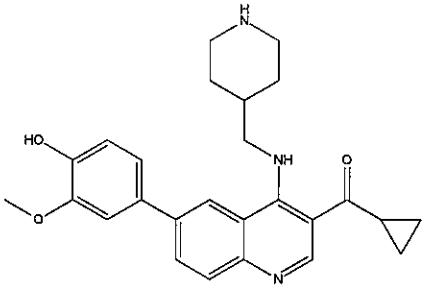
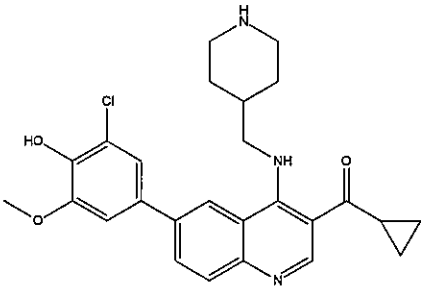
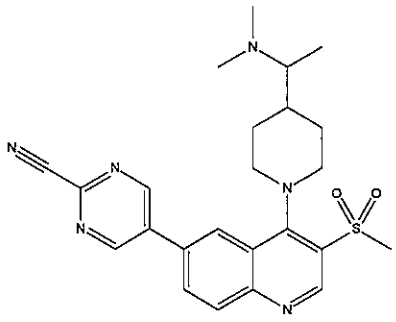
203		(6-(3-chloro-4-hydroxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	450
204		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) methanone	452
205		1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) -2-methylpropan-1-one	456
207		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) -2,6-difluorophenol	447
208		Cyclopropy l(6-(3,5-difluoro-4-hydroxyphenyl) -4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)methanone	452

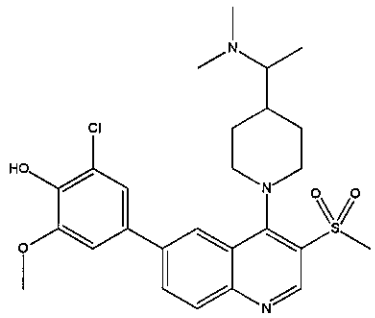
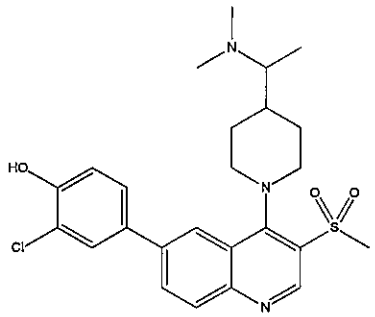
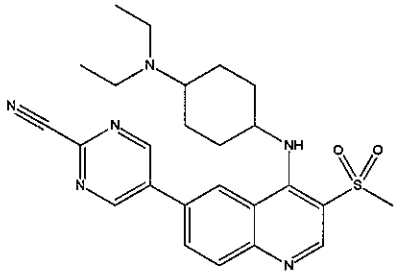
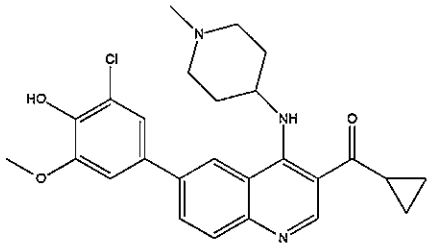
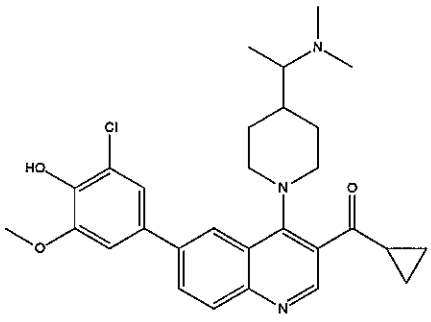
209		(4-((cis)-4-aminocyclohexylamino)-6- (2-chlorophenyl)quinolin-3-yl) (cyclopropyl)methanone	420
210		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)(cyclopropyl) methanone	481
212		(4-((trans)-4-aminocyclohexylamino)-6- (pyridin-4-yl)quinolin-3-yl) (cyclopropyl)methanone	386
213		(4-((trans)-4-aminocyclohexylamino)-6- (1H-pyrazol-4-yl)quinolin-3-yl) (cyclopropyl)methanone	375
214		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan-1-one	439
215		(6-(3-chloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)ethylamino) quinolin-3-yl)(cyclopropyl) methanone	451

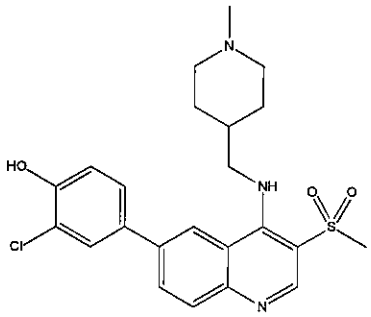
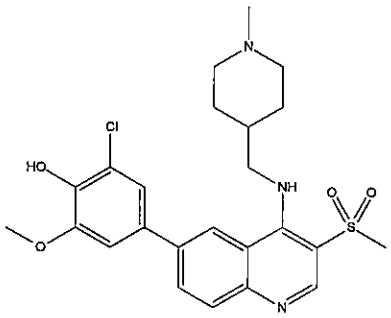
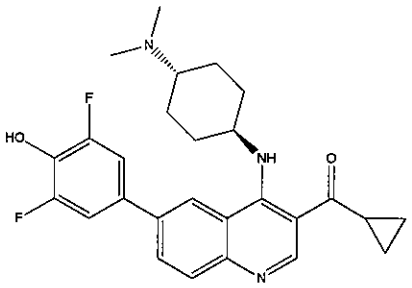
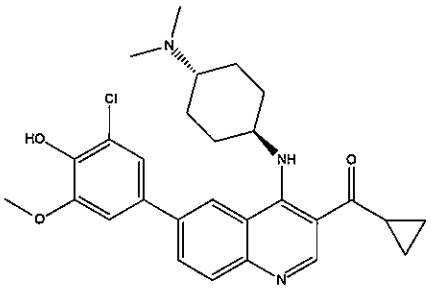
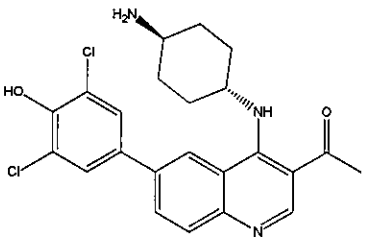
216		cyclopropyl(6-(4-hydroxy-3 -methoxyphenyl)-4- (2-(piperazin-1-yl)ethylamino) quinolin-3-yl)methanone	447
219		1-(4-((trans)-4-aminocyclohexylami no)-6- (3-chloro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one	438
220		5-(4-((trans)-4-aminocyclohexylami no)-3- (cyclopropanecarbonyl)quinolin -6-yl)-3-fluoropicolinonitrile	429
222		(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone	437
224		5-(3-(cyclopropanecarbonyl)-4- (piperidin-1-yl)quinolin-6-yl) pyrimidine-2-carbonitrile	383
225(a)		1-(4-((trans)-4-aminocyclohexylami no)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one	472

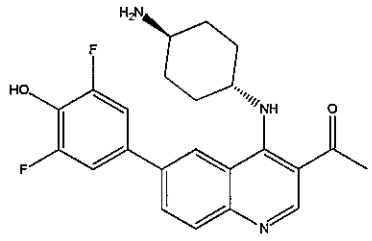
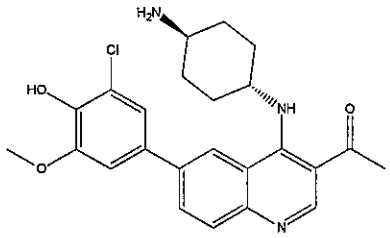
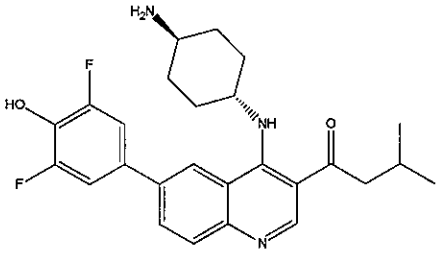
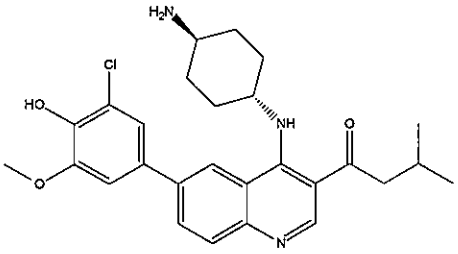
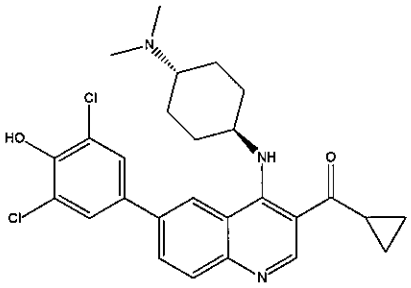
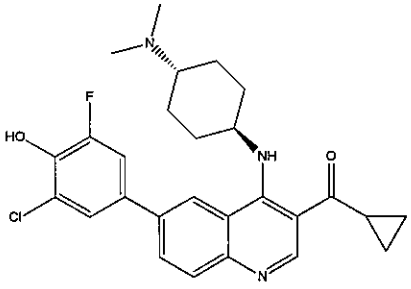
225(b)	 ·2HCl	1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan -1-one dihydrochloride	472
226		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) -2,6-dichlorophenol	480
227		4-(4-((trans)-4-aminocyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) -2-chloro-6-methoxyphenol	476
228		(4-((trans)-4-aminocyclohexylamino)-6-(2-methoxypyridin-4-yl)quinolin -3-yl)(cyclopropyl)methanone	417
229		(4-((trans)-4-aminocyclohexylamino)-6- (3-methyl-1H-pyrazol-4-yl) quinolin-3-yl)(cyclopropyl) methanone	389
230		(4-((trans)-4-aminocyclohexylamino)-6- (3,4-dimethoxyphenyl)quinolin -3-yl)(cyclopropyl)methanone	446

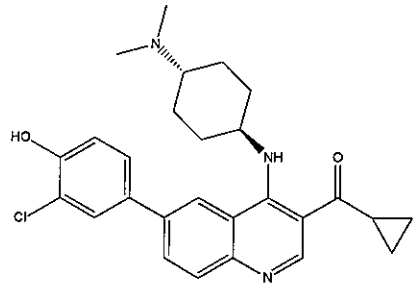
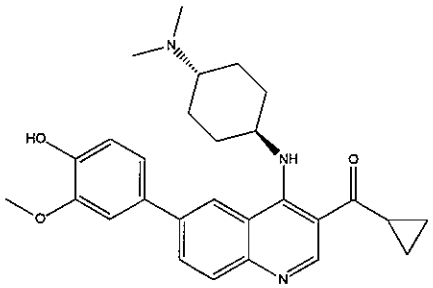
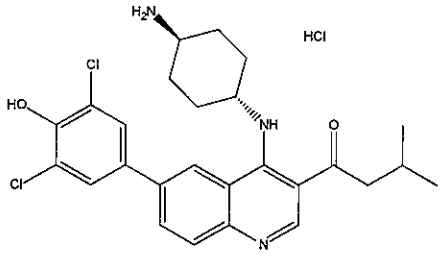
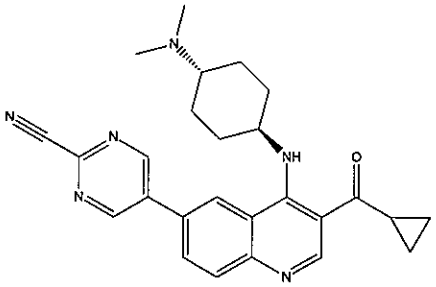
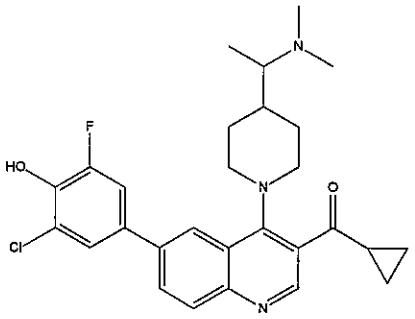
231		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(cyclopentylamino)quinolin-3-yl)(cyclopropyl)methanone	437
233		(6-(3-chloro-4-hydroxyphenyl)-4-(piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	407
236		2-chloro-4-(4-(4-(diethylamino)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	502
237		(4-((trans)-4-aminocyclohexylamino)-6- (2-chloropyridin-4-yl)quinolin-3-yl)(cyclopropyl)methanone	421
239		5-(4-(cyclopentylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyrimidine-2-carbonitrile	383

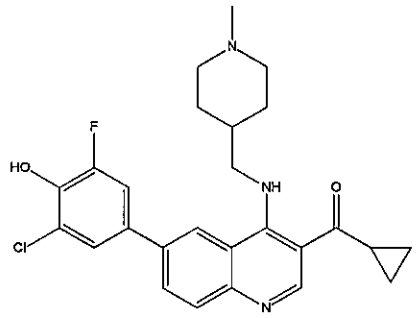
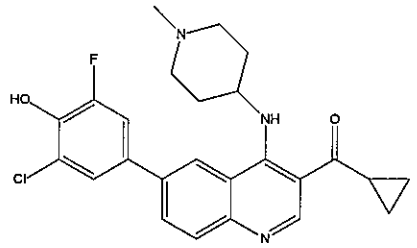
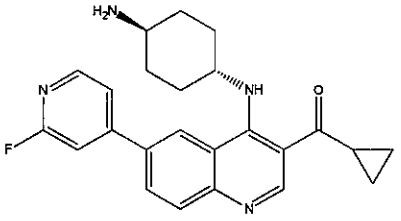
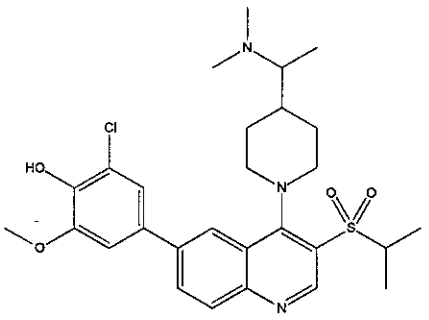
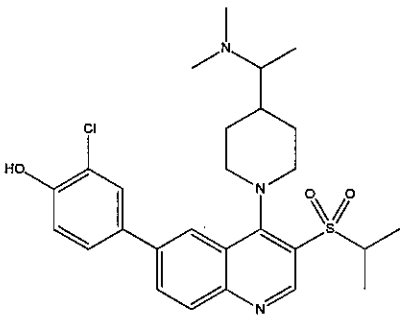
240		2-chloro-4-(4-(4-(diethylamino) cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol dihydrochloride	532
241		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone	437
242		cyclopropyl(6-(4-hydroxy -3-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone	432
243		(6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) (cyclopropyl)methanone	466
244		5-(4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)-3-(methylsulfonyl) quinolin-6-yl)pyrimidine -2-carbonitrile	465

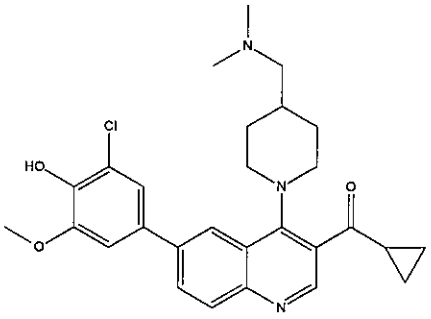
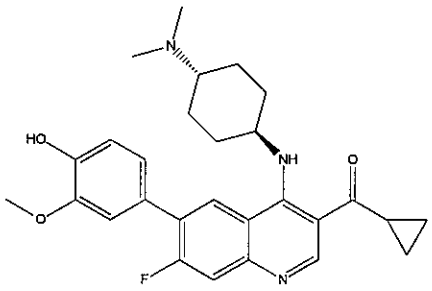
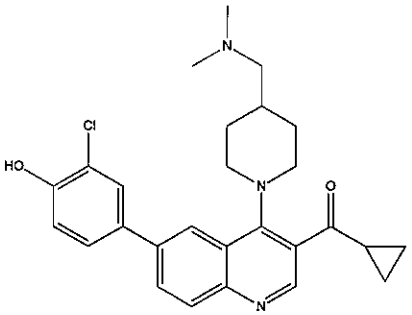
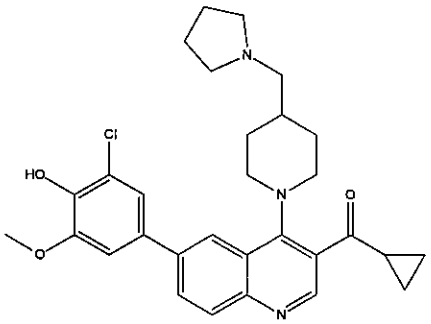
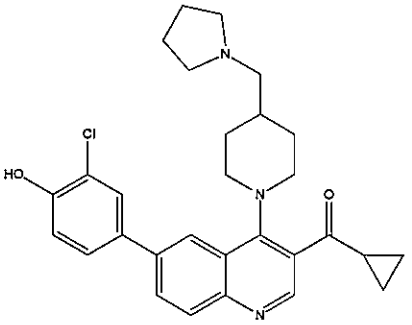
245		2-chloro-4-(4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)-3-(methylsulfonyl) quinolin-6-yl)-6-methoxyphenol	518
246		2-chloro-4-(4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)-3-(methylsulfonyl) quinolin-6-yl)phenol	488
247		5-(4-(4-(diethylamino) cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl) pyrimidine-2-carbonitrile	479
249		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone	466
250		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl) methanone	508

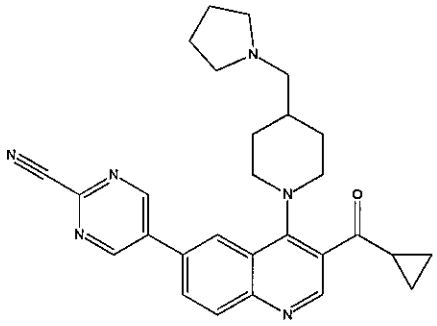
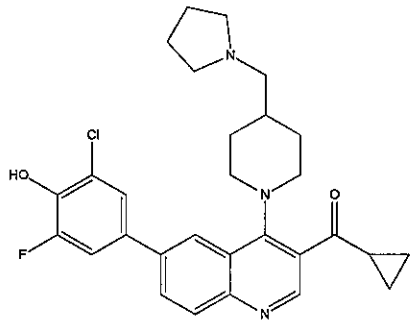
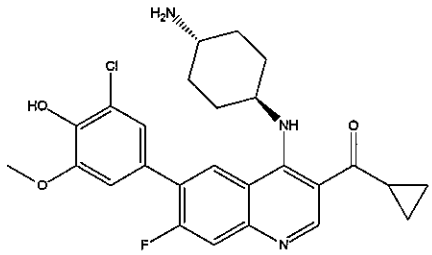
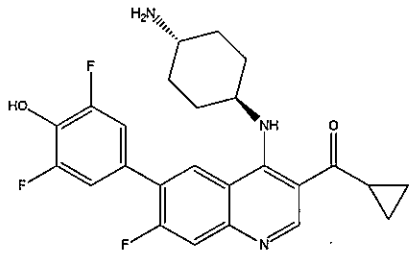
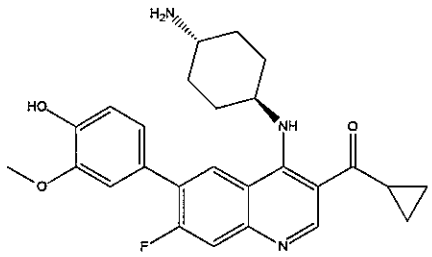
251		2-chloro-4-(4-((1-methylpiperidin-4-yl)methylamino)-3-(methylsulfonyl)quinolin-6-yl) phenol	460
252		2-chloro-6-methoxy-4-(4-((1-methylpiperidin-4-yl) methylamino)-3-(methylsulfonyl) quinolin-6-yl)phenol	490
254		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone	466
255		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	494
256		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone	444

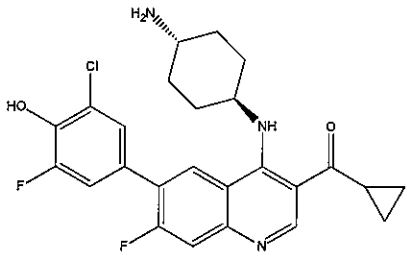
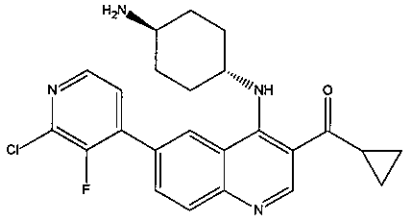
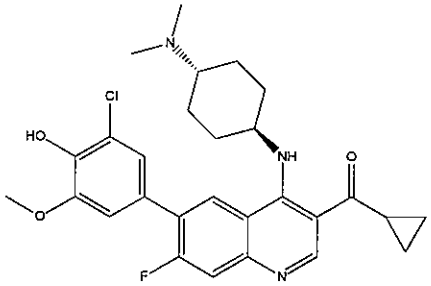
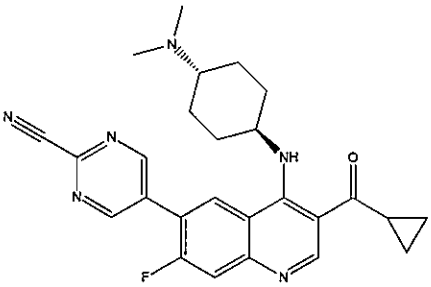
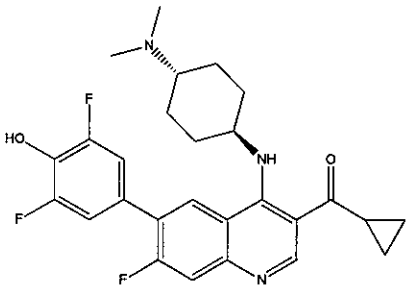
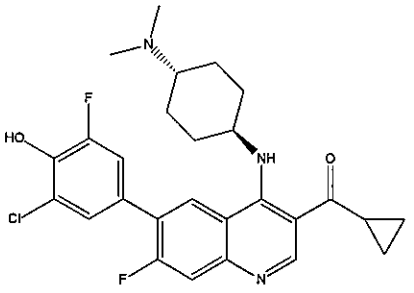
258		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone	411
259		1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) ethanone	440
261		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-3- methylbutan-1-one	454
262		1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) -3-methylbutan-1-one	482
263		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone	498
264		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	482

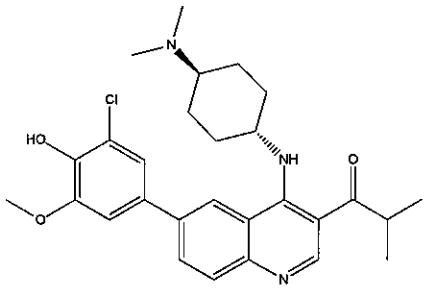
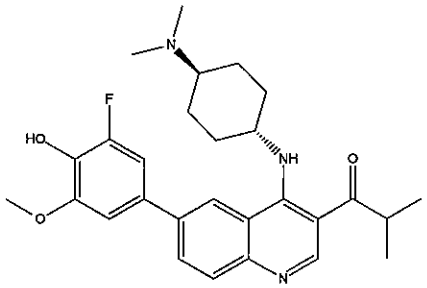
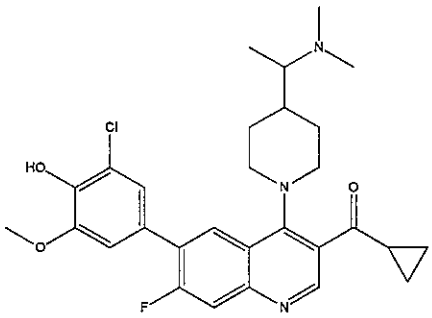
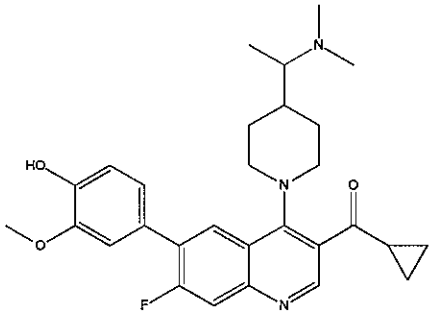
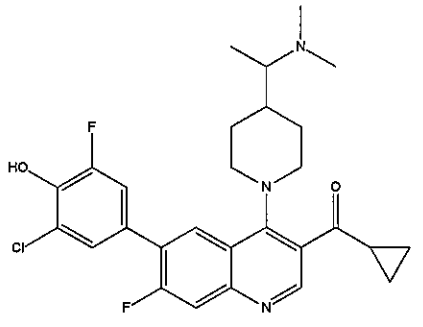
265		(6-(3-chloro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	464
266		cyclopropyl(4-((trans)-4-(dimethylamino)cyclohexylamino) -6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	460
267		1-(4-((trans)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)-3-methylbutan -1-one dihydrochloride	486
268		5-(3-(cyclopropanecarbonyl)-4-((trans)-4-(dimethylamino) cyclohexylamino)quinolin -6-yl)pyrimidine-2-carbonitrile	441
269		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl) methanone	496

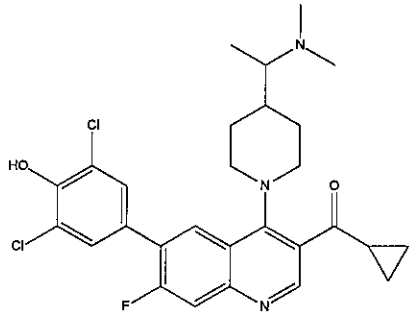
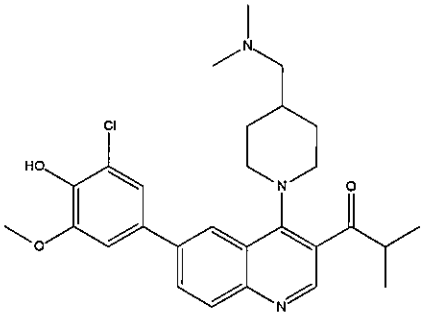
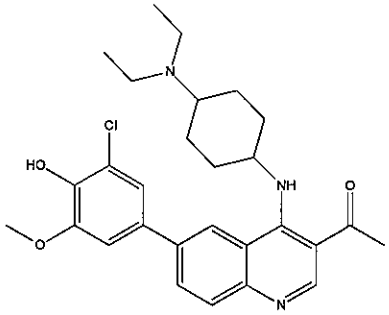
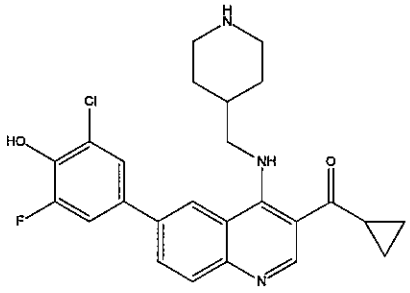
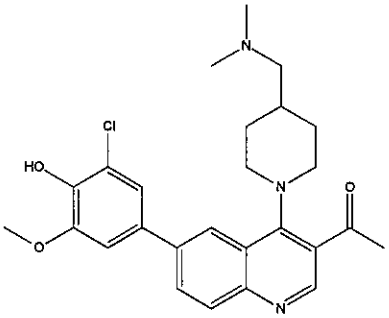
270		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-methylpiperidin-4-yl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	468
271		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl)(cyclopropyl) methanone	454
273		(4-((trans)-4-aminocyclohexylamino)-6- (2-fluoropyridin-4-yl)quinolin -3-yl)(cyclopropyl)methanone	404
274		2-chloro-4-(4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-3-(isopropylsulfonyl) quinolin-6-yl)-6-methoxyphenol	546
275		2-chloro-4-(4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-3-(isopropylsulfonyl) quinolin-6-yl)phenol	516

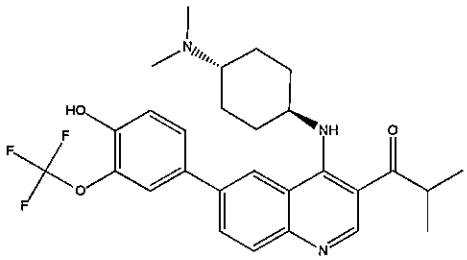
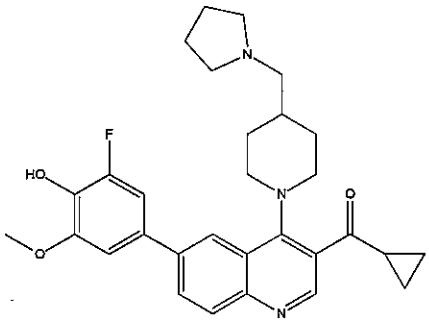
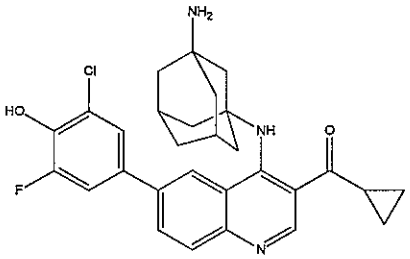
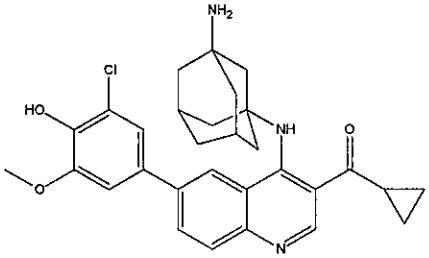
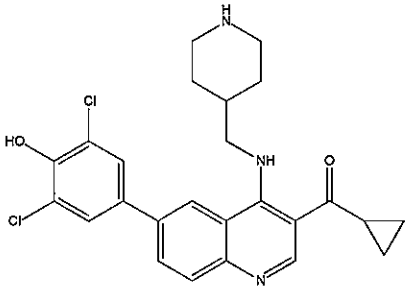
276		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl) methanone	494
278		cyclopropyl(4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoro-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl) methanone	478
279		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	464
280		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone	520
281		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)(cyclopropyl) methanone	490

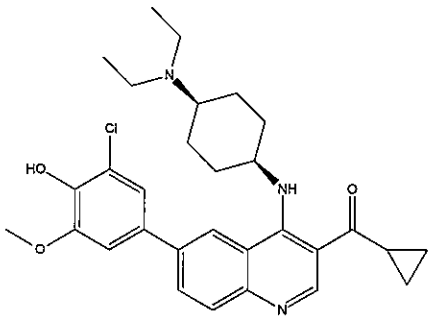
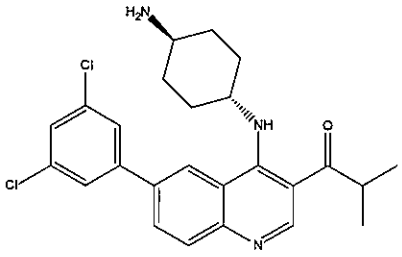
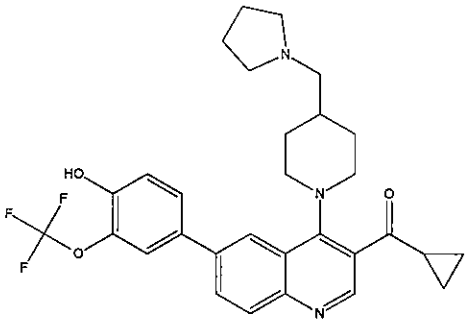
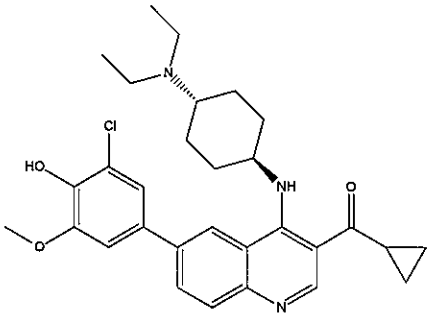
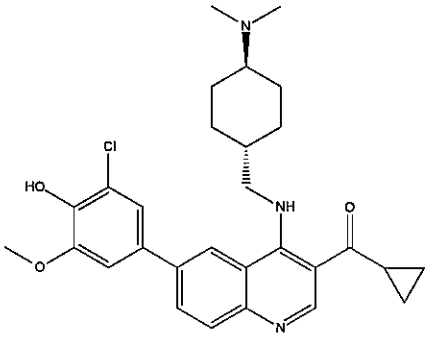
282		5-(3-(cyclopropanecarbonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)pyrimidine-2-carbonitrile	467
283		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	508
284		(4-((trans)-4-aminocyclohexylamino)-6-(3- chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	484
285		(4-((trans)-4-aminocyclohexylamino)-6-(3,5- difluoro-4-hydroxy phenyl)-7- fluoroquinolin-3-yl) (cyclopropyl) methanone	455
286		(4-((trans)-4-aminocyclohexylamino)-7-fluoro-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)(cyclopropyl) methanone	450

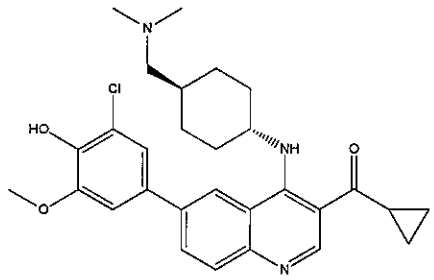
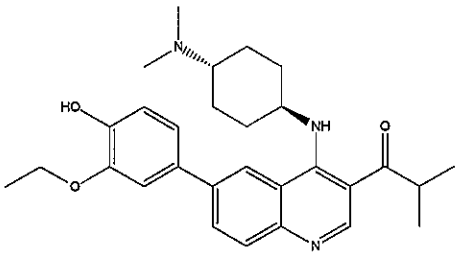
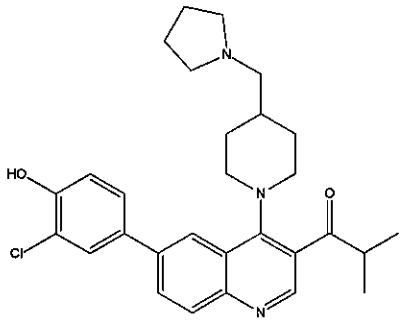
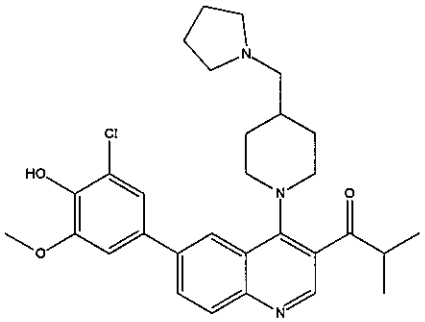
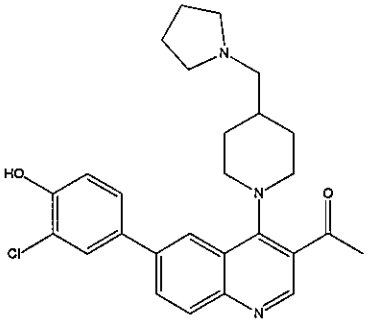
287		(4-((trans)-4-aminocyclohexylamino)-6-(3- chloro-5-fluoro-4-hydroxy phenyl) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone	472
288		(4-((trans)-4-aminocyclohexylamino)-6- (2-chloro-3-fluoropyridin-4-yl) quinolin-3-yl)(cyclopropyl) methanone	439
289		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone	512
290		5-(3-(cyclopropanecarbonyl)-4-((trans)-4-(dimethylamino) cyclo hexylamino)-7- fluoroquinolin-6-yl) pyrimidine-2- carbonitrile	459
294		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl)methanone	484
295		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) -7-fluoroquinolin-3-yl) (cyclopropyl)methanone	500

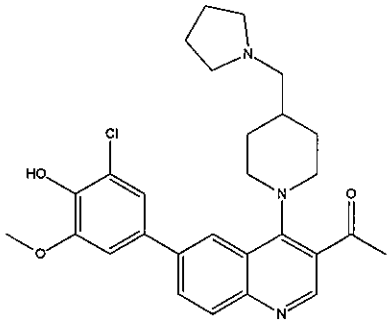
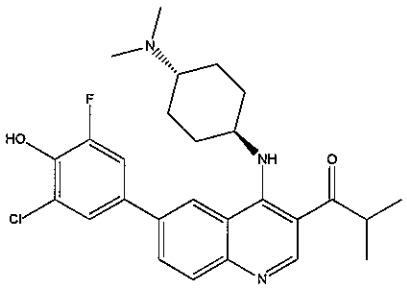
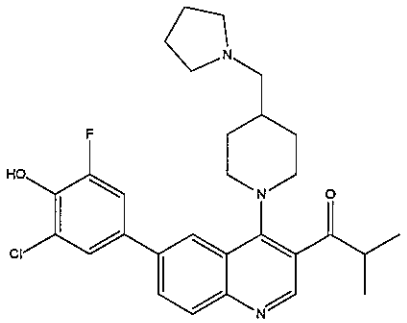
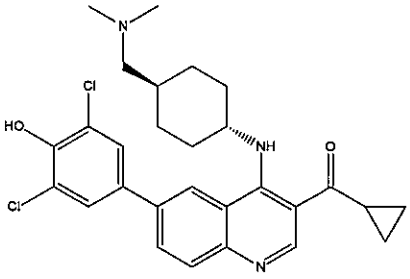
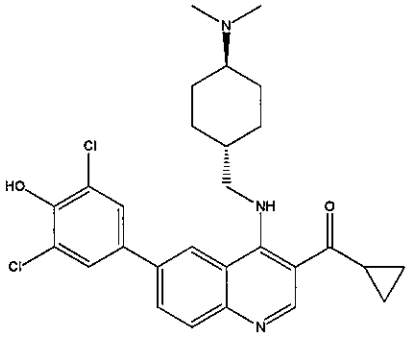
296		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-2-methylpropan -1-one	496
297		1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(3-fluoro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)-2-methylpropan -1-one	480
298		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-7-fluoroquinolin-3-yl) (cyclopropyl)methanone	526
299		cyclopropyl(4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-7-fluoro-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl) methanone	492
300		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl)piperidin -1-yl)-7-fluoroquinolin-3-yl) (cyclopropyl)methanone	514

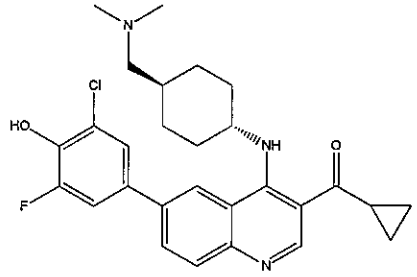
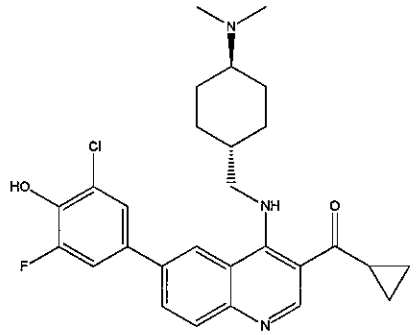
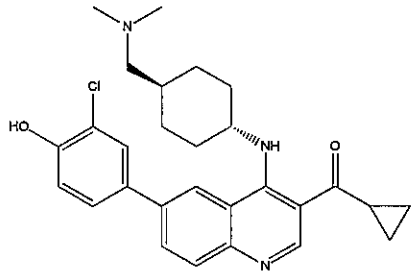
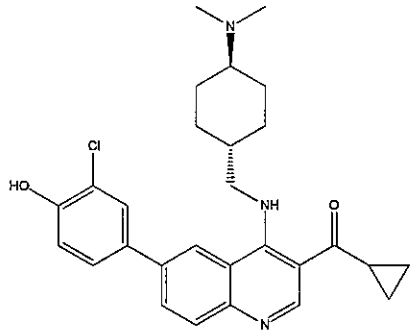
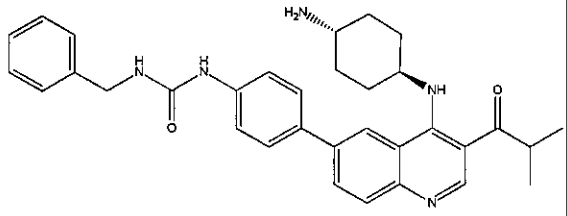
301		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(1-(dimethylamino)ethyl) piperidin-1-yl)-7-fluoroquinolin -3-yl)methanone	530
302		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl)-2-methylpropan-1-one	496
303		1-(6-(3-chloro-4-hydroxy-5-methoxy phenyl)-4-(4-(diethylamino) cyclohexylamino)quinolin-3-yl) ethanone	496
304		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) (cyclopropyl)methanone	454
305		1-(6-(3-chloro-4-hydroxy-5-methoxy phenyl)-4-(4-((dimethylamino) methyl) piperidin-1-yl)quinolin-3-yl) ethanone	468

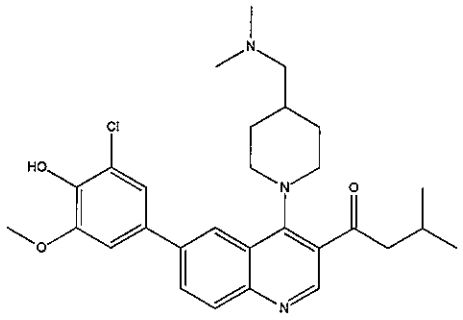
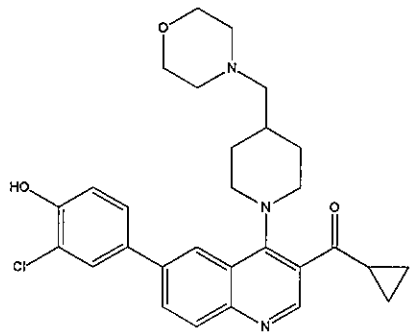
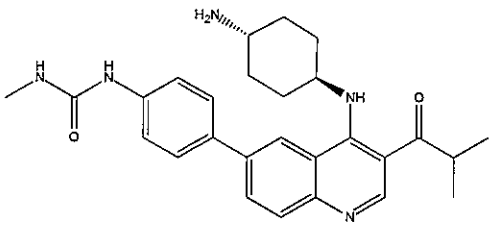
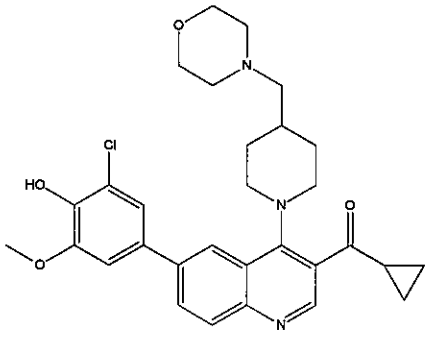
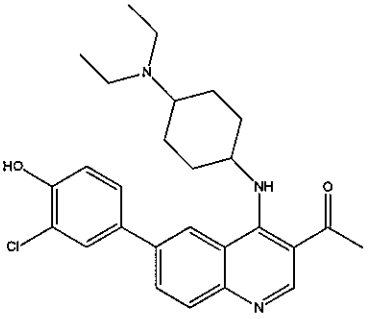
306		1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(4-hydroxy-3-(trifluoromethoxy)phenyl) quinolin-3-yl)-2-methylpropan-1-one	516
307		cyclopropyl(6-(3-fluoro-4-hydroxy -5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)methanone	504
308		{6-(3-Chloro-5-fluoro-4 -hydroxyphenyl)-4-[(3-amino) adamantylamino]quinolin-3-yl} (cyclopropyl)methanone	506
309		{6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-[(3-amino) adamantylamino]quinolin-3-yl} (cyclopropyl)methanone	518
310		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl) methanone	470

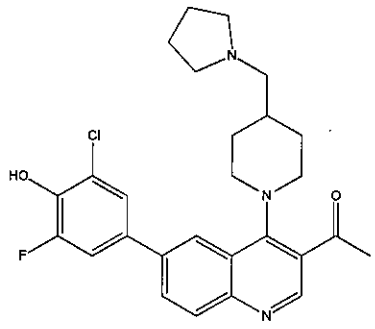
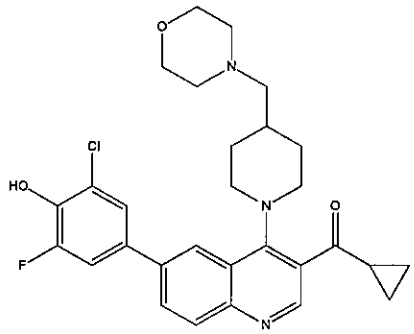
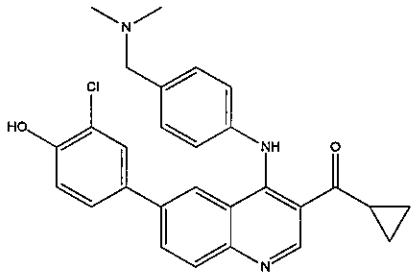
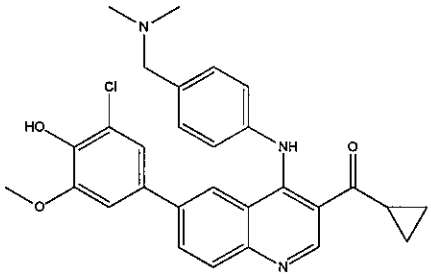
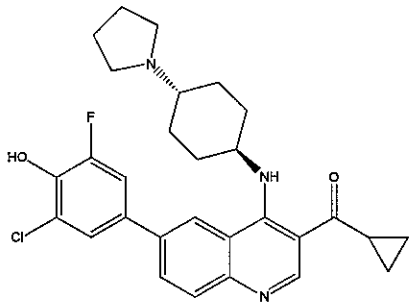
311		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((cis)-4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	522
312		1-(4-((trans)-4-aminocyclohexyl amino)-6- (3,5-dichloro phenyl)quinolin-3-yl) -2-methylpropan-1-one	456
313		cyclopropyl(6-(4-hydroxy-3-(trifluoromethoxy)phenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)methanone	540
314		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	522
315		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	508

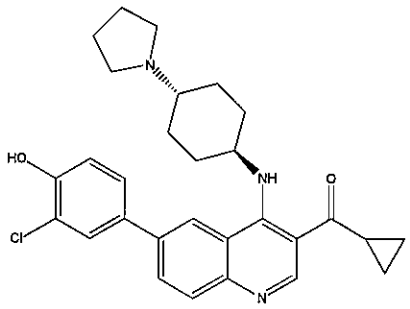
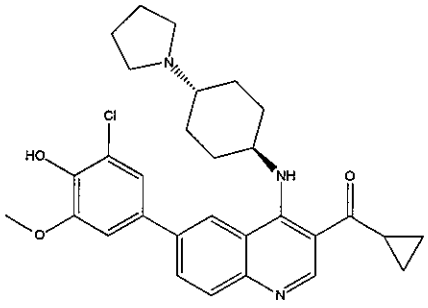
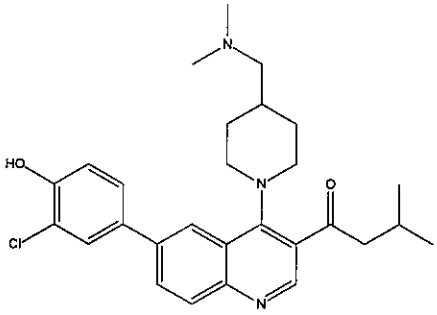
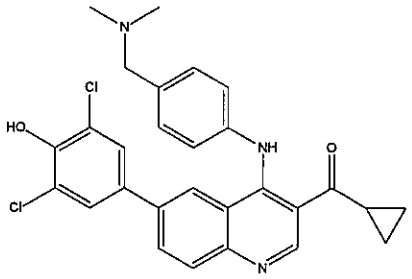
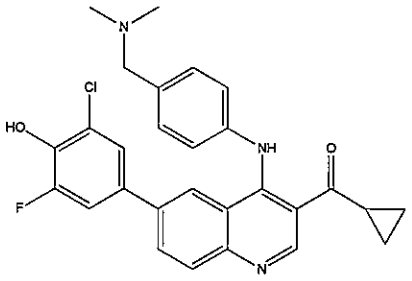
316		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	508
317		1-(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(3-ethoxy-4-hydroxyphenyl)quinolin-3-yl)-2-methylpropan-1-one	476
318		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl) piperidin-1-yl)quinolin-3-yl) -2-methylpropan-1-one	492
319		1-(6-(3-chloro-4-hydroxy-5 -methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin -1-yl)quinolin-3-yl)-2-methylpropan-1-one	522
320		1-(6-(3-chloro-4-hydroxyphenyl)-4 -(4-(pyrrolidin-1-ylmethyl) piperidin-1-yl)quinolin-3-yl) ethanone	464

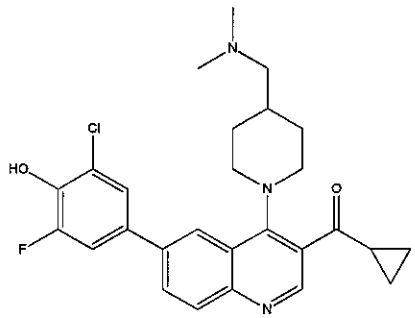
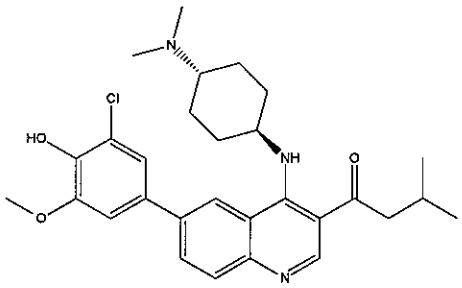
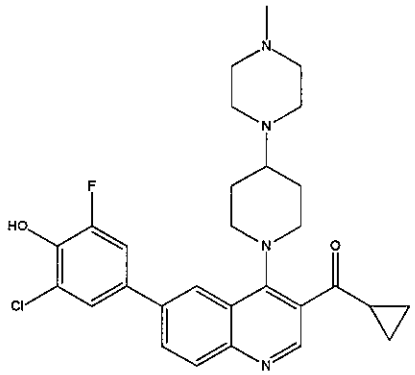
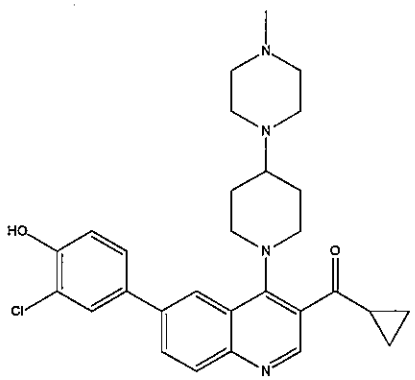
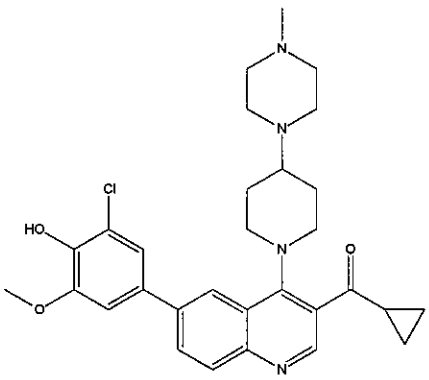
321		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3-yl)ethanone	494
322		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	484
323		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-3-yl)-2-methylpropan-1-one	510
324		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	512
325		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl)methylamino)quinolin-3-yl)methanone	512

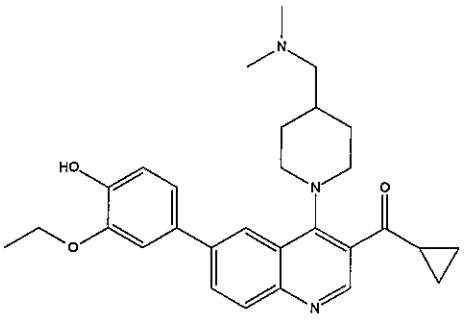
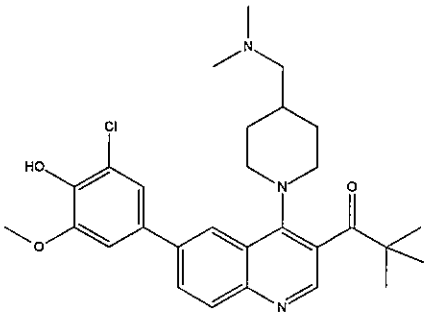
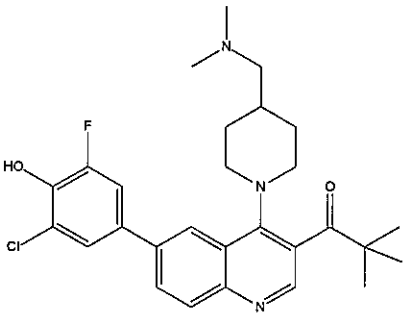
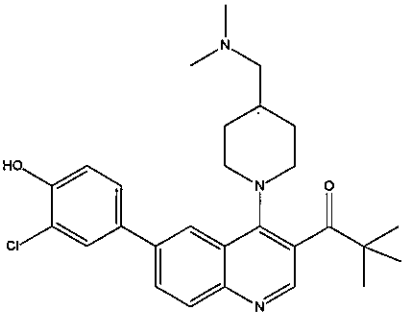
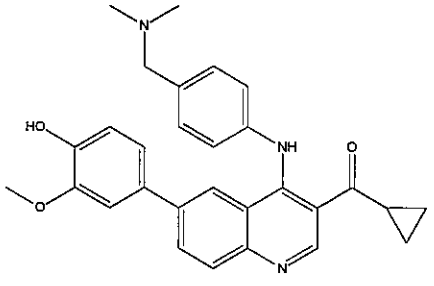
326		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	496
327		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(((trans)-4-(dimethylamino)cyclohexyl) methylamino)quinolin-3-yl) (cyclopropyl)methanone	496
328		(6-(3-chloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	478
329		(6-(3-chloro-4-hydroxyphenyl)-4-(((trans)-4-(dimethylamino) cyclohexyl)methylamino) quinolin-3-yl)(cyclopropyl) methanone	478
330		1-(4-(4-((trans)-4-aminocyclohexyl amino)-3- isobutyryl quinolin-6-yl)phenyl)-3- benzylurea	536

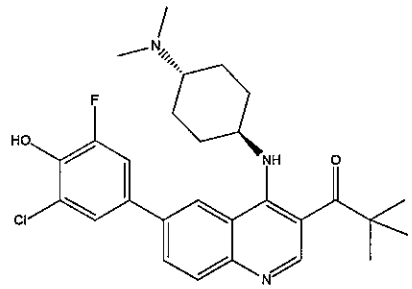
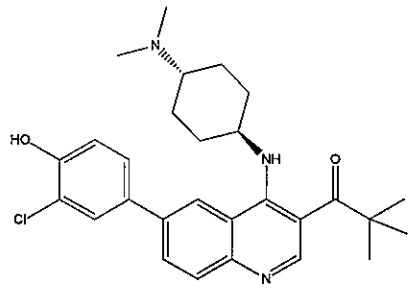
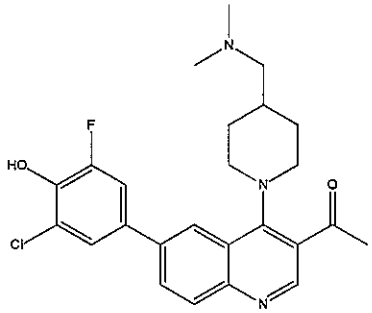
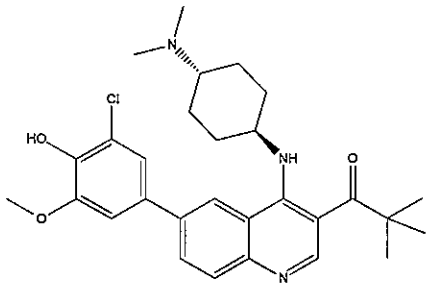
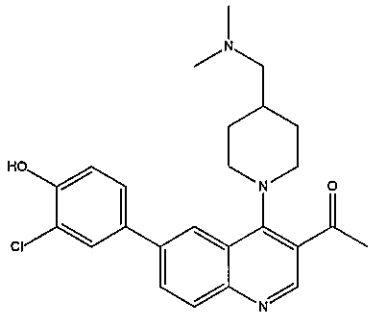
331		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -3-methylbutan-1-one	510
332		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)piperidin -1-yl)quinolin-3-yl) (cyclopropyl)methanone	506
333		1-(4-(4-((trans)-4-aminocyclohexyl amino)-3- isobutyrylquinolin-6-yl) phenyl) -3-methylurea	460
334		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)piperidin-1-yl) quinolin-3-yl)(cyclopropyl) methanone	536
335		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-(diethylamino) cyclohexyl amino)quinolin-3-yl) ethanone	466

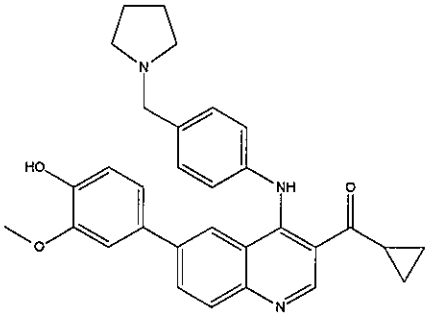
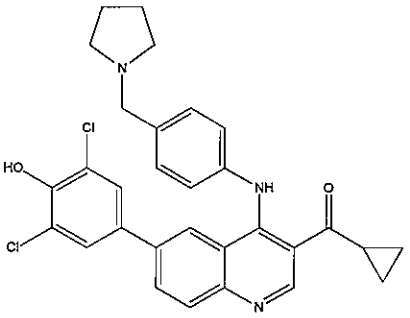
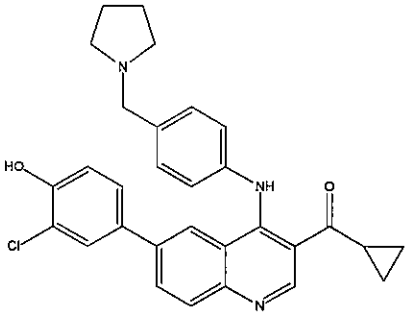
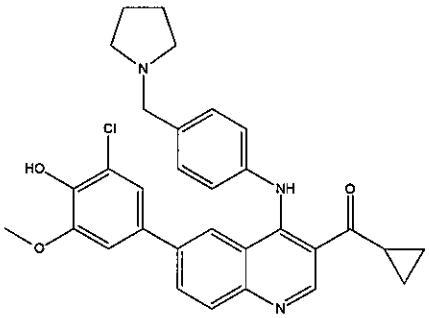
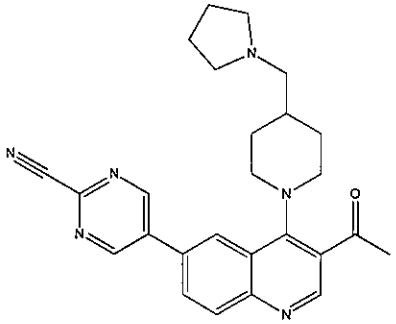
336		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl) quinolin-3-yl)ethanone	482
337		(6-(3-chloro-5-fluoro-4- hydroxyl phenyl)-4-(4- (morpholinomethyl) piperidin-1-yl) quinolin-3-yl) (cyclopropyl) methanone	524
338		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	472
339		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	502
341		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	508

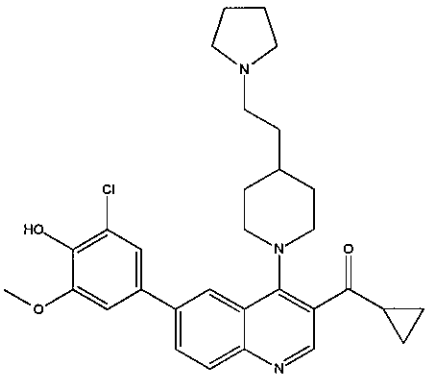
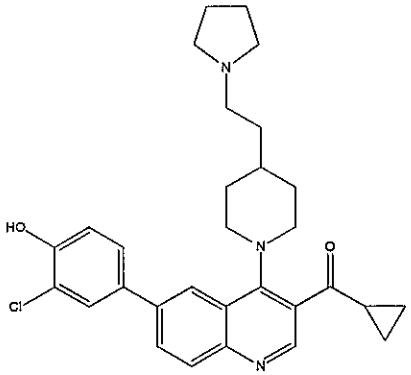
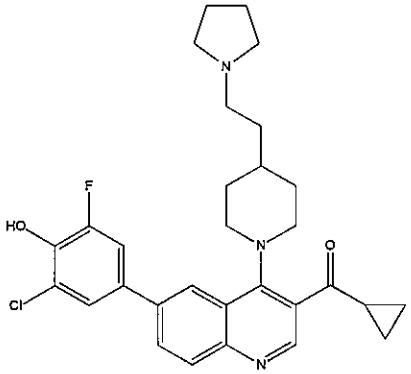
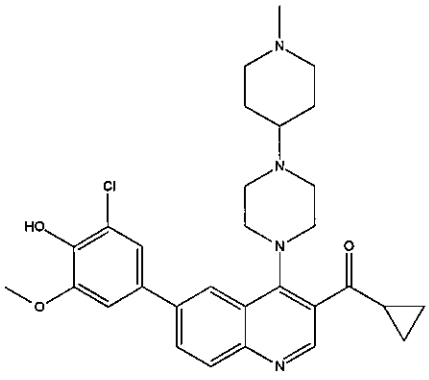
342		(6-(3-chloro-4-hydroxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl) cyclohexylamino)quinolin-3-yl) (cyclopropyl)methanone	490
343		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(pyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	520
344		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -3-methylbutan-1-one	480
345		cyclopropyl(6-(3,5-dichloro -4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) methanone	506
346		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	490

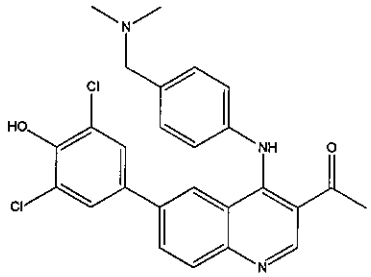
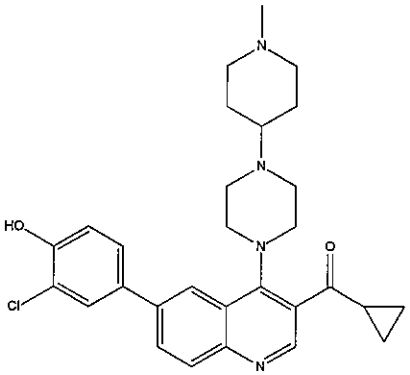
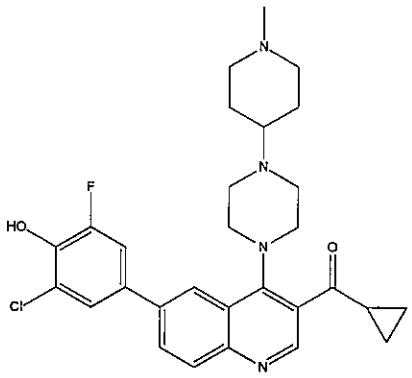
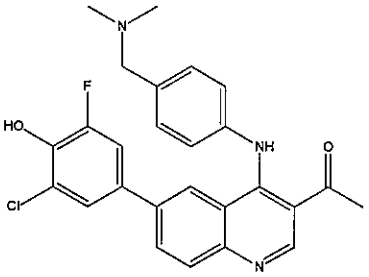
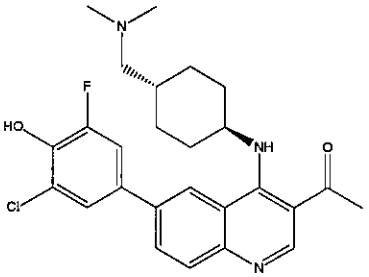
347		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	482
348		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)-3-methylbutan -1-one	510
349		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	523
350		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	505
351		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(4-methylpiperazin-1-yl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	535

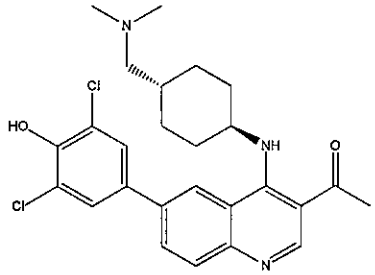
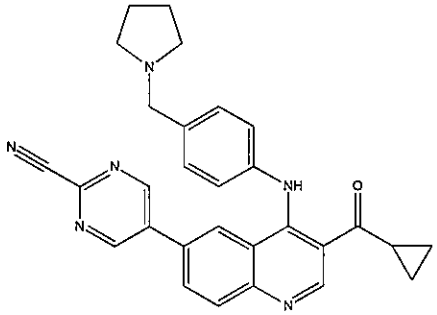
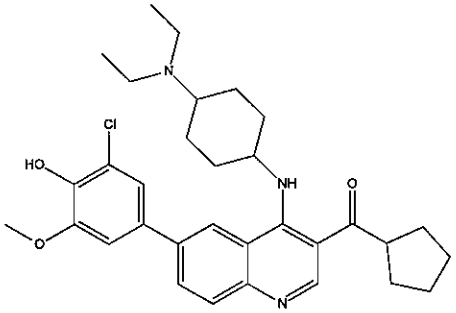
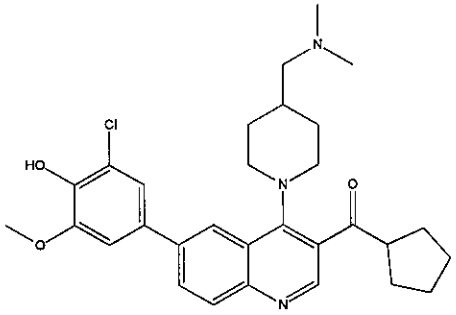
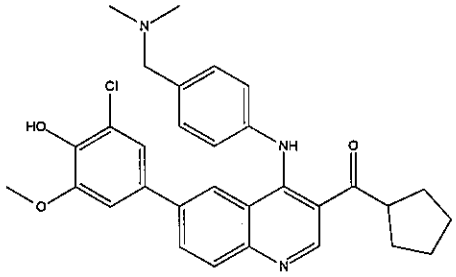
353		cyclopropyl(4-(4-((dimethylamino) methyl)piperidin-1-yl)-6- (3-ethoxy-4-hydroxyphenyl) quinolin-3-yl)methanone	474
354		1-(6-(3-chloro-4-hydroxy-5- methoxyphenyl)-4-(4- ((dimethylamino)methyl)piperidin -1-yl)quinolin-3-yl)-2,2- dimethylpropan-1-one	510
355		1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -2,2-dimethylpropan-1-one	498
358		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) -2,2-dimethylpropan-1-one	480
359		cyclopropyl(4-(4-((dimethylamino) methyl)phenylamino)-6- (4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	468

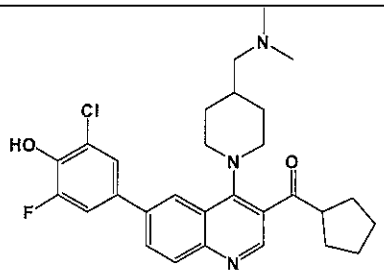
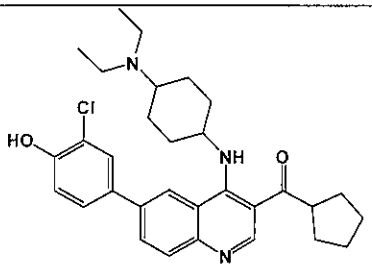
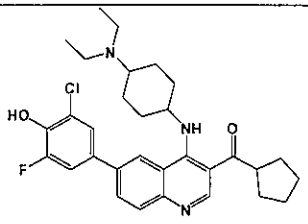
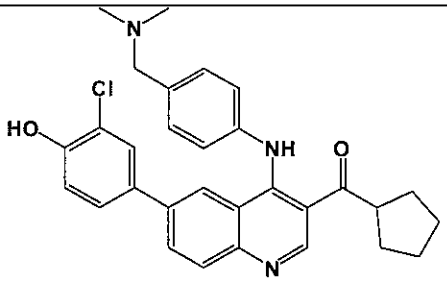
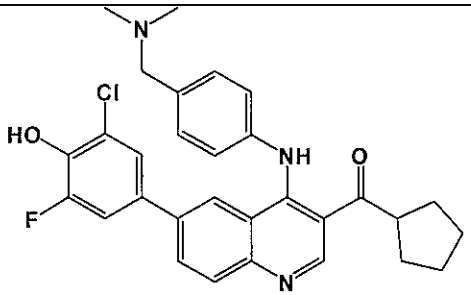
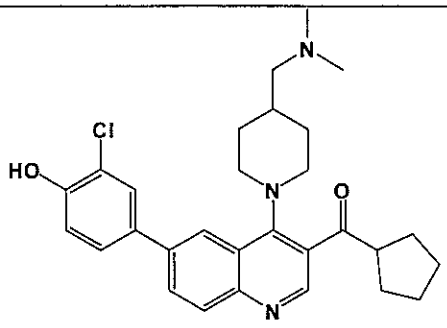
360		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl) -2,2-dimethylpropan-1-one	498
361		1-(6-(3-chloro-4-hydroxyphenyl) -4-((trans)-4-(dimethylamino) cyclohexylamino)quinolin-3-yl) -2,2-dimethylpropan-1-one	480
362		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone	456
363		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl) -2,2-dimethylpropan-1-one	510
364		1-(6-(3-chloro-4-hydroxyphenyl) -4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) ethanone	438

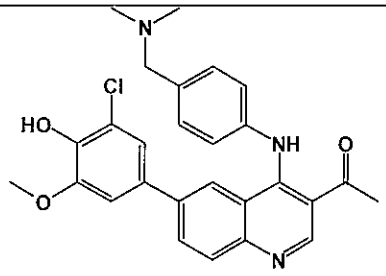
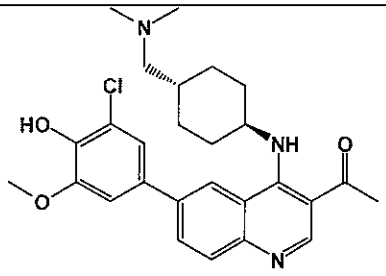
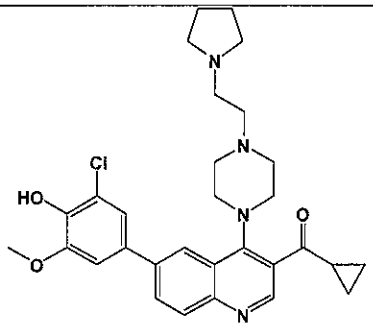
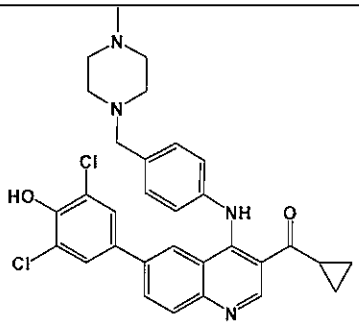
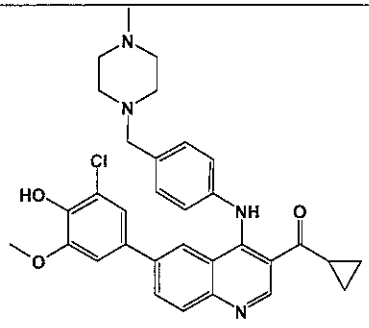
365		cyclopropyl(6-(4-hydroxy-3 -methoxyphenyl)-4-(4- (pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) methanone	494
366		cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4-(pyrrolidin -1-ylmethyl)phenylamino) quinolin-3-yl)methanone	532
367		(6-(3-chloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	498
368		(6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4- (pyrrolidin-1-ylmethyl) phenylamino)quinolin-3-yl) (cyclopropyl)methanone	528
369		5-(3-acetyl-4-(4-(pyrrolidin -1-ylmethyl)piperidin-1-yl) quinolin-6-yl)pyrimidine -2-carbonitrile	441

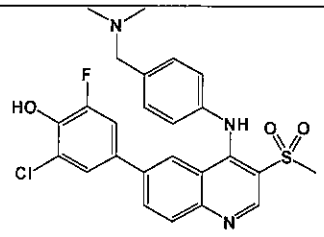
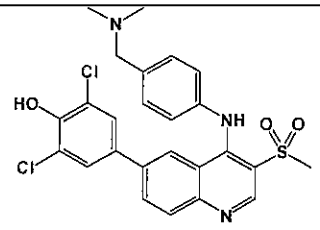
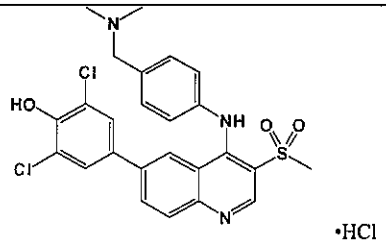
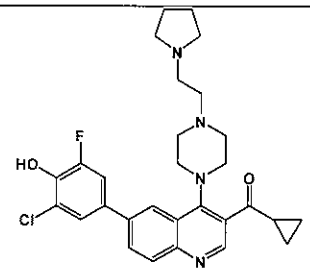
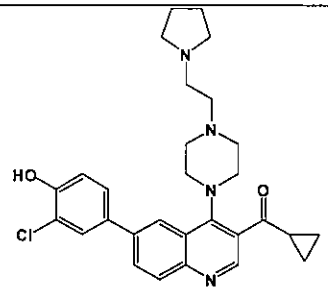
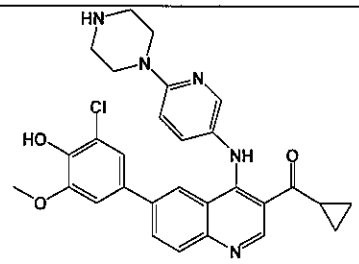
370		(6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	534
371		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl) piperidin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	504
372		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin -1-yl)quinolin-3-yl)(cyclopropyl) methanone	522
373		(6-(3-chloro-4-hydroxy -5-methoxyphenyl)-4-(4-(1-methylpiperidin-4-yl)piperazin -1-yl)quinolin-3-yl)(cyclopropyl) methanone	535

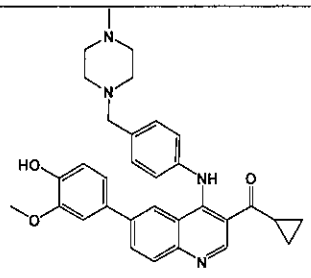
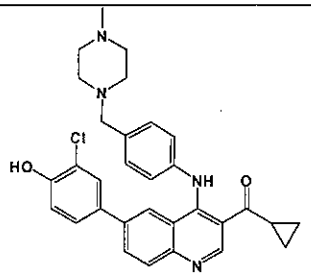
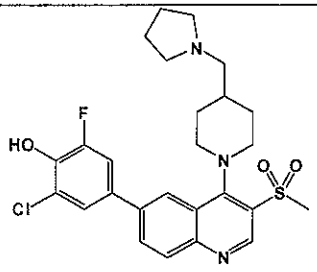
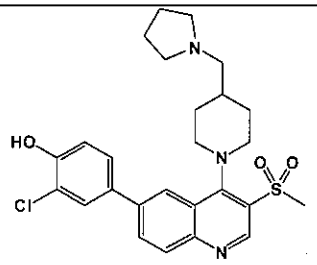
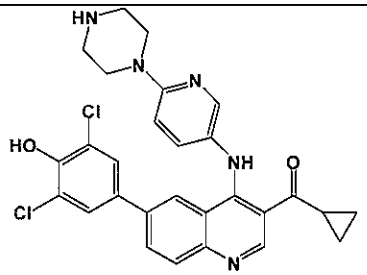
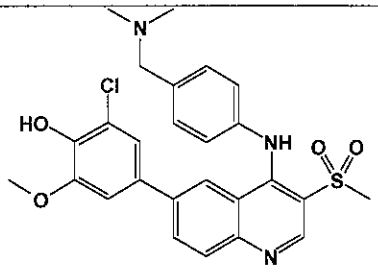
374		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone	480
375		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(1-methylpiperidin-4-yl) piperazin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	505
376		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(1-methylpiperidin-4-yl) piperazin-1-yl)quinolin-3-yl) (cyclopropyl)methanone	523
377		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone	464
378		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone	470

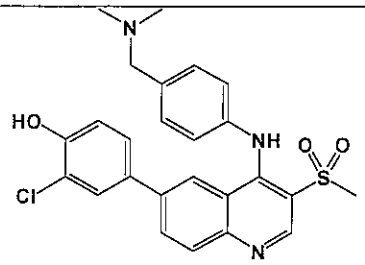
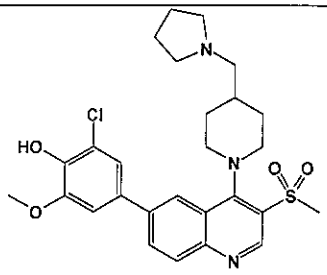
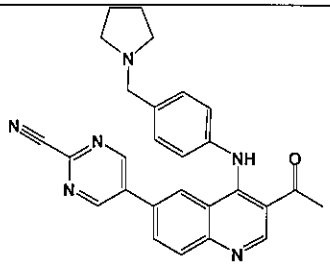
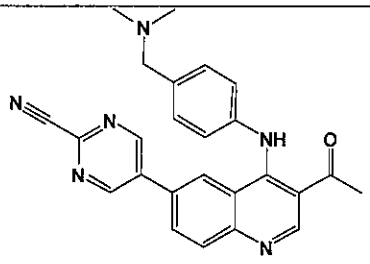
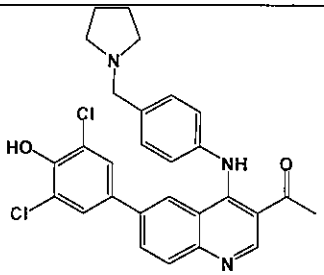
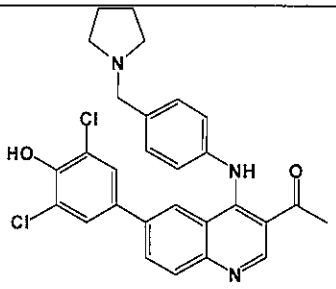
379		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone	486
380		5-(3-(cyclopropanecarbonyl)-4-(4-(pyrrolidin-1-ylmethyl) phenylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	475
381		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopentyl) methanone	550
382		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) piperidin-1-yl)quinolin-3-yl) (cyclopentyl)methanone	522
383		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopentyl)methanone	530

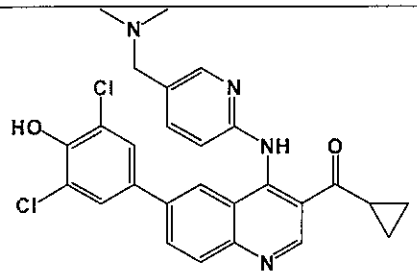
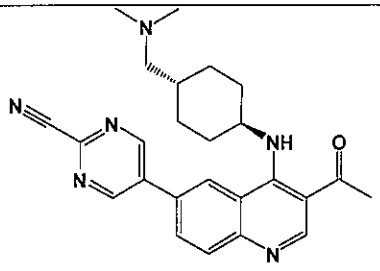
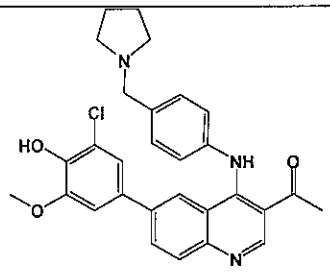
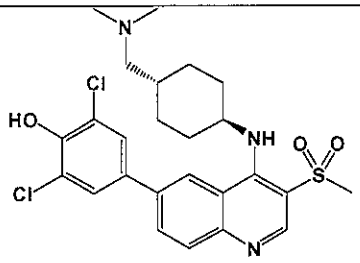
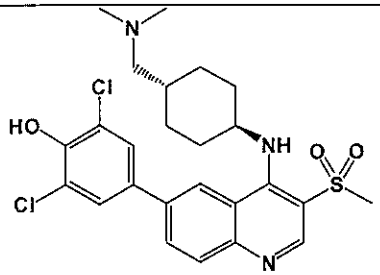
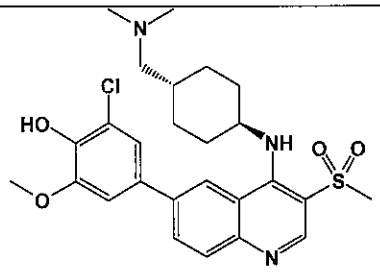
384		(6-(3-chloro-5-fluoro-4-hydroxy phenyl)-4-(4-((dimethylamino) methyl) piperidin-1-yl)quinolin-3-yl)(cyclo pentyl)methanone	510
385		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino) quinolin-3-yl)(cyclopentyl) methanone	520
386		(6-(3-chloro-5-fluoro-4-hydroxy phenyl)-4-(4-(diethylamino)cyclo hexyl amino)quinolin-3-yl) (cyclopentyl) methanone	538
387		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenyl amino)quinolin-3-yl)(cyclopentyl) methanone	500
388		(6-(3-chloro-5-fluoro-4-hydroxyphe nyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) (cyclopentyl)methanone	518
389		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1 - yl)quinolin-3-yl)(cyclopentyl) methanone	492

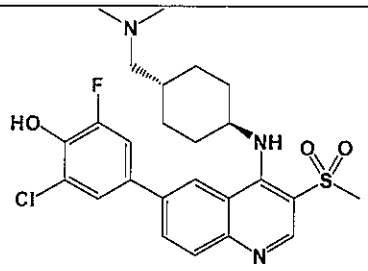
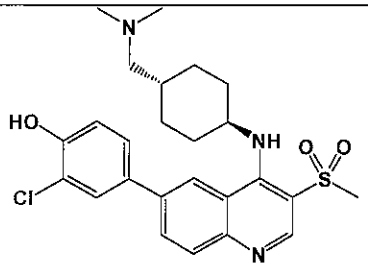
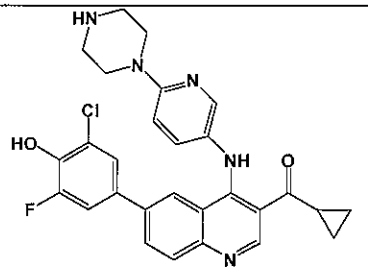
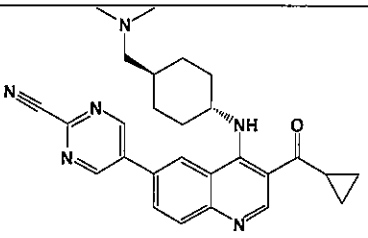
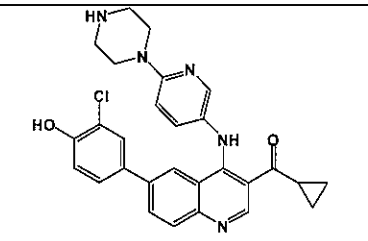
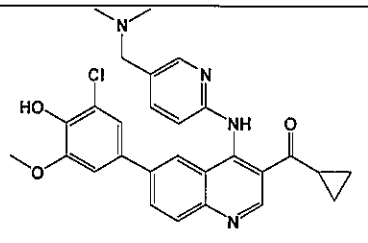
391		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone	476
392		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin- 3-yl)ethanone	482
394		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	535
397		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3 - yl)methanone	562
398		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	557

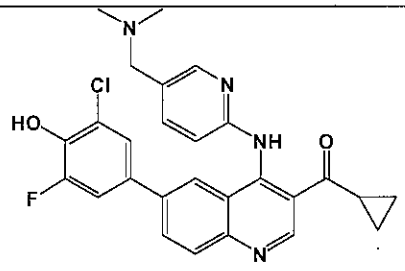
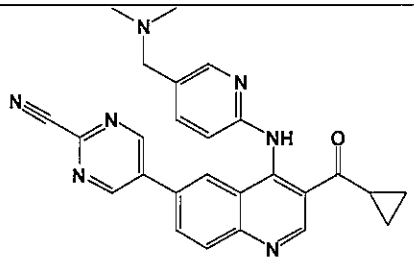
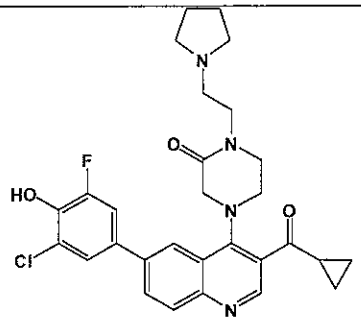
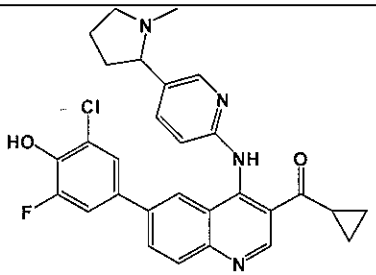
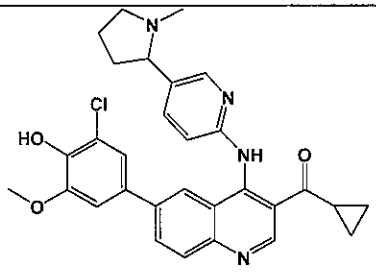
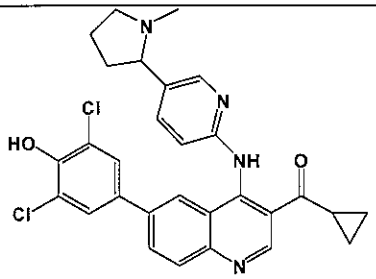
399		2-chloro-4-(4-(4-((dimethylamino) methyl)phenylamino)-3-(methyl sulfonyl)quinolin-6-yl)-6-fluoro phenol	500
400		2,6-dichloro-4-(4-(4-((dimethylamin o)methyl)phenylamino)-3-(methyl sulfonyl)quinolin-6-yl)phenol	516
401		2,6-dichloro-4-(4-(4-((dimethylamin o)methyl)phenylamino)-3-(methyl sulfonyl)quinolin-6-yl)phenol hydrochloride	516
402		(6-(3-chloro-5-fluoro-4-hydroxyphe nyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl) piperazin-1-yl)quinolin-3-yl)(cyclo propyl)methanone	523
403		(6-(3-chloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1 - yl)quinolin-3-yl)(cyclopropyl) methanone	505
404		(6-(3-chloro-4-hydroxy-5-methoxyp henyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cycloprop yl)methanone	530

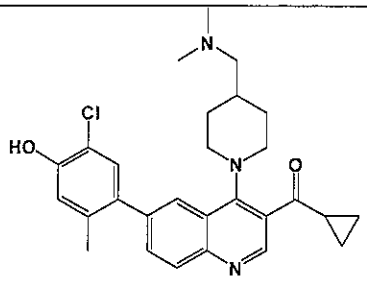
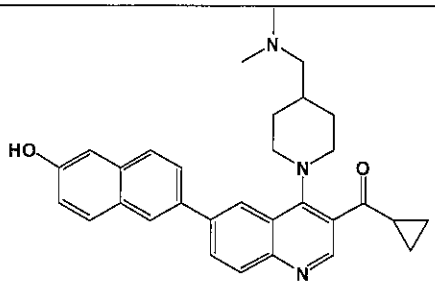
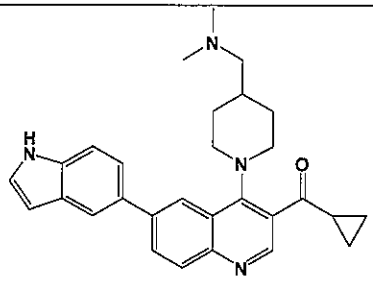
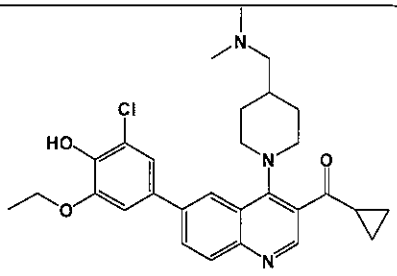
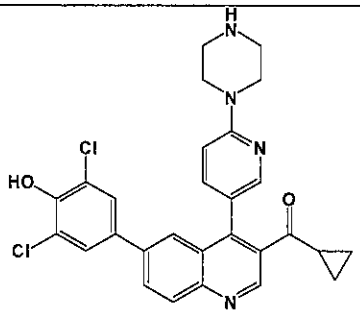
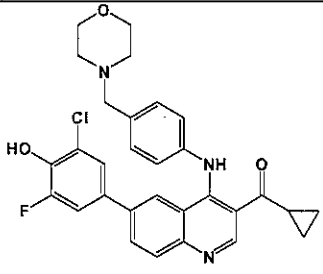
405		cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	523
406		(6-(3-chloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	527
407		2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	518
408		2-chloro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	500
409		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	534
410		2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol	512

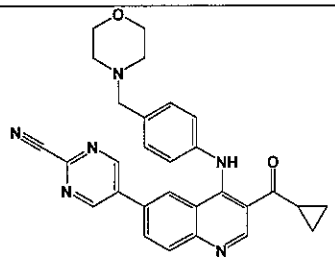
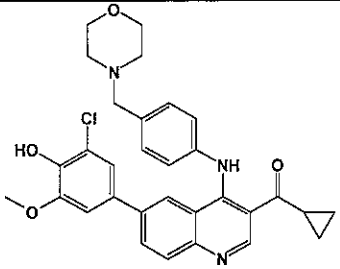
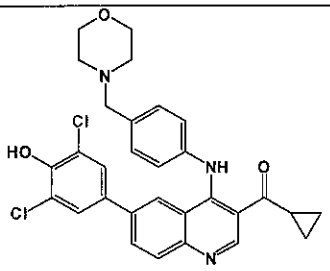
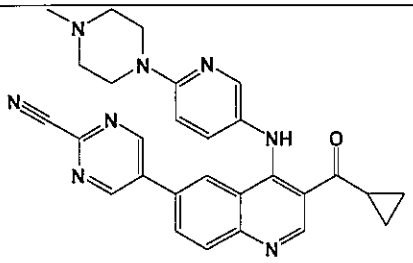
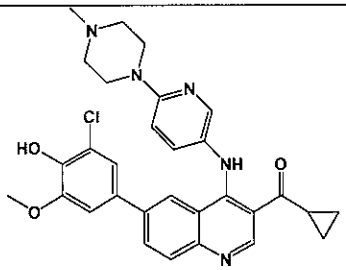
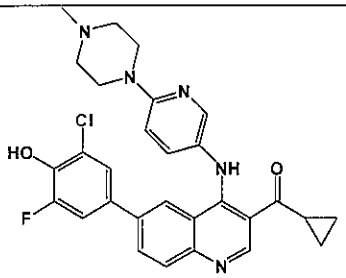
411		2-chloro-4-(4-(4-((dimethylamino) methyl)phenylamino)-3-(methyl sulfonyl)quinolin-6-yl)phenol	482
412		2-chloro-6-methoxy-4-(3-(methyl sulfonyl)-4-(4-(pyrrolidin-1-ylmethy l)piperidin-1-yl)quinolin-6-yl)phenol	530
413		5-(3-acetyl-4-(4-(pyrrolidin-1-yl methyl)phenylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	449
414		5-(3-acetyl-4-(4-((dimethylamino) methyl)phenylamino)quinolin-6-yl) pyrimidine-2-carbonitrile	422
415		1-(6-(3,5-dichloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl)phenyl amino)quinolin-3-yl)ethanone	506
416	 •2HBr	1-(6-(3,5-dichloro-4-hydroxyphenyl) -4-(4-(pyrrolidin-1-ylmethyl)phenyl amino)quinolin-3-yl)ethanone **dihydrobromide**	506

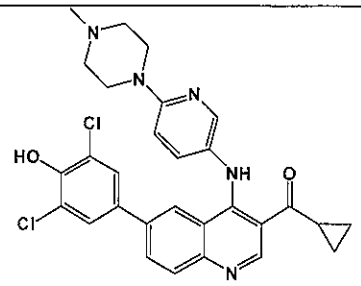
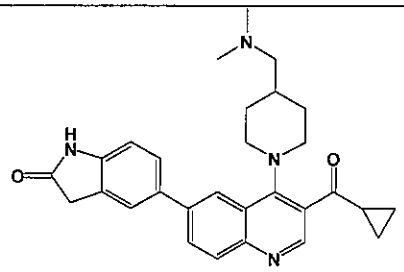
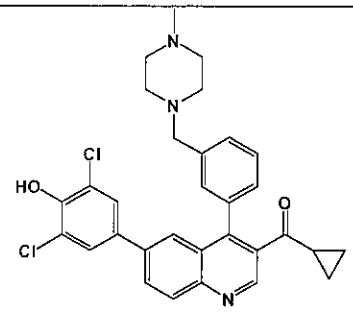
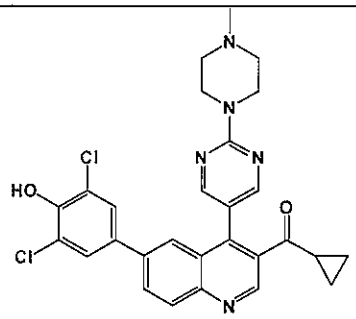
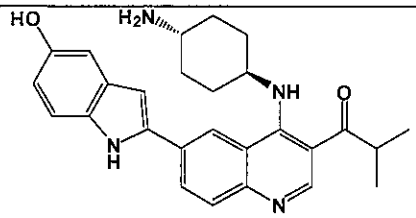
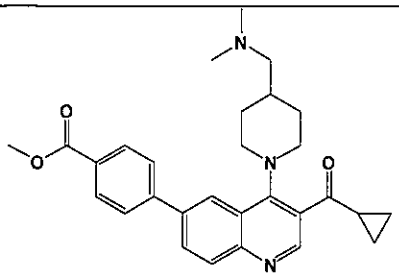
417		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl) methanone	507
418		5-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino) quinolin-6-yl)pyrimidine-2-carbonitrile	429
419		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone	502
420		2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	522
421		2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	522
422		2-chloro-4-(4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol	518

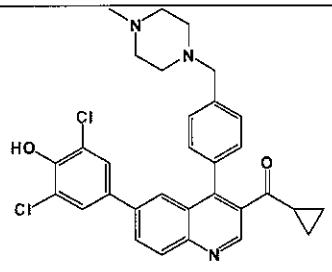
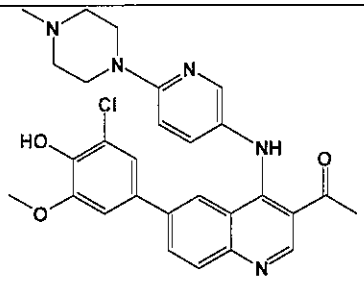
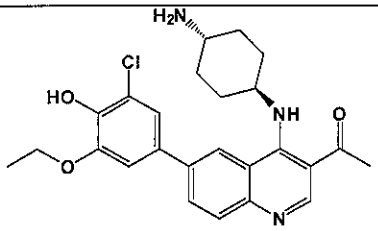
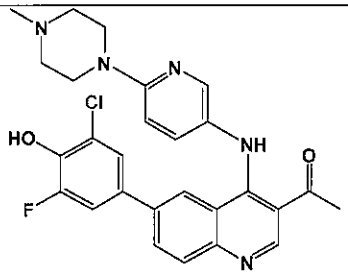
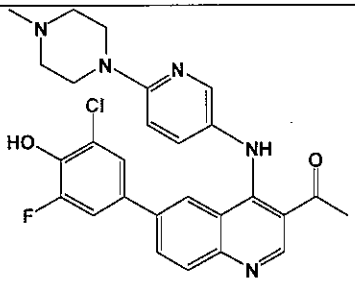
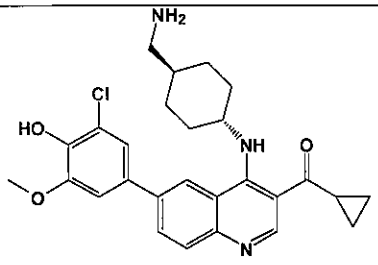
423		2-chloro-4-(4-(1R,4R)--4-((dimethyl amino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)- 6-fluorophenol	506
424		2-chloro-4-(4-(1R,4R)--4-((dimethyl amino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	488
425		(6-(3-chloro-5-fluoro-4-hydroxy phenyl)-4-(6-(piperazin-1-yl)pyridin -3-ylamino)quinolin-3-yl)(cycloprop yl)methanone	518
426		5-(3-(cyclopropanecarbonyl)-4-(1R, 4R)--4-((dimethylamino)methyl)cycl o hexylamino)quinolin-6-yl)pyrimidin e-2-carbonitrile	455
427		(6-(3-chloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino) quinolin-3-yl)(cyclopropyl)methano ne	500
429		(6-(3-chloro-4-hydroxy-5-methoxyp henyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(c yclopropyl)methanone	503

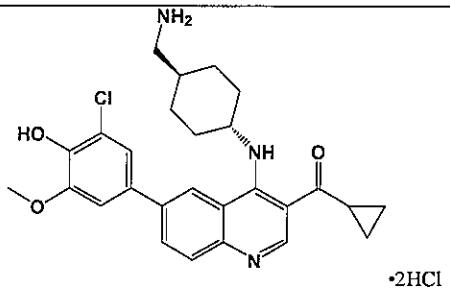
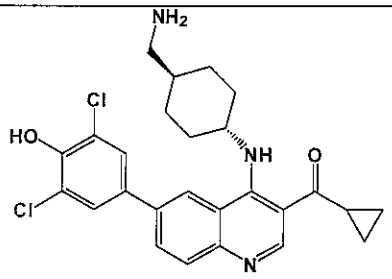
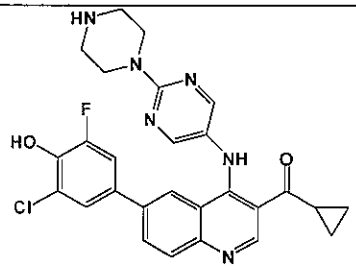
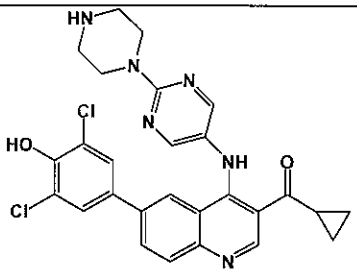
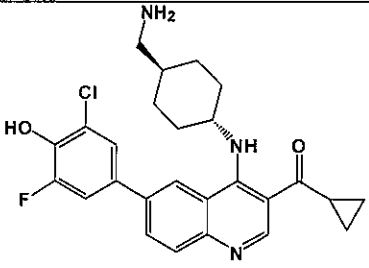
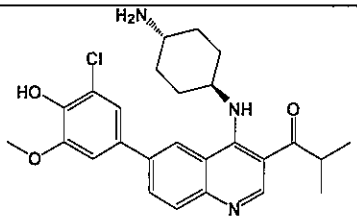
435		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	491
439		5-(3-(cyclopropanecarbonyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	450
440		4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-1-(2-(pyrrolidin-1-yl)ethyl)piperazin-2-one	537
443		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	517
444		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	529
445		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	533

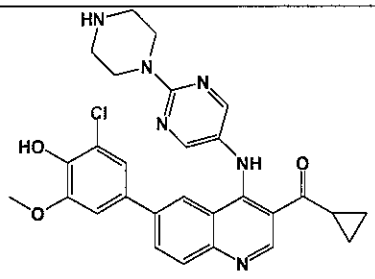
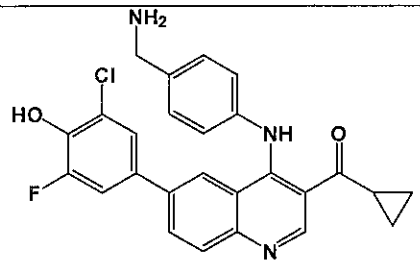
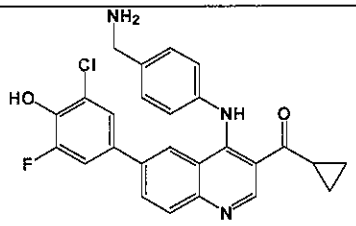
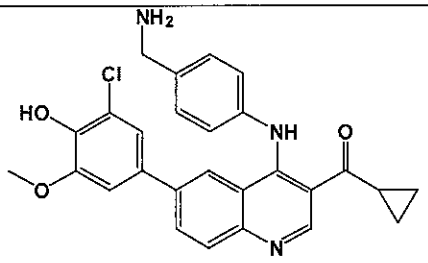
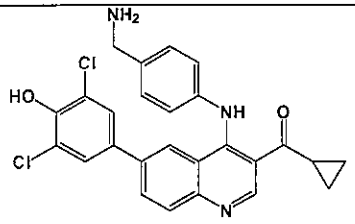
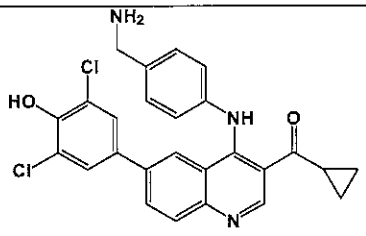
448		(6-(5-chloro-4-hydroxy-2-methylphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	478
449		cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)methanone	480
453		cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(1H-indol-5-yl)quinolin-3-yl)methanone	453
457		(6-(3-chloro-5-ethoxy-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	508
459		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-yl)quinolin-3-yl)methanone	519
460		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	532

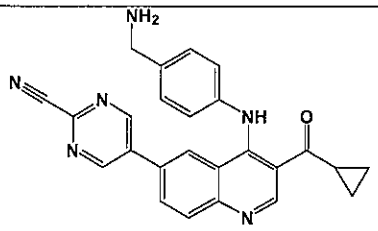
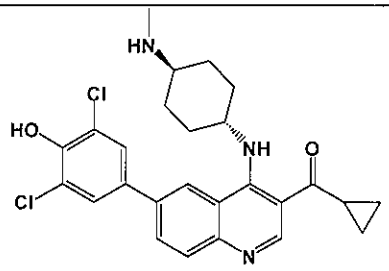
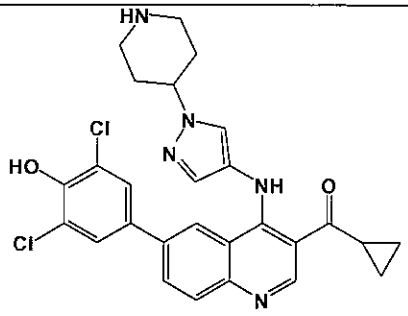
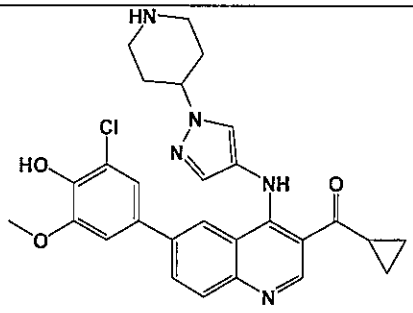
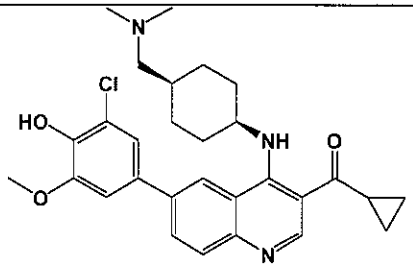
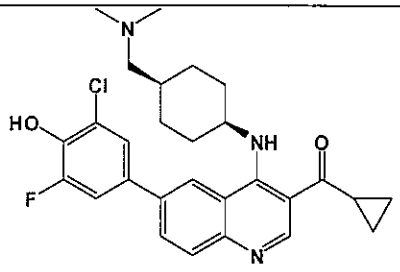
461		5-(3-(cyclopropanecarbonyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	491
462		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	544
463		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)methanone	548
469		5-(3-(cyclopropanecarbonyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	491
470		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	544
471		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	532

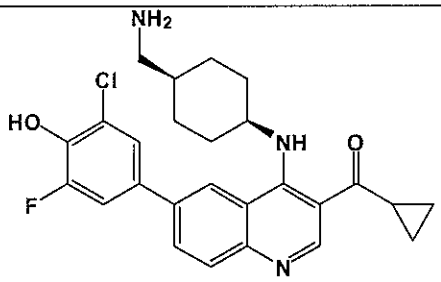
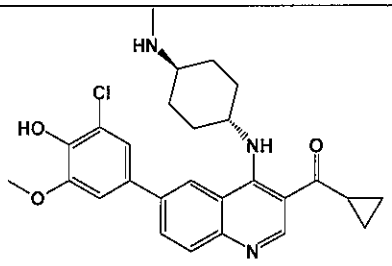
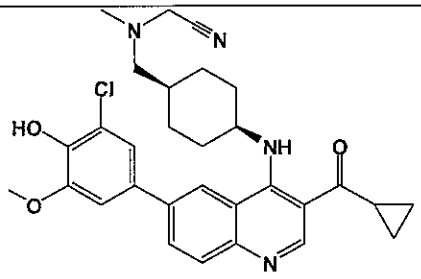
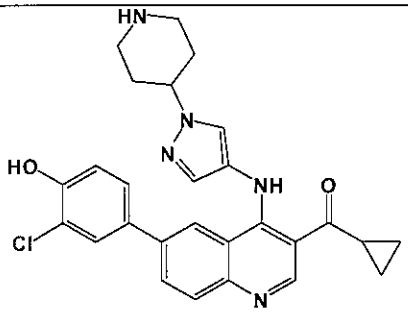
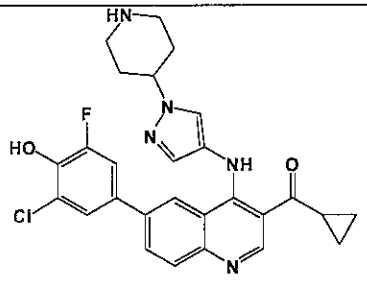
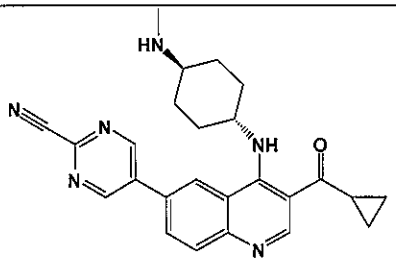
472		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	548
474		5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)indolin-2-one	469
478		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	546
479		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)methanone	534
480		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(5-hydroxy-1H-indol-2-yl)quinolin-3-yl)-2-methylpropan-1-one	443
481		methyl 4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoate	472

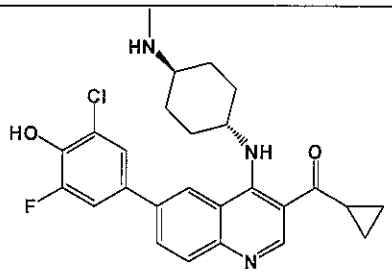
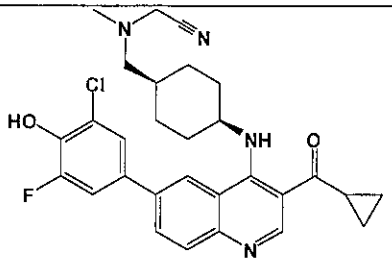
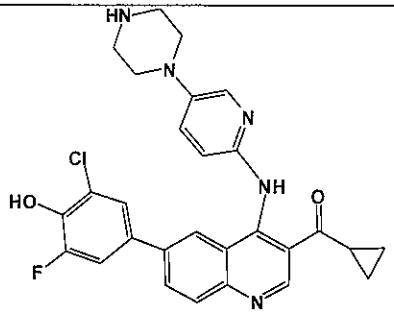
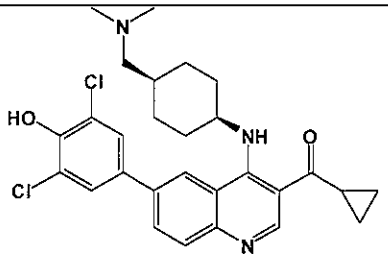
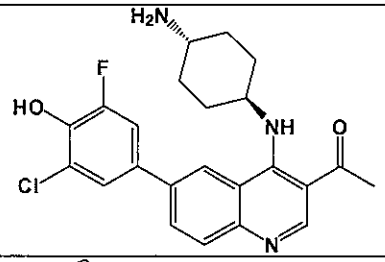
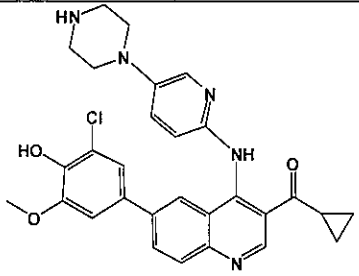
482		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	546
483		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	518
486		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-5-ethoxy-4-hydroxyphenyl)quinolin-3-yl)ethanone	454
487		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	506
488		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	506
493		(4-(1R,4R)--4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	480

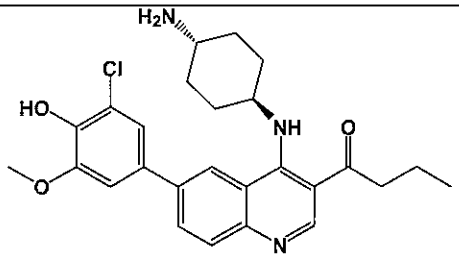
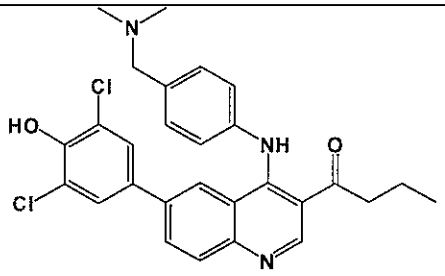
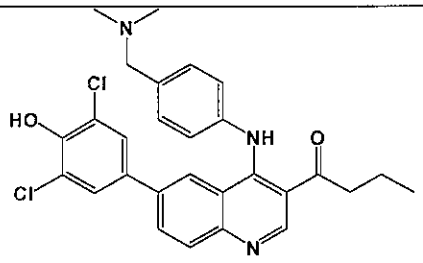
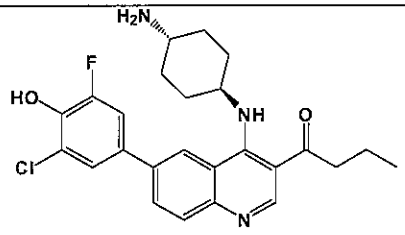
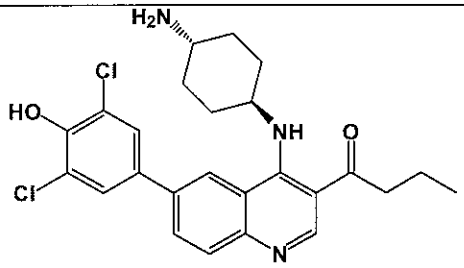
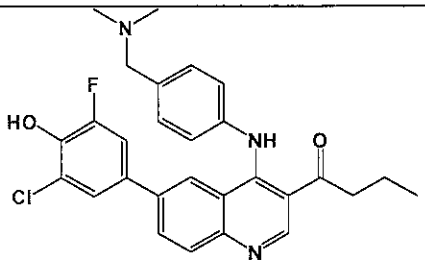
494	 •2HCl	(4-(1R,4R)--4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	480
495		(4-(1R,4R)--4-(aminomethyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	484
496		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	519
497		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)methanone	535
501		(4-(1R,4R)--4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	468
502		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-2-methylpropan-1-one	468

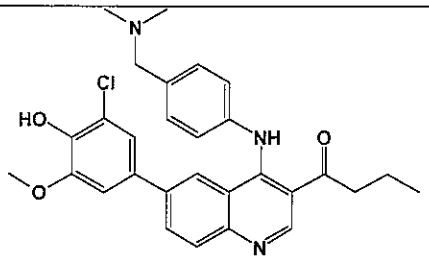
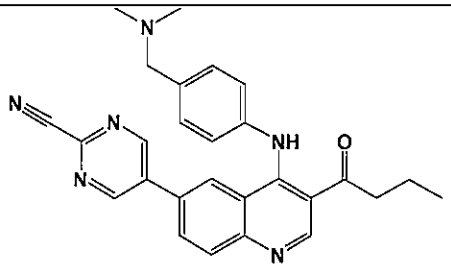
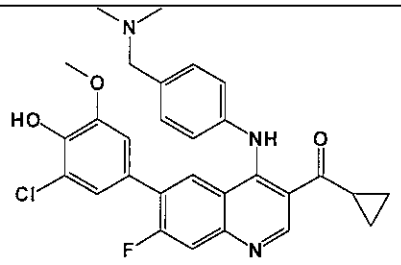
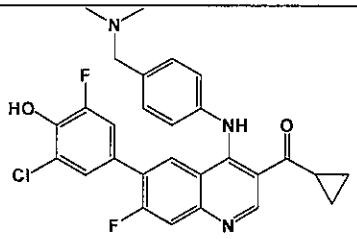
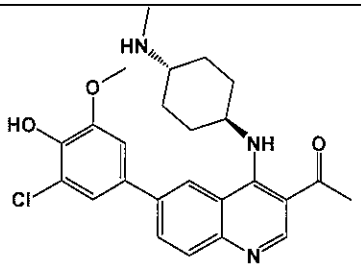
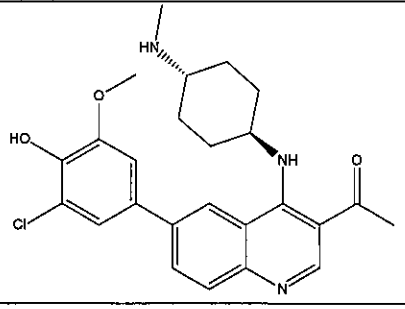
503		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	531
504		(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	462
505	 •2HCl	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	462
506		(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	474
507		(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	478
508	 •2HCl	(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	478

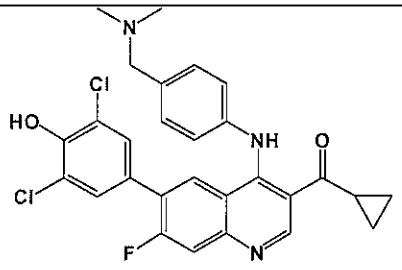
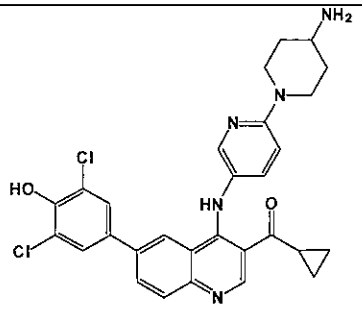
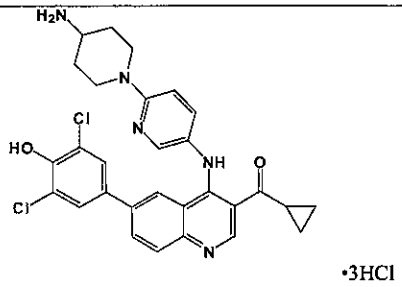
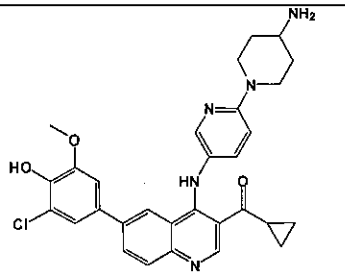
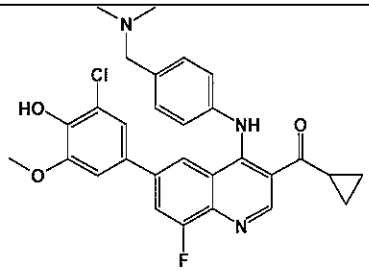
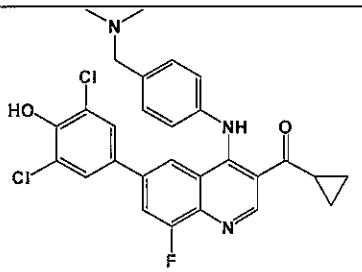
509		5-(4-(4-(aminomethyl)phenylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyrimidine-2-carbonitrile	420
510		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4--4-(methylamino)cyclohexylamino)quinolin-3-yl)methanone	484
511		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	522
512		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	518
513		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	508
514		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	496

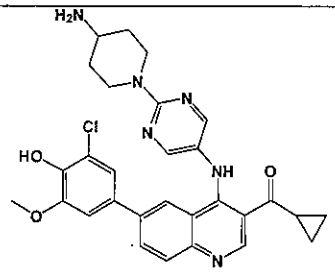
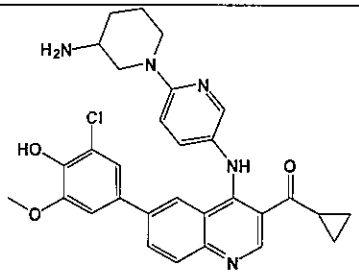
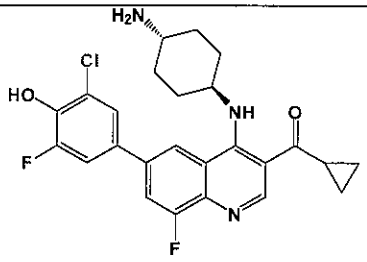
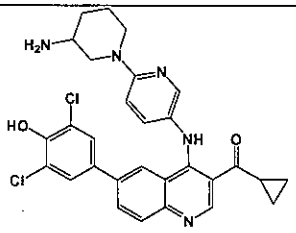
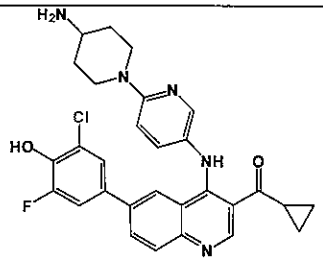
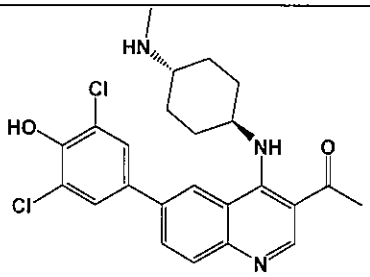
515		(4-((1s,4s)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	468
517		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-(methylamino)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone	480
518		2-((((1s,4s)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	533
519		(6-(3-chloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	488
520		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	506
522		5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	427

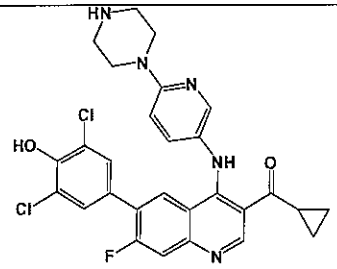
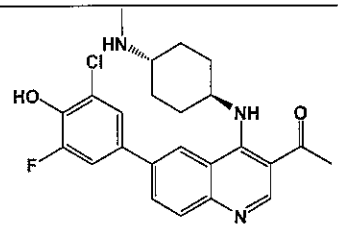
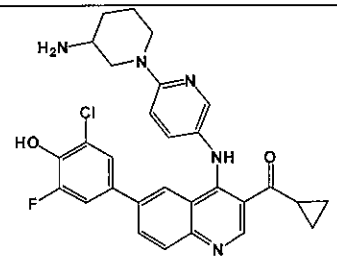
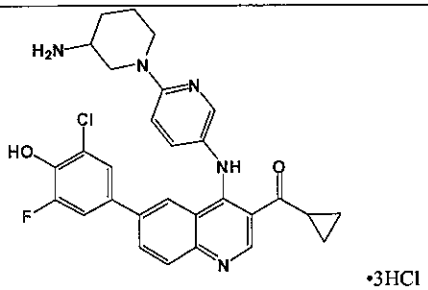
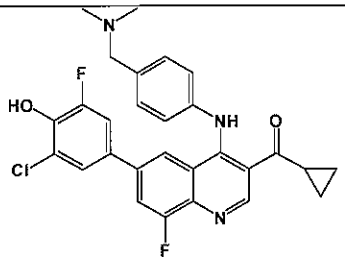
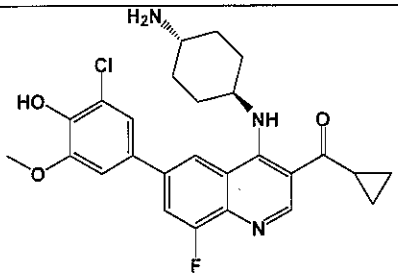
523		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	468
524		2-((((1s,4s)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	521
525	 ·HCl	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	518
526		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	512
527		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	428
528	 ·HCl	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	530

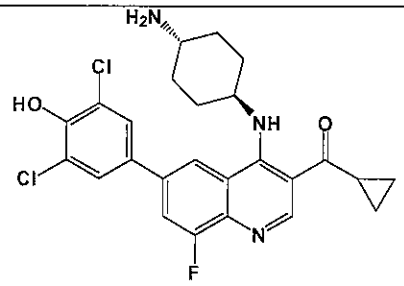
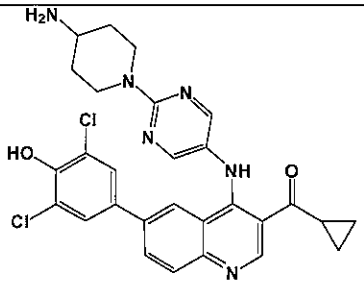
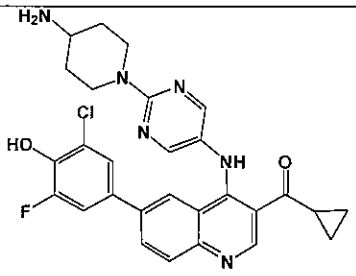
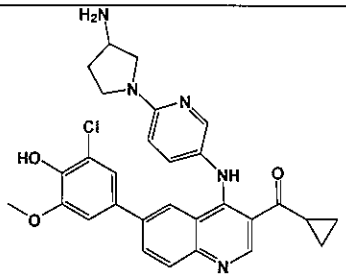
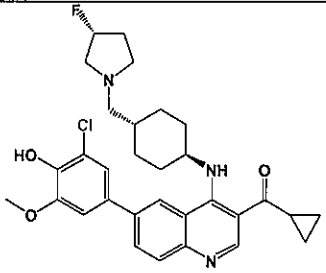
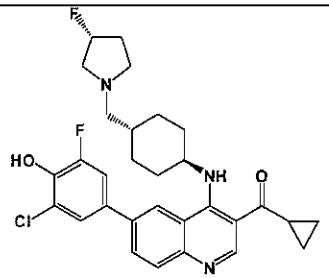
529		1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)butan-1-one	468
530		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	508
531	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one dihydrochloride	508
532		1-(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	456
535		1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	472
537		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	492

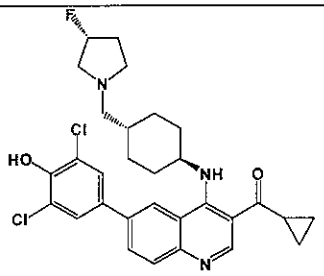
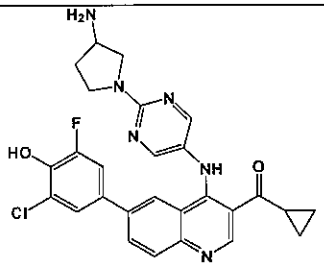
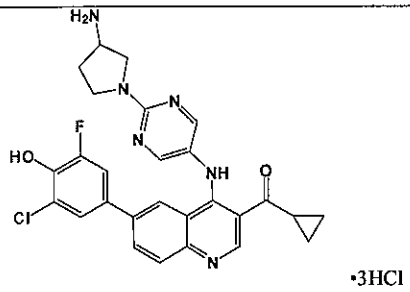
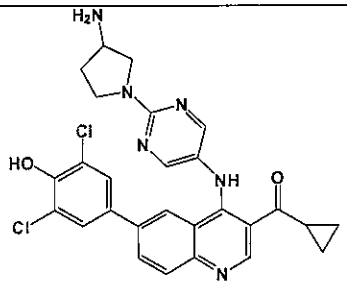
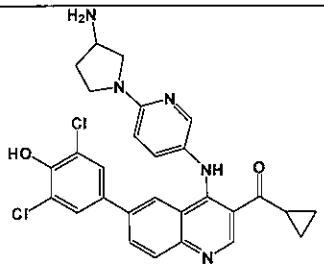
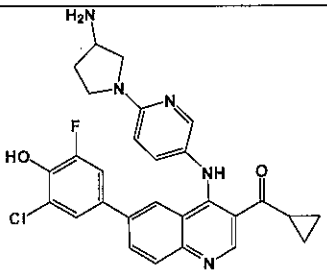
538		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	504
539		5-(3-butyryl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	451
540		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	520
541		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	508
542		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	454
543		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	454

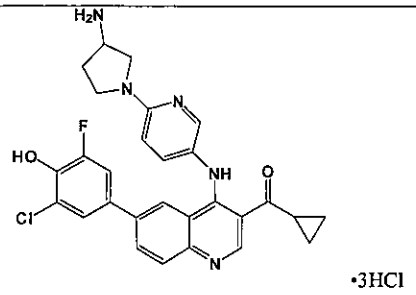
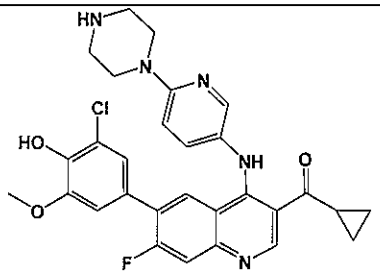
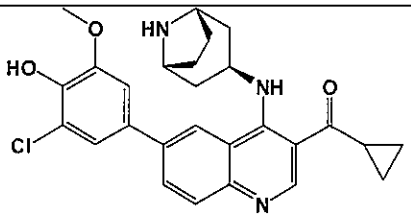
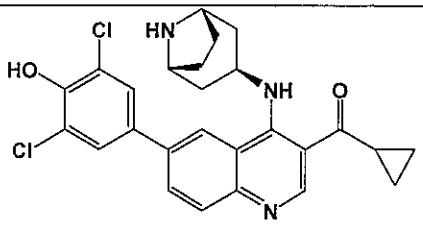
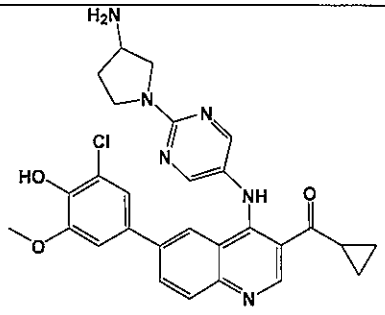
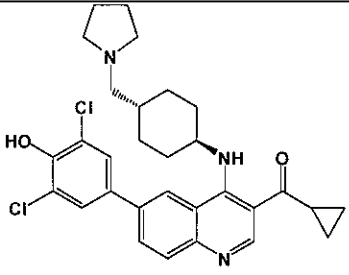
547		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)methanone	524
548		(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548
549		(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	548
550		(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	544
551		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	520
552		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)methanone	524

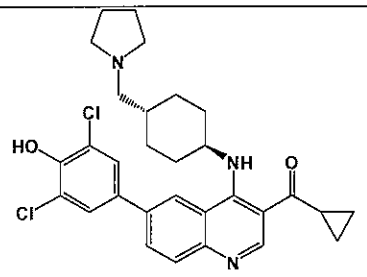
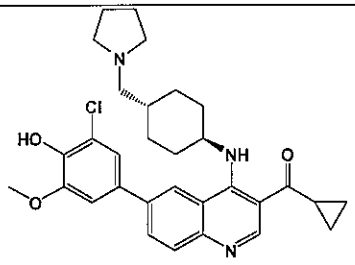
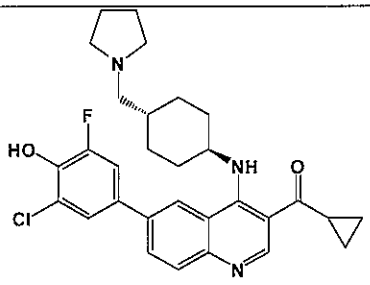
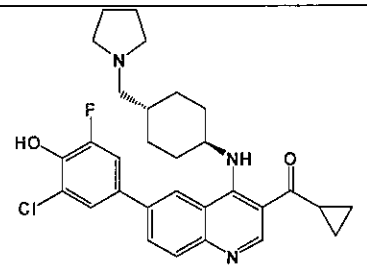
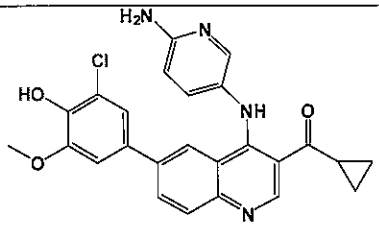
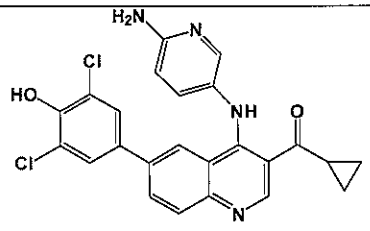
553		(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	545
554		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	544
555		(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	472
556		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548
557		(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	532
558		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	458

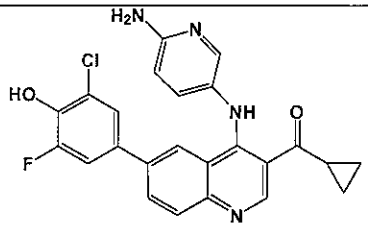
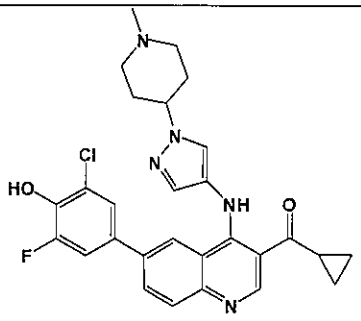
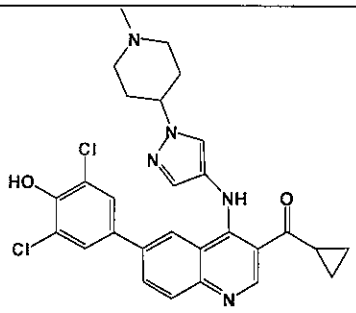
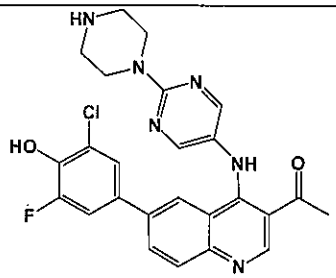
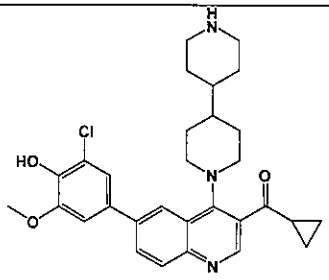
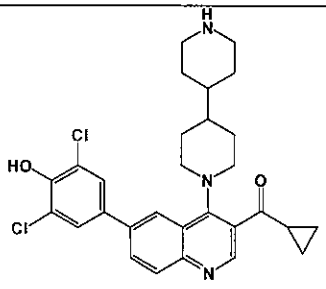
559		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	552
560		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	442
561		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	532
562		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	532
563		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	508
564		(4-(1R,4R)--4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	484

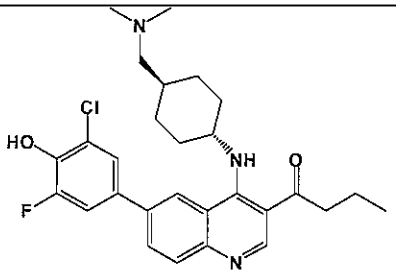
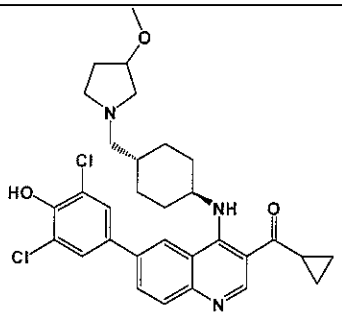
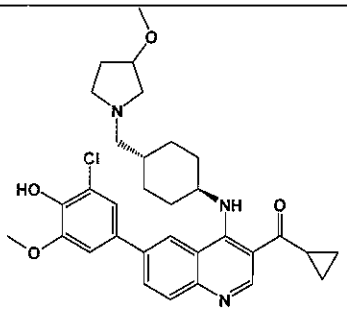
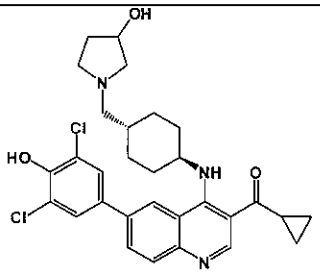
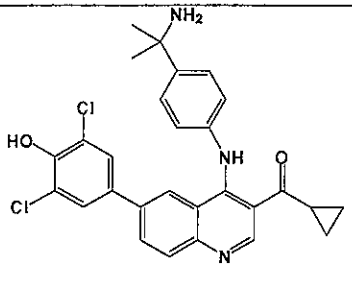
565		(4-(1R,4R)--4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	488
566		(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	549
567		(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	533
568		(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	530
569		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	552
570		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	540

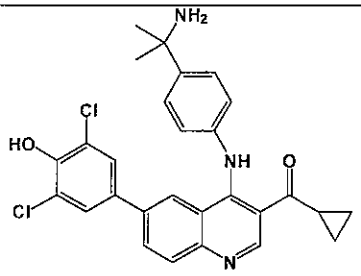
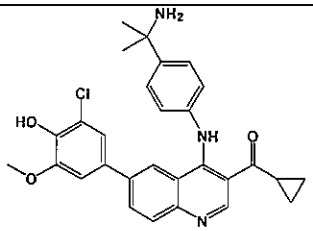
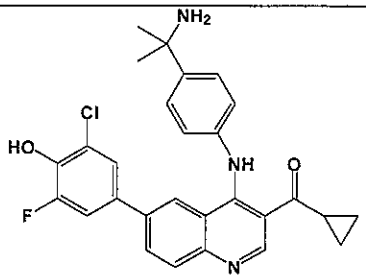
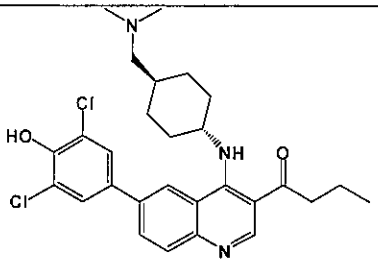
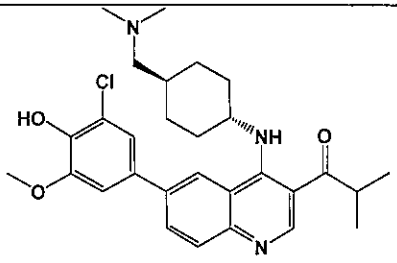
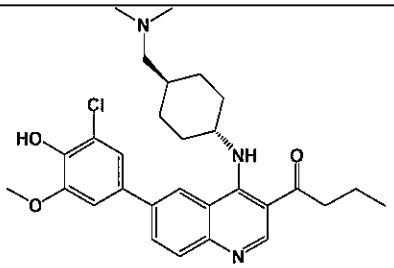
571		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	556
572		(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	519
573	 •3HCl	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	519
574		(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	535
575		(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	534
576		(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	518

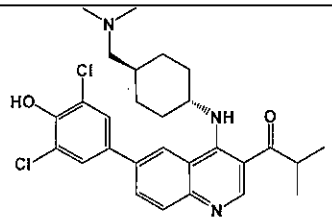
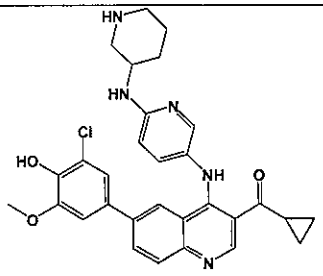
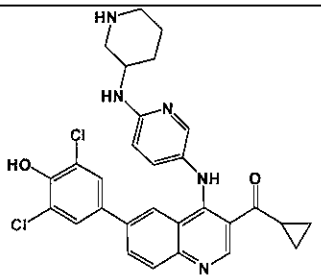
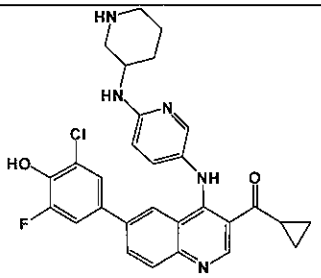
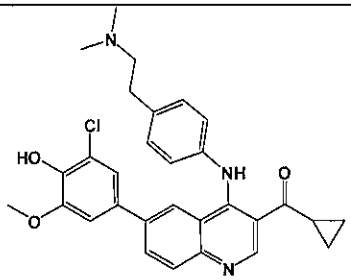
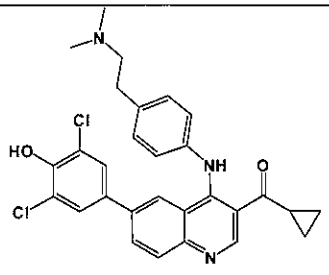
577	 •3HCl	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride)	518
578		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	548
579		(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	478
580		(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	482
582		(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	531
584		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone	539

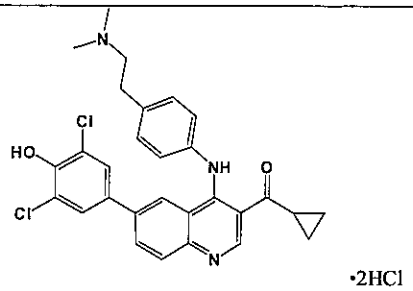
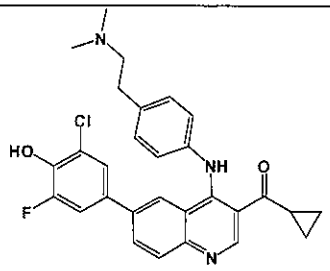
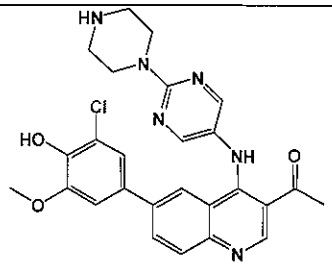
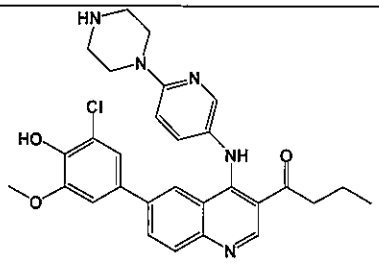
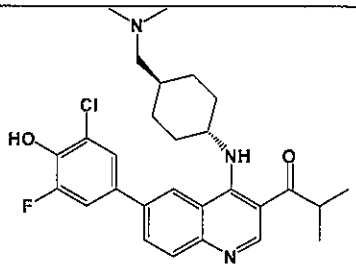
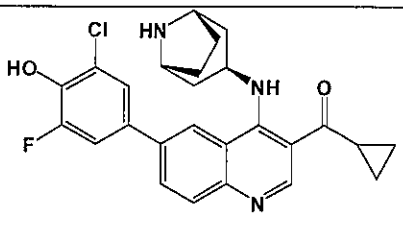
585	 •2HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	539
586		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	534
587		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	522
588	 •2HCl	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	522
589		(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	461
590		(4-(6-aminopyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	465

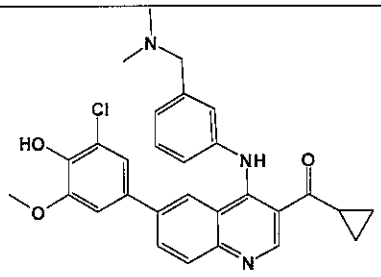
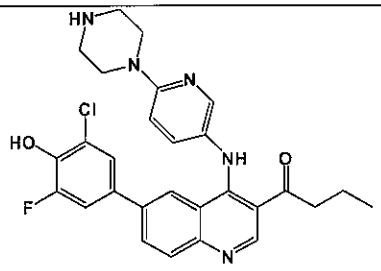
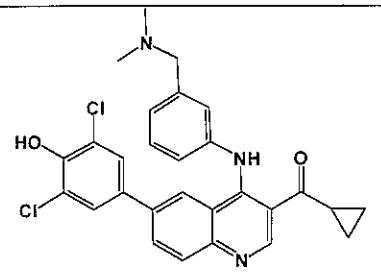
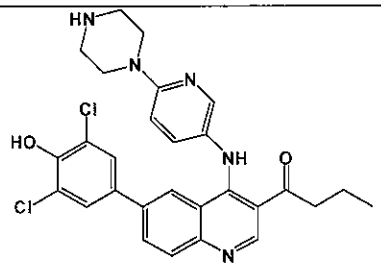
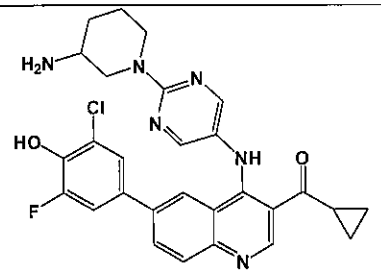
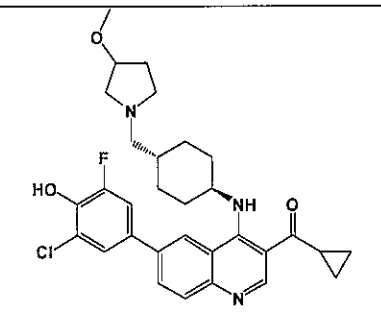
591		(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	449
592		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	520
593		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	536
594		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	493
595		(4-(4,4'-bipiperidin-1-yl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	520
596		(4-(4,4'-bipiperidin-1-yl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	524

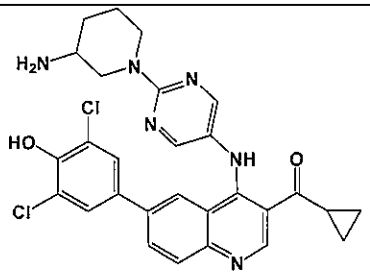
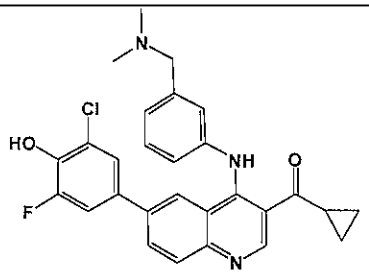
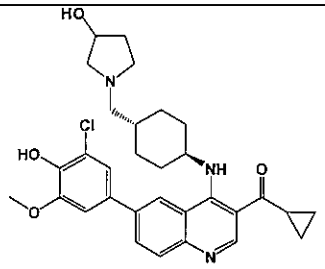
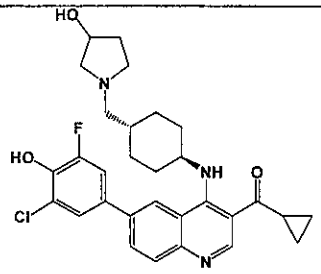
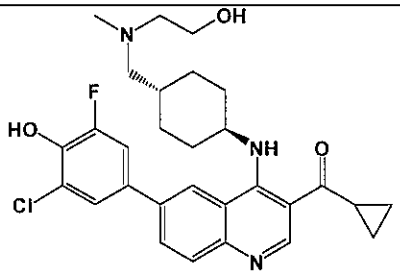
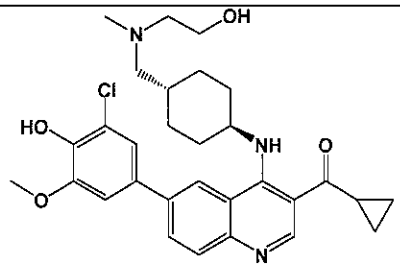
597		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	498
598		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	569
599		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	564
600		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	555
601	 •2HCl	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	506

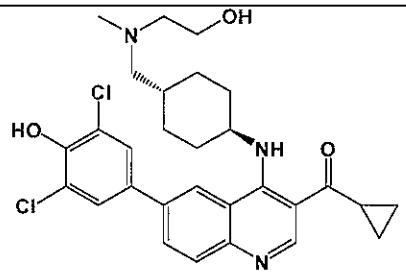
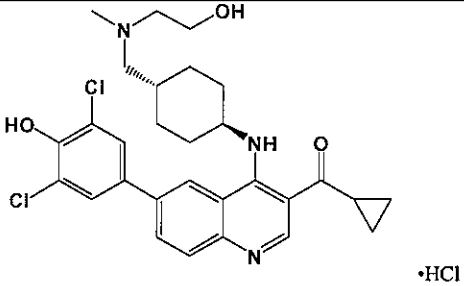
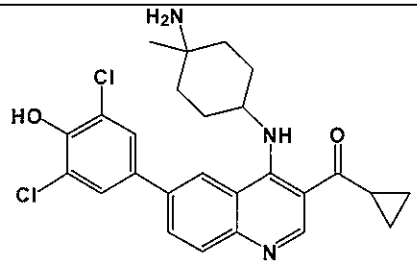
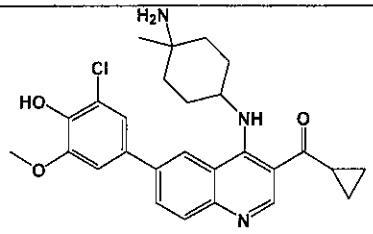
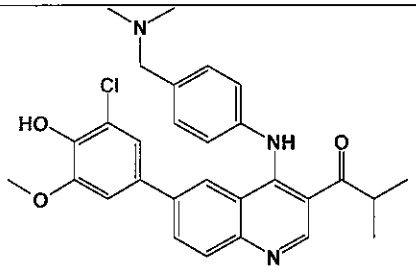
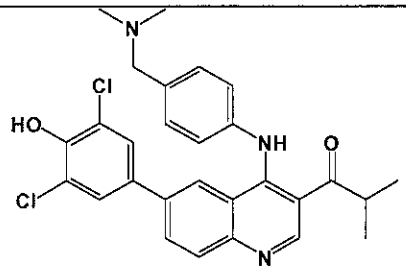
602		(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	506
603		(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	502
604		(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	490
605		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	514
606		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	510
607		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	510

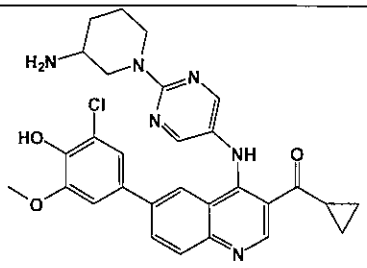
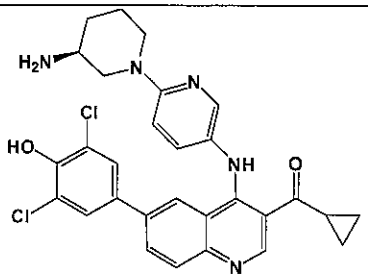
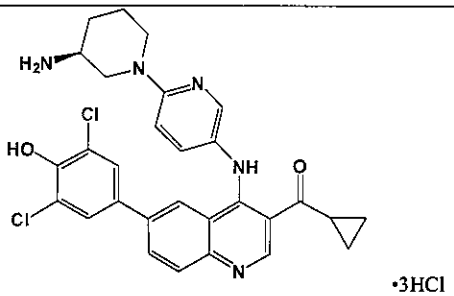
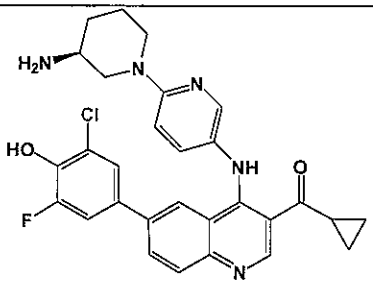
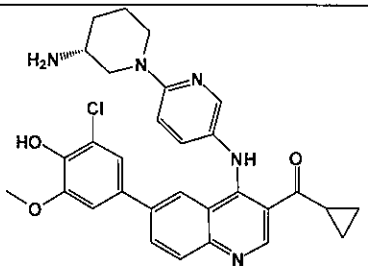
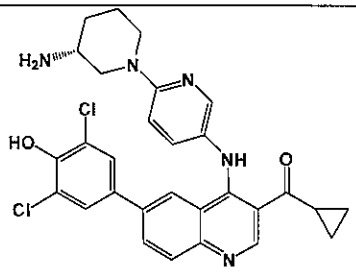
608		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	514
609		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	544
610		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	548
611		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	532
612		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	516
613		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	520

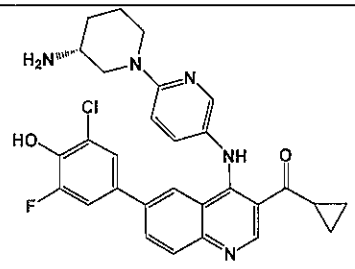
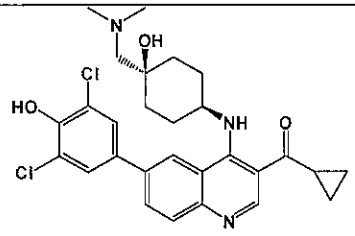
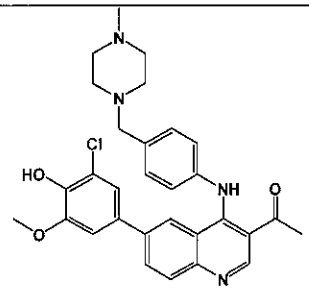
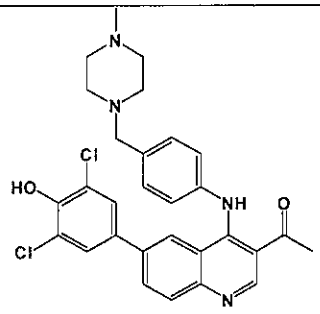
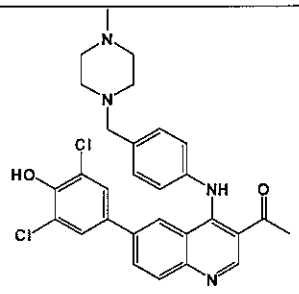
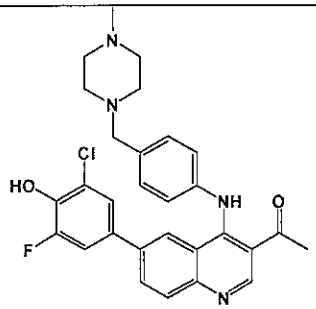
614	 •2HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	520
615		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	504
616		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	505
617		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	532
620		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	498
621		(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	466

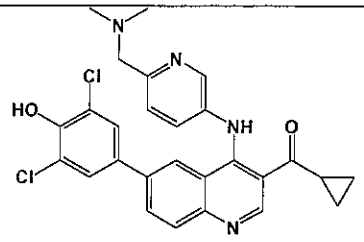
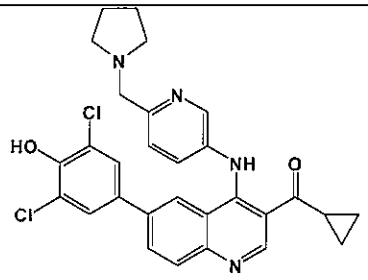
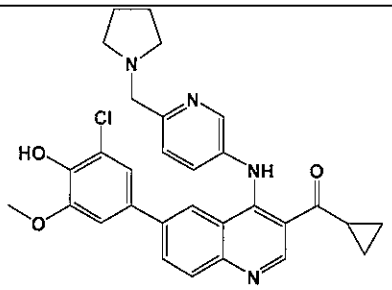
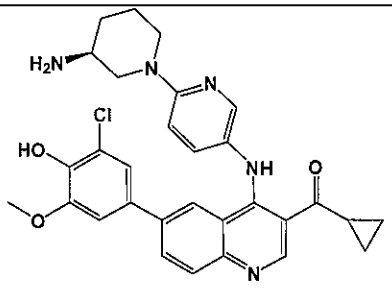
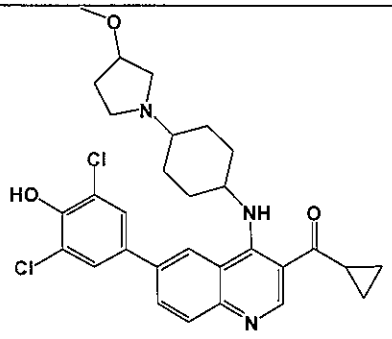
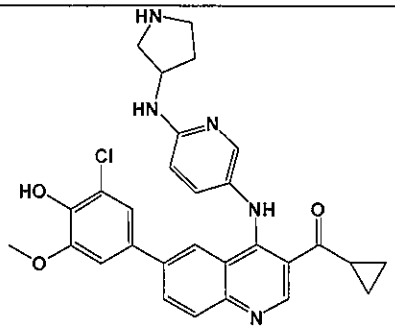
622		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	502
623		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	520
624		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)methanone	506
625		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	536
626		(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	533
627		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	552

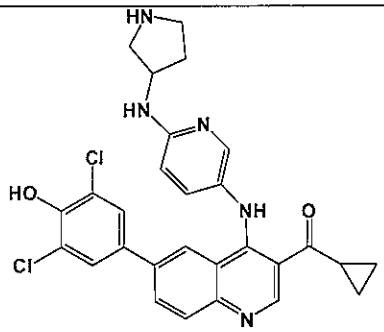
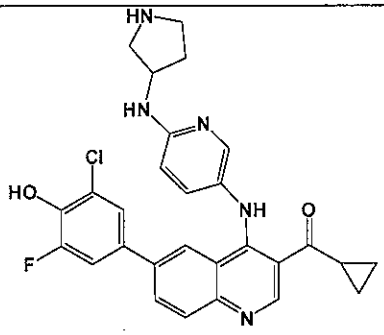
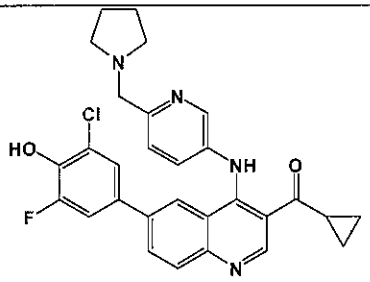
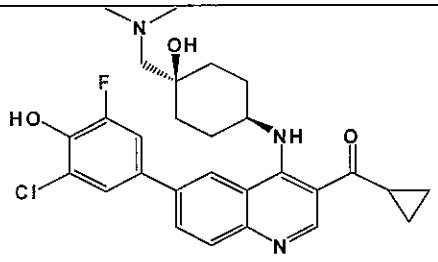
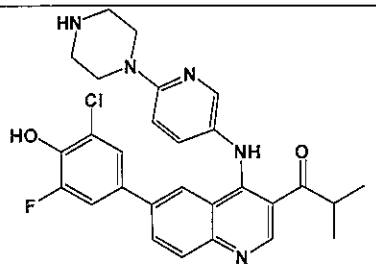
628		(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	549
629		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	490
630		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	550
631		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	538
632		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)--4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	526
633		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)--4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	538

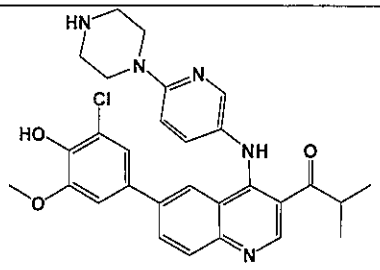
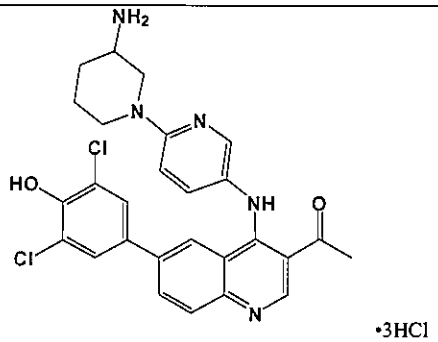
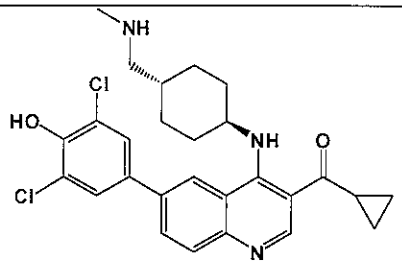
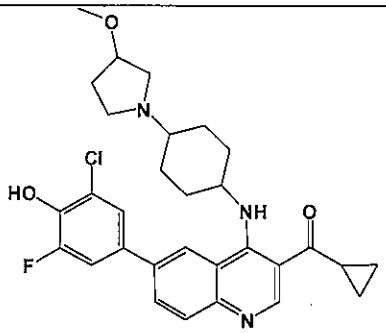
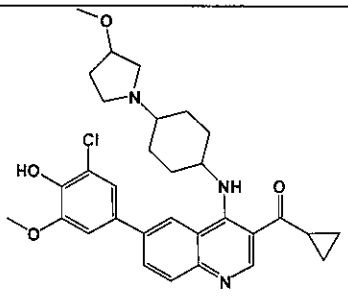
634		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone	542
635		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)--4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	542
638		(4-(4-amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	484
639		(4-(4-amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	480
640		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	504
641		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	508

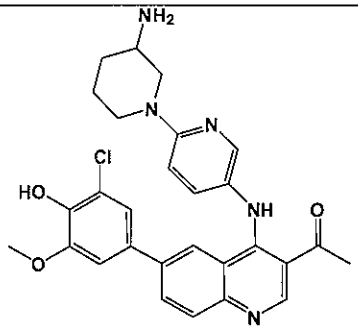
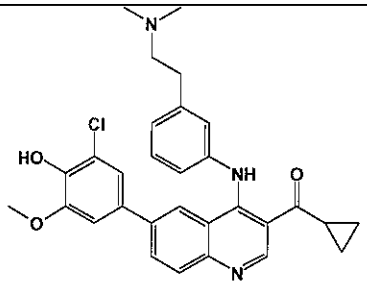
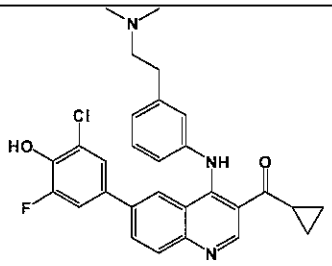
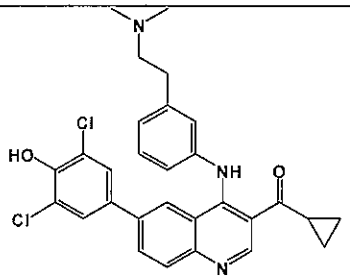
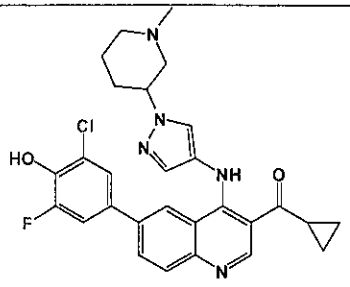
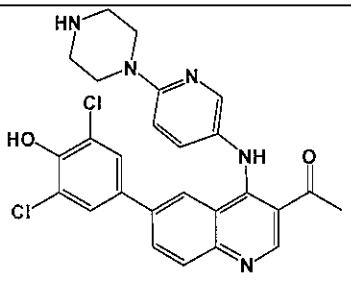
644		(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	545
646		(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548
647		(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	548
648		(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	532
649		(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	544
650		(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548

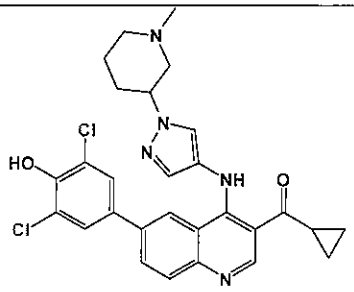
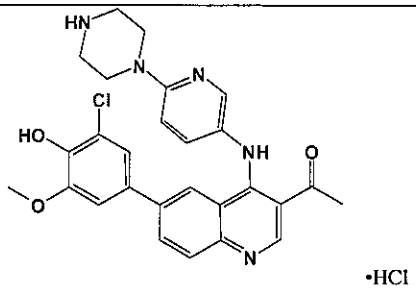
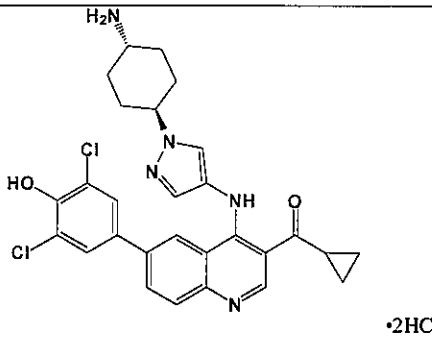
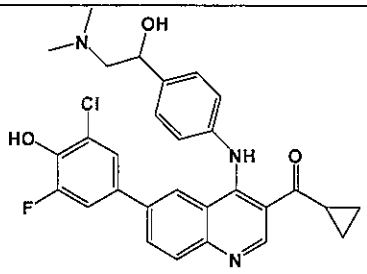
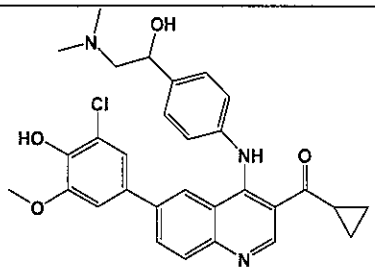
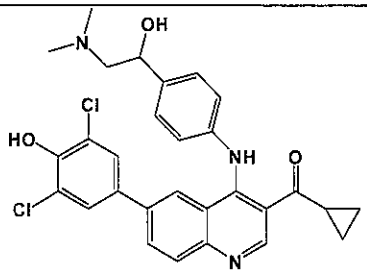
651		(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	532
652		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)methanone	528
653		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	531
654		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	535
655	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)methyl)phenyl)amino)quinolin-3-yl)ethanone	535
656		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	519

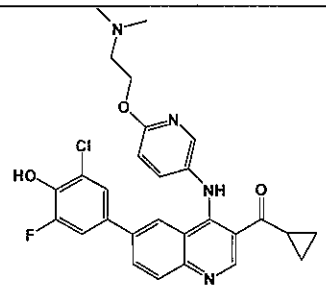
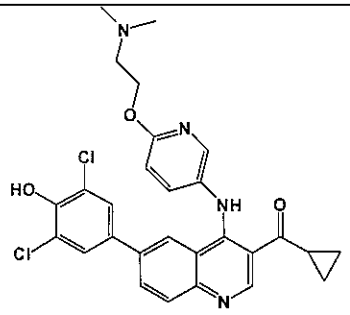
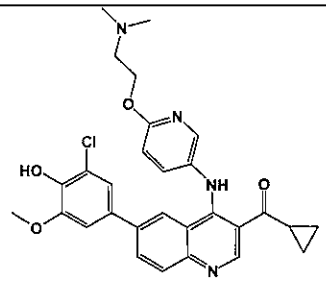
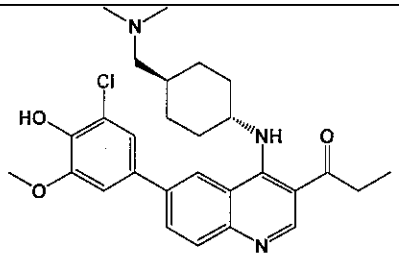
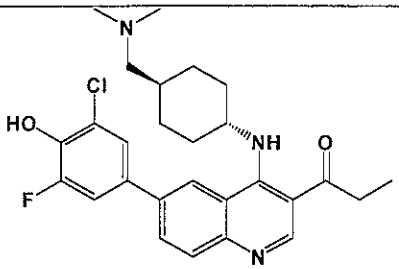
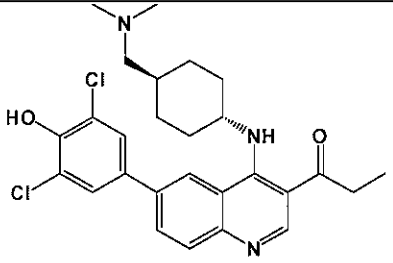
657		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((dimethylamino)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone	507
658		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	533
659		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	529
660		(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	544
661		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	555
665		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	530

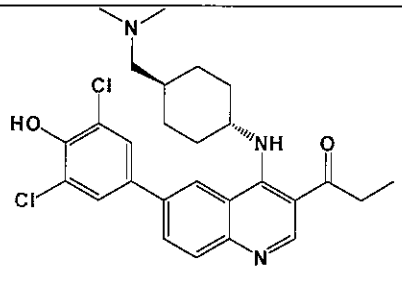
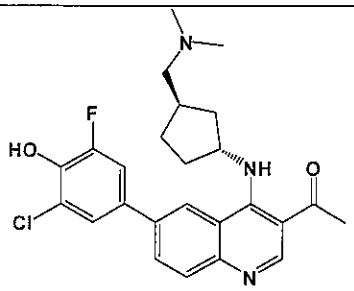
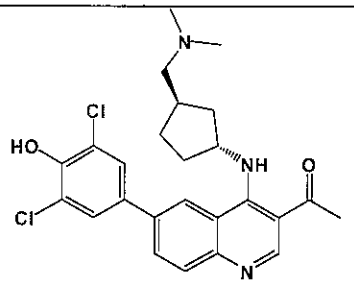
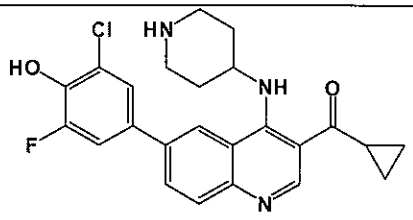
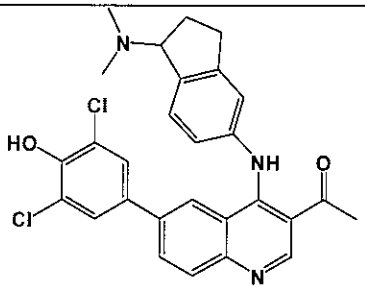
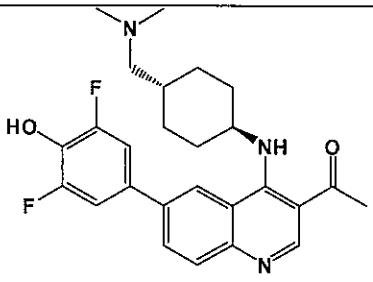
666		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	534
667		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	518
672		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	517
673		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	512
674		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	520

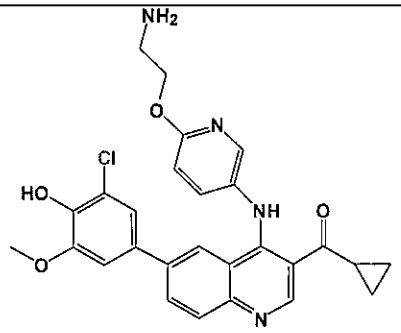
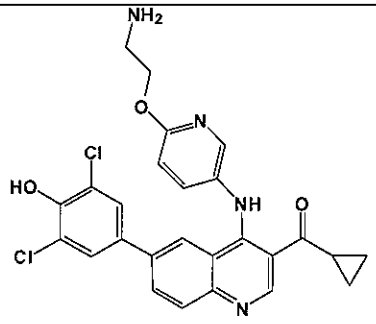
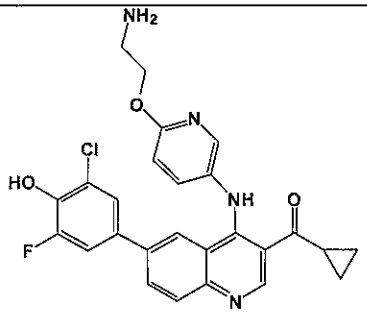
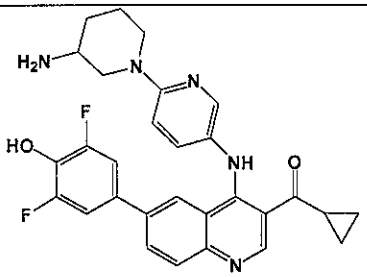
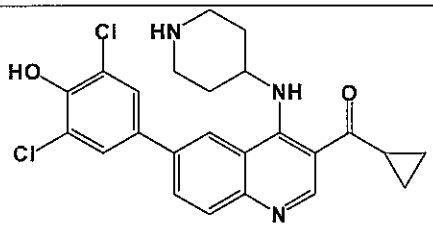
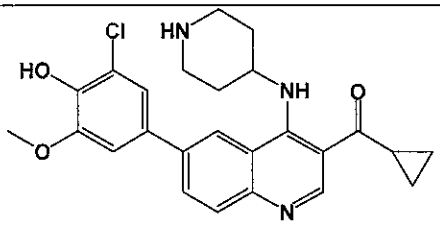
680		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	532
681		1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone	522
685		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((methylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	498
686		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	538
687		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	550

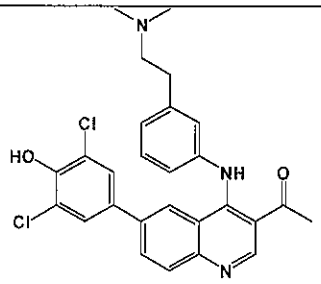
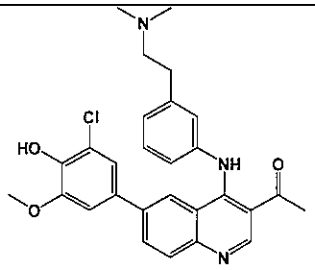
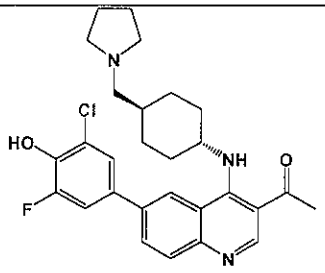
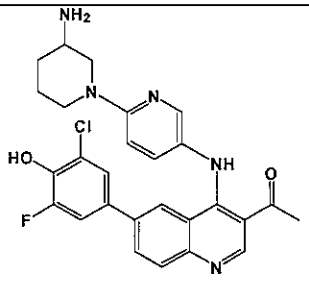
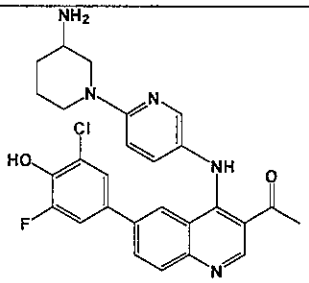
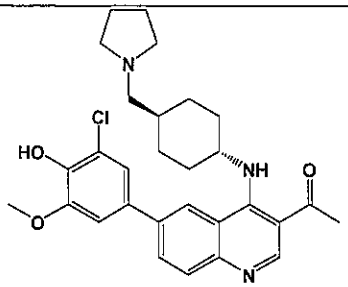
688		1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	518
692		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	516
693		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	504
698		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	520
699		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	520
702	 ·3HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	508

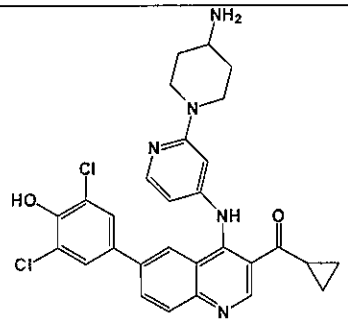
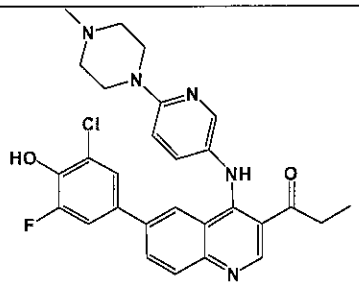
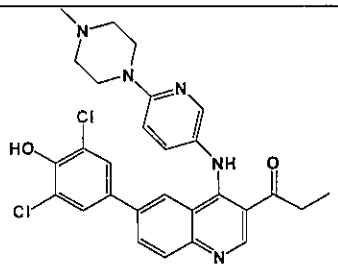
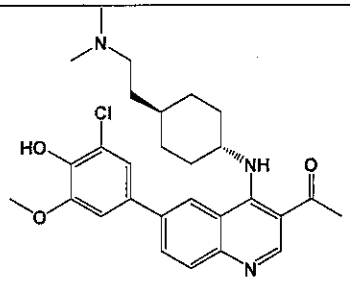
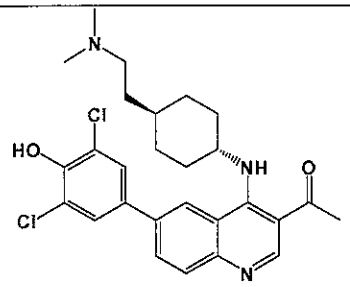
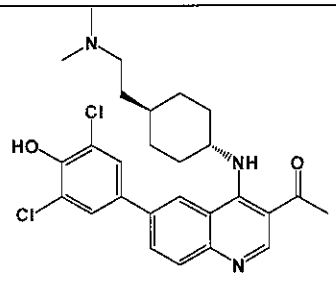
703		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	536
704	 •HCl	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	504
706	 •2HCl	(4-(1-(1R,4R)-4-aminocyclohexyl)-1H-pyrazol-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	536
707		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	520
708		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	532
709		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)methanone	536

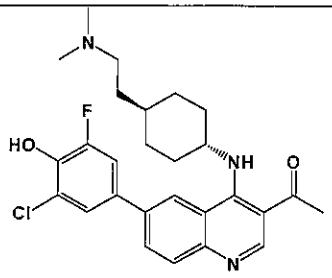
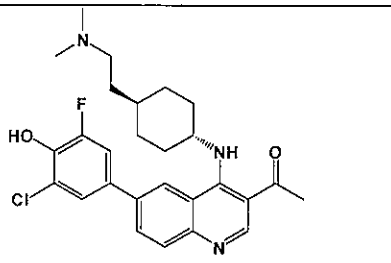
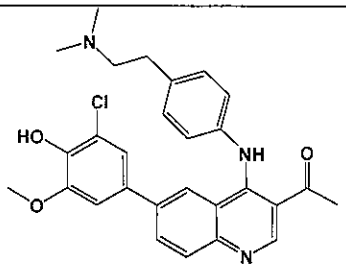
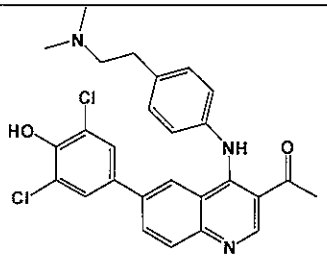
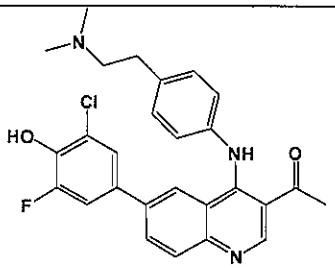
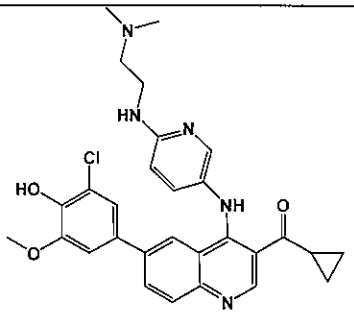
710		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	521
711		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)methanone	537
712		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	533
713		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	496
714		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	484
715		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	500

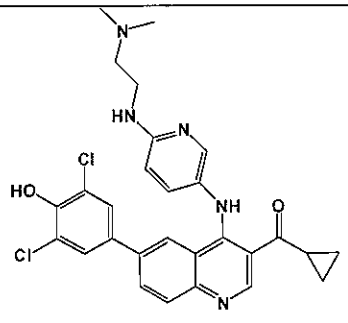
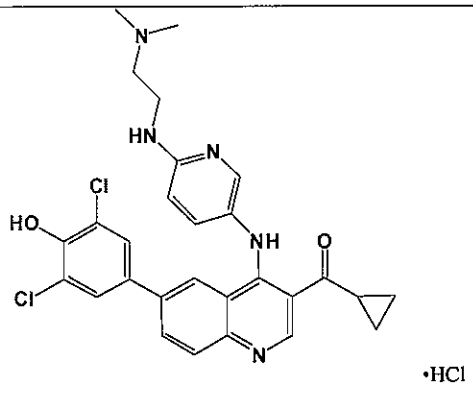
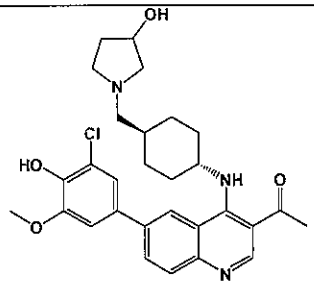
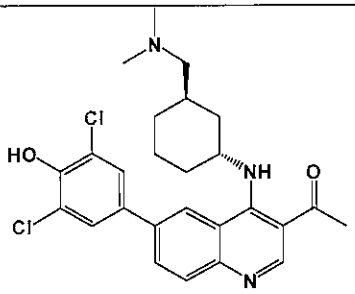
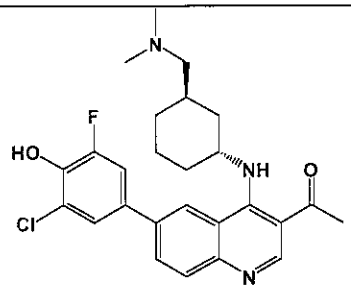
716	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one dihydrochloride	500
717		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	456
718		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	472
719		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	440
720		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(dimethylamino)-2,3-dihydro-1H-inden-5-ylamino)quinolin-3-yl)ethanone	506
721		1-(6-(3,5-difluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	454

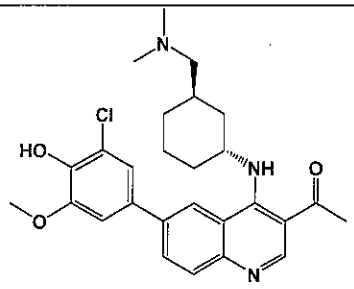
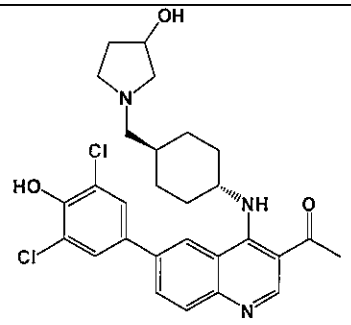
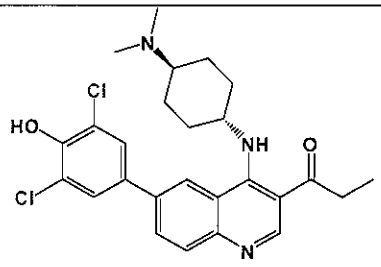
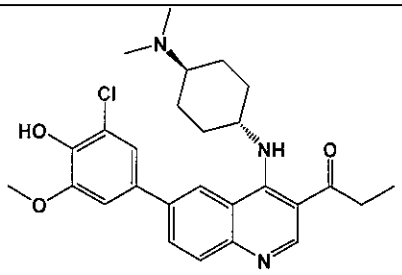
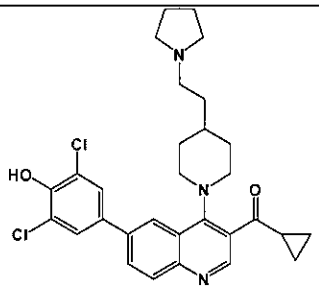
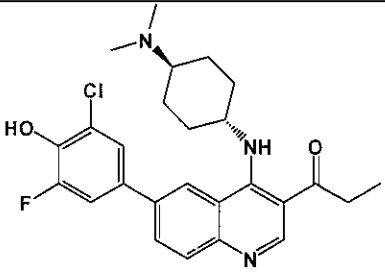
722		(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	505
723		(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	509
724		(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	493
725		(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	516
726		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)methanone	456
727		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	452

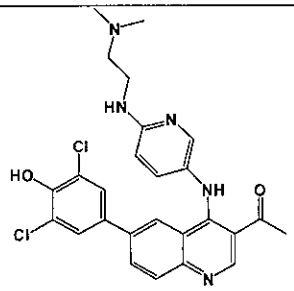
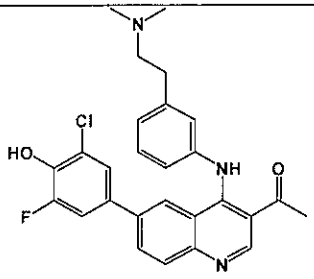
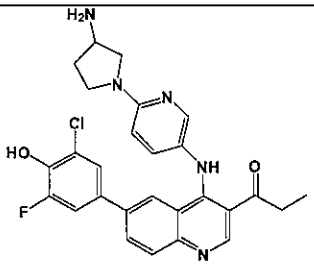
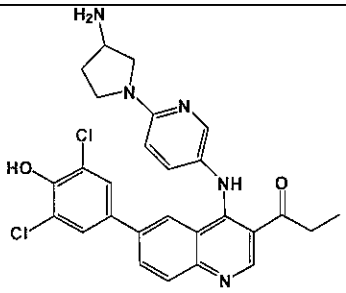
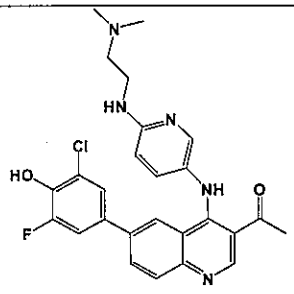
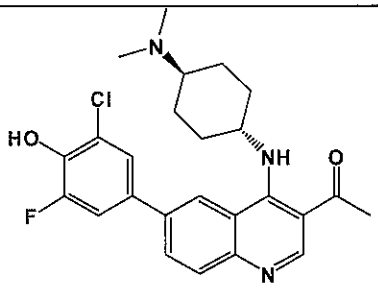
729		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	494
730		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	490
731		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	496
732		1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	506
733		1-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	506
734		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	508

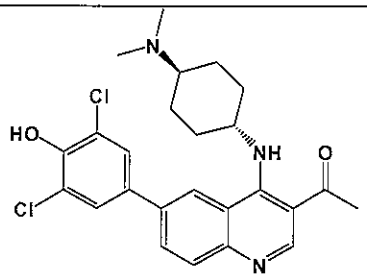
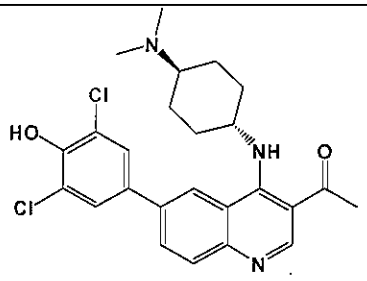
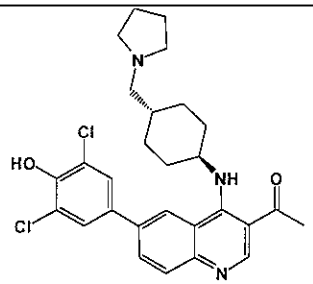
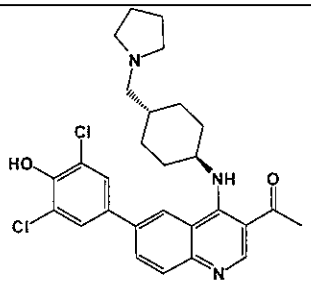
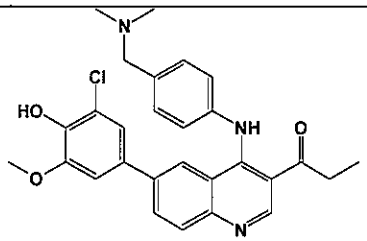
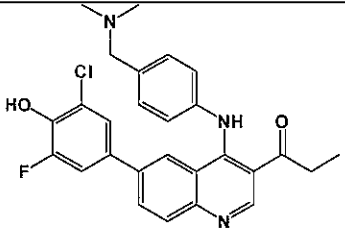
735		(4-(2-(4-aminopiperidin-1-yl)pyridin-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	548
736		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	520
737		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	536
738		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	496
739		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	500
740	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	500

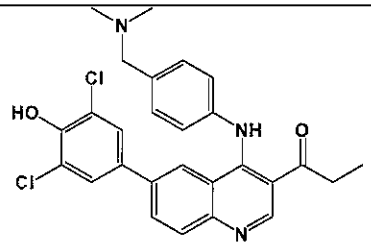
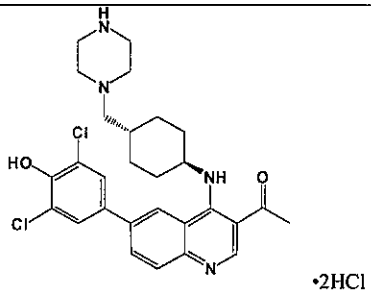
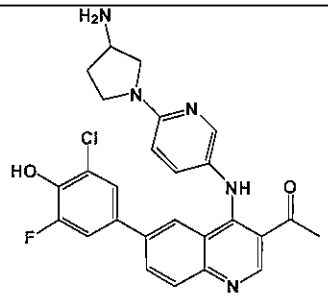
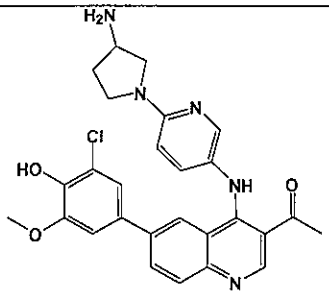
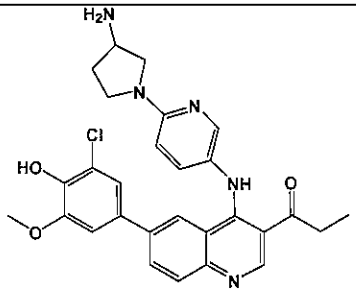
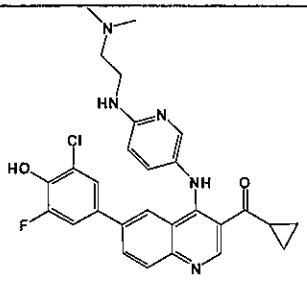
741		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	484
742	 ·2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone **di**hydrochloride	484
743		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	490
744		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	494
745		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	478
746		(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	532

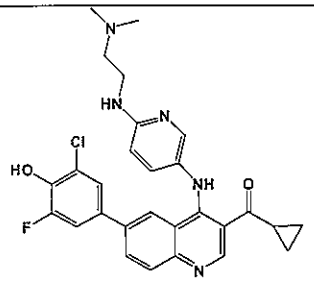
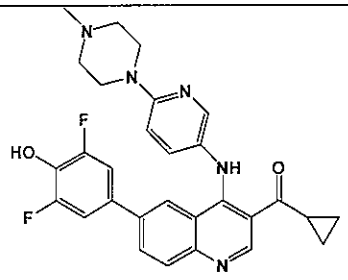
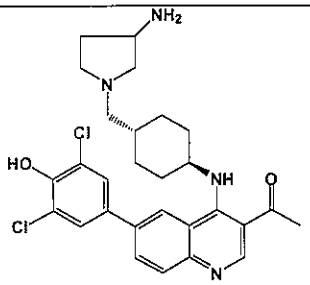
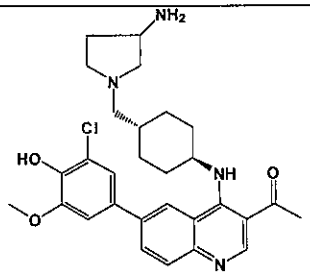
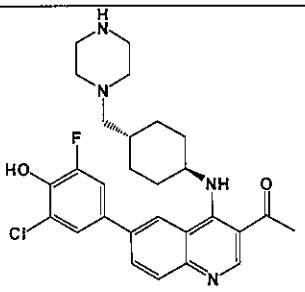
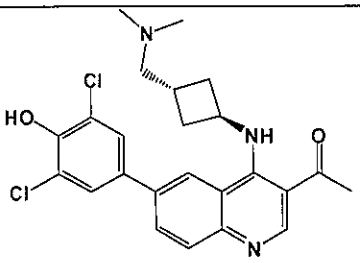
747		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	536
748		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone hydrochloride	536
749		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	524
750		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	486
751		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	470

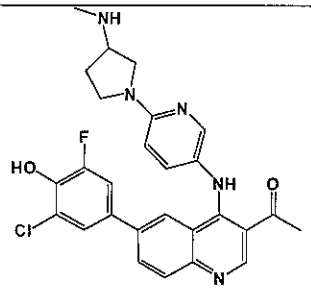
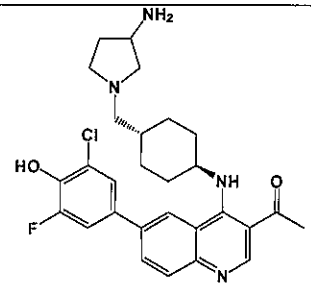
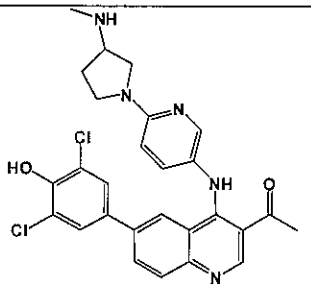
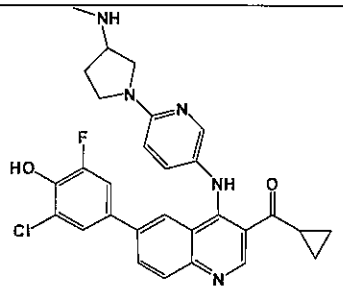
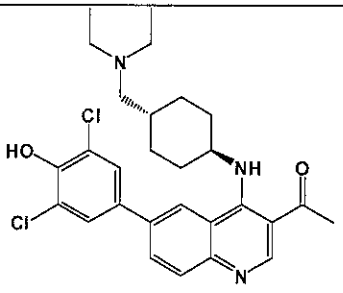
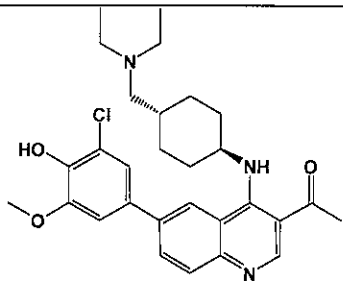
752		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	482
753		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	528
754		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	486
755		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	482
756		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl)quinolin-3-yl)methanone	539
757		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	470

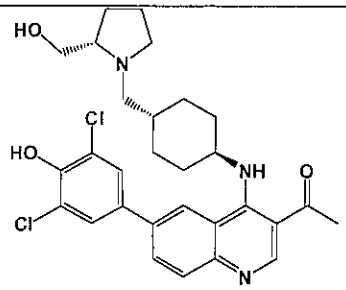
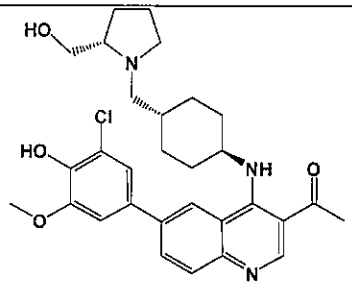
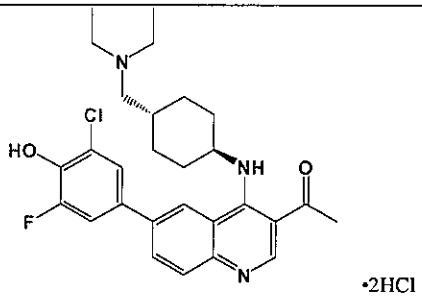
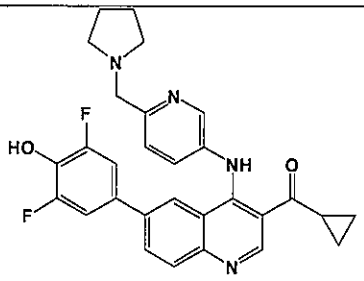
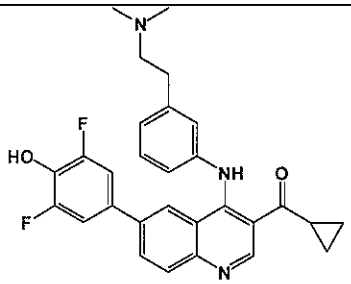
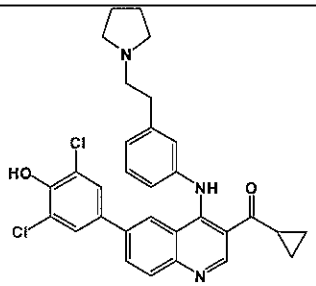
758		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	510
759		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	478
760		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	506
761		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	522
762		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	494
763		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	456

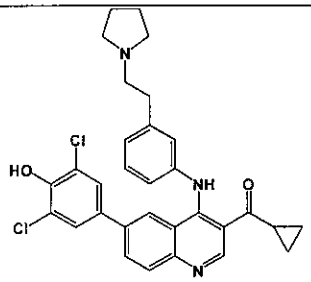
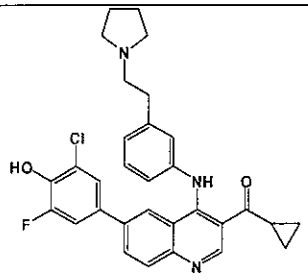
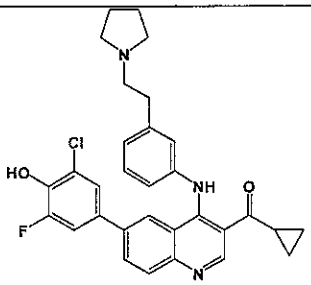
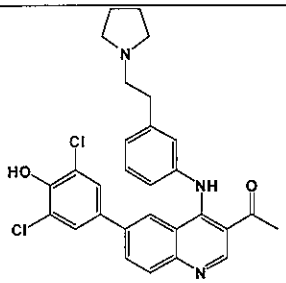
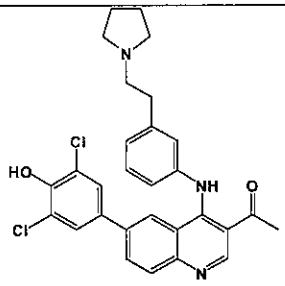
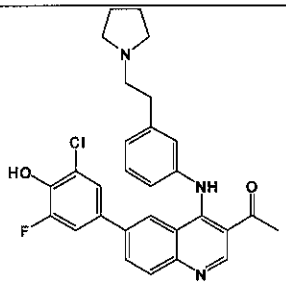
765		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	472
766	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	472
767		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	512
768	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	512
769		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	490
770		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	478

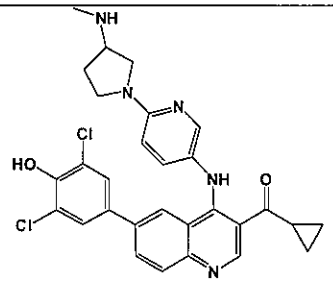
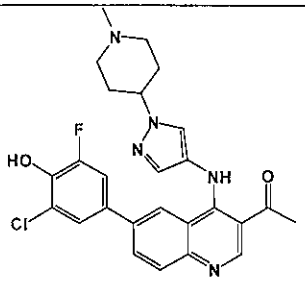
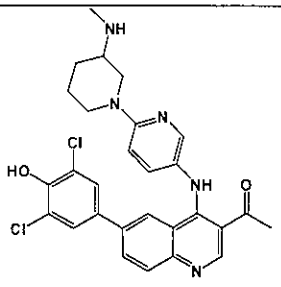
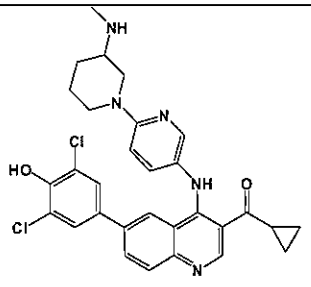
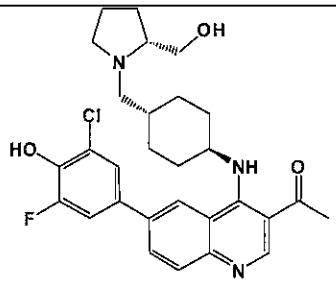
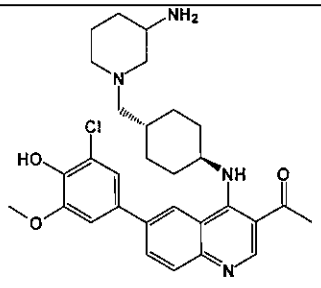
771		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	494
772	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	527
773		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	492
774		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	504
775		1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)propan-1-one	518
776		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	520

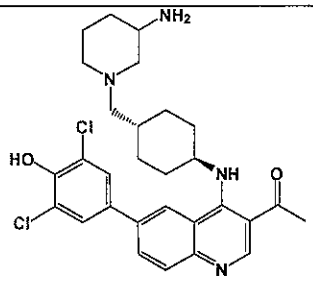
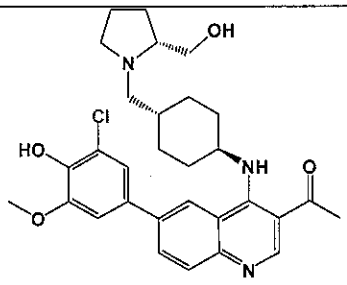
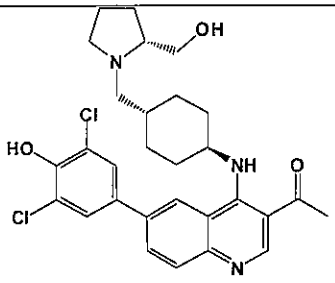
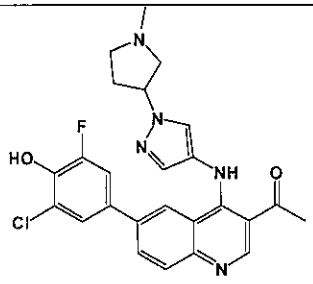
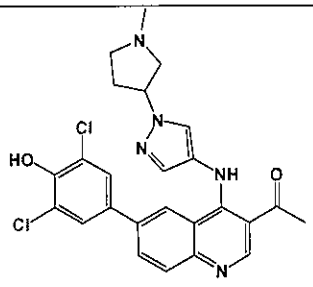
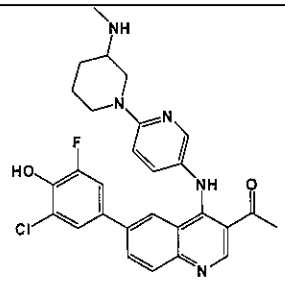
777	 •3HCl	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	520
778		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	516
779	 •HCl	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	527
780	 •HCl	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	523
783	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	511
784		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1r,3r)-3-((dimethylamino)methyl)cyclobutylamino)quinolin-3-yl)ethanone	458

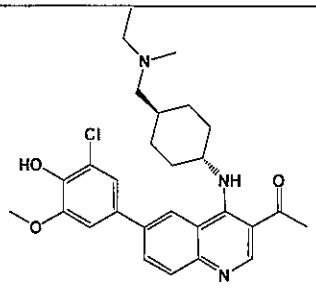
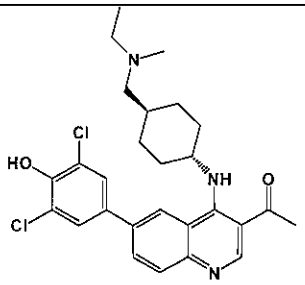
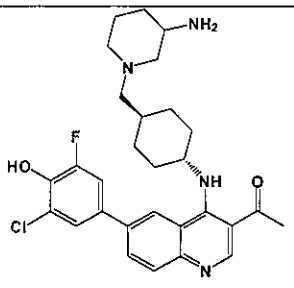
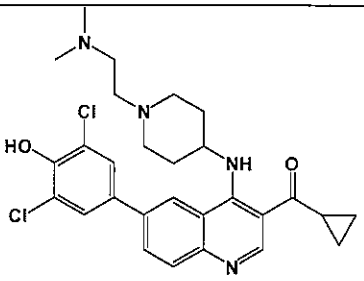
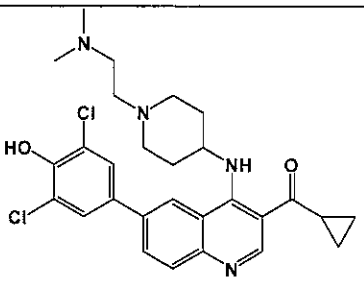
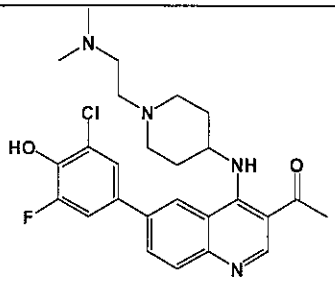
785	 •3HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	506
786	 •HCl	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	511
788	 •3HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	522
789	 •3HCl	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	532
790	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	514
791	 •HCl	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	510

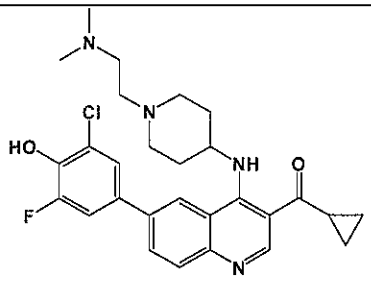
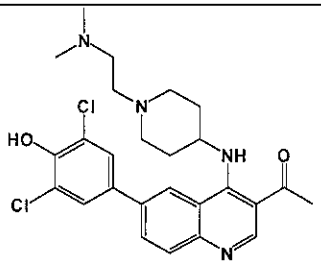
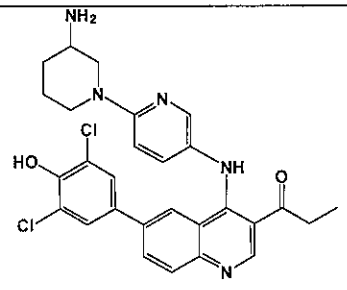
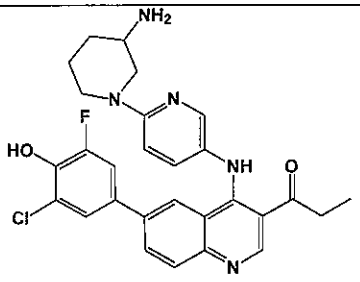
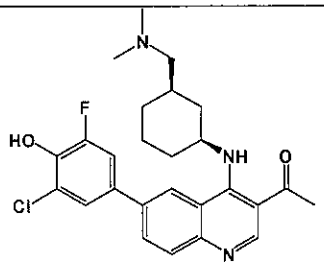
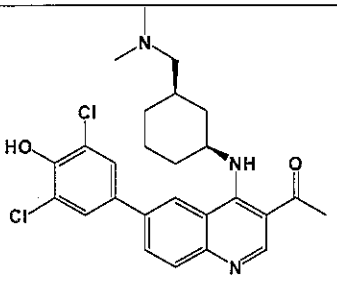
792		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4R)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	542
793		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1S,4R)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	538
794		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	498
795		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	501
796		cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	488
797		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	546

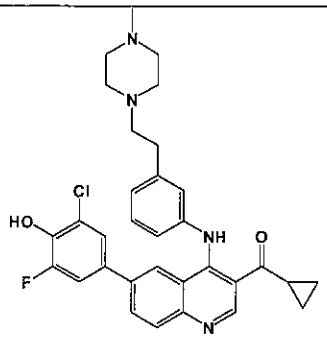
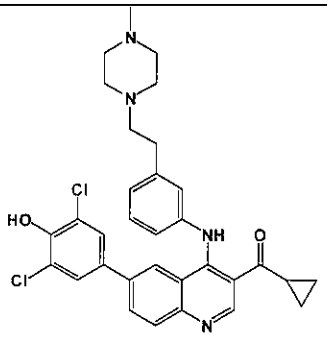
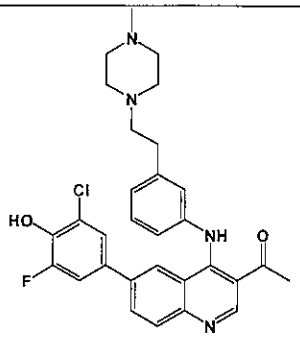
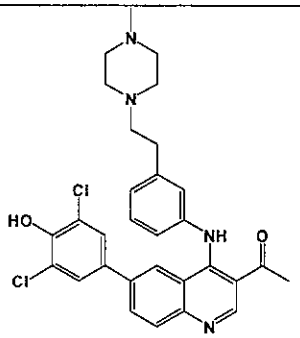
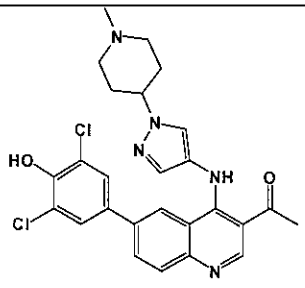
798	 •2HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	546
799		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	530
800	 •2HCl	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	530
801		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	520
802	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	520
803		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	504

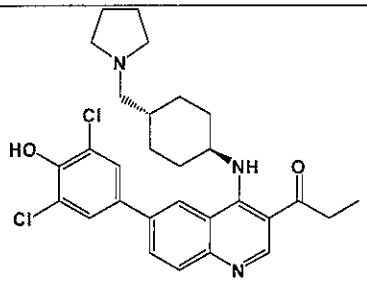
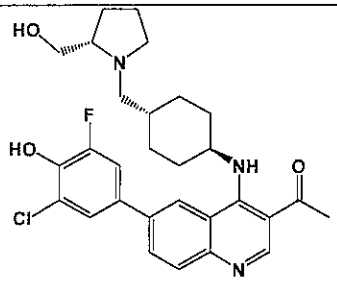
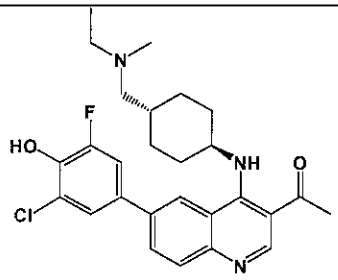
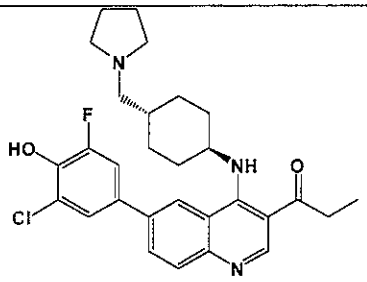
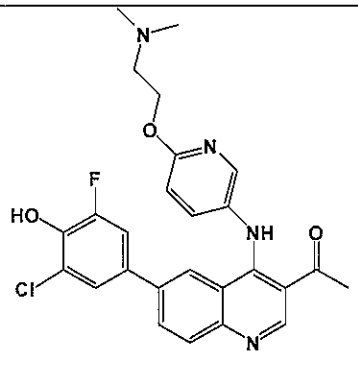
804	 •3HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	548
805	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone hydrochloride	494
806	 •3HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	536
807	 •3HCl	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	562
808		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	526
809	 •HCl	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	537

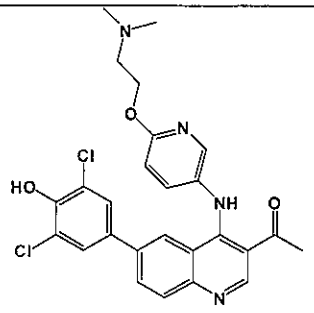
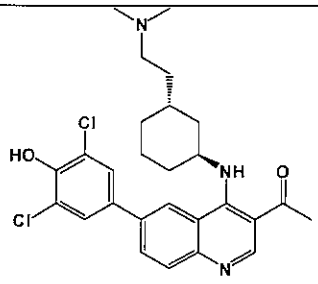
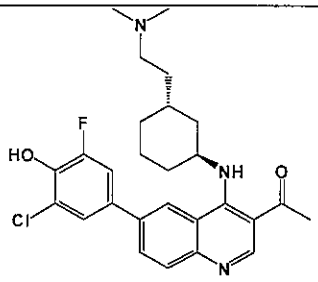
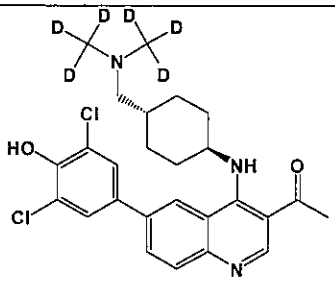
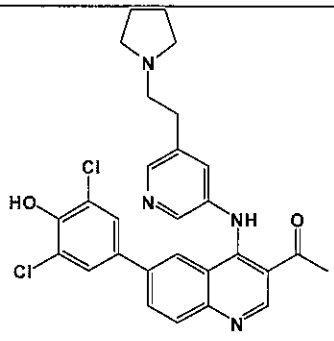
810	 •3HCl	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	542
811		1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	538
812		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	542
813	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	480
814	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	496
815	 •3HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	520

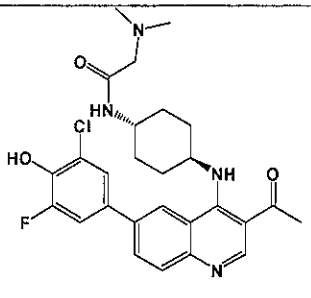
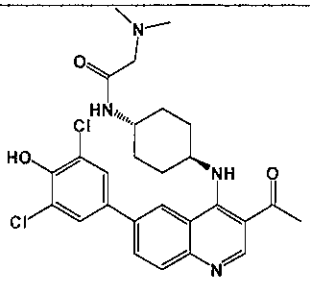
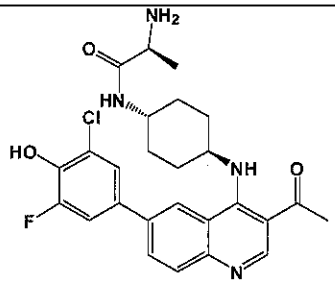
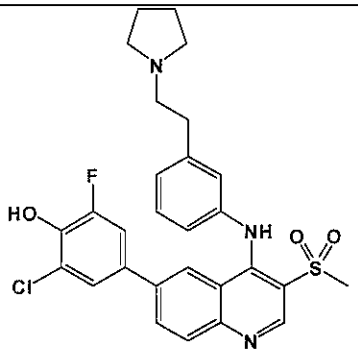
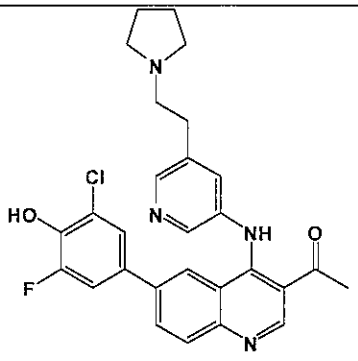
816	 •HCl	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	496
817	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	500
818	 •3HCl	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	525
819		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	527
820		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	527
821		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	485

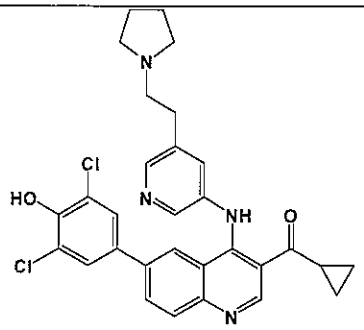
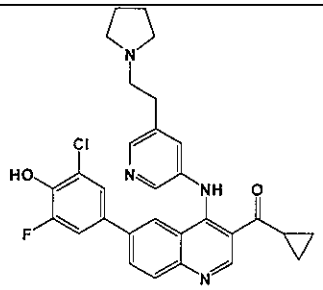
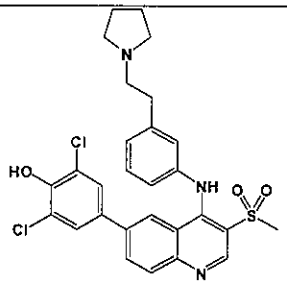
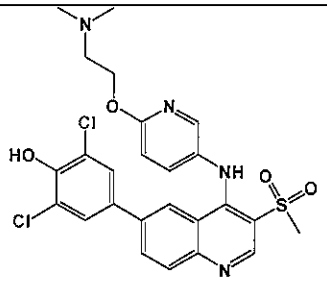
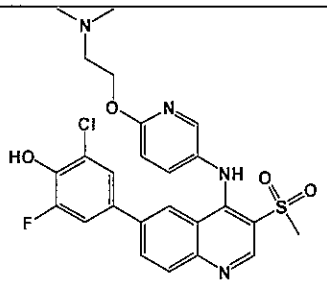
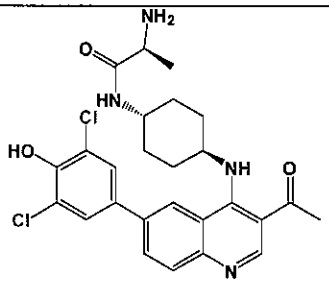
822		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	511
823		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	501
824	 •3HCl	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	536
825	 •3HCl	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	520
826	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	470
827	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	486

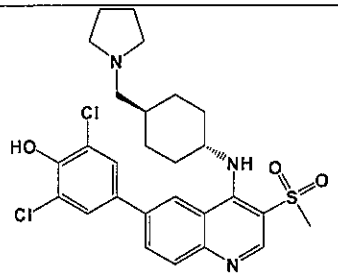
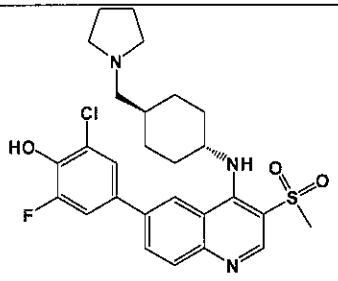
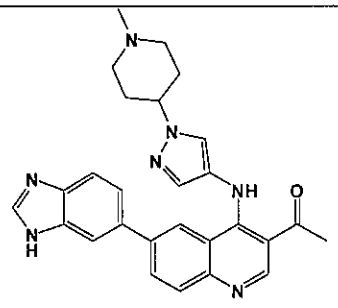
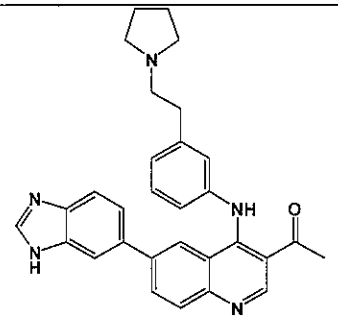
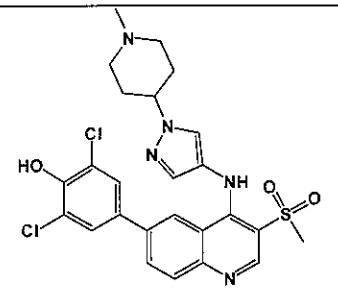
828		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	559
829		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	576
830		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	533
831		1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	549
836	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	510

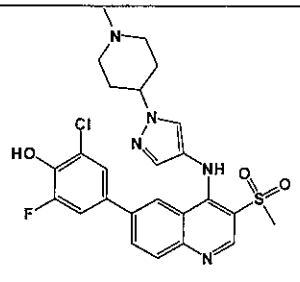
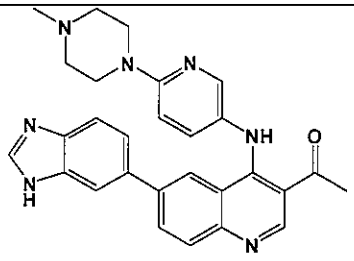
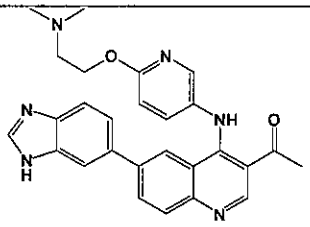
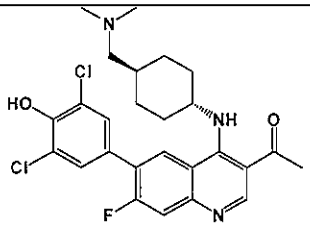
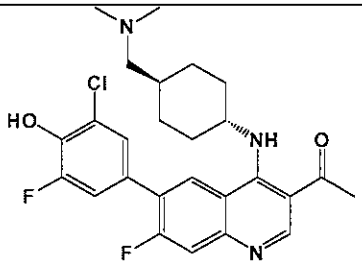
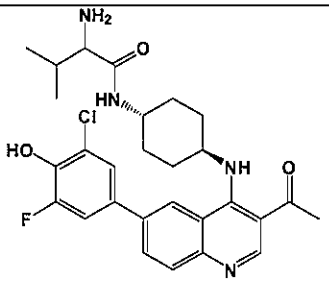
843	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	526
844		1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	526
845	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	484
846	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	510
848	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	495

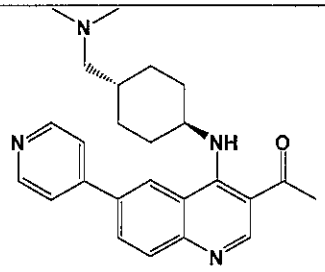
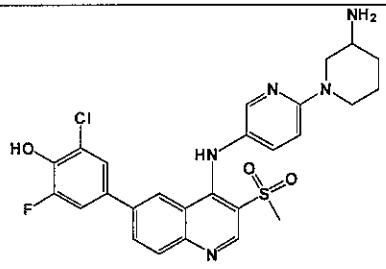
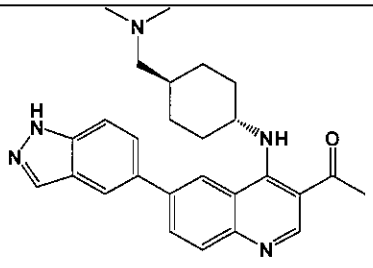
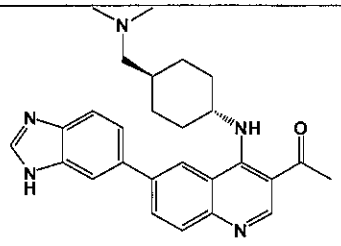
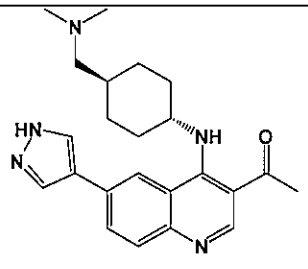
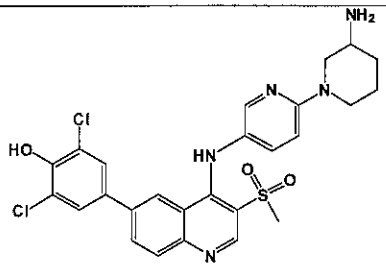
852	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone dihydrochloride	511
861	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	500
862	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	484
864	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((bis-(trideuteromethyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride	492
873	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	521

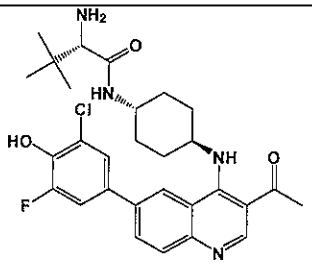
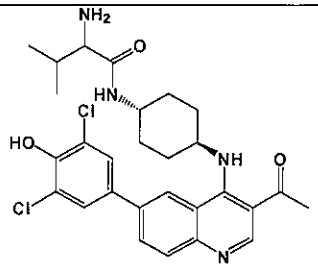
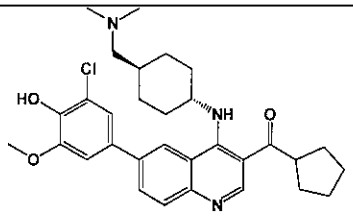
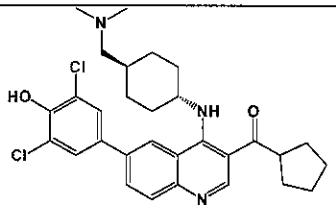
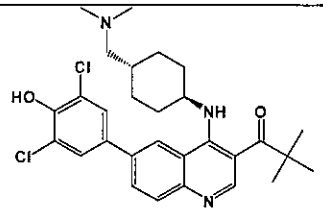
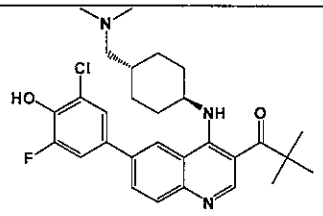
876	 •2HCl	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethyl amino)acetamide dihydrochloride	513
877	 •HCl	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl) amino)cyclohexyl)-2-(dimethylamino)acetamide hydrochloride	529
878	 •2HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino propanamide dihydrochloride	499
879	 •HCl	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl) phenyl)amino)quinolin-6-yl)phenol hydrochloride	540
880	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl) pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	505

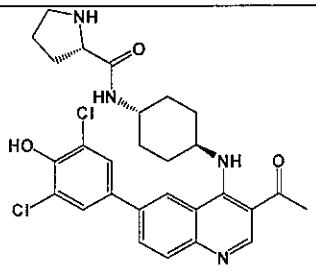
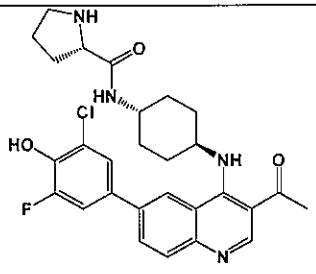
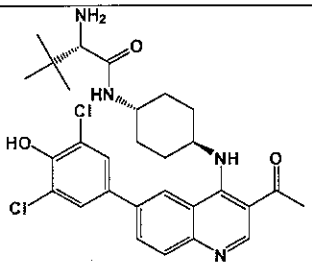
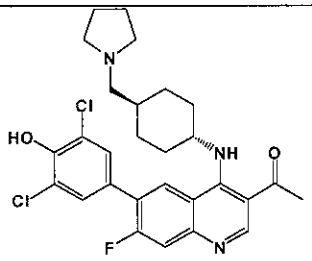
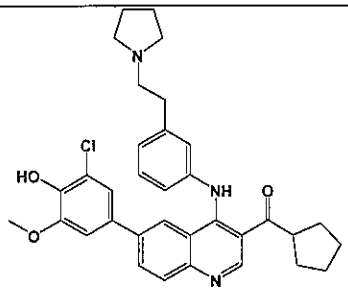
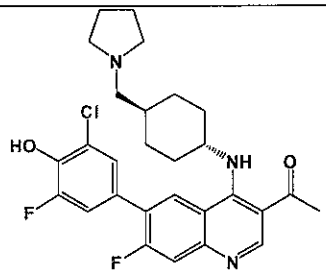
881		cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)methanone	547
882		(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone	531
883	 •HCl	2,6-dichloro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	557
884	 •HCl	2,6-dichloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	547
885	 •HCl	2-chloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride	531
886	 •2HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	515

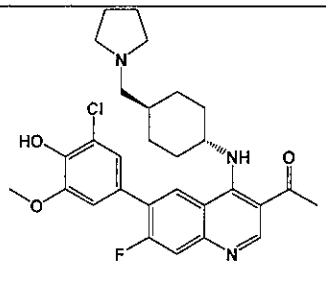
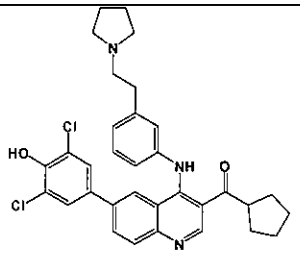
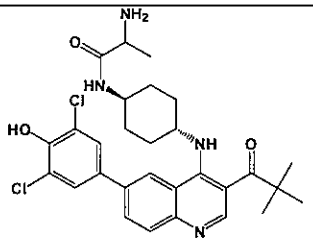
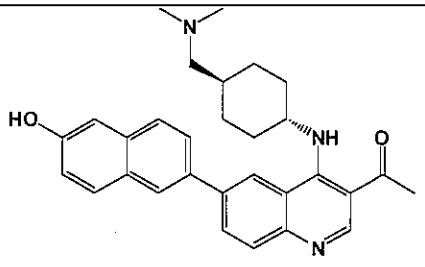
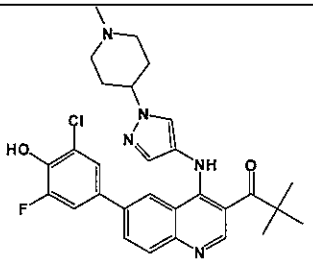
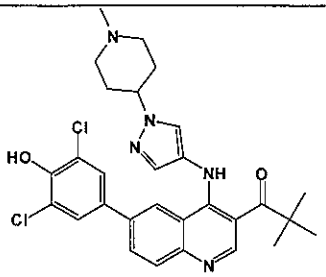
890	 •HCl	2,6-dichloro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl) cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	549
891	 •HCl	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	532
895	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)ethanone hydrochloride	466
896	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	476
899	 •HCl	2,6-dichloro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	546

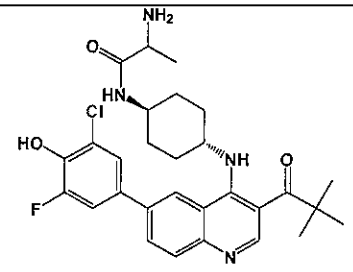
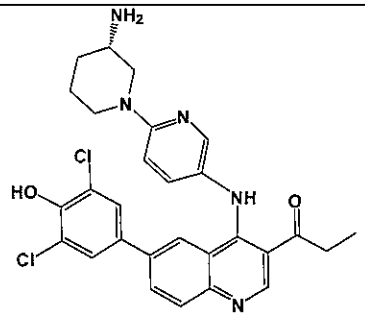
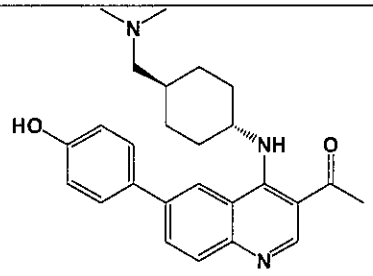
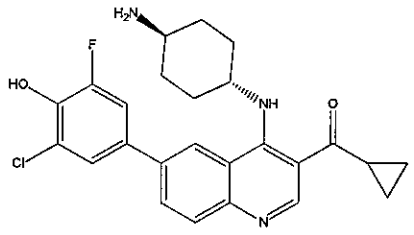
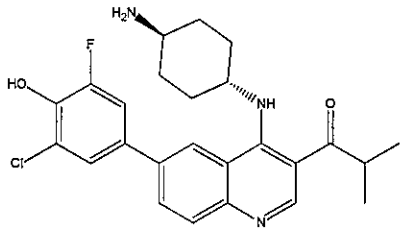
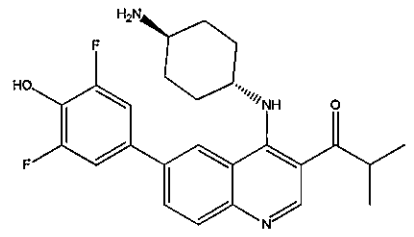
900	 •HCl	2-chloro-6-fluoro-4-(4-((1-(1-methyl piperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl) phenol hydrochloride	530
901	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	478
902	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	467
903	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	504
904	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	488
907	 •2HCl	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	527

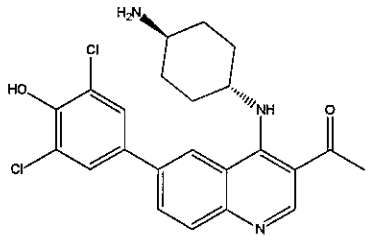
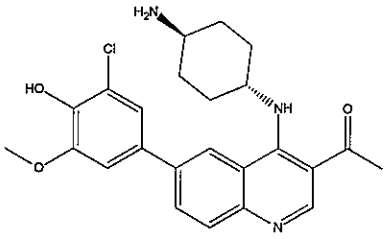
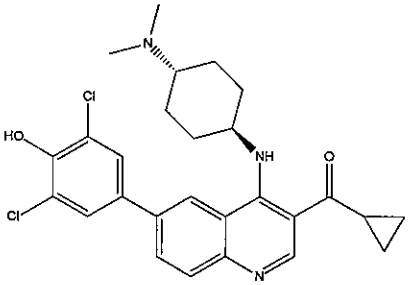
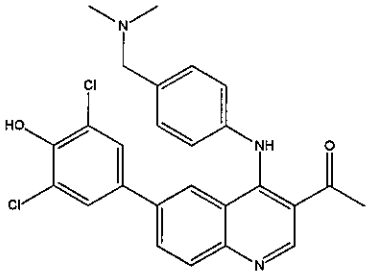
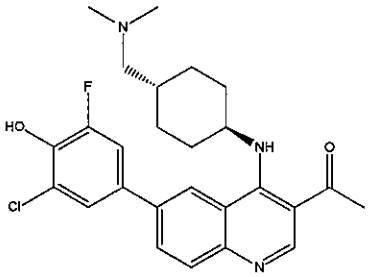
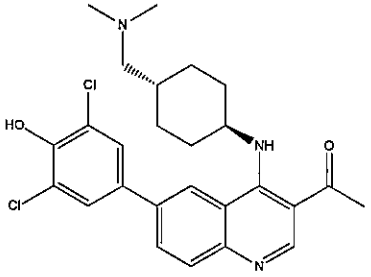
908	 •HCl	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(pyridin-4-yl)quinolin-3-yl)ethanone hydrochloride	403
909	 •3HCl	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2-chloro-6-fluorophenol trihydrochloride	542
910	 •HCl	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-indazol-5-yl)quinolin-3-yl)ethanone hydrochloride	442
911	 •HCl	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	442
912	 •HCl	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-pyrazol-4-yl)quinolin-3-yl)ethanone hydrochloride	392
913	 •3HCl	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2,6-dichlorophenol trihydrochloride	558

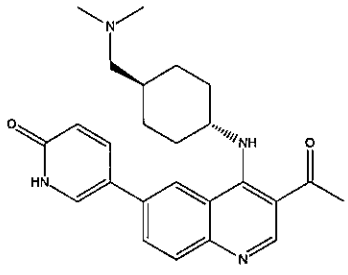
914	 •HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	541
915	 •2HCl	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	543
916	 •HCl	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	536
917	 •HCl	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone hydrochloride	541
918	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	529
919	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	512

920	 •2HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	541
921	 •2HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	525
922	 •HCl	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	558
923	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	530
924	 •HCl	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	570
925	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	514

926	 •HCl	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	526
927	 •HCl	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)methanone hydrochloride	575
928	 •HCl	2-amino-N-((1R,4R)-4-((6-(3,5-dichloro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	558
929	 •HCl	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)ethanone hydrochloride	468
930	 •HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	536
931	 •HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	552

932	 •HCl	2-amino-N-(1R,4R)-4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	541
934	 •3HCl	(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl) propan-1-one trihydrochloride	536
935	 •HCl	1-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-6-(4-hydroxyphenyl)quinolin-3-yl) ethanone dihydrochloride	418
936	 •HCl	(4-((trans)-4-aminocyclohexyl amino)-6- (3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone hydrochloride	454
937	 •2HCl	1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4- hydroxy phenyl)quinolin-3-yl) -2-methylpropan-1-one dihydrochloride	456
938	 •HCl	1-(4-((trans)-4-aminocyclohexyl amino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan-1-one hydrochloride	439

939	 •HCl	1-(4-((trans)-4-aminocyclohexyl amino)-6-(3,5-dichloro-4-hydroxy phenyl) quinolin-3-yl)ethanone hydrochloride	444
940	 •HCl	1-(4-((trans)-4-aminocyclohexylami no)-6- (3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) ethanone hydrochloride	440
941	 •2HBr	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone dihydrobromide	498
942	 •2HBr	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone dihydrobromide	480
943	 •2HCl	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride	470
944	 •2HCl	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride	486

945	 ·HCl	5-(3-acetyl-4-((1R,4R)-4-((dimethyl amino)methyl)cyclohexyl)amino)qui nolin-6-yl)pyridin-2(1H)-one hydrochloride	419

Compound (I) and pharmaceutically acceptable salts thereof may be administered singly as they are; however, ordinarily, they are desirably provided as various types of pharmaceutical formulations. Such pharmaceutical formulations are used for animals or humans.

Pharmaceutical formulations of the present invention may comprise as an active ingredient compound (I) or a pharmaceutically acceptable salt thereof alone, or a mixture with any other active ingredients for treatment. Furthermore, these pharmaceutical formulations are produced by any methods well known in the technical field of drug formulation by mixing the active ingredient together with one or more types of pharmaceutically acceptable carriers (for example, diluents, solvents, and excipients).

Desirably, the route of administration most effective for the treatment is used, and examples include oral route, or parenteral route such as intravenous route.

The form of administration is, for example, tablets and injections.

Tablets and such which are appropriate for oral administration can be produced using excipients such as lactose, disintegrants such as starch, lubricants such as magnesium stearate, and binders such as hydroxypropylcellulose.

Injections and such which are appropriate for parenteral administration can be produced using, for example, solvents or diluents such as salt solutions, glucose solutions, or a mixture of salt water and glucose solution.

The dose of compound (I) or a pharmaceutically acceptable salt thereof, and the number of doses differ depending on the form of administration, the age and body weight of the patient, the nature of the symptom to be treated or severity, and such, but ordinarily for oral administration, it is 0.01 mg to 1000 mg, preferably in the range of 0.05 mg to 100 mg for an adult, and it is administered once to several times a day. In the case of parenteral administration such as intravenous administration, 0.001 mg to 1000 mg, or preferably 0.01 mg to 100 mg is administered to an adult once to several times a day. However, these doses and the number of doses vary depending on the various conditions mentioned above.

Hereinbelow, the present invention will be specifically described with reference to the Examples, but the scope of the present invention is not to be construed as being limited thereto.

The intermediates and compounds of interest in the following Examples can be isolated and purified by subjecting them to separation and purification methods commonly used in synthetic organic chemistry unless otherwise specified, and examples include filtration,

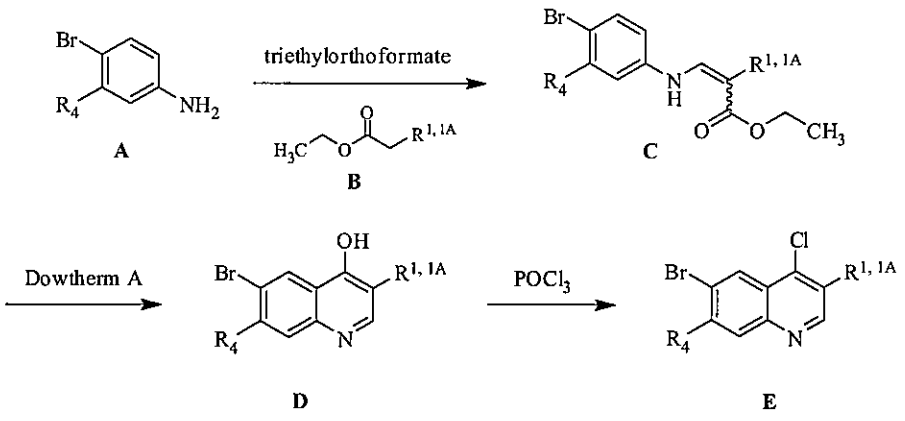
extraction, washing, drying, concentration, recrystallization, and various types of chromatographies. Alternatively, intermediates can be subjected to the next reaction without purification.

Furthermore, in the Examples shown below, unless otherwise specified, if a defined group becomes altered under the conditions of the production method or is unsuitable for carrying out the method, the compound of interest can be produced by using the methods for introducing and removing protecting groups commonly used in synthetic organic chemistry (for example, "Protective Groups in Organic Synthesis", T. W. Greene, John Wiley & Sons Inc., 1999). Furthermore, the order of the reaction processes such as substituent introduction can be changed as necessary.

Examples

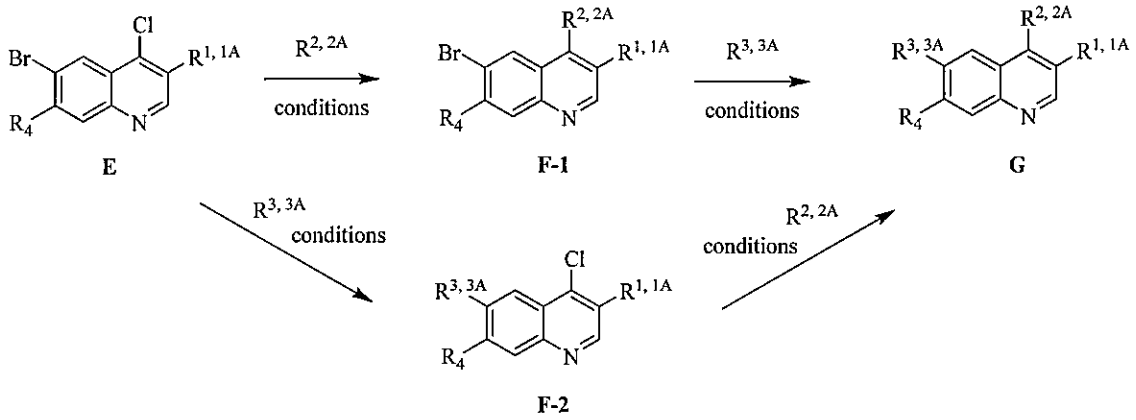
Specific methods for producing the above-mentioned compounds will be indicated below.

Scheme 1



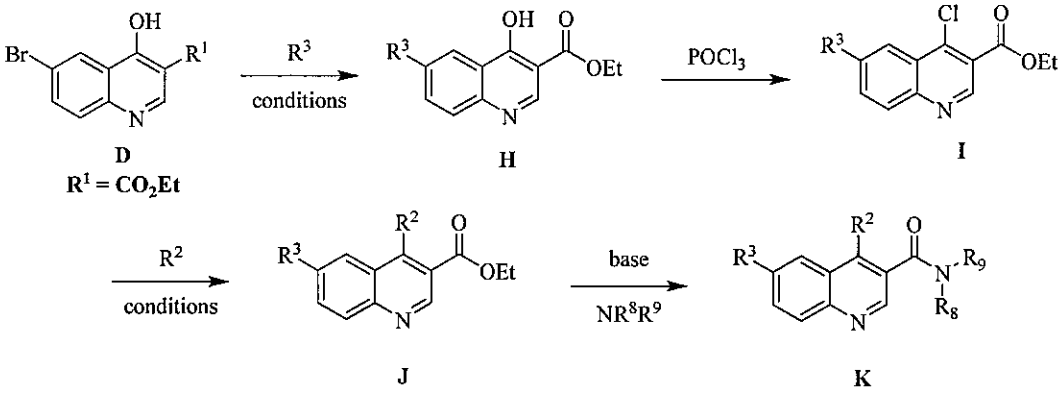
The requisite anilines **A** were heated in the presence of triethylorthoformate and the appropriately substituted esters **B** to afford the condensation products **C** (Scheme 1). Intermediates **C** were heated in Dowtherm A to facilitate the intramolecular cyclization and provide substituted quinolines **D**. Finally, the 4-position alcohol was converted to the chloride using phosphorus oxychloride to provide key intermediates **E** (Scheme 1).

Scheme 2



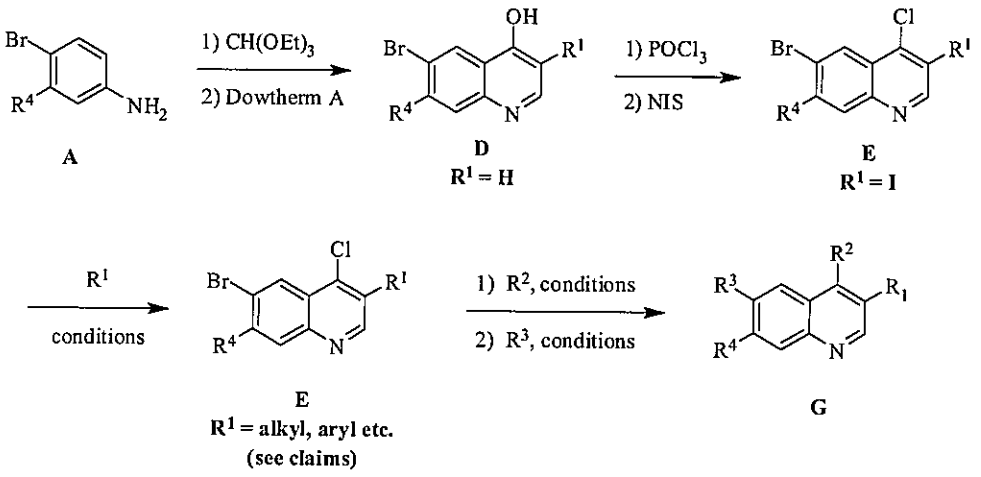
The chloride present in intermediates **E** was reacted under various reaction conditions (e.g. displacement with amines R^6R^7N or metal mediated cross-couplings) to place the requisite substituents ($R^{2,2A}$) at the 4-position of the quinoline ring and afford compounds **F-1**. Optionally, intermediates **E** could be reacted under the appropriate conditions (e.g. metal mediated cross-couplings) to place the appropriate substituents ($R^{3,3A}$) at the 6-position of the quinoline ring and provide compounds **F-2**. Finally, the intermediates **F-1** and **F-2** could be elaborated with the requisite R^3 and R^2 susbtituent , respectively, to provide the quinoline compounds **G** (Scheme 2).

Scheme 3



The intermediates **D** (where R^1 = ethyl ester) were converted to intermediates **H** by replacing the bromide with the appropriate R^3 group. A subsequent reaction with phosphorus oxychloride afforded the 4-chloro quinoline intermediates **I** (Scheme 3). These intermediates were reacted under various reaction conditions to install the requisite R^2 substituents and afford compounds **J**. Finally, the ethyl ester was saponified and the acid intermediate was reacted with the requisite amines (R^8R^9N) to afford quinoline compounds **K** (Scheme 3).

Scheme 4



The requisite anilines **A** were condensed with triethylorthoformate and the intermediate was cyclized to afford the quinoline intermediates **D** where R^1 is a proton (Scheme 4). Treatment of intermediates **D** with phosphorus oxychloride and subsequently *N*-iodosuccinimide provided compounds **E** where R^1 is an iodide. The iodides were converted to alternate R^1 groups as described by the claims section. Finally, the 4- and 6-positions were converted to the appropriate R^2 and R^3 susbtituents to provide quinoline compounds **G** (Scheme 4).

General procedure A-1 (boc-deprotection protocol)

To a suspension of boc-protected amines in THF (0.1 M) was added excess aqueous HCl and the reaction mixture was heated at 65 °C until the reaction was complete, as observed by LCMS analysis. The reaction mixture was cooled and concentrated to obtain the desired compounds **G** as the HCl salt.

General procedure A-2 (boc-deprotection protocol)

To a suspension of boc-protected amines in THF (0.1 M) was added trifluoroacetic acid and the reaction mixture was heated at 65 °C until the reaction was complete, as observed by LCMS analysis. The reaction mixture was cooled, concentrated and the residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The desired fractions were combined, concentrated and eluted through an ion-exchange column (using methanol as the initial eluent and 7 N methanol in ammonia as the next eluent) to obtain products **G** as the free base.

General procedure B (4-position chloro displacement)

To a suspension of intermediate **E** (1.0 equiv) in dioxane was added the requisite amine (1.0 – 2.0 equiv) and *N,N*-diisopropylethylamine (2.0 – 5.0 equiv) and the reaction mixture was heated at 80 °C for 16 h. The reaction mixture was cooled to room temperature, diluted with satd. aq. sodium bicarbonate and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and the residue was purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired products **F**.

General procedure C (4-position chloro displacement)

To a suspension of intermediates **E** (1.0 equiv) in a 2:1 mixture of dioxane:DMF was added the requisite amine (1.0 – 2.0 equiv), *N*,*N*-diisopropylethylamine (2.0–5.0 equiv) and finely ground K_2CO_3 (2.0–3.0 equiv) and the reaction mixture was heated at 100 °C for 16 h. The reaction mixture was cooled, diluted with satd. aq. sodium bicarbonate and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and the residue was purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired products **F**.

General procedure D (6-position substitution using microwave conditions)

To a suspension of intermediates **F** (1.0 equiv), the requisite boronic ester (1.5 – 2.0 equiv) and Pd(dppf)Cl_2 (0.1 – 0.2 equiv) in dioxane was added Cs_2CO_3 (1.0 M in H_2O, 3.0 – 4.0 eq). The reaction mixture was degassed with nitrogen and placed in a microwave reactor at 120 – 140 °C for 30 – 60 min. The reaction mixture was cooled and purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired products **G**.

General procedure E (synthesis of boronic esters)

To a suspension of the appropriate aryl bromide (1.0 equiv), bis(pinacolado)diboron (1.5 – 2.0 equiv) and K_2CO_3 (2.0–3.0 equiv) in dioxane was added Pd(dppf)Cl_2 (0.05 – 0.1 equiv). The reaction mixture was degassed with nitrogen followed by heating at 80 °C for 2 – 16 h. The reaction mixture was cooled, concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to afford the desired product. In some instances the product was re-crystallized from hexanes or a hexanes/dichloromethane mixture.

General procedure F (6-position substitution)

To a suspension of intermediates **F** (1.0 equiv), the requisite boronic ester (1.5–2.0 equiv) and Pd(dppf)Cl_2 (0.1–0.2 equiv) in dioxane was added Cs_2CO_3 (1.0 M in H_2O, 3.0 equiv). The reaction mixture was degassed with nitrogen followed by heating at 80 °C for 2 – 3 h. The reaction mixture was cooled, diluted with ethyl acetate, filtered and concentrated. The residue was purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product.

General procedure A-2 (4-position substitution under acidic conditions)

To a solution of the appropriate 6-bromo-4-chloroquinoline in a 2:1 mixture of dioxane:DMF was added the requisite amine and *p*-toluenesulfonic acid (0.8 equiv). The reaction mixture was heated at 80 °C for 2–16 h then cooled to room temperature, diluted with satd. aq. sodium bicarbonate and extracted with ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product.

General procedure H (substituted pyridine and pyrimidine containing 4-positions)

To a solution of 2-chloro-5-nitropyridine or 2-chloro-5-nitropyrimidine in THF (0.1 M) was added the requisite amine (1.1 – 1.6 equiv) and triethylamine (1.1 – 1.6 equiv) and the reaction

mixture was stirred room temperature until completion, as observed by LCMS analysis. The reaction mixture was concentrated, the residue was dissolved in dichloromethane, washed with aqueous 1 N HCl and then water. The organic layer was dried over anhydrous sodium sulfate, filtered and concentrated. The residue was dissolved in tetrahydrofuran or ethanol (0.1-0.3 M), degassed and placed in a Parr shaker with Pd/C (10%, 0.1 equiv) and hydrogen gas at 40-50 psi. The reaction was allowed to proceed at room temperature until complete, as indicated by LCMS analysis. The reaction mixture was filtered over diatomaceous earth and the filtrate was concentrated to obtain the desired aniline.

General procedure I (substituted pyridine and pyrimidine containing 4-positions)

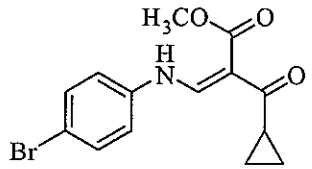
To a solution of 2-chloro-5-nitropyridine or 2-chloro-5-nitropyrimidine in dioxane (0.1 M) was added the requisite alcohol (1.1 equiv) and sodium hydride (60% dispersion in oil, 1.1 equiv) and the reaction mixture was stirred at room temperature until the reaction was complete, as observed by LCMS analysis. The reaction mixture was poured onto ice water and the product was extracted with dichloromethane. The combined organic layers were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was dissolved in tetrahydrofuran or ethanol (0.1-0.3 M), degassed and placed in a Parr shaker with Pd/C (10%, 0.1 equiv) and hydrogen gas at 40-50 psi. The reaction was allowed to proceed at room temperature until complete, as indicated by LCMS analysis. The reaction mixture was filtered over diatomaceous earth and the filtrate was concentrated to obtain the desired aniline.

General procedure J(one-pot preparation of the 4 position amine via reductive amination)

To a solution of the requisite amine (1.4 equiv) in dichloromethane (0.1 M), under nitrogen atmosphere, was added sodium acetate (1.4 equiv) and the mixture was stirred for 15 min followed by the addition of tert-butyl 4-oxocyclohexylcarbamate. The reaction mixture was stirred for an additional 15 min, then sodium triacetoxyborohydride (1.5 equiv) was added carefully and the reaction mixture was stirred until the reaction was complete, as observed by LCMS analysis. The reaction mixture was filtered and the filtrate was concentrated. The crude product was dissolved in a 1:1 mixture of tetrahydrofuran and aqueous HCl (3 N) and the mixture was stirred at room temperature for 12 h. The reaction mixture was concentrated and aqueous sodium hydroxide (6 N) was added until basic pH was achieved. The product was extracted with a 3:1 mixture of chloroform and isopropanol, the organic layer was dried and the solvent removed to obtain the desired amine.

Example 384

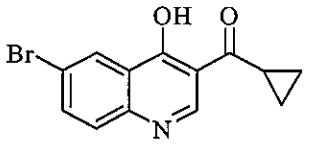
Methyl 3-(4-bromophenylamino)-2-(cyclopropanecarbonyl)acrylate



A stirred mixture of methyl 3-cyclopropyl-3-oxopropanoate (20 g, 0.141 mol), triethyl orthoformate (28 mL, 0.169 mol), and 4-bromoaniline (24.2 g, 0.141 mol) were heated at 130 °C for 5 h with a Dean Stark trap. After this time the reaction was cooled to room temperature, diluted with methylene chloride and filtered through a pad of silica. The filtrate was concentrated to afford the desired product (26.5 g, 55%) as a yellow solid: ESI MS *m/z* 324 $[C_{14}H_{14}BrNO_2 + H]^+$.

Example 385

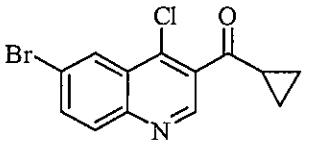
(6-Bromo-4-hydroxyquinolin-3-yl)(cyclopropyl)methanone



Dowtherm was heated to 250 °C, methyl 3-(4-bromophenylamino)-2-(cyclopropanecarbonyl)acrylate (24 g, 74.1 mmol) was added portionwise and upon complete addition the reaction mixture was stirred for 20 min. The reaction mixture was cooled to room temperature, diluted with 2:1 hexanes/diethyl ether and filtered to afford the desired product (9.71 g, 45%) as an off-white solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.65 (s, 1H), 8.51 (s, 1H), 8.32 (d, J = 2.3 Hz, 1H), 7.89 (dd, J = 8.8, 2.3 Hz, 1H), 7.62 (d, J = 8.8 Hz, 1H), 3.73–3.49 (m, J = 7.5, 5.0 Hz, 1H), 1.15–0.76 (m, 4H).

Example 386

(6-Bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone

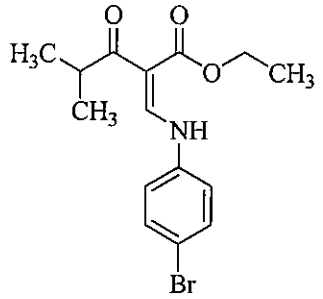


Phosphoryl chloride (50 mL, 0.547 mol) was cooled to 0 °C and (6-bromo-4-hydroxyquinolin-3-yl)(cyclopropyl)methanone (21 g, 67.7 mmol) was added. The reaction mixture was stirred at 0 °C for 2 h, at room temperature for 2 h and concentrated. The residue was dissolved in methylene chloride and poured into cold 30% aq. ammonium hydroxide. The aqueous layer was separated and extracted with methylene chloride. The combined organics were dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by a silica plug to afford the desired product (5.8 g, 22%) as a brown solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.91 (s, J = 6.4 Hz, 1H), 8.55–8.49 (m, 1H), 8.07–7.97 (m, 1H), 7.91 (dd, J = 8.9, 2.1 Hz, 1H),

2.69–2.54 (m, 1H), 1.50–1.40 (m, 2H), 1.28–1.19 (m, 2H).

Example 387

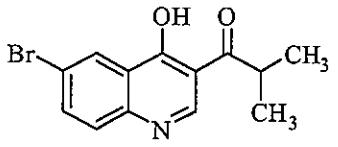
Ethyl 2-[(4-bromophenylamino)methylene]-4-methyl-3-oxopentanoate



A mixture of ethyl 4-methyl-3-oxopentanoate (31.6 g, 0.200 mol), triethyl orthoformate (41.6 mL, 0.250 mol), and 4-bromoaniline (36.1 g, 0.210 mol) was heated at 150 °C for 2 h with a Dean Stark trap. After this time triethyl orthoformate (20.8 mL) was added and the reaction mixture was stirred for 16 h. The reaction was cooled to room temperature, diluted with 1:1 methylene chloride/ hexanes (200 mL), and filtered through a plug of silica. The filtrate was concentrated, triturated with hexanes and filtered to afford the desired product (33.2 g, 53%) as a pale yellow solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 12.80 (d, J = 11.9 Hz, 1H), 8.46 (d, J = 13.0 Hz, 1H), 7.55–7.42 (m, 2H), 7.12–6.96 (m, 2H), 4.27 (q, J = 7.1 Hz, 2H), 3.89–3.72 (m, 1H), 1.35 (t, J = 7.1 Hz, 3H), 1.13 (d, J = 6.8 Hz, 6H).

Example 388

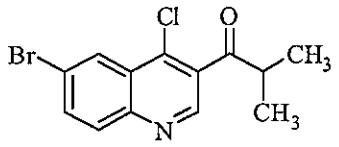
1-(6-Bromo-4-hydroxyquinolin-3-yl)-2-methylpropan-1-one



To Dowtherm at 250 °C was added ethyl 2-[(4-bromophenylamino)methylene]-3-oxobutanoate (33.2 g, 97.6 mmol) portionwise and the reaction mixture was stirred for 1.5 h. The reaction mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was filtered to afford the desired product (17 g, 59%) as a yellow solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.66 (s, 1H), 8.54 (s, 1H), 8.31 (s, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.61 (d, J = 8.7 Hz, 1H), 4.11–3.89 (m, 1H), 1.06 (dd, J = 6.8, 1.6 Hz, 6H).

Example 389

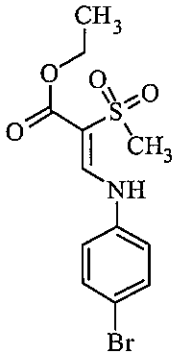
1-(6-Bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one



1-(6-Bromo-4-hydroxyquinolin-3-yl)-2-methylpropan-1-one (16.0 g, 54.4 mmol) was added to phosphoryl chloride (160 mL) and the reaction was stirred at 85 °C for 1.5 h. The reaction mixture was cooled and slowly poured into a 2:1 solution of satd. aq. sodium bicarbonate/ ethyl acetate at 0 °C. The organic layer was separated and was washed with satd. aq. sodium bicarbonate and brine, dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (14.8 g, 89%) as a yellow solid: ESI MS *m/z* 312 $[C_{13}H_{11}BrClNO + H]^+$.

Example 390

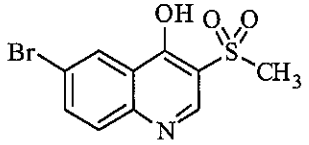
Ethyl 3-(4-bromophenylamino)-2-(methylsulfonyl)acrylate



A mixture of ethyl 2-(methylsulfonyl)acetate (1.9 g, 11.4 mmol), triethyl orthoformate (5.64 mL, 34.2 mmol), and acetic anhydride (5 mL) were heated at 130 °C for 5 h with a Dean Stark trap. The reaction was cooled, 4-bromoaniline (3.1 g, 18.0 mmol) was added and the reaction mixture was heated at 150 °C for 2 h. The reaction mixture was cooled, concentrated and the residue was purified by column chromatography to afford the desire product (1.08 g, 27% over 2 steps) as a tan solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.58 (d, J = 13.5 Hz, 1H), 8.39 (d, J = 13.7 Hz, 1H), 7.59–7.48 (m, 2H), 7.15–7.02 (m, 2H), 4.41 (q, J = 7.1 Hz, 2H), 3.19 (s, 3H), 1.43 (t, J = 7.1 Hz, 3H).

Example 391

6-Bromo-3-(methylsulfonyl)quinolin-4-ol

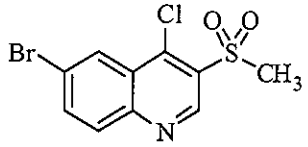


To Dowtherm at 250 °C was added ethyl 3-(4-bromophenylamino)-2-(methylsulfonyl)acrylate (1.08 g, 3.10 mmol) portionwise and the reaction mixture was stirred for 2 h. The reaction mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was

filtered to afford the desired product (573 mg, 61%) as a tan solid: ESI MS *m/z* 302 $[C_{10}H_8BrNO_3S + H]^+$.

Example 392

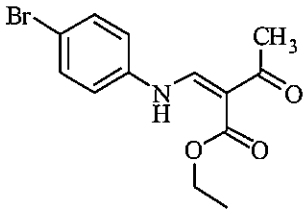
6-Bromo-4-chloro-3-(methylsulfonyl)quinoline



6-Bromo-3-(methylsulfonyl)quinolin-4-ol (573 mg, 1.90 mmol) was added to phosphoryl chloride (19 mL) and the reaction was stirred at 100 °C 16 h. Additional THF (5 mL) was added and the reaction mixture was stirred at 100 °C for 4 h. The reaction mixture was cooled and slowly poured into a 2:1 solution of satd. aq sodium bicarbonate/ ethyl acetate that was pre-cooled to 0 °C. The layers were separated and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with satd. aq.sodium bicarbonate, dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (360 mg, 59%) as a white solid: ESI MS *m/z* 321 $[C_{10}H_7BrClNO_2S + H]^+$.

Example 393

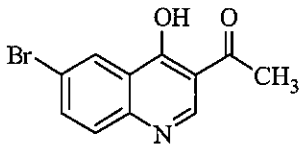
Ethyl 2-[(4-bromophenylamino)methylene]-3-oxobutanoate



A stirred mixture of ethyl 3-oxobutanoate (3.16 mL, 25.0 mmol), triethyl orthoformate (4.99 mL, 30.0 mmol), and bromoaniline (4.47 g, 26.0 mmol) were heated at 150 °C for 4 h with a Dean Stark trap. After this time the reaction was cooled to room temperature and the resulting precipitate was suspended in 1:1 ether/hexanes, and filtered to afford the desired product (3.4 g, 44%) as a brown solid: ESI MS *m/z* 312 $[C_{13}H_{14}BrNO_3 + H]^+$.

Example 394

1-(6-Bromo-4-hydroxyquinolin-3-yl)ethanone

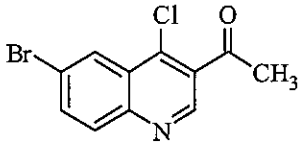


To Dowtherm at 250 °C was added Ethyl 2-[(4-bromophenylamino)methylene]-3-oxobutanoate (3.4 g, 10.9 mmol) portionwise and the reaction mixture was stirred for 1.5 h. The reaction

mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was filtered to afford the desired product (2.2 g, 76%) as a brown solid: ESI MS *m/z* 266 $[C_{11}H_8BrNO_2 + H]^+$.

Example 395

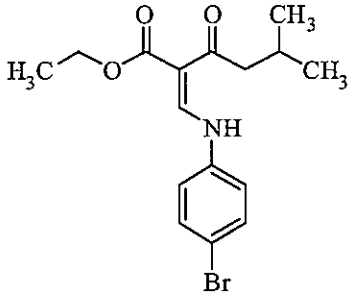
1-(6-Bromo-4-chloroquinolin-3-yl)ethanone



1-(6-Bromo-4-hydroxyquinolin-3-yl)ethanone (2.2 g, 8.27 mmol) was suspended in phosphoryl chloride (30 mL) and the reaction was heated to 85 °C and stirred for 3 h. After this time the reaction mixture was cooled to room temperature and slowly poured into a 2:1 solution of satd. aq. sodium bicarbonate/ ethyl acetate that was cooled to 0 °C. The organic layer was separated, washed with satd. aq. sodium bicarbonate, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by column chromatography to afford the desired product (710 mg, 72%) as a light brown solid: ESI MS *m/z* 280 $[C_{11}H_7BrClNO + H]^+$.

Example 396

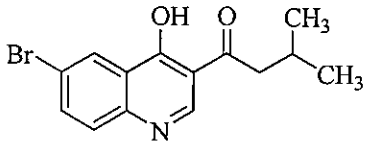
Ethyl 2-[(4-Bromophenylamino)methylene]-5-methyl-3-oxohexanoate



A stirred mixture of methyl 5-methyl-3-oxohexanoate (2.14 g, 13.5 mmol), triethyl orthoformate (2.64 mL, 16.2 mmol), and bromoaniline (2.32 g, 13.5 mmol) were heated at 150 °C for 3 h with a Dean Stark trap. After this time triethyl orthoformate (2.69 mL) was added and the mixture continued to stir for 2 h. After this time the reaction was cooled to room temperature, diluted with methylene chloride and filtered through a pad of silica. The plug was washed with 1:1 methylene chloride/ hexanes, the filtrate was concentrated and the residue was purified by column chromatography to afford the desired product (2.37 g, 50%) as an off-white solid: ESI MS *m/z* 354 $[C_{16}H_{20}BrNO_3 + H]^+$.

Example 397

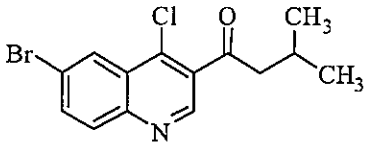
1-(6-bromo-4-hydroxyquinolin-3-yl)-3-methylbutan-1-one



To Dowtherm at 250 °C was added a solution of ethyl 2-[(4-bromophenylamino)methylene]-5-methyl-3-oxohexanoate (700 mg, 6.75 mmol) in Dowtherm (5 mL) portionwise and the reaction mixture was stirred for 1.5 h. The reaction mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was filtered to afford the desired product (490 mg, 23%) as a white solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 12.65 (s, 1H), 8.55 (d, J = 6.4 Hz, 1H), 8.30 (d, J = 2.3 Hz, 1H), 7.88 (dd, J = 8.7, 2.3 Hz, 1H), 7.61 (d, J = 8.8 Hz, 1H), 2.99 (d, J = 6.8 Hz, 2H), 2.21–2.04 (m, 1H), 0.91 (d, J = 6.7 Hz, 6H).

Example 398

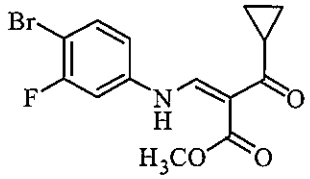
1-(6-Bromo-4-chloroquinolin-3-yl)-3-methylbutan-1-one



1-(6-Bromo-4-hydroxyquinolin-3-yl)-3-methylbutan-1-one (300 mg, 0.970 mmol) was added to phosphoryl chloride (9.7 mL) and the reaction was stirred at 85 °C for 1 h. After this time the reaction mixture was cooled to room temperature and slowly poured into a 2:1 solution of satd. aq. sodium bicarbonate/ ethyl acetate that was cooled to 0 °C. The organic layer was separated and was washed with satd. aq. sodium bicarbonate, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure to afford the desired product (309 mg, 98%) as a yellow oil: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.86 (s, 1H), 8.51 (d, J = 2.0 Hz, 1H), 8.01 (d, J = 8.9 Hz, 1H), 7.91 (dd, J = 8.9, 2.0 Hz, 1H), 2.96 (d, J = 6.9 Hz, 2H), 2.39–2.23 (m, 1H), 1.03 (d, J = 6.7 Hz, 6H).

Example 399

Methyl 3-(4-bromo-3-fluorophenylamino)-2-(cyclopropanecarbonyl)acrylate

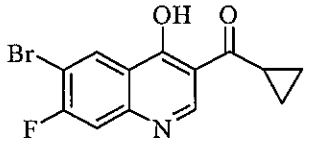


A stirred mixture of methyl 3-cyclopropyl-3-oxopropanoate (3.49 g, 26.3 mmol), triethyl orthoformate (5.2 mL, 31.6 mmol), and 4-bromo-3-fluoroaniline (4.47 g, 26.0 mmol) was heated at 140 °C overnight with a Dean Stark trap. After this time the reaction was cooled to room temperature, diluted with methylene chloride and filtered through a pad of silica. The filtrate

was concentrated to afford the desired product (7.5 g, 85%) as a light yellow solid: ESI MS *m/z* 343 $[C_{14}H_{13}BrFNO_3 + H]^+$.

Example 400

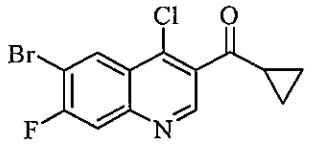
(6-Bromo-7-fluoro-4-hydroxyquinolin-3-yl)(cyclopropyl)methanone



To Dowtherm at 250 °C was added methyl 3-(4-bromo-3-fluorophenylamino)-2-(cyclopropanecarbonyl)acrylate (7.5 g, 21.9 mmol) portionwise and the reaction mixture was stirred for 1.5 h. The reaction mixture was cooled to room temperature, diluted with hexanes and the resulting precipitate was filtered to afford the desired product (5.38 g, 79%) as a brown solid: ESI MS *m/z* 311 $[C_{13}H_9BrFNO_2 + H]^+$.

Example 401

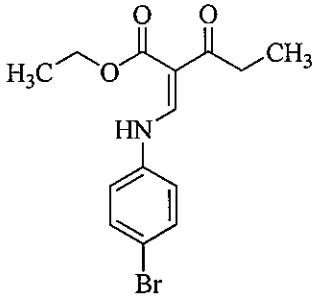
(6-Bromo-4-chloro-7-fluoroquinolin-3-yl)(cyclopropyl)methanone



(6-Bromo-7-fluoro-4-hydroxyquinolin-3-yl)(cyclopropyl)methanone (3.35 g, 10.8 mmol) was suspended in phosphoryl chloride (10 mL) at 0 °C. The reaction was warmed to room temperature and stirred overnight. After this time 2M ammonium hydroxide was added dropwise until a solid formed. Ethyl acetate was added and the layers were separated. The organic layer was dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by column chromatography to afford the desired product (1.5 g, 42%) as an off-white solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.92 (s, 1H), 8.63 (d, J = 7.2 Hz, 1H), 7.84 (d, J = 8.9 Hz, 1H), 2.70–2.45 (m, 1H), 1.55–1.35 (m, 2H), 1.35–1.05 (m, 2H).

Example 1001

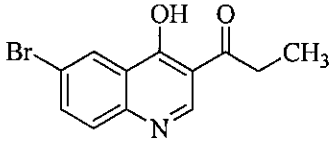
ethyl 2-(((4-bromophenyl)amino)methylene)-3-oxopentanoate



A stirred mixture of ethyl propionylacetate (33 g, 0.23 mol), triethyl orthoformate (46 mL, 0.28 mol), and 4-bromoaniline (42 g, 0.24 mol) were heated at 150 °C for 2 h with a Dean Stark trap. The reaction was cooled to room temperature. Trituration with 1:1 hexanes/diethyl ether afforded the desired product (25.1 g, 33%) as a tan solid: ^{1}H NMR (300 MHz, DMSO - d_6) δ 12.44 (d, J = 13.1 Hz, 1H), 8.42 (d, J = 13.1 Hz 1H), 7.64 – 7.51 (m, 2H), 7.50 – 7.34 (m, 2H), 4.17 (q, J = 7.1 Hz, 2H), 2.86 (q, J = 7.3 Hz, 2H), 1.27 (t, J = 7.1 Hz, 3H), 1.02 (t, J = 7.3 Hz, 3H).

Example 1002

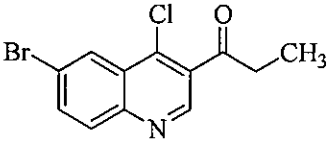
1-(6-bromo-4-hydroxyquinolin-3-yl)propan-1-one



Dowtherm A (200 mL) was heated to 240 °C. Ethyl 2-(((4-bromophenyl)amino) methylene)-3-oxopentanoate (25.1 g, 77 mmol) was added portionwise. The reaction was then heated to 250 °C and stirred for 1.25 h. The reaction mixture was slowly cooled to room temperature and a precipitate formed. The suspension was diluted with hexanes (500 mL) and filtered to afford the desired product (15.9 g, 73%) as a tan solid: ^{1}H NMR (300 MHz, DMSO - d_6) δ 12.64 (br s, 1H), 8.56 (s, 1H), 8.30 (d, J = 2.3 Hz, 1H), 7.88 (dd, J = 8.8, 2.4 Hz, 1H), 7.61 (d, J = 8.8 Hz, 1H), 3.10 (q, J = 7.2 Hz, 2H), 1.05 (t, J = 7.2 Hz, 3H).

Example 1003

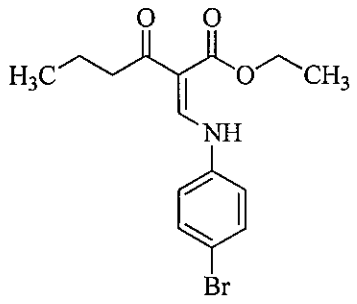
1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one



1-(6-Bromo-4-hydroxyquinolin-3-yl)propan-1-one (21 g, 67.7 mmol) was added to phosphoryl chloride (80 mL). The resultant suspension was then heated to 85 °C and stirred for 1.5 h. The reaction mixture was cooled to room temperature and poured carefully into a mixture of saturated sodium bicarbonate (250 mL) and 2N aqueous sodium hydroxide (250 mL). The solution was then extracted with ethyl acetate, the combined organics were washed with water and brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure to afford the desired product (16 g, 96%) as a tan solid: APCI MS m/z 298 $[C_{12}H_9BrClNO + H]^+$.

Example 1004

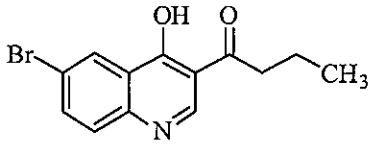
ethyl 2-(((4-bromophenyl)amino)methylene)-3-oxohexanoate



A stirred mixture of ethyl 3-oxohexanoate (12.1 mL, 75 mmol), triethyl orthoformate (16.6 mL, 100 mmol), and 4-bromoaniline (12.9 g, 75 mmol) were heated at 120 °C for 4 h with a Dean Stark trap. After this time the reaction was cooled to room temperature. The resultant solid was triturated with diethyl ether to afford the desired product (8.17 g, 32%) as a white solid. ESI MS *m/z* 340 $[C_{15}H_{18}BrNO_3 + H]^+$

Example 1005

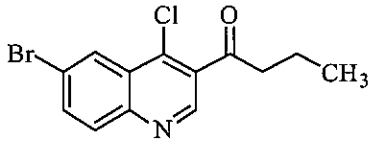
1-(6-bromo-4-hydroxyquinolin-3-yl)butan-1-one



To Dowtherm (82 mL) at 250 °C was added ethyl 2-(((4-bromophenyl)amino)methylene) -3-oxohexanoate (700 mg, 6.75 mmol) portionwise and the reaction mixture was stirred for 1.5 h. The reaction mixture was cooled to room temperature, and the precipitate was filtered and rinsed with hexanes to afford the desired product (3.73 g, 53%) as a light brown solid. ESI MS *m/z* 294 $[C_{13}H_{12}BrNO_2 + H]^+$

Example 1006

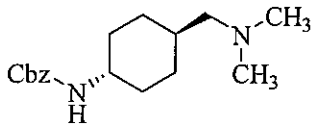
1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one



1-(6-bromo-4-hydroxyquinolin-3-yl)butan-1-one (3.73 g, 12.7 mmol) was added to phosphoryl chloride (37 mL) and the reaction was stirred at 75 °C for 2 h. After this time the reaction mixture was cooled to room temperature and slowly poured into a solution of satd. aq. sodium carbonate that was cooled to 0 °C. The resultant mixture was extracted with ethyl acetate, dried over anhydrous sodium sulfate, filtered, and concentrated. Purification by column chromatography (silica, ethyl acetate) afforded the desired product (3.90 g, 98%) as an off-white solid. ESI MS *m/z* 312 $[C_{13}H_{13}BrClNO + H]^+$

Example 1007

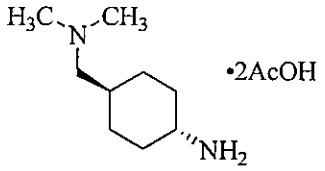
Benzyl 4-((dimethylamino)methyl)cyclohexylcarbamate



To a suspension of benzyl 4-(aminomethyl)cyclohexylcarbamate (15.0 g, 57 mmol) in water (150 mL) was added formaldehyde (14.0 mL, 0.17 mol, 37% solution) and formic acid (6.5 mL, 0.17 mol). The mixture was heated to reflux for 2h, cooled to rt, neutralized with 2 N NaOH, and extracted with CH_2Cl_2. The organic extract was dried over anhydrous sodium sulfate, filtered, and concentrated to give desired product (15.87 g, 96%) as a tan, waxy solid. APCI MS *m/z* 291 $[C_{17}H_{26}N_2O_2 + H]^+$.

Example 1008

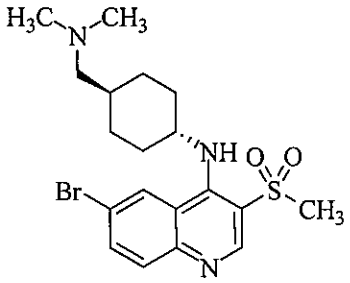
trans-4-((Dimethylamino)methyl)cyclohexanamine diacetic acid



To a flask containing Pd/C (1.5 g, Degussa type E101) was added methanol / acetic acid (100 mL, 3:1). Benzyl 4-((dimethylamino)methyl)cyclohexylcarbamate (15.8 g, 54 mmol) in methanol / acetic acid (300 mL, 3:1) was added. A balloon of H_2 was added and the reaction stirred at rt for 6 h. The reaction was filtered through celite, the filtrate concentrated, and azeotroped with toluene. The thick oil was dried under vacuum to give desired product (17.9 g, crude) as a waxy solid. ^{1}H NMR (300 MHz, MeOD) δ 3.11 – 2.98 (m, 1H), 2.78 (d, J = 7.0 Hz, 2H), 2.69 (s, 6H), 2.07 (br d, J = 13.9 Hz, 4H), 2.02 – 1.86 (m, 2H), 1.92 (s, 6H), 1.79 – 1.67 (m, 1H), 1.53 – 1.35 (m, 2H), 1.20 – 1.05 (m, 2H).

Example 1009

6-bromo-N-(1R,4R)-4-((dimethylamino)methyl)cyclohexyl)-3-(methylsulfonyl) quinolin-4-amine

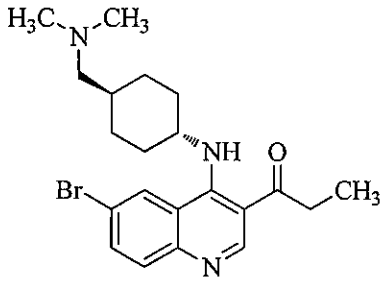


Following general procedure C, 6-bromo-4-chloro-3-(methylsulfonyl)quinoline (6.5 g, 20 mmol) was reacted with (1r,4r)-4-((dimethylamino)methyl)cyclohexanamine hydrochloride (6.0 g, 26 mmol) to obtain the desired product (5.7 g, 64%) as an off-white solid: ESI MS *m/z* 440

$[C_{19}H_{26}BrN_3O_2S+ H]^+$.

Example 1010

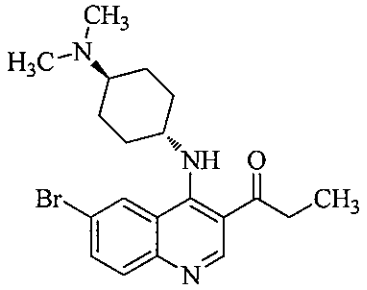
1-(6-bromo-4-((trans-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one



To a suspension of 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (500 mg, 1.67 mmol) in dioxane (10 mL) and DMF (4 mL) was added *trans*-4-((dimethylamino)methyl)cyclohexanamine diacetic acid salt (583 mg, 2.11 mmol) and Cs_2CO_3 (3.27 g, 10.0 mmol). The resultant suspension was then heated to 90 °C and stirred for 5.5 h. The reaction mixture was cooled to room temperature and diluted with ethyl acetate. The solution was then washed with saturated sodium bicarbonate, water and then brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (silica, 0–10% methanol/dichloromethane) afforded the desired product (403 mg, 58%) as a tan solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.79 (d, J = 8.4 Hz, 1H), 9.00 (s, 1H), 8.27 (d, J = 2.0 Hz, 1H), 7.83 – 7.67 (m, 2H), 4.01 – 3.88 (m, 1H), 3.08 (q, J = 7.2 Hz, 2H), 2.24 (s, 6H), 2.21 – 2.12 (m, 2H), 1.98 (d, J = 13.4 Hz, 2H), 1.61 – 1.42 (m, 3H), 1.25 (t, J = 7.3 Hz, 3H), 1.19 – 1.00 (m, 2H).

Example 1011

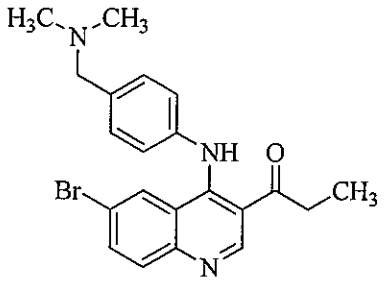
1-(6-bromo-4-((trans-4-(dimethylamino)cyclohexyl)amino)quinolin-3-yl)propan-1-one



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (800 mg, 2.68 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine dihydrochloride (692 mg, 3.21 mmol) to afford the desired product (629 mg, 58%) as an off-white solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.77 (br d, J = 8.1 Hz, 1H), 9.01 (s, 1H), 8.27 (d, J = 2.0 Hz, 1H), 7.84 – 7.68 (m, 2H), 4.05 – 3.89 (m, 1H), 3.08 (q, J = 7.2 Hz, 2H), 2.33 (s, 6H), 2.33 – 2.23 (m, 2H), 2.12 – 1.99 (m, 2H), 1.63 – 1.31 (m, 4H), 1.25 (t, J = 7.2 Hz, 3H).

Example 1012

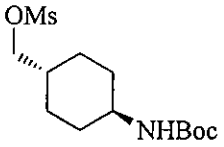
1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)propan-1-one



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (750 mg, 2.51 mmol) was reacted with 4-(dimethylaminomethyl)aniline (377 mg, 2.51 mmol) to afford the desired product (889 mg, 86%) as a yellow solid. APCI MS *m/z* 412 $[C_{21}H_{22}BrN_3O + H]^+$.

Example 1013

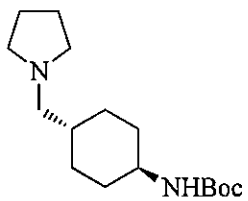
trans-4-((*tert*-butoxycarbonyl)amino)cyclohexyl)methyl methanesulfonate



To a suspension of *tert*-butyl (*trans*-4-(hydroxymethyl)cyclohexyl)carbamate (5.05 g, 22 mmol) in dichloromethane (70 mL) was added triethylamine (3.8 mL, 27.3 mmol). The resultant suspension was cooled to 0 °C and methanesulfonyl chloride (1.78 mL, 23 mmol) was added dropwise. The reaction mixture was allowed to warm to room temperature and stir for 2 h. The solution was then diluted with saturated sodium bicarbonate solution and extracted with dichloromethane. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, ethyl acetate/hexanes) afforded the desired product (6.65 g, 98%) as a white solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 4.39 (br s, 1H), 4.03 (d, J = 7.5 Hz, 1H), 3.39 (br s, 1H), 3.00 (s, 3H), 2.13–2.00 (m, 2H), 1.93–1.79 (m, 2H), 1.79–1.62 (m, 1H), 1.20–1.02 (m, 4H).

Example 1014

tert-butyl (*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)carbamate

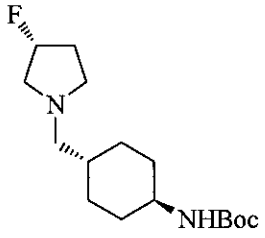


To a suspension of *trans*-4-((*tert*-butoxycarbonyl)amino)cyclohexyl)methyl methanesulfonate (1.84 g, 6.0 mmol) in acetonitrile (30 mL) was added K_2CO_3 (1.66 g, 12 mmol) and KI (600 mg,

3.6 mmol). Pyrrolidine (5.01 mL, 60 mmol) was added dropwise. The reaction mixture was heated at 85 °C for 16 h. The solution was cooled to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (1.28 g, 76%) as a white solid. ESI MS *m/z* 283 $[C_{16}H_{30}N_2O_2 + H]^+$

Example 1015

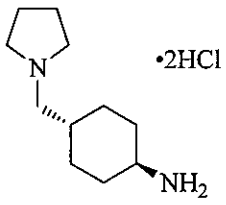
tert-butyl (*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)carbamate



To a suspension of *trans*-4-((*tert*-butoxycarbonyl)amino)cyclohexyl)methyl methanesulfonate (942 mg, 3.07 mmol) in acetonitrile (15 mL) was added K_2CO_3 (1.27 g, 9.21 mmol) and KI (100 mg, 0.60 mmol). (*R*)-3-fluoropyrrolidine hydrochloride (385 mg, 3.07 mmol) was added. The reaction mixture was heated at 50 °C for 72 h. The solution was cooled to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with dichloromethane. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (265 mg, 29%) as a white solid. ESI MS *m/z* 301 $[C_{16}H_{29}FN_2O_2 + H]^+$

Example 1016

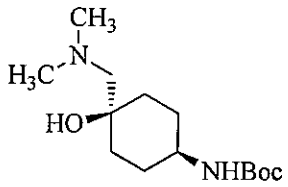
trans-4-(pyrrolidin-1-ylmethyl)cyclohexanamine dihydrochloride



To a solution of *tert*-butyl (*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)carbamate (1.28 g, 4.53 mmol) in THF (15 mL) was added aqueous 6 N HCl (6 mL) and water (6 mL) and the reaction mixture was heated at 65 °C for 3 h. The reaction mixture was cooled to room temperature and concentrated to afford the desired product (1.2 g, >99%) as an off-white solid. ESI MS *m/z* 183 $[C_{11}H_{22}FN_2 + H]^+$

Example 1017

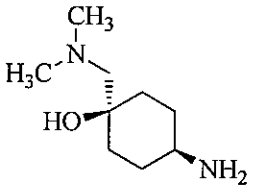
tert-butyl (*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)carbamate



To a solution of dimethylamine (33% in ethanol, 7 mL) was added *tert*-butyl *cis*-1-oxaspiro[2.5] octan-6-ylcarbamate (700 mg, 3.08 mmol). The resulting solution was stirred at room temperature for 4 h and concentrated to give the desired product (840 mg, 100%) as a white solid. ESI MS *m/z* 273 $[C_{14}H_{28}N_2O_3 + H]^+$

Example 1018

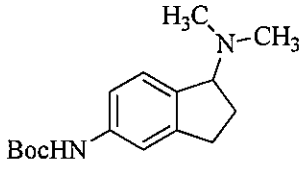
cis-4-amino-1-((dimethylamino)methyl)cyclohexanol



To a solution of HCl (2.0 M in diethyl ether, 10 mL, 20 mmol) was added *tert*-butyl (*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)carbamate (840 mg, 3.08 mmol). The resulting solution was stirred at room temperature for 16 h and concentrated. Saturated sodium bicarbonate solution (100 mL) and 1 M aqueous sodium hydroxide solution (50 mL) were added, and the resultant solution extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate and concentrated to afford the desired product (90 mg, 12%) as a white semisolid. ESI MS *m/z* 173 $[C_9H_{20}N_2O + H]^+$

Example 1019

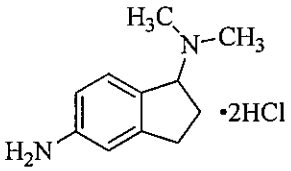
tert-butyl (1-(dimethylamino)-2,3-dihydro-1*H*-inden-5-yl)carbamate



To a solution of *tert*-butyl (1-oxo-2,3-dihydro-1*H*-inden-5-yl)carbamate (371 mg, 1.5 mmol) in dimethylamine (2.0 M solution in THF, 5 mL, 10 mmol) was added sodium triacetoxyborohydride (636 mg, 3.0 mmol). The resultant suspension was stirred at room temperature for 16 h, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (225 mg, 54%) as an off-white solid. ESI MS *m/z* 277 $[C_{16}H_{24}N_2O_2 + H]^+$

Example 1020

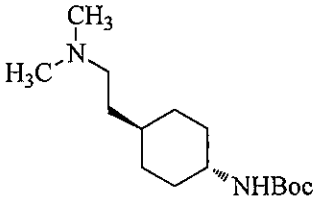
N1,N1-dimethyl-2,3-dihydro-1*H*-indene-1,5-diamine dihydrochloride



Following general procedure A-1, *tert*-butyl (1-(dimethylamino)-2,3-dihydro-1H-inden-5-yl) carbamate (225 mg, 0.814 mmol) was reacted with 6 N aqueous HCl (2 mL) to afford the desired product as a light brown solid that was used in the next step without further purification. ESI MS *m/z* 177 $[C_{11}H_{16}N_2 + H]^+$

Example 1021

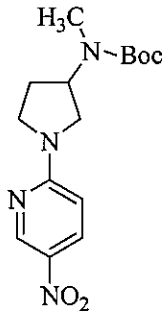
tert-butyl (*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)carbamate



To a suspension of *tert*-butyl (*trans*-4-(2-aminoethyl)cyclohexyl)carbamate (970 mg, 4 mmol) and paraformaldehyde (360 mg, 12 mmol) in methanol (40 mL) was added sodium cyanoborohydride (754 mg, 12 mmol) and acetic acid (1 drop). The resultant suspension was stirred at room temperature for 16 h, diluted with a saturated $NaHCO_3$ solution and extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (336 mg, 31%) as a white solid. ESI MS *m/z* 271 $[C_{15}H_{30}N_2O_2 + H]^+$

Example 1022

tert-butyl methyl(1-(5-nitropyridin-2-yl)pyrrolidin-3-yl)carbamate

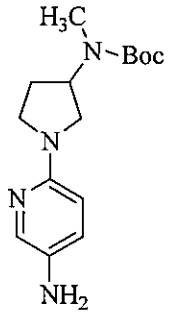


To a solution of *tert*-butyl methyl(pyrrolidin-3-yl)carbamate (1.00 g, 5.0 mmol) in THF (25 mL)

was added triethylamine (0.70 mL, 5.0 mmol) and 2-chloro-5-nitropyridine (500 mg, 3.15 mmol). The reaction mixture was then stirred at room temperature for 16 h, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, ethyl acetate/hexanes) afforded the desired product (1.02 g, 100%) as a yellow solid. ESI MS *m/z* 323 $[C_{15}H_{22}N_4O_4 + H]^+$

Example 1023

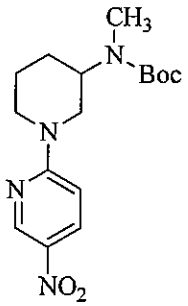
tert-butyl (1-(5-aminopyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate



To a solution of *tert*-butyl methyl(1-(5-nitropyridin-2-yl)pyrrolidin-3-yl)carbamate (1.02 g, 3.2 mmol) in THF (50 mL) was added Pd/C (10 wt. %, 500 mg). The reaction mixture was stirred under 1 atm of hydrogen for 16 h, filtered through celite and concentrated to afford the desired product (940 mg, 100%) as a red oil. ESI MS *m/z* 293 $[C_{15}H_{24}N_4O_2 + H]^+$

Example 1024

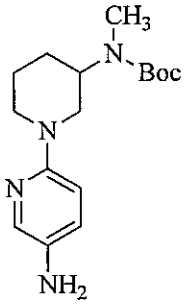
tert-butyl methyl(1-(5-nitropyridin-2-yl)piperidin-3-yl)carbamate



To a solution of *tert*-butyl methyl(piperidin-3-yl)carbamate (1.00 g, 4.67 mmol) in THF (25 mL) was added triethylamine (0.70 mL, 5.0 mmol) and 2-chloro-5-nitropyridine (500 mg, 3.1 mmol). The reaction mixture was then stirred at room temperature for 16 h, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, ethyl acetate/hexanes) afforded the desired product (1.03 g, 99%) as a yellow solid. ESI MS *m/z* 337 $[C_{16}H_{24}N_4O_4 + H]^+$

Example 1025

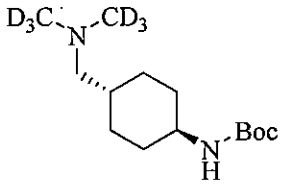
tert-butyl (1-(5-aminopyridin-2-yl)piperidin-3-yl)(methyl)carbamate



To a solution of *tert*-butyl methyl(1-(5-nitropyridin-2-yl)piperidin-3-yl)carbamate (1.03 g, 3.1 mmol) in THF (50 mL) was added Pd/C (10 wt. %, 500 mg). The reaction mixture was stirred under 1 atm of hydrogen for 16 h, filtered through celite and concentrated to afford the desired product (902 mg, 96%) as a red oil. ESI MS *m/z* 307 $[C_{16}H_{26}N_4O_2 + H]^+$

Example 1026

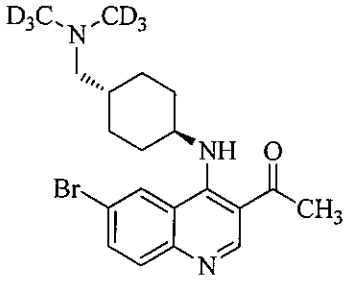
tert-butyl (*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)carbamate



To a suspension of *trans*-4-((*tert*-butoxycarbonyl)amino)cyclohexyl)methyl methanesulfonate (307 mg, 1.0 mmol) in acetonitrile (4 mL) was added KI (332 mg, 2.0 mmol), *N*,*N*-diisopropylethylamine (1.78 mL, 10 mmol) and finally dimethyl-d_6-amine hydrochloride (350 mg, 4.0 mmol). The reaction mixture was heated in a microwave at 100 °C for 1 h. The reaction was cooled to room temperature, diluted with a satd. aq $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated to afford the desired product (236 mg, 90%) as a light brown solid. ESI MS *m/z* 263 $[C_{14}H_{22}D_6N_2O_2 + H]^+$

Example 1027

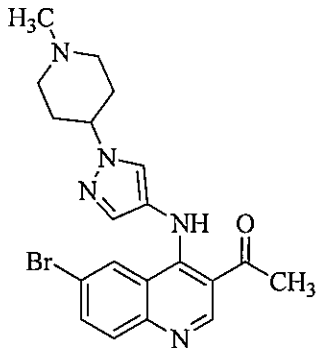
1-(6-bromo-4-((*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)amino) quinolin-3-yl)ethanone



To a solution of *tert*-butyl (*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)carbamate (750 mg, 2.85 mmol) in THF (10 mL) was added water (5 mL) and HCl (6.0 M in H_2O, 5.0 mL, 30 mmol) and the reaction mixture was heated at 65 °C for 2 h. After cooling to room temperature the reaction mixture was concentrated and the residue was dissolved in dioxane (40 mL) followed by the addition of *N*,*N*-diisopropylethylamine (5.0 mL, 28.7 mmol), K_2CO_3 (1.0 g, 7.24 mmol) and 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (0.59 g, 2.0 mmol). The reaction mixture was heated at 100 °C for 16 h, cooled to room temperature, diluted with satd. aq $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated to afford the desired product (273 mg, 33%) as an off-white solid. ESI MS *m/z* 410 $[C_{20}H_{20}D_6BrN_3O + H]^+$

Example 1028

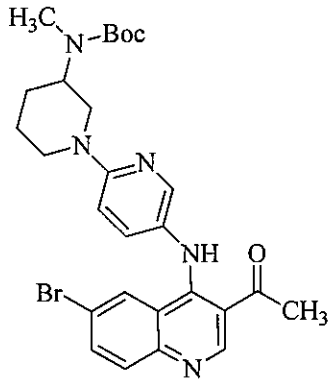
1-(6-bromo-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol) was reacted with 1-(1-methylpiperidin-4-yl)-1*H*-pyrazol-4-amine (0.30 g, 1.66 mmol) to afford the desired product (223 mg, 52%) as a light yellow solid. ESI MS *m/z* 428 $[C_{20}H_{22}BrN_5O + H]^+$

Example 1029

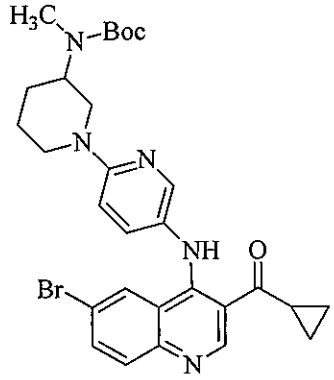
tert-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl) piperidin-3-yl)(methyl)carbamate



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol) was reacted with *tert*-butyl (1-(5-aminopyridin-2-yl)piperidin-3-yl)(methyl)carbamate (442 mg, 1.45 mmol) to afford the desired product (446 mg, 80%) as an orange-red solid. ESI MS *m/z* 554 $[C_{27}H_{32}BrN_5O_3 + H]^+$

Example 1030

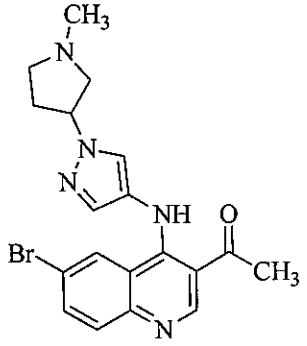
tert-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) pyridin-2-yl)piperidin-3-yl)(methyl)carbamate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.0 mmol) was reacted with *tert*-butyl (1-(5-aminopyridin-2-yl)piperidin-3-yl)(methyl) carbamate (460 mg, 1.51 mmol) to afford the desired product (590 mg, 100%) as an orange-red solid. ESI MS *m/z* 580 $[C_{29}H_{34}BrN_5O_3 + H]^+$

Example 1031

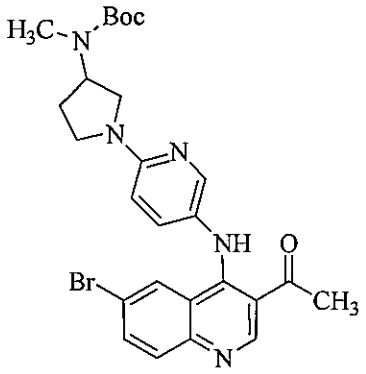
1-(6-bromo-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol) was reacted with 1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-amine (0.28 g, 1.68 mmol) to afford the desired product (360 mg, 87%) as a light yellow solid. ESI MS *m/z* 414 $[C_{19}H_{20}BrN_5O + H]^+$

Example 1032

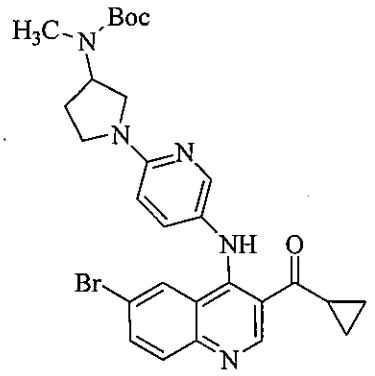
tert-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl) pyrrolidin-3-yl)(methyl)carbamate



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol) was reacted with *tert*-butyl (1-(5-aminopyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (440 mg, 1.50 mmol) to afford the desired product (273 mg, 51%) as an orange solid. ESI MS *m/z* 540 $[C_{26}H_{30}BrN_5O_3 + H]^+$

Example 1033

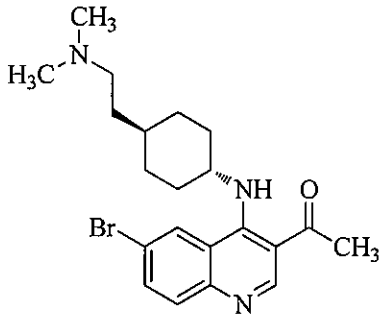
tert-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.0 mmol) was reacted with *tert*-butyl (1-(5-aminopyridin-2-yl)pyrrolidin-3-yl)(methyl) carbamate (500 mg, 1.71 mmol) to afford the desired product (350 mg, 62%) as an orange-red solid. ESI MS *m/z* 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$

Example 1034

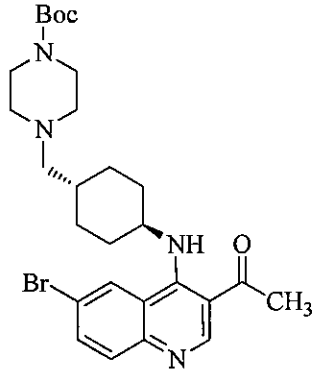
1-(6-bromo-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure A-1, *tert*-butyl (*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl) carbamate (336 mg, 1.24 mmol) was reacted with 6 N aqueous HCl (2 mL) to afford a viscous colorless oil. The oil was dissolved in dioxane (10 mL) and DMF (5 mL) followed by the addition of 1-(6-bromo -4-chloroquinolin-3-yl)ethanone (285 mg, 1.0 mmol), K_2CO_3 (0.55 g, 4.0 mmol), and *N,N*-diisopropylethylamine (1.0 mL, 5.8 mmol) and the resultant suspension was heated at 100 °C for 16 h. The reaction mixture was cooled to room temperature, diluted with satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (227 mg, 54%) as a light yellow-brown solid. ESI MS *m/z* 418 $[C_{21}H_{28}BrN_3O + H]^+$

Example 1035

tert-butyl 4-((*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl) methyl)piperazine-1-carboxylate

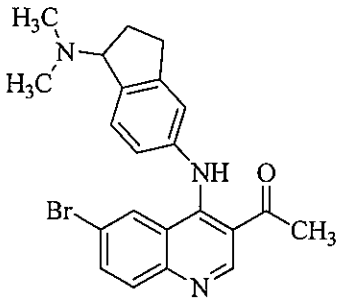


To a solution of ((*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl)methyl methanesulfonate (300 mg, 0.66 mmol) and *tert*-butyl piperazine-1-carboxylate (566 mg, 3.0 mmol) in acetonitrile (5 mL) and dioxane (5 mL) was added KI (500 mg, 3.0 mmol) and *N,N*-diisopropylethylamine (0.60 mL, 3.44 mmol) and the reaction mixture was heated at 70 °C for 16 h. The solution was cooled to room temperature, diluted with satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product (248 mg, 69%) as an off-white solid.

ESI MS *m/z* 545 $[C_{27}H_{37}BrN_4O_3 + H]^+$

Example 1036

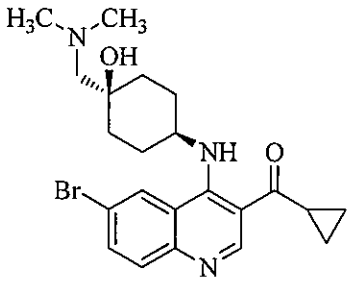
1-(6-bromo-4-((1-(dimethylamino)-2,3-dihydro-1*H*-inden-5-yl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (256 mg, 0.90 mmol) was reacted with *N1,N1*-dimethyl-2,3-dihydro-1H-indene-1,5-diamine dihydrochloride (0.814 mmol) to afford the desired product (19 mg, 5.5%) as a yellow-brown solid. ESI MS *m/z* 424 $[C_{22}H_{22}BrN_3O + H]^+$

Example 1037

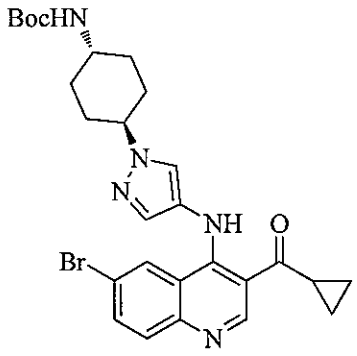
(6-bromo-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (155 mg, 0.5 mmol) was reacted with *cis*-4-amino-1-((dimethylamino)methyl)cyclohexanol (90 mg, 0.37 mmol) to afford the desired product (72 mg, 44%) as an off-white solid. ESI MS *m/z* 446 $[C_{22}H_{28}BrN_3O_2 + H]^+$

Example 1038

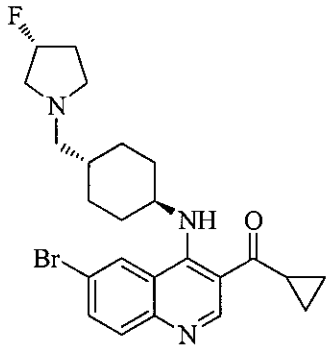
tert-butyl (*trans*-4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl) amino)-1*H*-pyrazol-1-yl)cyclohexyl)carbamate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.0 mmol) was reacted with *tert*-butyl (*cis*-4-(4-amino-1*H*-pyrazol-1-yl)cyclohexyl) carbamate (610 mg, 2.18 mmol) to afford the desired product (90 mg, 16%) as a yellow solid. ESI MS *m/z* 554 $[C_{27}H_{32}BrN_5O_3 + H]^+$

Example 1039

(6-bromo-4-((*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone

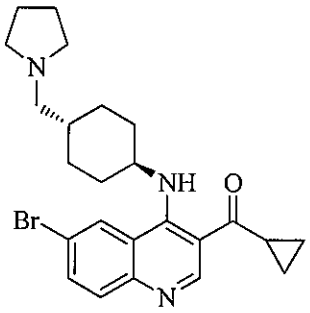


To a solution of *tert*-butyl (*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)carbamate (265 mg, 0.88 mmol) in THF (6 mL) was added aqueous 6 N HCl (6 mL) and water (6 mL) and the reaction mixture was heated at 65 °C for 3 h. The reaction mixture was cooled to room temperature, diluted with satd. aq. sodium bicarbonate (50 mL) and 1 M aqueous sodium hydroxide (50 mL) and extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate and concentrated to afford a white semisolid. The residue was dissolved in dioxane followed by the addition of (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (225 mg, 0.724 mmol), K_2CO_3 (415 mg, 3.0 mmol), and *N*,*N*-diisopropylethylamine (0.39 mL, 3.0 mmol) and the resultant suspension was heated at 80 °C for 16 h. The reaction was cooled to room temperature, diluted with satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20%

methanol/dichloromethane) afforded the desired product (180 mg, 52%) as an off-white solid. ESI MS *m/z* 474 $[C_{24}H_{29}BrFN_3O + H]^+$

Example 1040

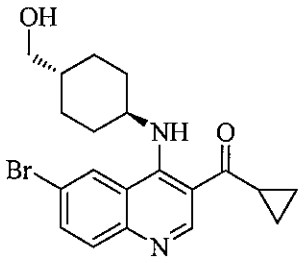
(6-bromo-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (466 mg, 1.5 mmol) was reacted with *trans*-4-(pyrrolidin-1-ylmethyl)cyclohexanamine dihydrochloride (847 mg, 3.0 mmol) to afford the desired product (180 mg, 52%) as an off-white solid. ESI MS *m/z* 456 $[C_{24}H_{30}BrN_3O + H]^+$

Example 1041

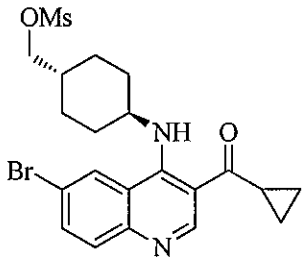
(6-bromo-4-((*trans*-4-(hydroxymethyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (1.16 g, 3.75 mmol) was reacted with (*trans*-4-aminocyclohexyl)methanol (726 mg, 5.61 mmol) to afford the desired product (1.23 g, 81%) as a white solid. ESI MS *m/z* 403 $[C_{20}H_{23}BrN_2O_2 + H]^+$

Example 1042

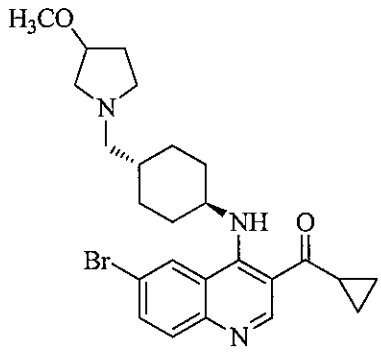
(*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) cyclohexyl)methyl methanesulfonate



To a suspension of (6-bromo-4-((*trans*-4-(hydroxymethyl)cyclohexyl)amino)quinolin-3-yl) (cyclopropyl)methanone (1.23 g, 3.05 mmol) in dichloromethane (60 mL) was added triethylamine (2.09 mL, 15 mmol) and methanesulfonyl chloride (0.35 mL, 4.5 mmol) was added dropwise. The reaction mixture was stirred for 2 h. The solution was then diluted with saturated sodium bicarbonate solution and extracted with dichloromethane. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, ethyl acteate/hexanes) afforded the desired product (0.98 g, 67%) as a white solid. ESI MS *m/z* 481 $[C_{21}H_{25}BrN_2O_4S + H]^+$

Example 1043

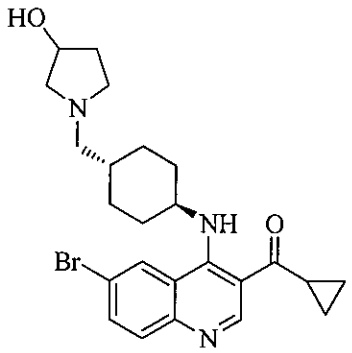
(6-bromo-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



To a solution of (*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) cyclohexyl)methyl methanesulfonate (362 mg, 0.75 mmol) and 3-methoxypyrrolidine hydrochloride (410 mg, 2.98 mmol) in acetonitrile (15 mL) was added K_2CO_3 (1.24 g, 9 mmol) and KI (300 mg, 1.81 mmol) and *N*,*N*-diisopropylethylamine (0.5 mL, 2.9 mmol) and the reaction mixture was heated at 50 °C for 16 h and 80 °C for 24 h. The solution was cooled to room temperature, diluted with satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purification by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product (155 mg, 42%) as an off-white solid. ESI MS *m/z* 486 $[C_{25}H32BrN_3O_2 + H]^+$

Example 1044

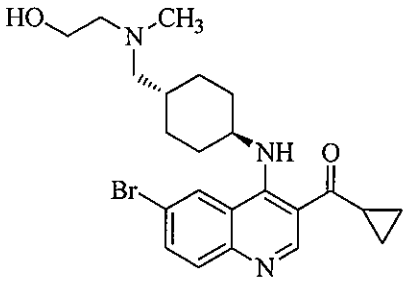
(6-bromo-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



To a solution of (*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) cyclohexyl)methyl methanesulfonate (362 mg, 0.75 mmol) and 3-hydroxypyrrolidine (262 mg, 3.0 mmol) in acetonitrile (15 mL) was added *N,N*-diisopropylethylamine (1.0 mL, 5.8 mmol) and the reaction mixture was heated at 80 °C for 16 h. The solution was cooled to room temperature, diluted satd. aq. $NaHCO_3$ and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product (174 mg, 49%) as an off-white solid. ESI MS *m/z* 472 $[C_{24}H_{30}BrN_3O_2 + H]^+$

Example 1045

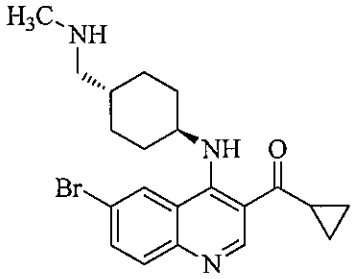
(6-bromo-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



To a solution of (*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) cyclohexyl)methyl methanesulfonate (361 mg, 0.75 mmol) and 2-(methylamino)ethanol (0.30 mL, 3.75 mmol) in acetonitrile (15 mL) was added *N,N*-diisopropylethylamine (1.0 mL, 5.8 mmol) and the reaction mixture was heated at 70 °C for 16 h. The solution was cooled to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (150 mg, 43%) as an off-white solid. ESI MS *m/z* 460 $[C_{23}H_{30}BrN_3O_2 + H]^+$

Example 1046

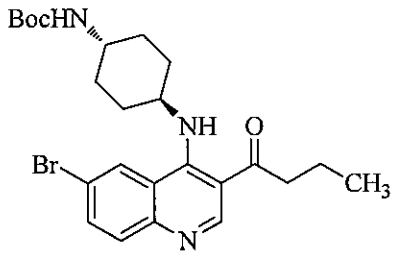
(6-bromo-4-((*trans*-4-((methylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



A suspension of (*trans*-4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) cyclohexyl)methyl methanesulfonate (175 mg, 0.36 mmol) in methylamine (2.0 M solution in THF, 4.0 mL, 8.0 mmol) in a sealed vessel was heated under microwave irradiation conditions to 120 °C for 2 h. The solution was cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (42 mg, 28%) a white solid. ESI MS *m/z* 416 $[C_{21}H_{26}BrN_3O + H]^+$

Example 1047

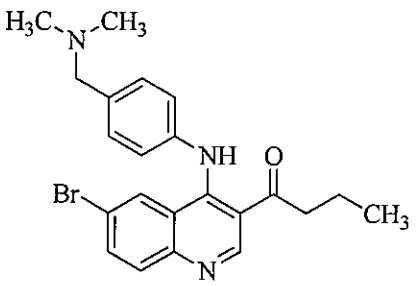
tert-butyl (*trans*-4-((6-bromo-3-butyrylquinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one (470 mg, 1.50 mmol) was reacted with *tert*-butyl (*trans*-4-aminocyclohexyl)carbamate (643 mg, 3 mmol) to afford the desired product (498 mg, 68%) as a light orange solid. ESI MS *m/z* 490 $[C_{24}H_{32}BrN_3O_3 + H]^+$

Example 1048

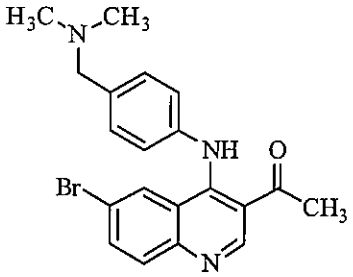
1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one (470 mg, 1.50 mmol) was reacted with 4-((dimethylamino)methyl)aniline (451 mg, 3 mmol) to afford the desired product (402 mg, 63%) as a yellow solid. ESI MS *m/z* 426 $[C_{22}H_{24}BrN_3O + H]^+$

Example 1049

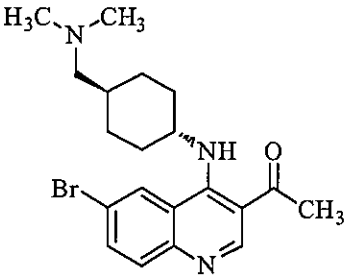
1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (500 mg, 1.76 mmol) was reacted with 4-((dimethylamino)methyl)aniline hydrochloride (479 mg, 2.56 mmol) to afford the desired product (491 mg, 70%) as a yellow solid. ESI MS *m/z* 398 $[C_{20}H_{20}BrN_3O + H]^+$

Example 1050

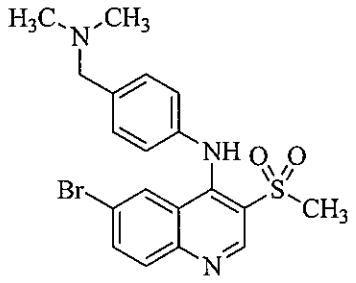
1-(6-bromo-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (256 mg, 0.90 mmol) was reacted with *trans*-4-((dimethylamino)methyl)cyclohexanamine dihydrochloride (320 mg, 1.40 mmol) to afford the desired product (149 mg, 70%) as a light orange solid. ESI MS *m/z* 404 $[C_{20}H_{26}BrN_3O + H]^+$

Example 1051

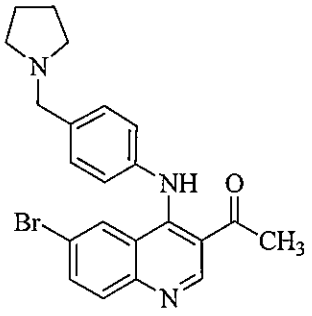
6-bromo-N-(4-((dimethylamino)methyl)phenyl)-3-(methylsulfonyl)quinolin-4-amine



To a suspension of 6-bromo-4-chloro-3-(methylsulfonyl)quinoline (250 mg, 0.78 mmol) in dioxane (8 mL) was added 4-((dimethylamino)methyl)cyclohexanamine (233 mg, 1.55 mmol) and *N*,*N*-diisopropylethylamine (0.42 mL, 2.4 mmol). The reaction mixture was heated at 90 °C for 4 h. The reaction mixture was cooled to room temperature, diluted with saturated sodium bicarbonate solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded the desired product (225 mg, 66%) as a yellow solid. ESI MS *m/z* 434 $[C_{29}H_{20}BrN_3O_2 + H]^+$

Example 1052

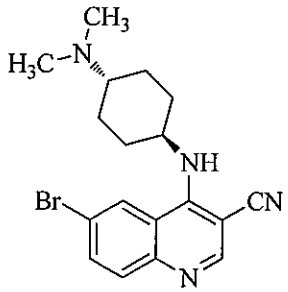
1-(6-bromo-4-((4-(pyrrolidin-1-ylmethyl)phenyl)amino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1.00 mmol) was reacted with 4-(pyrrolidin-1-ylmethyl)aniline (374 mg, 1.50 mmol) to afford the desired product (182 mg, 43%) as a light orange solid. ESI MS *m/z* 424 $[C_{22}H_{22}BrN_3O + H]^+$

Example 1053

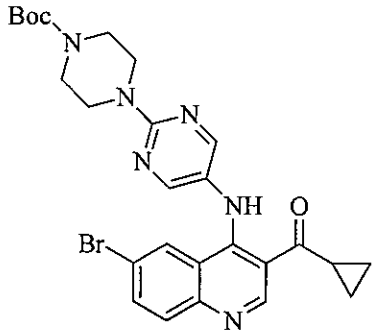
6-bromo-4-((*trans*-4-(dimethylamino)cyclohexyl)amino)quinoline-3-carbonitrile



Following general procedure C, 6-bromo-4-chloroquinoline-3-carbonitrile (262 mg, 0.98 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine dihydrochloride (422 mg, 1.96 mmol) to afford the desired product (56 mg, 15%) as a yellow solid. ESI MS *m/z* 373 $[C_{18}H_{21}BrN_4 + H]^+$

Example 1054

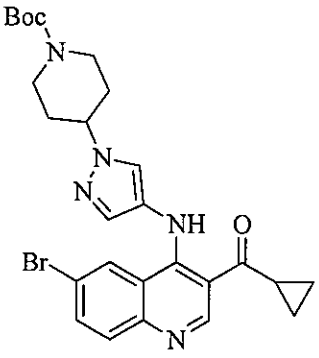
tert-butyl 4-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) pyrimidin-2-yl)piperazine-1-carboxylate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1.0 mmol) was reacted with *tert*-butyl 4-(5-aminopyrimidin-2-yl)piperazine-1-carboxylate (419 mg, 1.5 mmol) to afford the desired product (215 mg, 39%) as a yellow solid. ESI MS *m/z* 553 $[C_{26}H_{29}BrN_6O_3 + H]^+$

Example 1055

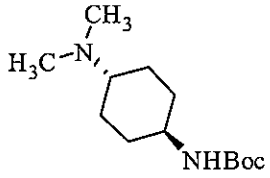
tert-butyl 4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino) -1H-pyrazol-1-yl)piperidine-1-carboxylate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1.0 mmol) was reacted with *tert*-butyl 4-(4-amino-1H-pyrazol-1-yl)piperidine-1-carboxylate (400 mg, 1.5 mmol) to afford the desired product (441 mg, 82%) as a yellow solid. ESI MS *m/z* 540 $[C_{26}H_{30}BrN_5O_3 + H]^+$

Example 402

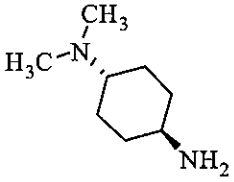
tert-Butyl *trans*-4-(dimethylamino)cyclohexylcarbamate



To a solution of *tert*-butyl *trans*-4-aminocyclohexylcarbamate (750 mg, 3.50 mmol), paraformaldehyde (318 mg, 10.5 mmol), and sodium cyanoborohydride (660 mg, 13.5 mmol) in methanol (30 mL) was added acetic acid (catalytic) and the reaction stirred at room temperature for 18 h. The reaction mixture was quenched with water and the layers were separated. The pH of the aqueous layer was adjusted to 10 using 1 M sodium hydroxide followed by extraction with methylene chloride. The combined organic layers were dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (805 mg, 95%) as a white solid: ESI MS *m/z* 243 $[C_{13}H_{26}N_2O_2 + H]^+$.

Example 403

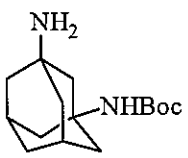
trans-N^1,N^1-Dimethylcyclohexane-1,4-diamine



To a solution of *tert*-butyl *trans*-4-(dimethylamino)cyclohexylcarbamate (805 mg, 3.33 mmol) was added TFA (5 mL) and the reaction mixture was heated at 75 °C for 18 h. The reaction mixture was concentrated and the residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product as the free base (400 mg, 85%) as an orange oil: ESI MS *m/z* 143 $[C_8H_{18}N_2 + H]^+$.

Example 404

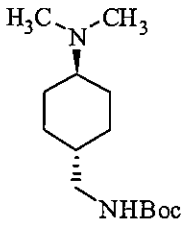
tert-Butyl 1-(3-aminoadamantane)carbamate



To a mixture of 1,3-diaminoadamantane dihydrochloride (500 mg, 2.09 mmol) and sodium carbonate (1.10 g, 10.5 mmol) in 1,4 dioxane (20 mL) and water (10 mL) at 0 °C was added di-*tert*-butyl dicarbonate (450 mg, 2.09 mmol) in 1,4 dioxane (10 mL) portionwise over 10 min. The reaction mixture was warmed to room temperature, stirred for 18 h, diluted with methylene chloride and the layers were separated. The organic layer was dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (420 mg, 76%) as a white solid: ESI MS *m/z* 267 $[C_{15}H_{26}N_2O_2 + H]^+$.

Example 405

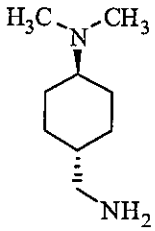
tert-Butyl [*trans*-4-(dimethylamino)cyclohexyl]methylcarbamate



To a solution of *tert*-butyl [*trans*-4-aminocyclohexyl]methylcarbamate (1.15 g, 5.00 mmol), paraformaldehyde (454 mg, 15.0 mmol), and sodium cyanoborohydride (940 mg, 15.0 mmol) in methanol (40 mL) was added acetic acid (catalytic) and the reaction mixture stirred at room temperature for 18 h. The reaction mixture was quenched with water and concentrated to remove methanol. The pH of the aqueous layer was adjusted to 10 with 1 M aqueous sodium hydroxide followed by extraction with methylene chloride. The organic layers were dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (1.23 g, 96%) as a thick oil: ESI MS *m/z* 257 $[C_{14}H_{28}N_2O_2 + H]^+$.

Example 406

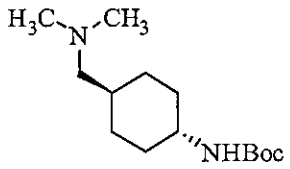
trans-4-(Aminomethyl)-*N*,*N*-dimethylcyclohexanamine



Following general procedure A-1, *tert*-butyl [*trans* -4-(dimethylamino)cyclohexyl]methyl carbamate (1.23 g, 4.80 mmol) was reacted with 3 M hydrochloric acid (10 mL) to afford the desired product (1.15 g, >99%) as white solid: ESI MS *m/z* 230 $[C_9H_{20}N_2 + H]^+$.

Example 407

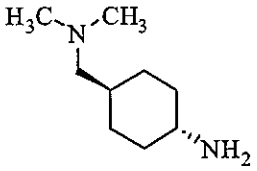
tert-Butyl *trans*-4-[(dimethylamino)methyl]cyclohexylcarbamate



To a solution of *tert*-butyl *trans*-4-(aminomethyl)cyclohexylcarbamate (1.02 g, 4.47 mmol), paraformaldehyde (407 mg, 13.4 mmol), and sodium cyanoborohydride (842 mg, 13.4 mmol) in methanol (40 mL) was added acetic acid (catalytic) and the reaction mixture stirred at room temperature for 18 h. The reaction mixture was quenched with water and concentrated to remove methanol. The pH of the aqueous layer was adjusted to 10 with 1 M aqueous sodium hydroxide followed by extraction with methylene chloride. The organic layer was dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (1.1 g, 96%) as an oil: ESI MS *m/z* 257 $[C_{14}H_{28}N_2O_2 + H]^+$.

Example 408

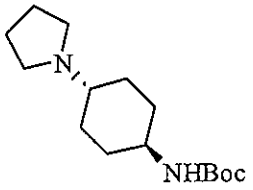
trans-4-[(Dimethylamino)methyl]cyclohexanamine



Following general procedure A-1, *tert*-butyl *trans*-4-[(dimethylamino)methyl] cyclohexylcarbamate (1.1 g, 4.30 mmol) was reacted with 3 M hydrochloric acid (10 mL) to afford the desired product (1.0 g, >99%) as a glass: ESI MS *m/z* 230 $[C_9H_{20}N_2 + H]^+$.

Example 409

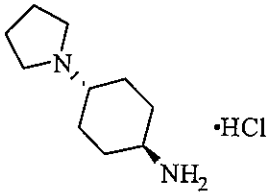
tert-Butyl *trans*-4-(pyrrolidin-1-yl)cyclohexylcarbamate



A stirred solution of *tert*-butyl *trans*-4-aminocyclohexylcarbamate (2.0 g, 9.33 mmol), 1,4-dibromobutane (1.33 mL, 11.2 mmol), and potassium hydrogen carbonate (4.67 g, 46.7 mmol) in DMF (90 mL) was stirred at room temperature overnight. After this time the reaction was diluted with aqueous lithium chloride and extracted with diethyl ether. The combined organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure to afford the desired product (399 mg, 16%) as an off-white solid: ESI MS *m/z* 269 $[C_{15}H_{28}N_2O_2 + H]^+$.

Example 410

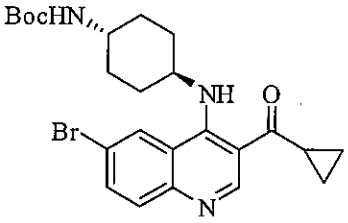
trans-4-(Pyrrolidin-1-yl)cyclohexanamine hydrochloride



To a solution of *tert*-butyl *trans*-4-(pyrrolidin-1-yl)cyclohexylcarbamate (399 mg, 1.44 mmol) in THF (12 mL) was added aqueous 6 N HCl (6 mL) and water (6 mL) and the reaction mixture was heated at 65 °C for 18 ht. The reaction mixture was cooled and concentrated to afford the desired product (350 mg, >99%) as an off-white solid: ESI MS *m/z* 169 $[C_{10}H_{20}N_2 + H]^+$.

Example 411

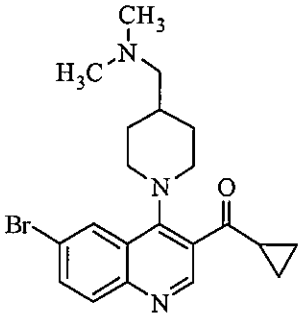
tert-Butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (581 mg, 1.87 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (865 mg, 4.00 mmol) to afford the desired product (525 mg, 56%): ESI MS *m/z* 488 $[C_{24}H_{30}BrN_3O_3 + H]^+$.

Example 412

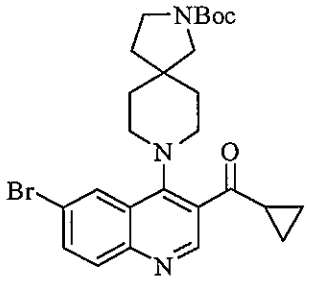
(6-Bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (211 mg, 0.680 mmol) was reacted with *N*,*N*-dimethyl-1-(piperidin-4-yl)methanamine (97 mg, 0.680 mmol) to afford the desired product (160 mg, 46%) as a yellow glass: ESI MS *m/z* 417 $[C_{21}H_{26}BrN_3O + H]^+$.

Example 413

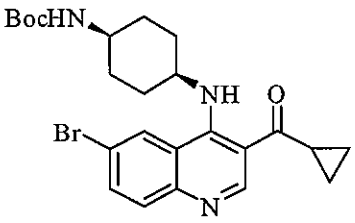
tert-Butyl 8-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl]-2,8-diazaspiro [4,5]decane-2-carboxylate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (200 mg, 0.640 mmol) was reacted with *tert*-butyl 2,8-diazaspiro[4,5]decane-2-carboxylate (169 mg, 0.704 mmol) to afford the desired product (240 mg, 73%) as a yellow foam: ESI MS *m/z* 514 $[C_{26}H_{32}BrN_3O_3 + H]^+$.

Example 414

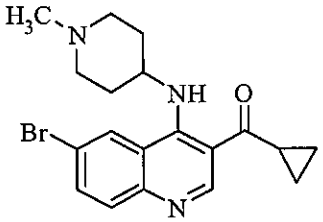
tert-Butyl *cis*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (200 mg, 0.640 mmol) was reacted with *tert*-butyl *cis*-4-aminocyclohexylcarbamate (164 mg, 0.767 mmol) to afford the desired product (259 mg, 83%) as a brown solid: ESI MS *m/z* 489 $[C_{24}H_{30}BrN_3O_3 + H]^+$.

Example 415

[6-Bromo-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl](cyclopropyl)methanone

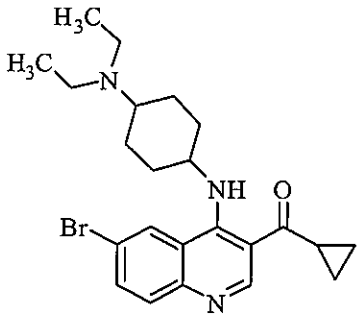


Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (510 mg, 1.64 mmol) was reacted with 1-methylpiperidin-4-amine (375 mg, 3.28 mmol) to afford the desired product (552 mg, 87%) as a brown solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.12 (s, 1H), 8.38 (d, J = 2.0 Hz, 1H), 7.84 (dd, J = 8.9, 2.1 Hz, 1H), 7.79–7.71 (m, 1H), 4.20–3.97 (m, 1H), 2.93–2.69 (m, 3H), 2.47–2.19 (m, 5H), 2.19–2.03 (m, 2H), 1.86–1.60 (m, 2H), 1.32–1.16 (m,

2H), 1.16–1.00 (m, 2H).

Example 416

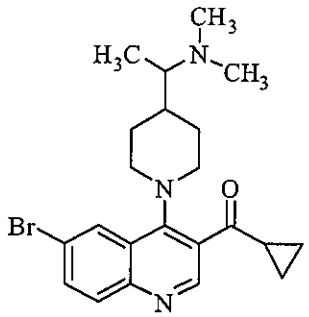
{6-Bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (1.01 g, 3.25 mmol) was reacted with N^1,N^1-diethylcyclohexane-1,4-diamine (660 mg, 3.90 mmol) to afford the desired product (1.12 g, 78%) as a yellow wax: ESI MS *m/z* 523 $[C_{23}H_{30}BrN_3O + H]^+$.

Example 417

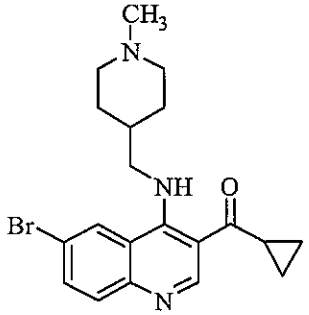
(6-Bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (300 mg, 0.965 mmol) was reacted with *N*,*N*-dimethyl-1-(piperidin-4-yl)ethanamine (332 mg, 1.45 mmol) to afford the desired product (264 mg, 63%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.78 (s, 1H), 8.32 (s, 1H), 7.95–7.80 (m, 2H), 3.57–3.39 (m, 2H), 3.21 3.05 (m, 2H), 2.59–2.42 (m, 2H), 2.31 (s, 6H), 2.11–1.97 (m, 1H), 1.89 (d, J = 12.4 Hz, 1H), 1.80–1.67 (m, 1H), 1.68–1.49 (m, 2H), 1.36–1.16 (m, 4H), 1.06 (d, J = 6.6 Hz, 3H).

Example 418

{6-Bromo-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3-yl}(cyclopropyl)methanone

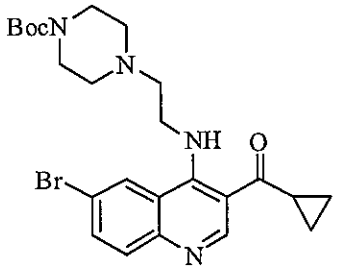


Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (0.880 g, 2.83 mmol) was reacted with (1-methylpiperidin-4-yl)methanamine (435 mg, 3.39 mmol) to afford the desired product (970 mg, 85%) as a light brown solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.87 (s, 1H), 9.22 (s, 1H), 8.36 (d, J = 1.9 Hz, 1H), 7.80 (d, J = 8.9 Hz, 1H), 7.73 (dd, J = 8.9, 2.0 Hz, 1H), 3.69 (dd, J = 6.4, 5.2 Hz, 2H), 2.93 (d, J = 11.4 Hz, 2H), 2.79–2.60 (m, 1H), 2.31 (s, J = 9.0 Hz, 3H), 2.01 (t, J = 11.7 Hz, 2H), 1.89 (d, J = 12.7 Hz, 2H), 1.76–1.60 (m, 1H), 1.53–1.30 (m, 2H), 1.30–1.17 (m, 2H), 1.10–0.95 (m, 2H).

Example 419

tert-Butyl

4-{2-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]ethyl}piperazine-1-carboxylate

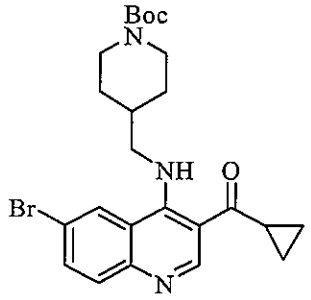


Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (940 mg, 3.03 mmol) was reacted with *tert*-butyl 4-(2-aminoethyl)piperazine-1-carboxylate (830 mg, 86%) to afford the desired product as an orange solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.69 (s, 1H), 9.21 (s, 1H), 8.39 (d, J = 2.0 Hz, 1H), 7.81 (d, J = 8.9 Hz, 1H), 7.73 (dd, J = 8.9, 2.0 Hz, 1H), 3.87 (dd, J = 11.1, 5.5 Hz, 2H), 3.49 (t, J = 4.9 Hz, 4H), 2.76–2.59 (m, 3H), 2.50 (t, J = 4.9 Hz, 4H), 1.47 (s, J = 11.3 Hz, 9H), 1.32–1.16 (m, 2H), 1.10–0.95 (m, 2H).

Example 420

tert-Butyl

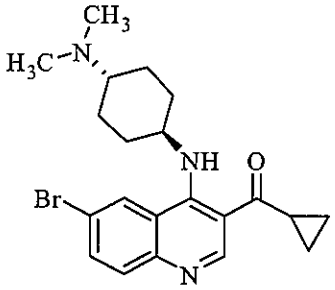
4-{[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]methyl}piperidine-1-carboxylate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (500 mg, 1.60 mmol) was reacted with *tert*-butyl 4-(aminomethyl)piperidine-1-carboxylate (516 mg, 2.41 mmol) to afford the desired product (80 mg, >99%) as an orange foam: ESI MS *m/z* 489 $[C_{24}H_{30}BrN_3O_3 + H]^+$.

Example 421

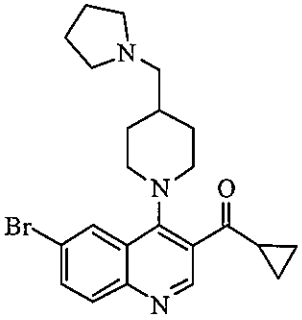
{6-Bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (870 mg, 2.80 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine (400 mg, 2.80 mmol) to afford the desired product (398 mg, 36%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.12 (s, 1H), 8.41 (d, J = 2.0 Hz, 1H), 7.85 (dd, J = 8.9, 2.0 Hz, 1H), 7.76 (d, J = 8.9 Hz, 1H), 4.10–3.84 (m, 1H), 2.93–2.70 (m, 1H), 2.56–2.40 (m, 1H), 2.37 (s, 6H), 2.25 (d, J = 12.0 Hz, 2H), 2.05 (d, J = 11.4 Hz, 2H), 1.62–1.31 (m, 4H), 1.31–1.01 (m, 4H).

Example 422

{6-Bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone

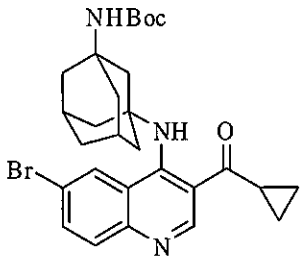


Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (210

mg, 0.680 mmol) was reacted with 4-(pyrrolidin-1-ylmethyl)piperidine (229 mg, 1.36 mmol) to afford the desired product (210 mg, 70%) as a yellow semisolid: ESI MS *m/z* 443 [$C_{23}H_{28}BrN_3O$ + H]$^+$.

Example 423

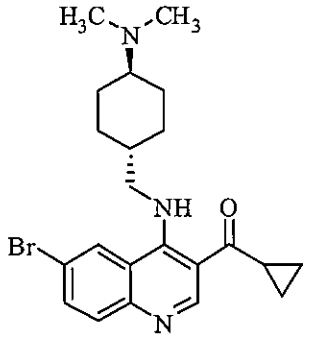
tert-Butyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]adamantylcarbamate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (326 mg, 1.05 mmol) was reacted with *tert*-butyl 1-(3-aminoadamantane)carbamate (420 mg, 1.58 mmol) to afford the desired product (230 mg, 40%) as a yellow foam: ESI MS *m/z* 541 [$C_{23}H_{26}BrN_3O$ + H]$^+$.

Example 424

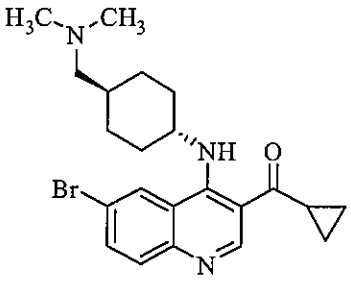
(6-Bromo-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl) (cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (537 mg, 1.73 mmol) was reacted with *trans*-4-(aminomethyl)-*N*,*N*-dimethylcyclohexanamine (595 mg, 2.60 mmol) to afford the desired product (440 mg, 59%) as a white solid: ^{1}H NMR (300 MHz, CH_3OD) δ 9.13 (s, 1H), 8.48 (d, J = 2.0 Hz, 1H), 7.83 (dd, J = 9.0, 2.0 Hz, 1H), 7.73 (d, J = 8.9 Hz, 1H), 3.65 (d, J = 6.4 Hz, 2H), 2.91–2.67 (m, 1H), 2.41–2.18 (m, 7H), 1.99 (d, J = 10.1 Hz, 4H), 1.67 (d, J = 3.3 Hz, 1H), 1.43–0.99 (m, 8H).

Example 425

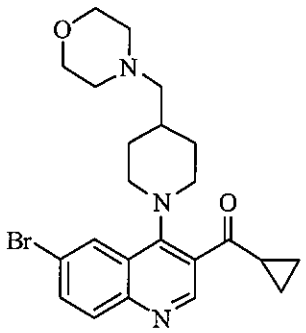
{6-Bromo-4-[*trans*-4-{(dimethylamino)methyl}cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (560 mg, 1.80 mmol) was reacted with *trans*-4-[(dimethylamino)methyl]cyclohexanamine (620 mg, 2.70 mmol) to afford the desired product (335 mg, 43%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.12 (s, 1H), 8.41 (d, J = 2.0 Hz, 1H), 7.84 (dd, J = 8.9, 2.1 Hz, 1H), 7.75 (d, J = 8.9 Hz, 1H), 4.12–3.84 (m, 1H), 2.91–2.72 (m, 1H), 2.42–2.26 (m, J = 6.6 Hz, 8H), 2.21 (d, J = 11.6 Hz, 2H), 1.94 (d, J = 12.1 Hz, 2H), 1.75–1.59 (m, 1H), 1.59–1.35 (m, 2H), 1.25–0.98 (m, 6H).

Example 426

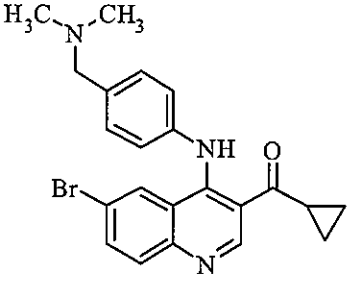
{6-Bromo-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (144 mg, 0.460 mmol) was reacted with 4-(piperidin-4-ylmethyl)morpholine (102 mg, 0.552 mmol) to afford the desired product (177 mg, 84%) as a yellow solid: ESI MS *m/z* 458 [$C_{23}H_{28}BrN_3O_2$ + H]$^+$.

Example 427

(6-Bromo-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl)(cyclopropyl)methanone

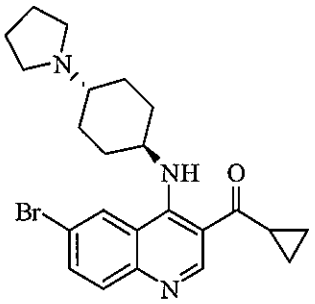


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (320 mg, 1.03 mmol) was reacted with 4-[(dimethylamino)methyl]aniline (210 mg, 1.54 mmol) to

afford the desired product (218 mg, 50%) as a yellow solid: ESI MS *m/z* 425 [$C_{22}H_{22}BrN_3O$ + H]$^+$.

Example 428

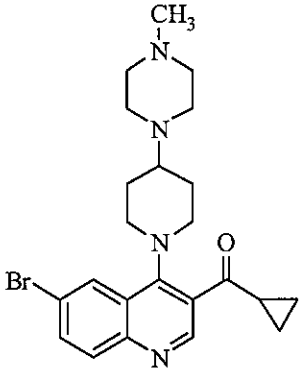
{6-Bromo-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (220 mg, 0.708 mmol) was reacted with *trans*-4-(pyrrolidin-1-yl)cyclohexanamine hydrochloride (350 mg, 2.08 mmol) to afford the desired product (75 mg, 24%) as an off-white solid: ESI MS *m/z* 442 [$C_{23}H_{28}BrN_3O$ + H]$^+$.

Example 429

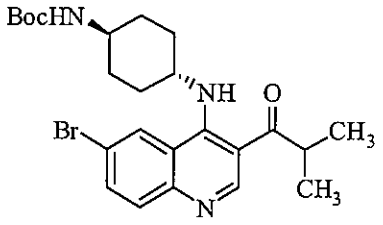
{6-Bromo-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (155 mg, 0.500 mmol) was reacted with 3-(4-methylpiperazin-1-yl)butan-1-amine (183 mg, 1.00 mmol) to afford the desired product (102 mg, 45%) as a pale yellow solid: ESI MS *m/z* 457 [$C_{23}H_{29}BrN_4O$ + H]$^+$.

Example 430

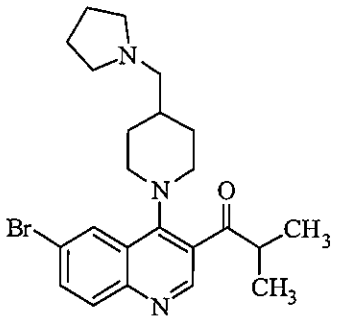
tert-Butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino)cyclohexylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one (10 g, 32.0 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (10.3 g, 48.0 mmol) to afford the desired product (12.8 g, 81%) as an off-white solid: ESI MS *m/z* 491 $[C_{24}H_{32}BrN_3O_3 + H]^+$.

Example 431

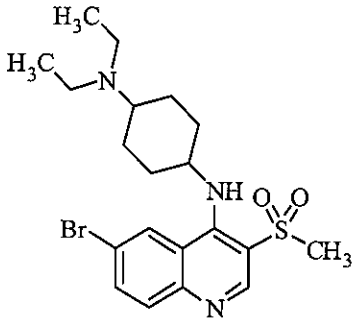
1-{6-Bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one (250 mg, 0.800 mmol) was reacted with 4-(pyrrolidin-1-ylmethyl)piperidine (168 mg, 1.00 mmol) to afford the desired product (243 mg, 68%) as a yellow solid: ESI MS *m/z* 444 $[C_{23}H_{30}BrN_3O + H]^+$.

Example 432

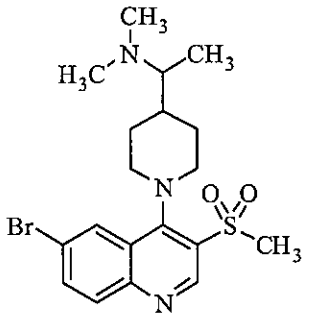
N^1-[6-Bromo-3-(methylsulfonyl)quinolin-4-yl]-N^4,N^4-diethylcyclohexane-1,4-diamine



Following general procedure B, 6-bromo-4-chloro-3-(methylsulfonyl)quinoline (161 mg, 0.500 mmol) was reacted with N^1,N^1-diethylcyclohexane-1,4-diamine (170 mg, 1.00 mmol) to afford the desired product (197 mg, 87%) as a white solid: ESI MS *m/z* 454 $[C_{20}H_{28}BrN_3O_2S + H]^+$.

Example 433

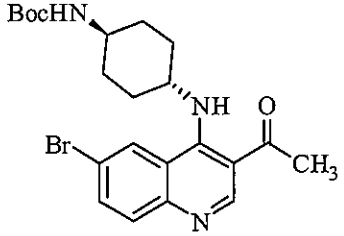
1-{1-[6-Bromo-3-(methylsulfonyl)quinolin-4-yl]piperidin-4-yl}-*N*,*N*-dimethylethanamine



Following general procedure B, 6-bromo-4-chloro-3-(methylsulfonyl)quinoline (100 mg, 0.311 mmol) was reacted with *N*,*N*-dimethyl-1-(piperidin-4-yl)ethanamine (229 mg, 1.00 mmol) to afford the desired product (93 mg, 68%) as a white solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 9.24 (s, 1H), 8.50 (d, J = 1.5 Hz, 1H), 8.11–7.93 (m, 2H), 3.67–3.55 (m, 2H), 3.44 (s, 3H), 3.34 (s, 2H), 2.75–2.62 (m, 1H), 2.46 (s, 6H), 2.07–1.96 (m, 1H), 1.90 (d, J = 12.3 Hz, 2H), 1.73–1.53 (m, 2H), 1.15 (d, J = 6.6 Hz, 3H).

Example 434

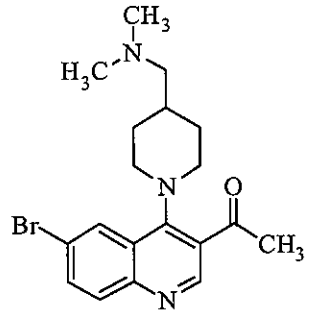
tert-Butyl *trans*-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (420 mg, 1.48 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (642 mg, 3.00 mmol) to afford the desired product (550 mg, 80%) as an off-white solid: ESI MS *m/z* 462 [$C_{22}H_{28}BrN_3O_3$ + H]$^+$.

Example 435

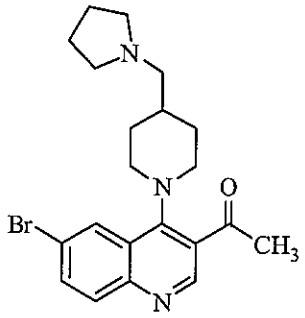
1-(6-Bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (160 mg, 0.560 mmol) was reacted with *N*,*N*-dimethyl-1-(piperidin-4-yl)methanamine (172 mg, 0.800 mmol) to afford the desired product (17.7 mg, 8%) as an off-white solid: ESI MS *m/z* 390 $[C_{19}H_{24}BrN_3O + H]^+$.

Example 436

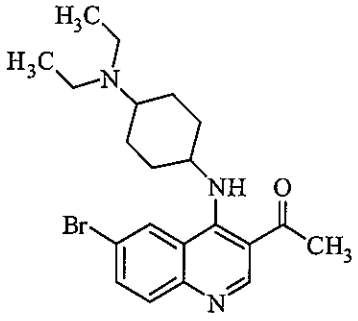
1-{6-Bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (200 mg, 0.703 mmol) was reacted with 4-(pyrrolidin-1-ylmethyl)piperidine (168 mg, 1.00 mmol) to afford the desired product (233 mg, 80%) as a yellow solid: ESI MS *m/z* 416 $[C_{21}H_{26}BrN_3O + H]^+$.

Example 437

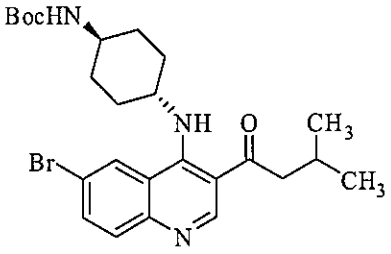
1-{6-Bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (116 mg, 0.408 mmol) was reacted with N^1,N^1-diethylcyclohexane-1,4-diamine (138 mg, 0.812 mmol) to afford the desired product (157 mg, 51%) as an off-white solid: ESI MS *m/z* 418 $[C_{21}H_{28}BrN_3O + H]^+$.

Example 438

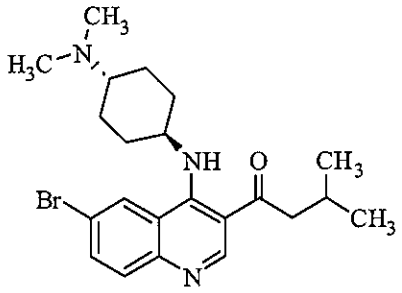
tert-Butyl *trans*-4-{6-bromo-3-(3-methylbutanoyl)quinolin-4-ylamino} cyclohexylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-3-methylbutan-1-one (309 mg, 0.950 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (407 mg, 1.90 mmol) to afford the desired product (267 mg, 56%) as a yellow solid: ESI MS *m/z* 504 $[C_{20}H_{26}BrN_3O + H]^+$.

Example 439

1-{6-Bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}-3-methylbutan-1-one

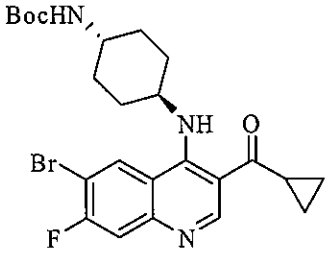


Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-3-methylbutan-1-one (44 mg, 0.14 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine (92 mg, 0.43 mmol) to afford the desired product (13 mg, 21%) as an off-white solid: ESI MS *m/z* 433 $[C_{22}H_{30}BrN_3O + H]^+$.

Example 440

tert-Butyl

trans-4-{6-bromo-3-(cyclopropanecarbonyl)-7-fluoroquinolin-4-ylamino}cyclohexylcarbamate

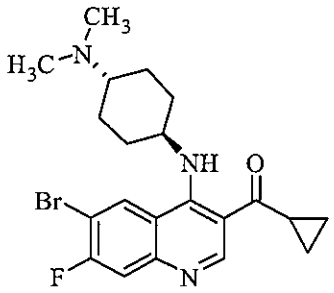


Following general procedure B, (6-bromo-4-chloro-7-fluoroquinolin-3-yl)(cyclopropyl) methanone (350 mg, 1.06 mmol) was reacted with *tert*-butyl *trans*-4-aminocyclohexylcarbamate (270 mg, 1.27 mmol) to afford the desired product (400 mg, 75%) as a light yellow solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.67 (d, J = 8.3 Hz, 1H), 9.22 (s, 1H), 8.32 (d, J = 7.3 Hz, 1H),

7.62 (d, J = 9.5 Hz, 1H), 4.42 (s, 1H), 3.91 (d, J = 8.1 Hz, 1H), 3.52 (s, 1H), 2.75–2.56 (m, 1H), 2.18 (t, J = 13.4 Hz, 4H), 1.72–1.62 (m, 1H), 1.59–1.50 (m, 2H), 1.45 (s, 9H), 1.30–1.18 (m, 3H), 1.13–1.01 (m, 2H).

Example 441

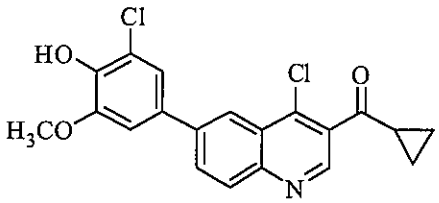
{6-Bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloro-7-fluoroquinolin-3-yl)(cyclopropyl) methanone (297 mg, 0.900 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine (290 mg, 1.35 mmol) to afford the desired product (212 mg, 54%) as an off-white solid: ESI MS *m/z* 435 $[C_{21}H_{25}BrFN_3O + H]^+$.

Example 442

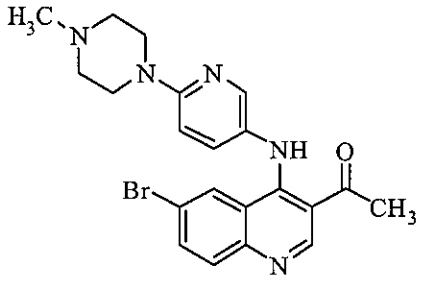
[4-Chloro-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl](cyclopropyl)methanone



To a suspension of (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (104 mg, 0.320 mmol), $Pd(dppf)Cl_2$ (23 mg, 0.03 mmol), and Cs_2CO_3 (0.95 mL, 1.0 M in H_2O) in dioxane (5 mL) was added 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (109 mg, 0.384 mmol). The reaction mixture was degassed with N_2 gas and the vessel was sealed and heated to 40 °C for 18 h. The reaction mixture was cooled, diluted with ethyl acetate, and filtered. The solution was concentrated and subjected to column chromatography (silica, hexanes/ethyl acetate) to afford the desired product (21 mg, 13%) as a light brown solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.91 (s, 1H), 8.43 (d, J = 1.9 Hz, 1H), 8.19 (t, J = 7.5 Hz, 1H), 8.10–7.91 (m, 1H), 7.36 (d, J = 1.9 Hz, 1H), 7.13 (d, J = 1.8 Hz, 1H), 6.03 (s, 1H), 4.04 (s, 3H), 2.77–2.50 (m, 1H), 1.50–1.37 (m, 2H), 1.35–1.10 (m, 2H).

Example 1056

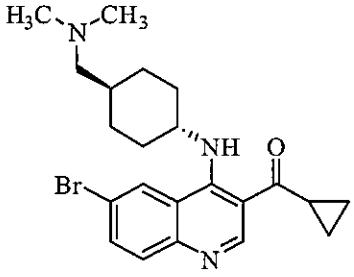
1-(6-Bromo-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (200 mg, 0.704 mmol) was reacted with 6-(4-methylpiperazin-1-yl)pyridin-3-amine (163 mg, 0.845 mmol) to afford the desired product (90 mg, 29%) as a yellow solid: ESI MS *m/z* 440, $[C_{21}H_{22}BrN_5O + H]^+$

Example 1057

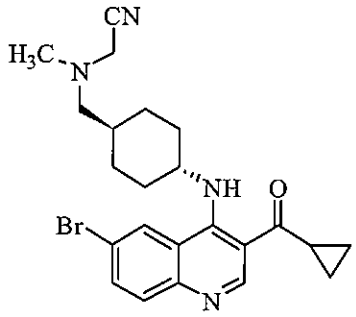
{6-Bromo-4-[(1r,4r)-4-[(dimethylamino)methyl]cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (300 mg, 0.967 mmol) was reacted with (1r,4r)-4-((dimethylamino)methyl)cyclohexanamine (153 mg, 1.16 mmol) to afford the desired product (220 mg, 53%) as a yellow solid: ESI MS *m/z* 431, $[C_{22}H_{28}BrN_3O + H]^+$

Example 1058

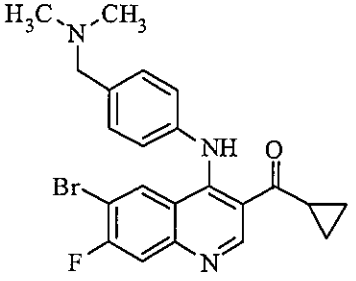
2-(((1R,4R)-4-(6-Bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl) methyl)(methyl)amino)acetonitrile



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (200 mg, 0.645 mmol) was reacted with 2-(((1R,4R)-4-aminocyclohexyl)methyl)(methyl)amino) acetonitrile (140 mg, 0.774 mmol) to afford the desired product (100 mg, 34%) as a yellow solid: ESI MS *m/z* 455, $[C_{23}H_{27}BrN_4O+ H]^+$

Example 1059

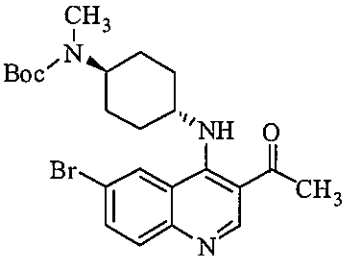
(6-Bromo-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl) (cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloro-7-fluoroquinolin-3-yl)(cyclopropyl) methanone (328 mg, 1.00 mmol) was reacted with 2-(((1R,4R)-4-aminocyclohexyl) methyl)(methyl)amino)acetonitrile (180 mg, 1.2 mmol) to afford the desired product (150 mg, 34%) as a off-white solid: ESI MS *m/z* 442, $[C_{22}H_{21}BrFN_3O+ H]^+$

Example 1060

tert-Butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl(methyl)carbamate

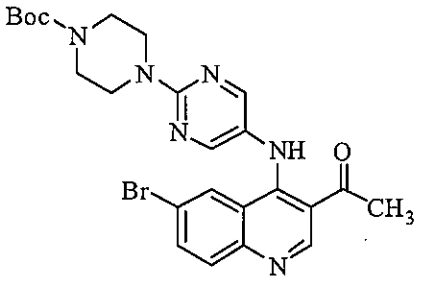


Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (300 mg, 1.06 mmol) was reacted with tert-butyl (1r,4r)-4-aminocyclohexyl(methyl)carbamate (362 mg, 1.59 mmol) to afford the desired product (250 mg, 47%) as a yellow solid: ESI MS *m/z* 501,

$[C_{25}H_{32}BrN_3O_3 + H]^+$

Example 1061

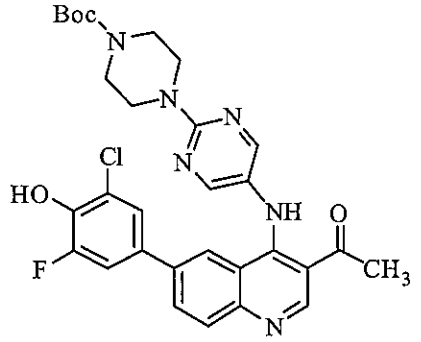
tert-butyl 4-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyrimidin-2-yl)piperazine-1-carboxylate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (300 mg, 1.06 mmol) was reacted with tert-butyl 4-(5-aminopyrimidin-2-yl)piperazine-1-carboxylate (495 mg, 1.59 mmol) to afford the desired product (250 mg, 44%) as a yellow solid: ESI MS *m/z* 527, $[C_{24}H_{27}BrN_6O_3 + H]^+$

Example 1062

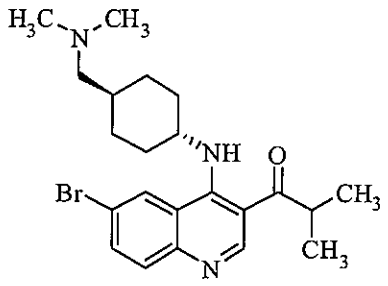
tert-butyl 4-(5-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) pyrimidin-2-yl)piperazine-1-carboxylate



Following general procedure D, tert-butyl tert-butyl 4-(5-(3-acetyl-6-bromoquinolin-4 -ylamino)pyrimidin-2-yl)piperazine-1-carboxylate (60 mg, 0.114 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (46 mg, 0.171 mmol) to afford the desired product (50 mg, 74%) as an orange solid: ESI MS *m/z* 593, $[C_{30}H_{30}ClFN_6O_4 + H]^+$

Example 1063

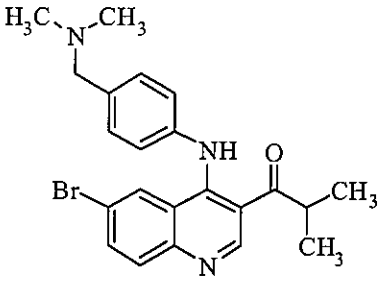
1-(6-bromo-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino) quinolin-3-yl)-2-methylpropan-1-one



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one (604 mg, 1.93 mmol) was reacted with (1r,4r)-4-((dimethylamino)methyl)cyclohexanamine (882 mg, 3.87 mmol) to afford the desired product (224 mg, 27%) as a yellow solid: ESI MS *m/z* 432, $[C_{22}H_{30}BrN_3O + H]^+$

Example 1064

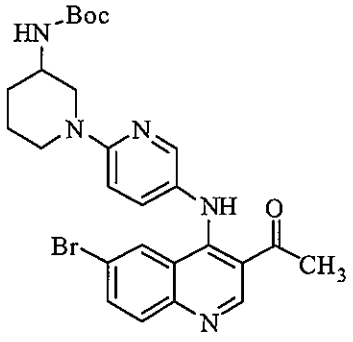
1-(6-bromo-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)-2-methylpropan-1-one (604 mg, 1.93 mmol) was reacted with 4-((dimethylamino)methyl)aniline (882 mg, 3.87 mmol) to afford the desired product (224 mg, 27%) as a yellow solid: ESI MS *m/z* 432, $[C_{22}H_{30}BrN_3O + H]^+$

Example 1065

tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate

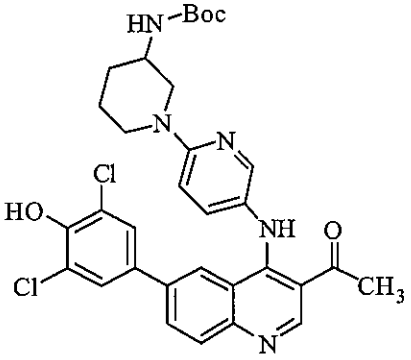


Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (194 mg, 0.681 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (210 mg,

0.821 mmol) to afford the desired product (205 mg, 55%) as a brown solid: ESI MS *m/z* 540, $[C_{26}H_{30}BrN_5O_3 + H]^+$

Example 1066

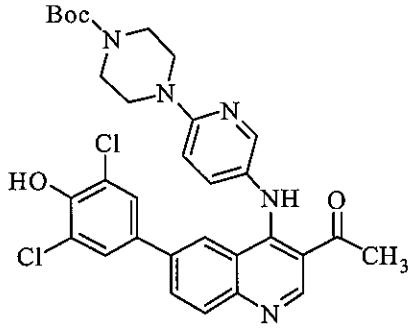
tert-butyl 1-(5-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate



Following general procedure D, tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyridin -2-yl)piperidin-3-ylcarbamate (60 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (48 mg, 0.166 mmol) to afford the desired product (52 mg, 76%) as an brown solid: ESI MS *m/z* 622, $[C_{32}H_{33}Cl_2N_5O_4 + H]^+$

Example 1067

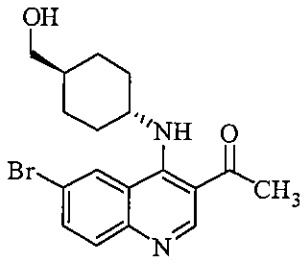
tert-butyl 4-(5-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino) pyridin-2-yl)piperazine-1-carboxylate



Following general procedure D, tert-butyl 4-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyridin -2-yl)piperazine-1-carboxylate (55 mg, 0.104 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (36 mg, 0.125 mmol) to afford the desired product (65 mg, 72%) as an green oil: ESI MS *m/z* 608, $[C_{31}H_{31}Cl_2N_5O_4 + H]^+$

Example 1068

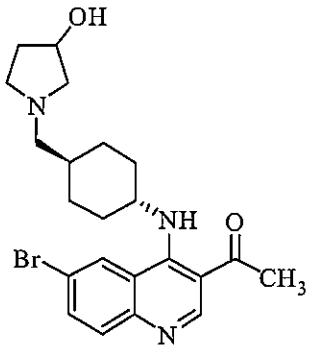
1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (1.4 g, 4.89 mmol) was reacted with (1R,4R)-4-aminocyclohexyl)methanol (970 mg, 5.87 mmol) to afford the desired product (877 mg, 48%) as a brown solid: ESI MS *m/z* 377, $[C_{18}H_{21}BrN_2O_2 + H]^+$

Example 1069

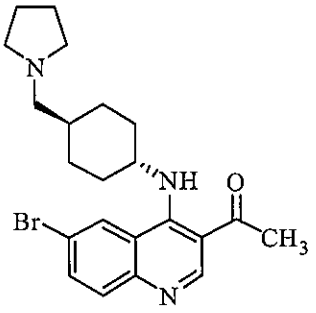
1-(6-bromo-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino) quinolin-3-yl)ethanone



To a solution of 1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl) ethanone (210 mg, 0.550 mmol) in dichloromethane (20 mL) was added triethylamine (229 μL, 1.65 mmol) and methanesulfonyl chloride (64 μL, 0.835 mmol) and the reaction was stirred at room temperature for 2 h. To the reaction mixture was added pyrrolidin-3-ol (222 μL, 2.75 mmol) and the reaction was stirred at 85 °C for 16 h. The reaction was concentrated and the residue was purified by flash chromatography to yield the expected product (150 mg, 61%) as a brown solid. ESI MS *m/z* 446, $[C_{22}H_{28}BrN_3O_2 + H]^+$

Example 170

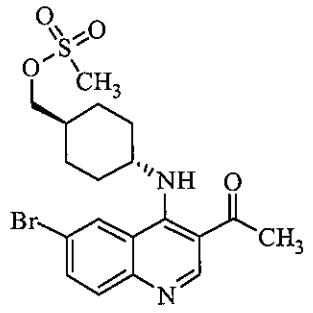
1-(6-bromo-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone



To a solution of 1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl) ethanone (200 mg, 0.530 mmol) in dichloromethane (20 mL) was added triethylamine (216 μL, 1.59 mmol) and methanesulfonyl chloride (60 μL, 0.795 mmol) and the reaction was stirred at room temperature for 2 h. To the reaction mixture was added pyrrolidine (213 μL, 2.65 mmol) and the reaction was stirred at 85 °C for 16 h. The reaction was concentrated and the residue was purified by flash chromatography to yield the expected product (127 mg, 56%) as a brown solid. ESI MS *m/z* 430, $[C_{22}H_{28}BrN_3O + H]^+$

Example 1071

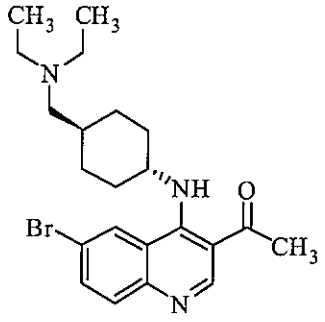
(1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate



To a solution of 1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl) ethanone (1.0 g, 2.65 mmol) in dichloromethane (70 mL) was added triethylamine (1.1mL, 7.95 mmol) and methanesulfonyl chloride (306 μL, 3.97 mmol) and the reaction was stirred at room temperature for 16 h. The completed reaction was concentrated and purified by flash chromatography to yield the expected product (990 mg, 82%) as a off-white solid. ESI MS *m/z* 455, $[C_{19}H_{23}BrN_2O_4S + H]^+$

Example 1072

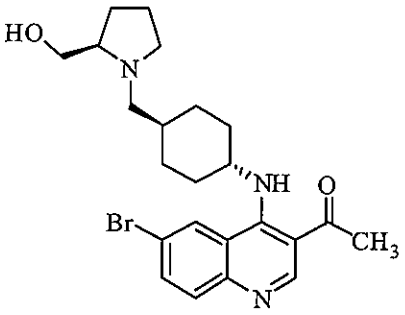
1-(6-bromo-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone



In 5 mL of DMF, (1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate (200 mg, 0.437 mmol) was combined with diethylamine (95 mg, 1.31 mmol) in the presence of triethylamine (183 μL, 1.31 mmol). The reaction mixture was stirred at 80 °C for 20 hrs in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (120 mg, 63%) as a yellow solid. ESI MS *m/z* 432, $[C_{22}H_{30}BrN_3O+ H]^+$

Example 1073

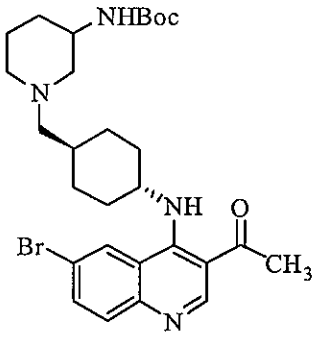
1-(6-bromo-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino) quinolin-3-yl)ethanone



To a solution of ((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate (350 mg, 0.765 mmol) and (R)-pyrrolidin-2-ylmethanol (400 mg, 3.82 mmol) in DMF (5 mL) was added triethylamine (531 μL, 3.82 mmol). The reaction mixture was stirred at 80 °C for 20 hrs in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (314 mg, 89%) as a yellow solid. ESI MS *m/z* 460, $[C_{23}H_{30}BrN_3O_2+ H]^+$

Example 1074

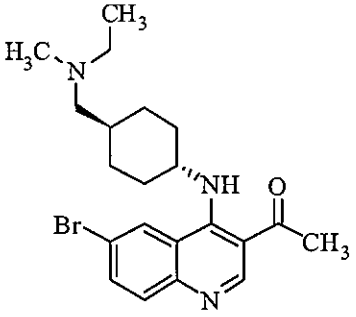
tert-butyl 1-((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexyl)methyl)piperidin-3-ylcarbamate



To a solution of (1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate (350 mg, 0.765 mmol) in DMF (5 mL) was added (tert-butyl piperidin-3-ylcarbamate (460 mg, 2.29 mmol) and triethylamine (318 μL, 2.29 mmol). The reaction mixture was stirred at 80 °C for 20 h in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (238 mg, 55%) as a yellow solid. ESI MS *m/z* 559, $[C_{28}H_{39}BrN_4O_3+ H]^+$

Example 1075

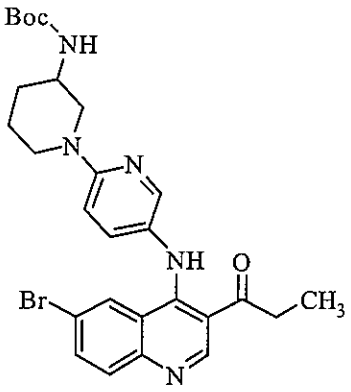
1-(6-bromo-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone



To a solution of (1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate (300 mg, 0.656 mmol) was added N-methylethanamine (190 mg, 3.28 mmol) and triethylamine (331 μL, 3.28 mmol). The reaction mixture was stirred at 80 °C for 20 hrs in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (206 mg, 75%) as a yellow solid. ESI MS *m/z* 418, $[C_{21}H_{28}BrN_3O + H]^+$

Example 1076

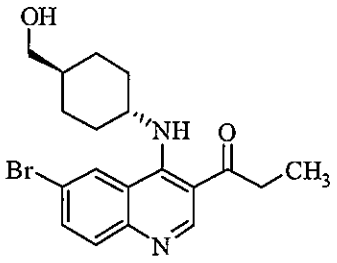
tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (235 mg, 0.792 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (348 mg, 1.19 mmol) to afford the desired product (94 mg, 21%) as an orange solid: ESI MS *m/z* 554, $[C_{27}H_{32}BrN_5O_3 + H]^+$

Example 1077

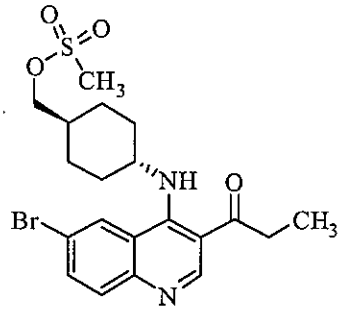
1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl)propan-1-one



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (894 mg, 3.0 mmol) was reacted with (1R,4R)-4-aminocyclohexyl)methanol (633 mg, 4.89 mmol) to afford the desired product (630 mg, 53%) as an yellow solid: ESI MS *m/z* 391, [C19H23BrN2O2 + H]$^+$

Example 1078

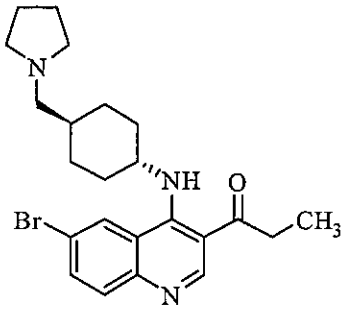
(1R,4R)-4-(6-bromo-3-propionylquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate



To a solution of 1-(6-bromo-4-(1R,4R)-4-(hydroxymethyl)cyclohexylamino)quinolin-3-yl) propan-1-one (630 mg, 1.6 mmol) and triethylamine (670 μL, 4.8 mmol) in 20 mL of dichloromethane was added dropwise methanesulfonyl chloride (186 μL, 2.4 mmol) and the reaction was stirred at room temperature for 16 h. The completed reaction was reduced to a yellow oil and purified by flash chromatography to yield the expected product (591 mg, 78%) as a white solid. ESI MS *m/z* 469, $[C_{20}H_{25}BrN_2O_4S + H]^+$

Example 1079

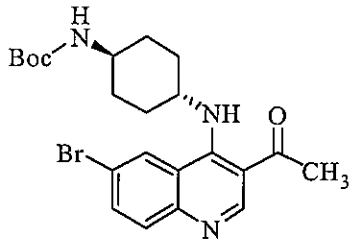
1-(6-bromo-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one



To a solution of (1R,4R)-4-(6-bromo-3-propionylquinolin-4-ylamino)cyclohexyl)methyl methanesulfonate(295 mg, 0.629 mmol), N-methylethanamine (223 mg, 3.14 mmol) and triethylamine (436 μL, 3.14 mmol) in DMF (5 mL) and the reaction mixture was stirred at 80 °C for 20 h in a sealed tube. The completed reaction was diluted in 50 mL of ethyl acetate or a $CHCl_3$/isopropanol 3:1 mixture and washed with brine (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography to afford the expected product (210 mg, 75%) as a yellow solid. ESI MS *m/z* 444, $[C_{23}H_{30}BrN_3O + H]^+$

Example 1080

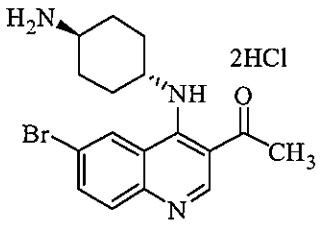
tert-butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylcarbamate



Following general procedure B, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (425 mg, 1.49 mmol) was reacted with tert-butyl (1r,4r)-4-aminocyclohexylcarbamate (400 mg, 1.87 mmol) to afford the desired product (501 mg, 73%) as an yellow solid: ESI MS *m/z* 462, $[C_{22}H_{28}BrN_3O_3 + H]^+$

Example 1081

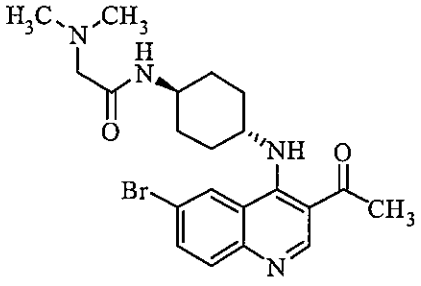
1-(4-(1R,4R)-4-aminocyclohexylamino)-6-bromoquinolin-3-yl)ethanone



Following general procedure A-1, tert-butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylcarbamate (501 mg, 1.08 mmol) afforded the desired product (450 mg, 96%) as an white solid: ESI MS *m/z* 362, $[C_{17}H_{20}BrN_3O + H]^+$

Example 1081

N-(1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)-2-(dimethylamino)acetamide

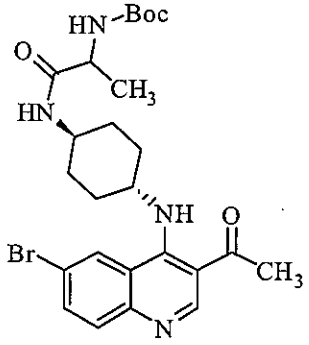


A solution of 2-(dimethylamino)acetic acid (58 mg, 0.568 mmol) and HATU (215 mg, 0.568 mmol) in DMF (5 mL) was stirred at rt for 10 min followed by the addition of *N,N*-diisopropylethylamine (443 μL, 2.73 mmol) and 1-(4-(1R,4R)-4-aminocyclohexylamino) -6-bromoquinolin -3-yl)ethanone (210 mg, 0.474 mmol) and the resulting solution was stirred at room temperature for 16 h. The completed reaction was diluted in 50 mL of

$CHCl_3$/isopropanol 3:1 mixture and washed with 5% LiCl solution (2 x 50 mL) and water (50 mL). The organic layer was separated, dried over anhydous sodium sulfate and concentrated to a orange residue. The material was purified by flash chromatography ($MeOH/CH_2Cl_2$) to afford the expected product (102 mg, 48%) as a yellow solid. ESI MS *m/z* 447, $[C_{21}H_{27}BrN_4O_2 + H]^+$

Example 1082

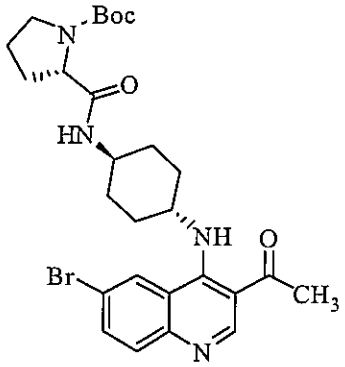
tert-butyl 1-(1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylamino)-1-oxopropan-2-ylcarbamate



A solution of 2-(tert-butoxycarbonylamino)propanoic acid (153 mg, 0.812 mmol) and HATU (308 mg, 0.812 mmol) in DMF (5 mL) was stirred at rt for 10 min followed by the addition of *N,N*-diisopropylethylamine (650 µL, 3.38 mmol) and 1-(4-(1R,4R)-4-aminocyclohexylamino) -6-bromoquinolin-3-yl)ethanone (300 mg, 0.677 mmol) and the resulting solution was stirred at room temperature for 16 h. The completed reaction was diluted in 50 mL of $CHCl_3$/isopropanol 3:1 mixture and washed with 5% LiCl solution (2 x 50 mL) and water (50 mL). The organic layer was dried over anhydous sodium sulfate concentrated to an orange residue. The material was purified by flash chromatography ($MeOH/CH_2Cl_2$) to afford the expected product (140 mg, 38%) as a yellow solid. ESI MS *m/z* 533, $[C_{25}H_{33}BrN_4O_4 + H]^+$

Example 1083

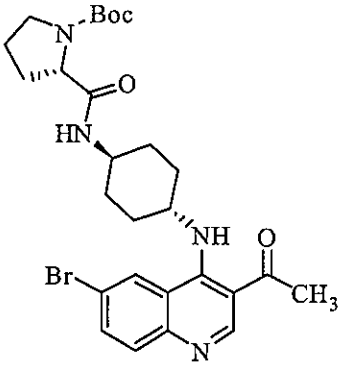
(S)-tert-butyl 2-((1r,4S)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylcarbamoyl) pyrrolidine-1-carboxylate



A solution of (S)-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid (174 mg, 0.812 mmol) and HATU (308 mg, 0.812 mmol) was stirred at rt for 10 min followed by the addition of *N,N*-diisopropylethylamine (650 μL, 3.38 mmol) and 1-(4-(1R,4R)-4-aminocyclohexylamino) -6-bromoquinolin-3-yl)ethanone (300 mg, 0.677 mmol) and the resulting solution was stirred at room temperature for 16 h. The completed reaction was diluted in 50 mL of $CHCl_3$/isopropanol 3:1 mixture and washed with 5% LiCl solution (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography ($MeOH/CH_2Cl_2$) to afford the expected product (273 mg, 72%) as a yellow solid. ESI MS *m/z* 559, $[C_{27}H_{35}BrN_4O_4 + H]^+$

Example 1084

(S)-tert-butyl 2-((1r,4S)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexylcarbamoyl) pyrrolidine-1-carboxylate

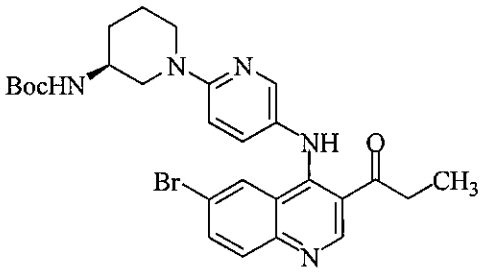


A solution of (S)-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid (174 mg, 0.812 mmol) and HATU (308 mg, 0.812 mmol) in DMF (5 mL) was stirred at rt for 10 min followed by the addition of *N,N*-diisopropylethylamine (650 μL, 3.38 mmol) and 1-(4-((1R,4R)-4-aminocyclohexylamino) -6-bromoquinolin-3-yl)ethanone (300 mg, 0.677 mmol) and the resulting solution was stirred at room temperature for 16h. The completed reaction was diluted in 50 mL of $CHCl_3$/isopropanol 3:1 mixture and washed with 5% LiCl solution (2 x 50 mL) and water (50 mL). The organic layer was isolated and dried over

anhydous sodium sulfate and reduced to a orange residue. The material was purified by flash chromatography ($MeOH/CH_2Cl_2$) to afford the expected product (273 mg, 72%) as a yellow solid. ESI MS *m/z* 559, $[C_{27}H_{35}BrN_4O_4 + H]^+$

Example 1085

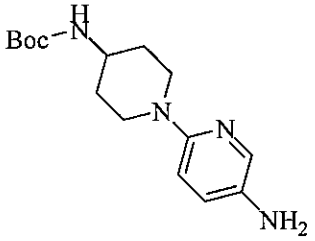
(S)-tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (301 mg, 1.02 mmol) was reacted with (S)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (600 mg, 2.05 mmol) to obtain the desired product (168 mg, 30%) as an purple semi-solid.: ESI MS *m/z* 554 $[C_{27}H_{32}BrN_5O_3 + H]^+$.

Example 1086

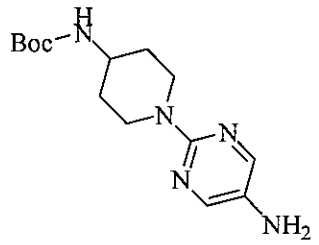
tert-butyl 1-(5-aminopyridin-2-yl)piperidin-4-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-4-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (744 mg, 80%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1087

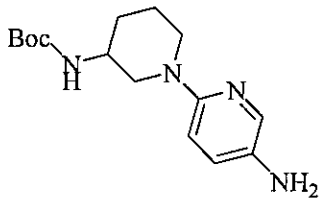
tert-butyl 1-(5-aminopyrimidin-2-yl)piperidin-4-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyrimidine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-4-ylcarbamate (683 mg, 3.5 mmol) followed by reduction to afford the desired product (792 mg, 87%) as a purple solid: ESI MS m/z 294 $[C_{14}H_{23}N_5O_2 + H]^+$.

Example 1088

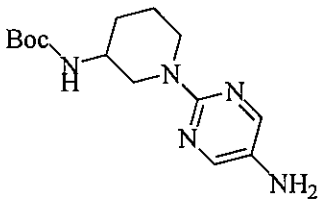
tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-3-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (847 mg, 93%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1089

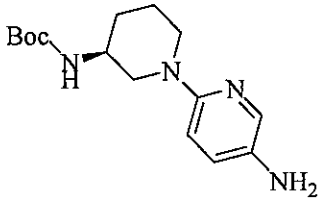
tert-butyl 1-(5-aminopyrimidin-2-yl)piperidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyrimidine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-3-ylcarbamate (683 mg, 3.5 mmol) followed by reduction to afford the desired product (762 mg, 84%) as a purple solid: ESI MS m/z 294 $[C_{14}H_{23}N_5O_2 + H]^+$.

Example 1090

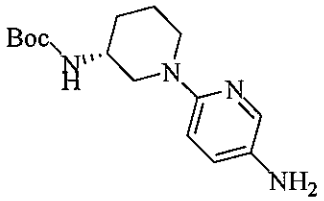
(S)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with (S)-tert-butyl piperidin-4-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (945 mg, quant.) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1091

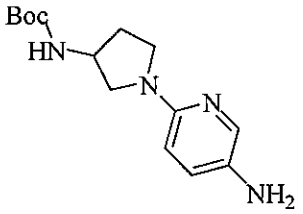
(R)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-4-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (872 mg, 96%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1092

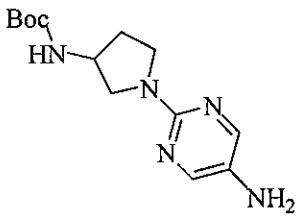
tert-butyl 1-(5-aminopyridin-2-yl)pyrrolidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl pyrrolidin-3-ylcarbamate (931 mg, 5 mmol) followed by reduction to afford the desired product (813 mg, 94%) as a purple solid: ESI MS m/z 279 $[C_{14}H_{22}N_4O_2 + H]^+$.

Example 1093

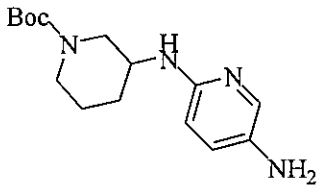
tert-butyl 1-(5-aminopyrimidin-2-yl)pyrrolidin-3-ylcarbamate



Following General procedure H, 2-chloro-5-nitropyrimidine (500 mg, 3.1 mmol) was reacted with tert-butyl pyrrolidin-3-ylcarbamate (632 mg, 3.5 mmol) followed by reduction to afford the desired product (565 mg, 65%) as a purple solid: ESI MS m/z 280 $[C_{13}H_{21}N_5O_2 + H]^+$.

Example 1094

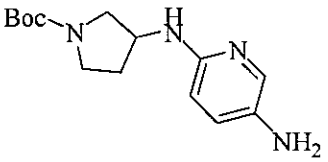
tert-butyl 3-(5-aminopyridin-2-ylamino)piperidine-1-carboxylate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl 3-aminopiperidine-1-carboxylate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (337 mg, 35%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1095

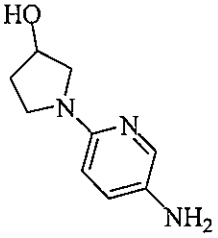
tert-butyl 3-(5-aminopyridin-2-ylamino)pyrrolidine-1-carboxylate



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl 3-aminopyrrolidine-1-carboxylate (632 mg, 3.5 mmol) followed by reduction to afford the desired product (407 mg, 47%) as a purple solid: ESI MS m/z 279 $[C_{14}H_{22}N_4O_2 + H]^+$.

Example 1096

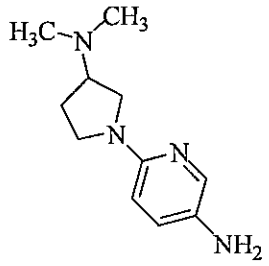
1-(5-aminopyridin-2-yl)pyrrolidin-3-ol



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with pyrrolidin-3-ol (330 mg, 3.5 mmol) followed by reduction to afford the desired product (440 mg, 52%) as a purple solid: ESI MS m/z 180 $[C_9H_{13}N_3O + H]^+$.

Example 1097

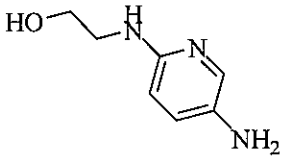
6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-amine



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with N,N-dimethylpyrrolidin-3-amine (400 mg, 3.5 mmol) followed by reduction to afford the desired product (360 mg, 56%) as a purple solid: ESI MS m/z 207 $[C_{11}H_{18}N_4 + H]^+$.

Example 1098

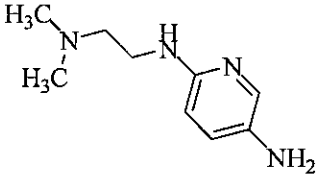
2-(5-aminopyridin-2-ylamino)ethanol



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with 2-aminoethanol (213 mg, 3.5 mmol) followed by reduction to afford the desired product (306 mg, 65%) as a purple solid: ESI MS m/z 154 $[C_7H_{11}N_3O + H]^+$.

Example 1099

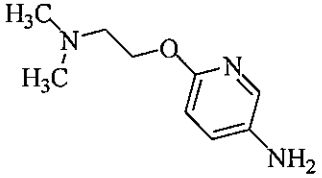
N^2-(2-(dimethylamino)ethyl)pyridine-2,5-diamine



Following General procedure H, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with N^1,N^1-dimethylethane-1,2-diamine (308 mg, 3.5 mmol) followed by reduction to afford the desired product (280 mg, 50%) as a purple solid: ESI MS m/z 181 $[C_9H_{16}N_4 + H]^+$.

Example 1100

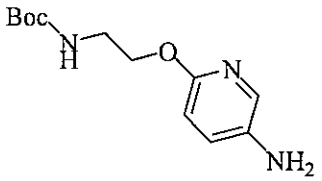
6-(2-(dimethylamino)ethoxy)pyridin-3-amine



Following General procedure I, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with 2-(dimethylamino)ethanol (309 mg, 3.5 mmol) followed by reduction to afford the desired product (340 mg, 61%) as a purple solid: ESI MS m/z 182 $[C_9H_{15}N_3O + H]^+$.

Example 1101

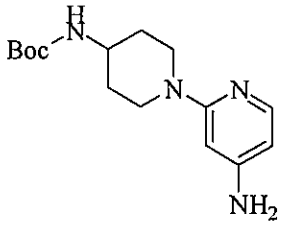
tert-butyl 2-(5-aminopyridin-2-yloxy)ethylcarbamate



Following General procedure I, 2-chloro-5-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl 2-hydroxyethylcarbamate (560 mg, 3.5 mmol) followed by reduction to afford the desired product (410 mg, 52%) as a purple solid: ESI MS m/z 254 $[C_{12}H_{19}N_3O_3 + H]^+$.

Example 1102

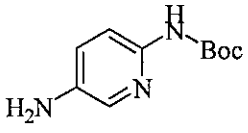
tert-butyl 1-(4-aminopyridin-2-yl)piperidin-4-ylcarbamate



Following General procedure H, 2-chloro-4-nitropyridine (500 mg, 3.1 mmol) was reacted with tert-butyl piperidin-4-ylcarbamate (695 mg, 3.5 mmol) followed by reduction to afford the desired product (300 mg, 33%) as a purple solid: ESI MS m/z 293 $[C_{15}H_{24}N_4O_2 + H]^+$.

Example 1103

tert-butyl 5-aminopyridin-2-ylcarbamate

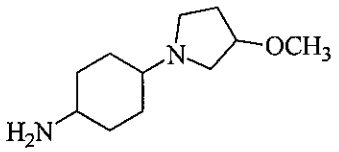


A solution of 5-nitropyridin-2-amine (500 mg, 3.5 mmol) in acetonitrile (10 mL) , triethylamine (2.5 equiv) and dimethylaminopyridine (0.05 equiv) was stirred for 10 min followed by addition of di-tert-butyl dicarbonate (1 equiv). The reaction mixture was stirred at room temperature until the reaction was complete (by LCMS analysis). The reaction was concentrated and the residue was suspended in methanol and filtered. The n a glass clave, the crude product was dissolved in tertrahydrofuran (0.1 M), degassed with nitrogen and Pd/C (10%, 0.1 equiv) was added to the

mixture. The clave was charged with hydrogen (40 Psi) and shaked at room temperature until the reduction was completed as indicated by LCMS analysis. The pressure was released and the reaction mixture was filtrated over a pad of celite. The filtrate was concentrated to obtain the desired product (437 mg, 60%) as a white solid: ESI MS m/z 210 [C10H15N3O2 + H]$^+$.

Example 1104

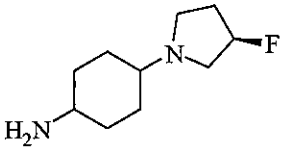
4-(3-methoxypyrrolidin-1-yl)cyclohexanamine



Following General procedure I, 3-methoxypyrrolidine hydrochloride (448 mg, 3.26 mmol) was reacted with tert-butyl 4-oxocyclohexylcarbamate (500 mg, 2.34 mmol) to afford the desired product (452 mg, 97%) as a yellow solid: ESI MS m/z 187 [$C_{11}H_{22}N_2O$ + H]$^+$.

Example 1105

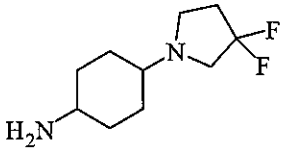
(R)-4-(3-fluoropyrrolidin-1-yl)cyclohexanamine



Following General procedure I, (R)-3-fluoropyrrolidine hydrochloride (407 mg, 3.26 mmol) was reacted with tert-butyl 4-oxocyclohexylcarbamate (500 mg, 2.34 mmol) to afford the desired product (200 mg, 51%) as a colorless oil: ESI MS m/z 187 [$C_{10}H_{19}FN_2$ + H]$^+$.

Example 1106

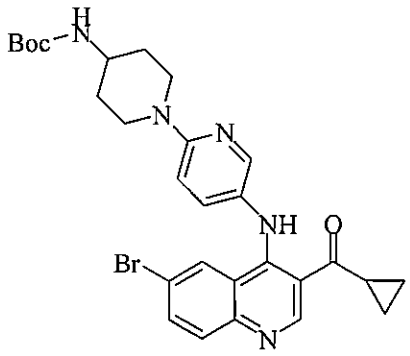
4-(3,3-difluoropyrrolidin-1-yl)cyclohexanamine



Following General procedure I, 3,3-difluoropyrrolidine hydrochloride (468 mg, 3.26 mmol) was reacted with tert-butyl 4-oxocyclohexylcarbamate (500 mg, 2.34 mmol) to afford the desired product (507 mg, quant.) as a colorless oil: ESI MS m/z 205 [$C_{10}H_{18}F_2N_2$ + H]$^+$.

Example 1107

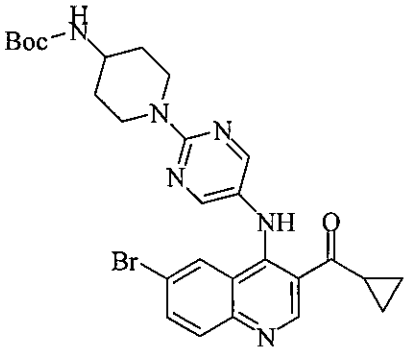
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline-4-ylamino)pyridin-2-yl) piperidin-4-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)piperidin-4-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (423 mg, 75%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example 1108

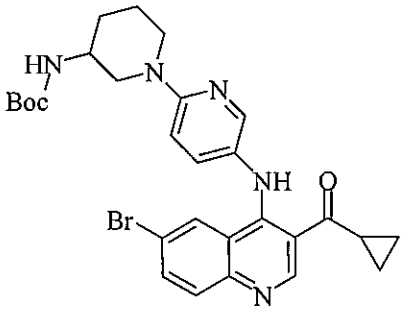
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino) pyrimidin-2-yl)piperidin-4-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyrimidin-2-yl)piperidin-4-ylcarbamate (440 mg, 1.5 mmol) to afford the desired product (374 mg, 66%) as a yellow solid: ESI MS m/z 567 $[C_{27}H_{31}BrN_6O_3 + H]^+$.

Example 1109

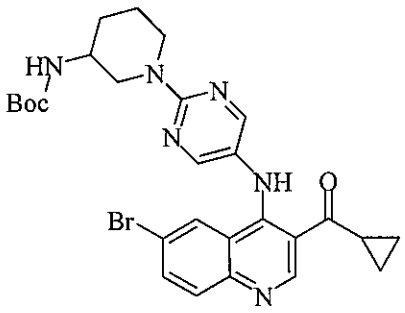
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino) pyridin-2-ylpiperidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (523 mg, 92%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example 1110

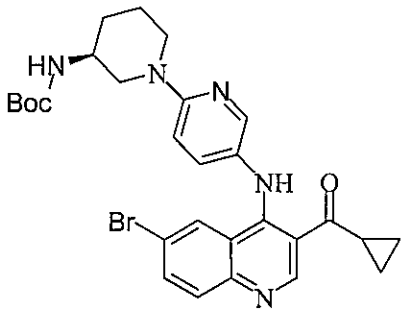
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl) piperidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyrimidin-2-yl)piperidin-3-ylcarbamate (440 mg, 1.5 mmol) to afford the desired product (462 mg, 80%) as a yellow solid: ESI MS m/z 567 $[C_{27}H_{31}BrN_6O_3 + H]^+$.

Example 1111

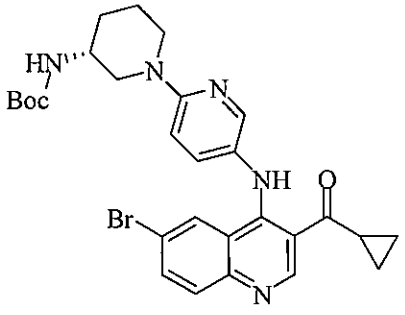
(S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with (S)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (527 mg, 93%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example1112

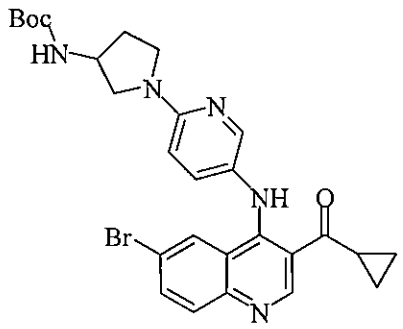
(R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with (R)-tert-butyl 1-(5-aminopyridin-2-yl)piperidin-3-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (516 mg, 91%) as a yellow solid: : ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example1113

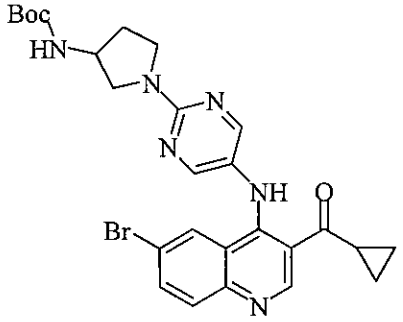
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl) pyrrolidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)pyrrolidin-3-ylcarbamate (417 mg, 1.5 mmol) to afford the desired product (488 mg, 88%) as a yellow solid: ESI MS m/z 552 $[C_{27}H_{30}BrN_5O_3 + H]^+$.

Example1114

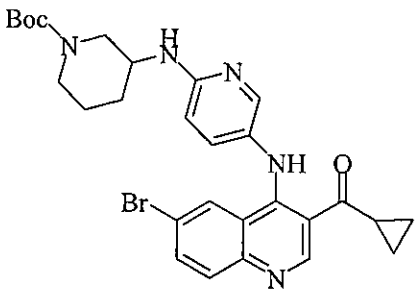
tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl) pyrrolidin-3-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyrimidin-2-yl)pyrrolidin-3-ylcarbamate (418 mg, 1.5 mmol) to afford the desired product (336 mg, 61%) as a yellow solid: ESI MS m/z 553 $[C_{26}H_{29}BrN_6O_3 + H]^+$.

Example1115

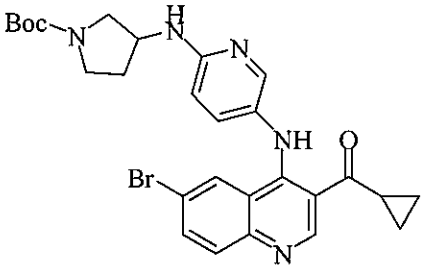
tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-ylamino) piperidine-1-carboxylate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (239 mg, 0.8 mmol) was reacted with tert-butyl 3-(5-aminopyridin-2-ylamino)piperidine-1-carboxylate (337 mg, 1.1 mmol) to afford the desired product (300 mg, 69%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example1116

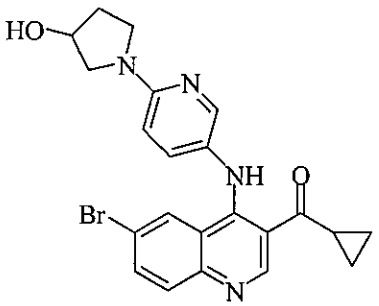
tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-ylamino) pyrrolidine-1-carboxylate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 3-(5-aminopyridin-2-ylamino)pyrrolidine-1-carboxylate (417 mg, 1.5 mmol) to afford the desired product (450 mg, 98%) as a yellow solid: ESI MS m/z 552 $[C_{27}H_{30}BrN_5O_3 + H]^+$.

Example1117

(6-bromo-4-(6-(3-hydroxypyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl) (cyclopropyl)methanone

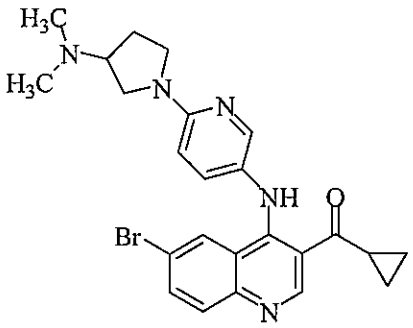


Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311

mg, 1 mmol) was reacted with 1-(5-aminopyridin-2-yl)pyrrolidin-3-ol (269 mg, 1.5 mmol) to afford the desired product (234 mg, 51%) as a yellow solid: ESI MS m/z 453 [$C_{22}H_{21}BrN_4O_2$ + H]$^+$.

Example1118

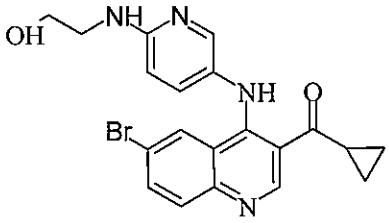
(6-bromo-4-(6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl) (cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 6-(3-(dimethylamino)pyrrolidin-1-yl)pyridin-3-amine (309 mg, 1.5 mmol) to afford the desired product (342 mg, 71%) as a yellow solid: ESI MS m/z 480 [$C_{24}H_{26}BrN_5O$ + H]$^+$.

Example1119

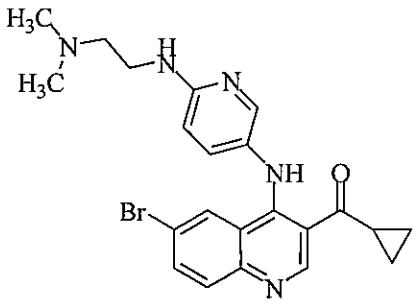
(6-bromo-4-(6-(2-hydroxyethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 2-(5-aminopyridin-2-ylamino)ethanol (230 mg, 1.5 mmol) to afford the desired product (287 mg, 67%) as a yellow solid: ESI MS m/z 427 [$C_{20}H_{19}BrN_4O_2$ + H]$^+$.

Example1120

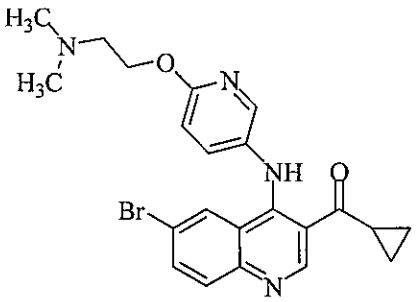
(6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl) (cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with N^2-(2-(dimethylamino)ethyl)pyridine-2,5-diamine (270 mg, 1.5 mmol) to afford the desired product (300 mg, 66%) as a yellow solid: ESI MS m/z 454 $[C_{22}H_{24}BrN_5O + H]^+$.

Example1121

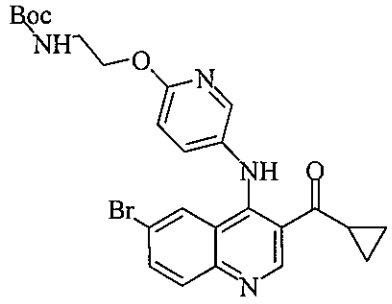
(6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl) (cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 6-(2-(dimethylamino)ethoxy)pyridin-3-amine (340 mg, 1.8 mmol) to afford the desired product (340 mg, 75%) as a yellow solid: ESI MS m/z 455 $[C_{22}H_{23}BrN_4O_2 + H]^+$.

Example 1122

tert-butyl 2-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino) pyridin-2-yloxy)ethylcarbamate

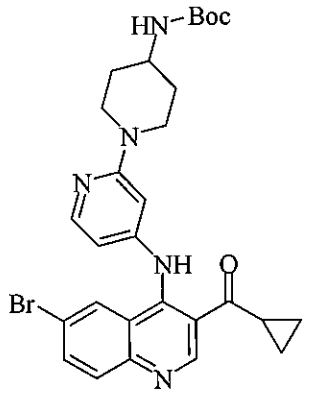


Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311

mg, 1 mmol) was reacted with tert-butyl 2-(5-aminopyridin-2-yloxy)ethylcarbamate (380 mg, 1.5 mmol) to afford the desired product (332 mg, 63%) as a yellow solid: ESI MS m/z 527 $[C_{25}H_{27}BrN_4O_4 + H]^+$.

Example1123

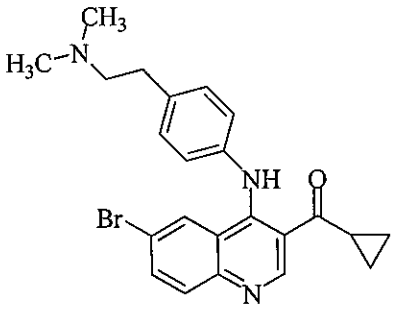
tert-butyl 1-(4-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-4-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (211 mg, 0.7 mmol) was reacted with tert-butyl 1-(4-aminopyridin-2-yl)piperidin-4-ylcarbamate (300 mg, 1 mmol) to afford the desired product (120 mg, 30%) as a yellow solid: ESI MS m/z 566 $[C_{28}H_{32}BrN_5O_3 + H]^+$.

Example1124

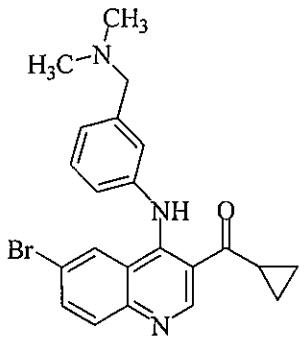
(6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 4-(2-(dimethylamino)ethyl)aniline (247 mg, 1.5 mmol) to afford the desired product (324 mg, 73%) as a yellow solid: ESI MS m/z 438 $[C_{23}H_{24}BrN_3O + H]^+$.

Example1125

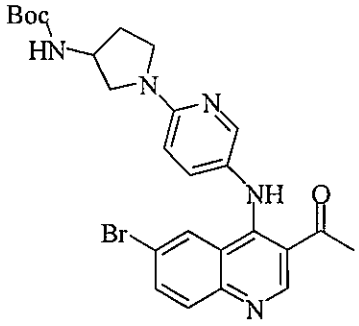
(6-bromo-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 3-((dimethylamino)methyl)aniline (226 mg, 1.5 mmol) to afford the desired product (343 mg, 810%) as a yellow solid: ESI MS m/z 424 $[C_{22}H_{22}BrN_3O + H]^+$.

Example 1126

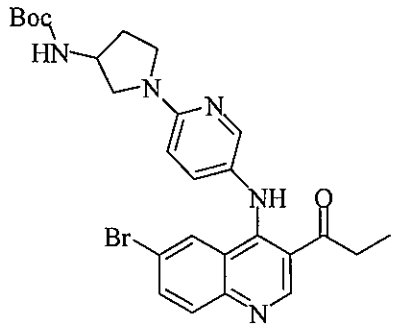
tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate



Following General procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (284 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)pyrrolidin-3-ylcarbamate (439 mg, 1.5 mmol) to afford the desired product (240 mg, 46%) as a yellow solid: ESI MS m/z 526 $[C_{25}H_{28}BrN_5O_3 + H]^+$.

Example 1127

tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate

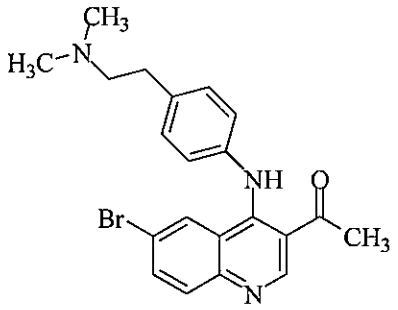


Following General procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)propan-1-one (298 mg, 1 mmol) was reacted with tert-butyl 1-(5-aminopyridin-2-yl)pyrrolidin-3-ylcarbamate (439 mg, 1.5

mmol) to afford the desired product (332 mg, 61%) as a yellow solid: ESI MS m/z 540 $[C_{26}H_{30}BrN_5O_3 + H]^+$.

Example 1128

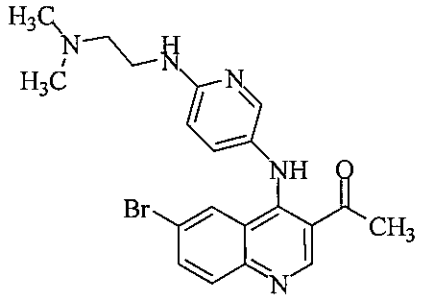
1-(6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone



Following General procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (285 mg, 1 mmol) was reacted with 4-(2-(dimethylamino)ethyl)aniline (247 mg, 1.5 mmol) to afford the desired product (2284 mg, 55%) as a yellow solid: ESI MS m/z 412 $[C_{21}H_{22}BrN_3O + H]^+$.

Example 1129

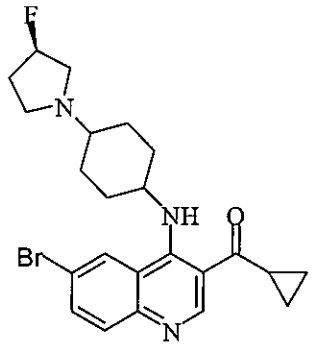
1-(6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone



Following General procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (284 mg, 1 mmol) was reacted with N^2-(2-(dimethylamino)ethyl)pyridine-2,5-diamine (270 mg, 1.5 mmol) to afford the desired product (320 mg, 74%) as a yellow solid: ESI MS m/z 428 $[C_{20}H_{22}BrN_5O + H]^+$.

Example 1130

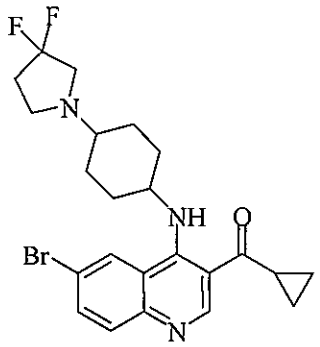
(R)-(6-bromo-4-(4-(3-fluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (278 mg, 0.89 mmol) was reacted with (R)-4-(3-fluoropyrrolidin-1-yl)cyclohexanamine (200 mg, 1.1 mmol) to afford the desired product (307 mg, 75%) as a yellow solid: ESI MS m/z 460 $[C_{23}H_{27}BrFN_3O + H]^+$.

Example 1131

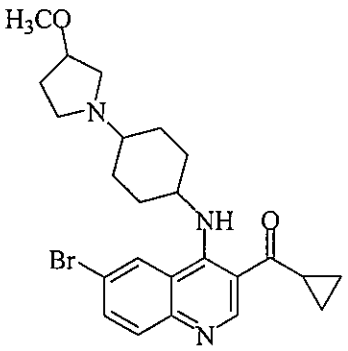
(6-bromo-4-(4-(3,3-difluoropyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 4-(3,3-difluoropyrrolidin-1-yl)cyclohexanamine (306 mg, 1.5 mmol) to afford the desired product (218 mg, 46%) as a yellow solid: ESI MS m/z 478 $[C_{23}H_{26}BrF_2N_3O + H]^+$.

Example 1132

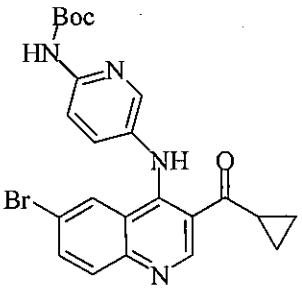
(6-bromo-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with 4-(3-methoxypyrrolidin-1-yl)cyclohexanamine (297 mg, 1.5 mmol) to afford the desired product (210 mg, 45%) as a yellow solid: ESI MS m/z 472 $[C_{24}H_{30}BrN_3O_2 + H]^+$.

Example 1133

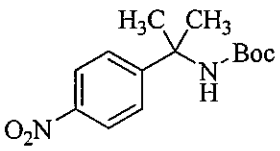
tert-butyl 5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-ylcarbamate



Following General procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (311 mg, 1 mmol) was reacted with tert-butyl 5-aminopyridin-2-ylcarbamate (313 mg, 1.5 mmol) to afford the desired product (352 mg, 72%) as a yellow solid: ESI MS m/z 483 $[C_{23}H_{23}BrN_4O_3 + H]^+$.

Example 1134

tert-Butyl 2-(4-nitrophenyl)propan-2-ylcarbamate

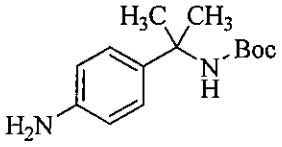


To a solution of 2-(4-nitrophenyl)propan-2-amine (1.0 g, 4.62 mmol) in dioxane (30 mL) at 0 °C was added a saturated solution of Na_2CO_3 (10 mL) and di-*tert*-butyl dicarbonate (1.95 g, 6.93 mmol) in dioxane (5 mL) and the resultant mixture was stirred at rt overnight. The dioxane was removed under vacuum and the resultant slurry was diluted with water, extracted with CH_2Cl_2,

dried with Na_2SO_4, and concentrated to give crude product (1.86 g) as an orange solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.20–8.16 (m, 2H), 7.58–7.54 (m, 2H), 5.02 (s, 1H), 1.58 (s, 6H), 1.53 (s, 9H).

Example 1135

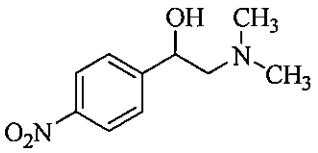
tert-Butyl 2-(4-aminophenyl)propan-2-ylcarbamate



A pressure flask was charged with a suspension of *tert*-butyl 2-(4-nitrophenyl)propan-2-yl-carbamate (4.62 mmol) in ethanol (20 mL) and palladium on carbon (100mg). The flask was placed on a Parr shaker, purged with H_2 (30 psi), and stirred overnight. The crude product was filtered through celite, concentrated, and chromatographed (hexane:ethyl acetate) to obtain the desired product (420 mg, 36% over two steps) as an orange-red oil: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.26–7.17 (m, 2H), 6.67–6.62 (m, 2H), 4.82 (s, 1H), 1.60 (s, 3H), 1.57 (s, 3H), 1.37 (s, 9H).

Example 1136

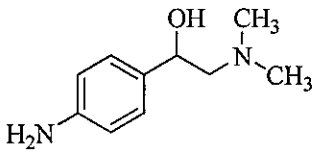
2-(Dimethylamino)-1-(4-nitrophenyl)ethanol



To a solution of 2-amino-1-(4-nitrophenyl)ethanone (500 mg, 2.30 mmol), paraformaldehyde (207 mg, 6.90 mmol), and sodium cyanoborohydride (433 mg, 6.90 mmol) in methanol (30 mL) was added acetic acid (catalytic) and the reaction stirred at room temperature for 18 h. The reaction mixture was quenched with water and the layers were separated. The combined organic layers were dried over anhydrous sodium sulfate, filtered, concentrated, and chromatographed (CH_2Cl_2/MeOH) to afford the desired product (164 mg, 34%) as an orange oil: ESI MS *m/z* 211 $[C_{10}H_{14}N_2O_3 + H]^+$.

Example 1137

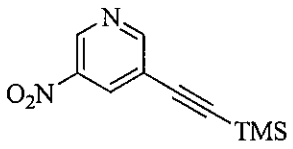
1-(4-Aminophenyl)-2-(dimethylamino)ethanol



A pressure flask was charged with a suspension 2-(dimethylamino)-1-(4-nitrophenyl)ethanol (160 mg, 0.770 mmol) in ethanol (10 mL) and Raney nickel (0.5 mL). The flask was placed on a Parr shaker, purged with H_2 (30 psi), and stirred for 4 h. The crude product was filtered through celite, and concentrated to obtain the crude product (210 mg) as an off-white solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.11–7.09 (m, 2H), 6.71–6.69 (m, 2H), 4.61–4.70 (m, 1H), 2.61–2.58 (m, 1H), 2.40–2.32 (m, 1H), 2.31 (s, 3H).

Example 1138

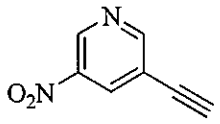
3-Nitro-5-((trimethylsilyl)ethynyl)pyridine



To a suspension of 3-bromo-5-nitropyridine (1.0 g, 4.90 mmol), $Pd(Ph_3P)_4$ (0.57 g, 0.49 mmol), and copper iodide (0.19 g, 0.98 mmol) in triethylamine (30 mL) was added ethynyltrimethylsilane (1.0 mL, 7.35 mmol) and the reaction was purged with N_2. The mixture was stirred at 80 °C for 2 h. The reaction mixture was filtered through celite and washed with ethyl acetate. The filtrate was washed with saturated solutions of $NaHCO_3$ and NaCl. The organic layer was concentrated to afford the crude product (1.72 g) as a light brown solid: ESI MS *m/z* 221 $[C_{10}H_{12}N_2O_2Si + H]^+$.

Example 1139

3-ethynyl-5-nitropyridine

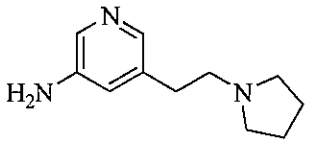


To a solution of 3-nitro-5-((trimethylsilyl)ethynyl)pyridine (4.90 mmol) in MeOH (15 mL) was added K_2CO_3 (67 mg, 0.49 mmol). The mixture was stirred at rt for 30 min. The reaction mixture was diluted with ethyl acetate, washed with water and brine, dried over sodium sulfate, and chromatographed (hexane/ethyl acetate) to afford the desired product (540 mg, 74% over

two steps) as a light brown solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 9.39–9.38 (m, 1H), 8.99–8.98 (m, 1H), 8.56–8.54 (m, 1H), 3.40 (s, 1H).

Example 1140

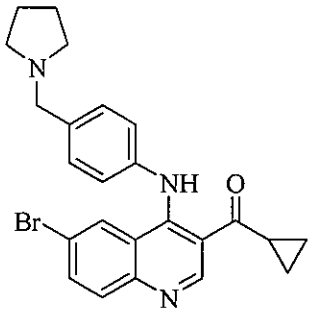
5-(2-(Pyrrolidin-1-yl)ethyl)pyridin-3-amine



To a solution of 3-ethynyl-5-nitropyridine (250 mg, 1.69 mmol) in ethanol (5 mL) was added pyrrolidine (0.56 mL, 6.76 mmol) and the reaction mixture was stirred at 80 °C for 16 h. The reaction mixture was cooled and palladium hydroxide on carbon (20 mg) was added. The flask was charged with H_2 (ballon) and stirred at rt for 16 h. The mixture was filtered over celite and the filtrate was concentrated to afford crude product (380 mg) as a dark red oil: ESI MS *m/z* 192 $[C_{11}H_{17}N_3 + H]^+$.

Example 1141

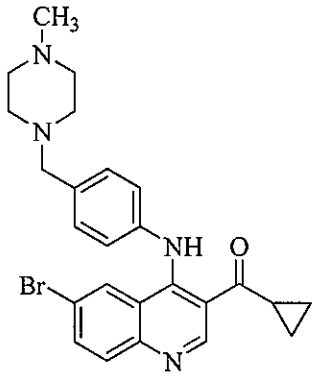
{6-Bromo-4-[4-(pyrrolidin-1-ylmethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (320 mg, 1.03 mmol) was reacted 4-(pyrrolidin-1-ylmethyl)aniline (385 mg, 1.55 mmol) to afford the desired product (387 mg, 83%) as a yellow solid: ESI MS *m/z* 450 $[C_{24}H_{24}BrN_3O + H]^+$.

Example 1142

{6-Bromo-4-[4-((4-methylpiperazin-1-yl)methyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone

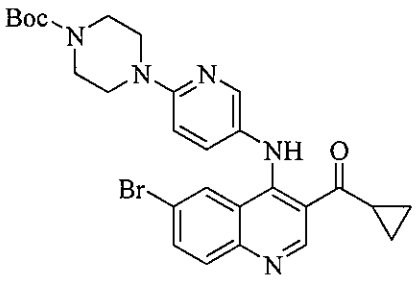


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (200 mg, 0.644 mmol) was reacted with 4-[(4-methylpiperazin-1-yl)methyl]aniline (200 mg, 0.966 mmol) to afford the desired product (173 mg, 56%) as a yellow solid: ESI MS *m/z* 479 $[C_{25}H_{27}BrN_4O + H]^+$.

Example 1143

tert-Butyl

4-{5-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate

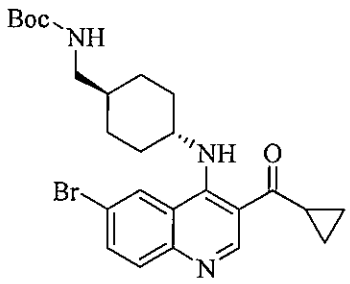


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (225 mg, 0.724 mmol) was reacted with *tert*-butyl 4-(5-aminopyridin-2-yl)piperazine-1-carboxylate (300 mg, 1.09 mmol) to afford the desired product (320 mg, 80%) as an orange solid: ESI MS *m/z* 552 $[C_{27}H_{30}BrN_5O_3 + H]^+$.

Example 1144

tert-Butyl

{trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate

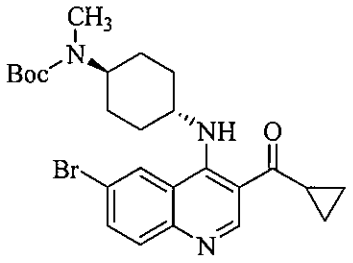


Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (260 mg, 0.840 mmol) was reacted with *tert*-trans-butyl (4-aminocyclohexyl)methylcarbamate (287 mg, 1.26 mmol) to afford the desired product (290 mg, 69%) as an off-white solid: ESI MS *m/z* 502 $[C_{25}H_{32}BrN_3O_3 + H]^+$.

Example 1145

tert-Butyl

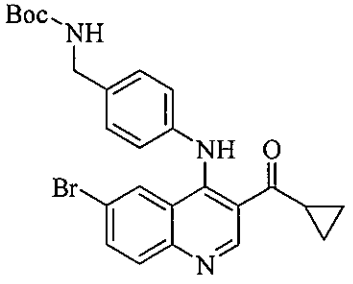
{trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}(methyl)carbamate



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (335 mg, 1.07 mmol) was reacted with *tert*-butyl-(trans)-4-aminocyclohexyl(methyl)carbamate (366 mg, 1.61 mmol) to afford the desired product (470 mg, 87%) as an orange foam: ESI MS *m/z* 502 $[C_{25}H_{32}BrN_3O_3 + H]^+$.

Example 1146

tert-Butyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate

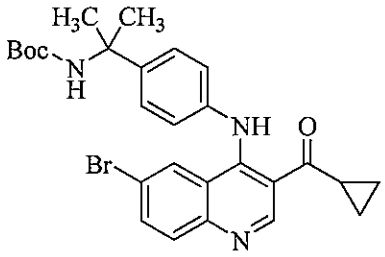


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (290 mg, 0.934 mmol) was reacted with *tert*-butyl 4-aminobenzylcarbamate (312 mg, 1.40 mmol) to afford the desired product (362 mg, 78%) as a yellow solid: ESI MS *m/z* 496 $[C_{25}H_{26}BrN_3O_3 + H]^+$.

Example 1147

tert-Butyl

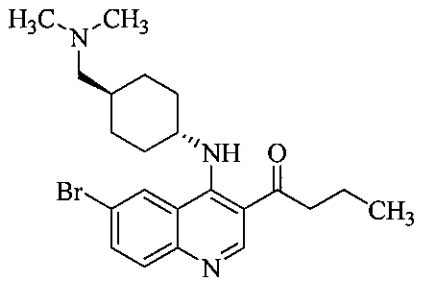
2-{4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (347 mg, 1.12 mmol) was reacted with *tert*-butyl 2-(4-aminophenyl)propan-2-ylcarbamate (420 mg, 1.68 mmol) to afford the desired product (422 mg, 63%) as a yellow solid: ESI MS *m/z* 524 $[C_{27}H_{30}BrN_3O_3 + H]^+$.

Example 1148

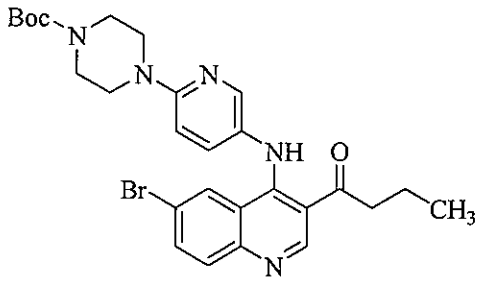
1-{6-Bromo-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one (0.350 mg, 1.12 mmol) was reacted with trans-4-[(dimethylamino)methyl]cyclohexanamine•HCl (385 mg, 1.68 mmol) to afford the desired product (160 mg, 33%) as an off-white solid: ESI MS *m/z* 432 $[C_{22}H_{30}BrN_3O + H]^+$.

Example 1149

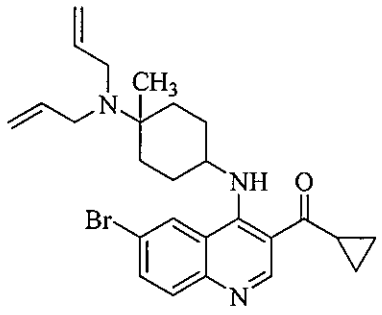
tert-Butyl 4-[5-(6-bromo-3-butyrylquinolin-4-ylamino)pyridin-2-yl]piperazine-1-carboxylate



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)butan-1-one (360 mg, 1.15 mmol) was reacted with *tert*-butyl 4-(5-aminopyridin-2-yl)piperazine-1-carboxylate (480 mg, 1.73 mmol) to afford the desired product (440 mg, 69%) as an orange solid: ESI MS *m/z* 554 $[C_{27}H_{32}BrN_5O_3 + H]^+$.

Example 1150

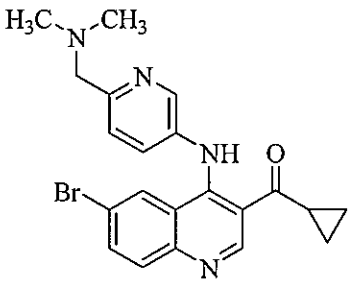
{6-Bromo-4-[4-(diallylamino)-4-methylcyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure B, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (300 mg, 0.966 mmol) was reacted with N^1,N^1-diallyl-1-methylcyclohexane-1,4-diamine (400 mg, 1.93 mmol) to afford the desired product (220 mg, 47%) as a yellow solid: ESI MS *m/z* 482 $[C_{26}H_{32}BrN_3O+ H]^+$.

Example 1151

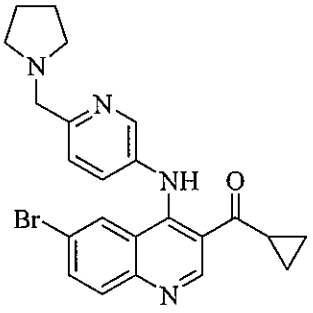
{6-Bromo-4-[6-((dimethylamino)methyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (330 mg, 1.06 mmol) was reacted with 6-[(dimethylamino)methyl]pyridin-3-amine (200 mg, 1.32 mmol) to afford the desired product (37 mg, 8%) as an orange oil: ESI MS *m/z* 425 $[C_{21}H_{21}BrN_4O+ H]^+$.

Example 1152

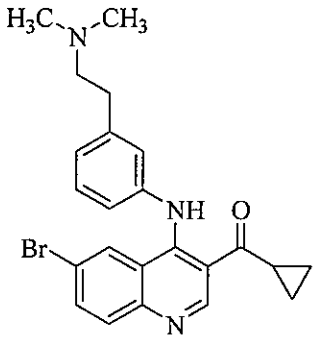
{6-Bromo-4-[6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.00 mmol) was reacted with 6-(pyrrolidin-1-ylmethyl)pyridin-3-amine (280 mg, 1.50 mmol) to afford the desired product (352 mg, 78%) as a yellow foam: ESI MS *m/z* 451 $[C_{23}H_{23}BrN_4O + H]^+$.

Example 1153

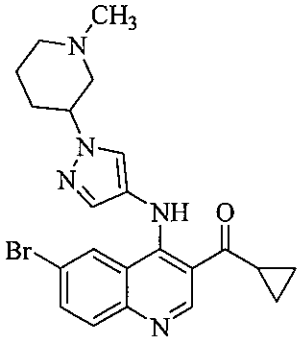
{6-Bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (280 mg, 0.91 mmol) was reacted with 3-[2-(dimethylamino)ethyl]aniline (150 mg, 0.91 mmol) to afford the desired product (270 mg, 68%) as a yellow solid: ESI MS *m/z* 438 $[C_{23}H_{24}BrN_3O + H]^+$.

Example 1154

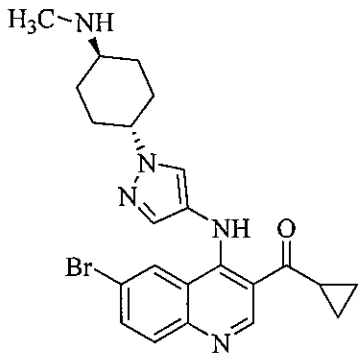
{6-Bromo-4-[1-(1-methylpiperidin-3-yl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (305 mg, 0.98 mmol) was reacted with 1-(1-methylpiperidin-3-yl)-1*H*-pyrazol-4-amine (265 mg, 1.47 mmol) to afford the desired product (100 mg, 22%) as a yellow solid: ESI MS *m/z* 454 $[C_{22}H_{24}BrN_5O + H]^+$.

Example 1155

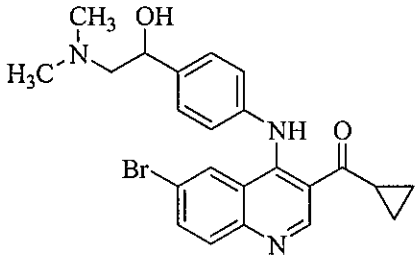
{6-Bromo-4-[1-(trans-4-(methylamino)cyclohexyl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (310 mg, 1.00 mmol) was reacted with 1-[trans-4-(methylamino)cyclohexyl]-1*H*-pyrazol-4-amine (388 mg, 2.00 mmol) to afford the desired product (65 mg, 14%) as an orange solid: ESI MS *m/z* 468 $[C_{23}H_{26}BrN_5O + H]^+$.

Example 1156

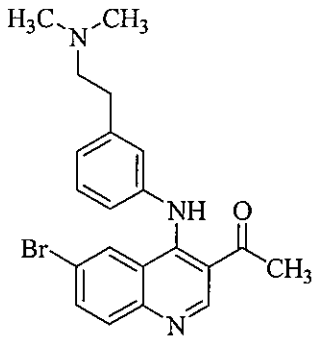
{6-Bromo-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (240 mg, 0.770 mmol) was reacted with 1-(4-aminophenyl)-2-(dimethylamino)ethanol (139 mg, 0.770 mmol) to afford the desired product (187 mg, 53%) as a yellow solid: ESI MS *m/z* 454 $[C_{23}H_{24}BrN_3O_2 + H]^+$.

Example 1157

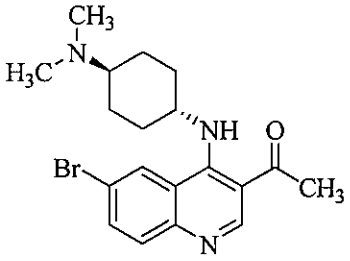
1-{6-Bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (340 mg, 1.20 mmol) was reacted with 3-(2-(dimethylamino)ethyl)aniline (215 mg, 1.31 mmol) to afford the desired product (360 mg, 50%) as a yellow solid: ESI MS *m/z* 412 $[C_{21}H_{22}BrN_3O + H]^+$.

Example 1158

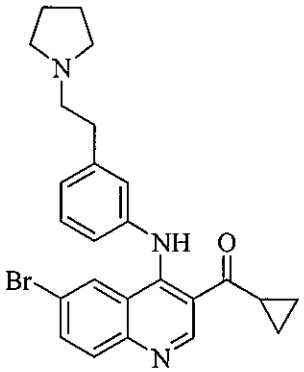
1-{6-Bromo-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (250 mg, 0.880 mmol) was reacted with *trans*-N^1,N^1-dimethylcyclohexane-1,4-diamine hydrochloride (280 mg, 1.32 mmol) to afford the desired product (63 mg, 18%): ESI MS *m/z* 390 $[C_{19}H_{24}BrN_3O + H]^+$.

Example 1159

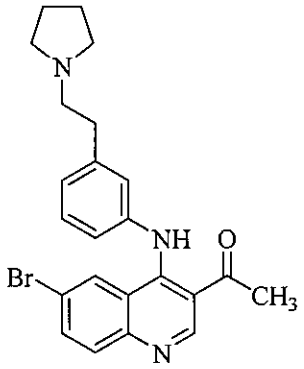
{6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (197 mg, 0.635 mmol) was reacted with 3-[2-(pyrrolidin-1-yl)ethyl]aniline (120 mg, 0.635 mmol) to afford the desired product (220 mg, 74%) as a yellow solid: ESI MS *m/z* 464 [$C_{25}H_{26}BrN_3O$ + H]$^+$.

Example 1160

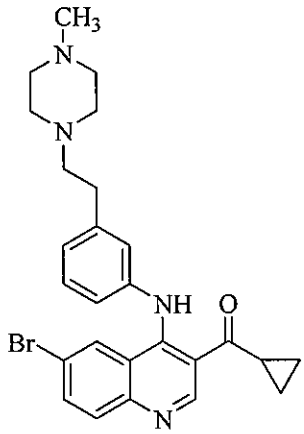
1-{6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (180 mg, 0.635 mmol) was reacted with 3-[2-(pyrrolidin-1-yl)ethyl]aniline (120 mg, 0.635 mmol) to afford the desired product (198 mg, 71%) as a yellow solid: ESI MS *m/z* 438 [$C_{23}H_{24}BrN_3O$ + H]$^+$.

Example 1161

{6-Bromo-4-[3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone

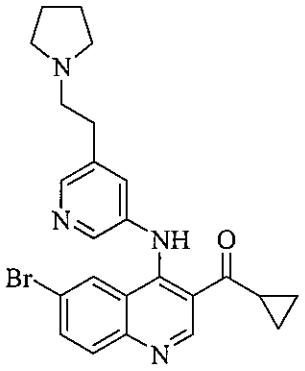


Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (240

mg, 0.77 mmol) was reacted with 3-(2-(4-methylpiperazin-1-yl)ethyl)aniline (170 mg, 0.77 mmol) to afford the desired product (212 mg, 55%) as a yellow solid: ESI MS *m/z* 493 $[C_{26}H_{29}BrN_4O + H]^+$.

Example 1162

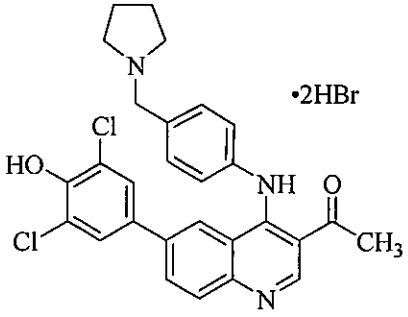
{6-Bromo-4-[5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-ylamino]quinolin-3-yl} (cyclopropyl)methanone



Following general procedure C, (6-bromo-4-chloroquinolin-3-yl)(cyclopropyl)methanone (262 mg, 0.845 mmol) was reacted with 5-[2-(pyrrolidin-1-yl)ethyl]pyridin-3-amine (161 mg, 0.845 mmol) to afford the desired product (178 mg, 45%) as a white solid: ESI MS *m/z* 465 $[C_{24}H_{25}BrN_4O + H]^+$.

Example 416

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino) quinolin-3-yl)ethanone hydrobromide

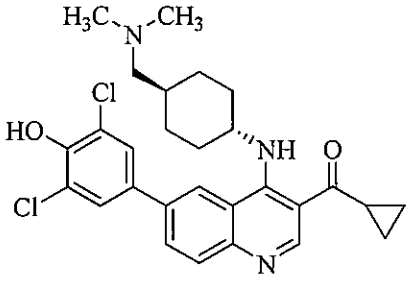


Following general procedure F, 1-(6-bromo-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinoline -3-yl)ethanone (4.0 g, 9.42 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.0 g, 14.13 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 40 mL) and HBr gas was bubbled through the suspension until a solution formed. The solution was concentrated to dryness and the resultant solid was triturated with diethyl ether. The mixture was filtered, washed with diethyl ether, and

dried to obtain desired product (3.37 g, 52% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.03 (br s, 1H), 10.59 (br s, 1H), 10.08 (br s, 1H), 9.27 (s, 1H), 8.43 – 8.27 (m, 2H), 8.112 (d, J = 8.8 Hz, 1H), 7.72 (d, J = 8.1 Hz, 2H), 7.59 – 7.47 (m, 4H), 4.47 (d, J = 5.3 Hz, 2H), 3.40 – 3.24 (m, 2H), 3.19 – 3.02 (m, 2H), 2.56 (s, 3H), 2.13 – 1.81 (m, 4H); APCI MS *m/z* 506 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 4.97 min.

Example 324

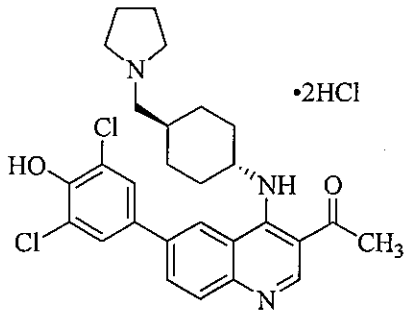
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(trans-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone



Following general procedure F except 2M Na_2CO_3 was used instead of 1M Cs_2CO_3, (6-bromo-4-(trans-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone (4.29 g, 9.96 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.32 g, 14.95 mmol) to afford the desired product (3.0 g, 59%) as a yellow solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.77 (br s, 1H), 9.05 (s, 1H), 8.36 (d, J = 2.1 Hz, 1H), 8.03 (dd, J = 8.7, 1.8 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.73 (s, 2H), 3.96 – 3.86 (m, 1H), 2.97 – 2.82 (m, 1H), 2.19 (s, 6H), 2.17 – 2.06 (m, 4H), 1.86 (d, J = 12.7 Hz, 2H), 1.60 – 1.36 (m, 3H), 1.15 – 0.91 (m, 6H); APCI MS *m/z* 512 $[C_{28}H_{31}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 5.15 min.

Example 768

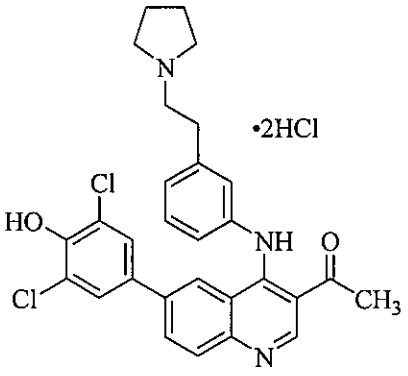
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(trans-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(trans-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone (6.0 g, 14.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.4 g, 15.0 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 200 mL) after which HCl (35 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to dryness and the resultant solid was triturated with dichloromethane. The mixture was filtered, washed with dichloromethane, and dried to obtain desired product (4.9 g, 60% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.10 (s, 1H), 8.47 (d, J = 2.1 Hz, 1H), 8.26 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.55 – 4.45 (m, 1H), 3.70 (br s, 2H), 3.20 – 3.04 (m, 4H), 2.74 (s, 3H), 2.45 (d, J = 12.6 Hz, 2H), 2.17 – 1.94 (m, 7H), 1.89 – 1.70 (m, , 2H), 1.46 – 1.27 (m, 2H); APCI MS *m/z* 512 $[C_{28}H_{31}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.69 min.

Example 802

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone hydrochloride

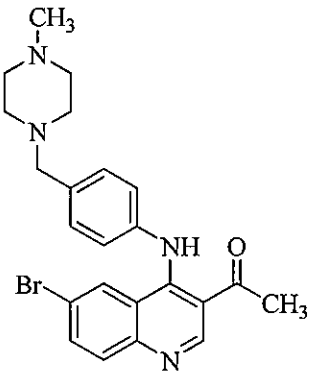


Following general procedure F, 1-(6-bromo-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino) quinolin-3-yl)ethanone (5.7 g, 13.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl -1,3,2-dioxaborolan-2-yl)phenol (4.1 g, 14.2 mmol) to obtain the free base. The purified product

was suspended in dichloromethane / methanol (1:1, 100 mL) after which HCl (40 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to dryness and the resultant solid was triturated with acetonitrile. The mixture was filtered, washed with acetonitrile, and dried to obtain desired product (5.6 g, 73% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.31 (s, 1H), 8.19 (dd, J = 8.8, 2.0 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.75 – 7.55 (m, 3H), 7.53 (s, 1H), 7.43 – 7.34 (m, 1H), 7.10 (s, 2H), 3.66 (br s, 2H), 3.48 – 3.36 (m, 2H), 3.24 – 3.06 (m, 4H), 2.82 (s, 3H), 2.07 (br s, 4H); APCI MS *m/z* 520 $[C_{29}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.17 min.

Example 1163

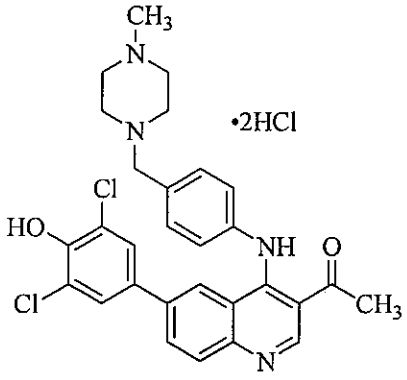
1-(6-bromo-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (5.0 g, 18.0 mmol) was reacted with 4-((4-methylpiperazin-1-yl)methyl)aniline (4.0 g, 19.0 mmol) to afford the desired product (6.7 g, 82%) as a yellow foam: ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.96 (s, 1H), 9.11 (s, 1H), 7.85 – 7.72 (m, 1H), 7.71 – 7.57 (m, 2H), 7.40 – 7.29 (m, 2H), 7.14 – 7.02 (m, 2H), 3.53 (s, 2H), 2.77 (s, 3H), 2.58 – 2.44 (br s, 8H), 2.30 (s, 3H).

Example 655

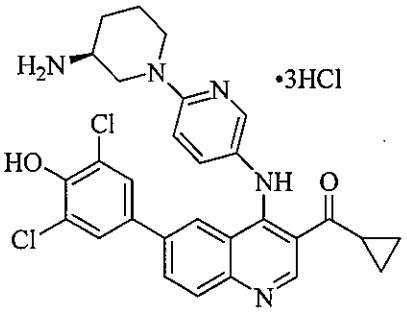
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino) quinolin-3-yl)ethanone (6.0 g, 13.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.2 g, 15.0 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 200 mL) after which HCl (36 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to dryness and the resultant solid was triturated with dichloromethane. The mixture was filtered, washed with dichloromethane, and dried to obtain desired product (5.2 g, 66% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.30 (s, 1H), 8.15 (dd, J = 8.8, 1.9 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.73 (d, J = 2.0 Hz, 1H), 7.62 (d, J = 8.0 Hz, 2H), 7.46 (d, J = 8.0 Hz, 2H), 7.10 (s, 2H), 3.81 (s, 2H), 3.55 – 3.31 (m, 2H), 3.29 – 2.89 (m, 4H), 2.89 (s, 3H), 2.82 (s, 3H), 2.80 – 2.35 (m, 2H); APCI MS *m/z* 535 $[C_{29}H_{28}Cl_2N_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 7.89 min.

Example 647

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

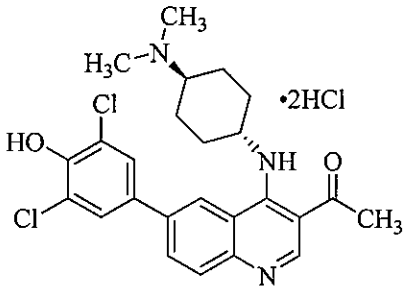


(*S*)-*tert*-Butyl 1-(5-(3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (5.7 g, 8.8 mmol) was reacted HCl (100 mL, 1.25M in methanol). The mixture was heated to 40 °C for 16 h. The reaction was diluted with ethyl acetate (100 mL). The resultant solid was filtered and washed with ethyl acetate. The solids

were dissolved in a mixture of water, methanol, and acetonitrile. The solution was concentrated by lyophilization to obtain desired product (4.7g, 81%) as an orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.36 (s, 1H), 8.32 – 8.22 (m, 3H), 8.08 (d, J = 9.2 Hz, 1H), 7.90 (dd, J = 9.5, 2.6 Hz, 1H), 7.48 (s, 2H), 7.36 (d, J = 9.5 Hz, 1H), 4.51 – 4.45 (m, 1H), 4.11 – 4.03 (m, 1H), 3.53 – 3.36 (m, 3H), 2.87 – 2.78 (m, 1H), 2.27 – 2.20 (m, 1H), 2.06 – 1.97 (m, 1H), 1.85 – 1.72 (m, 2H), 1.25 – 1.10 (m, 4H); ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 9.51 min.

Example 766

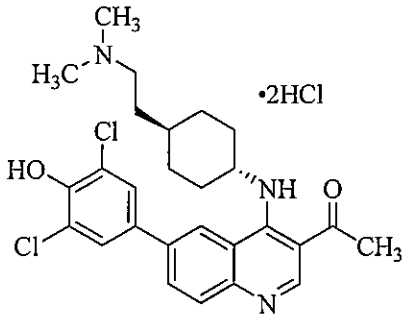
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(trans-4-(dimethylamino)cyclohexylamino)quinolin-3-yl) ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(trans-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)ethanone (4.48 g, 11.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.65 g, 13.0 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (2:1, 325 mL) after which HCl (115 mL, 1.25 M in methanol) was added to from a solution. The solution was concentrated to ~100 mL and the resultant solid was filtered, washed with dichloromethane, and dried to obtain desired product (4.2 g, 70% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.13 (s, 1H), 8.48 (br s, 1H), 8.30 (dd, *J* = 8.8, 1.8 Hz, 1H), 8.01 (d, *J* = 8.7 Hz, 1H), 7.77 (s, 2H), 4.62 (br s, 1H), 3.50 – 3.46 (m, 1H), 2.91 (s, 6H), 2.75 (s, 3H), 2.58 – 2.54 (m, 2H), 2.35 – 2.29 (m, 2H), 1.92 – 1.82 (m, 4H); ESI MS *m/z* 472 $[C_{25}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 6.41 min.

Example 740

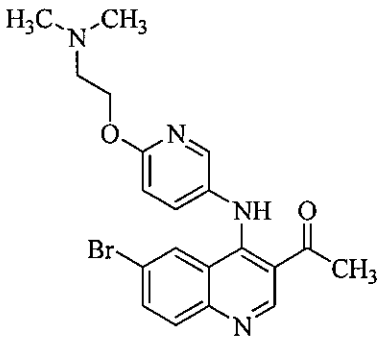
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(trans-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(trans-4-(2-(dimethylamino)ethyl)cyclohexyl amino)quinolin-3-yl)ethanone (4.8 g, 11.5 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.8 g, 13.1 mmol) to obtain the free base. The purified product was suspended in methanol (100 mL) after which HCl (125 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to ~50 mL and the resultant solid was filtered, washed with methanol, and dried to obtain desired product (3.8 g, 58% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.10 (s, 1H), 8.50 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.55 – 4.48 (m, 1H), 3.27 – 3.15 (m, 2H), 2.90 (s, 6H), 2.74 (s, 3H), 2.42 (br d, J = 12.3 Hz, 2H), 2.04 (br d, J = 12.9 Hz, 2H), 1.84 – 1.65 (m, 4H), 1.63 – 1.56 (m, 1H), 1.34 (q, J = 12.3 Hz, 2H); ESI MS *m/z* 500 [$C_{27}H_{31}Cl_2N_3O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 6.87 min.

Example 1164

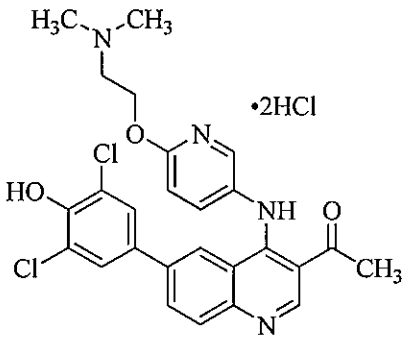
1-(6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone



Following general procedure C, 1-(6-bromo-4-chloroquinolin-3-yl)ethanone (5.0 g, 18.0 mmol) was reacted with 6-(2-(dimethylamino)ethoxy)pyridin-3-amine (3.5 g, 19.0 mmol) to afford the desired product (5.7 g, 82%) as a yellow solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.90 (s, 1H), 9.12 (s, 1H), 8.08 – 7.98 (m, 1H), 7.87 – 7.76 (m, 1H), 7.74 – 7.63 (m, 2H), 7.33 (dd, J = 8.8, 2.8 Hz, 1H), 6.83 (d, J = 8.8 Hz, 1H), 4.44 (t, J = 5.5 Hz, 2H), 2.78 (s, 3H), 2.74 (t, J = 8.8 Hz, 2H), 2.36 (s, 6H).

Example 852

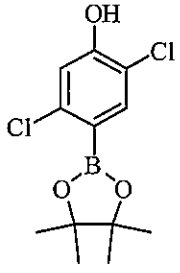
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride



Following general procedure F, 1-(6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino) quinolin-3-yl)ethanone (5.0 g, 12.0 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.7 g, 13.0 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 300 mL) after which HCl (30 mL, 1.25 M in methanol) was added to form a solution. The solution was concentrated to dryness to obtain desired product (5.2 g, 74% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.27 (s, 1H), 8.29 (d, J = 2.9 Hz, 1H), 8.20 – 8.10 (m, 1H), 8.06 – 7.96 (m, 1H), 7.87 – 7.77 (m, 2H), 7.20 (s, 2H), 7.17 – 7.08 (m, 1H), 4.81 – 4.71 (m, 2H), 3.64 (t, J = 5.1 Hz, 2H), 3.01 (s, 6H), 2.80 (s, 3H); ESI MS *m/z* 511 $[C_{26}H_{24}Cl_2N_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 6.86 min.

Example 443

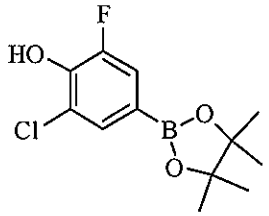
2,5-Dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol



Following general procedure E, 4-bromo-2,5-dichlorophenol (290 mg, 1.2 mmol) was reacted with bis(pinacolato)diboron (305 mg, 1.2 mmol) to afford the desired product (83 mg, 25%) as a waxy solid: ESI MS *m/z* 289 $[C_{12}H_{15}BCl_2O_3 + H]^+$.

Example 444

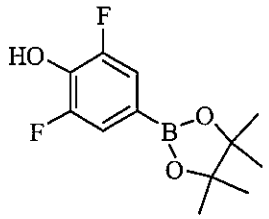
2-Chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol



Following general procedure E, 4-bromo-2-chloro-6-fluorophenol (271 mg, 1.2 mmol) was reacted with bis(pinacolato)diboron (305 mg, 1.2 mmol) to afford the desired product (340 mg, >99%) as a colorless oil: ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.57 (t, J = 1.3 Hz, 1H), 7.42 (dd, J = 10.2, 1.3 Hz, 1H), 1.33 (s, 12H).

Example 445

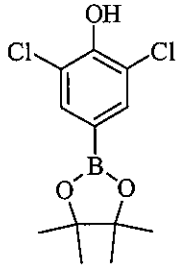
2,6-Difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol



Following general procedure E, 4-bromo-2,6-difluorophenol (251 mg, 1.20 mmol) was reacted with bis(pinacolato)diboron (338 mg, 1.32 mmol) to afford the desired product (340 mg, >99%) as a white solid: ESI MS *m/z* 257 $[C_{12}H_{15}BF_2O_3 + H]^+$.

Example 446

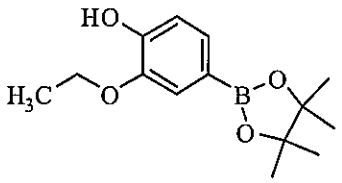
2,6-Dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol



Following general procedure E, 4-bromo-2,6-dichlorophenol (290 mg, 1.20 mmol) was reacted with bis(pinacolato)diboron (305 mg, 1.20 mmol) to afford the desired product (298 mg, 86%): ESI MS *m/z* 289 $[C_{12}H_{15}BCl_2O_3 + H]^+$.

Example 447

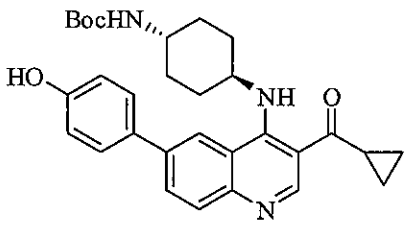
2-Ethoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol



Following general procedure E, 4-bromo-2-ethoxyphenol (1.26 g, 5.80 mmol) was reacted with bis(pinacolato)diboron (1.77 g, 6.96 mmol) to afford the desired product (580 mg, 38%) as a white solid: ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.36 (dd, J = 7.8, 1.2 Hz, 1H), 6.92 (d, J = 7.9 Hz, 1H), 5.91 (s, 1H), 4.16 (q, J = 7.0 Hz, 2H), 1.44 (t, J = 7.0 Hz, 3H), 1.33 (s, 12H).

Example 448

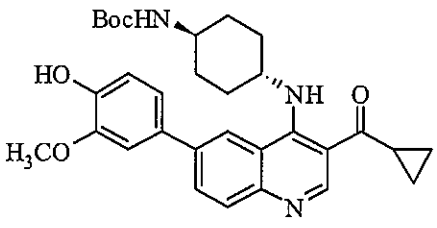
tert-Butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxyphenyl)quinolin-4-ylamino]cyclohexyl carbamate



Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (90 mg, 0.183 mmol) was reacted with 4-hydroxyphenyl boronic acid (38 mg, 0.275 mmol) to afford the desired product (48 mg, 52%) as an off-white solid: ESI MS *m/z* 502 $[C_{30}H_{35}N_3O_4 + H]^+$.

Example 449

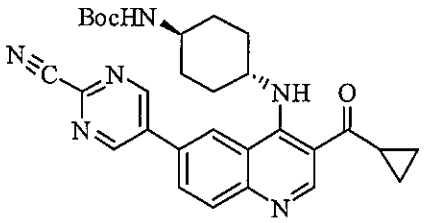
tert-Butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxy-3-methoxyphenyl) quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.200 mmol) to afford the desired product (45 mg, 85%) as an off-white solid: ESI MS *m/z* 532 $[C_{31}H_{37}N_3O_5 + H]^+$.

Example 450

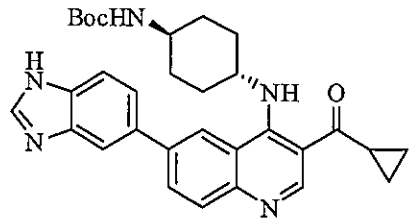
tert-Butyl *trans*-4-[6-(2-cyanopyrimidin-5-yl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrimidine-2-carbonitrile (46 mg, 0.200 mmol) to afford the crude product (52 mg): ESI MS *m/z* 513 $[C_{29}H_{32}N_6O_3 + H]^+$.

Example 451

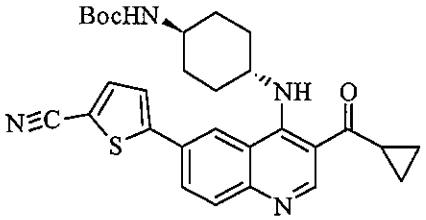
tert-Butyl *trans*-4-[6-(1*H*-benzo[*d*]imidazol-5-yl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] cyclohexylcarbamate



Following general procedure D, *tert*-butyl [*trans*-4-(6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 6-(4,4,5,5-tetramethyl -1,3,2-dioxaborolan-2-yl)-1*H*-benzo[*d*]imidazole (49 mg, 0.200 mmol) to afford the desired product (45.3 mg, 86%) as an off-white solid: ESI MS *m/z* 526 $[C_{31}H_{35}N_5O_3 + H]^+$.

Example 452

tert-Butyl *trans*-4-[6-(5-cyanothiophen-2-yl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] cyclohexylcarbamate



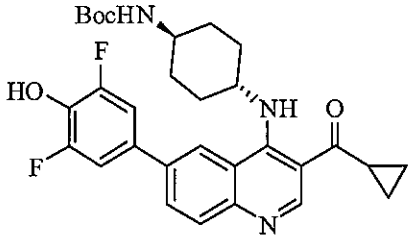
Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 5-cyanothiophen-2-ylboronic acid (31 mg, 0.200 mmol) to afford the desired product (24 mg,

47%) as a brown solid: ESI MS *m/z* 517 $[C_{29}H_{32}N_4O_3S + H]^+$.

Example 453

tert-Butyl

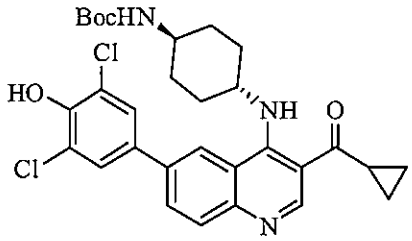
trans-4-[3-(Cyclopropanecarbonyl)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino] cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.196 mmol) to afford the desired product (26.2 mg, 49%) as an off-white solid: ESI MS *m/z* 538 $[C_{30}H_{33}F_2N_3O_4 + H]^+$.

Example 454

tert-Butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-4-ylamino]cyclohexylcarbamate

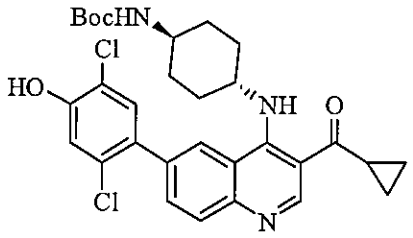


Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4 -ylamino]cyclohexylcarbamate (43 mg, 0.088 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.132 mmol) to afford the desired product (25 mg, 51%) as an off-white solid: ESI MS *m/z* 570 $[C_{30}H_{33}Cl_2N_3O_4 + H]^+$.

Example 455

tert-Butyl

trans-4-[3-(cyclopropanecarbonyl)-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino] cyclohexylcarbamate

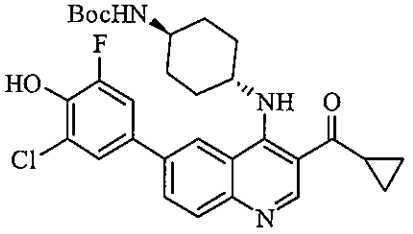


Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2,5-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (85 mg, 0.300 mmol) to afford the crude product (57 mg) as a white solid: ESI MS *m/z* 570 $[C_{30}H_{33}Cl_2N_3O_4 + H]^+$.

Example 456

tert-Butyl

trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] cyclohexylcarbamate

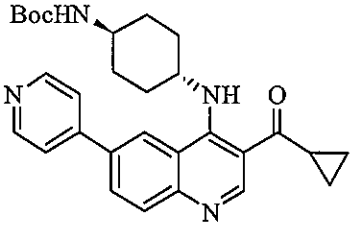


Following general procedure D, *tert*-butyl *trans*-4-[6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino]cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (130 mg, 0.478 mmol) to afford the desired product (20 mg, 36%) as an off white solid: ESI MS *m/z* 554 $[C_{30}H_{33}ClFN_3O_4 + H]^+$.

Example 457

tert-Butyl

trans-4-[3-(cyclopropanecarbonyl)-6-(pyridin-4-yl)quinolin-4-ylamino]cyclohexylcarbamate

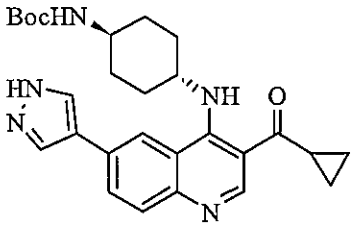


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with pyridin-4-ylboronic acid (25 mg, 0.200 mmol) to afford the crude product (50 mg) as an off-white solid: ESI MS *m/z* 487 $[C_{29}H_{34}N_4O_3 + H]^+$.

Example 458

tert-Butyl

trans-4-[3-(cyclopropanecarbonyl)-6-(1*H*-pyrazol-4-yl)quinolin-4-ylamino]cyclohexylcarbamate

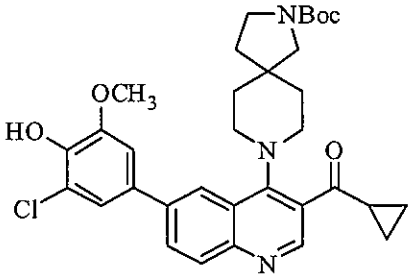


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-pyrazole (39 mg, 0.200 mmol) to afford the desired product (17 mg, 36%) as an off-white solid: ESI MS *m/z* 476 $[C_{27}H_{33}N_5O_3 + H]^+$.

Example 459

tert-Butyl

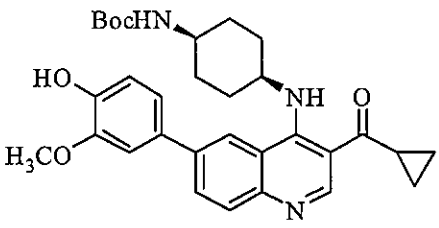
8-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl]-2,8-diazaspiro[4,5]decane-2-carboxylate



Following general procedure F, *tert*-butyl 8-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl]-2,8-diazaspiro[4,5]decane-2-carboxylate (50 mg, 0.097 mmol) was reacted with 3-chloro-4-hydroxy-5-methoxyphenylboronic acid (41 mg, 0.161 mmol) to afford the crude product (110 mg) as a brown oil: ESI MS *m/z* 593 $[C_{33}H_{38}ClN_3O_5 + H]^+$.

Example 460

tert-Butyl *cis*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxy-3-methoxyphenyl)quinolin-4-ylamino] cyclohexylcarbamate

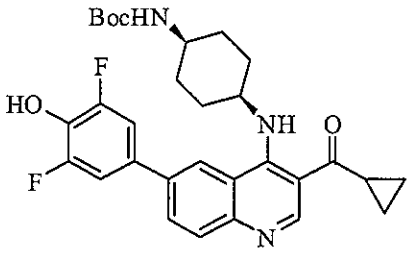


Following general procedure F, *tert*-butyl *cis*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4

-ylamino]cyclohexylcarbamate (40 mg, 0.082 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (31 mg, 0.124 mmol) to afford the crude product (43 mg) as a yellow solid: ESI MS *m/z* 532 $[C_{31}H_{37}N_3O_5 + H]^+$.

Example 461

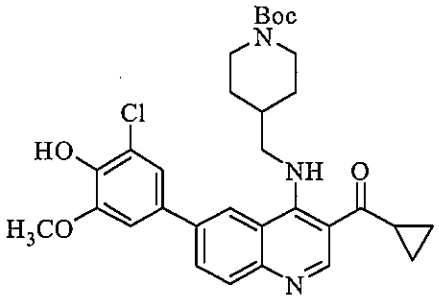
tert-Butyl *cis*-4-[3-(cyclopropanecarbonyl)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure F, *tert*-butyl *cis*-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (54 mg, 0.110 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (34 mg, 0.132 mmol) to afford the desired product (51 mg, 86%) as a light yellow solid: ESI MS *m/z* 538 $[C_{30}H_{33}F_2N_3O_4 + H]^+$.

Example 462

tert-Butyl 4-{[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino] methyl}piperidine-1-carboxylate

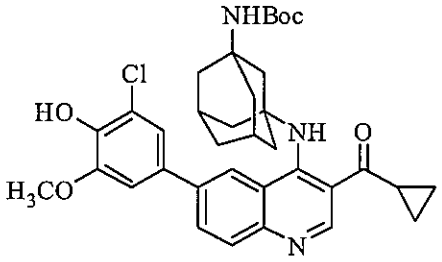


Following general procedure F, *tert*-butyl 4-{[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]methyl}piperidine-1-carboxylate (55 mg, 0.110 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (46 mg, 0.165 mmol) to afford the desired product (27 mg, 43%) as a yellow solid: ESI MS *m/z* 567 $[C_{31}H_{36}ClN_3O_5 + H]^+$.

Example 463

tert-Butyl 4-[3-(cyclopropanecarbonyl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)

quinolin-4-ylamino]adamantylcarbamate

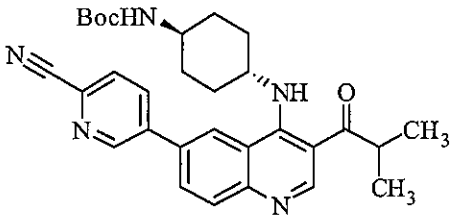


Following general procedure F, tert-butyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino]adamantylcarbamate (57 mg, 0.100 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan- 2-yl)phenol (43 mg, 0.150 mmol) to afford the crude product (84 mg) as a brown oil: ESI MS *m/z* 619 $[C_{35}H_{40}ClN_3O_5 + H]^+$.

Example 464

tert-Butyl

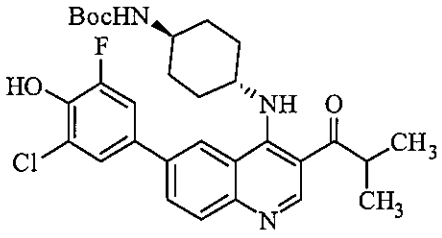
trans-4-[6-(6-cyanopyridin-3-yl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (47 mg, 0.093 mmol) was reacted with 5-(4,4,5,5-tetramethyl -1,3,2-dioxaborolan-2-yl)picolinonitrile (26 mg, 0.200 mmol) to afford the crude product (47 mg) as a yellow solid: ESI MS *m/z* 514 $[C_{30}H_{35}N_5O_3 + H]^+$.

Example 465

tert-Butyl *trans*-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino] cyclohexylcarbamate

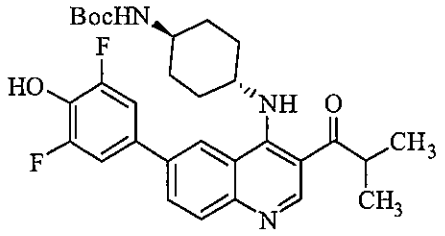


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (102 mg, 0.375 mmol) to afford the crude product (56 mg) as an off-white solid: ESI MS *m/z* 556 $[C_{30}H_{35}ClFN_3O_4 + H]^+$.

Example 466

tert-Butyl

trans-4-[6-(3,5-difluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate

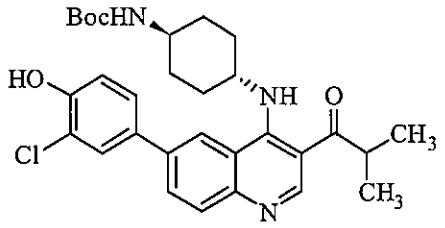


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (58 mg, 0.227 mmol) to afford the crude product (64 mg) as an off-white solid: ESI MS *m/z* 540 $[C_{30}H_{35}F_2N_3O_4 + H]^+$.

Example 467

tert-Butyl

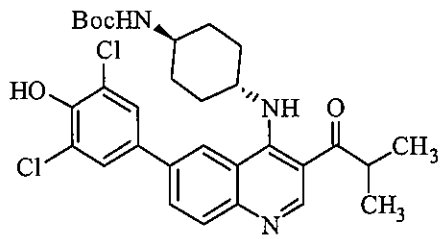
trans-4-[6-(3-chloro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino) cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (34 mg, 0.200 mmol) to afford the desired product (39 mg, 72%) as an off-white solid: ESI MS *m/z* 538 $[C_{30}H_{36}ClN_3O_4 + H]^+$.

Example 468

tert-Butyl *trans*-4-[6-(3,5-dichloro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino] cyclohexylcarbamate

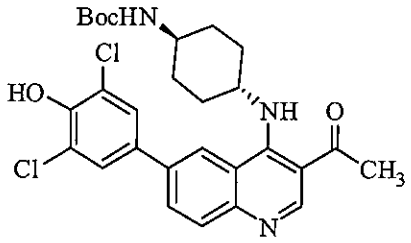


Following general procedure D, *tert*-butyl *trans*-4-(6-bromo-3-isobutyrylquinolin-4-ylamino)cyclohexylcarbamate (49 mg, 0.100 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-

tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.253 mmol) to afford the crude product (60 mg) as an off-white solid: ESI MS *m/z* 572 $[C_{30}H_{35}Cl_2N_3O_4 + H]^+$.

Example 469

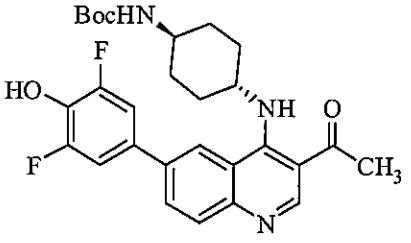
tert-Butyl *trans*-4-[3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino] cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-(3-acetyl-6-bromoquinolin -4-ylamino)cyclohexylcarbamate (40 mg, 0.087 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.195 mmol) to afford the crude product (46 mg) as a yellow solid: ESI MS *m/z* 544 $[C_{28}H_{31}Cl_2N_3O_4 + H]^+$.

Example 470

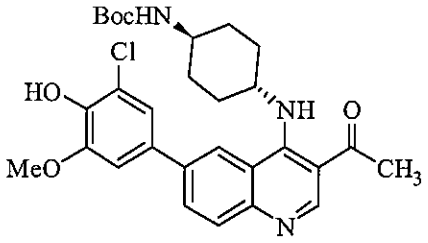
tert-Butyl *trans*-4-[3-acetyl-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate



Following general procedure D, *tert*-butyl *trans*-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylcarbamate (47 mg, 0.100 mmol) was reacted with 2,6-difluoro-4-(3,3,4,4 -tetramethylborolan-1-yl)phenol (97 mg, 0.382 mmol) to afford the desired product (30 mg, 59%) as a yellow solid: ESI MS *m/z* 512 $[C_{28}H_{31}F_2N_3O_4 + H]^+$.

Example 471

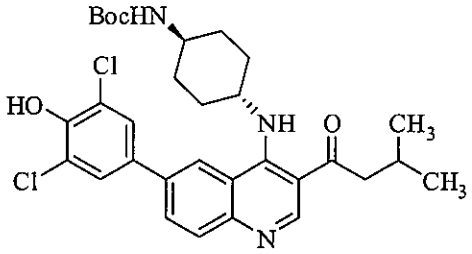
tert-Butyl *trans*-4-[3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino]cyclohexylcarba mate



Following general procedure D, *tert*-butyl *trans*-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylcarbamate (56 mg, 0.200 mmol) was reacted with 2-chloro-6-methoxy-4-(3,3,4,4-tetramethylborolan-1-yl)phenol (47 mg, 0.100 mmol) to afford the desired product (35 mg, 65%) as a yellow solid: ESI MS *m/z* 541 $[C_{29}H_{34}ClN_3O_5 + H]^+$.

Example 472

tert-Butyl *trans*-4-[6-(3,5-dichloro-4-hydroxyphenyl)-3-(3-methylbutanoyl)quinolin-4-ylamino] cyclohexylcarbamate

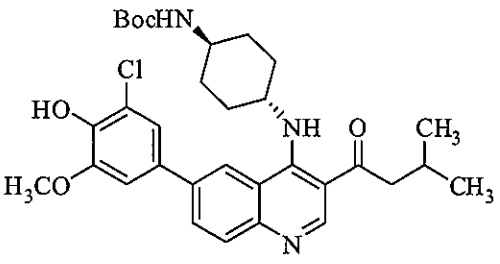


Following general procedure D, 1-{4-[*trans*-4-aminocyclohexylamino]-6-bromoquinolin -3-yl}-3-methylbutan-1-one (50 mg, 0.100 mmol) was reacted with 2,6-dichloro-4-(3,3,4,4 -tetramethylborolan-1-yl)phenol (42 mg, 0.145 mmol) to afford the desired product (36 mg, 61%) as a yellow solid: ESI MS *m/z* 587 $[C_{31}H_{37}Cl_2N_3O_4 + H]^+$.

Example 473

tert-Butyl

trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(3-methylbutanoyl)quinolin-4-ylamino] cyclohexylcarbamate

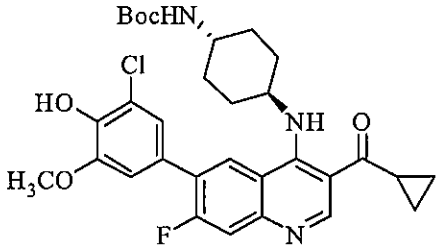


Following general procedure D, 1-{4-[*trans*-4-aminocyclohexylamino]-6-bromoquinolin-3-yl}-3-methylbutan-1-one (50 mg, 0.10 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-

dioxaborolan-2-yl)phenol (56 mg, 0.20 mmol) to afford the desired product (42 mg, 72%) as a yellow solid: ^{1}H NMR (500 MHz, $CDCl_3$) 10.81 (d, J = 7.9 Hz, 1 H), 8.99 (s, 1H), 8.22 (s, 1H), 7.97 (d, J = 8.3 Hz, 1H), 7.86 (d, J = 8.3 Hz, 1H), 7.22 (d, J = 1.9 Hz, 1H), 7.03 (d, J = 1.9 Hz, 1H), 5.96–5.91 (m, 1H), 4.00 (s, 3H), 3.52–3.46 (m, 1H), 2.89 (d, J = 6.9 Hz, 2H), 2.34–2.26 (m, 3H), 2.21–2.14 (m, 2H), 1.36–1.20 (m, 4H), 1.02 (d, J = 6.6 Hz, 2H), 1.45 (s, 9H).

Example 474

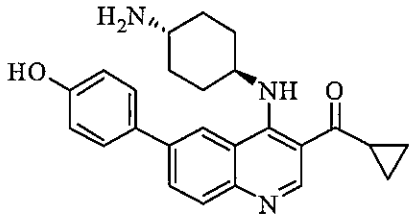
tert-Butyl *trans*-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)-7-fluoroquinolin-4-ylamino]



Following general procedure F, {4-[*trans*-4-aminocyclohexylamino]-6-bromo-7-fluoroquinolin-3-yl}(cyclopropyl)methanone (65 mg, 0.128 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (54 mg, 0.192 mmol) to afford the desired product (53 mg, 71%) as a yellow solid: ESI MS *m/z* 585 [$C_{31}H_{35}ClFN_3O_5$ + H]$^+$.

Example 55

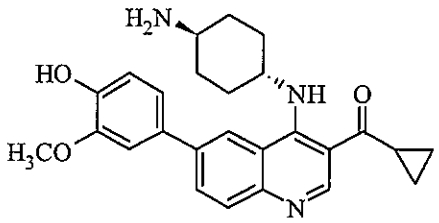
{4-[*trans*-4-Aminocyclohexylamino]-6-(4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl) methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxy phenyl)quinolin-4-ylamino]cyclohexylcarbamate (48 mg, 0.096 mmol) was reacted with TFA (0.40 mL) to afford the desired product (17.8 mg, 46%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.16 (s, 1H), 8.37 (d, J = 1.7 Hz, 1H), 8.07 (dd, J = 8.7, 1.8 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.60–7.56 (m, 2H), 6.96–6.92 (m, 2H), 4.29–4.19 (m, 1H), 3.29–3.20 (m, 1H), 2.89–2.80 (m, 1H), 2.37 (d, J = 12.8 Hz, 2H), 2.18 (d, J = 11.7 Hz, 2H), 1.75–1.64 (m, 2H), 1.64–1.53 (m, 2H), 1.23–1.18 (m, 2H), 1.15–1.09 (m, 2H); ESI MS *m/z* 402 [$C_{25}H_{27}N_3O_2$ + H]$^+$; HPLC 98.5% (AUC), t_R = 11.38 min.

Example 81

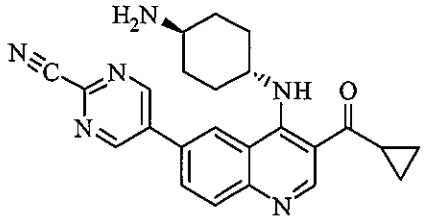
{4-[*trans*-4-Aminocyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxy-3-methoxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (45 mg, 0.085 mmol) was reacted with TFA (2 mL) to afford the desired product (11.3 mg, 31%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.12 (s, 1H), 8.36 (s, 1H), 8.04–7.98 (m, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.26 (d, J = 1.9 Hz, 1H), 7.17 (dd, J = 8.2, 2.0 Hz, 1H), 6.93 (d, J = 8.2 Hz, 1H), 4.14 (s, 1H), 3.97 (s, 3H), 3.20–3.07 (m, 2H), 2.87–2.75 (m, 2H), 2.28 (d, J = 12.2 Hz, 2H), 2.01 (d, J = 11.6 Hz, 2H), 1.64–1.53 (m, 2H), 1.40–1.26 (m, 3H), 1.21–1.14 (m, 2H), 1.12–1.03 (m, 2H); ESI MS *m/z* 432 $[C_{26}H_{29}N_3O_3 + H]^+$; HPLC 98.8% (AUC), t_R = 7.95 min.

Example 96

5-{4-[*trans*-4-Aminocyclohexylamino]-3-(cyclopropanecarbonyl)quinolin-6-yl}pyrimidine-2-carbonitrile

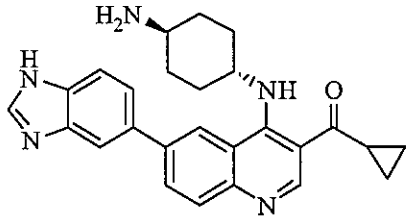


Following general procedure A-2, *tert*-butyl *trans*-4-[6-(2-cyanopyrimidin-5-yl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (52 mg, 0.100 mmol) was reacted with TFA (1 mL) to afford the desired product (13.7 mg, 33%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.33 (s, 2H), 9.19 (s, 1H), 8.60 (d, J = 1.9 Hz, 1H), 8.20 (dd, J = 8.7, 2.0 Hz, 1H), 8.05 (d, J = 8.7 Hz, 1H), 4.25–4.16 (m, 1H), 3.15–3.07 (m, 1H), 2.90–2.81 (m, 1H), 2.34 (d, J = 13.1 Hz, 2H), 2.12 (d, J = 11.8 Hz, 2H), 1.69–1.59 (m, 2H), 1.55–1.45 (m, 2H), 1.24–1.19 (m, 2H), 1.15–1.10 (m, 2H); ESI MS *m/z* 413 $[C_{24}H_{24}N_6O + H]^+$; HPLC 94.9% (AUC), t_R = 9.67 min.

Example 116

{4-[*trans*-4-Aminocyclohexylamino]-6-(1*H*-benzo[*d*]imidazol-5-yl)quinolin-3-yl}(cyclopropyl)

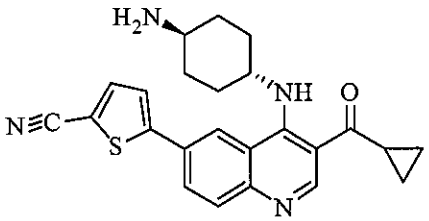
methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(1*H*-benzo[*d*]imidazol-5-yl)-3-(cyclopropanecarbonyl)quinolin-4-y lamino]cyclohexylcarbamate (45.3 mg, 0.086 mmol) was reacted with TFA (2 mL) to afford the desired product (21.3 mg, 58%) as an off-white solid: ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.16 (s, 1H), 8.48 (s, 1H), 8.27 (s, 1H), 8.12 (d, J = 8.7 Hz, 1H), 7.99–7.93 (m, 2H), 7.74 (d, J = 8.4 Hz, 1H), 7.67 (d, J = 8.4 Hz, 1H), 4.29 (s, 1H), 3.17 (s, 1H), 2.93–2.78 (m, 1H), 2.36 (d, J = 11.1 Hz, 2H), 2.15 (d, J = 11.2 Hz, 2H), 1.72–1.53 (m, 4H), 1.23–1.17 (m, 2H), 1.14–1.05 (m, 2H); ESI MS *m/z* 426 $[C_{26}H_{27}N_5O + H]^+$; HPLC 96.0% (AUC), t_R = 8.89 min.

Example 119

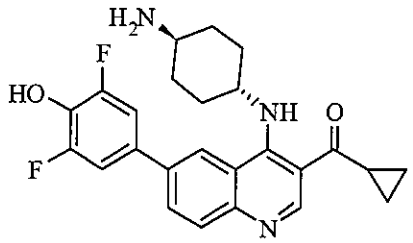
5-{4-[*trans*-4-Aminocyclohexylamino]-3-(cyclopropanecarbonyl)quinolin-6-yl} thiophene-2-carbonitrile



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(5-cyanothiophen-2-yl)-3-(cyclopropane carbonyl)quinolin-4-ylamino]cyclohexylcarbamate (24 mg, 0.047 mmol) was reacted with TFA (2 mL) to afford the desired product (13.2 mg, 32%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.25 (s, 1H), 8.54 (s, 1H), 8.27 (d, J = 8.6 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.85 (d, J = 4.0 Hz, 1H), 7.70 (d, J = 4.0 Hz, 1H), 4.28 (s, 1H), 3.28–3.25 (m, 1H), 2.89–2.83 (m, 1H), 2.42 (d, J = 12.8 Hz, 2H), 2.23 (d, J = 12.2 Hz, 2H), 1.80–1.63 (m, 4H), 1.27–1.21 (m, 2H), 1.19–1.13 (m, 2H); ESI MS *m/z* 417 $[C_{24}H_{24}N_4OS + H]^+$; HPLC 95.1% (AUC), t_R = 10.32 min.

Example 156

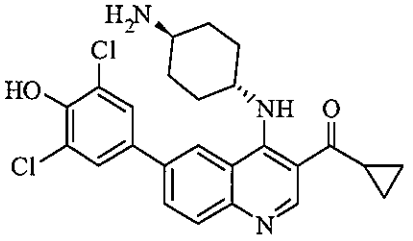
{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl} (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (26.2 mg, 0.049 mmol) was reacted with TFA (2 mL) to afford the desired product (17 mg, 79%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.14 (s, 1H), 8.36 (s, 1H), 8.03 (d, J = 9.5 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.35 (d, J = 9.6 Hz, 2H), 4.16 (s, 1H), 3.07 (s, 1H), 2.85 (s, 1H), 2.36 (d, J = 10.7 Hz, 2H), 2.18 (d, J = 14.1 Hz, 2H), 1.75–1.51 (m, 4H), 1.20 (s, 2H), 1.11 (s, 2H); ESI MS *m/z* 438 $[C_{25}H_{25}F_2N_3O_2 + H]^+$; HPLC 98.5% (AUC), t_R = 8.70 min.

Example 157(a)

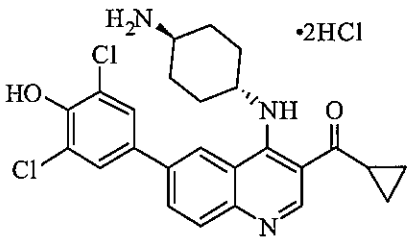
{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (25.7 mg, 0.045 mmol) was reacted with TFA (2 mL) to afford the desired product (8.8 mg, 42%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.14 (s, 1H), 8.35 (d, J = 1.7 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.94 (d, J = 8.7 Hz, 1H), 7.69 (s, 2H), 4.14 (s, 1H), 3.29–3.20 (m, 1H), 2.88–2.79 (m, 1H), 2.37 (d, J = 12.5 Hz, 2H), 2.19 (d, J = 11.0 Hz, 2H), 1.76–1.64 (m, 2H), 1.64–1.52 (m, 2H), 1.23–1.18 (m, 2H), 1.15–1.10 (m, 2H); ESI MS *m/z* 470 $[C_{25}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 94.9% (AUC), t_R = 10.74 min.

Example 157(b)

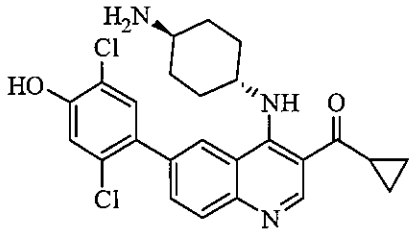
{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone dihydrochloride



A solution of {4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin -3-yl}(cyclopropyl)methanone (9.1 mg, 0.019 mmol) in methanol (10 mL) was treated with 1 N HCl (2 mL) and the mixture was concentrated and dried to obtain the desired salt (7.7 mg, 77%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.38 (s, 1H), 8.46 (s, 1H), 8.28 (d, J = 8.5 Hz, 1H), 7.99 (d, J = 8.5 Hz, 1H), 7.75 (bs, 2H), 2.86 (bs, 1H), 2.54–2.48 (m, 2H), 2.25–2.19 (m, 2H),1.87–1.79 (m, 2H), 1.72–1.50 (m, 2H), 1.40–1.18 (m, 4H); ESI MS *m/z* 470 $[C_{25}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 95.0% (AUC), t_R = 10.79 min.

Example 160

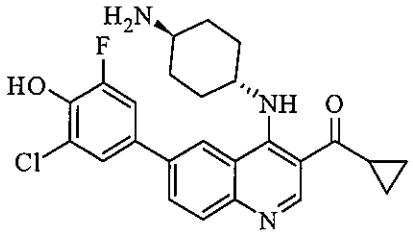
{4-[*trans*-4-Aminocyclohexylamino]-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cycloh exylcarbamate (57 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (10.8 mg, 23%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.23 (s, 1H), 8.27 (d, J = 1.6 Hz, 1H), 7.94 (d, J = 8.6 Hz, 1H), 7.87 (dd, J = 8.7, 1.7 Hz, 1H), 7.48 (s, 1H), 7.12 (s, 1H), 4.27–4.14 (m, 1H), 3.27–3.18 (m, 1H), 2.95–2.82 (m, 1H), 2.34 (d, J = 11.5 Hz, 2H), 2.14 (d, J = 10.7 Hz, 2H), 1.72–1.59 (m, 2H), 1.59–1.48 (m, 2H), 1.26–1.16 (m, 2H), 1.16–1.07 (m, 2H); ESI MS *m/z* 470 $[C_{25}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 96.4% (AUC), t_R = 10.67 min.

Example 179

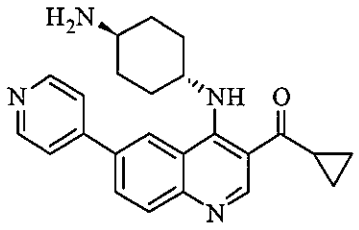
{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl} (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexylcarbamate (20 mg, 0.036 mmol) was reacted with TFA (2 mL) to afford the desired product (14.5 mg, 32%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.13 (s, 1H), 8.35 (d, J = 1.8 Hz, 1H), 8.02 (dd, J = 8.7, 1.9 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.56–7.53 (m, 1H), 7.46 (dd, J = 11.6, 2.2 Hz, 1H), 4.17–4.11 (m, 1H), 3.28–3.19 (m, 1H), 2.88–2.81 (m, 1H), 2.36 (d, J = 12.8 Hz, 2H), 2.18 (d, J = 12.2 Hz, 2H), 1.68 (q, J = 10.6 Hz, 2H), 1.61–1.50 (m, 2H), 1.23–1.17 (m, 2H), 1.14–1.08 (m, 2H); ESI MS *m/z* 454 $[C_{25}H_{25}ClFN_3O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.52 min.

Example 212

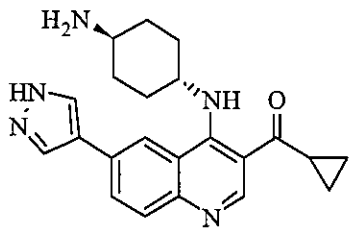
{4-[*trans*-4-Aminocyclohexylamino]-6-(pyridin-4-yl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(pyridin-4-yl) quinolin-4-ylamino]cyclohexylcarbamate (50 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (14.9 mg, 39%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.26 (s, 1H), 8.70 (dd, J = 4.6, 1.6 Hz, 2H), 8.65 (s, 1H), 8.30 (dd, J = 8.7, 1.7 Hz, 1H), 8.05 (d, J = 8.7 Hz, 1H), 7.87 (dd, J = 4.6, 1.7 Hz, 2H), 4.31 (br s, 1H), 3.28–3.22 (m, 1H), 2.91–2.82 (m, 1H), 2.41 (d, J = 13.2 Hz, 2H), 2.20 (d, J = 11.3 Hz, 2H), 1.82–1.69 (m, 2H), 1.67–1.55 (m, 2H), 1.28–1.23 (m, 2H), 1.20–1.16 (m, 2H); ESI MS *m/z* 387 $[C_{24}H_{26}N_4O + H]^+$; HPLC 95.0% (AUC), t_R = 8.34 min.

Example 213

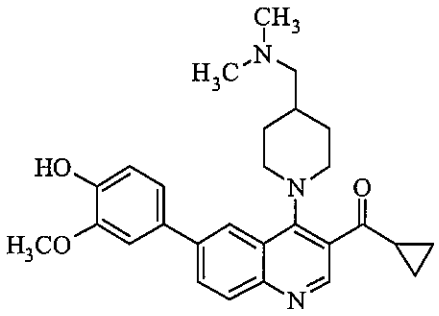
{4-[*trans*-4-Aminocyclohexylamino]-6-(1*H*-pyrazol-4-yl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-(cyclopropanecarbonyl)-6-(1*H*-pyrazol-4-yl)quinolin-4-ylamino]cyclohexylcarbamate (17 mg, 0.036 mmol) was reacted with TFA (2 mL) to afford the desired product (6.1 mg, 45%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.30 (br s, 1H), 8.54 (br s, 1H), 8.29 (dd, J = 8.7, 1.7 Hz, 1H), 8.19 (s, 2H), 7.94 (d, J = 8.8 Hz, 1H), 2.84 (s, 1H), 2.44 (s, 2H), 2.24 (d, J = 11.1 Hz, 2H), 1.89–1.76 (m, 2H), 1.67 (br s, 2H), 1.35–1.19 (m, 6H); ESI MS *m/z* 376 $[C_{22}H_{25}N_5O + H]^+$; HPLC 97.6 % (AUC), t_R = 9.39 min.

Example 133

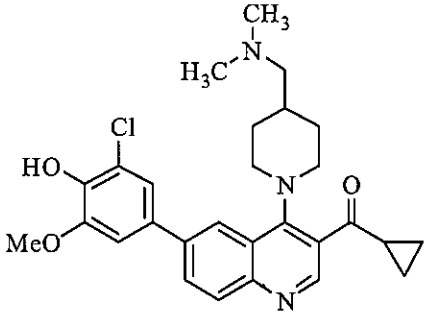
Cyclopropyl[4-{4-[(dimethylamino)methyl]piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl]methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin -3-yl)(cyclopropyl)methanone (47 mg, 0.113 mmol) was reacted with 2-methoxy-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.220 mmol) to afford the desired product (23.5 mg, 45%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.81 (s, 1H), 8.29 (d, J = 1.6 Hz, 1H), 8.10–8.00 (m, 2H), 7.30 (d, J = 2.0 Hz, 1H), 7.22 (dd, J = 8.2, 2.1 Hz, 1H), 6.94 (d, J = 8.2 Hz, 1H), 3.97 (s, 3H), 3.56 (d, J = 12.6 Hz, 2H), 3.27–3.15 (m, 4H), 2.95 (s, 6H), 2.65–2.55 (m, 1H), 2.15-2.25 (m, 1H), 1.95 (d, J = 12.6 Hz, 2H), 1.78–1.67 (m, 2H), 1.38–1.28 (m, 2H), 1.28–1.19 (m, 2H); ESI MS *m/z* 460 $[C_{28}H_{33}N_3O_3 + H]^+$; HPLC 95.9% (AUC), t_R = 9.74 min.

Example 276

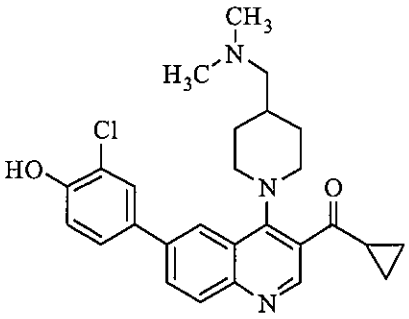
[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl} quinolin-3-yl](cyclopropyl)methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl} quinolin-3-yl)(cyclopropyl)methanone (35 mg, 0.084 mmol) was reacted with 2-chloro-6-methoxy-4-(3,3,4,4-tetramethylborolan-1-yl)phenol (42 mg, 0.150 mmol) to afford the desired product (29.1 mg, 70%) as an off-white solid: ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.75 (s, 1H), 8.30 (s, 1H), 8.07–7.99 (m, 2H), 7.31 (d, J = 2.0 Hz, 1H), 7.25 (d, J = 1.9 Hz, 1H), 4.00 (s, 3H), 3.54 (d, J = 12.4 Hz, 2H), 3.22 (t, J = 12.2 Hz, 2H), 2.59–2.50 (m, 1H), 2.43 (d, J = 6.2 Hz, 2H), 2.35 (s, 6H), 2.01–1.83 (m, 3H), 1.67–1.53 (m, 2H), 1.35–1.27 (m, 2H), 1.27–1.19 (m, 2H); ESI MS *m/z* 494 $[C_{28}H_{32}ClN_3O_3 + H]^+$; HPLC 98.9% (AUC), t_R = 10.51 min.

Example 279

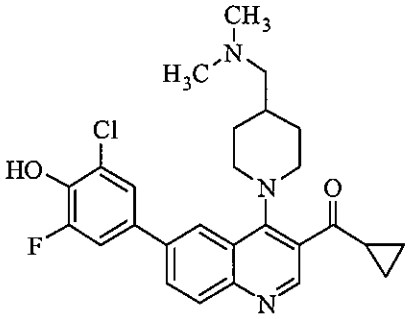
[6-(3-Chloro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl](cyclopropyl)methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl} quinolin-3-yl)(cyclopropyl)methanone (25 mg, 0.060 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (21 mg, 0.120 mmol) to afford the desired product (16.3 mg, 59%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.74 (s, 1H), 8.28 (s, 1H), 8.05–7.98 (m, 2H), 7.70 (d, J = 2.3 Hz, 1H), 7.53 (dd, J = 8.4, 2.3 Hz, 1H), 7.06 (d, J = 8.4 Hz, 1H), 3.53 (d, J = 12.7 Hz, 2H), 3.21 (t, J = 11.5 Hz, 2H), 2.60–2.51 (m, 1H), 2.43 (d, J = 6.8 Hz, 2H), 2.35 (s, 6H), 2.00–1.84 (m, 3H), 1.65–1.53 (m, 2H), 1.34–1.28 (m, 2H), 1.28–1.17 (m, 2H); ESI MS *m/z* 464 $[C_{27}H_{30}ClN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.38 min.

Example 347

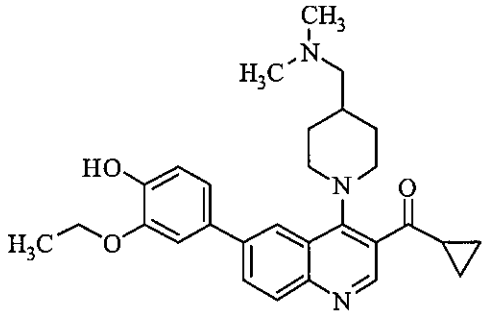
[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl} quinolin-3-yl](cyclopropyl)methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (30 mg, 0.072 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.150 mmol) to afford the desired product (22.3 mg, 64%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (s, 1H), 8.25 (d, J = 1.6 Hz, 1H), 8.10–7.95 (m, 2H), 7.55–7.46 (m, 1H), 7.42 (dd, J = 11.7, 2.3 Hz, 1H), 3.53 (d, J = 12.7 Hz, 2H), 3.22 (t, J = 11.6 Hz, 2H), 2.61 (d, J = 6.6 Hz, 2H), 2.59–2.51 (m, 1H), 2.48 (s, 6H), 1.96 (d, J = 10.0 Hz, 3H), 1.69–1.56 (m, 2H), 1.35–1.28 (m, 2H), 1.28–1.16 (m, 2H); ESI MS *m/z* 482 $[C_{27}H_{29}ClFN_3O_2 + H]^+$; HPLC 98.0% (AUC), t_R = 10.47 min.

Example 353

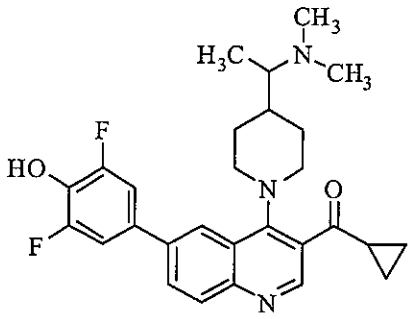
Cyclopropyl[4-{4-[(dimethylamino)methyl]piperidin-1-yl}-6-(3-ethoxy-4-hydroxyphenyl) quinolin-3-yl]methanone



Following general procedure D, (6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (30 mg, 0.072 mmol) was reacted with 2-ethoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.150 mmol) to afford the desired product (14.3 mg, 42%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.73 (s, 1H), 8.31 (d, J = 1.7 Hz, 1H), 8.03 (m, 2H), 7.30 (d, J = 2.1 Hz, 1H), 7.22 (dd, J = 8.2, 2.1 Hz, 1H), 6.95 (d, J = 8.2 Hz, 1H), 4.22 (q, J = 7.0 Hz, 2H), 3.54 (d, J = 12.6 Hz, 2H), 3.26–3.12 (m, 2H), 2.59–2.50 (m, 1H), 2.44 (s, 2H), 2.37 (s, 6H), 2.02–1.83 (m, 3H), 1.68–1.53 (m, 2H), 1.49 (t, J = 7.0 Hz, 3H), 1.35–1.26 (m, 2H), 1.26–1.15 (m, 2H); ESI MS *m/z* 474 $[C_{29}H_{35}N_3O_3 + H]^+$; HPLC 96.9% (AUC), t_R = 10.59 min.

Example 181

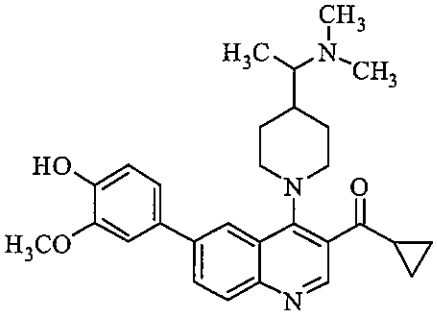
Cyclopropyl[6-(3,5-difluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl} quinolin-3-yl]methanone



Following general procedure F, (6-bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl} quinolin-3-yl)(cyclopropyl)methanone (60 mg, 0.139 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (39 mg, 0.153 mmol) to afford the desired product (30 mg, 44%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.82 (s, 1H), 8.26 (s, 1H), 8.03 (p, J = 8.8 Hz, 2H), 7.35 (dd, J = 8.0, 1.7 Hz, 2H), 3.56 (t, J = 10.3 Hz, 2H), 3.26–3.17 (m, 3H), 2.80 (s, 6H), 2.66–2.53 (m, 1H), 1.96 (d, J = 11.2 Hz, 3H), 1.87–1.68 (m, 2H), 1.37–1.22 (m, 8H); ESI MS *m/z* 480 $[C_{28}H_{31}F_2N_3O_2 + H]^+$; HPLC 98.6% (AUC), t_R = 10.24 min.

Example 187

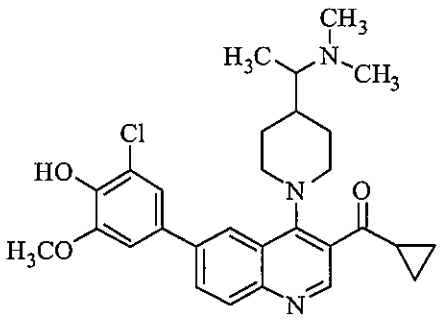
Cyclopropyl(4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone



Following general procedure F, (6-bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin- 3-yl)(cyclopropyl)methanone (42 mg, 0.097 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (36 mL, 0.146 mmol) to afford the desired product (26 mg, 56%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.82 (s, 1H), 8.29 (d, J = 1.6 Hz, 1H), 8.19– 7.97 (m, 2H), 7.31 (d, J = 2.1 Hz, 1H), 7.23 (dd, J = 8.2, 2.1 Hz, 1H), 6.95 (d, J = 8.2 Hz, 1H), 3.98 (s, 3H), 3.61 (t, J = 12.8 Hz, 2H), 3.48–3.36 (m, 1H), 3.27–3.17 (m, 2H), 2.98–2.84 (m, 5H), 2.67–2.56 (m, 1H), 2.11–1.73 (m, 5H), 1.40 (d, J = 6.8 Hz, 3H), 1.34–1.23 (m, 6H); ESI MS *m/z* 474 $[C_{29}H_{35}N_3O_3 + H]^+$; HPLC 98.6% (AUC), t_R = 9.95 min.

Example 250

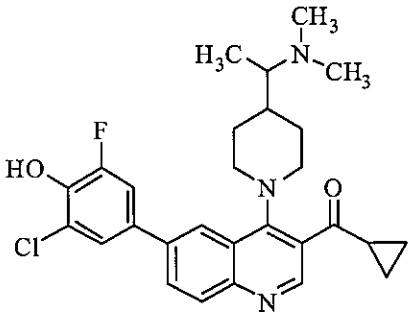
[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl](cyclopropyl)methanone



Following general procedure F, (6-bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (50 mg, 0.116 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.173 mmol) to afford the desired product (33 mg, 56%) as a yellow-green solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.74 (s, 1H), 8.30 (d, J = 1.6 Hz, 1H), 8.07–7.97 (m, 2H), 7.32 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 2.1 Hz, 1H), 4.00 (s, 3H), 3.55 (d, J = 12.2 Hz, 2H), 3.19 (dd, J = 19.9, 7.2 Hz, 2H), 2.64–2.58 (m, 1H), 2.58–2.50 (m, 1H), 2.40 (s, 6H), 2.03 (d, J = 12.7 Hz, 1H), 1.95–1.78 (m, 3H), 1.73–1.61 (m, 2H), 1.33–1.28 (m, 2H), 1.26–1.20 (m, 2H), 1.11 (d, J = 6.6 Hz, 3H); ESI MS m/z 508 $[C_{29}H_{34}ClN_3O_3 + H]^+$; HPLC 97.0% (AUC), t_R = 10.04 min.

Example 269

[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl](cyclopropyl)methanone

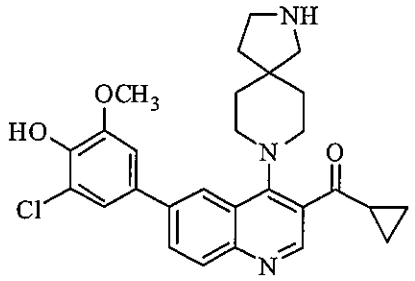


Following general procedure F, (6-bromo-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl)(cyclopropyl)methanone (39 mg, 0.090 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (30 mg, 0.108 mmol) to afford the desired product (27 mg, 60%) as a light brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.81 (s, 1H), 8.26 (d, J = 1.6 Hz, 1H), 8.08–7.99 (m, 2H), 7.57–7.51 (m, 1H), 7.46 (dd, J = 11.6, 2.2 Hz, 1H), 3.55 (d, J = 11.5 Hz,

2H), 3.27–3.13 (m, 3H), 2.78 (s, 5H), 2.63–2.51 (m, 1H), 2.02 (s, 1H), 1.95 (d, J = 12.8 Hz, 2H), 1.82–1.67 (m, 2H), 1.41–1.19 (m, 8H), 0.90 (s, 1H); ESI MS *m/z* 496 $[C_{28}H_{31}ClFN_3O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.58 min.

Example 155

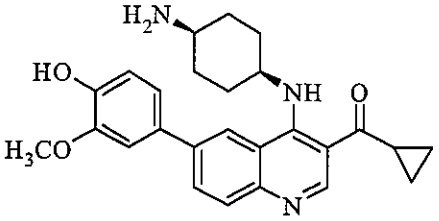
[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(2,8-diazaspiro[4,5]decan-8-yl)quinolin-3-yl] (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl 8-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl]-2,8-diazaspiro[4,5]decane-2-carboxylate (110 mg, 0.097 mmol) was reacted with TFA (2 mL) to afford the desired product (18 mg, 38%) as a green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.79 (s, 1H), 8.29 (s, 1H), 8.02 (s, 2H), 7.30 (d, J = 2.1 Hz, 1H), 7.23 (d, J = 2.1 Hz, 1H), 3.98 (s, 4H), 3.08 (s, 2H), 2.65–2.52 (m, 1H), 2.03–1.81 (m, 7H), 1.37–1.16 (m, 8H); ESI MS *m/z* 492 $[C_{28}H_{30}ClN_3O_3 + H]^+$.

Example 165

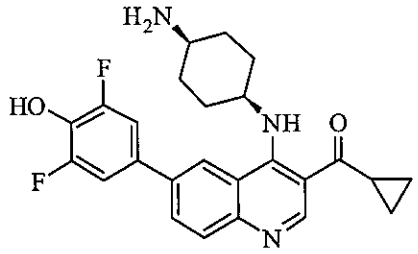
{4-(*cis*-4-Aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl} (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *cis*-4-[3-(cyclopropanecarbonyl)-6-(4-hydroxy-3-methoxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (43 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (20 mg, 56%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.26 (s, 1H), 8.39 (d, J = 1.7 Hz, 1H), 8.10 (dd, J = 8.8, 1.8 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.27 (d, J = 2.1 Hz, 1H), 7.18 (dd, J = 8.2, 2.1 Hz, 1H), 6.93 (d, J = 8.2 Hz, 1H), 4.74 (s, 1H), 3.96 (s, 3H), 3.12–3.02 (m, 1H), 2.98–2.84 (m, 1H), 2.17 (d, J = 9.9 Hz, 2H), 2.10–1.93 (m, 4H), 1.93–1.73 (m, 2H), 1.31–1.20 (m, 2H), 1.16–1.08 (m, 2H); ESI MS *m/z* 432 $[C_{26}H_{29}N_3O_3 + H]^+$; HPLC 98.4% (AUC), t_R = 9.93 min.

Example 180

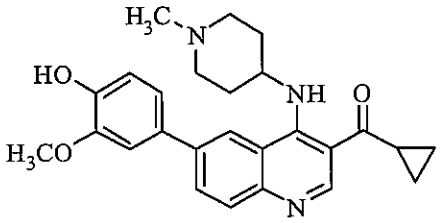
[4-(*cis*-4-Aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl] (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *cis*-4-[3-(cyclopropanecarbonyl)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (51 mg, 0.095 mmol) was reacted with TFA (2 mL) to afford the desired product (25 mg, 52%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.27 (s, 1H), 8.37 (d, J = 1.7 Hz, 1H), 8.06 (dd, J = 8.8, 1.9 Hz, 1H), 7.94 (d, J = 8.7 Hz, 1H), 7.33 (dd, J = 8.1, 1.6 Hz, 2H), 4.73 (s, 1H), 2.97–2.86 (m, 1H), 2.16 (d, J = 9.1 Hz, 2H), 2.09–1.97 (m, 5H), 1.91–1.76 (m, 2H), 1.27–1.20 (m, 2H), 1.20–1.10 (m, 2H); ESI MS *m/z* 438 $[C_{25}H_{25}F_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 5.12 min.

Example 177

Cyclopropyl[6-(4-hydroxy-3-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl]methanone

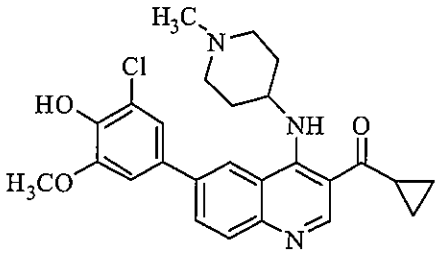


Following general procedure F, [6-bromo-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl] (cyclopropyl)methanone (47 mg, 0.121 mmol) was reacted with 2-methoxy-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (45 mg, 0.182 mmol) to afford the desired product (33 mg, 63%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.17 (s, 1H), 8.33 (d, J = 1.8 Hz, 1H), 8.05 (dd, J = 8.7, 1.9 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.27 (d, J = 2.1 Hz, 1H), 7.19 (dd, J = 8.2, 2.1 Hz, 1H), 6.94 (d, J = 8.2 Hz, 1H), 4.36 (s, 1H), 3.97 (s, 3H), 3.34 (s, 1H), 3.08 (s, 2H), 2.94–2.82 (m, 1H), 2.65 (s, 2H), 2.53 (s, 3H), 2.28 (d, J = 13.9 Hz, 2H), 1.89 (d, J = 10.3 Hz, 2H), 1.26–1.17 (m, 2H), 1.17–1.08 (m, 2H); ESI MS *m/z* 432 $[C_{26}H_{29}N_3O_3 + H]^+$; HPLC (AUC), t_R = 9.78 min.

Example 249

6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl]

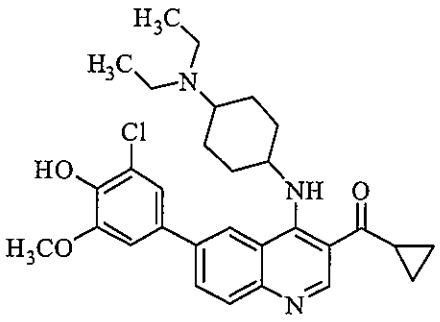
(cyclopropyl)methanone



Following general procedure F, [6-bromo-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl] (cyclopropyl)methanone (63 mg, 0.160 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.240 mmol) to afford the desired product (30 mg, 40%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.17 (s, 1H), 8.31 (d, J = 1.5 Hz, 1H), 8.04 (dd, J = 8.7, 1.8 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.29 (d, J = 2.1 Hz, 1H), 7.21 (d, J = 2.0 Hz, 1H), 4.36 (s, 1H), 3.99 (s, 3H), 3.20 (d, J = 9.4 Hz, 2H), 2.93–2.68 (m, 3H), 2.61 (s, 3H), 2.31 (d, J = 13.0 Hz, 2H), 2.00–1.81 (m, 2H), 1.28–1.18 (m, 2H), 1.17–1.05 (m, 2H); ESI MS m/z 466 $[C_{26}H_{28}ClN_3O_3 + H]^+$; HPLC 96.4% (AUC), t_R = 9.24 min.

Example 185(a)

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone

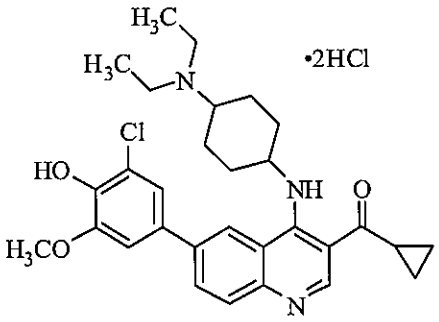


Following general procedure F, {6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone (45 mg, 0.101 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (34 mg, 0.121 mmol) to afford the desired product (28 mg, 53%) as a yellow glass: ^{1}H NMR (300 MHz, CD_3OD) δ 9.39 (s, 0.5H), 9.28 (s, 0.5H), 8.44 (d, J = 2.2 Hz, 1H), 8.30–8.21 (m, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.40–7.17 (m, 2H), 4.96 (s, 1H), 3.99 (d, J = 2.5 Hz, 3H), 3.72–3.52 (m, 1H), 3.43–3.18 (m, 3H), 2.98–2.81 (m, 1H), 2.59–1.75 (m, 9H), 1.48–1.34 (m, 6H), 1.31–1.13 (m, 4H); ESI MS m/z 522 $[C_{30}H_{36}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 7.67 min.

Example 185(b)

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}

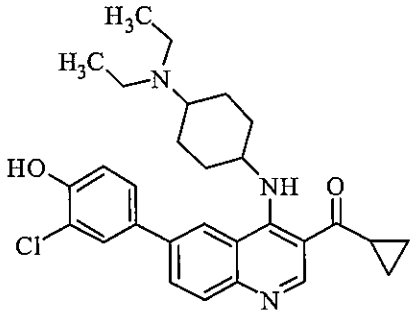
(cyclopropyl)methanone dihydrochloride



To {6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone (18 mg, 0.34 mmol) was added 1 M HCl (5 mL) and the mixture was concentrated to afford the desired product (15 mg, 75%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.43–9.36 (m, 1H), 8.49 (d, J = 2.2 Hz, 1H), 8.34 – 8.27 (m, 1H), 7.98 – 7.22 (m, 3H), 3.69 – 3.59 (m, 1H), 3.45 – 3.22 (m, 4H), 2.98–2.81 (m, 1H), 2.59–1.75 (m, 9H), 1.45 – 1.22 (m, 10H); ESI MS *m/z* 522 $[C_{30}H_{36}ClN_3O_3 + H]^+$; HPLC 95.2% (AUC), t_R = 10.26 min.

Example 192

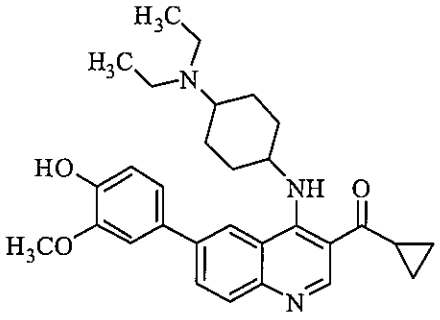
{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone



Following general procedure F, {6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone (65 mg, 0.146 mmol) was reacted with 3-chloro-4-hydroxyphenyl boronic acid (38 mg, 0.219 mmol) to afford the desired product (24 mg, 33%) as a light yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.29 (s, 0.5H), 9.20 (s, 0.5H), 8.38 (s, 1H), 8.12–8.04 (m, 1H), 7.98–7.91 (m, 1H), 7.74 (d, J = 2.3 Hz, 0.5H), 7.68 (d, J = 2.3 Hz, 0.5H), 7.58–7.48 (m, 1H), 7.06 (dd, J = 9.5, 8.5 Hz, 1H), 3.59–3.49 (m, 1H), 3.45–3.15 (m, 4H), 2.98–2.83 (m, 1H), 2.45 (d, J = 11.9 Hz, 1H), 2.30–2.19 (m, 2H), 2.11–1.88 (m, 4H), 1.86–1.70 (m, 2H), 1.38 (q, J = 7.4 Hz, 6H), 1.27–1.20 (m, 2H), 1.19–1.10 (m, 2H); ESI MS *m/z* 492 $[C_{29}H_{34}ClN_3O_2 + H]^+$; HPLC 97.5% (AUC), t_R = 4.53 min.

Example 193

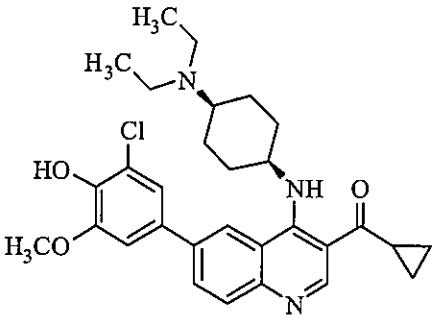
Cyclopropyl{4-[4-(diethylamino)cyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl}methanone



Following general procedure F, {6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone (58 mg, 0.130 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (34 mg, 0.136 mmol) to afford the desired product (40 mg, 60%) as a yellow glass: ^{1}H NMR (300 MHz, CD_3OD) δ 9.26 (s, 0.5H), 9.17 (s, 0.5H), 8.33 (dd, J = 8.2, 1.6 Hz, 1H), 8.09 (dt, J = 8.8, 1.7 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.25 (dd, J = 12.8, 2.1 Hz, 1H), 7.21–7.08 (m, 1H), 6.99–6.87 (m, 1H), 4.81 (s, 1H), 3.96 (d, J = 3.5 Hz, 3H), 3.64–3.44 (m, 1H), 3.40–3.12 (m, 3H), 2.96–2.76 (m, 1H), 2.41 (s, 1H), 2.24 (d, J = 12.4 Hz, 2H), 2.14–1.83 (m, 4H), 1.73 (t, J = 9.7 Hz, 2H), 1.46–1.30 (m, 6H), 1.26–1.07 (m, 4H); ESI MS *m/z* 488 $[C_{30}H_{37}N_3O_3 + H]^+$; HPLC 98.9% (AUC), t_R = 6.24 min.

Example 311

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*cis*-4-(diethylamino)cyclohexylamino] quinolin-3-yl}(cyclopropyl)methanone

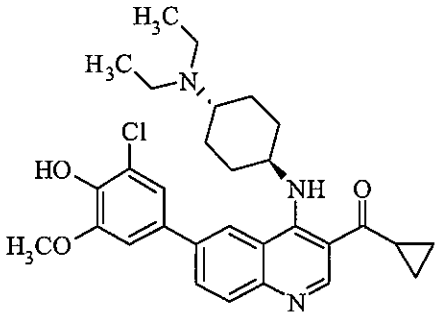


Following general procedure F, {6-bromo-4-[*cis*-4-(diethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (31 mg, 0.070 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (14.1 mg, 39%) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.25 (s, 1H), 8.36 (d, J = 1.8 Hz, 1H), 8.03 (dd, J = 8.7, 1.9 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.26 (d, J = 2.1 Hz, 1H), 7.20 (d, J = 2.1 Hz, 1H), 4.77 (s, J = 12.7 Hz, 1H), 3.98 (s, 3H), 3.50–3.41 (m, 1H), 3.23 (q, J = 7.2 Hz, 4H), 2.97–2.88 (m, 1H), 2.22 (d, J = 12.9 Hz, 2H), 2.09–1.85 (m, 6H), 1.35 (t, J = 7.3 Hz, 6H), 1.24–1.17 (m, 2H), 1.18–1.09 (m, 2H); ESI MS *m/z* 522 $[C_{30}H_{36}ClN_3O_3 +$

H]$^+$; HPLC 98.3% (AUC), t_R = 11.07 min.

Example 314

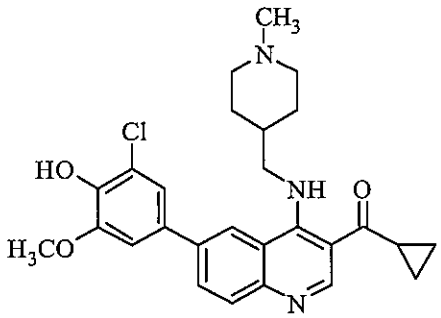
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(diethylamino)cyclohexylamino] quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[*trans*-4-(diethylamino)cyclohexylamino] quinolin-3-yl}(cyclopropyl)methanone (31 mg, 0.070 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl- 1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.015 mmol) to afford the desired product (19.7 mg, 54%) as an orange-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.15 (s, 1H), 8.34 (d, J = 1.8 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.31 (d, J = 2.1 Hz, 1H), 7.23 (d, J = 2.0 Hz, 1H), 4.20 (s, 1H), 3.99 (s, 3H), 3.12 (q, J = 7.2 Hz, 4H), 2.89–2.80 (m, 1H), 2.41 (d, J = 10.4 Hz, 2H), 2.15 (d, J = 9.8 Hz, 2H), 1.82–1.62 (m, 4H), 1.30 (t, J = 7.3 Hz, 6H), 1.23–1.16 (m, 2H), 1.15–1.04 (m, 2H); ESI MS *m/z* 522 [$C_{30}H_{36}ClN_3O_3$ + H]$^+$; HPLC 98.5% (AUC), t_R = 11.05 min.

Example 201

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(1-methylpiperidin-4-yl)methylamino] quinolin-3-yl}(cyclopropyl)methanone

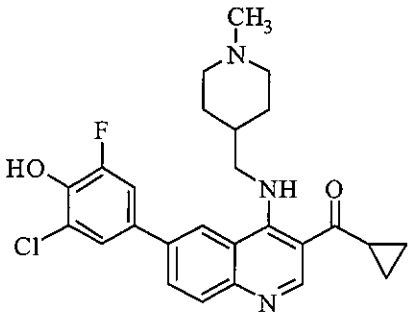


Following general procedure F, {6-bromo-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3 -yl}(cyclopropyl)methanone (63 mg, 0.156 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (53 mg, 0.187 mmol) to afford the desired product (33 mg, 44%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.12 (s, 1H), 8.41 (d, J = 1.8 Hz, 1H), 7.99 (dd, J = 8.7, 1.9 Hz, 1H), 7.88 (d, J = 8.7 Hz, 1H), 7.26 (d, J = 2.1 Hz, 1H),

7.19 (d, J = 2.1 Hz, 1H), 3.98 (s, 3H), 3.84 (d, J = 6.7 Hz, 2H), 3.20–3.13 (m, 2H), 2.87–2.80 (m, 1H), 2.51 (s, J = 10.3 Hz, 3H), 2.46 (t, J = 11.6 Hz, 2H), 1.97 (d, J = 13.2 Hz, 2H), 1.90 (s, 1H), 1.53–1.40 (m, 2H), 1.24–1.17 (m, 2H), 1.14–1.07 (m, 2H); ESI MS *m/z* $[C_{27}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 8.32 min.

Example 270

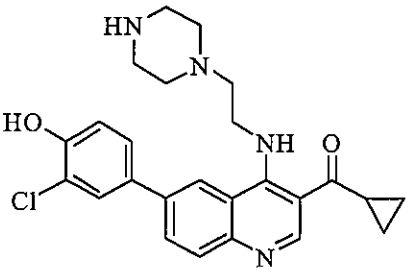
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[(1-methylpiperidin-4-yl)methylamino] quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, {6-bromo-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3-yl}(cyclopropyl)methanone (50 mg, 0.120 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.180 mmol) to afford the desired product (29 mg, 51%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.13 (s, 1H), 8.39 (d, J = 1.5 Hz, 1H), 8.02–7.83 (m, 2H), 7.56–7.37 (m, 2H), 3.84 (d, J = 5.9 Hz, 2H), 2.89–2.74 (m, 3H), 2.71 (s, 3H), 2.05 (d, J = 13.4 Hz, 3H), 1.65–1.47 (m, 2H), 1.28 (s, 3H), 1.24–1.16 (m, 2H), 1.16–1.02 (m, 2H), 0.88 (d, J = 6.9 Hz, 1H); ESI MS *m/z* $[C_{26}H_{27}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.14 min.

Example 215

{6-(3-Chloro-4-hydroxyphenyl)-4-[2-(piperazin-1-yl)ethylamino]quinolin-3-yl} (cyclopropyl)methanone

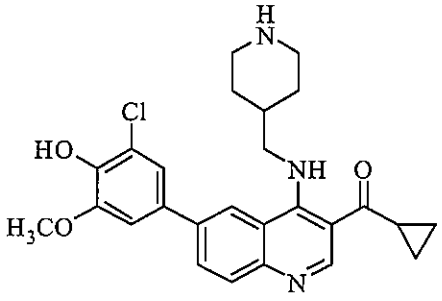


Following general procedure A-2, *tert*-butyl 4-{2-[6-(3-Chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]ethyl}piperazine-1-carboxylate (40 mg, 0.073 mmol) was reacted with TFA (2 mL) to afford the desired product (23 mg, 35%) as a yellow solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.00 (s, 1H), 9.09

(s, 1H), 8.46 (d, J = 1.8 Hz, 1H), 7.98 (dd, J = 8.7, 1.9 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.78 (d, J = 2.3 Hz, 1H), 7.61 (dd, J = 8.5, 2.3 Hz, 1H), 7.09 (d, J = 8.4 Hz, 1H), 3.82 (d, J = 5.2 Hz, 2H), 2.95–2.82 (m, 1H), 2.68 (t, J = 4.7 Hz, 4H), 2.55 (t, J = 6.0 Hz, 2H), 2.35 (s, 4H), 1.11–0.97 (m, 4H); ESI MS *m/z* 451 $[C_{25}H_{27}ClN_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.32 min.

Example 243

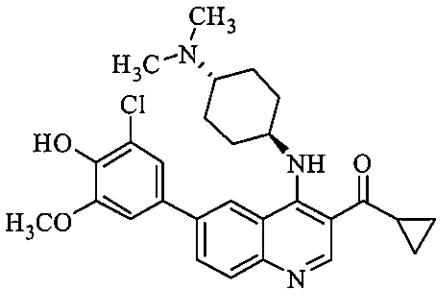
6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-4-ylmethylamino)quinolin-3-yl] (cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl 4-{[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]methyl}piperidine-1-carboxylate (27 mg, 0.047 mmol) was reacted with TFA (1 mL) to afford the desired product (11 mg, 21%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.24 (s, 1H), 8.54 (d, J = 1.6 Hz, 1H), 8.16 (dd, J = 8.7, 1.7 Hz, 1H), 7.95 (d, J = 8.7 Hz, 1H), 7.33 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 2.1 Hz, 1H), 3.99 (s, J = 23.2 Hz, 5H), 3.51–3.42 (m, 2H), 3.18–3.14 (m, 1H), 3.07 (td, J = 13.0, 2.9 Hz, 2H), 2.90–2.81 (m, 1H), 2.22 (s, 1H), 2.13 (d, J = 14.0 Hz, 2H), 1.67–1.53 (m, 2H), 1.29–1.21 (m, 2H), 1.21–1.13 (m, 2H); ESI MS *m/z* 466 $[C_{26}H_{28}ClN_3O_3 + H]^+$; HPLC 97.7% (AUC), t_R = 8.47 min.

Example 255

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone

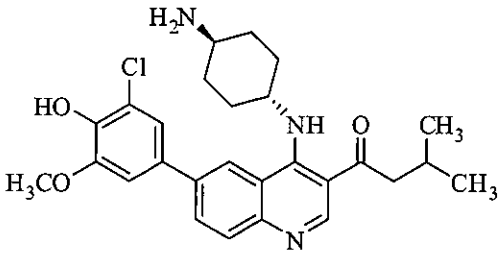


Following general procedure F, {6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (50 mg, 0.120 mmol) was reacted with

2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (51 mg, 0.180 mmol) to afford the desired product (22 mg, 37%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.18 (s, 1H), 8.36 (s, 1H), 8.09 (d, J = 10.4 Hz, 1H), 7.94 (d, J = 8.7 Hz, 1H), 7.33 (d, J = 2.0 Hz, 1H), 7.25 (d, J = 2.0 Hz, 1H), 4.24 (s, 1H), 3.99 (s, 3H), 3.35 (s, 1H), 2.86 (s, 7H), 2.46 (s, 2H), 2.21 (s, 2H), 1.73 (d, J = 10.4 Hz, 4H), 1.28–1.06 (m, 4H); ESI MS *m/z* $[C_{28}H_{32}ClN_3O_3 + H]^+$; HPLC 97.5% (AUC), t_R = 10.92 min.

Example 262

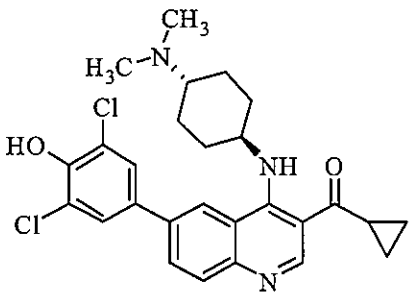
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4-hydroxy-6-mothoxyphenyl)quinolin-3-yl}-3-methylbutan-1-one dihydrochloride



Following general procedure D, *tert*-butyl *trans*-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(3-methylbutanoyl)quinolin-4-ylamino]cyclohexylcarbamate (42 mg, 0.072 mmol) was reacted with TFA (2 mL) to afford the desired product (15.4 mg, 44%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.96 (s, 1H), 8.32 (s, 1H), 8.04 (dd, J = 8.7, 1.8 Hz, 1H), 7.91 (d, J = 8.7 Hz, 1H), 7.28 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.1 Hz, 1H), 4.26-4.17 (m, 1H), 3.99 (s, 3H), 3.26–3.18 (m, 1H), 2.95 (d, J = 7.0 Hz, 2H), 2.41 (d, J = 12.9 Hz, 2H), 2.31–2.22 (m, 1H), 2.17 (d, J = 12.1 Hz, 2H), 1.78-1.66 (m, 2H), 1.62-1.50 (m, 2H) 1.03 (d, J = 6.6 Hz, 2H); ESI MS *m/z* 482 $[C_{27}H_{32}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.22 min.

Example 263

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}methanone

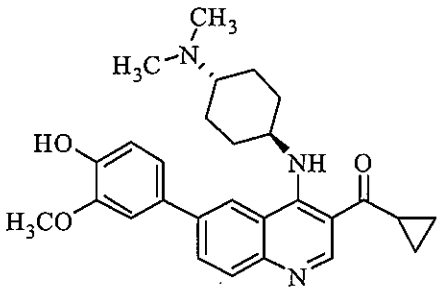


Following general procedure F,

{6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (45 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.135 mmol) to afford the desired product (32 mg, 58%) as a light yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.30 (s, 1H), 8.50 (s, 1H), 8.28 (d, J = 8.8 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.78 (s, 2H), 4.47 (s, 1H), 3.45 (s, 1H), 2.91 (s, 6H), 2.85 (s, 1H), 2.51 (s, 2H), 2.31 (s, 2H), 1.91–1.74 (m, 4H), 1.31–1.18 (m, 4H); ESI MS *m/z* 498 $[C_{27}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 96.9% (AUC), t_R = 11.50 min.

Example 266

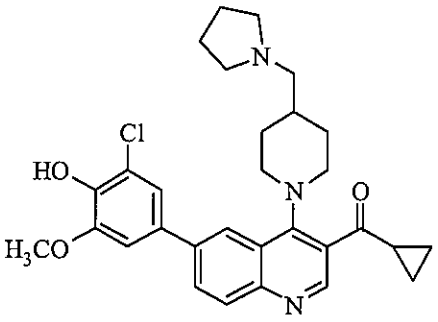
Cyclopropyl(4-((trans)-4-(dimethylamino)cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl)methanone



Following general procedure F, {6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (52 mg, 0.120 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (45 mg, 0.180 mmol) to afford the desired product (17 mg, 31%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.19 (s, 1H), 8.36 (s, 1H), 8.10 (dd, J = 8.8, 1.9 Hz, 1H), 7.93 (d, J = 8.8 Hz, 1H), 7.30 (d, J = 2.1 Hz, 1H), 7.21 (dd, J = 8.2, 2.1 Hz, 1H), 6.95 (d, J = 8.2 Hz, 1H), 4.22 (s, 1H), 3.97 (s, 3H), 2.84 (s, 7H), 2.43 (s, 2H), 2.21 (s, 2H), 1.68 (s, 3H), 1.27–1.18 (m, 2H), 1.18–1.07 (m, 2H); ESI MS *m/z* 460 $[C_{28}H_{33}N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.86 min.

Example 280

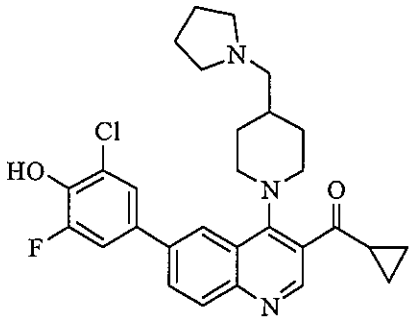
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.068 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (30 mg, 0.106 mmol) to afford the desired product (21.5 mg, 61%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (s, 1H), 8.29 (s, 1H), 8.07–7.99 (m, 2H), 7.31 (d, J = 2.0 Hz, 1H), 7.24 (d, J = 2.0 Hz, 1H), 3.99 (s, 3H), 3.54 (d, J = 12.4 Hz, 2H), 3.22 (t, J = 11.7 Hz, 2H), 2.82 (s, 4H), 2.71 (d, J = 6.5 Hz, 2H), 2.60–2.51 (m, 1H), 2.06–1.81 (m, 7H), 1.71–1.59 (m, 2H), 1.36–1.27 (m, 2H), 1.27–1.19 (m, 2H); ESI MS *m/z* 520 $[C_{30}H_{34}ClN_3O_3 + H]^+$; HPLC 98.6% (AUC), t_R = 10.70 min.

Example 283

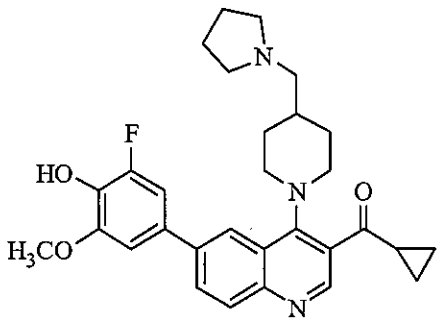
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.068 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (27 mg, 0.099 mmol) to afford the desired product (24.3 mg, 71%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.79 (s, 1H), 8.24 (d, J = 1.7 Hz, 1H), 8.06–7.96 (m, 2H), 7.53–7.49 (m, 1H), 7.42 (dd, J = 11.7, 2.2 Hz, 1H), 3.53 (d, J = 12.8 Hz, 2H), 3.28–3.15 (m, 6H), 3.09 (d, J = 7.0 Hz, 2H), 2.62–2.54 (m, 1H), 2.14–2.02 (m, 5H), 1.99 (d, J = 12.5 Hz, 2H), 1.77–1.63 (m, 2H), 1.36–1.28 (m, 2H), 1.28–1.17 (m, 2H); ESI MS *m/z* 508 $[C_{29}H_{31}ClFN_3O_2 + H]^+$; HPLC 98.1% (AUC), t_R = 10.69 min.

Example 307

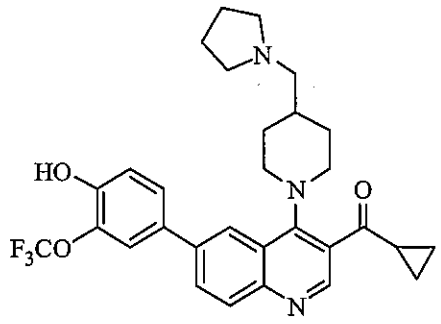
Cyclopropyl{6-(3-fluoro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}methanone



Following general procedure D, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (26 mg, 0.059 mmol) was reacted with 2-fluoro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (32 mg, 0.118 mmol) to afford the desired product (15.4 mg, 52%) as a gray solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.78 (s, 1H), 8.27 (s, 1H), 8.03 (s, 2H), 7.23–7.04 (m, 2H), 3.99 (s, 3H), 3.54 (d, J = 12.8 Hz, 2H), 3.28–3.11 (m, 6H), 3.05 (d, J = 6.6 Hz, 2H), 2.63–2.51 (m, 1H), 2.20–1.89 (m, 7H), 1.80–1.58 (m, 2H), 1.41–1.16 (m, 4H).

Example 313

Cyclopropyl{6-[4-hydroxy-3-(trifluoromethoxy)phenyl]-4-[4-(pyrrolidin-1-ylmethyl]piperidin-1-yl}quinolin-3-yl)methanone

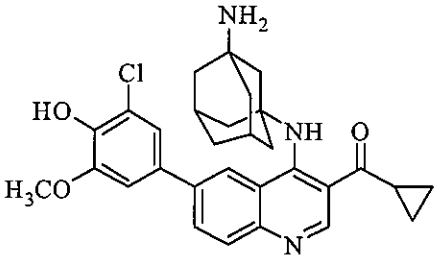


Following general procedure D, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.068 mmol) was reacted with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-(trifluoromethoxy)phenol (36 mg, 0.140 mmol) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.80 (s, 1H), 8.28 (d, J = 1.6 Hz, 1H), 8.08–7.98 (m, 2H), 7.64–7.57 (m, 2H), 7.12 (d, J = 9.0 Hz, 1H), 3.54 (d, J = 12.8 Hz, 2H), 3.22 (t, J = 11.5 Hz, 2H), 3.13 (s, 4H), 2.99 (s, 2H), 2.64–2.50 (m, 1H), 2.10–1.92 (m, 7H), 1.74–1.59

(m, 2H), 1.36–1.28 (m, 2H), 1.28–1.19 (m, 2H); ESI MS *m/z* 540 $[C_{30}H_{32}F_3N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.16 min.

Example 309

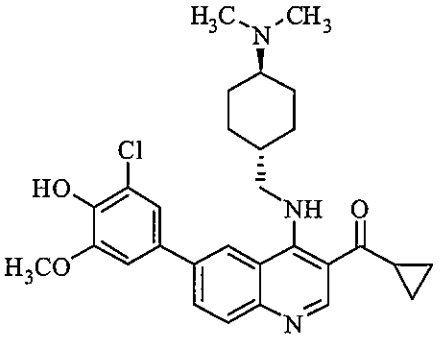
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(3-amino)adamantylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, *tert*-butyl 4-[3-(cyclopropanecarbonyl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino]adamantylcarbamate (62 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (26 mg, 50%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.20 (s, 1H), 8.50 (d, J = 1.9 Hz, 1H), 8.11 (dd, J = 8.8, 2.0 Hz, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.36 (d, J = 2.0 Hz, 1H), 7.26 (d, J = 2.1 Hz, 1H), 3.99 (s, 3H), 3.03–2.91 (m, 1H), 2.33 (s, 2H), 2.05 (d, J = 12.5 Hz, 2H), 1.92–1.55 (m, 12H), 1.32–1.23 (m, 2H), 1.23–1.13 (m, 2H); ESI MS *m/z* 518 $[C_{30}H_{32}ClN_3O_3 + H]^+$; HPLC 96.0 % (AUC), t_R = 9.59 min.

Example 315

6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl](cyclopropyl)methanone

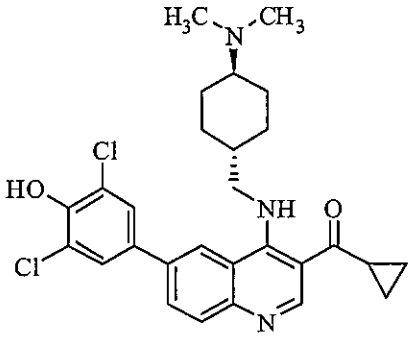


Following general procedure F, (6-bromo-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl)(cyclopropyl)methanone (58 mg, 0.135 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.202 mmol) to afford the desired product (30 mg, 44%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.25 (s, 1H), 8.52 (s, 1H), 8.15 (d, J = 8.7 Hz, 1H), 7.95 (d, J = 8.8 Hz,

1H), 7.30 (d, J = 2.0 Hz, 1H), 7.22 (d, J = 1.9 Hz, 1H), 3.98 (s, 3H), 3.95 (d, J = 6.5 Hz, 2H), 2.83 (s, J = 13.2 Hz, 6H), 2.15 (s, 4H), 2.05–1.93 (m, 1H), 1.88 (s, 1H), 1.73–1.48 (m, 2H), 1.43–1.08 (m, 7H); ESI MS *m/z* 508 $[C_{29}H_{34}ClN_3O_3 + H]^+$; HPLC 98.5% (AUC), t_R = 10.37 min.

Example 325

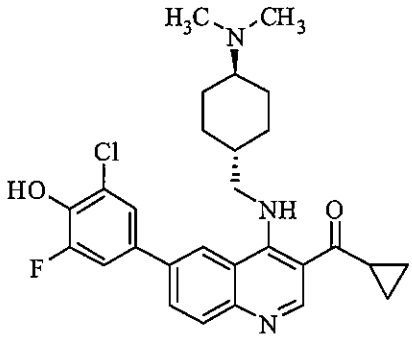
Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl]methanone



Following general procedure F, (6-bromo-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl)(cyclopropyl)methanone (66 mg, 0.153 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (65 mg, 0.230 mmol) to afford the desired product (38 mg, 50%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.24 (s, 1H), 8.49 (d, J = 1.7 Hz, 1H), 8.10 (dd, J = 8.8, 1.8 Hz, 1H), 7.95 (d, J = 8.8 Hz, 1H), 7.68 (s, 2H), 3.90 (d, J = 6.5 Hz, 2H), 2.85 (d, J = 11.2 Hz, 6H), 2.15 (t, J = 11.3 Hz, 4H), 2.01–1.74 (m, 2H), 1.61 (dd, J = 21.6, 12.1 Hz, 2H), 1.46–1.07 (m, 7H); ESI MS *m/z* 512 $[C_{28}H_{31}Cl_2N_3O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.65 min.

Example 327

6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl](cyclopropyl)methanone

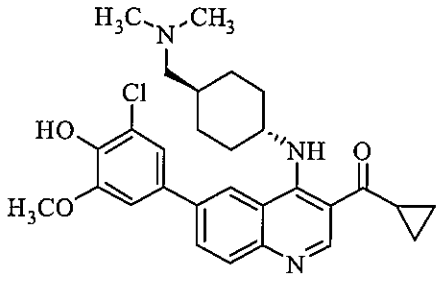


Following general procedure F, (6-bromo-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl)(cyclopropyl)methanone (58 mg, 0.134 mmol) was reacted with

2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.201 mmol) to afford the desired product (19 mg, 29%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.24 (s, 1H), 8.52 (s, 1H), 8.11 (d, J = 8.8 Hz, 1H), 7.95 (d, J = 8.8 Hz, 1H), 7.56 (s, 1H), 7.49 (dd, J = 11.5, 2.2 Hz, 1H), 3.92 (d, J = 6.4 Hz, 2H), 2.84 (s, 6H), 2.15 (s, 4H), 2.02–1.93 (m, 1H), 1.88 (s, 1H), 1.72–1.51 (m, 2H), 1.43–1.10 (m, 7H); ESI MS *m/z* 496 $[C_{28}H_{31}ClN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.39 min

Example 316

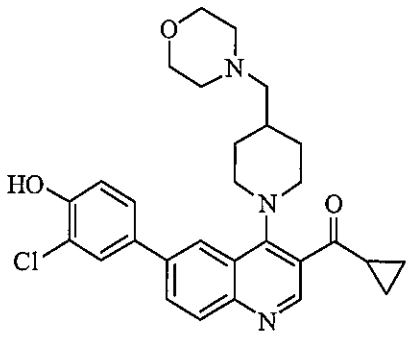
6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{*trans*-4-[(dimethylamino)methyl]cyclohexylamino}quinolin-3-yl](cyclopropyl)methanone



Following general procedure F, {6-bromo-4-[*trans*-4-{(dimethylamino)methyl}cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (58 mg, 0.135 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.203 mmol) to afford the desired product (21 mg, 30%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.23 (s, 1H), 8.42 (s, 1H), 8.17 (dd, J = 8.7, 1.7 Hz, 1H), 7.96 (d, J = 8.8 Hz, 1H), 7.31 (d, J = 2.1 Hz, 1H), 7.24 (d, J = 2.0 Hz, 1H), 4.28 (s, 1H), 3.99 (s, 3H), 3.03 (d, J = 6.7 Hz, 2H), 2.89 (s, 6H), 2.83 (d, J = 4.7 Hz, 1H), 2.38 (d, J = 12.1 Hz, 2H), 2.09–1.89 (m, 4H), 1.79–1.58 (m, J = 24.6, 11.7 Hz, 2H), 1.38–1.09 (m, 7H); ESI MS *m/z* 508 $[C_{29}H_{34}ClN_3O_3 + H]^+$; HPLC 98.8% (AUC), t_R = 10.38 min.

Example 332

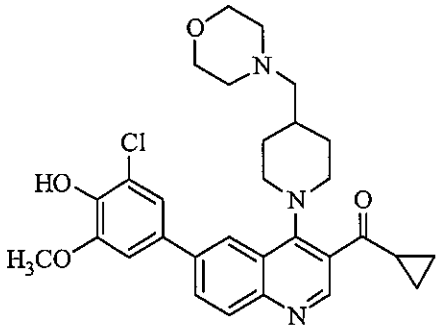
{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.065 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (34 mg, 0.200 mmol) to afford the desired product (20.3 mg, 62%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.73 (s, 1H), 8.29 (s, 1H), 8.04–7.98 (m, 2H), 7.71 (d, J = 2.3 Hz, 1H), 7.54 (dd, J = 8.4, 2.3 Hz, 1H), 7.07 (d, J = 8.4 Hz, 1H), 3.74–3.71 (m, 3H), 3.53 (d, J = 12.7 Hz, 2H), 3.34 (s, 3H), 3.26–3.15 (m, 2H), 2.59–2.46 (m, 4H), 2.38 (d, J = 6.7 Hz, 2H), 1.98 (d, J = 12.9 Hz, 3H), 1.66–1.52 (m, 2H), 1.36–1.27 (m, 2H), 1.27–1.20 (m, 2H); ESI MS *m/z* 506 [$C_{29}H_{32}ClN_3O_3$ + H]$^+$; HPLC 98.0% (AUC), t_R = 10.44 min.

Example 334

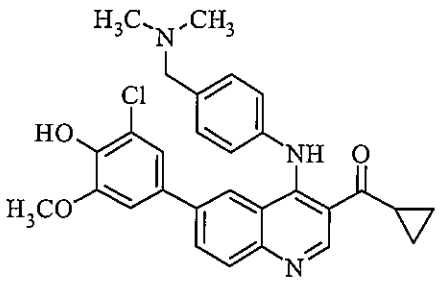
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.065 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.150 mmol) to afford the desired product (20 mg, 57%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.73 (s, 1H), 8.33 (d, J = 1.7 Hz, 1H), 8.07–7.97 (m, 2H), 7.33 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 2.1 Hz, 1H), 4.00 (s, 3H), 3.75–3.68 (m, 4H), 3.55 (d, J = 12.7 Hz, 2H), 3.25–3.15 (m, 2H), 2.61–2.41 (m, 5H), 2.36 (d, J = 6.9 Hz, 2H), 2.07–1.90 (m, 3H), 1.68–1.54 (m, 2H), 1.37–1.27 (m, 2H), 1.26–1.20 (m, 2H); ESI MS *m/z* 536 [$C_{30}H_{34}ClN_3O_4$ + H]$^+$; HPLC >99% (AUC), t_R = 10.57 min.

Example 339

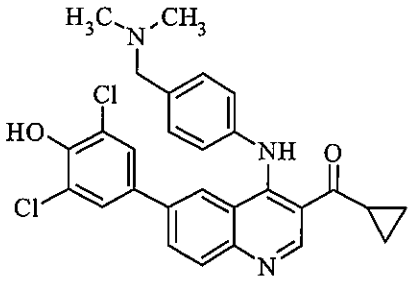
-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl]cyclopropyl)methanone



Following general procedure F, (6-bromo-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl)(cyclopropyl)methanone (38 mg, 0.090 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.133 mmol) to afford the desired product (39 mg, 86%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.26 (s, 1H), 8.10–7.97 (m, 2H), 7.95 (s, 1H), 7.49 (d, J = 8.4 Hz, 2H), 7.25 (d, J = 8.3 Hz, 2H), 6.98 (d, J = 1.9 Hz, 1H), 6.81 (d, J = 2.0 Hz, 1H), 4.27 (s, 2H), 3.87 (s, 3H), 2.98–2.85 (m, 1H), 2.78 (s, 6H), 1.23–1.00 (m, 4H); ESI MS m/z 502 $[C_{29}H_{28}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.69 min.

Example 345

Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl]methanone

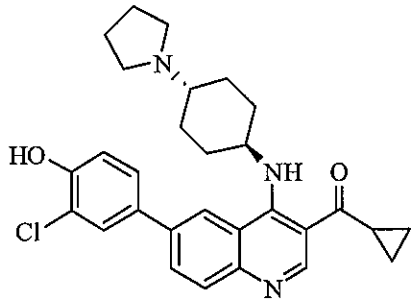


Following general procedure F, (6-bromo-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl)(cyclopropyl)methanone (44 mg, 0.104 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (45 mg, 0.156 mmol) to afford the desired product (38 mg, 73%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_4) δ 9.26 (s, 1H), 7.99 (d, J = 10.0 Hz, 2H), 7.94 (s, 1H), 7.51 (d, J = 8.4 Hz, 2H), 7.29 (s, J = 9.9 Hz, 3H), 7.25 (s, 1H), 4.32 (s, 2H), 2.94–2.85 (m, 1H), 2.82 (s, 6H), 1.17–1.01 (m, 4H); ESI MS m/z 506 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 94.4% (AUC), t_R = 11.19 min.

Example 342

{6-(3-Chloro-4-hydroxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl}(cyc

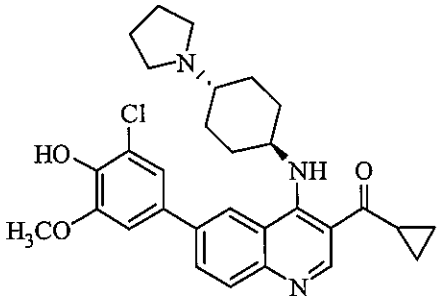
lopropyl)methanone



Following general procedure D, {6-bromo-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (24 mg, 0.054 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (26 mg, 0.150 mmol) to afford the desired product (22 mg, 83%) as a brown solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.14 (s, 1H), 8.34 (s, 1H), 8.01 (dd, J = 8.8, 1.8 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.70 (d, J = 2.2 Hz, 1H), 7.52 (dd, J = 8.5, 2.3 Hz, 1H), 7.06 (d, J = 8.5 Hz, 1H), 4.18 (s, 1H), 3.24 (s, 4H), 3.07 (s, 1H), 2.90–2.78 (m, 1H), 2.34 (d, J = 14.5 Hz, 4H), 2.02 (s, 4H), 1.73–1.51 (m, 4H), 1.26–1.15 (m, 2H), 1.15–1.02 (m, 2H); ESI MS *m/z* 490 $[C_{29}H_{32}ClN_3O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.90 min.

Example 343

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinoli n-3-yl}(cyclopropyl)methanone

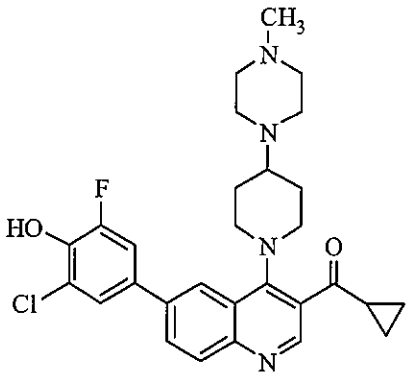


Following general procedure D, {6-bromo-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (25 mg, 0.150 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (20 mg, 67%), as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.14 (s, 1H), 8.34 (d, J = 1.9 Hz, 1H), 8.03 (dd, J = 8.7, 1.9 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.29 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.0 Hz, 1H), 4.15 (t, J = 10.6 Hz, 1H), 3.99 (s, 3H), 3.16 (s, 4H), 2.94 (s, 1H), 2.89–2.79 (m, 1H), 2.38 (d, J = 12.5 Hz, 2H), 2.28 (d, J = 11.9 Hz, 2H), 1.99 (s, 4H), 1.70–1.50 (m, 4H), 1.23–1.17 (m, 2H), 1.13–1.06 (m, 2H); ESI MS *m/z* 520

$[C_{30}H_{34}ClN_3O_3 + H]^+$; HPLC 98.7% (AUC), t_R = 10.99 min.

Example 349

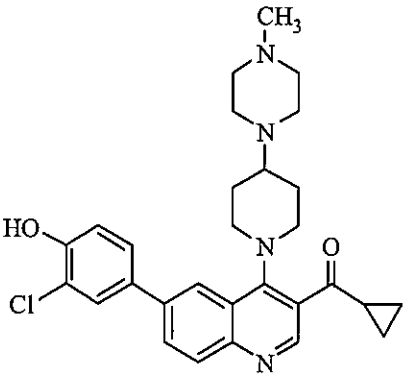
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.066 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.150 mmol) to afford the desired product (23 mg, 67%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.77 (s, 1H), 8.28 (d, J = 1.3 Hz, 1H), 8.05–7.99 (m, 2H), 7.58–7.53 (m, 1H), 7.46 (dd, J = 11.6, 2.2 Hz, 1H), 3.55 (d, J = 13.1 Hz, 2H), 3.25– 3.15 (m, 2H), 2.93–2.47 (m, 10H), 2.39 (s, 3H), 2.12 (d, J = 10.8 Hz, 2H), 1.96–1.82 (m, 2H), 1.34–1.28 (m, 2H), 1.27–1.20 (m, 2H); ESI MS *m/z* 523 $[C_{29}H_{32}ClFN_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.14 min.

Example 350

{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone

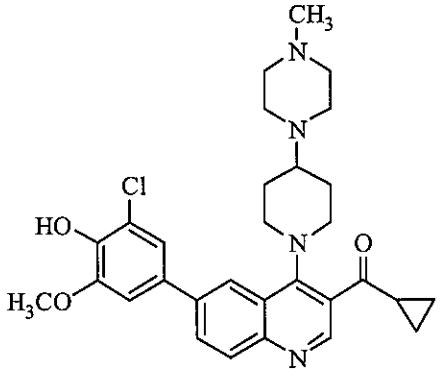


Following general procedure D, {6-bromo-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (30 mg, 0.065 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (26 mg, 0.150

mmol) to afford the desired product (19 mg, 57%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (s, 1H), 8.28 (s, 1H), 8.06–7.98 (m, 2H), 7.71 (d, J = 2.3 Hz, 1H), 7.54 (dd, J = 8.4, 2.3 Hz, 1H), 7.09–7.03 (m, 1H), 3.55 (d, J = 12.8 Hz, 2H), 3.34 (s, 3H), 3.23–3.15 (m, 2H), 2.81–2.50 (m, 8H), 2.35 (s, 3H), 2.12 (d, J = 10.6 Hz, 2H), 1.92–1.81 (m, 2H), 1.34–1.28 (m, 2H), 1.27–1.20 (m, 2H); ESI MS m/z 505 $[C_{29}H_{33}ClN_4O_4 + H]^+$; HPLC >99% (AUC), t_R = 10.01 min.

Example 351

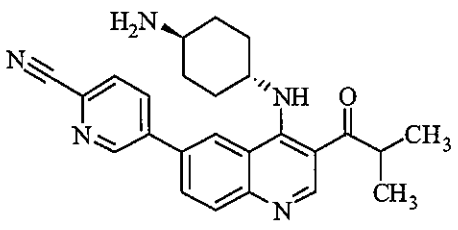
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure D, {6-bromo-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone (102 mg, 0.225 mmol was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.150 mmol) to afford the desired product (16.8 mg, 48%) as an orange-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (2, 1H), 8.30 (d, J = 1.4 Hz, 1H), 8.08–8.00 (m, 2H), 7.33 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 2.0 Hz, 1H), 4.00 (s, 3H), 3.57 (d, J = 12.9 Hz, 2H), 3.34 (s, 3H), 3.24–3.16 (m, 2H), 2.79–2.52 (m, 7H), 2.36 (s, 3H), 2.11 (d, J = 11.3 Hz, 2H), 1.96–1.83 (m, 2H), 1.34–1.28 (m, 2H), 1.26–1.19 (m, 2H); ESI MS m/z 535 $[C_{30}H_{35}ClN_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.13 min.

Example 108

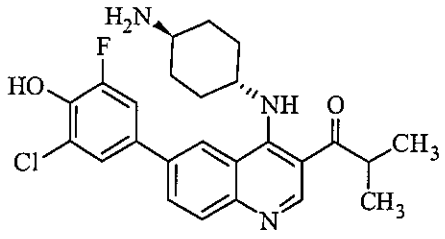
5-{4-[*trans*-4-Aminocyclohexylamino]-3-isobutyrylquinolin-6-yl}picolinonitrile



Following general procedure A-1, *tert*-butyl *trans*-4-[6-(6-cyanopyridin-3-yl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate (47.7 mg, 0.093 mmol) was reacted with 6 N hydrochloric acid (3 mL) to afford the desired product (20.8 mg, 54%) as a light yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.13–9.11 (m, 1H), 9.06 (s, 1H), 8.55 (d, J = 1.8 Hz, 1H), 8.39 (dd, J = 8.1, 2.3 Hz, 1H), 8.19 (dd, J = 8.7, 1.9 Hz, 1H), 8.04 (d, J = 3.8 Hz, 1H), 8.02 (d, J = 4.4 Hz, 1H), 4.35–4.27 (m, 1H), 3.82–3.73 (m, 1H), 3.29–3.22 (m, 1H), 2.40 (d, J = 12.7 Hz, 2H), 2.19 (d, J = 12.1 Hz, 2H), 1.78–1.68 (m, 2H), 1.67–1.56 (m, 2H), 1.25 (d, J = 6.8 Hz, 6H); ESI MS *m/z* 414 $[C_{25}H_{27}N_5O + H]^+$; HPLC 98.3 % (AUC), t_R = 7.96 min.

Example 205

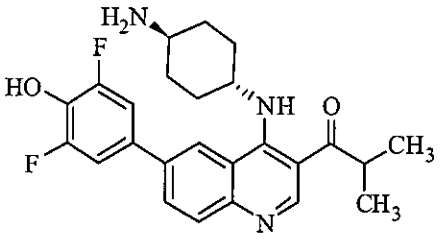
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate (56 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (28 mg, 61%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.96 (s, 1H), 8.31 (d, J = 1.8 Hz, 1H), 7.99 (dd, J = 8.7, 1.9 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.51–7.47 (m, 1H), 7.39 (dd, J = 11.8, 2.3 Hz, 1H), 4.23–4.15 (m, 1H), 3.82–3.69 (m, 1H), 3.28–3.18 (m, 1H), 2.39 (d, J = 12.7 Hz, 2H), 2.19 (d, J = 12.0 Hz, 2H), 1.71 (q, J = 10.5 Hz, 2H), 1.62–1.53 (m, 2H), 1.24 (d, J = 6.8 Hz, 6H), ESI MS *m/z* 456$[C_{25}H_{27}ClFN_3O_2 + H]^+$; HPLC 98.1% (AUC), t_R = 10.69 min.

Example 214

1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one

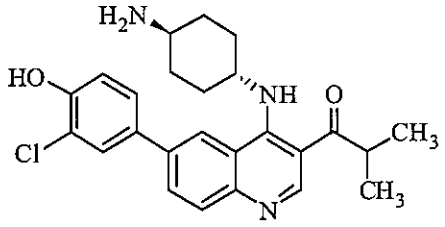


Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3,5-difluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate

(64 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (10.8 mg, 36%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.97 (s, 1H), 8.32 (d, J = 1.8 Hz, 1H), 8.00 (dd, J = 8.7, 2.0 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.28 (dd, J = 7.8, 1.9 Hz, 2H), 4.26–4.15 (m, 1H), 3.81–3.69 (m, 1H), 3.27–3.18 (m, 1H), 2.38 (d, J = 12.6 Hz, 2H), 2.18 (d, J = 11.7 Hz, 2H), 1.69 (q, J = 10.4 Hz, 2H), 1.61–1.53 (m, 2H), 1.24 (d, J = 6.8 Hz, 6H); ESI MS *m/s* 440 $[C_{25}H_{27}F_2N_3O_2 + H]^+$; HPLC 95.0 % (AUC), t_R = 10.50 min.

Example 219

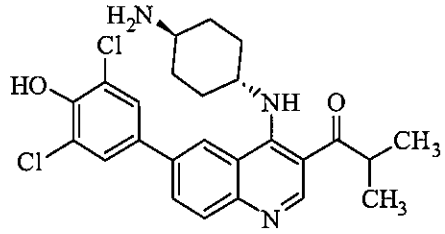
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3-chloro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate (39 mg, 0.072 mmol) was reacted with TFA (2 mL) to afford the desired product (15.4 mg, 41%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.05 (s, 1H), 8.40 (s, 1H), 8.13 (d, J = 8.8 Hz, 1H), 7.95 (d, J = 8.7 Hz, 1H), 7.72 (d, J = 2.3 Hz, 1H), 7.54 (dd, J = 8.4, 2.3 Hz, 1H), 7.08 (d, J = 8.4 Hz, 1H), 4.31 (s, 1H), 3.80–3.72 (m, 1H), 3.29–3.26 (m, 1H), 2.44 (d, J = 12.7 Hz, 2H), 2.22 (d, J = 10.6 Hz, 2H), 1.84–1.74 (m, 2H), 1.67–1.56 (m, 2H), 1.25 (d, J = 6.8 Hz, 6H); ESI MS *m/z* 438 $[C_{25}H_{28}ClN_3O_2 + H]^+$; HPLC 96.5% (AUC), t_R = 9.19 min.

Example 225(a)

1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one

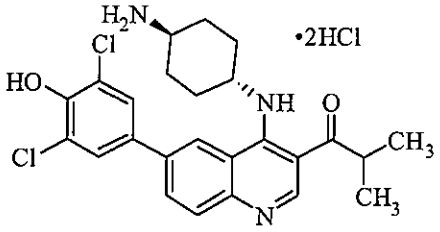


Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3,5-dichloro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino]cyclohexylcarbamate (60 mg, 0.100 mmol) was reacted with TFA (2 mL) to afford the desired product (20.3 mg, 43%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.98 (s, 1H), 8.33 (s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.67 (s, 2H), 4.18 (s, 1H), 3.80–3.70 (m, 1H), 2.96 (s, 1H),

2.40 (d, J = 11.4 Hz, 2H), 2.20 (d, J = 12.2 Hz, 2H), 1.73 (q, J = 11.1 Hz, 2H), 1.65–1.53 (m, 2H), 1.24 (d, J = 6.8 Hz, 6H); ESI MS *m/z* 472 $[C_{25}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.95 min.

Example 225(b)

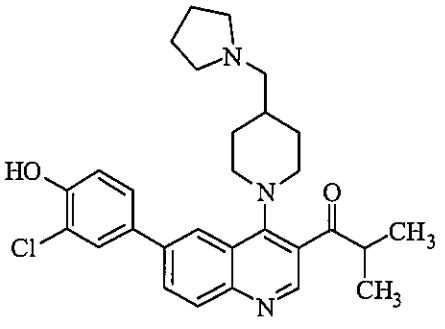
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one dihydrochloride



To a suspension of 1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one (3.0 g, 6.4 mmol) in THF (50 mL) was added 3 M HCl (30 mL) and the reaction micture was heated at 60 °C for 2 h. The reaction mixture was cooled and the precipitate was filtered to afford the desired product (4.7 g, 92%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.18 (bs, 1H), 8.49 (bs, 1H), 8.27 (d, J = 8.8 Hz, 1H), 7.02 (d, J = 8.8 Hz, 1H), 7.75 (bs, 2H), 4.54 (bs, 1H), 3.80–3.69 (m, 1H), 2.52 (bs, 2H), 2.29–2.22 (m, 2H), 1.94–1.81 (m, 2H),, 1.75–1.62 (m, 2H), 1.24 (d, J = 6.8 Hz, 6H); ESI MS *m/z* 472 $[C_{25}H_{27}Cl_2N_3O_2 + H]^+$.

Example 318

1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one

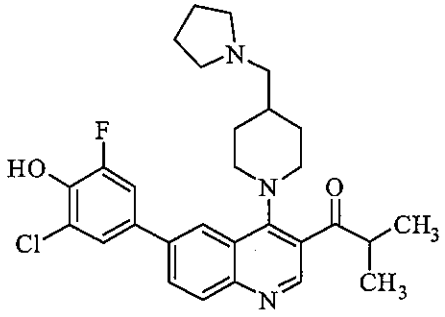


Following general procedure D, 1-{6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one (30 mg, 0.068 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (17 mg, 0.100 mmol) to afford the desired product (18.9 mg, 56%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.75 (s, 1H), 8.28 (d, J = 1.2 Hz, 1H), 8.06–7.99 (m, 2H), 7.70 (d, J = 2.3 Hz, 1H), 7.54 (dd, J = 8.4, 2.3 Hz, 1H), 7.06 (d, J = 8.4 Hz, 1H), 3.54–3.41 (m, 3H), 3.23–3.11 (m, 6H),

3.03 (d, J = 6.8 Hz, 2H), 2.11–1.93 (m, 7H), 1.74–1.62 (m, 2H), 1.26 (d, J = 6.9 Hz, 6H); ESI MS m/z 492 $[C_{29}H_{34}ClN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.93 min.

Example 323

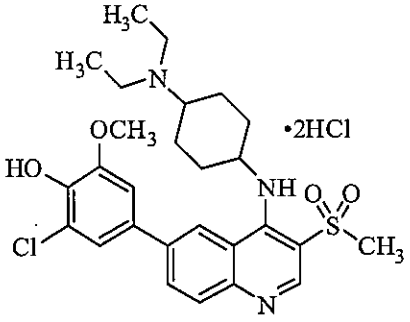
1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one



Following general procedure D,
1-{6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2-methylpropan-1-one (30 mg, 0.068 mmol) was reacted with
2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (27 mg, 0.102 mmol) to afford the desired product (27.4 mg, 79%) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.77 (s, 1H), 8.27 (d, J = 1.8 Hz, 1H), 8.07–7.99 (m, 2H), 7.55–7.51 (m, 1H), 7.44 (dd, J = 11.6, 2.2 Hz, 1H), 3.54–3.42 (m, 3H), 3.38–3.33 (m, 4H), 3.21–3.11 (m, 4H), 2.15–2.06 (m, 5H), 1.99 (d, J = 11.0 Hz, 2H), 1.75–1.64 (m, 2H), 1.26 (d, J = 6.9 Hz, 6H); ESI MS m/z 510 $[C_{29}H_{33}ClFN_3O_2 + H]^+$; HPLC 97.7% (AUC), t_R = 11.07 min.

Example 240

2-Chloro-4-{4-[4-(diethylamino)cyclohexylamino]-3-(methylsulfonyl)quinolin-6-yl}-6-methoxy phenol dihydrochloride

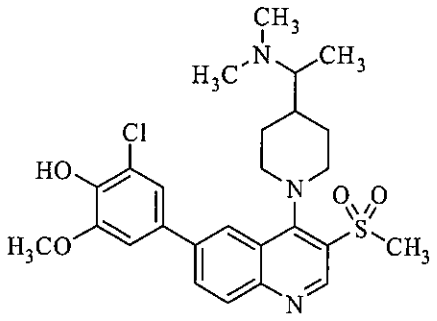


Following general procedure D,
N^1-[6-bromo-3-(methylsulfonyl)quinolin-4-yl]-N^4,N^4-diethylcyclohexane-1,4-diamine (40 mg, 0.088 mmol) was reacted with
2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.176 mmol)

to afford, after treatment with 1M HCl, the desired product (24.5 mg, 50%) as an orange-brown solid: ^{1}H NMR (500 MHz, DMSO-d_6+D_2O) δ 8.91 (d, J = 8.0 Hz, 1H), 8.44–8.32 (m, 2H), 8.08 (d, J = 8.5 Hz, 1H), 7.48–7.27 (m, 2H), 3.98–3.95 (m, 3H), 3.46 (d, J = 11.4 Hz, 5H), 3.28–3.03 (m, 5H), 2.38 (s, 2H), 2.27 (d, J = 13.0 Hz, 1H), 2.15 (s, 1H), 2.10–1.96 (m, 2H), 1.90–1.69 (m, 3H), 1.33–1.23 (m, 6H); ESI MS m/z 532 $[C_{27}H_{34}ClN_3O_4S + H]^+$; HPLC 98.9% (AUC), t_R = 10.49 min.

Example 245

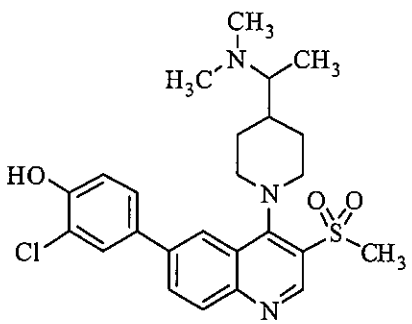
2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl]-6-methoxyphenol



Following general procedure D, 1-{1-[6-bromo-3-(methylsulfonyl)quinolin-4-yl]piperidin-4-yl}-*N*,*N*-dimethylethanamine (35 mg, 0.079 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (22.6 mg, 55%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.22 (s, 1H), 8.41 (s, 1H), 8.20–8.15 (m, 2H), 7.33 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 2.1 Hz, 1H), 4.00 (s, 3H), 3.71 (t, J = 11.8 Hz, 2H), 3.56 (d, J = 11.9 Hz, 2H), 3.49–3.42 (m, 3H), 2.96 (s, 1H), 2.64 (s, 6H), 2.10–1.90 (m, 3H), 1.82–1.63 (m, 2H), 1.26 (d, J = 6.7 Hz, 3H); ESI MS m/z 518 $[C_{26}H_{32}ClN_3O_4S + H]^+$; HPLC 98.8 % (AUC), t_R = 10.97 min.

Example 246

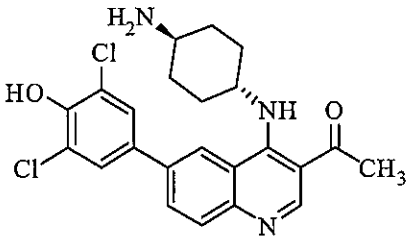
2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl]phenol



Following general procedure D, 1-{1-[6-bromo-3-(methylsulfonyl)quinolin-4-yl]piperidin-4-yl}-*N*,*N*-dimethylethanamine (35 mg, 0.079 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (26 mg, 0.150 mmol) to afford the desired product (9.4 mg, 24%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.23 (s, 1H), 8.39 (d, J = 1.5 Hz, 1H), 8.24–8.13 (m, 2H), 7.74 (d, J = 2.2 Hz, 1H), 7.58 (dd, J = 8.4, 2.3 Hz, 1H), 7.09 (d, J = 8.4 Hz, 1H), 3.81–3.70 (m, 2H), 3.55 (s, 2H), 3.45 (s, 3H), 3.28–3.22 (m, 1H), 2.84 (s, 6H), 2.14 (d, J = 7.9 Hz, 1H), 1.95 (d, J = 11.0 Hz, 2H), 1.87–1.68 (m, 2H), 1.38 (d, J = 6.7 Hz, 3H); ESI MS *m/z* 488 $[C_{25}H_{30}ClN_3O_3S + H]^+$; HPLC >99% (AUC), t_R = 10.84 min.

Example 256

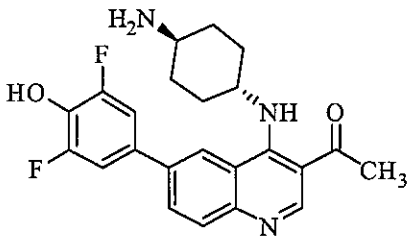
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}ethanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (46 mg, 0.085 mmol) was reacted with TFA (2 mL) to afford the desired product (19.4 mg, 51%) as an orange solid: ^{1}H NMR (500 MHz, DMSO-d_6+D_2O) δ 8.92 (s, 1H), 8.20 (s, 1H), 8.04 (d, J = 8.9 Hz, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.63 (s, 2H), 4.09 (s, 1H), 3.20–3.11 (m, 1H), 2.68 (s, 3H), 2.26 (d, J = 12.7 Hz, 2H), 2.08 (d, J = 10.1 Hz, 2H), 1.69–1.58 (m, 2H), 1.57–1.46 (m, 2H); ESI MS *m/z* 444 $[C_{23}H_{23}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.70 min.

Example 258

1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl}ethanone

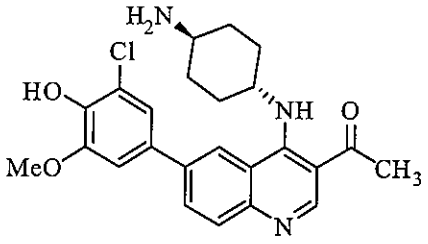


Following general procedure A-2, *tert*-butyl *trans*-4-[3-acetyl-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (30 mg, 0.059 mmol) was reacted with TFA (2 mL) to afford the desired product (14.2 mg, 59%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.98 (s, 1H), 8.35 (d, J = 1.9 Hz, 1H),

8.07–8.00 (m, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.33 (dd, J = 8.0, 1.6 Hz, 2H), 4.29 (s, 1H), 3.23 (s, 1H), 2.71 (s, 3H), 2.41 (d, J = 12.2 Hz, 2H), 2.19 (d, J = 12.1 Hz, 2H), 1.76–1.67 (m, 2H), 1.63–1.54 (m, 2H); ESI MS *m/z* 412 $[C_{23}H_{23}F_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 8.13 min.

Example 259

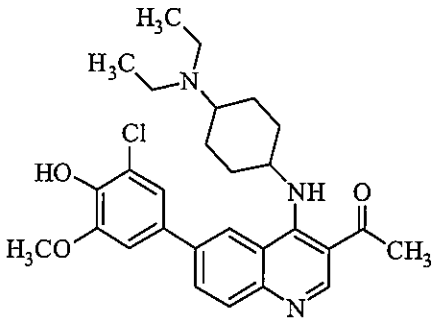
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl} ethanone



Following general procedure A-2, *tert*-butyl *trans*-4-[3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino]cyclohexylcarbamate (35 mg, 0.065 mmol) was reacted with TFA (2 mL) to afford the desired product (13.6 mg, 48%) as an orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.95 (s, 1H), 8.32 (d, J = 1.8 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.27 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.0 Hz, 1H), 4.23 (t, J = 11.1 Hz, 1H), 3.99 (s, 3H), 3.24–3.16 (m, 1H), 2.70 (s, J = 6.3 Hz, 3H), 2.41 (d, J = 12.4 Hz, 2H), 2.18 (d, J = 12.1 Hz, 2H), 1.80–1.66 (m, 2H), 1.61–1.50 (m, 2H); ESI MS *m/z* 440 $[C_{24}H_{26}ClN_3O_3 + H]^+$; HPLC 97.6% (AUC), t_R = 8.45 min.

Example 303

1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone

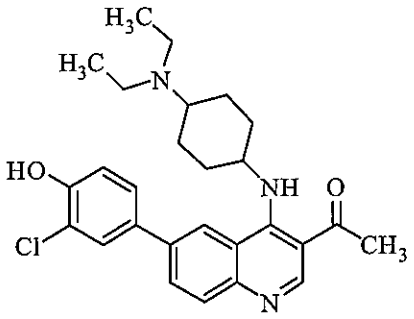


Following general procedure D, 1-{6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone (42 mg, 0.100 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.200 mmol) to afford the desired product (21.5 mg, 43%) as an orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.93 (s, 1H), 8.32 (d, J = 1.8 Hz, 1H), 8.03 (dd, J = 8.7, 1.9 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.29 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.1 Hz, 1H), 4.26 (s, 1H), 3.99 (s, 3H),

3.08 (q, J = 7.2 Hz, 4H), 2.69 (s, 3H), 2.44 (s, 2H), 2.14 (s, 2H), 1.79–1.64 (m, 4H), 1.29 (t, J = 7.2 Hz, 6H); ESI MS *m/z* 496 $[C_{28}H_{34}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.50 min.

Example 335

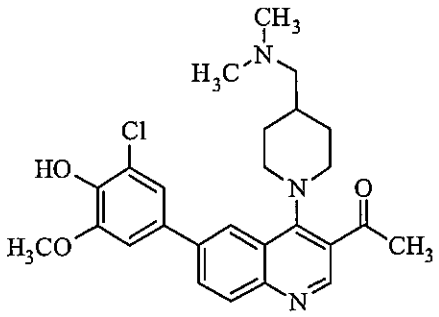
1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure D, 1-{6-bromo-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone (30 mg, 0.072 mmol) was reacted with 3-chloro-4-hydroxyphenylboronic acid (34 mg, 0.200 mmol) to afford the desired product (19.7 mg, 59%) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.95 (s, 1H), 8.34 (d, J = 1.8 Hz, 1H), 8.02 (dd, J = 8.7, 1.9 Hz, 1H), 7.91 (d, J = 8.7 Hz, 1H), 7.71 (d, J = 2.3 Hz, 1H), 7.53 (dd, J = 8.4, 2.3 Hz, 1H), 7.06 (d, J = 8.4 Hz, 1H), 4.31 (s, 1H), 3.52–3.43 (m, 1H), 3.22 (q, J = 7.2 Hz, 4H), 2.70 (s, 3H), 2.46 (d, J = 12.3 Hz, 2H), 2.20 (d, J = 11.9 Hz, 2H), 1.88–1.65 (m, 4H), 1.41–1.30 (m, 6H); ESI MS *m/z* 466 $[C_{27}H_{32}ClN_3O_2 + H]^+$; HPLC 97.7% (AUC), t_R = 10.44 min.

Example 305

1-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl]ethanone

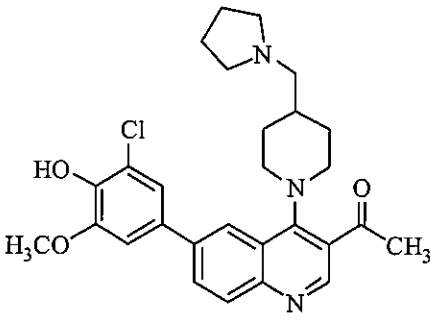


Following general procedure D, 1-(6-bromo-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl)ethanone (17.7 mg, 0.045 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (28 mg, 0.100 mmol)

to afford the desired product (10.4 mg, 49%) as an off-white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.76 (s, 1H), 8.32 (d, J = 1.3 Hz, 1H), 8.07–7.97 (m, 2H), 7.31 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 2.1 Hz, 1H), 4.00 (s, 3H), 3.48 (d, J = 12.5 Hz, 2H), 3.16 (t, J = 11.5 Hz, 2H), 2.68 (s, 3H), 2.43 (d, J = 6.6 Hz, 2H), 2.36 (s, 6H), 2.01–1.85 (m, 3H), 1.67–1.54 (m, 2H); ESI MS *m/z* 468 $[C_{26}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.12 min.

Example 321

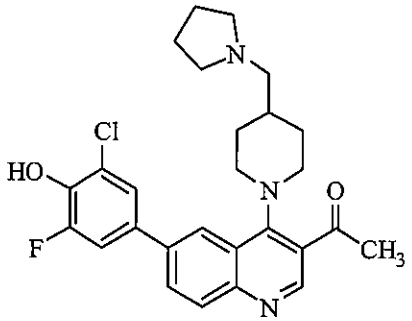
1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone



Following general procedure D, 1-{6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone (30 mg, 0.072 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (25.4 mg, 71%) as a yellow brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.80 (s, 1H), 8.29 (s, 1H), 8.03 (s, 2H), 7.30 (d, J = 2.1 Hz, 1H), 7.24 (d, J = 2.0 Hz, 1H), 3.99 (s, 3H), 3.49 (d, J = 12.9 Hz, 2H), 3.24–3.12 (m, 6H), 3.02 (d, J = 5.5 Hz, 2H), 2.70 (s, 3H), 2.13–1.96 (m, 7H), 1.77–1.62 (m, 2H); ESI MS *m/z* 494 $[C_{28}H_{32}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.45 min.

Example 336

1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone

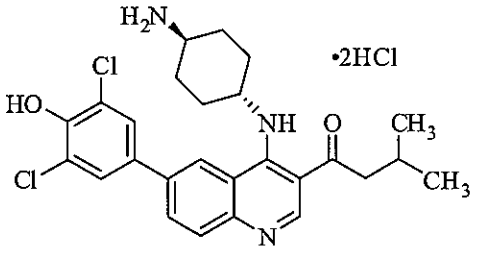


Following general procedure D,

1-{6-bromo-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}ethanone (30 mg, 0.072 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.150 mmol) to afford the desired product (19.4 mg, 56%) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.81 (s, 1H), 8.26 (d, J = 1.8 Hz, 1H), 8.07–7.96 (m, 2H), 7.56–7.48 (m, 1H), 7.44 (dd, J = 11.6, 2.2 Hz, 1H), 3.48 (d, J = 12.6 Hz, 2H), 3.38–3.33 (m, 4H), 3.23–3.13 (m, 4H), 2.71 (s, 3H), 2.16–2.06 (m, 5H), 2.00 (d, J = 11.1 Hz, 2H), 1.78–1.65 (m, 2H);ESI MS *m/z* 482 $[C_{27}H_{29}ClN_3O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.36 min.

Example 267

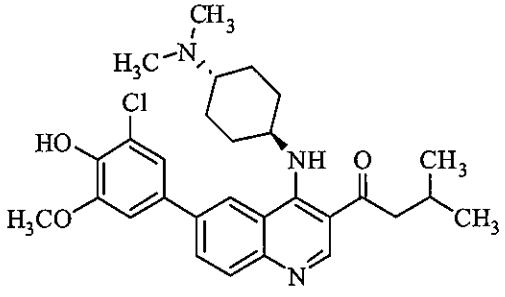
1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}-3-methylbutan-1-one dihydrochloride



Following general procedure D, *tert*-butyl *trans*-4-[6-(3,5-dichloro-4-hydroxyphenyl)-3-(3-methylbutanoyl)quinolin-4-ylamino]cyclohexyl carbamate (36 mg, 0.061 mmol) was reacted with TFA (2 mL) to afford the desired product (10.4 mg, 35%) as a white solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.16 (s, 1H), 8.46 (s, 1H), 8.29 (d, J = 8.7 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.74 (s, 2H), 4.56 (s, 1H), 3.27 (s, 1H), 3.03 (d, J = 6.8 Hz, 2H), 2.51 (s, 2H), 2.36–2.21 (m, 3H), 1.96–1.83 (m, 2H), 1.67 (d, J = 12.5 Hz, 2H), 1.05 (d, J = 6.6 Hz, 6H); ESI MS *m/z* 486 $[C_{26}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 98.2% (AUC), t_R = 10.02 min.

Example 348

1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}-3-methylbutan-1-one

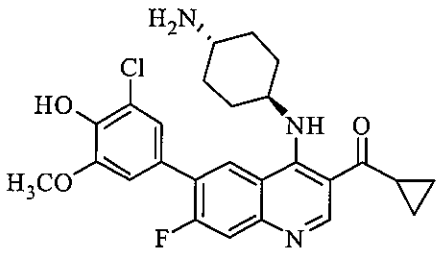


Following general procedure D, 1-{6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}-3-methylbutan-1-one

(13 mg, 0.030 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (21 mg, 0.075 mmol) to afford the desired product (8.8 mg, 58%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 8.94 (s, 1H), 8.35 (d, J = 1.8 Hz, 1H), 8.03 (dd, J = 8.7, 1.9 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.29 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.1 Hz, 1H), 4.24 (t, J = 10.8 Hz, 1H), 3.99 (s, 3H), 2.94 (d, J = 7.0 Hz, 2H), 2.82 (s, 1H), 2.53 (s, 6H), 2.42 (d, J = 12.0 Hz, 2H), 2.33–2.21 (m, 1H), 2.14 (d, J = 12.0 Hz, 2H), 1.72–1.53 (m, 4H), 1.03 (d, J = 6.7 Hz, 6H); ESI MS m/z 510 [$C_{29}H_{36}ClN_3O_3$ + H]$^+$; HPLC >99% (AUC), t_R = 11.38 min.

Example 284

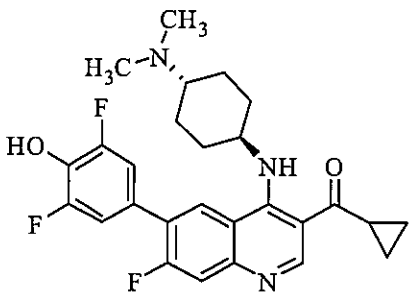
{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl *trans*-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)-7-fluoroquinolin-4-ylamino]cyclohexylcarbamate (53 mg, 0.091 mmol) was reacted with TFA (2 mL) to afford the desired product (30 mg, 48%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.23 (s, 1H), 8.35 (d, J = 7.8 Hz, 1H), 7.65 (d, J = 11.2 Hz, 1H), 7.21 (d, J = 10.6 Hz, 2H), 4.20 (s, 1H), 3.95 (s, 3H), 2.84 (s, 1H), 2.39 (d, J = 12.4 Hz, 2H), 2.18 (d, J = 11.5 Hz, 2H), 1.83–1.64 (m, 2H), 1.62–1.43 (m, 2H), 1.31–1.09 (m, 4H); ESI MS m/z 484 [$C_{26}H_{27}ClFN_3O_3$ + H]$^+$; HPLC 98.1% (AUC), t_R = 8.84 min.

Example 294

Cyclopropyl{6-(3,5-difluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}methanone

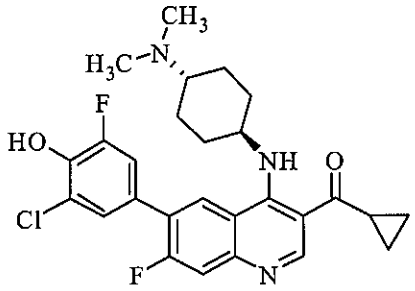


Following general procedure F, {6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}(cyclopropyl)met

hanone (43 mg, 0.099 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.149 mmol) to afford the desired product (14 mg, 29%) as a light yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.27 (s, 1H), 8.37 (d, J = 7.8 Hz, 1H), 7.68 (d, J = 11.1 Hz, 1H), 7.33 (d, J = 7.8 Hz, 2H), 4.31 (s, 1H), 3.47–3.35 (m, 1H), 3.27 (s, J = 6.8 Hz, 1H), 2.88 (s, 5H), 2.87–2.75 (m, 1H), 2.43 (s, 2H), 2.26 (s, 2H), 1.88–1.64 (m, 4H), 1.35–1.13 (m, 4H), ESI MS m/z 484 $[C_{27}H_{28}F_3N_3O_2 + H]^+$; HPLC 98.3% (AUC), t_R = 8.83 min.

Example 295

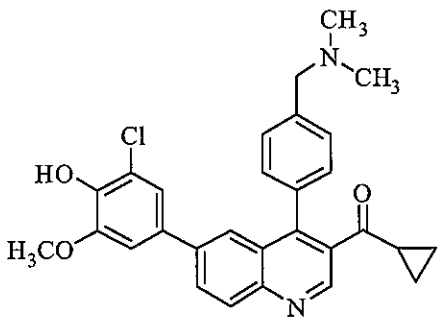
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoro quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, {6-bromo-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}(cyclopropyl)methanone (38 mg, 0.087 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.131 mmol) to afford the desired product (39 mg, 90%) as a green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.19 (s, 1H), 8.29 (d, J = 8.1 Hz, 1H), 7.60 (d, J = 11.8 Hz, 1H), 7.49 (s, 1H), 7.42 (dt, J = 11.6, 2.0 Hz, 1H), 4.18 (s, 1H), 2.86 (s, 6H), 2.85–2.78 (m, 1H), 2.42 (s, 2H), 2.19 (s, 2H), 1.76–1.62 (m, 4H), 1.22–1.07 (m, 5H); ESI MS m/z 500 $[C_{27}H_{28}ClF_2N_3O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 9.21 min.

Example 356

6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]phenyl}quinolin-3-yl](cyclopropyl)methanone

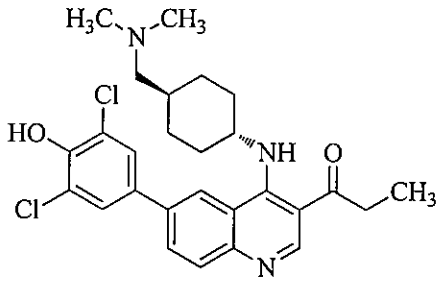


Following general procedure F,

[4-chloro-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl](cyclopropyl)methanone (18 mg, 0.046 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (20 mg, 0.067 mmol) to afford the desired product (10 mg, 45%) as a light brown-yellow solid: ^{1}H NMR (300 MHz, CD_3OD + Acetic Acid-d_6) δ 8.99 (s, 1H), 8.26–8.10 (m, 2H), 7.75 (d, J = 7.6 Hz, 3H), 7.62 (d, J = 8.1 Hz, 2H), 7.08 (dd, J = 14.8, 2.0 Hz, 2H), 4.41 (s, 2H), 3.91 (s, J = 10.1 Hz, 3H), 2.89 (s, 6H), 2.11–2.00 (m, 1H), 1.08–0.97 (m, 2H), 0.83–0.73 (m, 2H); ESI MS *m/z* 487 [$C_{29}H_{27}ClN_2O_3$ + H]$^+$; HPLC 96.5% (AUC), t_R = 12.01 min.

Example 715

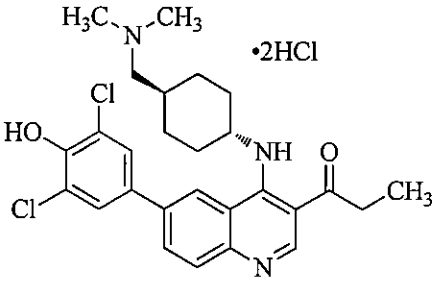
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans-4-((dimethylamino)methyl)cyclohexyl)amino) quinolin-3-yl)propan-1-one



Following general procedure M, 1-(6-bromo-4-((trans-4-((dimethylamino)methyl)cyclohexyl) amino)quinolin-3-yl)propan-1-one (125 mg, 0.30 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (95 mg, 0.33 mmol) to afford the desired product (53 mg, 35%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - d_6) δ 10.50 (d, J = 8.0 Hz, 1H), 8.96 (s, 1H), 8.31 (d, J = 2.1 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.72 (s, 2H), 4.14 – 4.06 (m, 1H), 3.13 (q, J = 7.2 Hz, 2H), 2.23 – 2.15 (m, 2H), 2.18 (s, 6H), 2.15 – 2.10 (m, 1H), 1.88 (d, J = 12.9 Hz, 2H), 1.61 – 1.43 (m, 3H), 1.17 – 1.01 (m, 5H). ESI MS *m/z* 500 [$C_{27}H_{31}Cl_2N_3O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 8.70 min.

Example 716

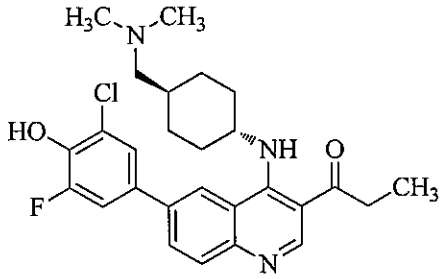
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans-4-((dimethylamino)methyl)cyclohexyl)amino) quinolin-3-yl)propan-1-one dihydrochloride



To a solution of 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans-4-((dimethylamino)methyl) cyclohexyl)amino)quinolin-3-yl)propan-1-one (3.75 g, 7.49 mmol) in methanol (150 mL) and dichloromethane (150 mL) was added HCl (1.25 M in methanol, 30 mL, 37.5 mmol). The solution was allowed to stir for 5 min, then concentrated under reduced pressure. The resultant solid was triturated with dichloromethane (25 mL), then dried under vacuum at 85 °C to afford the desired product (4.1 g, 95%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.12 (br s, 1H), 8.47 (br s, 1H), 8.26 (dd, J = 8.7, 1.8 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.51 (br s, 1H), 3.18 (q, J = 7.2 Hz, 2H), 3.09 (d, J = 6.5 Hz, 2H), 2.93 (s, 6H), 2.45 (d, J = 12.3 Hz, 2H), 2.11 – 2.02 (m, 3H), 1.88 – 1.76 (m, 2H), 1.40 – 1.30 (m, 2H), 1.24 (t, J = 7.1 Hz, 3H). APCI MS *m/z* 500 $[C_{27}H_{31}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.99 min.

Example 714

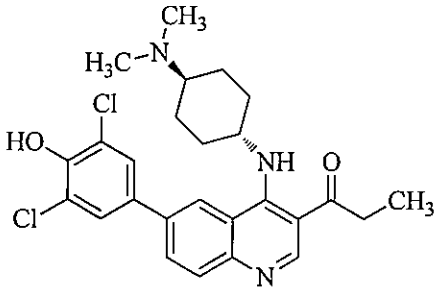
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans-4-((dimethylamino)methyl) cyclohexyl)amino)quinolin-3-yl)propan-1-one



Following general procedure M, 1-(6-bromo-4-((trans-4-((dimethylamino)methyl)cyclohexyl) amino)quinolin-3-yl)propan-1-one (125 mg, 0.30 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (90 mg, 0.33 mmol) to afford the desired product (41 mg, 28%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - d_6) δ 10.46 (d, J = 8.0 Hz, 1H), 8.96 (s, 1H), 8.33 (d, J = 2.2 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.86 (d, J = 8.7 Hz, 1H), 7.64 – 7.57 (m, 2H), 4.13 – 4.07 (m, 1H), 3.13 (q, J = 7.2 Hz, 2H), 2.22 – 2.15 (m, 2H), 2.13 (s, 6H), 1.87 (d, J = 12.9 Hz, 2H), 1.57 – 1.42 (m, 3H), 1.16 – 0.99 (m, 5H). ESI MS *m/z* 484 $[C_{27}H_{31}ClFN_3O_2 + H]^+$; HPLC 98.5% (AUC), t_R = 8.36 min.

Example 754

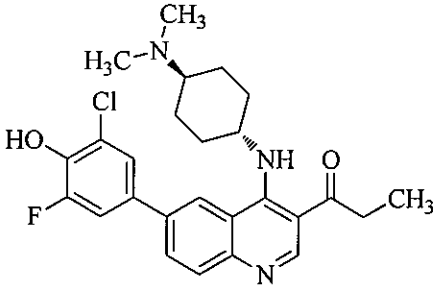
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans-4-(dimethylamino)cyclohexyl)amino) quinolin-3-yl)propan-1-one



Following general procedure M, 1-(6-bromo-4-((trans-4-(dimethylamino)cyclohexyl)amino) quinolin-3-yl)propan-1-one (125 mg, 0.31 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (98 mg, 0.34 mmol) to afford the desired product (74 mg, 49%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - *d6*) δ 10.58 (d, J = 7.9 Hz, 1H), 8.96 (s, 1H), 8.30 (d, J = 2.1 Hz, 1H), 8.03 (dd, J = 8.7, 1.9 Hz, 1H), 7.84 (d, J = 8.7 Hz, 1H), 7.771 (s, 2H), 4.19 – 4.15 (br s, 1H), 3.13 (q, J = 7.2 Hz, 2H), 2.56 – 2.51 (m, 1H), 2.32 (s, 6H), 2.26 – 2.22 (m, 2H), 1.96 – 1.89 (m, 2H), 1.56 – 1.47 (m, 4H), 1.14 (t, J = 7.2 Hz, 3H). APCI MS *m/z* 486 $[C_{26}H_{29}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.28 min.

Example 757

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans-4-(dimethylamino)cyclohexyl)amino) quinolin-3-yl)propan-1-one

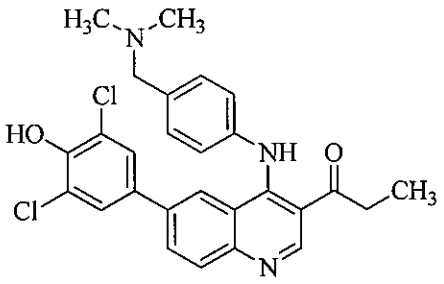


Following general procedure M, 1-(6-bromo-4-((trans-4-(dimethylamino)cyclohexyl)amino) quinolin-3-yl)propan-1-one (125 mg, 0.31 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (93 mg, 0.34 mmol) to afford the desired product (81 mg, 56%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - d_6) δ 10.56 (d, J = 8.0 Hz, 1H), 8.97 (s, 1H), 8.34 (d, J = 2.1 Hz, 1H), 8.04 (dd, J = 8.7, 1.9 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.65 – 7.58 (m, 2H), 4.18 – 4.12 (m, 1H), 3.13 (q, J = 7.2 Hz, 2H), 2.39 – 2.32 (m, 1H), 2.27 – 2.19 (m, 8H), 1.93 – 1.86 (m, 2H), 1.56 – 1.39 (m, 4H), 1.12 (t, J = 7.2 Hz, 3H). ESI MS *m/z* 470 $[C_{26}H_{29}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 7.97 min.

Example 771

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)

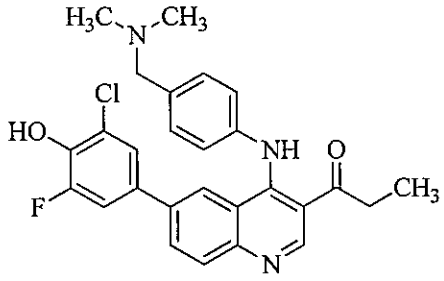
quinolin-3-yl)propan-1-one



Following general procedure M, 1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino) uinolin-3-yl)propan-1-one (125 mg, 0.30 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (96 mg, 0.33 mmol) to afford the desired product (113 mg, 76%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO - d_6) δ 11.98 (s, 1H), 9.01 (s, 1H), 8.09 – 7.88 (m, 3H), 7.36 (s, 2H), 7.33 – 7.28 (m, 2H), 7.17 – 7.08 (m, 2H), 3.47 (s, 2H), 3.08 (q, J = 7.1 Hz, 2H), 2.16 (s, 6H), 0.98 (t, J = 7.1 Hz, 3H).

Example 770

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino) quinolin-3-yl)propan-1-one

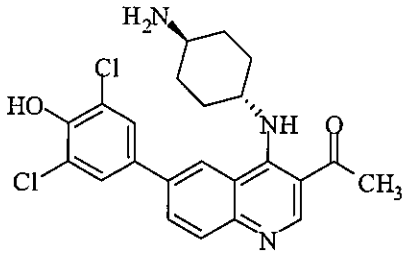


Following general procedure M, 1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino) quinolin-3-yl)propan-1-one (125 mg, 0.30 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (91 mg, 0.33 mmol) to afford the desired product (89 mg, 62%) as a yellow solid. ^{1}H NMR (300 MHz, DMSO - d_6) δ 11.00 (s, 1H), 9.02 (s, 1H), 8.09 – 7.88 (m, 3H), 7.35 – 7.18 (m, 4H), 7.16 – 7.09 (m, 2H), 3.42 (s, 2H), 3.09 (q, J = 7.1 Hz, 2H), 2.14 (s, 6H), 0.98 (t, J = 7.1 Hz, 3H). APCI MS m/z 478 $[C_{27}H_{25}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 8.10 min.

Example 939

1-(4-((1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethano

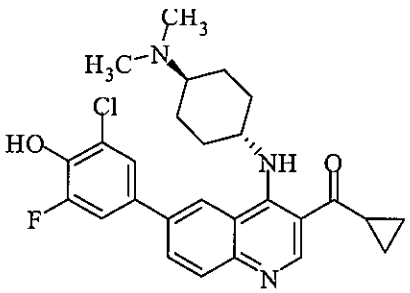
ne



Following general procedure A, 1 tert-butyl (1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-4-ylamino)cyclohexylcarbamate (4.5 g, 8.3 mmol) was treated with a solution of 3N HCl to afford desired product (3.6 g, 99%) as a pale yellow solid: ^{1}H NMR (500 MHz, D_2O) δ 8.93 (s, 1H), 7.89 (d, J = 8.6 Hz, 1H), 7.76 (d, J = 8.7 Hz, 1H), 7.64 (s, 1H), 7.06 (s, 2H), 4.08 (m, 1H), 3.31 (t, J = 12.0 Hz, 1H), 2.18 (d, J = 12.0 Hz, 4H), 1.80 (q, J = 12.1 Hz, 2H), 1.50 (q, J = 12.1 Hz, 2H); APCI MS *m/z* 444 $[C_{23}H_{23}Cl_2N_3O_2 + H]^+$; HPLC 98.3% (AUC), t_R = 6.93 min.

Example 264

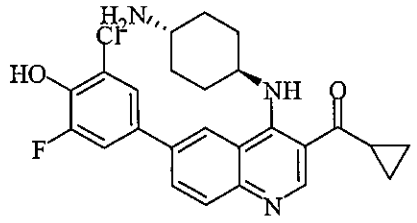
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexylamino)quinoli n-3-yl)(cyclopropyl)methanone



Following general procedure F, (6-bromo-4-((1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanon e (5.0 g, 12 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.9 g, 14.4 mmol) to afford the desired product (3.0 g, 52%) as a yellow solid: ^{1}H NMR (300 MHz, DMSO) δ 9.84 (s, 1H), 9.06 (s, 1H), 8.38 (s, 1H), 8.04 (dd, J = 8.8, 1.8 Hz, 1H), 7.86(d, J = 8.8 Hz, 1H), 7.61 (m, 2H), 3.99 (m, 1H), 2.90 (dt, J = 8.0, 3.0 Hz, 1H), 2.35 (m, 1H), 2.23 (s, 5H), 2.16 (d, J = 12.6 Hz, 4H), 1.89 (d, J = 11.2 Hz, 2H), 1.43 (dt, J = 22.4, 12.6 Hz, 4H), 1.06 (m, 4H); ESI MS *m/z* 482 $[C_{27}H_{29}ClFN_3O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 4.85 min.

Example 936

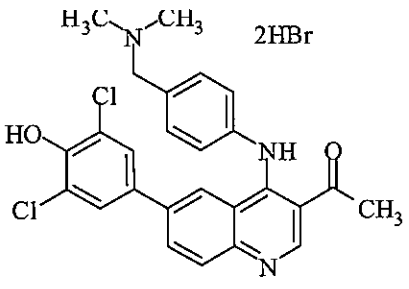
(4-((1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



(tert-butyl (1R,4R)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexylcarbamate (5.1 g, 9.2 mmol) was treated with a solution of HCl in dioxane (275 mL, 4 N). The mixture was stirred for 8 h at ambient temperature, producing a off white precipitate. The precipitate was collected by vacuum filtration and purified by titration of dichloromethane in a solution of methanol to afford desired product (3.01 g, 62%) as an off-white solid: ^{1}H NMR (300 MHz, D_2O) δ 9.03 (s, 1H), 7.90 (d, J = 8.8 Hz, 1H), 7.78 (s, 1H), 7.71 (d, J = 8.8 Hz, 1H), 7.12 (d, J = 11.7 Hz, 1H), 7.05 (s, 1H), 4.04 (s, 1H), 3.27 (t, J = 12.0 Hz, 1H), 2.62 (p, J = 6.1 Hz, 1H), 2.14 (d, J = 11.9 Hz, 4H), 1.71 (q, J = 12.3 Hz, 2H), 1.47 (q, J = 12.1 Hz, 2H), 1.20 (m, 4H); APCI MS m/z 454 $[C_{25}H_{25}ClFN_3O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 10.64 min.

Example 942

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone

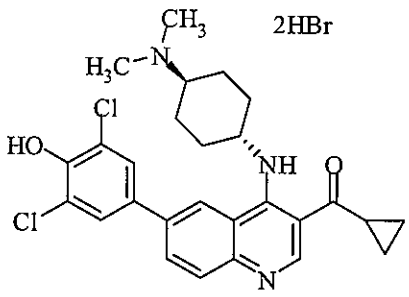


Following general procedure F, 1-(6-bromo-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone (2.0 g, 5.09

mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (2.2 g, 7.6 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 40 mL) and HBr gas was bubbled through the suspension until a solution formed. The solution was concentrated to dryness and the resultant solid was triturated with diethyl ether. The mixture was filtered, washed with diethyl ether, and dried to obtain desired product (3.0 g, 94% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, DMSO) δ 11.93 (s, 1H), 10.59 (s, 1H), 9.81 (s, 1H), 9.24 (s, 1H), 8.37 (m, 2H), 8.11 (d, J = 8.8 Hz, 1H), 7.66 (d, J = 8.5 Hz, 2H), 7.56 (s, 2H), 7.51 (d, J = 8.5 Hz, 2H), 4.39 (d, J = 4.0 Hz, 2H), 2.74 (d, J = 4.0 Hz, 6H), 2.54 (s, 3H). APCI MS m/z 480 $[C_{26}H_{23}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 4.79 min.

Exapmle 941

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexylamino) quinolin-3-yl)methanone

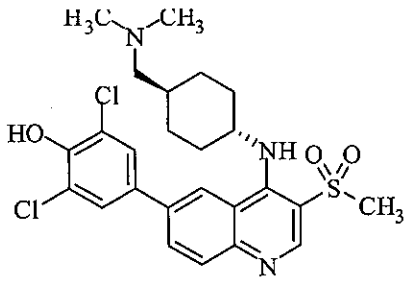


Following general procedure F, (6-bromo-4-((1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone (3.7 g, 8.9 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (3.8 g, 13.3 mmol) to obtain the free base. The purified product was suspended in dichloromethane / methanol (1:1, 40 mL) and HBr gas was bubbled through the suspension until a solution formed. The solution was concentrated to dryness and the resultant solid was triturated with diethyl ether. The mixture was filtered, washed with diethyl ether, and dried to obtain desired product (3.1 g, 53% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.34 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.76 (s, 2H), 4.60 (s, 1H), 3.52 (s, 1H), 2.93 (s, 6H), 2.86 (m, 1H), 2.52 (s, 2H), 2.34 (s, 2H), 1.89 (m, 4H), 1.26 (m, 3H); APCI MS m/z 498 $[C_{27}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 5.08 min.

Example 420

2,6-dichloro-4-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)qui

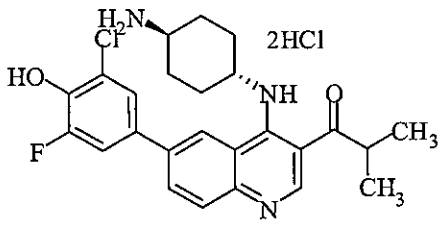
nolin-6-yl)phenol



Following general procedure F, 6-bromo-N-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)-3-(methylsulfonyl)quinolin-4-amine (5.6 mg, 13 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (4.4 g, 15 mmol) to obtain the desired product (5.3 g, 77%) as a tan solid: ^{1}H NMR (300 MHz, MeOD) δ 8.76 (s, 1H), 8.26 (d, J = 1.8 Hz, 1H), 8.04 (dd, J = 8.8, 1.8 Hz, 1H), 7.94 (d, J = 8.8 Hz, 1H), 7.64 (s, 2H), 4.15 (tt, J = 11.4, 3.9 Hz, 1H), 3.23 (s, 3H), 2.98 (d, J = 6.6 Hz, 2H), 2.85 (s, 6H), 2.35 (d, J = 12.6 Hz, 2H), 1.95 (m, 3H), 1.66 (q, J = 12.5 Hz, 2H), 1.25 (q, J = 12.5 Hz, 2H); ESI MS *m/z* 522 $[C_{25}H_{29}Cl_2N_3O_3S + H]^+$; HPLC 99.8% (AUC), t_R = 5.61 min.

Example 937

1-(4-((1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)-2-methylpropan-1-one

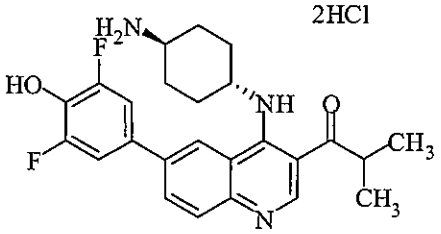


tert-butyl (1r,4r)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-isobutyrylquinolin-4-ylamino)cyclohexylcarbamate (5.1 g, 9.2 mmol) was treated with a solution of HCl in dioxane (75 mL, 4 N). The mixture was stirred for 20 h at ambient temperature, producing a off white precipitate. The precipitate was collected by vacuum filtration and purified by titration of dichloromethane in a solution of methanol to afford desired product (4.7 g, %) as a yellow solid:

^{1}H NMR (500 MHz, D_2O) δ 9.00 (s, 1H), 7.97 (dd, J = 8.8, 1.8 Hz, 1H), 7.91 (s, 1H), 7.79 (d, J = 8.8 Hz, 1H), 7.18 (dd, J = 11.6, 2.3 Hz, 1H), 7.13 (s, 1H), 4.19 (s, 1H), 3.62 (dt, J = 13.6, 6.8 Hz, 1H), 3.34 (tt, J = 11.7, 3.9 Hz, 1H), 2.22 (m, 4H), 1.78 (q, J = 12.4 Hz, 2H), 1.54 (q, J = 12.4 Hz, 2H), 1.21 (d, J = 6.7 Hz, 6H); APCI MS *m/z* 456 $[C_{25}H_{27}ClFN_3O_2 + H]^+$; HPLC 99.3% (AUC), t_R = 5.38 min.

Example 938

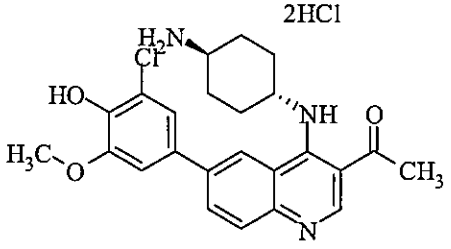
1-(4-((1R,4R)-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2-methylpropan-1-one



tert-butyl (1R,4R)-4-(6-(3,5-difluoro-4-hydroxyphenyl)-3-isobutyrylquinolin -4-ylamino)cyclohexylcarbamate (8 g, 15 mmol) was treated with a solution of HCl in dioxane (125 mL, 4 N). The mixture was stirred for 16 h at ambient temperature, producing a off white precipitate. The precipitate was collected by vacuum filtration and purified by titration of dichloromethane in a solution of methanol to afford desired product (6.2 g, 81%) as a pale yellow solid: ^{1}H NMR (500 MHz, D_2O) δ 8.96 (s, 1H), 7.90 (dd, J = 8.8, 1.8 Hz, 1H), 7.86 (d, J = 2.0 Hz, 1H), 7.74 (d, J = 8.7 Hz, 1H), 6.96 (d, J = 9.2 Hz, 2H), 4.14 (s, 1H), 3.58 (dt, J = 13.6, 6.8 Hz, 1H), 3.33 (tt, J = 11.6, 3.8 Hz, 1H), 2.20 (m, 4H), 1.76 (q, J = 12.8, 2H), 1.52 (q, J = 12.8, Hz, 2H), 1.20 (d, J = 6.7 Hz, 6H); APCI MS *m/z* 440 $[C_{25}H_{27}F_2N_3O_2 + H]^+$; HPLC 99.7% (AUC), t_R = 7.52 min.

Example 940

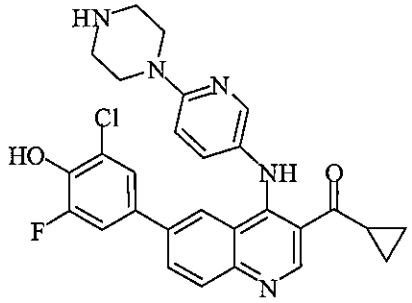
1-(4-((1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)ethanone



Following general procedure A, tert-butyl (1R,4R)-4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino)cyclohexylcarbamate (6.2 g, 11.5 mmol) was treated with a solution of 3N HCl to afford desired product (5.6 g, 95%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.31 (dd, J = 8.7, 1.8 Hz, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.33 (d, J = 2.1 Hz, 1H), 7.27 (d, J = 2.1 Hz, 1H), 4.52 (s, 1H), 4.00 (s, 3H), 2.75 (s, 3H), 2.52 (d, J = 12.6 Hz, 2H), 2.25 (d, J = 12.6 Hz, 2H), 1.88 (q, J = 12.5 Hz, 2H), 1.64 (q, J = 12.5 Hz, 2H); APCI MS *m/z* 440 $[C_{24}H_{26}ClN_3O_3 + H]^+$; HPLC 98.8% (AUC), t_R = 5.45 min.

Example 425

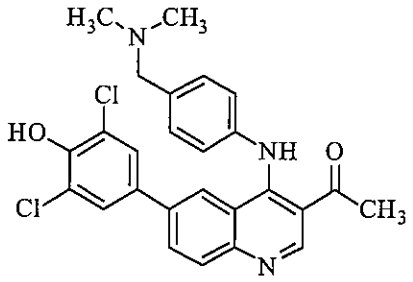
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure F, tert-butyl 4-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperazine-1-carboxylate (8,4 g, 15 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (5.0 g, 18 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (3.9 g, 53% over 2 steps) as a yellow/orange solid: ^{1}H NMR (300 MHz, MeOD) δ 9.26 (s, 1H), 8.07 (d, J = 2.8 Hz, 1H), 7.89 (s, 2H), 7.75 (s, 1H), 7.47 (dd, J = 9.0, 2.8 Hz, 1H), 7.02 (s, 1H), 6.96 (d, J = 9.0 Hz, 1H), 6.84 (dd, J = 12.2, 2.4 Hz, 1H), 3.72 (t, J = 5.2 Hz, 5H), 3.12 (t, J = 5.2 Hz, 5H), 2.92 (m, 1H), 1.22 (m, 2H), 1.12 (m, 2H); ESI MS *m/z* 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 8.67 min.

Example 374

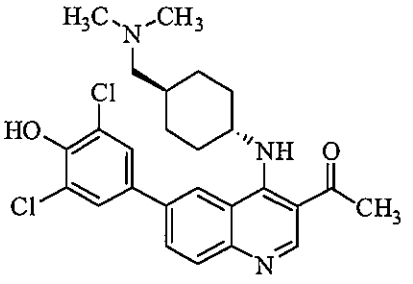
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)ethanone



Following general procedure D,
1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)ethanone (50 mg, 0.13 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (84 mg, 0.29 mmol) to afford the desired product (42.9 mg, 71%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.13 (s, 1H), 7.97 – 7.89 (m, 2H), 7.74 (d, J = 1.6 Hz, 1H), 7.45 (d, J = 8.1 Hz, 2H), 7.28 – 7.22 (m, 2H), 7.11 (s, 2H), 3.83 (s, 2H), 2.78 (s, 3 H), 2.41 (s, 6H). ESI MS *m/z* 480 $[C_{26}H_{23}Cl_2N_3O_2 + H]^+$; HPLC 98.3% (AUC), t_R = 10.60 min.

Example 379

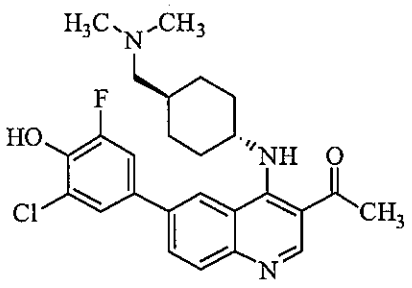
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure D,
1-(6-bromo-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone (32 mg, 0.079 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (51 mg, 0.176 mmol) to afford the desired product (24.5 mg, 64%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.93 (s, 1H), 8.34 (d, J = 2.0 Hz, 2H), 7.99 (dd, J = 8.7, 2.0 Hz, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.62 (s, 2H), 4.27 – 4.20 (m, 1H), 2.80 – 2.74 (d, J = 6.9 Hz, 2H), 2.71 – 2.63 (m, 9H), 2.37 (d, J = 12.8 Hz, 2H), 1.99 (d, J = 13.2 Hz, 2H), 1.89 – 1.85 (m, 1H), 1.70 – 1.58 (m, 2H), 1.33 – 1.21 (m, 2H). ESI MS *m/z* 486 $[C_{26}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 97.9% (AUC), t_R = 10.81 min.

Example 379

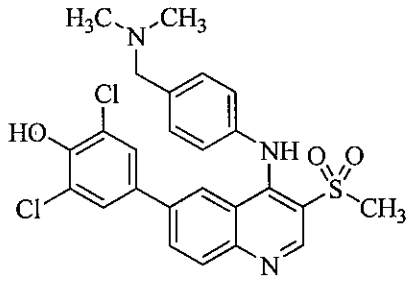
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone (32 mg, 0.079 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (32 mg, 0.118 mmol) to afford the desired product (24.0 mg, 65%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.91 (s, 1H), 8.33 (d, J = 1.9 Hz, 1H), 7.97 (dd, J = 8.7, 1.9 Hz, 1H), 7.87 (d, J = 8.7 Hz, 1H), 7.47 (s, 1H), 7.37 (d, J = 11.8Hz, 1H), 4.27 – 4.18 (m, H), 2.69 (s, 3H), 2.59 – 2.55 (m, 2H), 2.52 (s, 6H), 2.34 (d, J = 12.7 Hz, 2H), 1.99 (d, J = 13.2 Hz, 2H), 1.84 – 1.74 (m, 1H), 1.67 – 1.55 (m, 2H), 1.28 – 1.17 (m, 2H).ESI MS *m/z* 470 $[C_{26}H_{29}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.56 min.

Example 400

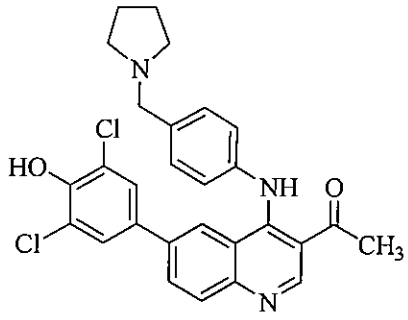
2,6-dichloro-4-(4-((4-((dimethylamino)methyl)phenyl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol



Following general procedure D, 6-bromo-N-(4-((dimethylamino)methyl)phenyl)-3-(methylsulfonyl)quinolin-4-amine (43 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (53 mg, 0.183 mmol) to afford the desired product (31.7 mg, 61%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.00 (s, 1H), 8.06 – 7.97 (m, 2H), 7.74 (s, 3H), 7.46 – 7.38 (m, 2H), 7.21 (d, J = 8.0 Hz, 2H), 7.13 (s, 2H), 3.86 (s, 2H), 3.27 (s, 3H), 2.45 (s, 6H). ESI MS *m/z* 516 $[C_{25}H_{23}Cl_2N_3O_2S + H]^+$; HPLC 94.5% (AUC), t_R = 11.57 min.

Example 415

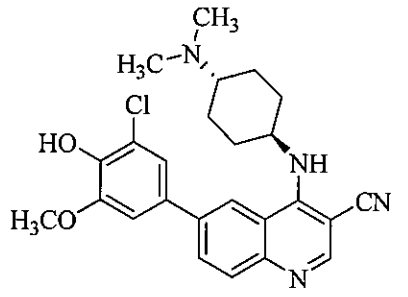
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-(pyrrolidin-1-ylmethyl)phenyl)amino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((4-(pyrrolidin-1-ylmethyl)phenyl)amino)quinolin-3-yl)ethanone (42.4 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38.4 mg, 0.133 mmol) to afford the desired product (28.4 mg, 56%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.17(s, 1H), 8.02 – 7.94 (m, 2H), 7.77 (s, 1H), 7.55 (d, J = 8.4 Hz, 2H), 7.32 (d, J = 8.4 Hz, 2H), 7.20 (s, 2H), 4.41 (s, 2H), 3.27 (br s, 4H), 2.78 (s, 3H), 2.07 (br s, 4H). ESI MS *m/z* 506 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 95.1% (AUC), t_R = 10.81 min.

Example 447

6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((*trans*-4-(dimethylamino)cyclohexyl)amino)quinoline-3-carbonitrile



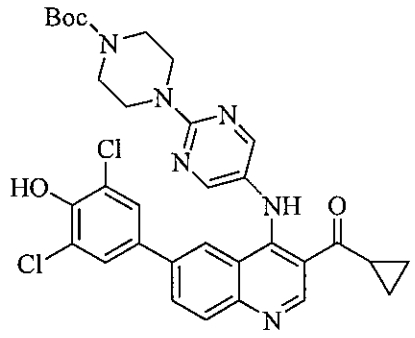
Following general procedure D, 6-bromo-4-((*trans*-4-(dimethylamino)cyclohexyl)amino)quinoline-3-carbonitrile (28 mg, 0.075 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (32 mg, 0.113 mmol) to afford the desired product (11.9 mg, 35%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.46 – 8.40 (m, 2H), 8.02 (dd, J = 8.7, 1.9 Hz, 1H), 7.86 (d, J = 8.7 Hz, 1H), 7.42 (d, J = 2.0 Hz, 1H), 7.29 (d, J = 2.0 Hz, 1H), 4.48 – 4.38 (m, 1H), 3.99 (s, 3H), 2.50 – 2.39 (m, 1H), 2.35 (s, 6H), 2.29 (d, J = 11.8 Hz, 2H), 2.06 (d, J = 12.0 Hz, 2H), 1.73 – 1.61 (m, 2H), 1.59 – 1.47 (m,

2H). ESI MS *m/z* 451 $[C_{25}H_{27}ClN_4O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 10.61 min.

Example 1000

tert-butyl

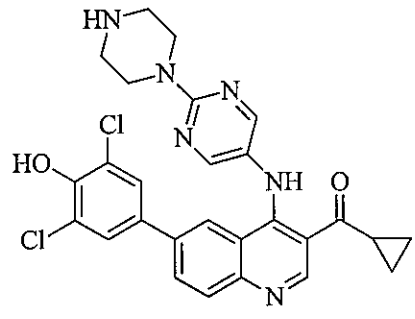
4-(5-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyrimidin-2-yl)piperazine-1-carboxylate



Following general procedure D, *tert*-butyl 4-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyrimidin-2-yl)piperazine-1-carboxylate (54 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (66 mg, >99%) as a yellow-brown solid. ESI MS *m/z* 635 $[C_{32}H_{32}Cl_2N_6O_4 + H]^+$

Example 497

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((2-(piperazin-1-yl)pyrimidin-5-yl)amino)quinolin-3-yl)methanone

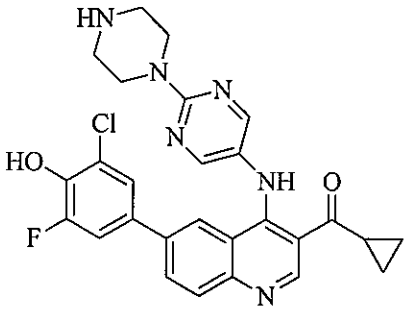


Following general procedure A-2, *tert*-butyl 4-(5-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyrimidin-2-yl)piperazine-1-carboxylate (0.10 mmol) was reacted with TFA (2 mL) to afford the desired product (7.4 mg, 14% over two steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.24 (s, 1H), 8.30 (s, 2H), 7.93 (d, J = 1.3 Hz, 2H), 7.83 (d, J = 1.3 Hz, 1H), 7.21 (s, 2H), 3.98 (t, J = 5.2

Hz, 4H), 3.06 (t, J = 5.2 Hz, 4H), 2.94 – 2.86 (m, 1H), 1.24 – 1.08 (m, 4H). ESI MS m/z 535 $[C_{27}H_{24}Cl_2N_6O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.54 min.

Example 496

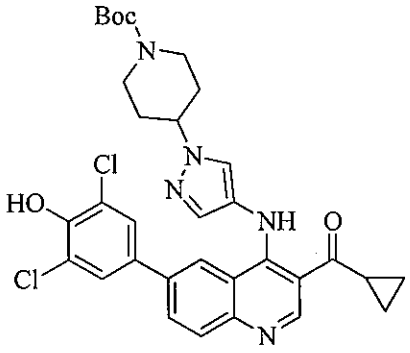
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((2-(piperazin-1-yl)pyrimidin-5-yl)amino)quinolin-3-yl)(cyclopropyl)methanone



To a suspension of *tert*-butyl 4-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyrimidin-2-yl)piperazine-1-carboxylate (54 mg, 0.10 mmol) and $Pd(dppf)Cl_2$ (11mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 400 µL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the vessel was sealed. The mixture was then heated under microwave irradiation conditions at 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to column chromatography (silica, 0–20% methanol/dichloromethane). The resultant residue was dissolved in THF (3 mL) and TFA (2 mL) and heated at 65 °C for 16 hours. The mixture was then cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (13.0 mg, 25%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.24 (s, 1H), 8.30 (s, 2H), 7.98 – 7.90 (m, 2H), 7.84 (s, 1H), 7.14 – 7.09 (m, 1H), 6.93 (dd, J = 12.0, 2.4 Hz, 1H), 3.96 (t, J = 5.2 Hz, 4H), 3.05 (t, J = 5.2 Hz, 4H), 2.94 – 2.85 (m, 1H), 1.24 – 1.08 (m, 4H). ESI MS m/z 519 $[C_{27}H_{24}ClFN_6O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.34 min.

Example 1165

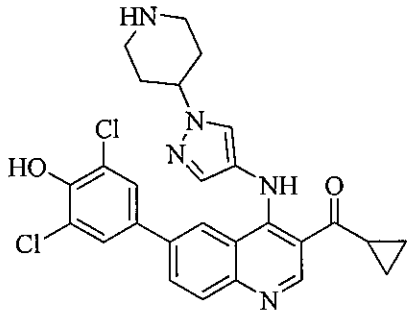
tert-butyl 4-(4-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate



Following general procedure D, *tert*-butyl 4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (54 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (36 mg, 58%) as a yellow-brown solid. ESI MS *m/z* 622 $[C_{32}H_{33}Cl_2N_5O_4 + H]^+$

Example 511

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(piperidin-4-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)methanone

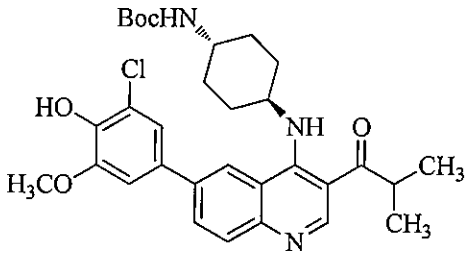


Following general procedure A-2, *tert*-butyl 4-(4-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (36 mg, 0.058 mmol) was reacted with TFA (2 mL) to afford the desired product (21.5 mg, 41%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.48 (br s, 1H), 8.22 (d, J = 9.2 Hz, 1H), 8.01 (d, J = 8.4 Hz, 2H), 7.69 (s, 1H), 7.39 (br s, 2H), 4.68 – 4.58 (m, 1H), 3.57 (dt, J = 13.2, 3.8 Hz, 2H), 3.27 – 3.17 (m, 2H), 2.88 (br s, 1H), 2.36 – 2.25 (m, 4H), 1.41 – 1.10 (m, 4H). ESI MS *m/z* 522 $[C_{27}H_{25}Cl_2N_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.75 min.

Example 1166

tert-butyl (*trans*-4-((6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-isobutyrylquinolin-4-yl)amino)cyclohexy

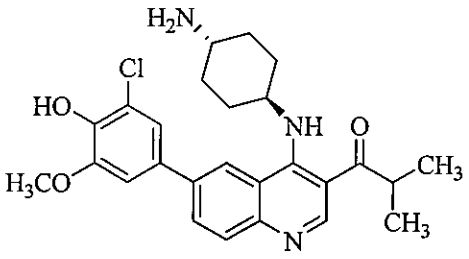
l)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((6-bromo-3-isobutyrylquinolin-4-yl)amino)cyclohexyl)carbamate (49 mg, 0.10 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (77 mg, >99%) as a viscous brown oil. ESI MS *m/z* 568 $[C_{31}H_{38}ClN_3O_5 + H]^+$

Example 502

1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-2-methylpropan-1-one

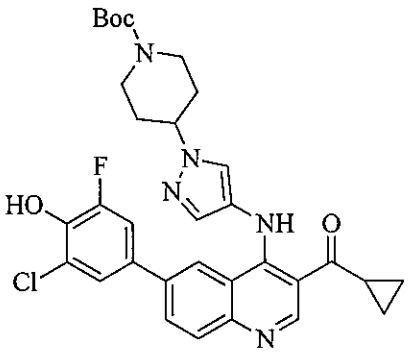


Following general procedure A-2, *tert*-butyl (*trans*-4-((6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-isobutyrylquinolin-4-yl)amino)cyclohexyl)carbamate (0.10 mmol) was reacted with TFA (2 mL) to afford the desired product (16.6 mg, 35% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.96 (s, 1H), 8.34 (d, J = 2.1 Hz, 2H), 8.03 (dd, J = 8.6, 2.1 Hz, 1H), 7.90 (d, J = 8.6 Hz, 1H), 7.28 (d, J = 2.2 Hz, 1H), 7.20 (d, J = 2.2 Hz, 1H), 4.22 – 4.13 (m, 1H), 3.98 (s, 3H), 3.81 – 3.71 (m, 2H), 3.03 – 2.94 (m, 1H), 2.38 – 2.32 (d, J = 12.6 Hz, 2H), 2.13 – 2.06 (m, 2H), 1.73 – 1.61 (q, J = 12.5 Hz, 2H), 1.50 – 1.38 (m, 2H), 1.24 (d, J = 6.7 Hz, 6H). ESI MS *m/z* 468 $[C_{26}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.34 min.

Example 1167

tert-butyl 4-(4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1

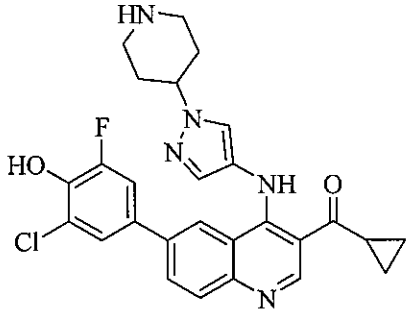
H-pyrazol-1-yl)piperidine-1-carboxylate



Following general procedure D, *tert*-butyl 4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (54 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (60 mg, 99%) as a yellow-brown solid. ESI MS *m/z* 606 $[C_{32}H_{33}ClFN_5O_4 + H]^+$

Example 520

tert-butyl 4-(4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate

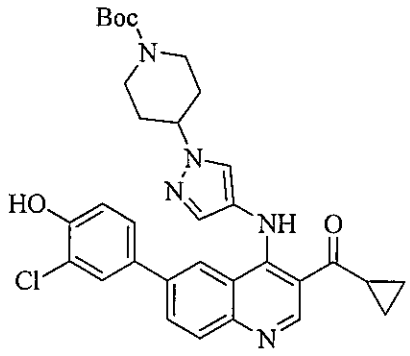


Following general procedure A-2, *tert*-butyl 4-(4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (60 mg, 0.099 mmol) was reacted with TFA (2 mL) to afford the desired product (25.2 mg, 50%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO-*d6*) δ 10.94 (s, 1H), 9.09 (s, 1H), 8.16 (d, J = 2.1 Hz, 1H), 8.01 (dd, J = 8.8, 2.1 Hz, 1H), 7.90 – 7.84 (m, 2H), 7.43 (s, 1H), 7.30 (s, 1H), 7.19 (d, J = 12.1 Hz, 1H), 4.31 – 4.23 (m, 1H), 3.12 (d, J = 12.6 Hz, 2H), 2.92 – 2.83 (m, 1H), 2.70 (t, J = 12.3 Hz, 2H), 2.03 – 1.96 (m, 2H), 1.92 – 1.81 (m, 2H), 1.02 – 0.95 (m, 4H). ESI MS *m/z* 506 $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.41 min.

Example 1168

tert-butyl

4-(4-((6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate

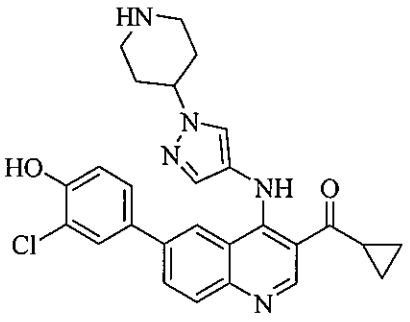


Following general procedure D, *tert*-butyl 4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (54 mg, 0.10 mmol) was reacted with (3-chloro-4-hydroxyphenyl)boronic acid (34 mg, 0.20 mmol) to afford the desired product (62 mg, >99%) as a yellow-brown solid. ESI MS *m/z* 588 $[C_{32}H_{34}ClN_5O_4 + H]^+$

Example 519

ALB 150143

(6-(3-chloro-4-hydroxyphenyl)-4-((1-(piperidin-4-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)(cyclopropyl)methanone

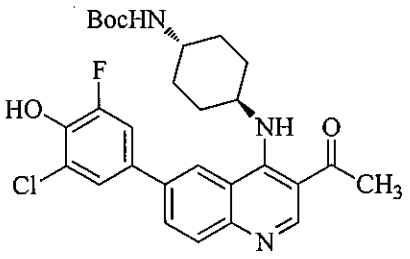


Following general procedure A-2, *tert*-butyl 4-(4-((6-(3-chloro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)piperidine-1-carboxylate (0.10 mmol) was reacted with TFA (2 mL) to afford the desired product (27 mg, 55% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.99 (s, 1H), 9.12 (s, 1H), 8.18 (d, J = 2.2 Hz, 1H), 8.02 – 7.96 (m, 1H), 7.92 – 7.86 (m, 2H), 7.45 (d, J = 2.3 Hz, 1H), 7.41 (s, 1H), 7.28 – 7.22 (m, 1H), 7.01 (d, J = 8.5 Hz, 1H), 4.24 – 4.14 (m, 1H),

3.06 – 2.99 (m, 2H), 2.94 – 2.85 (m, 1H), 2.62 – 2.53 (m, 2H), 1.95 – 1.89 (m, 2H), 1.83 – 1.71 (m, 2H), 1.02 – 0.97 (m, 4H). ESI MS *m/z* 488 $[C_{27}H_{26}ClN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.30 min.

Example 1169

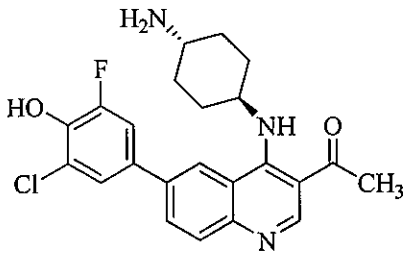
tert-butyl (*trans*-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl)carbamate (46 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (38 mg, 72%) as a light brown solid. ESI MS *m/z* 528 $[C_{28}H_{31}ClFN_3O_4 + H]^+$

Example 527

1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone

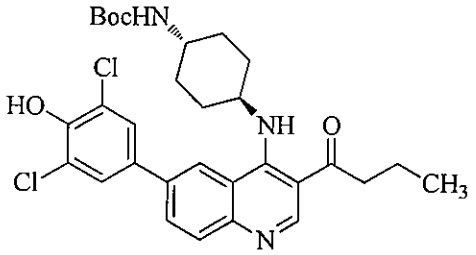


To a suspension of *tert*-butyl (*trans*-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate (38 mg, 0.072 mmol) in THF (3 mL) was added water (2 mL) and 6N aqueous HCl (2 mL). The resultant solution was heated at 65 °C for 4 h. The reaction mixture was cooled and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The residue was eluted through an ion-exchange column

(using methanol and 7 N methanol in ammonia) to obtain the desired product (18.1 mg, 59%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.12 (s, 1H), 8.47 (s, 1H), 8.32 – 8.26 (m, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (d, J = 11.5 Hz, 1H), 4.57 – 4.53 (m, 1H), 2.74 (s, 3H), 2.51 (d, J = 12.3 Hz, 2H), 2.26 (d, J = 12.3 Hz, 2H), 1.87 (q, J = 12.5 Hz, 2H), 1.71 – 1.62 (m, 2H). ESI MS *m/z* 428 $[C_{23}H_{23}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.43 min.

Example 1170

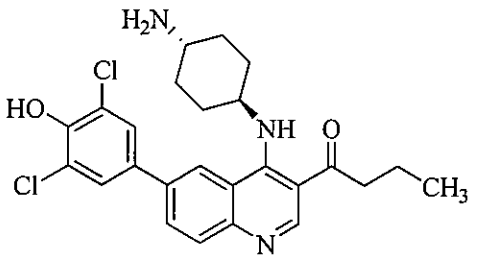
tert-butyl (*trans*-4-((3-butyryl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((6-bromo-3-butyrylquinolin-4-yl)amino)cyclohexyl)carbamate (49 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.16 mmol) to afford the desired product (35.2 mg, 61%) as a yellow-brown solid. ESI MS *m/z* 572 $[C_{30}H_{35}Cl_2N_3O_4 + H]^+$

Example 535

1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)butan-1-one

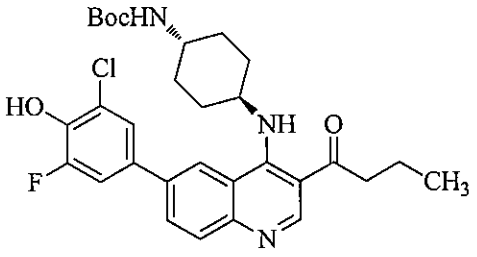


To a suspension of *tert*-butyl (*trans*-4-((3-butyryl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamat

e (35.2 mg, 0.061 mmol) in THF (3 mL) was added water (2 mL) and 6N aqueous HCl (2 mL). The resultant solution was heated at 65 °C for 4 h. The reaction mixture was cooled and concentrated The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (3.7 mg, 13%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.15 (s, 1H), 8.46 (s, 1H), 8.27 (d, J = 8.8 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.72 (s, 2H), 4.53 (br s, 1H), 3.12 (t, J = 7.2 Hz, 2H), 2.52 (s, 2H), 2.28 (d, J = 12.3 Hz, 2H), 1.95 – 1.75 (m, 4H), 1.73 – 1.64 (m, 2H), 1.06 (t, J = 7.4 Hz, 3H). ESI MS *m/z* 472 $[C_{25}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.86 min.

Example 1171

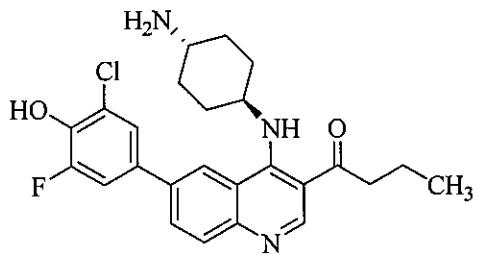
tert-butyl (*trans*-4-((3-butyryl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((6-bromo-3-butyrylquinolin-4-yl)amino)cyclohexyl)carbamate (49 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (36 mg, 64%) as a yellow-brown solid. ESI MS *m/z* 556 $[C_{30}H_{35}ClFN_3O_4 + H]^+$

Example 532

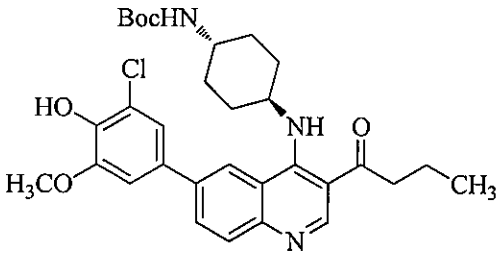
1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)butan-1-one



To a suspension of *tert*-butyl (*trans*-4-((3-butyryl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate (36 mg, 0.065 mmol) in THF (3 mL) was added water (2 mL) and 6N aqueous HCl (2 mL). The resultant solution was heated at 65 °C for 4 h. The reaction mixture was cooled and concentrated. The resultant residue was triturated with diethyl ether. The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (21.1 mg, 71%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.15 (s, 1H), 8.47(s, 1H), 8.28 (dd, J = 8.7, 1.8 Hz, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.51 (d, J = 11.4 Hz, 1H), 4.55 (br s, 1H), 3.13 (t, J = 7.2 Hz, 2H), 2.53 – 2.49 (m, 2H), 2.26 (d, J = 12.1 Hz, 2H), 1.94 – 1.74 (m, 4H), 1.68 – 1.62 (m, 2H), 1.06 (t, J = 7.4 Hz, 3H). ESI MS *m/z* 456 $[C_{25}H27ClFN3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.83 min.

Example 1172

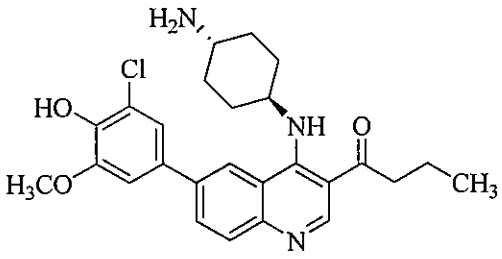
tert-butyl (*trans*-4-((3-butyryl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate



Following general procedure D, *tert*-butyl (*trans*-4-((6-bromo-3-butyrylquinolin-4-yl)amino)cyclohexyl)carbamate (49 mg, 0.10 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (36 mg, 63%) as a yellow solid. ESI MS *m/z* 568 $[C_{31}H_{38}ClN_3O_5 + H]^+$

Example 529

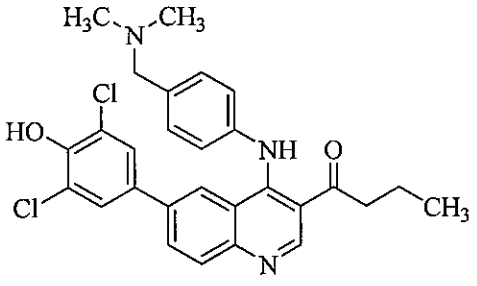
1-(4-((*trans*-4-aminocyclohexyl)amino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)butan-1-one



To a suspension of *tert*-butyl (*trans*-4-((3-butyryl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-yl)amino)cyclohexyl)carbamate (36 mg, 0.063 mmol) in THF (3 mL) was added water (2 mL) and 6N aqueous HCl (2 mL). The resultant solution was heated at 65 °C for 4 h. The reaction mixture was cooled and concentrated. The resultant residue was triturated with diethyl ether. The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (22 mg, 75%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 8.96 (s, 1H), 8.34 (d, J = 2.0 Hz, 1H), 8.02 (dd, J = 8.7, 2.0 Hz, 1H), 7.90 (d, J = 8.7 Hz, 1H), 7.28 (d, J = 2.1 Hz, 1H), 7.20 (d, J = 2.1 Hz, 2H), 4.24 – 4.18 (m, 1H), 3.98 (s, 3H), 3.11 – 3.00 (m, 3H), 2.37 (d, J = 12.9 Hz, 2H), 2.10 (d, J = 12.2 Hz, 2H), 1.84 – 1.74 (m, J = 7.4 Hz, 2H), 1.71 – 1.65 (m, J = 12.6 Hz, 2H), 1.49 – 1.43 (m, 2H), 1.04 (t, J = 7.4 Hz, 3H). ESI MS *m/z* 468 $[C_{26}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.17 min.

Example 530

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino) quinolin-3-yl)butan-1-one

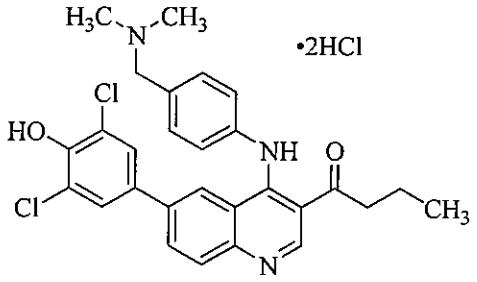


Following general procedure D, 1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one (43 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.16 mmol) to afford the desired product (21.8 mg, 43%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 7.97 – 7.87 (m, 2H), 7.73 (d, J = 1.8 Hz, 1H), 7.46 – 7.39 (m, 2H), 7.26 – 7.19 (m, 2H), 7.10 (s, 2H), 3.80 (s, 2H), 3.17 (t, J = 7.3 Hz, 2H), 2.39 (s, 6H), 1.81

(h, J = 7.4 Hz, 2H), 1.05 (t, J = 7.4 Hz, 3H). ESI MS m/z 508 $[C_{28}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 99% (AUC), t_R = 11.22 min.

Example 531

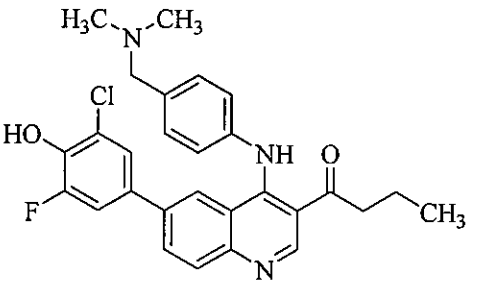
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one dihydrochloride



To a suspension of 1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one (6.5 mg, 0.0082 mmol) in methanol (4 mL) was added 2N HCl in ether (2.0 mL, 4 mmol). The resultant clear yellow solution was concentrated to afford the desired product as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.35 (s, 1H), 8.23 (dd, J = 8.8, 1.9 Hz, 1H), 8.03 (d, J = 8.8 Hz, 1H), 7.85 (d, J = 1.9 Hz, 1H), 7.78 – 7.72 (m, 2H), 7.65 – 7.58 (m, 2H), 7.18 (s, 2H), 4.48 (s, 2H), 3.20 (t, J = 7.2 Hz, 2H), 2.88 (s, 6H), 1.81 (h, J = 7.3 Hz, 2H), 1.07 (t, J = 7.4 Hz, 3H). ESI MS m/z 508 $[C_{28}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 93.5% (AUC), t_R = 11.88 min.

Example 537

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((4-((dimethylamino)methyl)phenyl) amino)quinolin-3-yl)butan-1-one

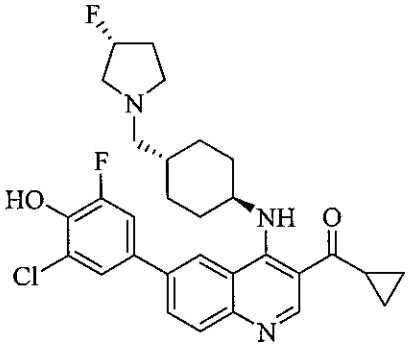


Following general procedure D, 1-(6-bromo-4-((4-((dimethylamino)methyl)phenyl)amino)quinolin-3-yl)butan-1-one (43 mg, 0.10 mmol) was reacted with

2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (30.9 mg, 63%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.35 (s, 1H), 8.22 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.03 (d, *J* = 8.8 Hz, 1H), 7.85 (d, *J* = 1.9 Hz, 1H), 7.77 – 7.70 (m, 2H), 7.65 – 7.58 (m, 2H), 7.08 (dd, *J* = 11.5, 2.1 Hz, 1H), 6.95 (s, *J* = 2.1 Hz, 1H), 4.46 (s, 2H), 3.19 (t, *J* = 7.2 Hz, 2H), 2.88 (s, 6H), 1.81 (h, *J* = 7.4 Hz, 2H), 1.07 (t, *J* = 7.4 Hz, 3H). ESI MS *m/z* 492 $[C_{28}H_{27}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.90 min.

Example 570

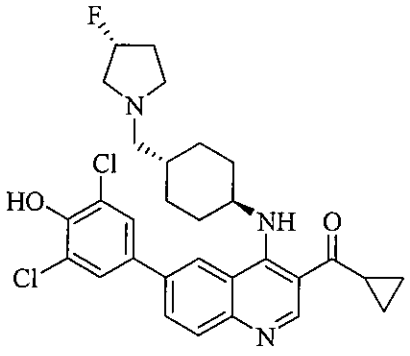
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-((*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (47 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.16 mmol) to afford the desired product (33.9 mg, 63%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) ^{1}H NMR (500 MHz, $CDCl_3$) δ 10.78 (br s, 1H), 9.24 (s, 1H), 8.24 (d, *J* = 2.1 Hz, 1H), 8.03 (d, *J* = 8.7 Hz, 1H), 7.84 (dd, *J* = 8.7, 2.1 Hz, 1H), 7.44 – 7.39 (d, *J* = 2.0 Hz, 1H), 7.29 (dd, *J* = 11.1, 2.0 Hz, 1H), 5.25 – 5.10 (m, 1H), 4.10 – 4.04 (m, 1H), 2.91 – 2.65 (m, 4H), 2.51 – 2.27 (m, 5H), 2.24 – 2.01 (m, 5H), 1.65 – 1.50 (m, 3H), 1.31 – 1.21 (m, 1H), 1.13 – 1.04 (m, 4H). ESI MS *m/z* 540 $[C_{30}H_{32}ClF_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.95 min.

Example 571

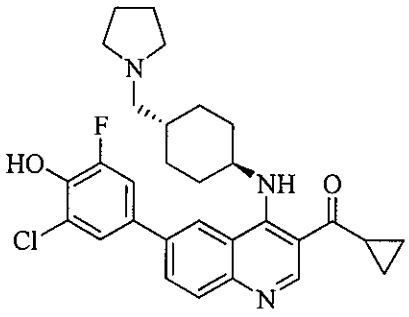
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)methanon



Following general procedure D, (6-bromo-4-((*trans*-4-(((*R*)-3-fluoropyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (47 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (25.7 mg, 46%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 10.81 (br s, 1H), 9.24 (s, 1H), 8.24 (s, 1H), 8.04 (d, J = 8.7 Hz, 1H), 7.85 (dd, J = 8.7, 2.0 Hz, 2H), 7.54 (s, 2H), 5.25 – 5.10 (m, 1H), 4.10 – 4.04 (m, 1H), 2.85 – 2.65 (m, J = 8.1, 4.6 Hz, 4H), 2.50 – 2.28 (m, 5H), 2.21 – 2.04 (m, 5H), 1.65 – 1.50 (m, 3H), 1.30 – 1.22 (m, 1H), 1.14 – 1.04 (m, 4H). ESI MS *m/z* 556 $[C_{30}H_{32}Cl_2FN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.19 min.

Example 587

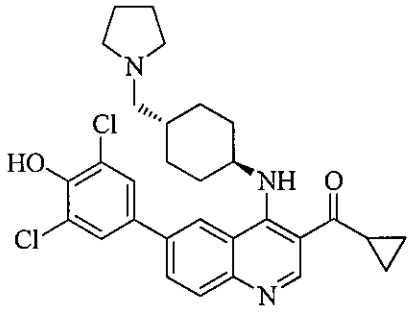
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, (6-bromo-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino) quinolin-3-yl)(cyclopropyl)methanone (46 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.16 mmol) to afford the desired product (32 mg, 61%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.28 (s, 1H), 7.94 (dd, J = 8.7, 1.9 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.47 – 7.43 (m, 1H), 7.35 (dd, J = 11.9, 2.4 Hz, 1H), 4.15 – 4.07 (m, 1H), 3.23 – 3.15 (m, 4H), 2.92 (d, J = 6.8 Hz, 2H), 2.87 – 2.78 (m, 1H), 2.30 (d, J = 12.7 Hz, 2H), 2.06 – 1.97 (m, 6H), 1.87 – 1.78 (m, 1H), 1.56 (q, J = 12.4 Hz, 2H), 1.30 – 1.14 (m, 4H), 1.13 – 1.05 (m, 2H). ESI MS *m/z* 522 $[C_{30}H_{33}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.04 min.

Example 584

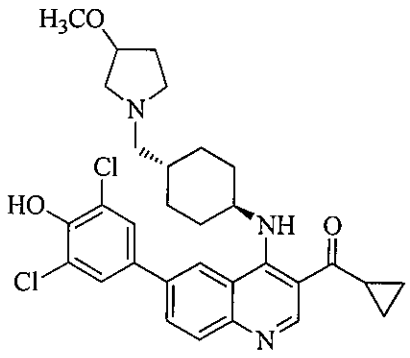
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)methanone



To a suspension of (6-bromo-4-((*trans*-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (46 mg, 0.10 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, then directly subjected to column chromatography (silica, 0–20% methanol/dichloromethane). The resultant residue was dissolved in ethyl acetate and washed with saturated sodium bicarbonate solution. The organic layer was dried over anhydrous sodium sulfate and concentrated to afford the desired product (22.1 mg, 41%) as a yellow solid. ^1H NMR (500 MHz, MeOD) δ 9.09 (s, 1H), 8.28 (d, J = 2.1 Hz, 1H), 7.97 – 7.91 (m, 1H), 7.84 (d, J = 8.7 Hz, 1H), 7.55 (s, 2H), 4.19 – 4.10 (m, 1H), 3.14 – 3.07 (m, 4H), 2.89 – 2.78 (m, 3H), 2.31 (d, J = 12.6 Hz, 5H), 2.04 – 1.97 (m, 4H), 1.83 – 1.79 (m, 1H), 1.57 (q, J = 12.3 Hz, 4H), 1.32 – 1.04 (m, 6H). ESI MS *m/z* 538 $[C_{30}H_{33}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.29 min.

Example 598

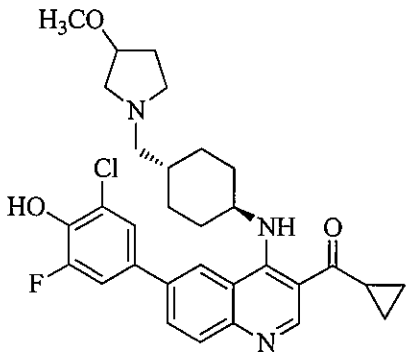
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)methanone



To a suspension of (6-bromo-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (49 mg, 0.10 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, then directly subjected to column chromatography (silica, 0–20% methanol/dichloromethane). The resultant residue was dissolved in ethyl acetate and washed with saturated sodium bicarbonate solution. The organic layer was dried over anhydrous sodium sulfate and concentrated to afford the desired product (30.6 mg, 54%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.36 (br s, 1H), 8.47 (br s, 1H), 8.27 (dd, J = 8.7, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.75 – 7.71 (s, 2H), 4.53 – 4.49 (br s, 1H), 4.19 (d, J = 18.9 Hz, 1H), 3.85 – 3.74 (m, 2H), 3.35 (s, 3H), 3.28 – 3.26 (m, 1H), 3.25 – 3.12 (m, 3H), 2.84 (br s, 1H), 2.45 – 2.29 (m, 3H), 2.20 – 1.97 (m, 4H), 1.80 – 1.73 (m, 2H), 1.37 –1.18 (m, 6H). ESI MS *m/z* 568 $[C_{31}H_{35}Cl_2N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.42 min.

Example 627

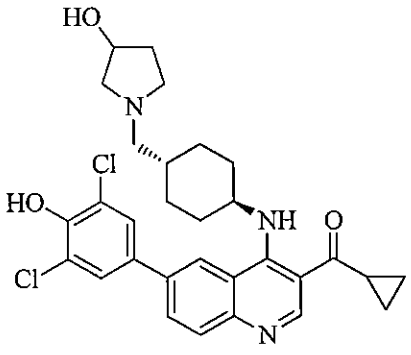
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, (6-bromo-4-((*trans*-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (49 mg, 0.10 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (34 mg, 62%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.35 (br s, 1H), 8.47 (br s, 1H), 8.26 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (br s, 1H), 7.51 (d, J = 11.4 Hz, 1H), 4.50 (br s, 1H), 4.18 (d, J = 19.4 Hz, 1H), 3.85 – 3.74 (m, 2H), 3.36 (s, 3 H), 3.22 – 3.13 (m, 3H), 2.84 (s, 1H), 2.45 – 2.29 (m, 3H), 2.22 – 2.05 (m, 4H), 1.80 – 1.71 (m, 2H), 1.35 – 1.19 (m, 6H). ESI MS *m/z* 552 $[C_{31}H_{35}ClFN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.30 min.

Example 600

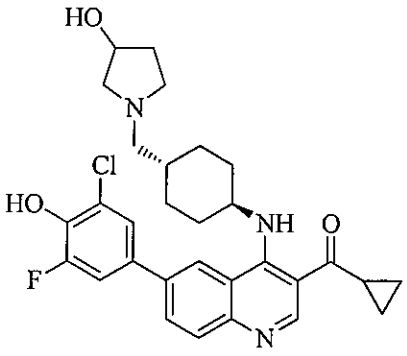
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)methanone



Following general procedure M, (6-bromo-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (47 mg, 0.10 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (34 mg, 61%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.13 (s, 1H), 8.34 (d, J = 2.0 Hz, 1H), 7.98 (dd, J = 8.7, 2.0 Hz, 1H), 7.92 – 7.87 (m, 1H), 7.63 (s, 2H), 4.59-4.54 (m, 1H), 4.53 – 4.47 (m, 1H), 4.17 – 4.11 (m, 1H), 3.16 – 3.10 (m, 2H), 2.94 (d, J = 7.0 Hz, 2H), 2.88 – 2.79 (m, 1H), 2.33 (d, J = 12.9 Hz, 2H), 2.28 – 2.17 (m, 1H), 2.06 – 1.96 (m, 3H), 1.84 (br s, 1H), 1.59 (q, J = 12.2 Hz, 2H), 1.31 – 1.06 (m, 6H). ESI MS *m/z* 554 $[C_{30}H_{33}Cl_2N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.11 min.

Example 631

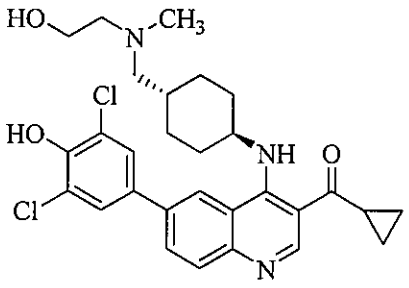
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, (6-bromo-4-((*trans*-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (25 mg, 0.053 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (27 mg, 0.10 mmol) to afford the desired product (17 mg, 60%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.34 (d, J = 2.0 Hz, 1H), 7.97 (dd, J = 8.7, 2.0 Hz, 1H), 7.88 (d, J = 8.7 Hz, 1H), 7.48 (s, 1H), 7.38 (d, J = 11.8, 1H), 4.46 – 4.38 (m, 1H), 4.18 – 4.10 (m, 1H), 3.08 – 3.01 (m, 2H), 2.93 – 2.78 (m, 3H), 2.70 – 2.64 (m, 2H), 2.331 (d, J = 12.9 Hz, 2H), 2.23 – 2.12 (m, 1H), 2.01 (t, J = 13.6 Hz, 2H), 1.87 – 1.80 (m, 1H), 1.75 – 1.71 (m, 1H), 1.56 (q, J = 12.4 Hz, 2H), 1.27 – 1.05 (m, 6H). ESI MS *m/z* 538 $[C_{30}H_{33}ClFN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.78 min.

Example 634

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone

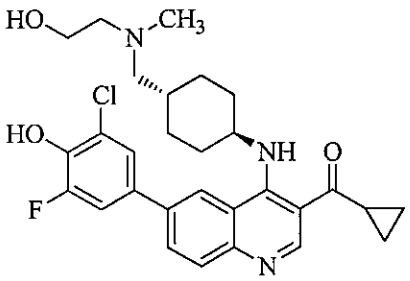


Following general procedure M, 6-bromo-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (46 mg, 0.10 mmol) was reacted with

2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (30.7 mg, 57%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.32 (d, J = 2.0 Hz, 1H), 7.96 (dd, J = 8.7, 2.0 Hz, 1H), 7.87 (d, J = 8.7 Hz, 1H), 7.58 (s, 2H), 4.18 – 4.10 (m, 1H), 3.73 (t, J = 5.7 Hz, 2H), 2.87 – 2.78 (m, 3H), 2.63 – 2.56 (m, 2H), 2.53 (s, 3H), 2.30 (d, J = 12.6 Hz, 2H), 2.02 (d, J = 13.0 Hz, 2H), 1.79 – 1.75 (m, 1H),1.56 (q, J = 12.2 Hz, 2H), 1.30 – 1.15 (m, 4H), 1.12 – 1.05 (m, 2H). ESI MS *m/z* 542 [$C_{29}H_{33}Cl_2N_3O_3$ + H]$^+$; HPLC >99% (AUC), t_R = 11.14 min.

Example 632

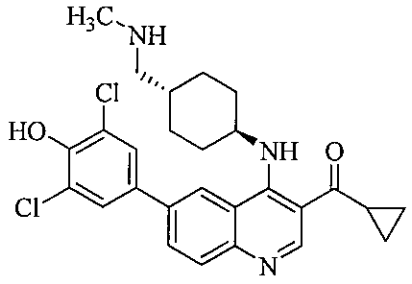
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, 6-bromo-4-((*trans*-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (40 mg, 0.087 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.13 mmol) to afford the desired product (27 mg, 59%) as a light yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.37 (d, J = 2.0 Hz, 1H), 7.99 (dd, J = 8.7, 2.0 Hz, 1H), 7.89 (d, J = 8.8 Hz, 2H), 7.50 (d, J = 2.1 Hz, 1H), 7.41 (dd, J = 11.7, 2.1 Hz, 1H), 4.17 – 4.13 (m, 1H), 3.73 (t, J = 5.8 Hz, 2H), 2.87 – 2.80 (m, 3H), 2.59 (d, J = 6.8 Hz, 2H), 2.53 (s, 3H), 2.31 (d, J = 13.1 Hz, 2H), 2.01 (d, J = 13.1 Hz, 2H), 1.79 – 1.75 (m, 1H), 1.61 – 1.55 (m, 1H), 1.25 – 1.14 (m, 4H), 1.13 – 1.05 (m, 2H). ESI MS *m/z* 526 [$C_{29}H_{33}ClFN_3O_3$ + H]$^+$; HPLC >99% (AUC), t_R = 10.80 min.

Example 685

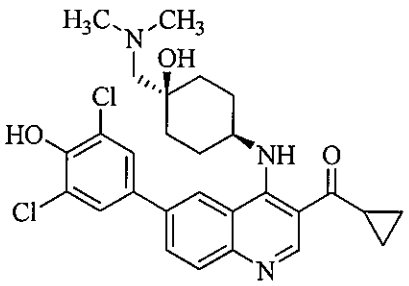
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((methylamino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone



To a suspension of (6-bromo-4-((*trans*-4-((methylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)meth anone (42 mg, 0.10 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with saturated sodium bicarbonate solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% methanol/water with 0.05% TFA). The residue was eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product (14.7 mg, 29%) as a yellow solid. ^1H NMR (500 MHz, MeOD + TFA-*d*) δ 9.35 (br s, 1H), 8.47 (br s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.74 (s, 2H), 4.52 (br s, 1H), 2.94 (d, J = 6.9 Hz, 2H), 2.83 (br s, 2H), 2.73 (s, 3H), 2.46 – 2.42 (br s, 2H), 2.05 (d, J = 13.0 Hz, 2H), 1.88 (br s, 1H), 1.77 – 1.68 (m, 2H), 1.36 – 1.19 (m, 6H). ESI MS *m/z* 498 $[C_{27}H_{29}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.08 min.

Example 652

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyc lohexyl)amino)quinolin-3-yl)methanone

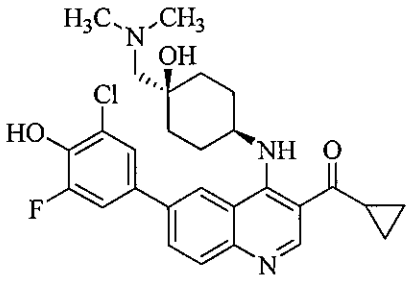


Following general procedure M, (6-bromo-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)amino)quinolin-3-yl)(cyclop

ropyl)methanone (35 mg, 0.078 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.12 mmol) to afford the desired product (28.8 mg, 70%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.31 (d, J = 2.0 Hz, 1H), 7.96 (dd, J = 8.7, 2.0 Hz, 1H), 7.91 – 7.84 (m, 1H), 7.60 (s, 2H), 4.20 – 4.12 (m, 1H), 2.88 – 2.80 (m, 2H), 2.77 (s, 2 H), 2.66 (s, 3H), 2.09 (d, J = 12.3 Hz, 2H), 2.00 – 1.84 (m, 4H), 1.68 – 1.58 (m, 2H), 1.23 – 1.13 (m, 2H), 1.14 – 1.05 (m, 2H). ESI MS m/z 528 $[C_{28}H_{31}Cl_2N_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.70 min.

Example 673

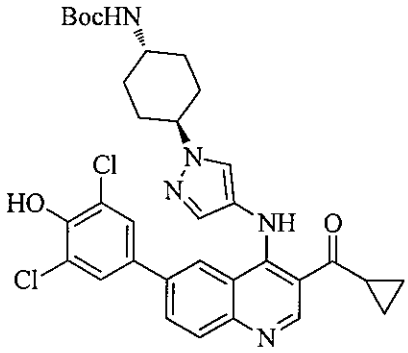
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure M, (6-bromo-4-((*cis*-4-((dimethylamino)methyl)-4-hydroxycyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone (35 mg, 0.078 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.13 mmol) to afford the desired product (26.7 mg, 67%) as a yellow-brown solid. ^{1}H NMR (500 MHz, MeOD) δ 9.14 (s, 1H), 8.35 (d, J = 2.0 Hz, 1H), 7.97 (dd, J = 8.7, 2.0 Hz, 1H), 7.92 – 7.84 (m, 1H), 7.51 – 7.46 (m, 1H), 7.39 (dd, J = 11.8, 2.3 Hz, 1H), 4.20 – 4.12 (m, 1H), 2.90 – 2.78 (m, 1H), 2.74 (s, 2H), 2.64 (s, 3H), 2.12 – 2.06 (d, J = 12.5 Hz, 2H), 2.00 – 1.82 (m, 4H), 1.72 – 1.56 (m, 2H), 1.23 – 1.12 (m, 2H), 1.14 – 1.05 (m, 2H). ESI MS m/z 512 $[C_{28}H_{31}ClFN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.47 min.

Example 1173

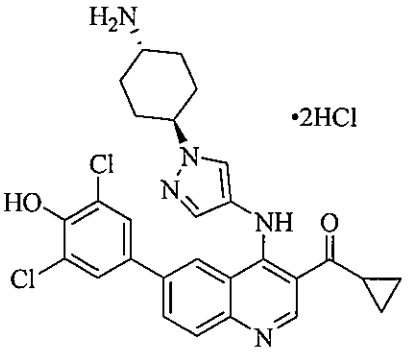
tert-butyl (*trans*-4-(4-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)cyclohexyl)carbamate



Following general procedure M, *tert*-butyl (*trans*-4-(4-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)cyclohexyl)carbamate (45 mg, 0.081 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) to afford the desired product (23 mg, 45%) as a yellow-brown solid. ESI MS *m/z* 636 $[C_{33}H_{35}Cl_2N_5O_4 + H]^+$

Example 706

(4-((1-(*trans*-4-aminocyclohexyl)-1*H*-pyrazol-4-yl)amino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone dihydrochloride

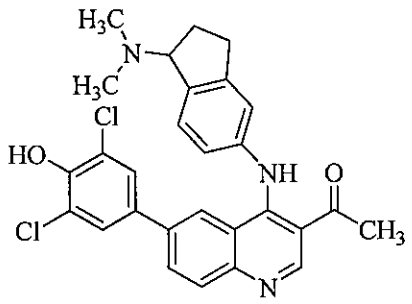


To a solution of *tert*-butyl (*trans*-4-(4-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)-1*H*-pyrazol-1-yl)cyclohexyl)carbamate (23 mg, 0.036 mmol) in THF (3 mL) was added trifluoroacetic acid (2 mL). The resultant mixture was heated at 65 °C for 16 h. The mixture was then cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (5 mL) and HCl (2 M in diethyl ether, 2.0 mL, 4 mmol) was added. The resultant solution was concentrated to give the desired product (11.1 mg, 50%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.50 (br s, 1H), 8.22 (d, J = 8.7 Hz, 1H), 8.13 (br s, 1H), 8.00 (d, J = 8.7 Hz, 2H), 7.63 (s, 2H), 7.36 (br s, 2H), 4.35 – 4.31 (m, 1H), 3.26 – 3.17 (m, 1H), 2.97 – 2.89

(br s, 1H), 2.28 – 2.16 (m, 4H), 2.04 – 1.91 (m, 2H), 1.70 – 1.58 (m, 2H), 1.33 – 1.20 (m, 4H). ESI MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 96.0% (AUC), t_R = 11.44 min.

Example 720

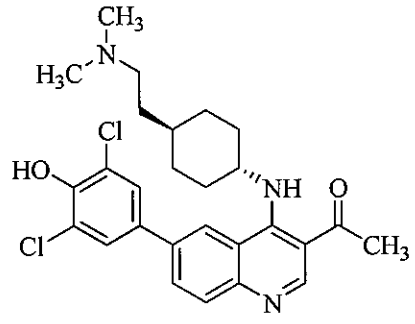
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)amino)quinolin-3-yl)ethanone



Following general procedure M,
1-(6-bromo-4-((1-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)amino)quinolin-3-yl)ethanone (19 mg, 0.0448 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (35 mg, 0.12 mmol) to afford the desired product (13.9 mg, 61%) as an orange solid. ^{1}H NMR (500 MHz, DMSO) δ 11.27 (s, 1H), 9.07 (s, 1H), 8.03 (dd, J = 8.7, 2.1 Hz, 1H), 7.96 – 7.87 (m, 2H), 7.35 – 7.27 (m, 3H), 7.16 (d, J = 2.1 Hz, 1H), 7.03 – 6.97 (m, 1H), 4.45 (br s, 1H), 2.95 – 2.84 (m, 1H), 2.84 – 2.73 (m, 1H), 2.65 (s, 3H), 2.21 (s, 6H), 2.14 – 2.06 (m, 2H).
ESI MS *m/z* 505 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 98.5% (AUC), t_R = 11.22 min.

Example 739

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone

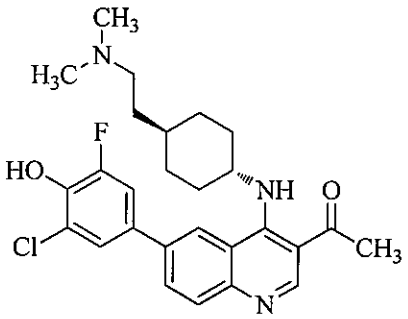


Following general procedure M,

1-(6-bromo-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone (63 mg, 0.15 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (65 mg, 0.225 mmol) to afford the desired product (7.3 mg, 9.7%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO) δ 10.69 (d, J = 8.0 Hz, 1H), 8.92 (s, 1H), 8.27 (d, J = 2.1 Hz, 1H), 8.01 (dd, J = 8.6, 2.1 Hz, 1H), 7.82 (d, J = 8.7 Hz, 1H), 7.66 (s, 2H), 4.21 – 4.12 (m, 1H), 2.65 (s, 3H), 2.48 – 2.41 (m, 2H), 2.28 (s, 3H), 2.23 – 2.16 (m, 2H), 1.88 – 1.81 (m, 2H), 1.51 – 1.38 (m, 5H), 1.23 – 1.12 (m, 2H). ESI MS *m/z* 500 $[C_{27}H_{31}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.40 min.

Example 741

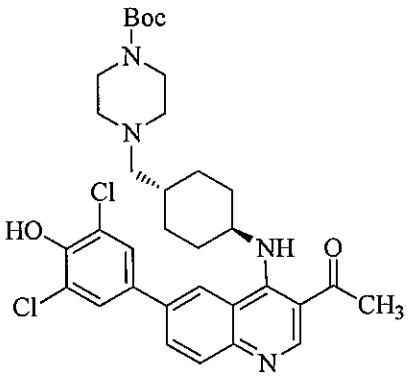
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone



Following general procedure M, 1-(6-bromo-4-((*trans*-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone (63 mg, 0.15 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (61 mg, 0.225 mmol) to afford the desired product (37 mg, 51%) as a yellow solid. ^{1}H NMR (500 MHz, DMSO) δ 10.65 (d, J = 7.9 Hz, 1H), 8.93 (s, 1H), 8.31 (d, J = 2.1 Hz, 1H), 8.03 (dd, J = 8.7, 2.1 Hz, 1H), 7.85 (d, J = 8.7 Hz, 1H), 7.61 – 7.54 (m, 2H), 4.20 – 4.11 (m, 1H), 2.66 (s, 3H), 2.28 (t, J = 7.3 Hz, 2H), 2.22 – 2.15 (m, 2H), 2.15 (s, 6H), 1.84 (d, J = 12.5 Hz, 2H), 1.51 – 1.31 (m, 5H), 1.21 – 1.09 (m, 2H). ESI MS *m/z* 484 $[C_{27}H_{31}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.13 min.

Example 1174

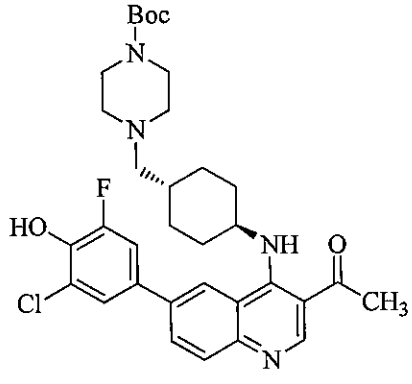
tert-butyl 4-((*trans*-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate



To a suspension of *tert*-butyl 4-((*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate (55 mg, 0.10 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, then directly subjected to column chromatography (silica, 0–20% methanol/dichloromethane) to afford the desired product (50 mg, 80%) as a brown solid. ESI MS *m/z* 627 $[C_{33}H_{40}Cl_2N_4O_4 + H]^+$

Example 1175

tert-butyl 4-((*trans*-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate

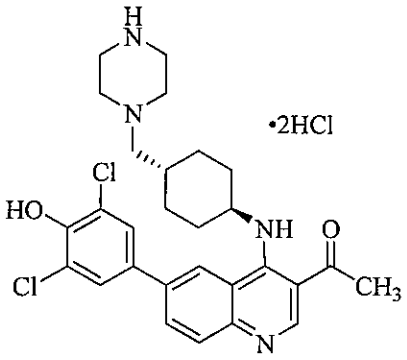


Following general procedure M, *tert*-butyl 4-((*trans*-4-((3-acetyl-6-bromoquinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate (55 mg, 0.10 mmol) was reacted with

2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.15 mmol) to afford the desired product (66 mg, >99%) as an off-white solid. ESI MS *m/z* 611 $[C_{33}H_{40}ClFN_4O_4 + H]^+$

Example 772

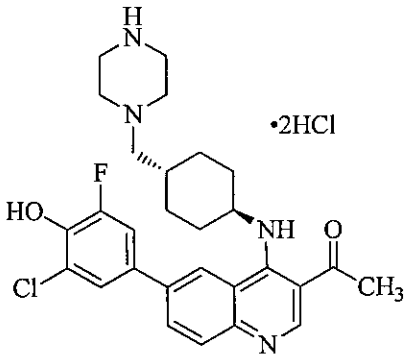
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-(piperazin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride



To a solution of *tert*-butyl 4-((*trans*-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)methyl) piperazine-1-carboxylate (50 mg, 0.80 mmol) in THF (5 mL) was added aqueous 1 N HCl (4 mL) and the reaction mixture was heated at 65 °C for 4 h. The reaction mixture was cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (5 mL) and HCl (1 M in water, 2.0 mL, 2 mmol) was added. The resultant solution was concentrated to give the desired product (19.9 mg, 41%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.48 (s, 1H), 8.28 (dd, J = 8.7, 1.8 Hz, 1H), 7.97 (d, J = 8.7 Hz, 1H), 7.73 (s, 2H), 4.57 – 4.53 (m, 1H), 3.67 – 3.63 (br s, 4H), 3.63 – 3.31 (br s, 2H), 3.14 – 3.10 (br s, 2H), 2.74 (s, 3H), 2.46 (d, J = 12.2 Hz, 2H), 2.18 (d, J = 12.8 Hz, 2H), 2.08 (br s, 1H), 1.80 (q, J = 12.4 Hz, 2H), 1.41 – 1.34 (m, 2H). ESI MS *m/z* 527 $[C_{28}H_{32}Cl_2N_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.49 min.

Example 783

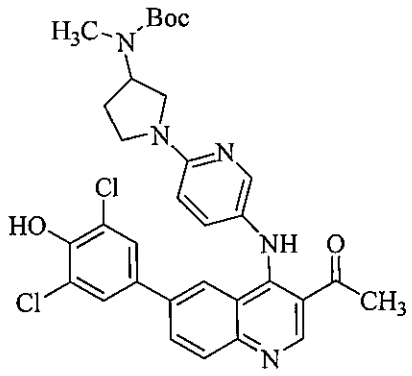
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-(piperazin-1-ylmethyl)cyclohexyl)amino) quinolin-3-yl)ethanone dihydrochloride



To a solution of *tert*-butyl 4-((*trans*-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)methyl)piperazine-1-carboxylate (66 mg, 0.10 mmol) in THF (5 mL) was added water (5 mL) and aqueous 6 N HCl (1.0 mL). The reaction mixture was heated at 65 °C for 2 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (5 mL) and HCl (6 M in water, 0.5 mL, 3 mmol) was added. The resultant solution was concentrated to give the desired product (24.2 mg, 41%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.7, 1.7 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (d, J = 11.3 Hz, 1H), 4.57 – 4.53 (br s, 1H), 3.67 (br s, 4H), 3.50 (br s, 2H), 3.17 (br s, 2H), 2.74 (s, 3H), 2.46 (d, J = 11.9 Hz, 2H), 2.18 (d, J = 12.5 Hz, 2H), 2.10 (br s, 1H), 1.83 – 1.77 (m, 2H), 1.41 – 1.34 (m, 2H). ESI MS *m/z* 511 $[C_{28}H_{32}ClFN_4O_2 + H]^+$; HPLC 98.3% (AUC), t_R = 9.38 min.

Example 1176

tert-butyl (1-(5-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate

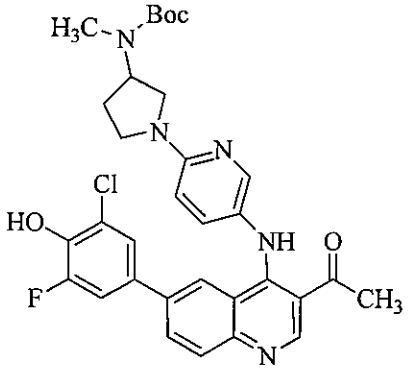


Following general procedure M, *tert*-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate

(80 mg, 0.15 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (72 mg, 0.25 mmol) to afford the desired product (94 mg, 100%) as a brown solid. ESI MS *m/z* 622 $[C_{32}H_{33}Cl_2N_5O_4 + H]^+$

Example 1177

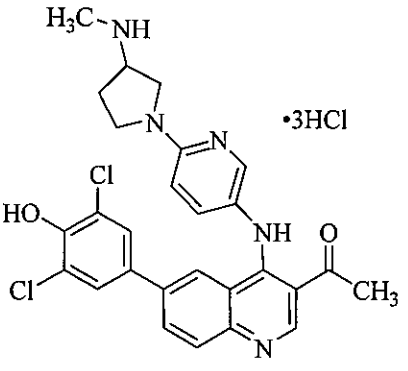
tert-butyl (1-(5-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate



Following general procedure M, *tert*-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (80 mg, 0.15 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.25 mmol) to afford the desired product (85 mg, 95%) as a brown solid. ESI MS *m/z* 606 $[C_{32}H_{33}ClFN_5O_4 + H]^+$

Example 788

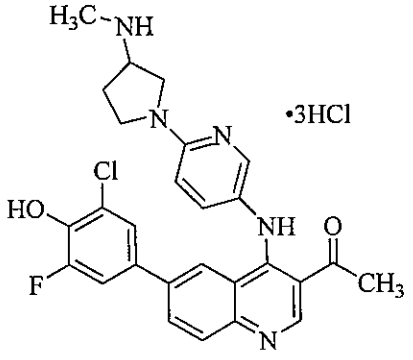
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone trihydrochloride



To a solution of *tert*-butyl (1-(5-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (94 mg, 0.15 mmol) in THF (5 mL) was added water (3 mL) and HCl (6 M in water, 2 mL, 12 mmol). The reaction mixture was heated at 65 °C for 4 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (5 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (81.2 mg, 85%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.32 (s, 1H), 8.32 – 8.25 (m, 2H), 8.13 – 8.05 (m, 2H), 8.03 – 7.97 (m, 1H), 7.37 (s, 2H), 7.22 (d, J = 9.1 Hz, 1H), 4.17 – 4.07 (m, 2H), 4.00 – 3.90 (m, 2H), 3.86 – 3.77 (m, 1H), 2.84 (s, 3H), 2.79 (s, 3H), 2.71 – 2.60 (m, 1H), 2.48 – 2.38 (m, 1H).
ESI MS *m/z* 522 $[C_{27}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 97.5% (AUC), t_R = 10.00 min.

Example 785

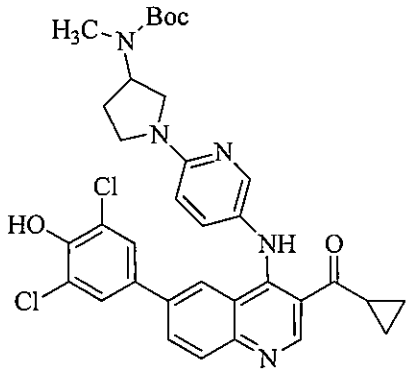
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone trihydrochloride



To a solution of *tert*-butyl (1-(5-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (85 mg, 0.14 mmol) in THF (5 mL) was added water (3 mL) and HCl (6 M in water, 2 mL, 12 mmol). The reaction mixture was heated at 65 °C for 3 h, cooled to room temperature and concentrated. The resultant residue was triturated with dichloromethane to give the desired product (55 mg, 64%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.31 (s, 1H), 8.31 – 8.23 (m, 2H), 8.10 – 8.03 (m, 2H), 7.95 (dd, J = 9.4, 2.4 Hz, 1H), 7.22 (dd, J = 11.5, 2.3 Hz, 1H), 7.18 – 7.11 (m, 2H), 4.14 – 4.08 (m, 2H), 3.98 – 3.86 (m, 2H), 3.83 – 3.74 (m, 1H), 2.84 (s, 3H), 2.79 (s, 3H), 2.71 – 2.60 (m, 1H), 2.47 – 2.36 (m, 1H).
ESI MS *m/z* 506 $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 9.62 min.

Example 1178

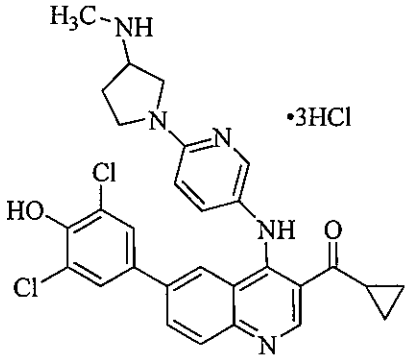
tert-butyl
(1-(5-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate



Following general procedure M, *tert*-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (98 mg, 0.173 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.275 mmol) to afford the desired product (90 mg, 80%) as a brown solid. ESI MS *m/z* 647 $[C_{34}H_{35}Cl_2N_5O_4 + H]^+$

Example 804

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)methanone trihydrochloride

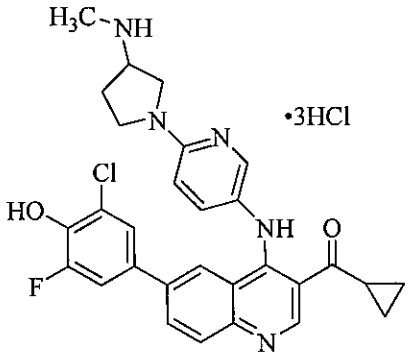


To a solution of *tert*-butyl (1-(5-((3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (90 mg, 0.14 mmol) in THF (3 mL) was added TFA (2 mL). The reaction mixture was heated at 65 °C for 16 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90%

acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (12.3 mg, 13%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.37 (s, 1H), 8.31 – 8.19 (m, 3H), 8.05 (d, J = 8.8 Hz, 1H), 7.87 (dd, J = 9.3, 2.7 Hz, 1H), 7.44 (s, 2H), 7.05 (d, J = 9.3 Hz, 1H), 4.12 – 4.01 (m, 2H), 3.93 – 3.81 (m, 2H), 3.80 – 3.71 (m, 1H), 2.88 – 2.79 (m, 1H), 2.83 (s, 3H), 2.69 – 2.58 (m, 1H), 2.43 – 2.32 (m, 1H), 1.26 – 1.18 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 95.9% (AUC), t_R = 10.31 min.

Example 789

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride

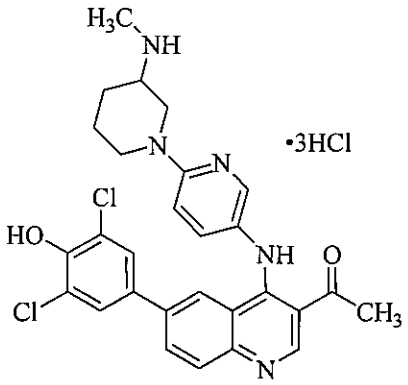


To a suspension of *tert*-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyridin-2-yl)pyrrolidin-3-yl)(methyl)carbamate (88 mg, 0.155 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.25 mmol) and Pd(dppf)Cl_2 (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a brown solid. This solid was dissolved in THF (3 mL) and TFA (2 mL). The reaction mixture was heated at 65 °C for 16 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (46.5 mg, 47%) as an orange solid. ^{1}H NMR (500

MHz, MeOD) δ 9.34 (s, 1H), 8.36 (s, 1H), 8.31 (dd, J = 8.8, 1.9 Hz, 1H), 8.24 (d, J = 2.5 Hz, 1H), 8.08 (d, J = 8.8 Hz, 1H), 8.02 – 7.95 (m, 1H), 7.41 – 7.33 (m, 2H), 7.20 (dd, J = 9.6, 2.5 Hz, 1H), 4.17 – 4.07 (m, 2H), 3.99 – 3.88 (ddd, J = m, 2H), 3.85 – 3.76 (m, 1H), 2.84 (s, 3H), 2.83 – 2.75 (m, 1H), 2.72 – 2.61 (m, 1H), 2.49 – 2.38 (m, 1H), 1.24 – 1.12 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 10.10 min.

Example 806

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(methylamino)piperidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone trihydrochloride

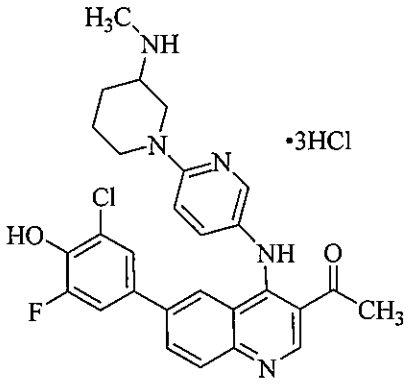


To a suspension of *tert*-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl)piperidin-3-yl)(methyl)carbamate (80 mg, 0.144 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.28 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a brown solid. This solid was dissolved in THF (3 mL), water (2 mL) and HCl (6 M in water, 2 mL, 12 mmol). The reaction mixture was heated at 65 °C for 3 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (55.4 mg, 60%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.30 (s, 1H), 8.29 – 8.21 (m, 2H), 8.06 – 8.00 (m, 2H), 7.83 (dd, J = 9.1, 2.7 Hz, 1H), 7.30 (s, 2H), 7.30 – 7.26 (m, 1H), 4.47 (br s, 1H), 3.98 (d, J = 13.4 Hz, 1H), 3.63 (br s, 1H), 3.50 – 3.40 (m, 1H), 3.37 – 3.32 (m, 1H), 2.80 (s, 3H), 2.80 (s, 3H), 2.30 – 2.23 (m, 1H), 2.03 – 1.95 (m, 1H), 1.88 – 1.69 (m, 2H). ESI

MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.17 min.

Example 815

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-(3-(methylamino)piperidin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone trihydrochloride

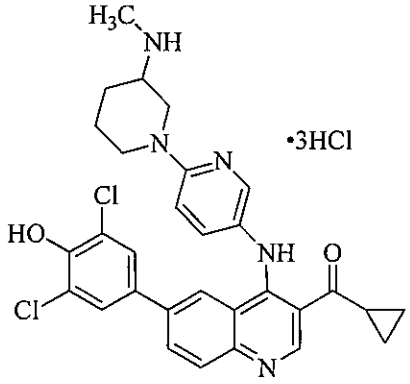


To a suspension of *tert*-butyl (1-(5-((3-acetyl-6-bromoquinolin-4-yl)amino)pyridin-2-yl)piperidin-3-yl)(methyl)carbamate (80 mg, 0.144 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.28 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a brown solid. This solid was dissolved in THF (3 mL), water (3 mL) and HCl (6 M in water, 2 mL, 12 mmol). The reaction mixture was heated at 65 °C for 4 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (63.2 mg, 70%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.28 (s, 1H), 8.29 – 8.19 (m, 2H), 8.04 – 7.96 (m, 2H), 7.78 (d, *J* = 9.5 Hz, 1H), 7.24 (d, *J* = 9.3 Hz, 1H), 7.13 – 7.06 (m, 2H), 4.46 (br s, 1H), 3.96 (d, *J* = 13.1 Hz, 1H), 3.61 (br s, 1H), 3.48 – 3.37 (m, 1H), 2.82 (s, 3H), 2.80 (s, 3H), 2.28 – 2.24 (m, 1H), 2.02 – 1.94 (m, 1H), 1.88 – 1.70 (m, 2H). ESI MS *m/z* 520 $[C_{32}H_{32}Cl_2N_4O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 9.82 min.

Example 807

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-(3-(methylamino)piperidin-1-yl)pyridin-3-y

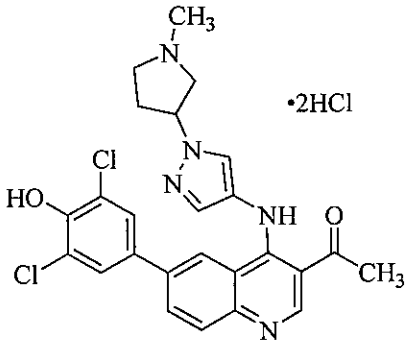
l)amino)quinolin-3-yl)methanone trihydrochloride



To a suspension of *tert*-butyl (1-(5-((6-bromo-3-(cyclopropanecarbonyl)quinolin-4-yl)amino)pyridin-2-yl)piperidin-3-yl)(methyl)carbamate (80 mg, 0.137 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.28 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a brown solid. This solid was dissolved in THF (3 mL) and TFA (2 mL). The reaction mixture was heated at 65 °C for 16 h, cooled to room temperature and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (39.6 mg, 43%) as an orange solid. ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.29 – 8.21 (m, 2H), 8.19 (s, 1H), 8.04 (d, J = 8.8 Hz, 1H), 7.78 (dd, J = 9.3, 2.7 Hz, 1H), 7.40 (s, 2H), 7.25 (d, J = 9.3 Hz, 1H), 4.44 (br s, 1H), 4.00 – 3.92 (m, 1H), 3.61 (br s, 1H), 3.48 – 3.36 (m, 1H), 3.37 – 3.32 (m, 1H), 2.89 – 2.81 (s, 1H), 2.80 (s, 3H), 2.28 – 2.22 (m, 1H), 2.02 – 1.94 (m, 1H), 1.87 – 1.69 (m, 2H), 1.24 – 1.16 (m, 4H). ESI MS *m/z* 561 $[C_{30}H_{29}Cl_2N_5O_2 + H]^+$; HPLC 97.8% (AUC), t_R = 10.73 min.

Example 814

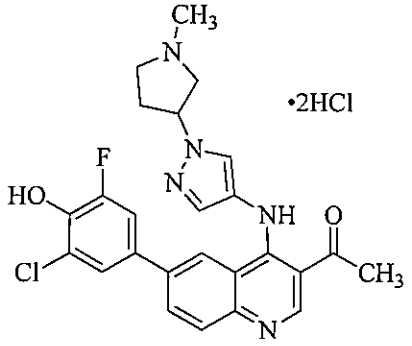
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone dihydrochloride



To a suspension of 1-(6-bromo-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone (80 mg, 0.19 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.28 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a residue that was further purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The resultant residue was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (66.2 mg, 60%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.27 (br s, 1H), 8.26 – 8.17 (m, 2H), 8.11 (s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.79 (s, 1H), 7.37 (s, 2H), 5.41 (br s, 1H), 4.25 – 3.93 (m, 2H), 3.84 – 3.32 (m, 2H), 3.21 – 3.03 (m, 3H), 2.82 – 2.78 (br s, 1H), 2.80 (3, 3H), 2.39 (br s, 1H). ESI MS *m/z* 496 $[C_{25}H_{23}Cl_2N_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.56 min.

Example 813

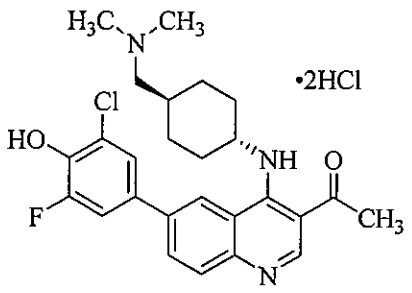
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl) amino)quinolin-3-yl)ethanone dihydrochloride



To a suspension of 1-(6-bromo-4-((1-(1-methylpyrrolidin-3-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone (80 mg, 0.19 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (68 mg, 0.25 mmol) and $Pd(dppf)Cl_2$ (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a residue that was dissolved in methanol (8 mL) and HCl (6 M in water, 1.0 mL, 6 mmol) was added. The resultant solution was concentrated to give the desired product (69.8 mg, 65%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.28 (s, 1H), 8.26 – 8.17 (m, 2H), 8.12 (s, 1H), 8.05 – 7.99 (d, J = 8.8 Hz, 1H), 7.82 – 7.77 (m, 1H), 7.25 (s, 1H), 7.13 (d, J = 11.3 Hz, 1H), 5.46 – 5.34 (m, 1H), 4.28 – 3.96 (m, 2H), 3.88 – 3.55 (m, 1H), 3.41 – 3.32 (m, 1H), 3.15 (s, 1H), 3.05 (s, 2H), 2.93 – 2.81 (m, 1H), 2.80 (s, 3H), 2.68 – 2.33 (m, 1H). ESI MS *m/z* 480 $[C_{25}H_{23}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.44 min.

Example 943

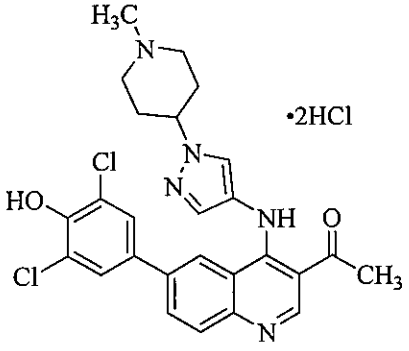
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl) amino)quinolin-3-yl)ethanone dihydrochloride



To a suspension of 1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone (3.06 g, 6.51 mmol) in methanol (250 mL) was added HCl (1.25 M in MeOH, 25 mL, 31.2 mmol). The resultant suspension was partially concentrated, filtered and rinsed with ethyl acetate to give the desired product (3.10 g, 88%) as a light yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.00 (s, 1H), 8.38 (d, J = 2.0 Hz, 1H), 8.10 (dd, J = 8.7, 2.0 Hz, 1H), 7.93 (d, J = 8.7 Hz, 1H), 7.54 (dd, J = 2.2, 1.5 Hz, 1H), 7.46 (dd, J = 11.5, 2.3 Hz, 1H), 4.38 – 4.29 (m, 1H), 3.06 (d, J = 6.6 Hz, 2H), 2.91 (s, 6H), 2.71 (s, 3H), 2.40 (d, J = 12.7 Hz, 2H), 2.04 – 1.95 (m, 3H), 1.75 – 1.65 (m, 2H), 1.31 (q, J = 12.8 Hz, 2H). ESI MS *m/z* 470 $[C_{26}H_{29}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.75 min.

Example 836

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone dihydrochloride

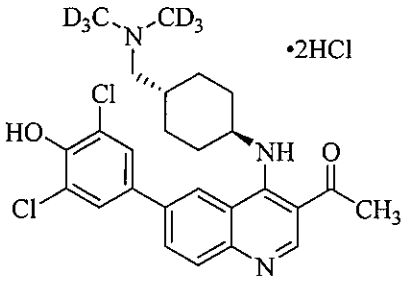


To a suspension of 1-(6-bromo-4-((1-(1-methylpiperidin-4-yl)-1*H*-pyrazol-4-yl)amino)quinolin-3-yl)ethanone (50 mg, 0.116 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.15 mmol) and Pd(dppf)Cl_2 (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate and concentrated. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a residue that was dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.0 mL, 1.25 mmol) was added. The resultant solution was concentrated to give the desired product (18.6 mg, 27%) as a yellow solid. ^{1}H NMR (500 MHz, MeOD) δ 9.26 (s, 1H), 8.25 – 8.18 (m, 1H), 8.18 – 8.07 (m, 2H), 8.00 (d, J = 8.8 Hz, 1H), 7.71 (s, 1H), 7.36 – 7.32 (m, 2H), 4.70 – 4.61 (m, 1H), 3.68 (d, 2H), 3.53 –

3.45 (m, 1H), 3.41 – 3.22 (m, 1H), 2.94 (s, 3H), 2.80 (s, 3H), 2.53 – 2.33 (m, 4H). ESI MS *m/z* 510 $[C_{26}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 9.46 min.

Example 864

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride

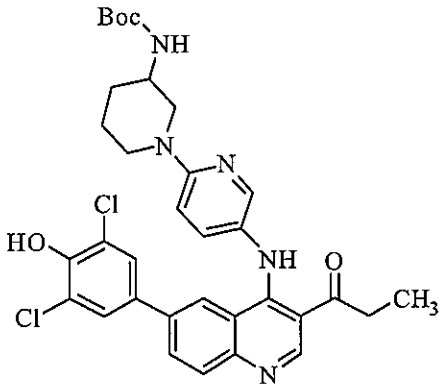


To a suspension of 1-(6-bromo-4-((*trans*-4-((dimethyl-d_6-amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone (273 mg, 0.67 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (289 mg, 1.0 mmol) and Pd(dppf)Cl_2 (49 mg, 0.067 mmol) in dioxane (20 mL) was added Cs_2CO_3 (1.0 M in H_2O, 2 mL, 2 mmol). N_2 gas was bubbled through the reaction mixture and the mixture was then heated at 80 °C for 2 h. The solution was allowed to cool to room temperature, diluted with a saturated $NaHCO_3$ solution and extracted with a mixture of $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate. Purification by column chromatography (silica, 0–20% methanol/dichloromethane) afforded a residue that was dissolved in methanol (20 mL) and HCl (1.25 M in methanol, 8.0 mL, 12 mmol) was added. The resultant solution was concentrated to give the desired product (245 mg, 75%) as a light brown solid. ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.27 (dd, *J* = 8.8, 1.8 Hz, 1H), 7.99 (d, *J* = 8.8 Hz, 1H), 7.73 (s, 2H), 4.54 (br s, 1H), 3.08 (d, *J* = 6.6 Hz, 2H), 2.74 (s, 3H), 2.46 (d, *J* = 12.3 Hz, 2H), 2.10 – 2.00 (m, 3H), 1.87 – 1.75 (m, 2H), 1.36 (q, *J* = 12.9 Hz, 2H).

ESI MS *m/z* 492 $[C_{26}H_{23}D_6Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.81 min.

Example 1179

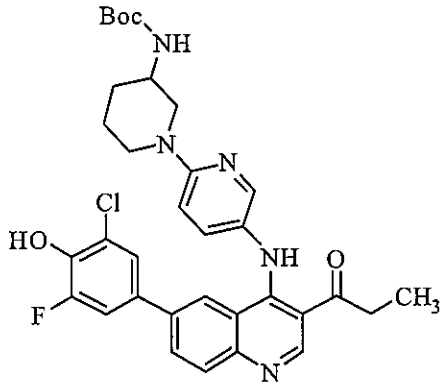
tert-butyl 1-(5-(6-(3,5-dichloro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate



Following general procedure D, tert-butyl tert-butyl 1-(5-(6-bromo-3-propionyl quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (46 mg, 0.091 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (39 mg, 0.137 mmol) to afford the desired product (35 mg, 60%) as an yellow solid: ESI MS *m/z* 636, $[C_{33}H_{35}Cl_2N_5O_4 + H]^+$

Example 1180

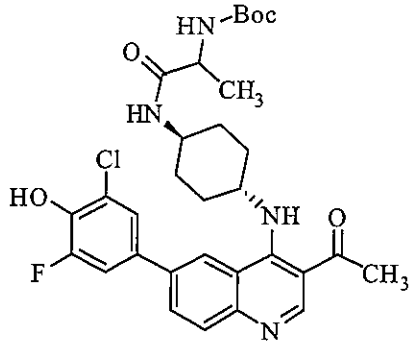
tert-butyl 1-(5-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate (46 mg, 0.091 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (37 mg, 0.137 mmol) to afford the desired product (32 mg, 60%) as an yellow solid: ESI MS *m/z* 619, $[C_{33}H_{35}ClFN_5O_4 + H]^+$

Example 1181

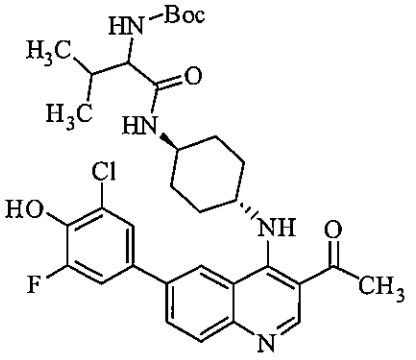
tert-butyl 1-((1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexylamino)-1-oxopropan-2-ylcarbamate



Following general procedure D, tert-butyl 1-((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylamino)-1-oxopropan-2-ylcarbamate(70 mg, 0.131 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.157 mmol) to afford the desired product (42 mg, 53%) as an orange solid: ESI MS *m/z* 599, $[C_{31}H_{36}ClFN_4O_5 + H]^+$

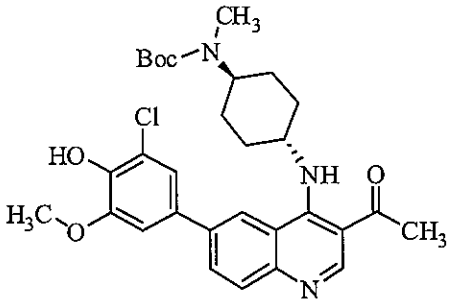
Example 1182

tert-butyl 1-((1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexylamino)-3-methyl-1-oxobutan-2-ylcarbamate



Following general procedure D, tert-butyl 1-(1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexylamino)-3-methyl-1-oxobutan-2-ylcarbamate (70 mg, 0.131 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.150 mmol) to afford the desired product (24 mg, 31%) as an yellow solid: ESI MS *m/z* 627, $[C_{33}H_{40}ClFN_4O_5 + H]^+$

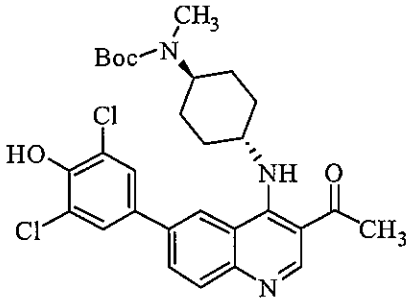
Example 1183tert-Butyl (1r,4r)-4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-4-ylamino)cyclohexyl(methyl)carbamate



Following general procedure D, tert-butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclohexyl(methyl)carbamate (60 mg, 0.126 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.152 mmol) to afford the desired product (53 mg, 72%) as a yellow brown solid; ESI MS *m/z* 580, $[C_{32}H_{38}ClN_3O_5 + H]^+$

Example 1184

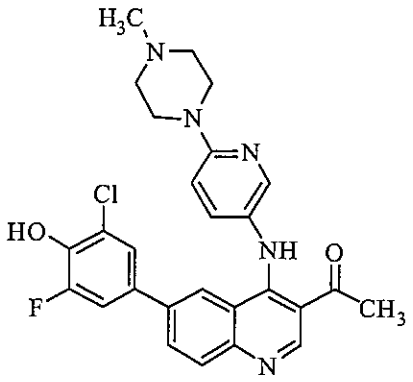
tert-butyl (1r,4r)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-4-ylamino)cyclohexyl(methyl)carbamate



Following general procedure D, tert-butyl (1r,4r)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl(methyl)carbamate (60 mg, 0.126 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (44 mg, 0.151 mmol) to afford the desired product (60 mg, 81%) as a yellow brown solid: ESI MS *m/z* 584, $[C_{31}H_{35}Cl_2N_3O_4 + H]^+$

Example 487

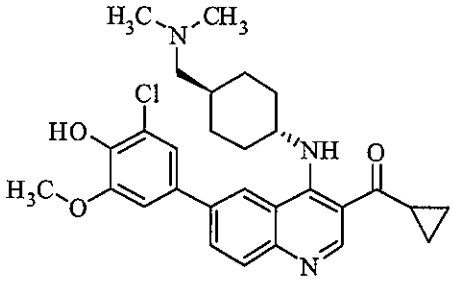
1-(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone



Following general procedure D, [1-(6-bromo-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone(50 mg, 0.113 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (34 mg, 0.124 mmol) to afford the desired product (18 mg, 31%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.08 (s, 1H), 8.07 (d, J = 3 Hz, 1H), 7.91 (s, 2H), 7.82 (s, 1H), 7.49 (dd, J = 12, 2.0 Hz, 1H), 7.00–6.92 (m, 3H), 3.66 (t, J = 10 Hz, 4H), 2.77 (s, 3H), 2.62 (t, J =10 Hz, 4H), 2.39 (s, 3H); ESI MS *m/z* 506, $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 14.39 min.

Example 513

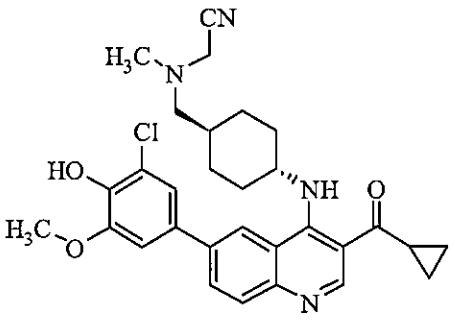
(6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone(60 mg, 0.139 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl -1,3,2- dioxaborolan-2-yl)phenol (48 mg, 0.167 mmol) to afford the desired product (25 mg, 35%) as a green-yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.16 (s, 1H), 8.34 (d, J = 2 Hz, 1H), 7.99 (dd, J = 11, 2 Hz, 1H), 7.89 (d, J = 8.5 Hz, 1H), 7.24 (d, J = 2.5 Hz, 1H), 7.1 (d, J = 2 Hz, 1H), 4.61 (d, J = 3.5 Hz, 1H), 3.97 (s, 3H), 2.85 (quint, J = 15.5 Hz, 1H), 2.51 (d, J = 7 Hz, 3H) 2.43 (s, 3H), 2.00 (m, 2H), 1.90 (m, 2H) 1.75 (m, 3H), 1.19 (q, J = 10.5 Hz, 2H), 1.10 (quint, J = 14.5, 2H), ; ESI MS *m/z* 508, $[C_{29}H_{34}ClN_3O_3 + H]^+$; HPLC 99.0% (AUC), t_R = 9.64 min.

Example 518

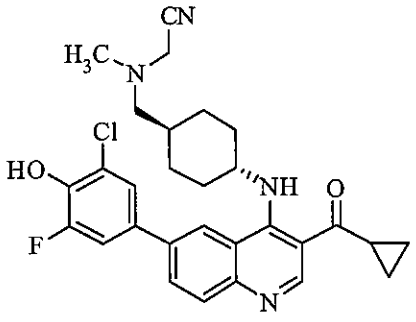
2-((((1R,4R)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropane carbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile



Following general procedure D, 2-((((1R,4R)-4-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile (40 mg, 0.087 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (29 mg, 0.105 mmol) to afford the desired product (15 mg, 32%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.16 (s, 1H), 8.35 (s, 1H), 7.98 (dd, J = 10.5, 1.5 Hz, 1H), 7.88 (d, J = 8.5 Hz, 1H), 7.25 (d, *J* = 2 Hz, 1H), 7.18 (d, J = 1.5 Hz, 1H), 4.59 (s, 1H), 3.97 (s, 3H), 3.66 (s, 2H) 2.84 (quint, *J* = 15.5 Hz, 1H), 2.39 (d, J = 7 Hz, 2H) 2.35 (s, 3H) 1.98 (m, 2H), 1.87 (m, 2H), 1.73 (m, 3H), 1.45 (q, J = 11 Hz, 2H) 1.21 (q, J = 16.5 Hz, 2H), 1.10 (d, J = 4 Hz, 2H), ; ESI MS *m/z* 533, $[C_{30}H_{33}ClN_4O_3 + H]^+$; HPLC 96.4% (AUC), t_R = 12.62 min.

Example 524

2-((((1R,4R)-4-(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl) quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile

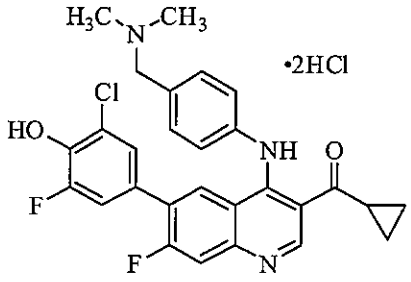


Following general procedure D, 2-((((1R,4R)-4-(6-bromo-3-(cyclopropanecarbonyl)quinolin -4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile (40 mg, 0.087 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (28 mg, 0.105 mmol) to

afford the desired product (18 mg, 39%) as a yellow solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.38 (s, 1H), 9.16 (s, 1H), 8.34 (s, 1H), 8.04 (dd, J = 10.5, 1.5 Hz, 1H), 7.88 (d, J = 8.5 Hz, 1H), 7.63 (m, 2H), 4.42 (s, 1H), 3.72 (s, 2H), 2.95 (quint, J = 15.5 Hz, 1H), 2.28 (d, J = 7.5 Hz, 2H) 2.24 (s, 3H) 1.79 (m, 4H), 1.64 (m, 3H), 1.34 (m, 2H), 1.10 (q, J = 12 Hz, 2H), 1.04 (m, 2H), ; ESI MS *m/z* 521, $[C_{29}H_{30}ClFN_4O_2 + H]^+$; HPLC 94.9% (AUC), t_R = 12.59 min.

Example 541

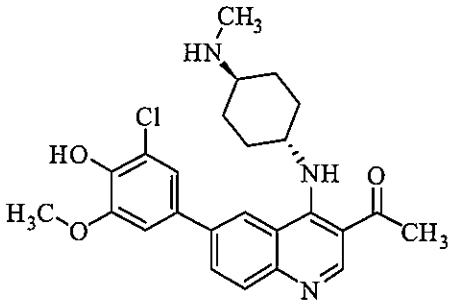
(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, ((6-bromo-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone (40 mg, 0.090 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (31 mg, 0.113 mmol) to afford the desired product (11 mg, 24%) as a yellow solid: 1H NMR (500 MHz, DMSO-d_6) δ 10.70 (s, 1H), 9.06 (s, 1H), 8.09 (d, J = 8.5 Hz, 1H), 7.75 (d, J = 12 Hz, 1H), 7.26 (d, J = 8.5 Hz, 2H), 7.21 (d, J = 6.5 Hz, 2H), 7.09 (d, J = 8.5, 2H), 3.40 (s, 2H), 2.77 (quint, J = 15 Hz, 1H), 2.13 (s, 6H), 0.86 (m, 4H); ESI MS *m/z* 508, $[C_{28}H_{24}ClF_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.83 min.

Example 542

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino) quinolin-3-yl)ethanone

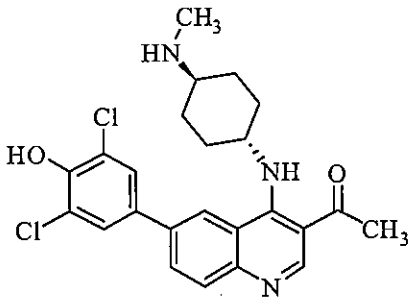


tert-butyl(1r,4r)-4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-4-ylamino)cyclohe

xyl(methyl)carbamate (53 mg, 0.096 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), and eluted through an ion-exchange column (using methanol and 7 N ammonia in methanol) to obtain the desired product (11 mg, 25%) as a yellow solid: 1H NMR (500 MHz, DMSO-d_6) δ 10.56 (s, 1H), 8.94 (s, 1H), 8.28 (s, 1H), 8.05 (s, 1H), 7.87 (s, 1H), 7.29 (s, 2H), 4.17 (s, 1H), 3.95 (s, 3H), 2.66 (s, 3H), 2.38 (s, 4H), 2.23 (s, 2H), 2.03 (s, 2H), 1.67 (s, 1H), 1.51 (s, 2H), 1.30 (s, 3H), ESI MS *m/z* 454, $[C_{25}H_{28}ClN_3O_3 + H]^+$; HPLC 99.5% (AUC), t_R = 9.99 min.

Example 558

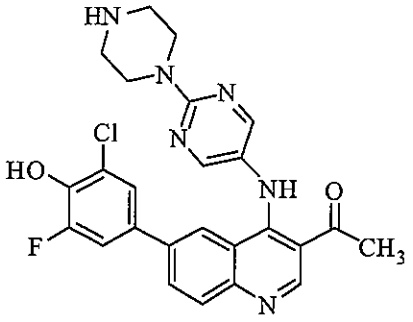
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino) quinolin-3-yl)ethanone



Following procedure A-2 tert-butyl(1r,4r)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-4-ylamino)cyclohexyl(methyl)carbamate (60 mg, 0.107 mmol) was treated with TFA (2 mL) to afford the desired product (8 mg, 16%) as a yellow-orange solid: 1H NMR (300 MHz, CD_3OD) δ 9.12 (s, 1H), 8.45 (s, 1H), 8.29 (d, J = 8.7 Hz, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.73 (s, 2H), 4.59 (s, 1H), 2.74 (s, 6H), 2.54 (t, J = 13.5, 2H), 2.35 (d, J = 11.4 Hz, 2H), 1.85 (m, 2H), 1.64 (m, 2 H), 1.30 (m, 2H); ESI MS *m/z* 458, $[C_{24}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 99.2% (AUC), t_R = 10.01 min.

Example 594

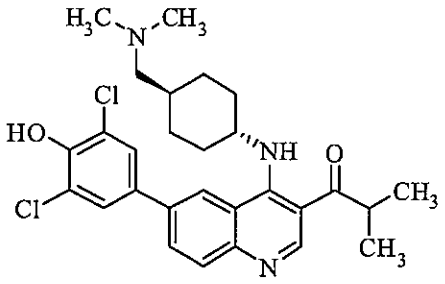
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino) quinolin-3-yl)ethanone



Following procedure A-2 tert-butyl 4-(5-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino)pyrimidin-2-yl)piperazine-1-carboxylate (50 mg, 0.084 mmol) was treated with TFA (2 mL) to afford the desired product (11 mg, 26%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.29 (s, 1H), 8.55 (s, 2H), 8.23 (dd, J = 11, 2 Hz, 1H), 8.02 (m, 2H), 7.12 (m, 2H), 4.21 (t, J = 10 Hz, 4H), 3.35 (t, J = 10.5 Hz, 4H) 2.80 (s, 3H); ESI MS *m/z* 493, $[C_{25}H_{22}ClFN_6O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 9.90 min.

Example 608

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexylamino) quinolin-3-yl)-2-methylpropan-1-one

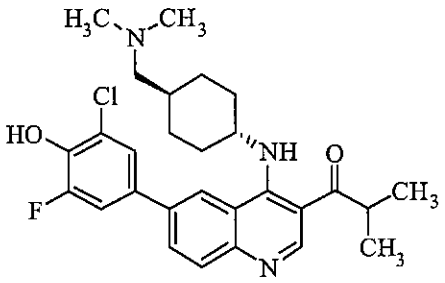


Following general procedure D, 1-(6-bromo-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one (80 mg, 0.185 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (64 mg, 0.222 mmol) to afford the desired product (39 mg, 41%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.17 (s, 1H), 8.47 (s, 1H), 8.26 (dd, J = 10, 1.5 Hz, 1H), 7.99 (d, J = 8.5 Hz, 1H), 7.72 (s, 2H), 4.52 (s, 1H), 3.73 (t, J = 11.5 Hz, 1H) 3.08 (d, J = 6.5 Hz, 2H) 2.92 (s, 6H), 2.44 (s, 2H), 2.05 (d, J = 11.5, 3H), 1.81 (q, J = 35.5, 2H) 1.36 (m, 2H), 1.26 (d, J = 6.5, 6H); ESI MS *m/z* 514, $[C_{28}H_{33}Cl_2N_3O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 11.33 min.

Example 620

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)

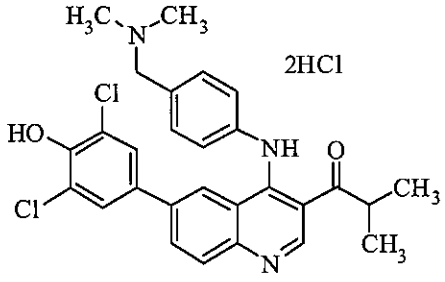
cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one



Following general procedure D, 1-(6-bromo-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl amino)quinolin-3-yl)-2-methylpropan-1-one (64 mg, 0.148 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (60 mg, 0.222 mmol) to afford the desired product (18 mg, 24%) as a green-yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 8.92 (s, 1H), 8.29 (s, 1H), 7.95 (dd, J = 10.5, 2 Hz, 1H), 7.84 (d, J = 8.7 Hz, 1H), 7.45 (s, 1H), 7.35 (dd, J = 14.1, 2.4 Hz, 1H) 4.16 (s, 1H), 3.74 (quint, J = 13.5 Hz, 1H) 2.59 (d, J = 7.2 Hz, 2H) 2.54 (s, 6H), 2.32 (d, J = 12 Hz, 2H), 1.97 (d, J = 12.9, 2H), 1.60 (q, J = 35.5, 2H) 1.22 (m, 8H), ESI MS *m/z* 498, $[C_{28}H_{33}ClFN_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 11.15 min.

Example 641

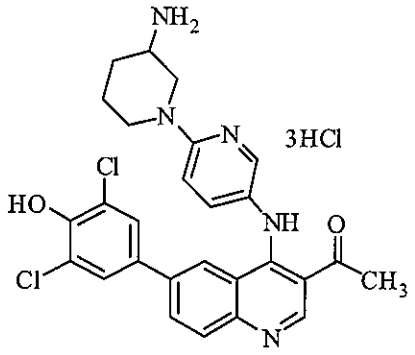
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one



Following general procedure D, 1-(6-bromo-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one (80 mg, 0.186 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (84 mg, 0.291 mmol) to afford the desired product (58 mg, 61%) as a yellow-green solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.09 (s, 1H), 7.90 (s, 2H), 7.74 (s, 1H), 7.42(d, J = 8.4 Hz, 2H), 7.20 (d, J = 8.1 Hz, 2H), 7.10 (s, 2H), 3.81 (m, 3H), 2.41 (s, 6H), 1.24 (d, J = 6.6, 6H), ESI MS *m/z* 508, $[C_{28}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 99.7% (AUC), t_R = 11.16 min.

Example 681

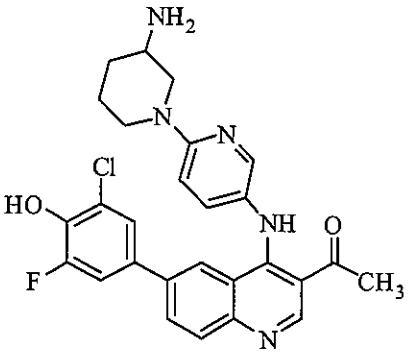
1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone



tert-butyl 1-(5-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (52 mg, 0.080 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (40 mg, 79%) as a yellow-brown solid: 1H NMR (500 MHz, DMSO-d_6) δ 10.56 (s, 1H), 8.94 (s, 1H), 8.28 (s, 1H), 8.05 (s, 1H), 7.87 (s, 1H), 7.29 (s, 2H), 4.17 (s, 1H), 3.95 (s, 3H), 2.66 (s, 3H), 2.38 (s, 4H), 2.23 (s, 2H), 2.03 (s, 2H), 1.67 (s, 1H), 1.51 (s, 2H), 1.30 (s, 3H); ESI MS *m/z* 454, $[C_{25}H_{28}ClN_3O_3 + H]^+$; HPLC 99.5% (AUC), t_R = 9.99 min.

Example 733

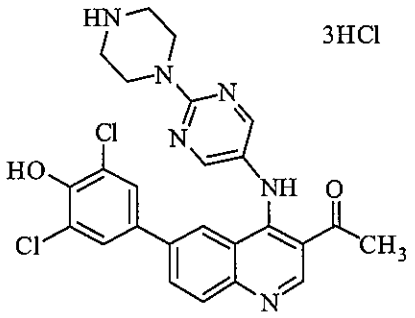
1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone



tert-butyl 1-(5-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (80 mg, 0.132 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (30 mg, 45%) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.26 (s, 1H), 8.24 (d, J = 2.9 Hz, 1H), 8.20 (dd, J = 8.9, 1.9 Hz, 1H), 7.99 (d, J = 8.9 Hz, 1H), 7.95 (d, J = 2.0 Hz, 1H), 7.68 (dd, J = 9.1, 2.8 Hz, 1H), 7.11 (d, J = 9.1 Hz, 1H), 7.04 (m, 2H), 4.54 (s, 1H), 4.02 (d, J = 12.7 Hz, 1H), 2.80 (s, 3H), 2.18 (m, 1H), 1.94 (m, 1H), 1.74 (m, 2H); ESI MS *m/z* 506, $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC 95.2% (AUC), t_R = 10.84 min.

Example 702

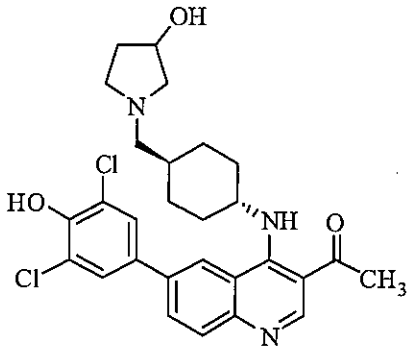
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino) quinolin-3-yl)ethanone



tert-butyl 4-(5-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)pyridin-2-yl)piperazine-1-carboxylate (65mg, 0.106 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (43 mg, 65%) as a yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.28 (s, 1H), 8.29 (d, J = 2.7 Hz, 1H), 8.22 (dd, J = 8.8, 2.0 Hz, 1H), 8.00 (m, 2H), 7.77 (dd, J = 9.2, 2.7 Hz, 1H), 7.24 (s, 2H), 7.19 (d, J = 9.2 Hz, 1H), 3.98 (t, J = 5.3 Hz, 4H), 3.36 (t, J = 5.3 Hz, 4H), 2.80 (s, 3H); ESI MS *m/z* 508, $[C_{25}H_{22}Cl_2N_6O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 10.10 min.

Example 753

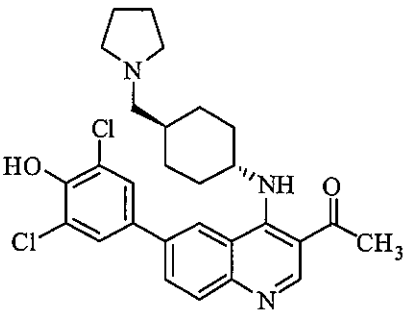
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl) cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone (75 mg, 0.168 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (60 mg, 0.201 mmol) to afford the desired product (9 mg, 10%) as an yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.72 (s, 2H), 4.56 (m, 2H), 3.81 (m, 1H), 3.60 (d, J = 11.8 Hz, 1H), 3.18 (m, 3H), 2.73 (s, 3H), 2.46 (d, J = 11.8 Hz, 2H), 2.38 (d, J = 7.2 Hz, 1H), 2.07 (m, 4H), 1.79 (q, J = 12.3 Hz, 2H), 1.38 (q, J = 12.3 Hz, 2H); ESI MS *m/z* 528, $[C_{28}H_{31}Cl_2N_3O_3 + H]^+$; HPLC 96.4% (AUC), t_R = 11.04 min.

Example 767

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino) quinolin-3-yl)ethanone

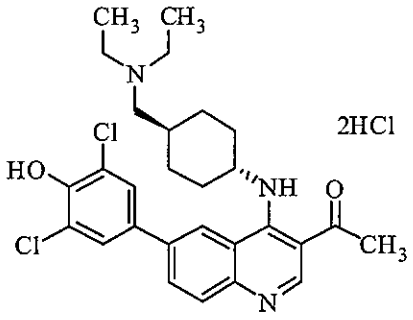


Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl) cyclohexylamino)quinolin-3-yl)ethanone (127 mg, 0.295 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (100 mg, 0.354 mmol) to afford the desired product (40 mg, 26%) as an light yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.27 (dd, J = 8.7, 1.9 Hz, 1H), 7.97 (d, J = 8.7 Hz, 1H), 7.72 (s, 2H), 4.53 (s, 1H), 3.70 (m, 2H), 3.14 (m, 4H), 2.73 (s, 3H), 2.46 (d, J = 12.3 Hz, 2H), 2.18 (m,

1H), 2.06 (m, 5H), 1.80 (q, J = 12.3 Hz, 2H), 1.37 (m, 2H); ESI MS *m/z* 512, $[C_{28}H_{31}Cl_2N_3O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 10.49 min.

Example 790

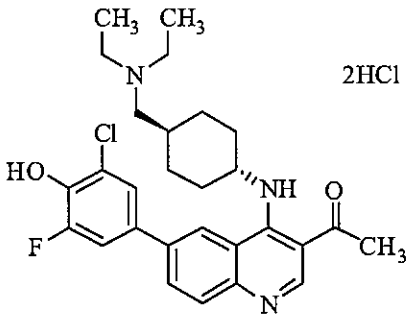
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl) cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((diethylamino)methyl)cyclohexyl amino)quinolin-3-yl)ethanone (60 mg, 0.139 mmol) was reacted with 2,6-dichloro -4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.167 mmol) to afford the desired product (38 mg, 46%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.28 (dd, J = 8.7, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.73 (s, 2H), 4.54 (s, 1H), 3.27 (m, 2H), 3.07 (d, J = 6.7 Hz, 2H), 2.74 (s, 3H), 2.46 (d, J = 12.0 Hz, 2H), 2.11 (d, J = 12.7 Hz, 2H), 2.03 (s, 1H), 1.83 (q, J = 12.7 Hz, 2H), 1.38 (m, 8H); ESI MS *m/z* 514, $[C_{28}H_{33}Cl_2N_3O_2 + H]^+$; HPLC 96.5% (AUC), t_R = 10.38 min.

Example 794

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexyl amino)quinolin-3-yl)ethanone

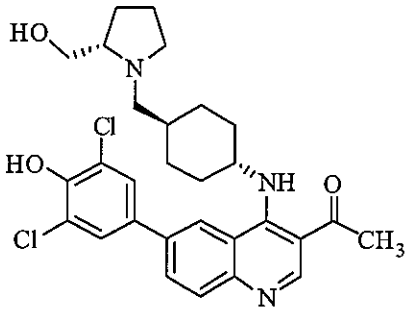


Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone (113 mg, 0.261 mmol) was reacted with

2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (100 mg, 0.392 mmol) to afford the desired product (80 mg, 53%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.5, 2.3 Hz, 1H), 4.54 (s, 1H), 3.28 (m, 2H), 3.08 (d, J = 6.7 Hz, 2H), 2.74 (s, 3H), 2.46 (d, J = 12.2 Hz, 2H), 2.10 (d, J = 12.8 Hz, 2H), 2.02 (m, 1H), 1.81 (q, J = 11.1 Hz, 2H), 1.35 (m, 8H); ESI MS m/z 498, $[C_{28}H_{33}ClFN_3O_2 + H]^+$; HPLC 99.5% (AUC), t_R = 10.23 min.

Exapmple 792

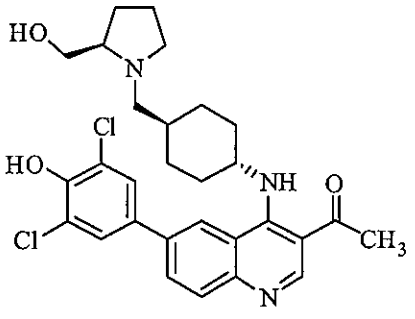
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl) methyl)cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone (70 mg, 0.152 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (63 mg, 0.228 mmol) to afford the desired product (11 mg, 17%) as an yellow-brown solid: ^{1}H NMR (300 MHz, MeOD) δ 9.11 (s, 1H), 8.49 (s, 1H), 8.28 (dd, J = 8.8, 1.7 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.56 (s, 1H), 3.90 (m, 1H), 3.70 (m, 3H), 3.32 (m, 2H), 3.23 (m, 2H), 3.08 (dd, J = 12.8, 5.6 Hz, 1H), 2.74 (s, 3H), 2.46 (d, J = 12.5 Hz, 2H), 2.17 (m, 7H), 1.83 (m, 3H), 1.38 (q, J = 24.5, 11.3 Hz, 2H); ESI MS m/z 542, $[C_{29}H_{33}Cl_2N_3O_3 + H]^+$; HPLC 99.2% (AUC), t_R = 10.19 min.

Example 812

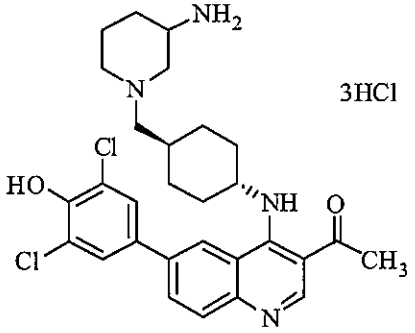
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl) methyl)cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone (94 mg, 0.204 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (86 mg, 0.306 mmol) to afford the desired product (42 mg, 38%) as a yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.05 (s, 1H), 8.44 (s, 1H), 8.20 (dd, J = 8.7, 1.8 Hz, 1H), 7.95 (d, J = 8.7 Hz, 1H), 7.71 (s, 2H), 4.44 (s, 1H), 3.89 (m, 1H), 3.70 (m, 3H), 3.09 (m, 1H), 2.72 (s, 3H), 2.43 (d, J = 12.5 Hz, 2H), 2.12 (m, 5H), 1.85 (m, 3H), 1.36 (q, J = 15.0, 13.8 Hz, 2H); ESI MS m/z 542, $[C_{29}H_{33}Cl_2N_3O_3 + H]^+$; HPLC 99.8% (AUC), t_R = 10.12 min.

Example 810

1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone

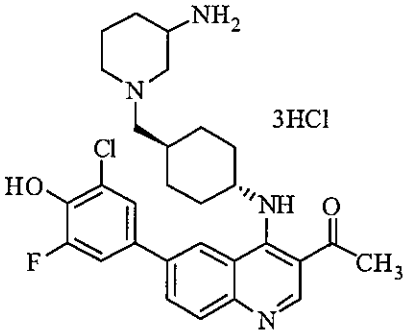


To a suspension of tert-butyl 1-((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl)piperidin-3-ylcarbamate (80 mg, 0.173 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.260 mmol) and Pd(dppf)Cl_2 (12 mg, 0.017mmol) in dioxane (4 mL) was added Cs_2CO_3 (260 µL, 2.0 M solution in H_2O). N_2 gas was bubbled through the reaction mixture and the vessel was sealed. The mixture was then heated under microwave irradiation conditions to 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to purification by preperatory HPLC. The crude mixture was then treated with TFA to deprotect the pendant amine

and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (26 mg, 23%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.01 (s, 1H), 8.37 (s, 1H), 8.17 (dd, J = 8.7, 1.9 Hz, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.62 (s, 2H), 4.45 (s, 1H), 3.73 (s, 2H), 3.61 (s, 1H), 3.11 (d, J = 6.1 Hz, 2H), 2.97 (s, 1H), 2.65 (s, 3H), 2.36 (s, 2H), 2.08 (m, 6H), 1.72 (q, J = 12.4 Hz, 2H), 1.62 (s, 1H), 1.31 (q, J = 11.9 Hz, 2H); ESI MS *m/z* 541, $[C_{29}H_{34}Cl_2N_4O_2 + H]^+$; HPLC 98.3% (AUC), t_R = 9.34 min.

Example 818

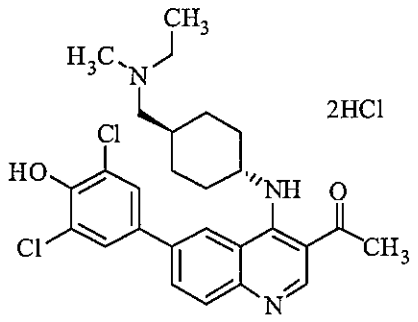
1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone



To a suspension of tert-butyl 1-((1R,4R)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl)methyl)piperidin-3-ylcarbamate (100 mg, 0.217 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (88 mg, 0.325 mmol) and Pd(dppf)Cl_2 (15 mg, 0.021mmol) in dioxane (4 mL) was added Cs_2CO_3 (325 µL, 2.0 M solution in H_2O). N_2 gas was bubbled through the reaction mixture and the vessel was sealed. The mixture was then heated under microwave irradiation conditions to 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to purification by preperatory HPLC. The crude fractions were then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (28 mg, 20%) as a brown solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.4, 2.3 Hz, 1H), 4.55 (s, 1H), 3.74 (m, 2H), 3.17 (m, 1H), 3.01 (m, 1H), 2.73 (s, 3H) 2.46 (d, J = 6.3 Hz, 2H), 2.12 (m, 6H), 1.80 (q, J = 12.5 Hz, 2H), 1.68 (m, 1H), 1.38 (m, 2H).; ESI MS *m/z* 525, $[C_{29}H_{34}ClFN_4O_2 + H]^+$; HPLC 95.5% (AUC), t_R = 9.07 min.

Example 817

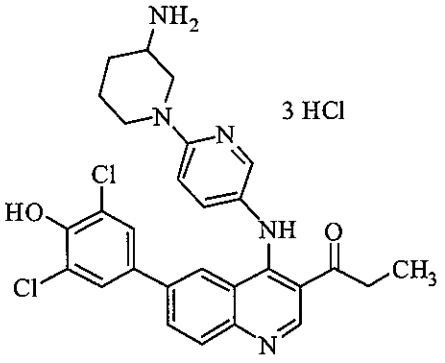
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((1R,4R)-4-((ethyl(methyl)amino)methyl)cyclo hexylamino)quinolin-3-yl)ethanone (68 mg, 0.162 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (69 mg, 0.244 mmol) to afford the desired product (34 mg, 59%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.11 (s, 1H), 8.47 (s, 1H), 8.28 (dd, J = 8.7, 1.8 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.73 (s, 2H), 4.54 (s, 1H), 3.17 (ddd, J = 31.2, 13.3, 7.4 Hz, 2H), 3.03 (m, 1H), 2.90 (s, 3H), 2.74 (s, 3H), 2.46 (d, J = 12.0 Hz, 2H), 2.13 (d, J = 13.6 Hz, 1H), 2.06 (d, J = 12.0 Hz, 2H), 1.80 (m, 2H), 1.37 (m, 5H); ESI MS *m/z* 500, $[C_{27}H_{31}Cl_2N_3O_2 + H]^+$; HPLC 96.5% (AUC), t_R = 10.07 min.

Example 824

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one

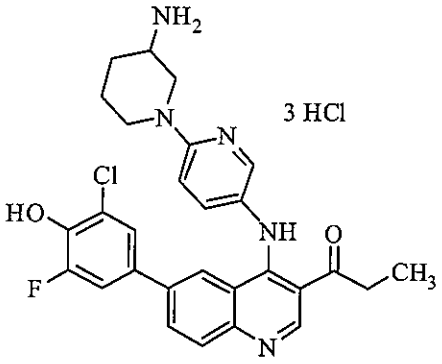


tert-butyl 1-(5-(6-(3,5-dichloro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate (35mg, 0.055 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (12 mg, 33%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.29 (s, 1H), 8.24 (m, 2H), 8.04 (m, 2H), 7.81 (d, J = 8.3 Hz, 1H), 7.31 (s, 2H), 7.25 (d, J = 8.9 Hz, 1H), 4.53 (s, 1H), 4.06 (d, J = 13.3 Hz, 1H), 3.39 (m, 2H), 3.24 (q, J = 14.1, 7.0 Hz, 2H), 2.22 (m, 1H), 1.98 (m, 1H), 1.74 (m, 2H),

1.25 (t, J = 6.9 Hz, 3H); ESI MS *m/z* 536, $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.33 min.

Example 825

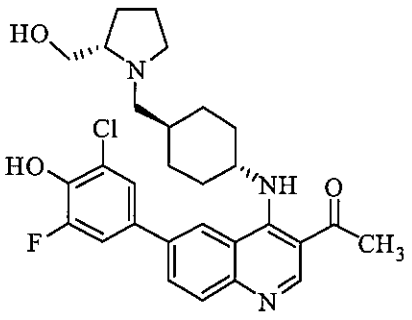
1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one



tert-butyl 1-(5-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (32mg, 0.051 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (16 mg, 49%) as an orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.28 (s, 1H), 8.23 (m, 2H), 8.01 (m, 2H), 7.75(d, J = 8.5 Hz, 1H), 7.19 (d, J = 8.4 Hz, 1H), 7.10 (t, J = 4.9 Hz, 2H), 4.54 (s, 1H), 4.04 (d, J = 13.0 Hz, 1H), 3.36 (m, 3H), 3.24 (q, J = 13.3, 6.2 Hz, 1H), 2.20 (m, 1H), 1.97 (m, 1H), 1.74 (m, 2H), 1.26 (t, J = 6.6 Hz, 3H); ESI MS *m/z* 520, $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.05 min.

Example 844

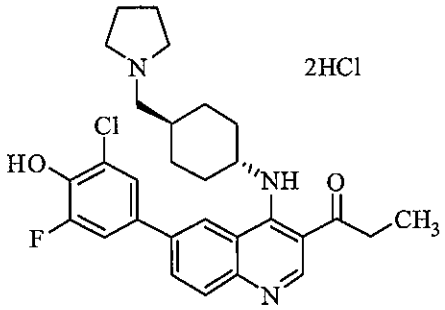
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl) methyl) cyclohexylamino)quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-((1S,4r)-4-(((S)-2-(hydroxymethyl) pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone (120 mg, 0.260 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (106 mg, 0.391 mmol) to afford the desired product (23 mg, 17%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10(s, 1H), 8.48 (s, 1H) 8.26 (dd, J = 8.7, 1.8 Hz, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.52 (dd, J = 11.3, 2.3 Hz, 1H), 4.53 (s, 1H), 3.90 (dd, J = 12.2, 3.8 Hz, 1H), 3.77 (m, 2H), 3.66 (m, 1H), 3.38 (m, 1H), 3.23 (m, 1H), 3.09 (dd, J = 12.9, 5.5 Hz, 1H), 2.74 (s, 3H), 2.45 (d, J = 12.3 Hz, 2H), 2.24 (m, 2H), 2.10 (m, 4H), 1.89 (m, 1H), 1.79 (q, J = 12.2 Hz, 2H), 1.37 (dt, J = 22.1, 12.6 Hz, 3H); ESI MS *m/z* 526, $[C_{29}H_{33}ClFN_3O_3+H]^+$; HPLC 97.4% (AUC), t_R = 9.79 min.

Example 846

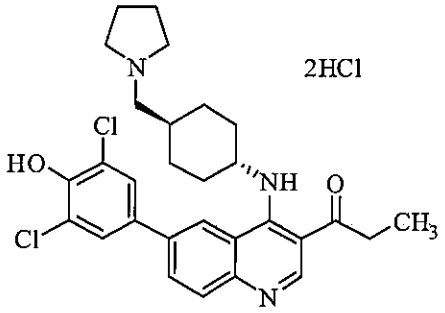
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl) cyclohexylamino)quinolin-3-yl)propan-1-one



Following general procedure D, 1-(6-bromo-4-((1R,4R)- 4-(pyrrolidin-1-ylmethyl)cyclohexyl amino)quinolin-3-yl)propan-1-one (90 mg, 0.209 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (85 mg, 0.313 mmol) to afford the desired product (43 mg, 35%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.48 (s, 1H), 8.26 (dd, J = 8.7, 1.7 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (d, J = 11.3 Hz, 1H), 4.54 (s, 1H), 3.71 (dt, J = 11.1, 5.4 Hz, 2H), 3.17 (m, 6H), 2.47 (d, J = 12.3 Hz, 2H), 2.17 (m, 2H), 2.07 (m, 2H), 1.81 (q, J = 12.3 Hz, 2H), 1.36 (q, J = 16.3, 15.2 Hz, 2H), 1.24 (t, J = 7.1 Hz, 3H) ESI MS *m/z* 510, $[C_{29}H_{33}ClFN_3O_2+H]^+$; HPLC 98.8% (AUC), t_R = 10.29 min.

Example 843

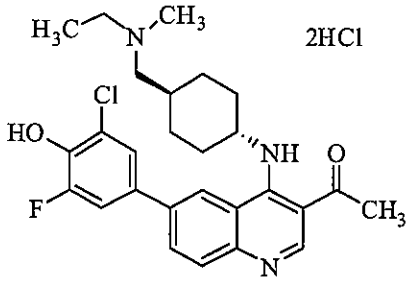
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl) cyclohexylamino)quinolin-3-yl)propan-1-one



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl amino)quinolin-3-yl)propan-1-one (90 mg, 0.209 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.314 mmol) to afford the desired product (38 mg, 30%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.13 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.7, 1.9 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.73 (s, 2H), 4.54 (s, 1H), 3.71 (m, 2H), 3.15 (m, 7H), 2.47 (d, J = 12.2 Hz, 2H), 2.17 (m, 2H), 2.07 (m, 4H), 1.81 (q, J = 12.3 Hz, 2H), 1.37 (q, J = 25.1, 12.0 Hz, 2H), 1.24 (t, J = 7.1 Hz, 3H); ESI MS *m/z* 526, $[C_{29}H_{33}Cl_2N_3O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.49 min.

Example 845

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl) cyclohexylamino)quinolin-3-yl)ethanone

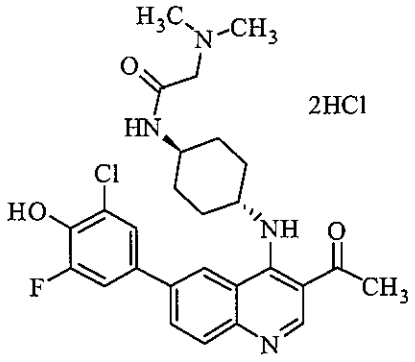


Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((ethyl(methyl)amino)methyl) cyclohexylamino)quinolin-3-yl)ethanone (98 mg, 0.233 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2- dioxaborolan-2-yl)phenol (97 mg, 0.318 mmol) to afford the desired product (40 mg, 31%) as an off white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.7, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.4, 2.2 Hz, 1H), 4.53 (s, 1H), 3.12 (m, 2H), 2.89 (s, 3H), 2.74 (s, 3H), 2.46 (d, J = 12.5 Hz, 2H), 2.08 (m, 3H), 1.81 (q, J = 12.1 Hz, 2H), 1.37 (m, 5H); ESI MS *m/z* 484, $[C_{27}H_{31}ClFN_3O_2 + H]^+$; HPLC 97.4% (AUC), t_R = 9.90 min.

Example 876

N-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino)

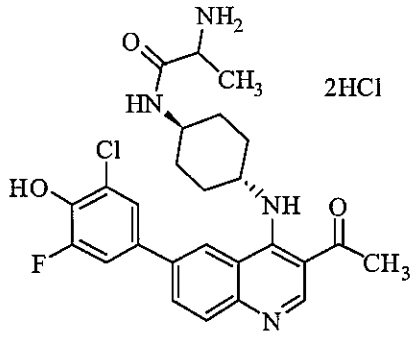
cyclohexyl)-2-(dimethylamino)acetamide



Following general procedure D, 1-(6-bromo-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclo hexylamino)quinolin-3-yl)ethanone (50 mg, 0.112 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (37 mg, 0.134 mmol) to afford the desired product (40 mg, 61%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.49 (s, 1H), 8.27 (dd, J = 8.7, 1.7 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.5, 2.3 Hz, 1H), 4.55 (s, 1H), 3.93 (s, 3H), 2.94 (s, 6H), 2.74 (s, 3H), 2.44 (d, J = 12.3 Hz, 2H), 2.17 (d, J = 11.6 Hz, 2H), 1.85 (q, J = 11.0 Hz, 2H), 1.55 (q, J = 12.6 Hz, 2H); ESI MS *m/z* 513, $[C_{27}H_{30}ClFN_4O_3 + H]^+$; HPLC 99.9% (AUC), t_R = 9.49 min.

Example 878

N-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)-2-aminopropanamide



tert-butyl 1-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino)cyclo hexylamino)-1-oxopropan-2-ylcarbamate (42 mg, 0.070 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off,

washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (20 mg, 50%) as an off-white solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10(s, 1H), 8.50 (s, 1H), 8.27 (dd, J = 8.7, 1.7 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.1, 2.3 Hz, 1H), 4.56 (s, 1H), 3.87 (dt, J = 19.5, 7.0 Hz, 3H), 3.66 (m, 1H), 2.74 (s, 3H), 2.44 (d, J = 12.2 Hz, 2H), 2.15 (m, 2H), 2.97 (m, 1H), 1.85 (q, J = 12.7 Hz, 2H), 1.56 (m, 2H), 1.49 (m, 5H), 1.38 (t, J = 10.1 Hz, 1H).; ESI MS *m/z* 499, $[C_{26}H_{28}ClFN_4O_3 + H]^+$; HPLC 98.7% (AUC), t_R = 9.47 min.

Example 886

N-(1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)-2-aminopropanamide

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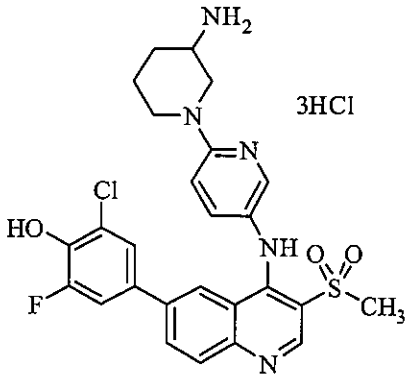
tert-butyl 1-((1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)cyclohexyl amino)-1-oxopropan-2-ylcarbamate (37 mg, 0.060 mmol) was dissolved in dichloromethane (5 mL). To this solution was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature where a precipitate formed. The precipitate was filtered off, washed with dichloromethane (10 mL), dried under vacuum to obtain the desired product as the HCl salt (18 mg, 58%) as a white solid: ^{1}H NMR (300 MHz, MeOD) δ 9.09 (s, 1H), 8.48 (s, 1H), 8.27 (dd, J = 8.8, 1.7 Hz, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.72 (s, 2H), 4.52 (s, 1H), 3.87 (m, 2H), 2.73 (s, 3H), 2.43 (d, J = 12.3 Hz, 2H), 2.15 (d, J = 12.3 Hz, 2H), 1.84 (q, J = 11.9 Hz, 2H), 1.51 (m, 5H); ESI MS *m/z* 515, $[C_{26}H_{28}Cl_2N_4O_3 + H]^+$; HPLC 97.8% (AUC), t_R = 9.75 min.

Example 909

4-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-3-(methylsulfonyl) quinolin-6-yl)-2-chloro-6-fluorophenol

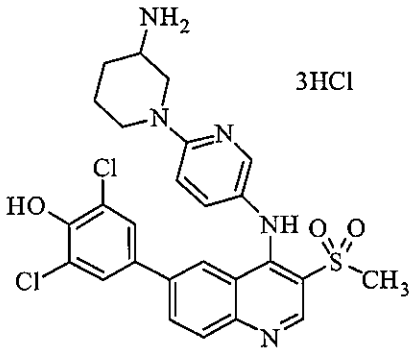


To a suspension of tert-butyl 1-(5-(6-bromo-3-(methylsulfonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate (70 mg, 0.121 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.145 mmol) and Pd(dppf)Cl_2 (9 mg, 0.012 mmol) in dioxane (4 mL) was added Cs_2CO_3 (182 µL, 2.0 M solution in H_2O). The reaction mixture was degassed

using N_2 and heated at 140 °C for 30 min. The reaction mixture was cooled to rt and to purification by preperatory HPLC. The crude mixture was then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (15 mg, 19%) as a brown-yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.07 (s, 1H), 8.32 (d, J = 2.7 Hz, 1H), 8.27 (dd, J = 8.8, 1.9 Hz, 1H), 8.05 (d, J = 8.8 Hz, 1H), 7.93 (d, J = 1.8 Hz, 1H), 7.88 (dd, J = 9.3, 2.7 Hz, 1H), 7.28 (m, 1H), 7.09 (m, 2H), 4.56 (q, J = 10.4, 9.4 Hz, 1H), 4.09 (d, J = 13.3 Hz, 1H), 3.47 (s, 3H), 3.39 (d, J = 8.1 Hz, 2H), 2.21 (s, 1H), 2.01 (m, 1H), 1.75 (m, 2H); ESI MS *m/z* 542, $[C_{26}H_{25}ClFN_5O_3S + H]^+$; HPLC 99.6% (AUC), t_R = 9.90 min.

Example 913

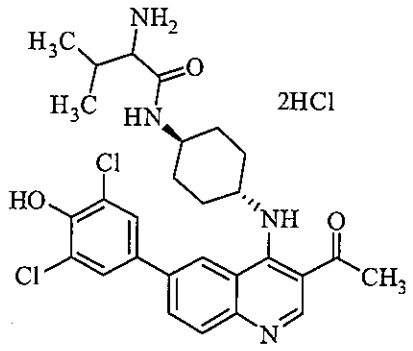
4-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-3-(methylsulfonyl) quinolin-6-yl)-2,6-dichlorophenol



To a suspension of tert-butyl 1-(5-(6-bromo-3-(methylsulfonyl)quinolin-4-ylamino)pyridin-2-yl) piperidin-3-ylcarbamate (70 mg, 0.121 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.142 mmol) and Pd(dppf)Cl_2 (9 mg, 0.012 mmol) in dioxane (4 mL) was added Cs_2CO_3 (182 µL, 2.0 M solution in H_2O). N_2 gas was bubbled through the reaction mixture, the vessel was sealed and the mixture was then heated imicrowave irradiation conditions to 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to purification by preperatory HPLC. The crude mixture was then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (8.2 mg, 10%) as an orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.03 (s, 1H), 8.27 (d, J = 2.7 Hz, 1H), 8.24 (dd, J = 8.8, 1.9 Hz, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.93 (d, J = 1.9 Hz, 1H), 7.74 (dd, J = 9.2, 2.7 Hz, 1H), 7.22 (s, 2H), 7.11 (d, J = 9.2 Hz, 1H), 4.60(s, 1H), 4.05 (d, J = 13.5 Hz, 1H), 3.45 (s, 3H), 3.26 (m, 3H), 2.19 (d, J = 11.1 Hz, 1H), 1.94 (d, J = 11.0 Hz, 1H), 1.71 (m, 2H); ESI MS *m/z* 558, $[C_{26}H_{25}Cl_2N_5O_3S + H]^+$; HPLC 98.9% (AUC), t_R = 10.14 min.

Example 915

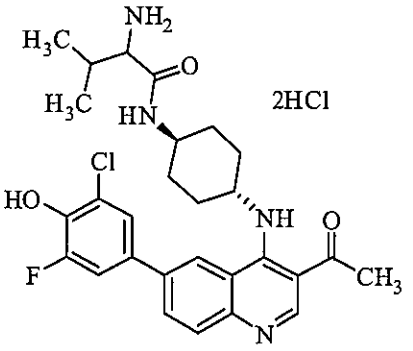
N-(1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino)cyclohexyl)-2-amino-3-methylbutanamide



To a solution of tert-butyl 1-(1R,4R)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinoline -4-ylamino)cyclohexyl amino)-3-methyl-1-oxobutan-2-ylcarbamate (30 mg, 0.046 mmol) in dichloromethane (5 mL) was added a 2.0 M HCl solution in diethyl ether (2 mL) the mixture was stirred for 16 h at room temperature. The resulting precipitate was filtered off, washed with dichloromethane (10 mL) and dried under vacuum to obtain the desired product as the HCl salt (12 mg, 54%) as an orange-brown solid: ^{1}H NMR (500 MHz, MeOD) δ 9.12 – 9.07 (s, 1H), 8.53 – 8.47 (s, 1H), 8.29 – 8.26 (dd, J = 8.8, 1.7 Hz, 1H), 8.01 – 7.94 (d, J = 8.7 Hz, 1H), 7.76 – 7.69 (s, 2H), 4.58 – 4.48 (s, 1H), 3.95 – 3.87 (m, 1H), 3.62 – 3.57 (d, J = 6.0 Hz, 1H), 2.76 – 2.68 (m, 2H), 2.50 – 2.38 (t, J = 13.9 Hz, 2H), 2.22 – 2.12 (td, J = 13.8, 6.7 Hz, 3H), 1.90 – 1.78 (m, 1H), 1.65 – 1.51 (m, 2H), 1.11 – 0.98 (t, J = 6.3 Hz, 6H); ESI MS *m/z* 545, $[C_{28}H_{32}Cl_2N_4O_3+H]^+$; HPLC 95.6% (AUC), t_R = 10.13 min.

Example 907

N-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)-2-amino-3-methylbutanamide

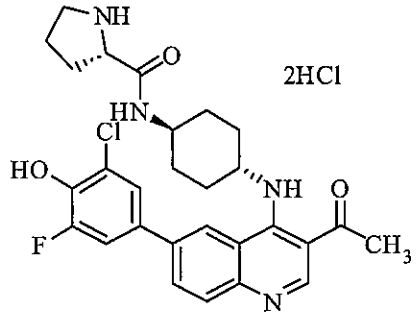


To a solution of tert-butyl 1-(1R,4R)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin -4-ylamino) cyclohexylamino)-3-methyl-1-oxobutan-2-ylcarbamate (24 mg, 0.038 mmol) in dichloromethane (5 mL) was added a 2.0 M HCl solution in diethyl ether (2 mL) and the mixture

was stirred for 16 h at room temperature. The resulting precipitate was filtered, washed with dichloromethane (10 mL) and dried under vacuum to obtain the desired product as the HCl salt (9 mg, 40%) as an off-white solid: ^{1}H NMR (300 MHz, MeOD) δ 9.10 (s, 1H), 8.50 (s, 1H), 8.28 (dd, J = 8.8, 1.7 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.59 (s, 1H), 7.53 (dd, J = 11.4, 2.2 Hz, 1H), 4.55 (s, 1H), 3.88 (m, 1H), 3.59 (d, J = 6.1 Hz, 1H), 2.74 (s, 3H), 2.45 (d, J = 12.2 Hz, 2H), 2.17 (q, J = 6.8 Hz, 3H), 1.85 (q, J = 12.5 Hz, 2H), 1.57 (p, J = 12.9 Hz, 2H), 1.06 (dd, J = 6.9, 3.5 Hz, 6H); ESI MS *m/z* 527, $[C_{28}H_{32}ClFN_4O_3 + H]^+$; HPLC 99.0% (AUC), t_R = 9.97 min.

Example 921

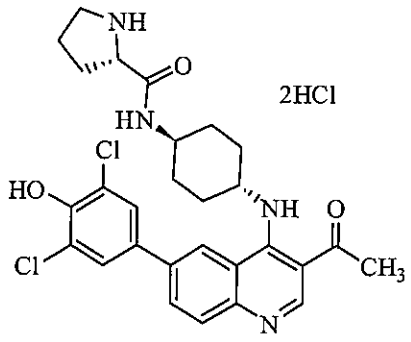
(S)-N-((1R,4S)-4-(3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)pyrrolidine-2-carboxamide



To a suspension of (S)-tert-butyl 2-((1r,4S)-4-(3-acetyl-6-bromoquinolin-4-ylamino) cyclo hexylcarbamoyl)pyrrolidine-1-carboxylate (130 mg, 0.232 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan -2-yl)phenol (76 mg, 0.279 mmol) and Pd(dppf)Cl_2 (16 mg, 0.023 mmol) in dioxane (4 mL) was added Cs_2CO_3 (348 µL, 2.0 M solution in H_2O). The crude mixture was then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (14 mg, 11%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.50 (s, 1H), 8.27 (dd, J = 8.8, 1.9 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.4, 2.4 Hz, 1H), 4.55 (s, 1H), 4.21 (dd, J = 8.5, 6.8 Hz, 1H), 3.88 (t, J = 11.3 Hz, 1H), 3.42 (dt, J = 11.4, 7.1 Hz, 1H), 2.74 (s, 3H), 2.44 (m, 3H), 2.17 (s, 2H), 2.03 (m, 3H), 1.84 (q, J = 15.4, 14.6 Hz, 2H), 1.56 (dt, J = 20.1, 9.9 Hz, 2H); ESI MS *m/z* 525, $[C_{28}H_{30}ClFN_4O_3 + H]^+$; HPLC 98.5% (AUC), t_R = 9.70 min.

Example 920

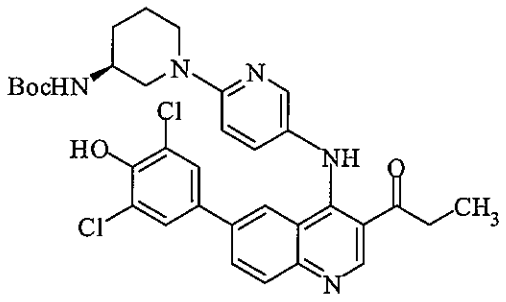
(S)-N-((1R,4S)-4-(3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino) cyclohexyl)pyrrolidine-2-carboxamide



To a suspension of (S)-tert-butyl 2-((1r,4S)-4-(3-acetyl-6-bromoquinolin-4-ylamino)cyclohexyl carbamoyl)pyrrolidine-1-carboxylate (70 mg, 0.125 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.150 mmol) and Pd(dppf)Cl_2 (9 mg, 0.013 mmol) in dioxane (4 mL) was added Cs_2CO_3 (187 μL, 2.0 M solution in H_2O). N_2 gas was bubbled through the reaction mixture and the vessel was sealed. The mixture was then heated under microwave irradiation conditions to 140 °C for 30 min. The solution was allowed to cool to rt, then directly subjected to purification by preperatory HPLC. The crude mixture was then treated with TFA to deprotect the pendant amine and reduced to a red-orange residue. This residue was then dissolved in MeOH (2 mL) and treated with a 2.0 M HCl solution in diethyl ether to afford the product (40 mg, 52%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.51 (s, 1H), 8.30 (dd, J = 8.8, 1.8 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.75 (s, 2H), 4.57 (s, 1H), 4.24 (m, 1H), 3.90 (t, J = 11.8 Hz, 1H), 3.44 (dt, J = 11.5, 6.9 Hz, 1H), 3.36 (m, 1H), 2.76 (s, 3H), 2.46 (m, 3H), 2.19 (d, J = 12.2 Hz, 2H), 2.05 (m, 3H), 1.87 (q, J = 13.5 Hz, 2H), 1.58 (p, J = 13.7, 13.2 Hz, 2H); ESI MS m/z 541, $[C_{28}H_{30}Cl_2N_4O_3 + H]^+$; HPLC 97.7% (AUC), t_R = 9.94 min.

Example 1185

(S)-tert-butyl 1-(5-(6-(3,5-dichloro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate

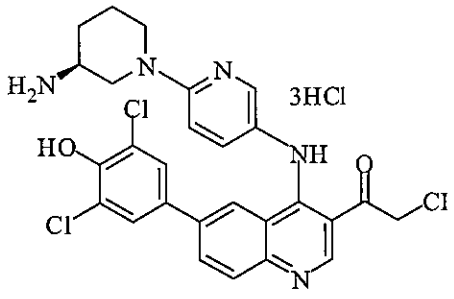


Following general procedure F, (S)-tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino) pyridin-2-yl)piperidin-3-ylcarbamate (80 mg, 0.14 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.17 mmol) to afford the desired product (50 mg, 54%) as an orange solid: ESI MS m/z 636 $[C_{33}H_{35}Cl_2N_5O_4 +$

H]$^+$

Example 934

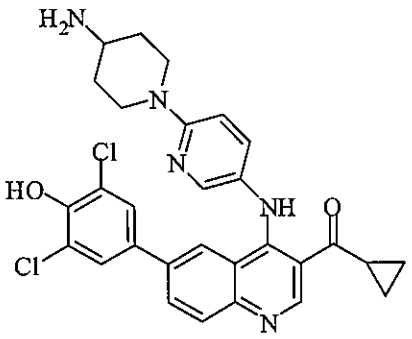
(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl)propan-1-one



Following general procedure A, (S)-tert-butyl 1-(5-(6-(3,5-dichloro-4-hydroxyphenyl)-3-propionylquinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (50 g, 0.078 mmol) was treated with a solution of 3N HCl to afford desired product (36.2 mg, 72%) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.29 (s, 1H), 8.25 (m, 2H), 8.05 (m, 2H), 7.85 (d, J = 8.8 Hz, 1H), 7.31 (m, 3H), 4.52 (s, 1H), 4.06 (d, J = 12.6 Hz, 1H), 3.81 (m, 3H), 2.16 (q, J = 7.0 Hz, 2H), 2.22 (s, 1H), 1.98 (s, 1H), 1.24 (t, J = 7.0 Hz, 3H); APCI MS *m/z* 536 [$C_{28}H_{27}Cl_2N_5O_2$ + H]$^+$; HPLC 98.7% (AUC), t_R = 10.30 min.

Example 548

(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

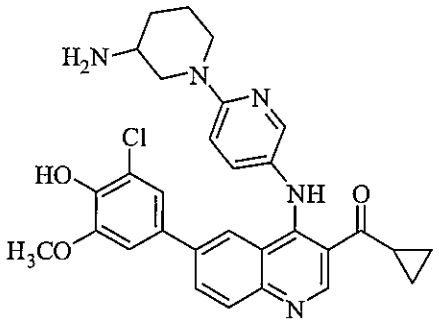


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinoline-4-ylamino)pyridin-2-yl)piperidin-4-ylcarbamate (100 mg, 0.18mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (52 mg, 53% over 2 steps) as a red solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*)

δ 9.37 (s, 1H), 8.21 (m, 3H), 8.02 (d, J = 8.8 Hz, 1H), 7.72 (dd, J = 9.3, 2.9 Hz, 1H), 7.36 (s, 2H), 7.18 (d, J = 9.2 Hz, 1H), 4.52 (d, J = 13.2 Hz, 2H), 3.44 (m, 1H), 3.14 (t, J = 11.8 Hz, 2H), 2.83 (m, 1H), 2.15 (d, J = 11.5 Hz, 2H), 1.69 (dd, J = 24.5, 7.9 Hz, 2H), 1.21 (m, 4H); ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.73 min.

Example 554

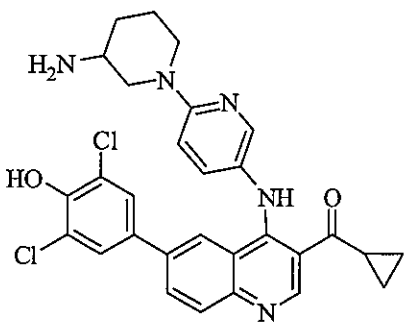
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinoline-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (77 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (39 mg, 40% over 2 steps) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.39 (s, 1H), 8.25 (s, 1H), 8.14 (s, 1H), 8.05 (s, 1H), 7.77 (s, 1H), 7.21 (s, 1H), 7.02 (s, 1H), 6.87 (s, 1H), 4.49 (s, 1H), 4.02 (s, 1H), 3.93 (s, 3H), 2.84 (s, 1H), 2.22 (s, 1H), 1.97 (s, 1H), 1.75 (s, 2H), 1.23(s, 4H); ESI MS *m/z* 544 $[C_{30}H_{30}ClN_5O_3 + H]^+$; HPLC 99.7% (AUC), t_R = 10.68 min.

Example 556

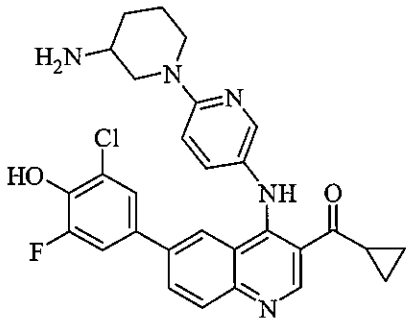
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (49 mg, 50% over 2 steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.22 (m, 2H), 8.12 (s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.70 (dd, J = 9.2, 2.7 Hz, 1H), 7.34 (s, 2H), 7.13 (d, J = 9.3 Hz, 1H), 4.52 (s, 1H), 4.02 (dt, J = 13.0, 4.1 Hz, 1H), 3.35 (m, 2H), 2.85 (tt, J = 7.8, 4.5 Hz, 1H), 2.20 (m, 1H), 1.95 (m, 1H), 1.73 (q, J = 9.8 Hz, 2H), 1.22(m, 4H); ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 99.6% (AUC), t_R = 10.95 min.

Example 561

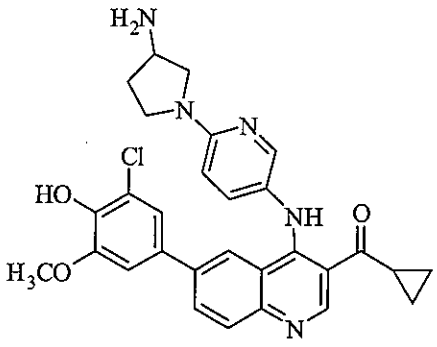
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (39 mg, 41% over 2 steps) as a orange-red solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.22 (m, 2H), 8.09 (s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.71 (dd, J = 9.2, 2.8 Hz, 1H), 7.15 (m, 3H), 4.51 (d, J = 10.3 Hz, 1H), 4.02 (m, 1H), 3.37 (m, 1H), 2.85 (tt, J = 7.7, 4.6 Hz, 1H), 2.20 (m, 1H), 1.97(m, 1H), 1.75 (m, 2H), 1.22(m, 4H); ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 10.81 min.

Exapmle 568

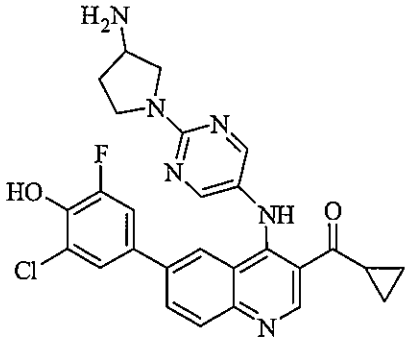
(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (77 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (17 mg, 18% over 2 steps) as a orange-yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.38 (s, 1H), 8.31 (dd, J = 8.8, 1.9 Hz, 1H), 8.25 (m, 2H), 8.05 (d, J = 8.8 Hz, 1H), 7.89 (dd, J = 9.3, 2.6 Hz, 1H), 7.13 (d, J = 2.1 Hz, 1H), 7.06 (dd, J = 9.3, 0.8 Hz, 1H), 6.90 (s, 1H), 4.15 (dt, J = 11.2, 5.7 Hz, 1H), 4.04 (dd, J = 11.9, 6.5 Hz, 1H), 3.94 (s, 3H), 3.86 (m, 1H), 3.76 (m, 2H), 2.83 (dt, J = 12.1, 6.3 Hz, 1H), 2.60 (dt, J = 21.4, 6.6 Hz, 1H), 2.31 (td, J = 13.4, 5.7 Hz, 1H), 1.21 (m, 4H); ESI MS *m/z* 529 $[C_{29}H_{28}ClN_5O_3 + H]^+$; HPLC 99.6% (AUC), t_R = 9.97 min.

Exapmle 572

(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

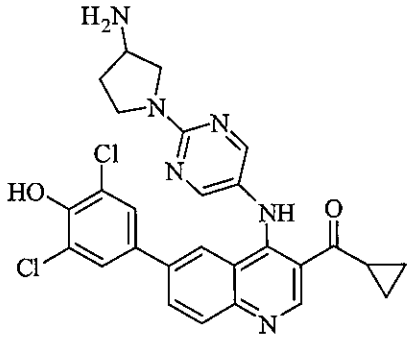


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyrimidin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as a yellow-orange solid: ^{1}H NMR (500

MHz, CD_3OD + TFA-*d*) δ 9.35 (s, 1H), 8.48 (s, 2H), 8.25 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.19 (s, 1H), 8.04 (d, *J* = 8.8 Hz, 1H), 7.22 (s, 2H), 4.06 (m, 2H), 3.91 (dt, *J* = 11.7, 7.4 Hz, 1H), 3.82 (m, 2H), 2.82 (s, 1H), 2.54 (td, *J* = 13.1, 6.8 Hz, 1H), 2.24 (td, *J* = 13.2, 5.7 Hz, 1H), 1.21 (s, 4H); ESI MS *m/z* 519 $[C_{27}H_{24}ClFN_6O_2 + H]^+$; HPLC 99.7% (AUC), t_R = 10.05 min.

Exapmle 574

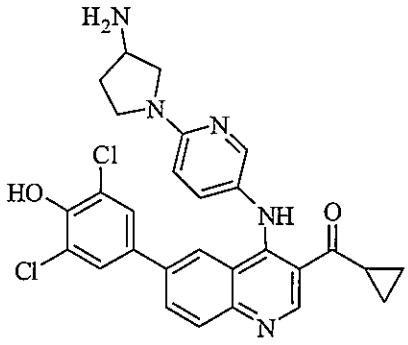
(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyrimidin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (35 mg, 36% over 2 steps) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.34 (s, 1H), 8.47 (s, 2H), 8.26 (m, 2H), 8.04 (d, *J* = 8.8 Hz, 1H), 7.41 (s, 2H), 4.07 (m, 2H), 3.91 (m, 1H), 3.81 (m, 2H), 2.81 (s, 1H), 2.52 (m, 1H), 2.23 (tt, *J* = 13.0, 5.7 Hz, 1H), 1.20 (s, 4H); ESI MS *m/z* 535 $[C_{27}H_{24}Cl_2N_6O_2 + H]^+$; HPLC 100.0% (AUC), t_R = 10.30 min.

Exapmle 575

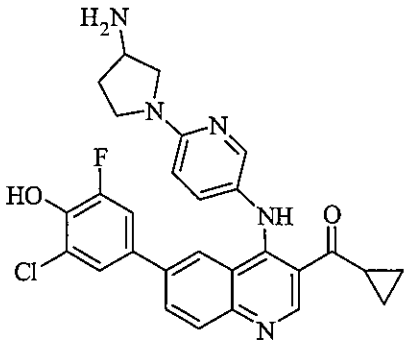
(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (23 mg, 24% over 2 steps) as a orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.35 (s, 1H), 8.33 (s, 1H), 8.30 (dd, J = 8.8, 1.9 Hz, 1H), 8.28 (d, J = 2.5 Hz, 1H), 8.11 (d, J = 8.8 Hz, 1H), 8.04 (dd, J = 9.6, 2.5 Hz, 1H), 7.49 (s, 2H), 7.26 (d, J = 9.5 Hz, 1H), 4.22 (p, J = 6.3 Hz, 1H), 4.12 (dd, J = 12.0, 6.5 Hz, 1H), 3.96 (dt, J = 10.9, 7.5 Hz, 1H), 3.86 (m, 2H), 2.78 (p, J = 7.2 Hz, 1H), 2.65 (td, J = 15.3, 14.8, 6.8 Hz, 1H), 2.40 (td, J = 13.3, 5.3 Hz, 1H), 1.20 (m, 4H);ESI MS *m/z* 534 $[C_{28}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 99.6% (AUC), t_R = 10.29 min.

Example 576

(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

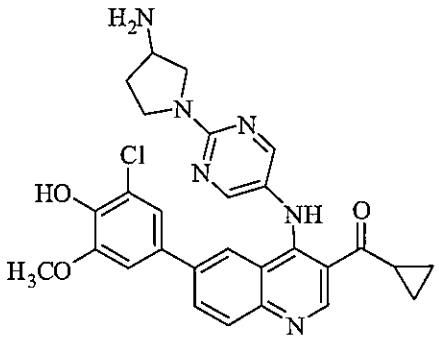


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (21 mg, 23% over 2 steps) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.36 (s, 1H), 8.27 (dd, J = 8.8, 1.9 Hz, 1H), 8.24 (d, J = 2.7 Hz, 2H), 8.06 (d,

J = 8.8 Hz, 1H), 7.90 (dd, J = 9.4, 2.6 Hz, 1H), 7.27 (m, 2H), 7.08 (d, J = 9.4 Hz, 1H), 4.16 (p, J = 6.2 Hz, 1H), 4.05 (dd, J = 11.9, 6.5 Hz, 1H), 3.88 (dt, J = 10.8, 7.5 Hz, 1H), 3.79 (dt, J = 10.5, 3.3 Hz, 2H), 2.81 (p, J = 6.0 Hz, 1H), 2.61 (dq, J = 13.3, 6.6 Hz, 1H), 2.33 (td, J = 13.4, 5.3 Hz, 1H), 1.20 (m, 4H); ESI MS *m/z* 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC 99.4% (AUC), t_R = 10.02 min.

Example 582

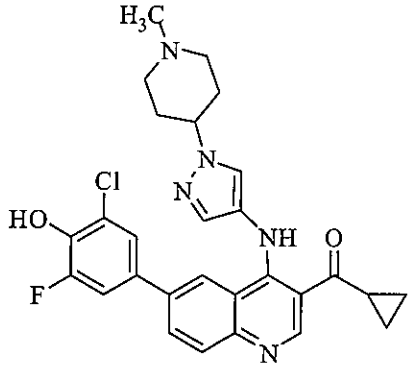
(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyrimidin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (77 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (20 mg, 21% over 2 steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.35 (s, 1H), 8.48 (s, 2H), 8.29 (dd, J = 8.8, 1.9 Hz, 1H), 8.21 (s, 1H), 8.04 (d, J = 8.8 Hz, 1H), 7.09 (s, 1H), 6.89 (s, 1H), 4.04 (m, 2H), 3.94 (s, 3H), 3.89 (m, 1H), 3.79 (m, 2H), 2.82 (s, 1H), 2.52 (ddd, J = 14.8, 12.7, 6.4 Hz, 1H), 2.23 (dt, J = 11.6, 6.2 Hz, 1H), 1.21 (s, 4H); ESI MS *m/z* 531 $[C_{28}H_{27}ClN_6O_3 + H]^+$; HPLC 99.9% (AUC), t_R = 10.11 min.

Example 592

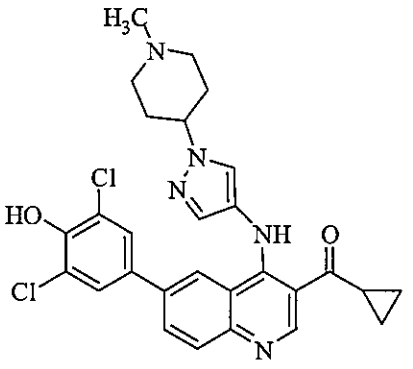
(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino) quinolin-3-yl)(cyclopropyl)methanone (50 mg, 0.11mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.17 mmol) to afford the desired product (35 mg, 59%)as a yellow-green solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.94 (s, 1H), 8.24 (m, 1H), 8.02 (m, 2H), 7.68 (s, 1H), 7.22 (s, 2H), 4.62 (t, J = 5.3 Hz, 1H), 3.69 (d, J = 12.7 Hz, 2H), 3.50 (s, 1H), 3.25 (m, 2H), 2.95 (s, 3H), 2.39 (m, 4H), 1.24 (m, 4H); ESI MS *m/z* 520 $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC 99.5% (AUC), t_R = 10.37 min.

Example 593

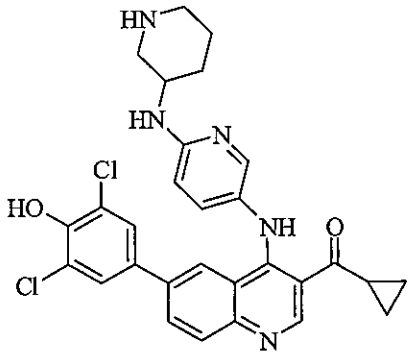
Cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino) quinoline-3-yl)(cyclopropyl)methanone (50 mg, 0.11mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.17 mmol) to afford the desired product (36 mg, 63%)as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.45 (s, 1H), 8.23 (d, J = 9.0 Hz, 1H), 8.01 (d, J = 9.2 Hz, 2H), 7.68 (s, 1H), 7.40 (s, 1H), 4.62 (m, 1H), 3.68 (d, J = 12.8 Hz, 2H), 3.41 (m, 1H), 3.25 (m, 2H), 2.94 (s, 3H), 2.37 (m, 3H), 1.22 (m, 3H); ESI MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.57 min.

Exapmle 610

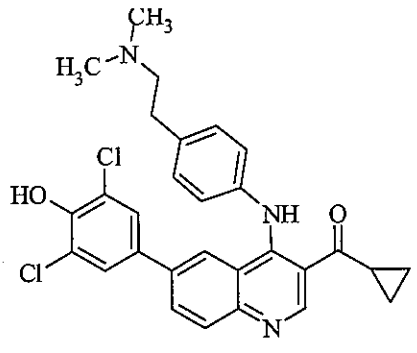
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridine-3-ylamino)quinolin-3-yl)methanone



Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-ylamino)piperidine-1-carboxylate (100 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2- dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (11 mg, 11% over 2 steps) as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.23 (dd, J = 8.8, 1.9 Hz, 1H), 8.15 (s, 1H), 8.12 (d, J = 2.6 Hz, 1H), 8.00 (d, J = 8.7 Hz, 1H), 7.58 (dd, J = 9.0, 2.7 Hz, 1H), 7.36 (s, 2H), 6.82 (d, J = 9.2 Hz, 1H), 4.22 (ddd, J = 14.0, 10.0, 3.8 Hz, 1H), 3.63 (dd, J = 12.1, 3.5 Hz, 1H), 3.02 (td, J = 11.9, 3.4 Hz, 1H), 2.89 (m, 2H), 2.12 (m, 1H), 1.86 (dtt, J = 14.9, 11.2, 3.7 Hz, 1H), 1.71 (q, J = 10.1 Hz, 1H), 1.21 (m, 4H); ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 99.5% (AUC), t_R = 10.58 min.

Exapmle 613

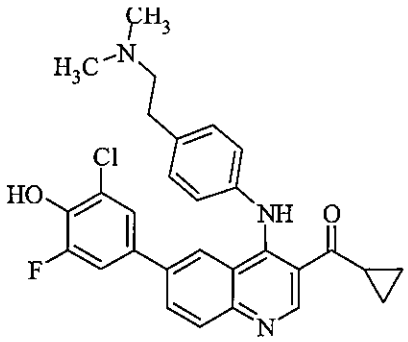
Cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl) phenylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(4-(2-(dimethylamino)ethyl)phenyl amino)quinolin-3-yl)(cyclopropyl)methanone (100 mg, 0.23 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (98 mg, 0.34 mmol) to afford the desired product (66 mg, 55%)as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.46 (s, 1H), 8.21 (dd, J = 8.8, 1.9 Hz, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.89 (s, 1H), 7.56 (d, J = 8.4 Hz, 2H), 7.46 (d, J = 8.4 Hz, 2H), 7.23 (s, 2H), 3.40 (m, 2H), 3.22 (m, 2H), 2.98 (s, 6H), 2.90 (m, 1H), 1.22 (m, 4H); ESI MS *m/z* 520 $[C_{29}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 97.6% (AUC), t_R = 11.15 min.

Exapmle 615

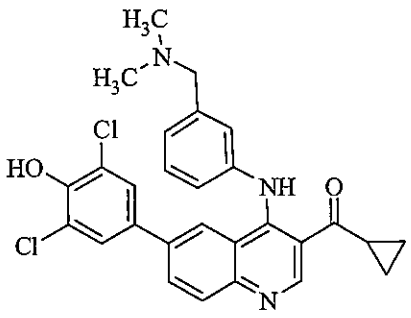
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone (100 mg, 0.23 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (93 mg, 0.34 mmol) to afford the desired product (83 mg, 72%)as a yellow-brown solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.46 (s, 1H), 8.21 (dd, J = 8.8, 1.9 Hz, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.89 (s, 1H), 7.57 (d, J = 8.4 Hz, 2H), 7.47 (d, J = 8.4 Hz, 2H), 7.04 (m, 2H), 3.41 (m, 2H), 3.21 (m, 2H), 2.99 (s, 7H), 2.90 (m, 1H), 1.23 (m, 4H); ESI MS *m/z* 504 $[C_{29}H_{27}ClFN_3O_2 + H]^+$; HPLC 97.0% (AUC), t_R = 10.95 min.

Example 624

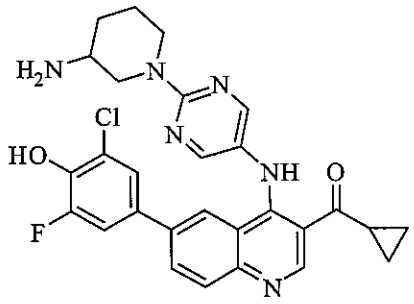
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quin olin-3-yl)methanone



Following general procedure D, (6-bromo-4-(3-((dimethylamino)methyl)phenylamino)quinoline -3-yl)(cyclopropyl)methanone (100 mg, 0.23mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (98 mg, 0.34 mmol) to afford the desired product (87 mg, 75%)as a yellow-green solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.45 (s, 1H), 8.25 (dd, J = 8.8, 1.9 Hz, 1H), 8.05 (d, J = 8.8 Hz, 1H), 7.97 (s, 1H), 7.74 (m, 1H), 7.63 (m, 3H), 7.28 (s, 2H), 4.39 (s, 2H), 2.84 (m, 7H), 1.19(m, 4H); ESI MS *m/z* 506 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 98.0% (AUC), t_R = 11.15 min.

Exapmle 626

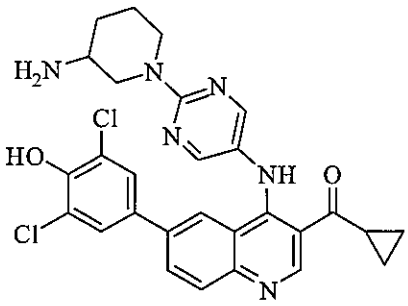
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (106 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (28 mg, 23% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.34 (br s, 1H), 8.45 (s, 2H), 8.25 (dd, J = 8.8, 1.9 Hz, 1H), 8.21 (br s, 1 H), 8.04 (d, J = 8.8 Hz, 1H), 7.25 (br s, 1H), 4.81 – 4.74 (m, 1H), 4.47 (d, J = 12.8 Hz, 1H), 3.48 – 3.31 (m, 3H), 2.80 (br s, 1H), 2.24 – 2.16 (m, 1H), 1.97 – 1.87 (m, 1H), 1.81 – 1.62 (m, 2H), 1.21 – 1.16 (m, J = 7.3 Hz, 4H); ESI MS *m/z* 533 $[C_{28}H_{26}ClFN_6O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.89 min.

Example 628

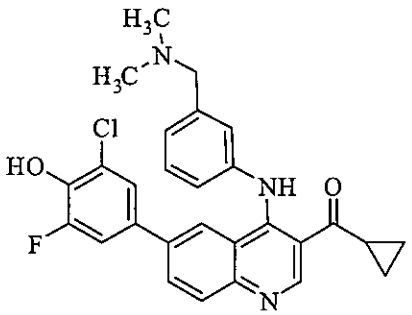
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (112 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (8.0 mg, 8% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.33 (br s, 1H), 8.44 (s, 2H), 8.27 (dd, J = 8.9, 1.8 Hz, 1H), 8.29 – 8.19 (m, 1H), 8.04 (d, J = 8.9 Hz, 1H), 7.44 (br s, 2H), 4.81 – 4.71 (m, 1H), 4.48 – 4.44 (m, 1H), 3.46 – 3.31 (m, 3H), 2.84 – 2.77 (m, 1H), 2.23 – 2.16 (m, 1H), 1.96 – 1.87 (m, 1H), 1.80 – 1.60 (m, 2H), 1.21 – 1.15 (m, 4H); ESI MS *m/z* 549 $[C_{28}H_{26}Cl_2N_6O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.00 min.

Example 629

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone

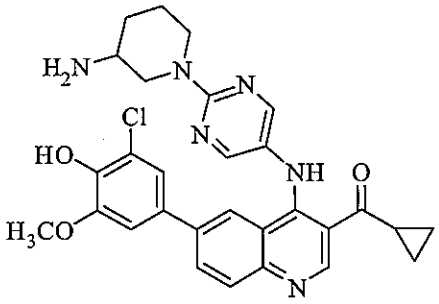


Following general procedure D, (6-bromo-4-(3-((dimethylamino)methyl)phenylamino)quinoline -3-yl)(cyclopropyl)methanone (100 mg, 0.23 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (93 mg, 0.34 mmol) to afford the desired product (81 mg, 72%) as a yellow-green solid. ^{1}H NMR (300 MHz, MeOD + TFA-*d*) δ 9.44 (s,

1H), 8.25 (dd, J = 8.9, 1.9 Hz, 1H), 8.09 – 7.95 (m, 2H), 7.79 – 7.54 (m, 4H), 7.19 (dd, J = 11.5, 2.3 Hz, 1H), 7.06 (s, 1H), 4.38 (s, 2H), 2.91 – 2.82 (m, 1H), 2.83 (s, 6H), 1.23 – 1.14 (m, 4H); ESI MS m/z 490 $[C_{29}H_{25}ClFN_3O_2 + H]^+$; HPLC 97.3% (AUC), t_R = 11.07 min.

Example 644

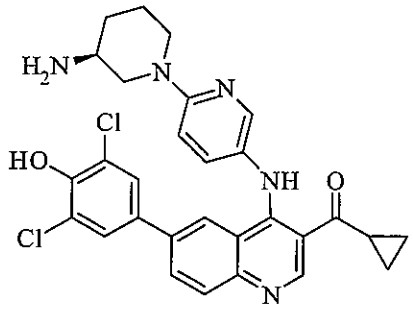
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (111 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (16 mg, 11% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-d) δ 9.35 (br s, 1H), 8.45 (s, 2H), 8.29 (dd, J = 8.8, 1.9 Hz, 1H), 8.26 – 8.15 (br s, 1H), 8.03 (d, J = 8.8 Hz, 1H), 7.07 (br s, 1H), 6.96 (br s, 1H), 4.76 (d, J = 12.9 Hz, 1H), 4.45 (br s, 1H), 3.37 – 3.31 (m, 1H), 2.82 (br s, 1H), 2.23 – 2.16 (m, 1H), 1.96 – 1.85 (m, 1H), 1.78 – 1.68 (m, 1H), 1.68 – 1.56 (m, 1H), 1.22 – 1.16 (m, 4H); ESI MS m/z 545 $[C_{29}H_{29}ClN_6O_3 + H]^+$; HPLC 98.5% (AUC), t_R = 10.69 min.

Exapmle 646

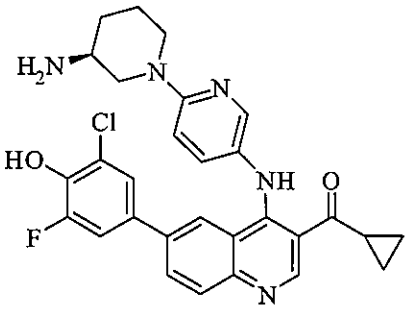
(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (75 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (29 mg, 31% over 2 steps) as a light orange solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.25 – 8.19 (m, 2H), 8.11 (br s, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.65 (dd, J = 9.1, 2.8 Hz, 1H), 7.32 (s, 2H), 7.7 (d, J = 9.1 Hz, 1H), 4.53 (br s, 1H), 4.00 (dt, J = 12.8, 4.0 Hz, 1H), 3.39 – 3.23 (m, 3H), 2.86 (br s, 1H), 2.22 – 2.12 (m, 1H), 1.98 – 1.88 (m, 1H), 1.78 – 1.64 (m, 2H), 1.26 – 1.16 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.97 min.

Example 648

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

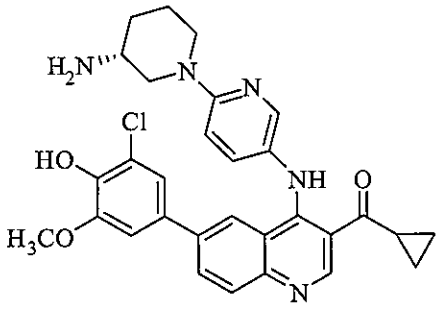


Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (71 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (27 mg, 30% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.15 (m, 2H), 8.06 (br s, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.66 (dd, J = 9.1, 2.8 Hz, 1H), 7.16 – 7.05 (m, 3H), 4.53 (d, J = 11.0 Hz, 1H), 4.01 (dd, J = 13.4, 4.6 Hz, 1H), 3.41 – 3.23 (m, 3H), 2.86 (br s, 1H), 2.23 – 2.15 (m, 1H), 1.99 – 1.88 (m, 1H), 1.79 – 1.66 (m,

2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.78 min.

Example 649

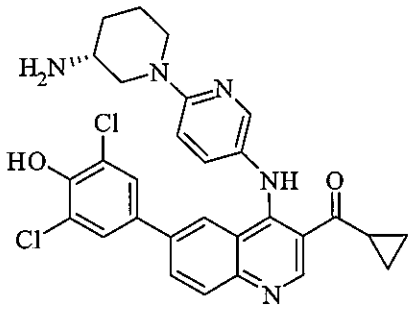
(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (30 mg, 32% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.20 (m, 2H), 8.10 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.05 (d, *J* = 9.1 Hz, 1H), 6.99 (s, 1H), 6.83 (br s, 1H), 4.55 – 4.49 (d, *J* = 11.6 Hz, 1H), 4.04 – 3.95 (m, 1H), 3.91 (s, 3H), 3.28 – 3.18 (m, 3H), 2.87 (br s, 1H), 2.22 – 2.14 (m, 1H), 1.96 – 1.87 (m, 1H), 1.76 – 1.62 (m, 2H), 1.28 – 1.16 (m, 4H).; ESI MS *m/z* 544 $[C_{30}H_{30}ClN_5O_3 + H]^+$; HPLC >99.0% (AUC), t_R = 10.74 min.

Example 650

(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

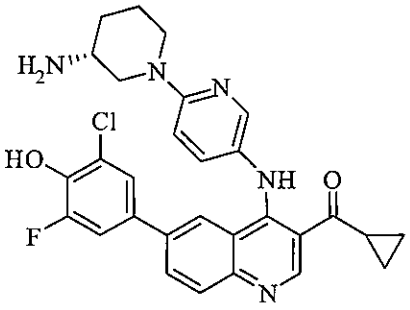


Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with

2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (75 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (18 mg, 19% over 2 steps) as a yellow-orange solid. NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.25 – 8.19 (m, 2H), 8.10 (br s, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.65 (dd, J = 9.1, 2.8 Hz, 1H), 7.32 (s, 2H), 7.07 (d, J = 9.1 Hz, 1H), 4.53 (br s, 1H), 4.01 (dt, J = 13.7, 4.0 Hz, 1H), 3.39 – 3.23 (m, 1H), 2.86 (br s, 1H), 2.22 – 2.12 (m, 1H), 1.97 – 1.88 (m, 1H), 1.78 – 1.64 (m, 2H), 1.26 – 1.16 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.96 min.

Example 651

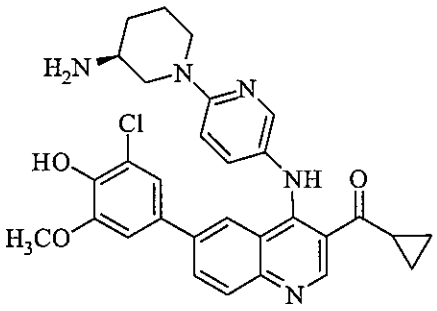
(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (71 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (33 mg, 37% over 2 steps) as an orange-yellow solid ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.41 (s, 1H), 8.28 – 8.14 (m, 2H), 8.05 (br s, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.65 (dd, J = 9.1, 2.8 Hz, 1H), 7.16 – 7.04 (m, 3H), 4.53 (d, J = 11.6 Hz, 1H), 3.99 (dt, J = 13.7, 4.0 Hz, 1H), 3.40 – 3.21 (m, 3H), 2.86 (br s, 3H), 2.23 – 2.15 (m, 1H), 1.98 – 1.89 (m, 1H), 1.79 – 1.65 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}Cl_FN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.77 min.

Example 660

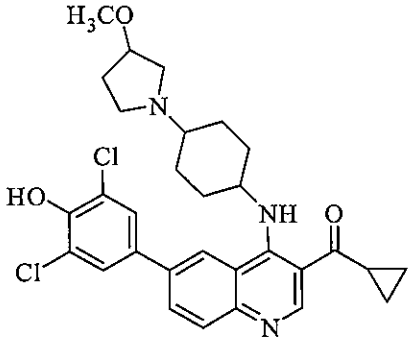
(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.20 (m, 2H), 8.10 (br s, 1H), 7.99 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.05 (d, *J* = 9.1 Hz, 1H), 6.99 (s, 1H), 6.83 (br s, 1H), 4.52 (d, *J* = 11.7 Hz, 1H), 4.04 – 3.96 (m, 1H), 3.91 (s, 3H), 3.37 – 3.18 (m, 3H), 2.87 (br s, 1H), 2.21 – 2.14 (m, 1H), 1.96 – 1.87 (m, 1H), 1.76 – 1.62 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 544 [$C_{30}H_{30}ClN_5O_3$ + H]$^+$; HPLC >99.0% (AUC), t_R = 10.76 min.

Example 661

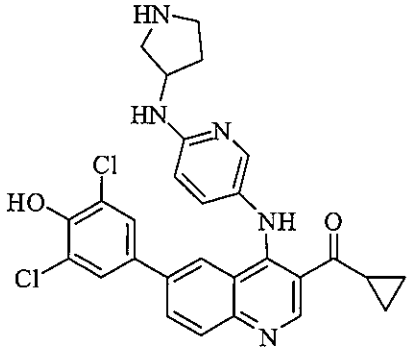
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl) cyclohexylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino) quinolin-3-yl)(cyclopropyl)methanone (60 mg, 0.13 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.19 mmol) to afford the desired product (46 mg, 64%) as a brown solid: ^{1}H NMR ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.42 (s, 1H), 8.46 (s, 1H), 8.31 – 8.23 (m, 1H), 8.04 – 7.97 (m, 1H), 7.75 (s, 1H), 7.71 (s, 1H), 4.99 (br s, 1H), 4.23 – 4.14 (m, 1H), 3.82 – 3.61 (m, 2H), 3.43 – 3.30 (m, 6H), 3.27 – 3.19 (m, 1H), 2.93 – 2.82 (m, 1H), 2.60 – 2.03 (m, 7H), 2.02 – 1.61 (m, 3H),1.37 – 1.18 (m, 4H).; ESI MS m/z 554 [$C_{30}H_{33}Cl_2N_3O_3$ + H]$^+$; HPLC >99.0% (AUC), t_R = 10.97 min.

Example 666

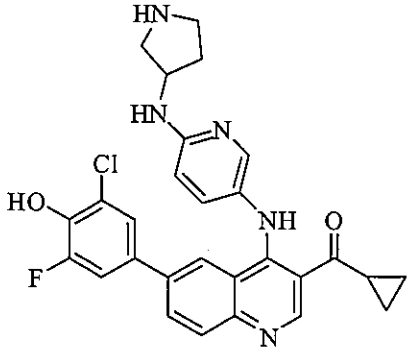
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino) quinolin-3-yl)methanone



Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyridin-2-ylamino)pyrrolidine-1-carboxylate (100 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (43 mg, 45% over 2 steps) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.26 – 8.07 (m, 3H), 8.00 (d, J = 8.9 Hz, 1H), 7.57 (dd, J = 8.9, 2.7 Hz, 1H), 7.34 (s, 2H), 6.85 – 6.77 (m, 1H), 4.65 – 4.56 (m, 1H), 3.66 – 3.49 (m, 2H), 3.44 – 3.30 (m, 2H), 2.86 (br s, 1H), 2.47 – 2.36 (m, 1H), 2.18 – 2.07 (m, 1H), 1.27 – 1.15 (m, 4H).ESI MS *m/z* 534 $[C_{28}H_{25}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.64 min.

Example 667

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin- 3-ylamino) quinolin-3-yl)(cyclopropyl)methanone

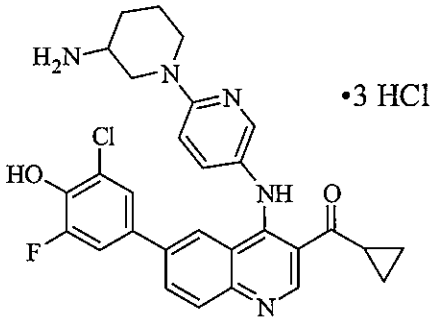


Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-ylamino)pyrrolidine-1-carboxylate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.22 (dd, J = 8.8, 2.0 Hz, 1H), 8.17 – 8.06 (m, 2H), 8.00 (d, J = 8.8 Hz, 1H), 7.55 (dd, J = 8.9, 2.7 Hz, 1H), 7.19 – 7.13 (m, 2H), 6.83 – 6.76 (m, 1H), 4.65 – 4.56 (m,

1H), 3.66 – 3.49 (m, 2H), 3.45 – 3.30 (m, 2H), 2.87 (br s, 1H), 2.48 – 2.37 (m, 1H), 2.19 – 2.08 (m, 1H), 1.28 – 1.16 (m, 4H). ESI MS *m/z* 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.43 min.

Example 562

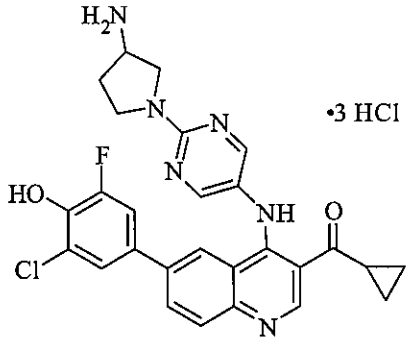
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin -3-yl)(cyclopropyl)methanone (12 mg, 0.02 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (10 mg, 80%) as an orange-red solid: ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.27 – 8.19 (m, 2H), 8.13 (br s, 1H), 8.02 (d, *J* = 8.8 Hz, 1H), 7.72 (dd, *J* = 9.2, 2.7 Hz, 1H), 7.21 – 7.07 (m, 3H), 4.52 (d, *J* = 10.8 Hz, 1H), 4.06 – 3.98 (m, 1H), 3.43 – 3.31 (m, 3H), 2.90 – 2.81 (m, 1H), 2.28 – 2.16 (m, 1H), 2.01 – 1.92 (m, 1H), 1.80 – 1.68 (m, 2H), 1.31 – 1.15 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.82 min.

Example 573

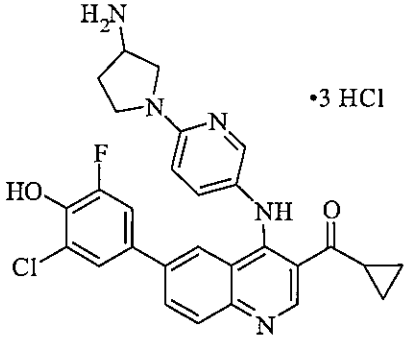
(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone (30 mg, 0.06 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (33 mg, 92%) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.31 (br s, 1H), 8.45 (s, 2H), 8.23 – 8.14 (m, 2H), 8.02 (d, J = 8.8 Hz, 1H), 7.26 – 7.20 (m, 2H), 4.11 – 4.00 (m, 2H), 3.94 – 3.73 (m, 3H), 2.83 (br s, 1H), 2.58 – 2.48 (m, 1H), 2.28 – 2.17 (m, 1H), 1.22 – 1.14 (m, 4H), 0.92 – 0.88 (s, 0H).ESI MS *m/z* 519 $[C_{27}H_{24}ClFN_6O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 5.18 min.

Example 577

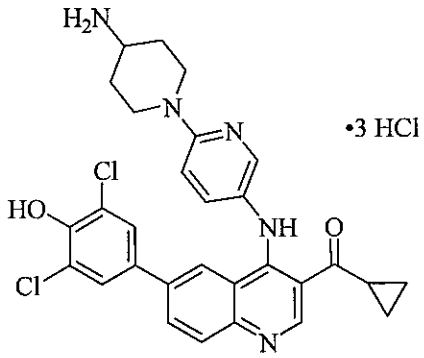
(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone (15 mg, 0.03 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (23 mg, quant.) as a yellow-brown solid. ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.28 – 8.19 (m, 2H), 8.16 (br s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.79 (dd, J = 9.1, 2.7 Hz, 1H), 7.26 – 7.16 (m, 2H), 6.94 (d, J = 9.2 Hz, 1H), 4.16 – 4.08 (m, 1H), 4.05 – 3.97 (m, 1H), 3.88 – 3.79 (m, 1H), 3.78 – 3.69 (m, 2H), 2.85 (br s, 1H), 2.64 – 2.53 (m, 1H), 2.34 – 2.21 (m, 1H), 1.25 – 1.15 (m, 4H). ESI MS *m/z* 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC 98.1% (AUC), t_R = 5.13 min.

Example 549

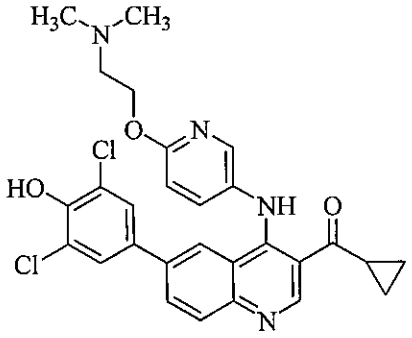
(4-(6-(4-Aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-l) (cyclopropyl)methanone hydrochloride



A suspension of{4-[6-(4-Aminopiperidin-1-yl)pyridin-3-ylamino]-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl}(cyclopropyl)methanone (19 mg, 0.02 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until the suspension was clear. The solvent was removed under reduced pressure to afford the desired product (19 mg, 83%) as a red solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.33 (s, 1H), 8.16–8.08 (m, 2H), 8.05 (s, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.57 (dd, J = 8.8, 2.9 Hz, 1H), 7.29 (s, 2H), 7.04 (d, J = 9.1 Hz, 1H), 4.52 (d, J = 13.4 Hz, 2H), 3.44–3.32 (m, 1H), 3.08–2.99 (m, 2H), 2.88 (s, 1H), 2.11 (d, J = 12.2 Hz, 2H), 1.71–1.59 (m, 2H), 1.24–1.13 (m, 4H); ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99% (AUC), t_R =11.52 min.

Example 711

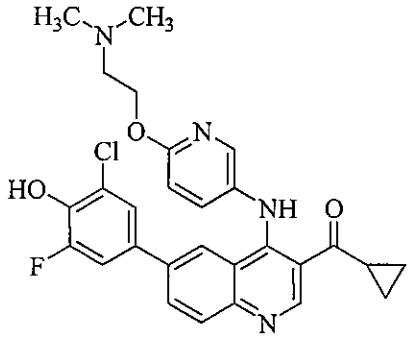
Cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy) pyridin-3-ylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino) quinolin-3-yl)(cyclopropyl)methanone (100 mg, 0.22 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2- dioxaborolan-2-yl)phenol (95 mg, 0.33 mmol) to afford the desired product (24 mg, 20%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.25 (s, 1H), 8.07 (d, J = 2.8 Hz, 1H), 7.94 (s, 2H), 7.80 (s, 1H), 7.56 (dd, J = 8.5, 2.8 Hz, 1H), 7.18 (s, 2H), 6.93 (d, J = 8.5 Hz, 1H), 4.54 (t, J = 5.5 Hz, 2H), 3.04 (t, J = 5.5 Hz, 2H), 2.95–2.86 (m, 1H), 2.54 (s, 6H), 1.23–1.14 (m, 2H), 1.17 – 1.08 (m, 2H); ESI MS *m/z* 537 $[C_{28}H_{26}Cl_2N_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.42 min.

Example 710

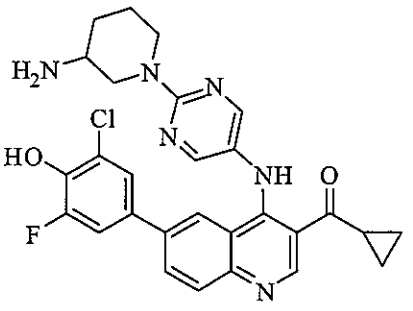
(6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone (100 mg, 0.22 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (90 mg, 0.33 mmol) to afford the desired product (21 mg, 18%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.25 (s, 1H), 8.08 (d, J = 2.7 Hz, 1H), 7.94 (m, 2H), 7.82 (s, 1H), 7.56 (dd, J = 8.8, 2.7 Hz, 1H), 7.06–6.96 (m, 2H), 6.92 (d, J = 8.8 Hz, 1H), 4.53 (t, J = 5.5 Hz, 2H), 3.00 (t, J = 5.5 Hz, 2H), 2.95–2.86 (m, 1H), 2.51 (s, 6H), 1.23 – 1.14 (m, 2H), 1.17 – 1.07 (m, 2H); ESI MS *m/z* 521 $[C_{28}H_{26}ClFN_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.15 min.

Example 626

(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

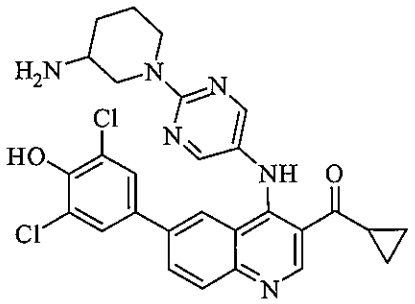


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (106 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (28 mg, 23% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.34 (br s, 1H), 8.45 (s, 2H), 8.25 (dd, J = 8.8, 1.9 Hz, 1H), 8.21 (br s, 1 H), 8.04 (d, J =

8.8 Hz, 1H), 7.25 (br s, 1H), 4.81 – 4.74 (m, 1H), 4.47 (d, J = 12.8 Hz, 1H), 3.48 – 3.31 (m, 3H), 2.80 (br s, 1H), 2.24 – 2.16 (m, 1H), 1.97 – 1.87 (m, 1H), 1.81 – 1.62 (m, 2H), 1.21 – 1.16 (m, J = 7.3 Hz, 4H); ESI MS *m/z* 533 $[C_{28}H_{26}ClFN_6O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.89 min.

Example 628

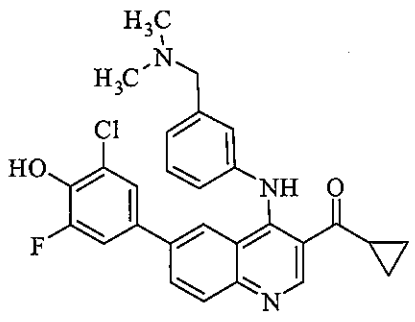
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinoline -4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarbamate (150 mg, 0.26 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (112 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (8.0 mg, 8% over 2 steps) as a yellow solid. ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.33 (br s, 1H), 8.44 (s, 2H), 8.27 (dd, J = 8.9, 1.8 Hz, 1H), 8.29 – 8.19 (m, 1H), 8.04 (d, J = 8.9 Hz, 1H), 7.44 (br s, 2H), 4.81 – 4.71 (m, 1H), 4.48 – 4.44 (m, 1H), 3.46 – 3.31 (m, 3H), 2.84 – 2.77 (m, 1H), 2.23 – 2.16 (m, 1H), 1.96 – 1.87 (m, 1H), 1.80 – 1.60 (m, 2H), 1.21 – 1.15 (m, 4H); ESI MS *m/z* 549 $[C_{28}H_{26}Cl_2N_6O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.00 min.

Example 629

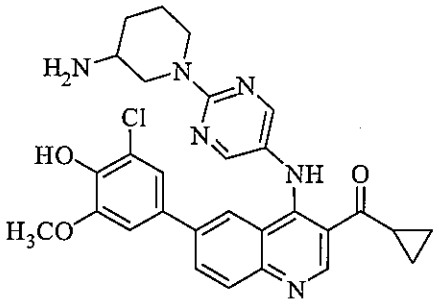
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (6-bromo-4-(3-((dimethylamino)methyl)phenylamino)quinoline -3-yl)(cyclopropyl)methanone (100 mg, 0.23 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (93 mg, 0.34 mmol) to afford the desired product (81 mg, 72%) as a yellow-green solid. ^{1}H NMR (300 MHz, MeOD + TFA-*d*) δ 9.44 (s, 1H), 8.25 (dd, J = 8.9, 1.9 Hz, 1H), 8.09 – 7.95 (m, 2H), 7.79 – 7.54 (m, 4H), 7.19 (dd, J = 11.5, 2.3 Hz, 1H), 7.06 (s, 1H), 4.38 (s, 2H), 2.91 – 2.82 (m, 1H), 2.83 (s, 6H), 1.23 – 1.14 (m, 4H); ESI MS *m/z* 490 $[C_{29}H_{25}ClFN_3O_2 + H]^+$; HPLC 97.3% (AUC), t_R = 11.07 min.

Example 644

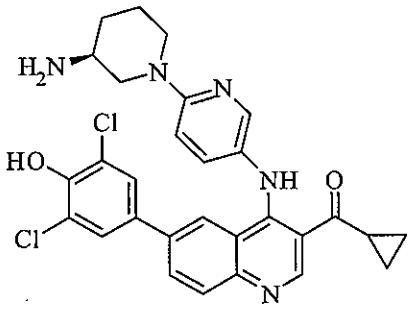
(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyrimidin-2-yl)piperidin-3-ylcarba mate (150 mg, 0.26mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (111 mg, 0.39 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (16 mg, 11% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.35 (br s, 1H), 8.45 (s, 2H), 8.29 (dd, J = 8.8, 1.9 Hz, 1H), 8.26 – 8.15 (br s, 1H), 8.03 (d, J = 8.8 Hz, 1H), 7.07 (br s, 1H), 6.96 (br s, 1H), 4.76 (d, J = 12.9 Hz, 1H), 4.45 (br s, 1H), 3.37 – 3.31 (m, 1H), 2.82 (br s, 1H), 2.23 – 2.16 (m, 1H), 1.96 – 1.85 (m, 1H), 1.78 – 1.68 (m, 1H), 1.68 – 1.56 (m, 1H), 1.22 – 1.16 (m, 4H); ESI MS *m/z* 545 $[C_{29}H_{29}ClN_6O_3 + H]^+$; HPLC 98.5% (AUC), t_R = 10.69 min.

Example 646

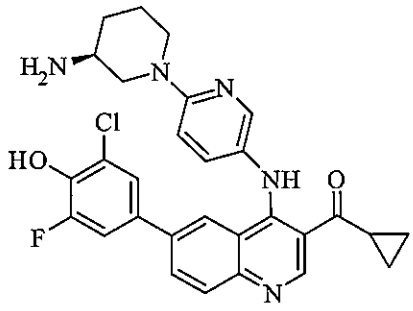
(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (75 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (29 mg, 31% over 2 steps) as a light orange solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.25 – 8.19 (m, 2H), 8.11 (br s, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.65 (dd, J = 9.1, 2.8 Hz, 1H), 7.32 (s, 2H), 7.7 (d, J = 9.1 Hz, 1H), 4.53 (br s, 1H), 4.00 (dt, J = 12.8, 4.0 Hz, 1H), 3.39 – 3.23 (m, 3H), 2.86 (br s, 1H), 2.22 – 2.12 (m, 1H), 1.98 – 1.88 (m, 1H), 1.78 – 1.64 (m, 2H), 1.26 – 1.16 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.97 min.

Example 648

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

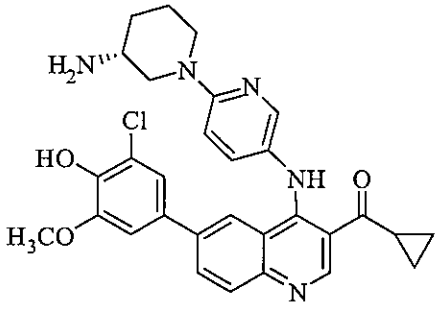


Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (71 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (27 mg, 30% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD

+ TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.15 (m, 2H), 8.06 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.66 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.16 – 7.05 (m, 3H), 4.53 (d, *J* = 11.0 Hz, 1H), 4.01 (dd, *J* = 13.4, 4.6 Hz, 1H), 3.41 – 3.23 (m, 3H), 2.86 (br s, 1H), 2.23 – 2.15 (m, 1H), 1.99 – 1.88 (m, 1H), 1.79 – 1.66 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.78 min.

Example 649

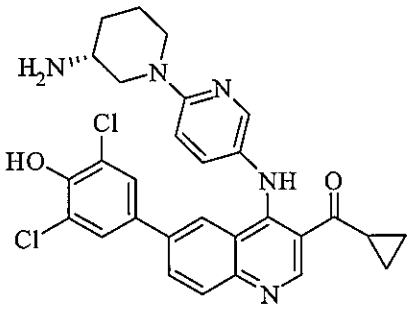
(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (30 mg, 32% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.20 (m, 2H), 8.10 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.05 (d, *J* = 9.1 Hz, 1H), 6.99 (s, 1H), 6.83 (br s, 1H), 4.55 – 4.49 (d, *J* = 11.6 Hz, 1H), 4.04 – 3.95 (m, 1H), 3.91 (s, 3H), 3.28 – 3.18 (m, 3H), 2.87 (br s, 1H), 2.22 – 2.14 (m, 1H), 1.96 – 1.87 (m, 1H), 1.76 – 1.62 (m, 2H), 1.28 – 1.16 (m, 4H).; ESI MS *m/z* 544 $[C_{30}H_{30}ClN_5O_3 + H]^+$; HPLC >99.0% (AUC), t_R = 10.74 min.

Example 650

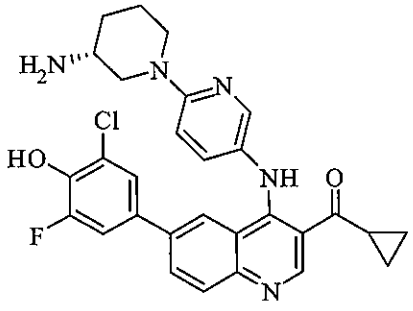
(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (75 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (18 mg, 19% over 2 steps) as a yellow-orange solid. NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.25 – 8.19 (m, 2H), 8.10 (br s, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.65 (dd, J = 9.1, 2.8 Hz, 1H), 7.32 (s, 2H), 7.07 (d, J = 9.1 Hz, 1H), 4.53 (br s, 1H), 4.01 (dt, J = 13.7, 4.0 Hz, 1H), 3.39 – 3.23 (m, 1H), 2.86 (br s, 1H), 2.22 – 2.12 (m, 1H), 1.97 – 1.88 (m, 1H), 1.78 – 1.64 (m, 2H), 1.26 – 1.16 (m, 4H). ESI MS *m/z* 548 $[C_{29}H_{27}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.96 min.

Example 651

(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

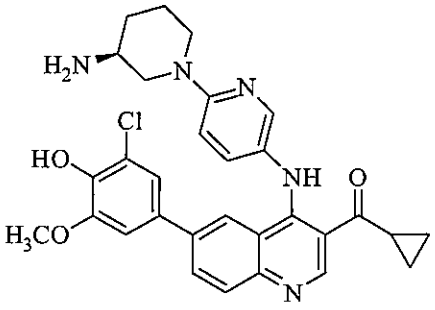


Following general procedure D, (R)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (71 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (33 mg, 37% over 2 steps) as an orange-yellow solid ^{1}H NMR (500 MHz,

MeOD + TFA-*d*) δ 9.41 (s, 1H), 8.28 – 8.14 (m, 2H), 8.05 (br s, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.16 – 7.04 (m, 3H), 4.53 (d, *J* = 11.6 Hz, 1H), 3.99 (dt, *J* = 13.7, 4.0 Hz, 1H), 3.40 – 3.21 (m, 3H), 2.86 (br s, 3H), 2.23 – 2.15 (m, 1H), 1.98 – 1.89 (m, 1H), 1.79 – 1.65 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}Cl_FN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.77 min.

Example 660

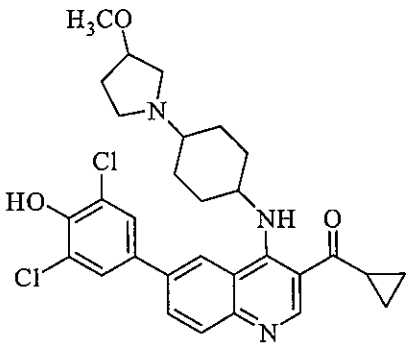
(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, (S)-tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (100 mg, 0.17 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (74 mg, 0.26 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as an orange-yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.28 – 8.20 (m, 2H), 8.10 (br s, 1H), 7.99 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 9.1, 2.8 Hz, 1H), 7.05 (d, *J* = 9.1 Hz, 1H), 6.99 (s, 1H), 6.83 (br s, 1H), 4.52 (d, *J* = 11.7 Hz, 1H), 4.04 – 3.96 (m, 1H), 3.91 (s, 3H), 3.37 – 3.18 (m, 3H), 2.87 (br s, 1H), 2.21 – 2.14 (m, 1H), 1.96 – 1.87 (m, 1H), 1.76 – 1.62 (m, 2H), 1.27 – 1.16 (m, 4H). ESI MS *m/z* 544 $[C_{30}H_{30}ClN_5O_3 + H]^+$; HPLC >99.0% (AUC), t_R = 10.76 min.

Example 661

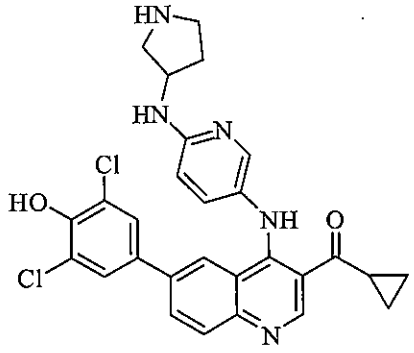
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone (60 mg, 0.13 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.19 mmol) to afford the desired product (46 mg, 64%) as a brown solid: ^{1}H NMR ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.42 (s, 1H), 8.46 (s, 1H), 8.31 – 8.23 (m, 1H), 8.04 – 7.97 (m, 1H), 7.75 (s, 1H), 7.71 (s, 1H), 4.99 (br s, 1H), 4.23 – 4.14 (m, 1H), 3.82 – 3.61 (m, 2H), 3.43 – 3.30 (m, 6H), 3.27 – 3.19 (m, 1H), 2.93 – 2.82 (m, 1H), 2.60 – 2.03 (m, 7H), 2.02 – 1.61 (m, 3H),1.37 – 1.18 (m, 4H).; ESI MS m/z 554 $[C_{30}H_{33}Cl_2N_3O_3 + H]^+$; HPLC >99.0% (AUC), t_R = 10.97 min.

Example 666

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino) quinolin-3-yl)methanone

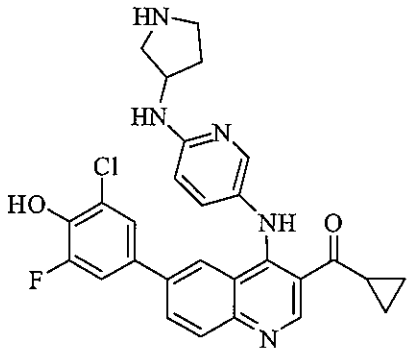


Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-ylamino)pyrrolidine-1-carboxylate (100 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (78 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (43 mg, 45% over 2 steps) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.26 – 8.07 (m, 3H), 8.00 (d, J = 8.9 Hz, 1H), 7.57 (dd, J = 8.9, 2.7 Hz, 1H), 7.34 (s, 2H), 6.85 – 6.77 (m, 1H), 4.65 – 4.56 (m, 1H), 3.66 – 3.49 (m, 2H),

3.44 – 3.30 (m, 2H), 2.86 (br s, 1H), 2.47 – 2.36 (m, 1H), 2.18 – 2.07 (m, 1H), 1.27 – 1.15 (m, 4H).ESI MS *m/z* 534 $[C_{28}H_{25}Cl_2N_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.64 min.

Example 667

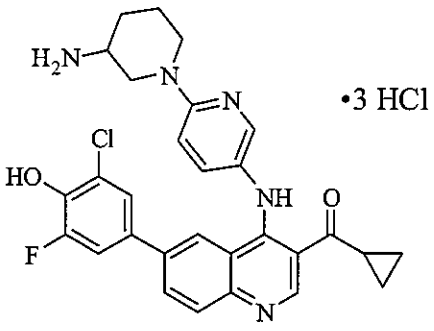
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino) quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 3-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-ylamino)pyrrolidine-1-carboxylate (100 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.27 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (42 mg, 45% over 2 steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD + TFA-*d*) δ 9.40 (br s, 1H), 8.22 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.17 – 8.06 (m, 2H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.55 (dd, *J* = 8.9, 2.7 Hz, 1H), 7.19 – 7.13 (m, 2H), 6.83 – 6.76 (m, 1H), 4.65 – 4.56 (m, 1H), 3.66 – 3.49 (m, 2H), 3.45 – 3.30 (m, 2H), 2.87 (br s, 1H), 2.48 – 2.37 (m, 1H), 2.19 – 2.08 (m, 1H), 1.28 – 1.16 (m, 4H). ESI MS *m/z* 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 10.43 min.

Example 562

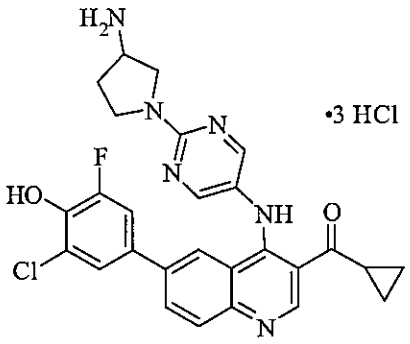
(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin -3-yl)(cyclopropyl)methanone hydrochloride



(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone (12 mg, 0.02 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (10 mg, 80%) as an orange-red solid: ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.27 – 8.19 (m, 2H), 8.13 (br s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.72 (dd, J = 9.2, 2.7 Hz, 1H), 7.21 – 7.07 (m, 3H), 4.52 (d, J = 10.8 Hz, 1H), 4.06 – 3.98 (m, 1H), 3.43 – 3.31 (m, 3H), 2.90 – 2.81 (m, 1H), 2.28 – 2.16 (m, 1H), 2.01 – 1.92 (m, 1H), 1.80 – 1.68 (m, 2H), 1.31 – 1.15 (m, 4H). ESI MS *m/z* 532 $[C_{29}H_{27}ClFN_5O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.82 min.

Example 573

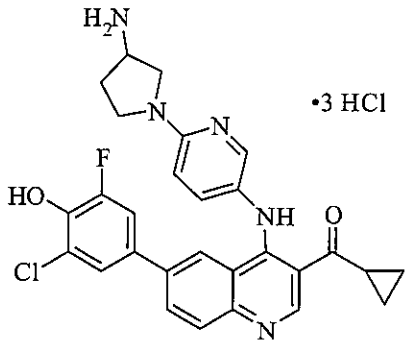
(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone (30 mg, 0.06 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (33 mg, 92%) as a yellow-orange solid: ^{1}H NMR (500 MHz, MeOD) δ 9.31 (br s, 1H), 8.45 (s, 2H), 8.23 – 8.14 (m, 2H), 8.02 (d, J = 8.8 Hz, 1H), 7.26 – 7.20 (m, 2H), 4.11 – 4.00 (m, 2H), 3.94 – 3.73 (m, 3H), 2.83 (br s, 1H), 2.58 – 2.48 (m, 1H), 2.28 – 2.17 (m, 1H), 1.22 – 1.14 (m, 4H), 0.92 – 0.88 (s, 0H).ESI MS *m/z* 519 $[C_{27}H_{24}ClFN_6O_2 + H]^+$; HPLC >99.0% (AUC), t_R = 5.18 min.

Example 577

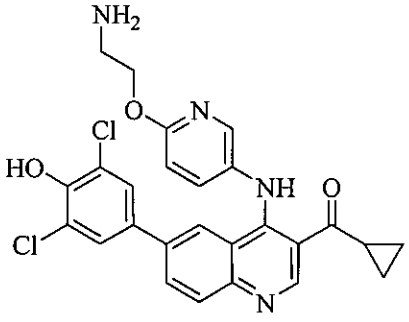
(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride



(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinoli n-3-yl)(cyclopropyl)methanone (15 mg, 0.03 mmol) was suspended in methanol (1 mL) at 0° C followed by dropwise addition of HCl in diethyl ether (2 M) until complete dissolution of the solid was observed. The solvent was removed under reduced pressure to afford the desired product (23 mg, quant.) as a yellow-brown solid. ^{1}H NMR (500 MHz, MeOD) δ 9.39 (s, 1H), 8.28 – 8.19 (m, 2H), 8.16 (br s, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.79 (dd, J = 9.1, 2.7 Hz, 1H), 7.26 – 7.16 (m, 2H), 6.94 (d, J = 9.2 Hz, 1H), 4.16 – 4.08 (m, 1H), 4.05 – 3.97 (m, 1H), 3.88 – 3.79 (m, 1H), 3.78 – 3.69 (m, 2H), 2.85 (br s, 1H), 2.64 – 2.53 (m, 1H), 2.34 – 2.21 (m, 1H), 1.25 – 1.15 (m, 4H). ESI MS *m/z* 518 $[C_{28}H_{25}ClFN_5O_2 + H]^+$; HPLC 98.1% (AUC), t_R = 5.13 min.

Example 723

(4-(6-(2-Aminoethoxy)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)(cyclopropyl)methanone

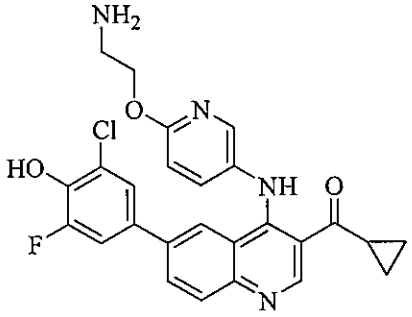


Following general procedure D, tert-butyl 2-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yloxy)ethylcarbamate (100 mg, 0.19 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (81 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (21 mg, 22% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.33–8.24 (m, 2H), 8.13–8.04 (m, 2H), 7.83 (dd, J = 8.8, 2.8 Hz, 1H), 7.37 (s, 2H),

7.14 (d, J = 8.8 Hz, 1H), 4.66 (t, J = 5.1 Hz, 2H), 3.43 (t, J = 5.1 Hz, 2H), 2.87 – 2.80 (m, 1H), 1.22 – 1.15 (m, 4H); ESI MS *m/z* 509 $[C_{26}H_{22}Cl_2N_4O_3 + H]^+$; HPLC 99.7% (AUC), t_R = 11.26 min.

Example 724

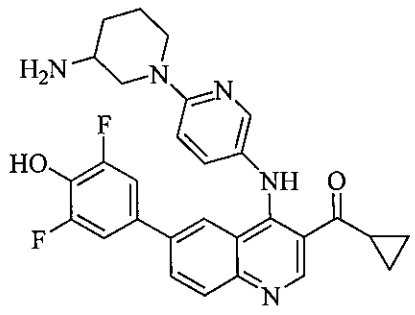
(4-(6-(2-Aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone



Following general procedure D, tert-butyl 2-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yloxy)ethylcarbamate (100 mg, 0.19mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (76 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (24 mg, 26% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.34–8.24 (m, 2H), 8.13–8.03 (m, 2H), 7.82 (dd, J = 8.8, 2.8 Hz, 1H), 7.24 – 7.10 (m, 3H), 4.65 (t, J 5.1 = Hz, 2H), 3.43 (t, J = 5.1 Hz, 2H), 2.87 – 2.81 (m, 1H), 1.23 – 1.15 (m, 4H); ESI MS *m/z* 493 $[C_{26}H_{22}ClFN_4O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.00 min.

Example 725

(4-(6-(3-Aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone

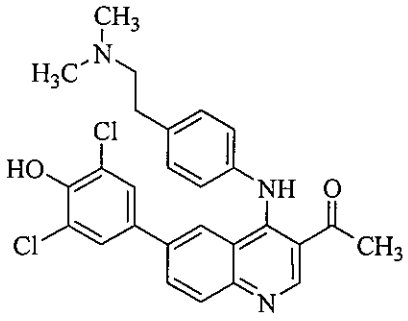


Following general procedure D, tert-butyl 1-(5-(6-bromo-3-(cyclopropanecarbonyl) quinolin-4-ylamino)pyridin-2-yl)piperidin-3-ylcarbamate (88 mg, 0.15 mmol) was reacted with 2,6-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (60 mg, 0.23 mmol) to obtain

the protected intermediate which was subjected to general procedure A-2 to afford the desired product (72 mg, 93% over two steps) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.43 (s, 1H), 8.29–8.20 (m, 2H), 8.09–8.00 (m, 2H), 7.70 (dd, J = 9.1, 2.7 Hz, 1H), 7.15 (d, J = 9.1 Hz, 1H), 7.05–6.93 (m, 2H), 4.55 (d, J = 12.5 Hz, 1H), 4.04 (d, J = 12.5 Hz, 1H), 3.44–3.35 (m, 2H), 2.93–2.84 (m, 1H), 2.27–2.19 (m, 1H), 2.04–1.94 (m, 1H), 1.84–1.71 (m, 2H), 1.31–1.19 (m, 4H); ESI MS *m/z* 516 $[C_{29}H_{27}F_2N_5O_2 + H]^+$; HPLC 99.0% (AUC), t_R = 11.31 min.

Example 744

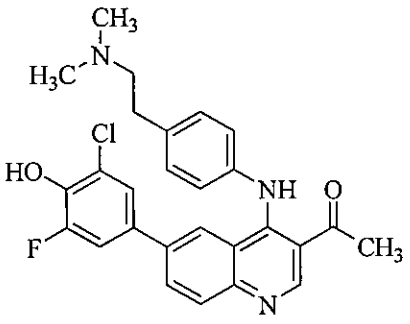
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)ethanone (76 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5 -tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (81 mg, 0.28 mmol) to afford the desired product (49 mg, 55%)as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.30 (s, 1H), 8.19 (dd, J = 8.8, 2.0 Hz, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.76 (d, J = 2.0 Hz, 1H), 7.60 (d, J = 8.3 Hz, 2H), 7.49 (d, J = 8.3 Hz, 2H), 7.13 (s, 2H), 3.45–3.37 (m, 2H), 3.29–3.20 (m, 2H), 3.00 (s, 6H), 2.82 (s, 3H); ESI MS *m/z* 494 $[C_{27}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 96.9% (AUC), t_R = 12.01 min.

Example 745

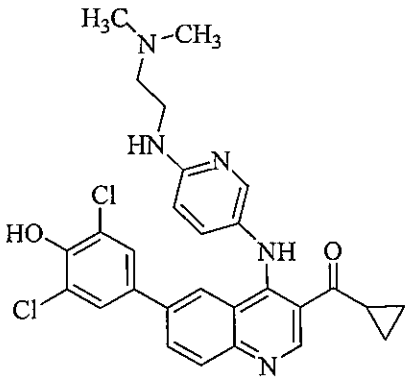
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(4-(2-(dimethylamino)ethyl)phenyl amino)quinolin-3-yl)ethanone (76 mg, 0.18mmol) was reacted with 2-chloro-6-fluoro-4 -(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (76 mg, 0.28 mmol) to afford the desired product (23 mg, 27%)as a yellow-green solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.30 (s, 1H), 8.19 (dd, J = 8.8, 2.0 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.76 (d, J = 2.1 Hz, 1H), 7.60 (d, J = 8.3 Hz, 2H), 7.50 (d, J = 8.3 Hz, 2H), 6.99–6.92 (m, 2H), 3.46–3.39 (m, 2H), 3.27–3.19 (m, 2H), 3.00 (s, 6H), 2.82 (s, 3H); ESI MS *m/z* 478 $[C_{27}H_{25}ClFN_3O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.91 min.

Example 747

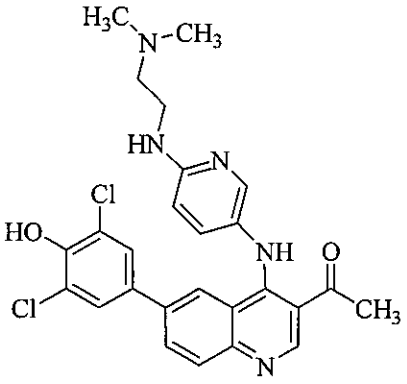
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-yl amino)quinolin-3-yl)methanone



Following general procedure D, (6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridine -3-ylamino)quinolin-3-yl)(cyclopropyl)methanone (75 mg, 0.17mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (73 mg, 0.25 mmol) to afford the desired product (24 mg, 26%) as an orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.38 (s, 1H), 8.32–8.18 (m, 3H), 8.07 (d, J = 9.3 Hz, 1H), 7.86 (dd, J = 9.3, 2.6 Hz, 1H), 7.48 (s, 2H), 7.15 (d, J = 9.3 Hz, 1H), 3.91 (t, J = 6.1 Hz, 2H), 3.49 (t, J = 6.1 Hz, 2H), 2.99 (s, 6H), 2.87–2.78 (m, 1H), 1.24–1.18 (m, 4H); ESI MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 96.5% (AUC), t_R = 11.28 min.

Example 758

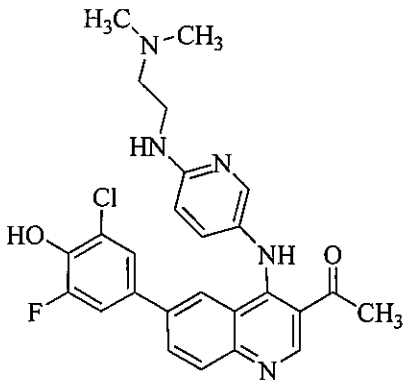
1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino) quinolin-3-yl)ethanone



Following general procedure D, 1-(6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridine -3-ylamino)quinolin-3-yl)ethanone (100 mg, 0.23mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (101 mg, 0.35 mmol) to afford the desired product (39 mg, 33%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.28 (s, 1H), 8.26–8.17 (m, 2H), 8.04–7.99 (m, 2H), 7.67 (dd, J = 9.0, 2.7 Hz, 1H), 7.28 (s, 2H), 6.93 (d, J = 9.0 Hz, 1H), 3.86–3.82 (m, 2H), 3.44–3.36 (m, 2H), 2.96 (s, 6H), 2.81 (s, 3H); ESI MS *m/z* 510 $[C_{26}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 96.6% (AUC), t_R = 10.74 min.

Example 762

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino) pyridin-3-ylamino)quinolin-3-yl)ethanone

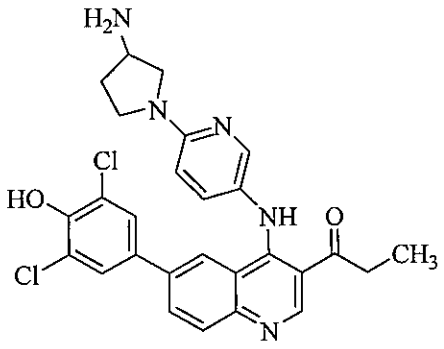


Following general procedure D, 1-(6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridine -3-ylamino)quinolin-3-yl)ethanone (100 mg, 0.23mmol) was reacted with 2-chloro-6-fluoro -4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan -2-yl)phenol (82 mg, 0.35 mmol) to afford the desired

product (18 mg, 16%)as a green-yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.26–8.20 (m, 2H), 8.05–7.99 (m, 2H), 7.69 (dd, *J* = 9.0, 2.7 Hz, 1H), 7.18–7.07 (m, 2H), 6.96 (d, *J* = 9.0 Hz, 1H), 3.89–3.83 (m, 2H), 3.46–3.37 (m, 2H), 2.96 (s, 6H), 2.80 (s, 3H); ESI MS *m/z* 494 $[C_{26}H_{25}ClFN_5O_2 + H]^+$; HPLC 97.2% (AUC), t_R = 10.46 min.

Example 761

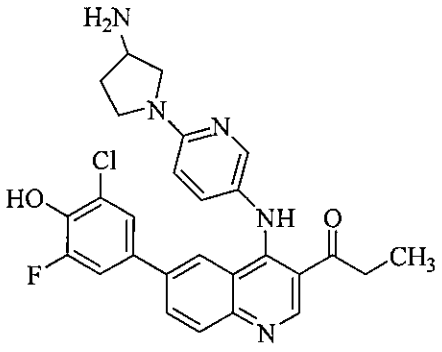
1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)propan-1-one



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino)pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (81 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (8 mg, 9% over two steps) as an orange-brown solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.27–8.19 (m, 2H), 8.07–7.99 (m, 2H), 7.85–7.70 (m, 1H), 7.29 (s, 2H), 6.96 (d, *J* = 9.2 Hz, 1H), 4.15–4.05 (m, 1H), 4.07–3.99 (m, 1H), 3.89–3.80 (m, 1H), 3.79–3.65 (m, 2H), 3.27–3.18 (m, 2H), 2.63–2.52 (m, 1H), 2.34–2.23 (m, 1H), 1.31–1.22 (m, 3H); ESI MS *m/z* 522 $[C_{27}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 95.7% (AUC), t_R = 10.98 min.

Example 760

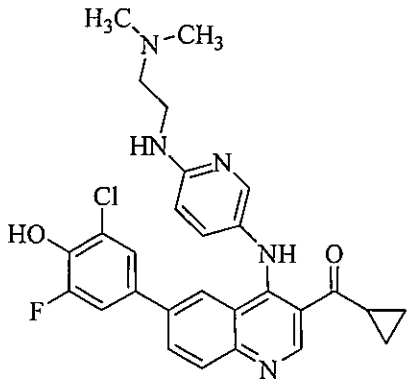
1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quin olin-3-yl)propan-1-one



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino) pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (76 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (34 mg, 37% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.29–8.18 (m, 2H), 8.06–7.99 (m, 2H), 7.82 (dd, J = 9.1, 2.6 Hz, 1H), 7.16–7.10 (m, 1H), 7.07 (s, 1H), 6.97 (d, J = 9.1 Hz, 1H), 4.17–4.08 (m, 1H), 4.06–3.98 (m, 1H), 3.90–3.81 (m, 1H), 3.79–3.70 (m, 2H), 3.27–3.19 (m, 2H), 2.64–2.53 (m, 1H), 2.35–2.25 (m, 1H), 1.26 (t, J = 7.1 Hz, 3H); ESI MS *m/z* 506 $[C_{27}H_{25}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.75 min.

Example 776

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino) quinolin-3-yl)(cyclopropyl)methanone

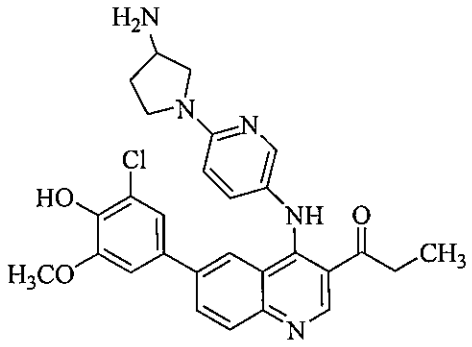


Following general procedure D, (6-bromo-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone (75 mg, 0.17 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (69 mg, 0.25 mmol) to afford the desired product (6 mg, 7%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.25 (dd, J = 8.8, 1.9 Hz, 1H), 8.22–8.15 (m, 2H), 8.03 (d, J = 8.8 Hz, 1H),

7.69 (dd, J = 9.1, 2.6 Hz, 1H), 7.27–7.18 (m, 2H), 6.95 (d, J = 9.1 Hz, 1H), 3.87–3.81 (m, 2H), 3.46–3.39 (m, 2H), 2.97 (s, 6H), 2.89–2.78 (m, 1H), 1.28–1.17 (m, 4H); ESI MS m/z 520 $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.34 min.

Example 775

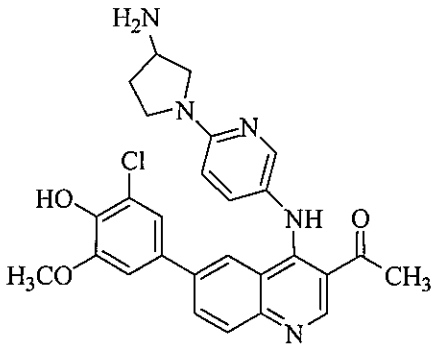
1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)propan-1-one



Following general procedure D, tert-butyl 1-(5-(6-bromo-3-propionylquinolin-4-ylamino) pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.18 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (80 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (24 mg, 27% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-d) δ 9.28 (s, 1H), 8.29–8.23 (m, 2H), 8.06–7.98 (m, 2H), 7.78 (dd, J = 9.1, 2.7 Hz, 1H), 7.02 (d, J = 2.2 Hz, 1H), 6.92 (d, J = 9.1 Hz, 1H), 6.70 (d, J = 2.2 Hz, 1H), 4.14–4.06 (m, 1H), 4.04–3.96 (m, 1H), 3.91 (s, 3H), 3.87–3.77 (m, 1H), 3.75–3.66 (m, 2H), 3.23 (q, J = 7.1 Hz, 2H), 2.62–2.51 (m, 1H), 2.32–2.22 (m, 1H), 1.27 (t, J = 7.1 Hz, 3H); ESI MS m/z 518 $[C_{28}H_{28}ClN_5O_3 + H]^+$; HPLC 98.5% (AUC), t_R = 9.79 min.

Example 774

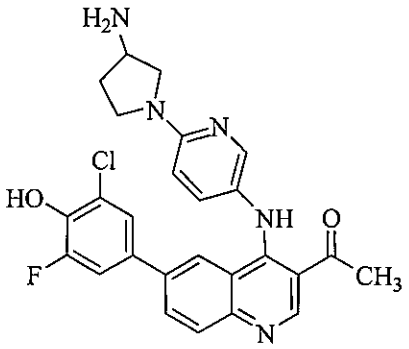
1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-3-yl)ethanone



Following general procedure D, tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino) pyridin-2-yl)pyrrolidin-3-ylcarbamate (80 mg, 0.15 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (65 mg, 0.23 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (11 mg, 15% over two steps) as a yellow-brown: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.28 (s, 1H), 8.31–8.25 (m, 2H), 8.06–7.99 (m, 2H), 7.83 (dd, J = 9.2, 2.7 Hz, 1H), 7.04 (d, J = 2.2 Hz, 1H), 7.98 (d, J = 9.2 Hz, 1H), 6.71 (d, J = 2.2 Hz, 1H), 4.16–4.07 (m, 1H), 4.07–3.96 (m, 2H), 3.91 (s, 3H), 3.88–3.79 (m, 1H), 3.79–3.69 (m, 2H), 2.80 (s, 3H), 2.63–2.53 (m, 1H), 2.34–2.23 (m, 1H); ESI MS *m/z* 504 $[C_{27}H_{26}ClN_5O_3 + H]^+$; HPLC 95.4% (AUC), t_R = 9.37 min.

Example 773

1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl) quinolin-3-yl)ethanone

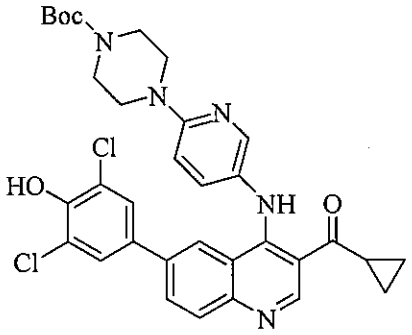


Following general procedure D, tert-butyl 1-(5-(3-acetyl-6-bromoquinolin-4-ylamino) pyridin-2-yl)pyrrolidin-3-ylcarbamate (100 mg, 0.19 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (76 mg, 0.28 mmol) to obtain the protected intermediate which was subjected to general procedure A-2 to afford the desired product (13 mg, 16% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.29–8.20 (m, 2H), 8.05–7.99 (m, 2H), 7.84 (dd, J = 9.2, 2.6 Hz, 1H),

7.15 (d, J = 11.6 Hz, 1H), 7.07 (s, 1H), 7.00 (d, J = 9.2 Hz, 1H), 4.17–4.09 (m, 1H), 4.07–4.00 (m, 1H), 3.90–3.81 (m, 1H), 3.80–3.71 (m, 2H), 2.79 (s, 3H), 2.65–2.52 (m, 1H), 2.36–2.25 (m, 1H); ESI MS *m/z* 492 $[C_{26}H_{23}ClFN_5O_2 + H]^+$; HPLC 97.6% (AUC), t_R = 9.73 min.

Example 1186

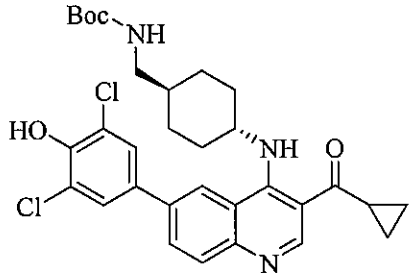
tert-Butyl 4-{5-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl) quinolin-4-ylamino] pyridin-2-yl}piperazine-1-carboxylate



Following general procedure F, *tert*-butyl 4-{5-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate (65 mg, 0.118 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (51 mg, 0.177 mmol) to afford the crude product (75 mg) as an orange solid: ESI MS *m/z* 634 $[C_{33}H_{33}Cl_2N_5O_4 + H]^+$.

Example 1187

tert-Butyl {trans-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclo hexyl}methylcarbamate



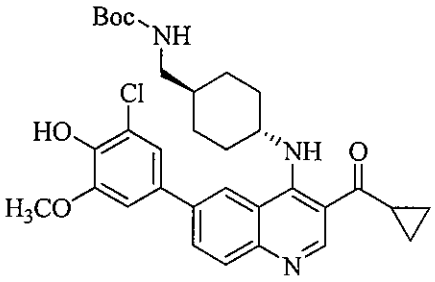
Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (62 mg, 0.123 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (53 mg, 0.185 mmol) to

afford the crude product (58 mg) as a yellow solid: ESI MS *m/z* 584 $[C_{31}H_{35}Cl_2N_3O4 + H]^+$.

Example 1188

tert-Butyl

{trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate

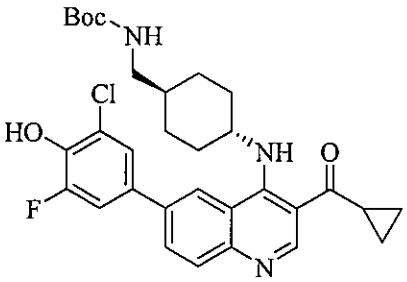


Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (65 mg, 0.123 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.185 mmol) to afford the crude product (90 mg) as a yellow-green solid: ESI MS *m/z* 580 $[C_{32}H_{38}ClN_3O_5 + H]^+$.

Example 1189

tert-Butyl

{trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate



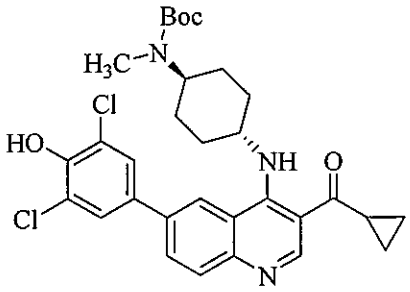
Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (62 mg, 0.123 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.185 mmol) to

afford the crude product (69 mg) as a yellow-green solid.

Example 1190

tert-Butyl

trans-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate

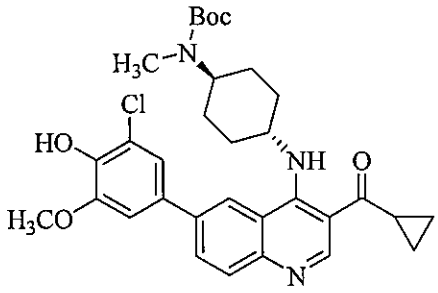


Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}(methyl)carbamate (61 mg, 0.120 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.180 mmol) to afford the crude product (65 mg) as a brown oil: ESI MS *m/z* 584 $[C_{31}H_{35}Cl_2N_3O_4 + H]^+$.

Example 1191

tert-Butyl

trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate

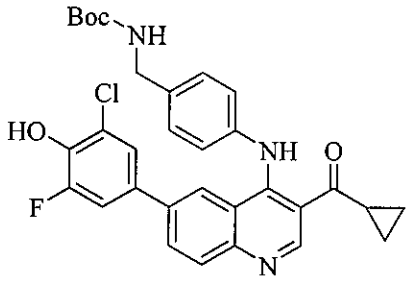


Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}(methyl)carbamate (68 mg, 0.135 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (58 mg, 0.203 mmol) to afford the crude product (90 mg) as a brown oil: ESI MS *m/z* 580 $[C_{32}H_{38}ClN_3O_5 + H]^+$.

Example 1192

tert-Butyl

4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate

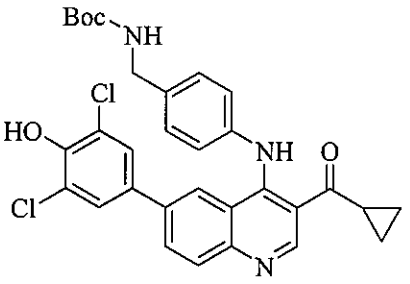


Following general procedure F, *tert-b*utyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate (63 mg, 0.127 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.191 mmol) to afford the crude product (70 mg) as a yellow solid: ESI MS *m/z* 562 $[C_{31}H_{29}ClFN_3O_4 + H]^+$.

Example 1193

tert-Butyl

4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]benzylcarbamate

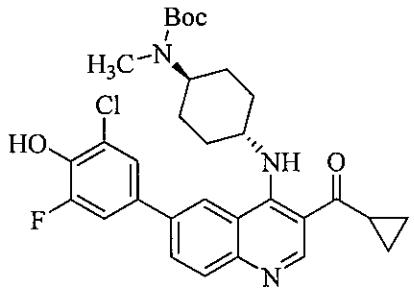


Following general procedure F, *tert-b*utyl 4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate (58 mg, 0.117 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.176 mmol) to afford the crude product (67 mg) as a yellow solid.

Example 1194

tert-Butyl

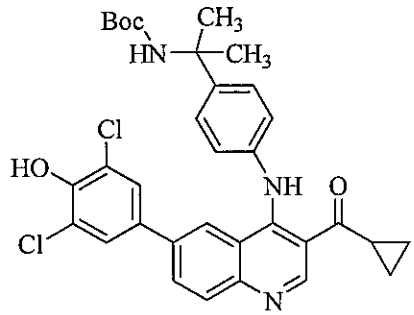
trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate



Following general procedure F, *tert*-butyl {trans-4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}(methyl)carbamate (63 mg, 0.125 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (51 mg, 0.188 mmol) to afford the crude product (75 mg) as a yellow-green solid: ESI MS *m/z* 568 $[C_{31}H_{35}ClFN_3O_4 + H]^+$.

Example 1195

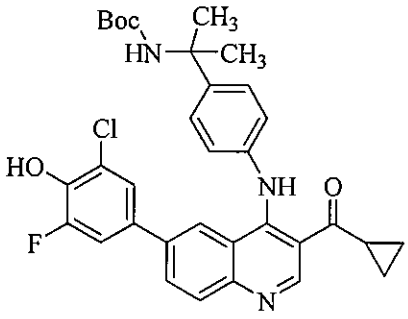
tert-Butyl 2-{4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate



Following general procedure F, *tert*-butyl 2-{4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate (76 mg, 0.145 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (63 mg, 0.212 mmol) to afford the crude product (75 mg) as a yellow solid: ESI MS *m/z* 606 $[C_{33}H_{33}Cl_2N_3O_4 + H]^+$.

Example 1196

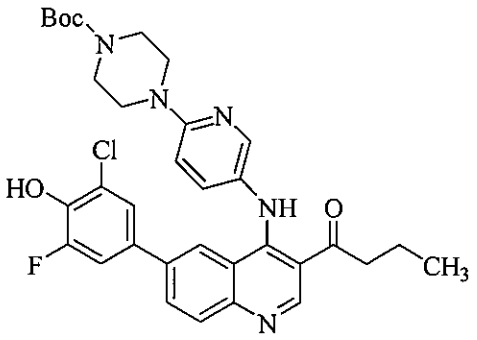
tert-Butyl
2-{4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate



Following general procedure F, *tert*-butyl
2-{4-[6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate (74 mg, 0.140 mmol) was reacted with
2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.210 mmol) to afford the crude product (68 mg) as a yellow solid: ESI MS *m/z* 590 $[C_{33}H_{33}ClFN_3O_4 + H]^+$.

Example 1197

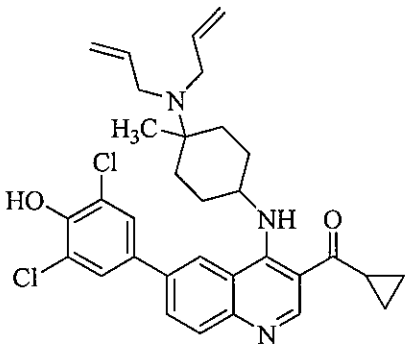
tert-Butyl
4-{5-[3-butyryl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate



Following general procedure F, *tert*-butyl
4-[5-(6-bromo-3-butyrylquinolin-4-ylamino)pyridin-2-yl]piperazine-1-carboxylate (80 mg, 0.144 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (59 mg, 0.216 mmol) to afford the crude product (66 mg) as an orange solid: ESI MS *m/z* 620 $[C_{33}H_{35}ClFN_5O_4 + H]^+$.

Example 583

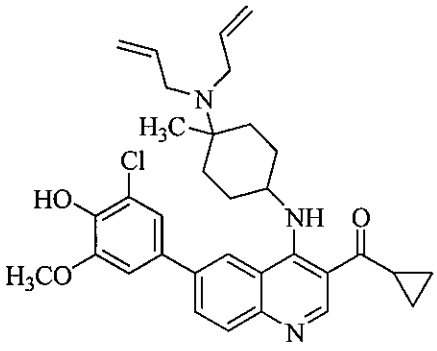
Cyclopropyl{4-[4-(diallylamino)-4-methylcyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}methanone



Following general procedure F, {6-Bromo-4-[4-(diallylamino)-4-methylcyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (96 mg, 0.198 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (86 mg, 0.297 mmol) to afford the desired product (23 mg, 27%) as a yellow solid: ESI MS *m/z* 564 $[C_{32}H_{35}Cl_2N_3O_2 + H]^+$.

Example 1198

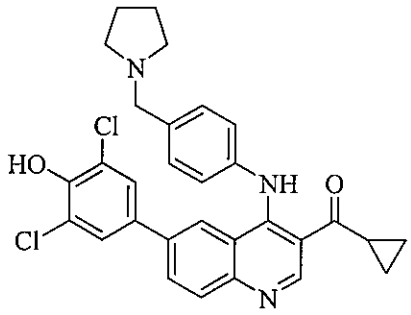
{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diallylamino)-4-methylcyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, {6-Bromo-4-[4-(diallylamino)-4-methylcyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone (120 mg, 0.248 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (105 mg, 0.372 mmol) to afford the crude product (49 mg) as a brown-green solid: ESI MS *m/z* 560 $[C_{33}H_{38}ClN_3O_3 + H]^+$.

Example 366

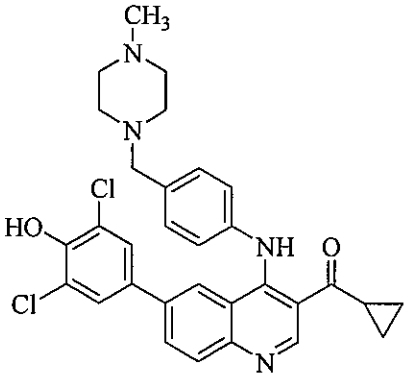
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)phenylamino]quinolin-3-yl}methanone



Following general procedure F, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (49 mg, 0.108 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (46 mg, 0.162 mmol) to afford the desired product (31 mg, 54%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + acetic acid-d_4) δ 9.31 (s, 1H), 8.06–7.99 (m, 2H), 7.91 (s, 1H), 7.55 (d, J = 8.4 Hz, 2H), 7.35–7.26 (m, 4H), 4.41 (s, 2H), 2.93–2.86 (m, 1H), 2.13–2.03 (m, 4H), 1.23–1.06 (m, 4H); ESI MS m/z 532 $[C_{30}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 94.9% (AUC), t_R = 11.43 min.

Example 397

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[4-((4-methylpiperazin-1-yl)methyl)phenylamino]quinolin-3-yl}methanone

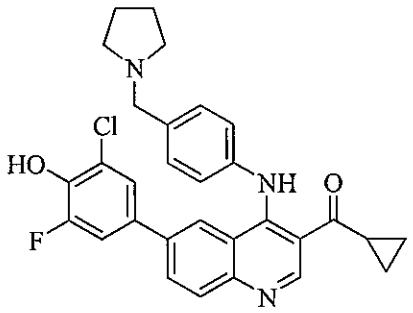


Following general procedure D, {6-bromo-4-[4-((4-methylpiperazin-1-yl)methyl)phenylamino]quinolin-3-yl}(cyclopropyl)metha

none (42 mg, 0.088 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.132 mmol) to afford the desired product (41 mg, 83%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD) δ 9.27 (s, 1H), 7.93–7.85 (m, 2H), 7.74 (s, 1H), 7.37 (d, J = 8.1 Hz, 2H), 7.19–7.10 (m, 4H), 3.61 (s, 2H), 2.95–2.88 (m, 1H), 2.74–2.68 (m, 4H), 2.57–2.46 (m, 7H), 1.23–1.10 (m, 4H); ESI MS *m/z* 561 $[C_{31}H_{30}Cl_2N_4O_2 + H]^+$; HPLC 94.4% (AUC), t_R = 8.57 min.

Example 396

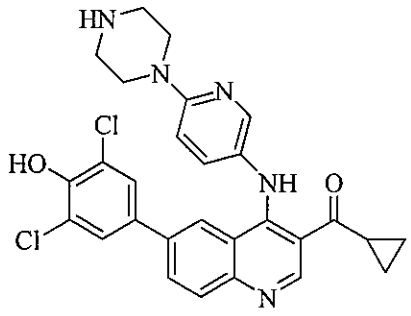
{6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)phenylamino]quinolin-3-yl }(cyclopropyl)methanone



Following general procedure F, {6-bromo-4-[4-(pyrrolidin-1-ylmethyl)phenyl amino]quinolin-3-yl}(cyclopropyl)methanone (45 mg, 0.100 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (41 mg, 0.150 mmol) to afford the desired product (37 mg, 72%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + acetic acid-d_4) δ 9.28 (s, 1H), 8.04–7.97 (m, 2H), 7.92 (s, 1H), 7.53 (d, J = 8.4, 2H), 7.27 (d, J = 8.4 Hz, 2H), 7.19–7.06 (m, 2H), 4.39 (s, 2H), 2.95–2.84 (m, 1H), 2.14–2.03 (m, 4H), 1.21–1.04 (m, 4H); ESI MS *m/z* 516 $[C_{30}H_{27}ClFN_3O_2 + H]^+$; HPLC 95.7% (AUC), t_R = 8.70 min.

Example 409

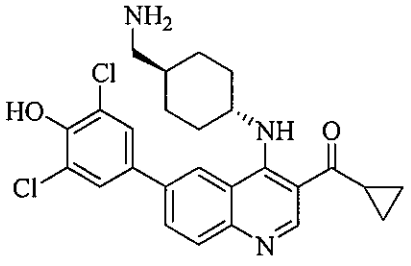
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[6-(piperazin-1-yl)pyridin-3-ylamino]quinolin -3-yl}methanone



Following general procedure A-2, *tert*-butyl 4-{5-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate (0.118 mmol) was reacted with TFA (2 mL) to afford the desired product (26 mg, 41% over two steps) as an orange solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.92 (s, 1H), 9.35 (s, 1H), 8.07–7.94 (m, 2H), 7.75–7.68 (m, 2H), 7.08–7.02 (m, 2H), 6.72–6.65 (m, 1H), 3.71–3.64 (m, 4H), 3.17–3.10 (m, 4H), 2.84–2.77 (m, 1H), 1.34–1.10 (m, 4H); ESI MS *m/z* 534 $[C_{28}H_{25}Cl_2N_5O_2 + H]^+$; HPLC 97.3% (AUC), t_R = 8.65 min.

Example 495

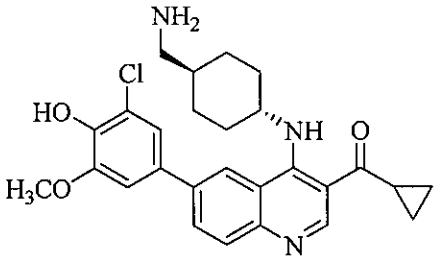
{4-[trans-4-(Aminomethyl)cyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl {trans-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (0.123 mmol) was reacted with TFA (2 mL) to afford the desired product (24 mg, 40% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.36 (s, 1H), 8.47 (s, 1H), 8.27 (d, J = 8.4 Hz, 1H), 7.98 (d, J = 8.4 Hz, 1H), 7.74 (s, 2H), 4.54–4.48 (m, 1H), 2.92–2.76 (m, 3H), 2.50–2.37 (m, 2H), 2.08–2.02 (m, 2H), 1.83–1.64 (m, 3H), 1.41–1.15 (m, 6H); ESI MS *m/z* 484 $[C_{26}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.38 min.

Example 493

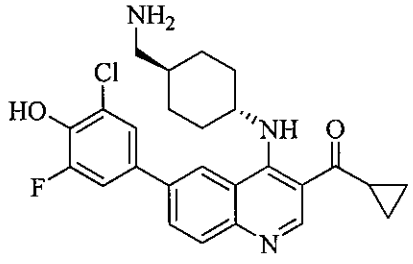
{4-[trans-4-(Aminomethyl)cyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl {trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (0.123 mmol) was reacted with TFA (2 mL) to afford the desired product (28 mg, 47% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.35 (s, 1H), 8.49 (s, 1H), 8.30 (d, J = 8.7 Hz, 1H), 7.97 (d, J = 8.7 Hz, 1H), 7.33 (s, 1H), 7.26 (s, 1H), 4.0 (s, 1H), 3.99 (s, 3H), 2.90–2.81 (m, 3H), 2.47–2.41 (m, 2H), 2.10–1.98 (m, 2H), 1.83–1.64 (m, 3H), 1.37–1.17 (m, 6H); ESI MS *m/z* 480 $[C_{27}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 11.15 min.

Example 501

{4-[trans-4-(Aminomethyl)cyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone

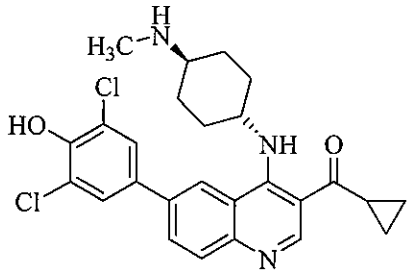


Following general procedure A-2, *tert*-butyl {trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl}methylcarbamate (0.123 mmol) was reacted with TFA (2 mL) to afford the desired product (23 mg, 40% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.37 (s, 1H), 8.45 (s, 1H), 8.26 (d, J = 8.7 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.63–7.47 (m, 2H), 4.51 (s, 1H), 2.92–2.83 (m, 3H), 2.46–2.40 (m, 2H), 2.11–1.98 (m, 2H), 1.83–1.63 (m, 3H), 1.32–1.14 (m, 6H); ESI MS *m/z* 468 $[C_{26}H_{27}ClFN_3O_2 + H]^+$; HPLC 98.6% (AUC), t_R = 11.33

min.

Example 510

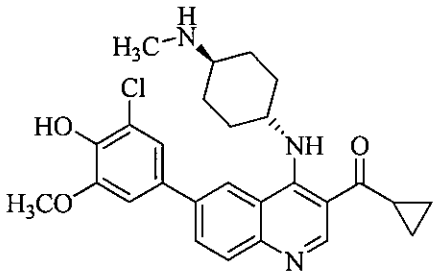
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[trans-4-(methylamino)cyclohexylamino]quinolin-3-yl}methanone



Following general procedure A-2, *tert*-butyl trans-4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate (0.120 mmol) was reacted with TFA (2 mL) to afford the desired product (30 mg, 51% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.35 (s, 1H), 8.48 (s, 1H), 8.28 (d, J = 8.7 Hz, 1H), 8.00 (d, J = 8.7 Hz, 1H), 7.75 (s, 2H), 4.52 (s, 1H), 2.84 (s, 1H), 2.73 (s, 2H), 2.53–2.46 (m, 2H), 2.38–2.28 (m, 2H), 1.88–1.77 (m, 2H), 1.65–1.58 (m, 2H), 1.33–1.20 (m, 4H); ESI MS *m/z* 484 $[C_{26}H_{27}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.66 min.

Example 517

{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[trans-4-(methylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone

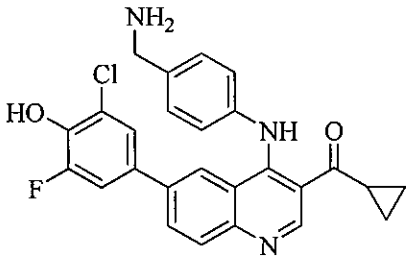


Following general procedure A-2, *tert*-butyl trans-4-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate (0.135 mmol) was reacted with TFA (2 mL) to afford the desired product (41 mg, 63% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*)

δ 9.34 (s, 1H), 8.48 (s, 1H), 8.31 (d, *J* = 8.7 Hz, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.35 (s, 1H), 7.27 (s, 1H), 4.50 (s, 1H), 4.00 (s, 3H), 2.88–2.81 (m, 1H), 2.74 (s, 3H), 2.54–2.47 (m, 2H), 2.38–2.27 (m, 2H), 1.88–1.77 (m, 2H), 1.62–1.56 (m, 2H), 1.34–1.16 (m, 4H); ESI MS *m/z* 480 $[C_{27}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 9.45 min.

Example 504

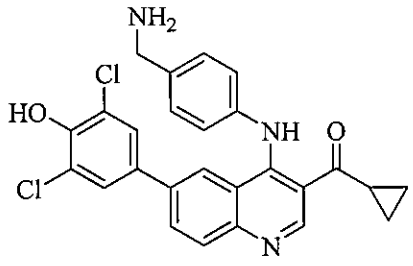
{4-[4-(Aminomethyl)phenylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl 4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]benzylcarbamate (0.127 mmol) was reacted with TFA (2 mL) to afford the desired product (31 mg, 53% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.44 (s, 1H), 8.24 (dd, *J* = 8.9, 1.9 Hz, 1H), 8.08–7.96 (m, 2H), 7.69 (d, *J* = 8.4 Hz, 2H), 7.55 (d, *J* = 8.4 Hz, 2H), 7.17–7.06 (m, 1H), 7.06–6.98 (m, 1H), 4.27 (s, 2H), 2.93–2.80 (m, 1H), 1.25–1.11 (m, 4H); ESI MS *m/z* 462 $[C_{26}H_{21}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 12.22 min.

Example 507

{4-[4-(Aminomethyl)phenylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone

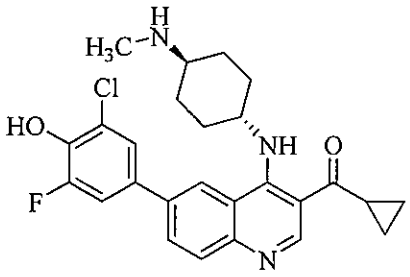


Following general procedure A-2, *tert*-butyl 4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]benzylcarba

mate (0.117 mmol) was reacted with TFA (2 mL) to afford the desired product (26 mg, 46% over two steps) a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.44 (s, 1H), 8.25 (d, J = 8.7 Hz, 1H), 8.09–7.95 (m, 2H), 7.70 (d, J = 8.4 Hz, 2H), 7.55 (d, J = 8.4 Hz, 2H), 7.24 (s, 2H), 4.28 (s, 2H), 2.88–2.83 (m, 1H), 1.26–1.13 (m, 4H); ESI MS *m/z* 478 $[C_{26}H_{21}Cl_2N_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.99 min.

Example 523

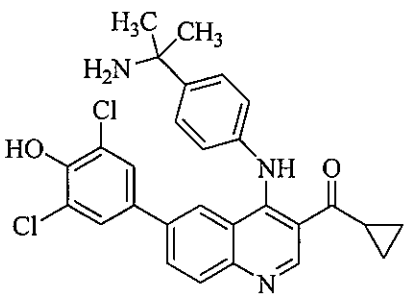
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[trans-4-(methylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, *tert*-butyl trans-4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]cyclohexyl(methyl)carbamate (0.125 mmol) was reacted with TFA (2 mL) to afford the desired product (32 mg, 55% over two steps) as a yellow solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.80 (s, 1H), 9.8 (s, 1H), 8.25 (s, 1H), 7.99 (d, J = 8.7 Hz, 1H), 7.83 (d, J = 8.7 Hz, 1H), 7.49–7.34 (m, 2H), 4.00–3.94 (s, 1H), 3.00–2.85 (m, 1H), 2.85–2.74 (m, 1H), 2.44 (s, 3H), 2.25–2.04 (m, 4H), 1.60–1.30 (m, 4H), 1.15--0.98 (m, 4H); ESI MS *m/z* 468 $[C_{26}H_{27}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.03 min.

Example 602

{4-[4-(2-Aminopropan-2-yl)phenylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-2, tert-butyl

2-{4-[3-(cyclopropanecarbonyl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate (0.145 mmol) was TFA (2 mL) to afford the desired product (21 mg, 28% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.33 (s, 1H), 8.26 (dd, J = 8.8, 1.9 Hz, 1H), 8.19 (s, 1H), 8.05 (d, J = 8.8 Hz, 1H), 7.67 (d, J = 8.6 Hz, 2H), 7.53 (d, J = 8.6 Hz, 2H), 7.43 (s, 2H), 2.82–2.73 (m, 1H), 1.78 (s, 6H), 1.18–1.10 (m, 4H); ESI MS *m/z* 506 $[C_{28}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 11.00 min.

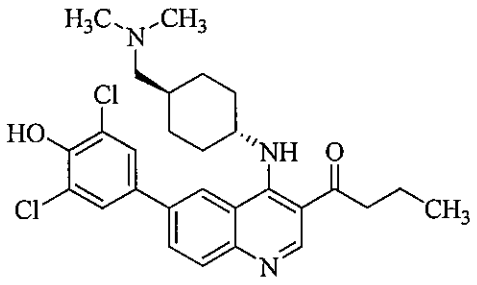
Example 604

{4-[4-(2-Aminopropan-2-yl)phenylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone

Following general procedure A-2, *tert*-butyl 2-{4-[6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino]phenyl}propan-2-ylcarbamate (0.140 mmol) was reacted with TFA (2 mL) to afford the desired product (22 mg, 32% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-I) δ 9.38 (s, 1H), 8.26 (dd, J = 8.9, 1.9 Hz, 1H), 8.12 (s, 1H), 8.05 (d, J = 8.8 Hz, 1H), 7.69 (d, J = 8.0, 2H), 7.54 (d, J = 8.0 Hz, 2H), 7.30 (t, J = 1.9 Hz, 1H), 7.10–7.03 (m, 1H), 2.84–2.76 (m, 1H), 1.79 (s, 6H), 1.19–1.12 (m, 4H).; ESI MS *m/z* 490 $[C_{28}H_{25}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.80 min.

Example 605

1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one

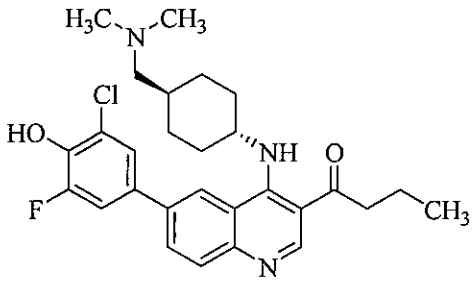


Following general procedure A-1, 1-{6-bromo-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one (48 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.165 mmol) to afford the desired product (20 mg, 35%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD +

TFA-*d*) δ 9.14 (s, 1H), 8.47 (s, 1H), 8.27 (dd, *J* = 8.7, 1.8 Hz, 1H), 8.98 (d, *J* = 8.7 Hz, 1H), 7.72 (s, 2H), 4.53 (s, 1H), 3.16–3.06 (m, 4H), 2.94 (s, 6H), 2.50–2.43 (m, 2H), 2.08–2.02 (m, 3H), 1.88–1.74 (m, 4H), 1.39–1.32 (m, 1H), 1.06 (t, *J* = 7.4 Hz, 3H); ESI MS *m/z* 514 $[C_{28}H_{33}Cl_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 11.27 min.

Example 597

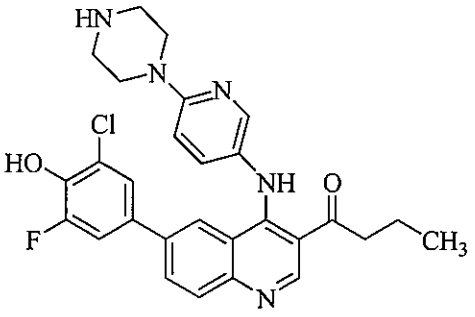
1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one



Following general procedure A-1, 1-{6-bromo-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}butan-1-one (48 mg, 0.110 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (45 mg, 0.165 mmol) to afford the desired product (36 mg, 67%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.14 (s, 1H), 8.47 (s, 1H), 8.26 (dd, *J* = 8.7, 1.8 Hz, 1H), 7.98 (d, *J* = 8.7 Hz, 1H), 7.58 (s, 1H), 7.50 (d, *J* = 11.3 Hz, 1H), 4.52 (s, 1H), 3.16–3.05 (m, 4H), 2.95 (s, 6H), 2.49–2.43 (m, 2H), 2.08–2.01 (m, 2H), 1.85–1.74 (m, 4H), 1.41–1.32 (m, 1H), 1.09–1.02 (t, *J* = 7.4 Hz, 3H); ESI MS *m/z* 498 $[C_{28}H_{33}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 11.08 min.

Example 623

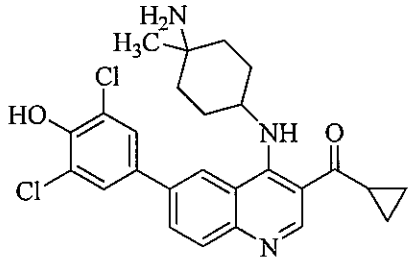
1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[6-(piperazin-1-yl)pyridin-3-ylamino]quinolin-3-yl}butan-1-one



Following general procedure A-2, *tert*-butyl 4-{5-[3-butyryl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-ylamino]pyridin-2-yl}piperazine-1-carboxylate (0.144 mmol) was reacted with TFA (2 mL) to afford the desired product (39 mg, 51% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.29 (s, 1H), 8.29 (d, *J* = 2.7 Hz, 1H), 8.20 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.03–7.95 (m, 2H), 7.74 (dd, *J* = 8.8, 2.7 Hz, 1H), 7.16 (d, *J* = 9.0 Hz, 1H), 7.11–7.02 (m, 2H), 3.94 (t, *J* = 5.3 Hz, 4H), 3.37 (t, *J* = 5.3 Hz, 4H), 3.19 (t, *J* = 7.2 Hz, 2H), 1.87–1.76 (m, 2H), 1.11–1.04 (t, I = 7.2 Hz, 3H); ESI MS *m/z* 520 $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.99 min.

Example 638

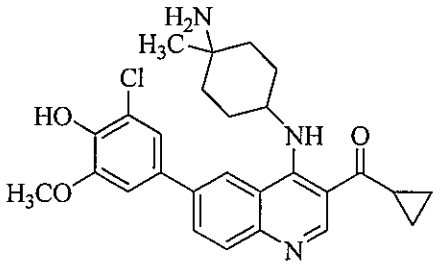
[4-(4-Amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl](cyclopropyl)methanone



To a solution of cyclopropyl{4-[4-(diallylamino)-4-methylcyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}methanone (23 mg, 0.041 mmol) in dioxane (3 mL) was added $Pd(PPh_3)_4$ (5 mg, 0.004 mmol) and *N*,*N*-dimethylbartituric acid (32 mg, 0.205 mmol). The resultant mixture purged with N_2 and heated to 80 °C for 16 h. The solution was allowed to cool to rt, diluted with ethyl acetate, filtered and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The purified product was concentrated, diluted with a saturated $NaHCO_3$ solution, extracted with a mixture of $CHCl_3$/isopropanol (3:1), and dried with Na_2SO_4 to obtain the desired product as the free base (5 mg, 25%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.39 (s, 1H), 8.45 (s, 1H), 8.28 (dd, *J* = 8.7, 1.9 Hz, 1H), 8.01 (d, *J* = 8.7 Hz, 1H), 7.73 (s, 2H), 4.76–4.72 (m, 1H), 2.89–2.85 (m, 1H), 2.33–2.25 (m, 2H), 2.09–1.97 (m, 4H), 1.96–1.89 (m, 2H), 1.45 (s, 3H), 1.41–1.18 (m, 4H); ESI MS *m/z* 484 $[C_{26}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 98.6% (AUC), t_R = 11.05 min.

Example 639

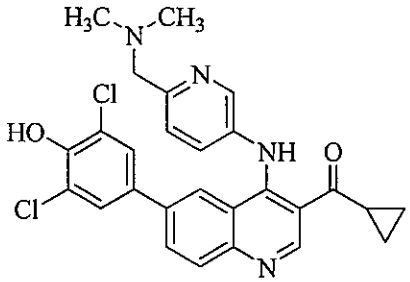
[4-(4-Amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl](cyclopropyl)methanone



To a solution of {6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diallylamino)-4-methylcyclohexylamino]quino lin-3-yl}(cyclopropyl)methanone (49 mg, 0.088 mmol) in dioxane (3 mL) was added $Pd(PPh_3)_4$ (10 mg, 0.008 mmol) and *N,N*-dimethylbartituric acid (68 mg, 0.438 mmol). The resultant mixture purged with N_2 and heated to 80 °C for 16 h. The solution was allowed to cool to rt, diluted with ethyl acetate, filtered and concentrated. The resultant residue was purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The purified product was concentrated, diluted with a saturated $NaHCO_3$ solution, extracted with a mixture of $CHCl_3$/isopropanol (3:1), and dried with Na_2SO_4 to obtain the desired product as the free base (5 mg, 4%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.14 (s, 1H), 8.34 (s, 1H), 8.00 (dd, J = 8.7, 2.0 Hz, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.26 (d, J = 2.2 Hz, 1H), 7.20 (d, J = 2.2 Hz, 1H), 4.29–4.21 (m, 1H), 3.97 (s, 3H), 2.89–2.80 (m, 1H), 2.14–2.07 (m, 2H), 1.92–1.71 (m, 4H), 1.70–1.61 (m, 2H), 1.28 (s, 3H), 1.25–1.06 (m, 4H); ESI MS *m/z* 480 $[C_{27}H_{30}ClN_3O_3 + H]^+$; HPLC >99% (AUC), t_R = 10.46 min.

Example 657

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[6-((dimethylamino)methyl)pyridin-3-ylamino]quinolin-3-yl}methanone

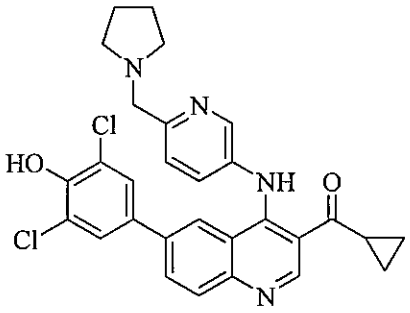


Following general procedure F, {6-bromo-4-[6-((dimethylamino)methyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methano

ne (37 mg, 0.087 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.131 mmol) to afford the desired product (25 mg, 57%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.37 (s, 1H), 8.75 (s, 1H), 8.32 (dd, J = 8.8, 2.1 Hz, 1H), 8.22 (d, J = 2.1 Hz, 1H), 8.10 (d, J = 8.8 Hz, 1H), 7.96 (dd, J = 8.4, 2.6 Hz, 1H), 7.65 (d, J = 8.4 Hz, 1H), 7.47 (s, 2H), 4.58 (s, 2H), 2.95 (s, 6H), 2.83–2.74 (m, 1H), 1.19–1.07 (m, 4H); ESI MS *m/z* 507 $[C_{27}H_{24}Cl_2N_4O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.66 min.

Example 658

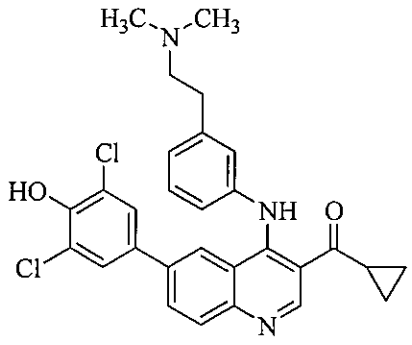
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino]quinolin-3-yl}methanone



Following general procedure F, {6-Bromo-4-[6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methanone (45 mg, 0.100 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (43 mg, 0.150 mmol) to afford the desired product (34 mg, 64%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.40 (s, 1H), 8.72 (d, J = 2.5 Hz, 1H), 8.30 (dd, J = 8.8, 2.0 Hz, 1H), 8.16–8.06 (m, 2H), 7.96 (dd, J = 8.3, 2.5 Hz, 1H), 7.65 (d, J = 8.3 Hz, 1H), 7.46 (s, 2H), 4.65 (s, 2H), 3.63–3.59 (m, 2H), 3.21–3.12 (m, 2H), 2.85–2.76 (m, 1H), 2.20–2.16 (m, 2H), 2.09–2.01 (m, 2H), 1.20–1.10 (m, 4H); ESI MS *m/z* 533 $[C_{29}H_{26}Cl_2N_4O_2 + H]^+$; HPLC 98.8% (AUC), t_R = 10.76 min.

Example 698

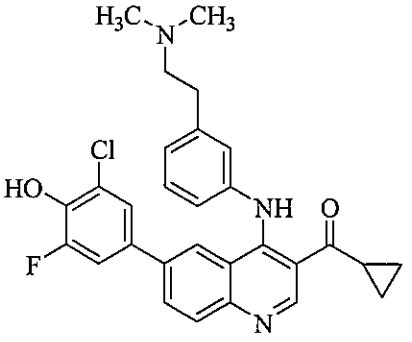
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}methanone



Following general procedure F, {6-Bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (58 mg, 0.132 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (57 mg, 0.198 mmol) to afford the desired product (49 mg, 71%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.46 (s, 1H), 8.22 (dd, J = 8.7, 2.0 Hz, 1H), 8.02 (d, J = 8.7 Hz, 1H), 7.89 (s, 1H), 7.67–7.59 (m, 1H), 7.56 (d, J = 7.7 Hz, 1H), 7.8 (s, 1H), 7.39 (d, J = 7.7 Hz, 1H), 7.20 (s, 2H), 3.40–3.34 (m, 2H), 3.19–3.12 (m, 2H), 2.98–2.85 (s, 8H), 1.27–1.16 (m, 4H); ESI MS *m/z* 520 $[C_{29}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 95.9% (AUC), t_R = 11.40 min.

Example 693

{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone

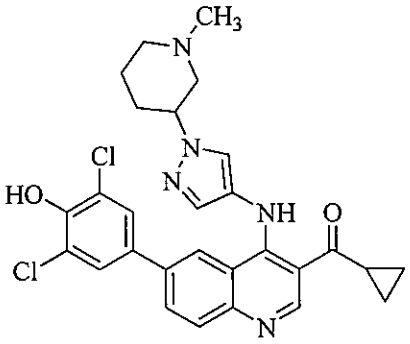


Following general procedure F, {6-Bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (54 mg, 0.123 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.185 mmol) to afford the desired product (57 mg, 92%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.44 (s, 1H), 8.21 (dd, J = 8.8, 1.9 Hz, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.92 (s, 1H), 7.66–7.57 (m, 1H), 7.54 (d, J = 7.7 Hz, 1H), 7.50 (s, 1H), 7.39 (dd, J = 7.8, 2.2 Hz, 1H), 7.12 (d,

J = 11.5 Hz, 1H), 6.96 (s, 1H), 3.40–3.32 (m, 2H), 3.18–3.11 (m, 2H), 2.97–2.86 (m, 8H), 1.26–1.15 (m, 4H); ESI MS m/z 504 $[C_{29}H_{27}ClFN_3O_2 + H]^+$; HPLC 96.4% (AUC), t_R = 11.15 min.

Example 703

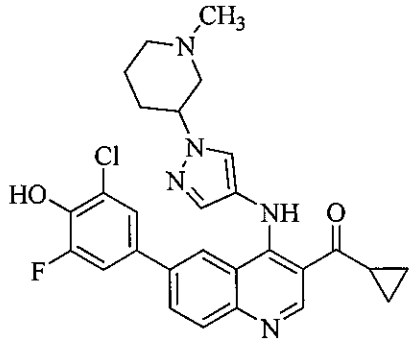
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[1-(1-methylpiperidin-3-yl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}methanone



Following general procedure F, {6-Bromo-4-[1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone (53 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (47 mg, 0.165 mmol) to afford the desired product (50 mg, 84%) as a green-yellow solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.83 (s, 1H), 9.06 (s, 1H), 8.23 (d, J = 2.1 Hz, 1H), 8.04 (dd, J = 8.7, 2.1 Hz, 1H), 7.93–7.85 (m, 2H), 7.50 (s, 2H), 7.43 (s, 1H), 4.22–4.12 (m, 1H), 2.94 (d, J = 11.4 Hz, 2H), 2.88–2.79 (m, 1H), 2.39 (s, 3H), 2.27–2.20 (m, 2H), 2.02–1.89 (m, 4H), 1.02–0.91 (m, 4H); ESI MS m/z 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.6% (AUC), t_R = 10.40 min.

Example 699

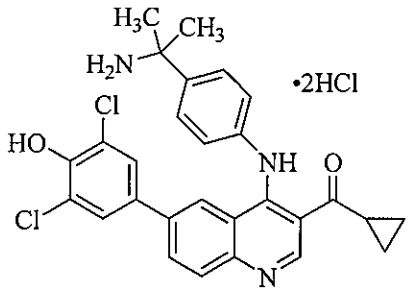
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[1-(1-methylpiperidin-3-yl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure F, {6-Bromo-4-[1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone (58 mg, 0.120 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.180 mmol) to afford the desired product (46 mg, 74%) as a yellow-green solid: ^{1}H NMR (500 MHz, DMSO-d_6) δ 10.86 (s, 1H), 9.08 (s, 1H), 8.24 (d, J = 2.1 Hz, 1H), 8.04 (dd, J = 8.8, 2.1 Hz, 1H), 7.93–7.83 (m, 2H), 7.43 (s, 1H), 7.39–7.30 (m, 2H), 4.19–4.12 (m, 1H), 2.95–2.81 (m, 3H), 2.29–2.15 (s, 5H), 2.01–1.89 (m, 4H), 1.02–0.92 (m, 4H).; ESI MS *m/z* 520 [$C_{28}H_{27}ClFN_5O_2$ + H]$^+$; HPLC 98.6% (AUC), t_R = 10.16 min.

Example 601

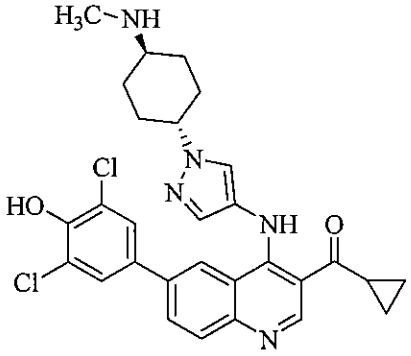
{4-[4-(2-Aminopropan-2-yl)phenylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone hydrochloride



To a suspension of {4-[4-(2-aminopropan-2-yl)phenylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone (14 mg, 0.028 mmol) in methanol (5 mL) was added HCl in ether (3 drops, 2 M). The resultant solution was concentrated to obtain the desired product as the hydrochloride salt (13 mg) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.31 (s, 1H), 8.24–8.22 (m, 1H), 8.18 (s, 1H), 8.04 (d, J = 8.8 Hz, 1H), 7.65 (d, J = 9.0 Hz, 2H), 7.49 (d, J = 9.0 Hz, 2H), 7.42 (s, 2H), 2.84–2.75 (m, 1H), 1.78 (s, 6H), 1.18–1.09 (m, 4H); ESI MS *m/z* 506 [$C_{28}H_{25}Cl_2N_3O_2$ + H]$^+$; HPLC 98.5% (AUC), t_R = 10.80 min.

Example 705

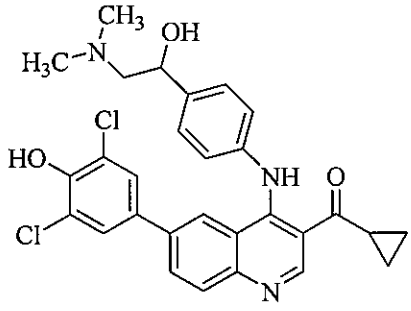
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[1-(trans-4-(methylamino)cyclohexyl)-1*H*-pyrazol-4-ylamino]quinolin-3-yl}methanone



Following general procedure F, {6-bromo-4-[1-(trans-4-(methylamino)cyclohexyl)-1H-pyrazol-4-ylamino]quinolin-3-yl}(cyclopropyl)methanone (60 mg, 0.128 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (55 mg, 0.192 mmol) to afford the desired product (17 mg, 24%) as a light yellow solid: ^{1}H NMR (500 MHz, DMSO-d_6 + TFA-d) δ 8.95–8.65 (br s, 1H), 8.63–8.51 (m, 1H), 8.40 (d, J = 8.8 Hz, 1H), 8.06 (d, J = 8.8 Hz, 1H), 8.02–7.97 (m, 1H), 7.54 (s, 1H), 4.26 (m, 1H), 3.11–3.02 (m, 1H), 2.60 (s, 3H), 2.20–2.08 (m, 4H), 1.88–1.76 (m, 2H), 1.58–1.46 (m, 2H), 1.00–0.76 (m, 4H); ESI MS m/z 550 $[C_{29}H_{29}Cl_2N_5O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 11.56 min.

Example 709

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}methanone

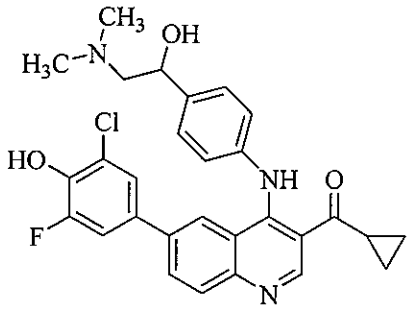


Following general procedure F, {6-bromo-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (50 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (48 mg, 0.165 mmol) to afford the desired product (41 mg, 69%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-d) δ 9.38 (s, 1H), 8.22 (dd, J = 8.8, 2.0 Hz, 1H), 8.07–7.98 (m, 2H), 7.65 (d, J = 8.4 Hz,

2H), 7.48 (d, J = 8.4 Hz, 2H), 7.38 (s, 2H), 5.18 (dd, J = 9.8, 4.3 Hz, 1H), 3.25–3.14 (m, 2H), 3.02 (s, 3H), 2.95 (s, 3H), 2.86–2.79 (m, 1H), 1.22–1.11 (m, 4H); ESI MS *m/z* 536 $[C_{29}H_{27}Cl_2N_3O_3 + H]^+$; HPLC 95.1% (AUC), t_R = 11.42 min.

Example 707

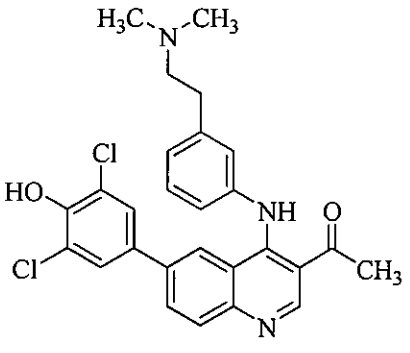
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-1, {6-bromo-4-[4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (50 mg, 0.110 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (30 mg, 0.165 mmol) to afford the desired product (23 mg, 40%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) 9.41 (s, 1H), 8.22 (dd, J = 8.8, 2.0 Hz, 1H), 8.02 (d, J = 8.8 Hz, 2H), 7.66 (d, J = 8.0 Hz, 2H), 7.49 (d, J = 8.0 Hz, 2H), 7.23 (s, 1H), 7.09–7.02 (m, 1H), 5.20 (dd, J = 10.6, 3.8 Hz, 1H), 3.31–3.20 (m, 2H), 3.03 (s, 3H), 2.97 (s, 3H), 2.89–2.80 (m, 1H), 1.25–1.14 (m, 4H); ESI MS *m/z* 520 $[C_{29}H_{27}ClFN_3O_3 + H]^+$; HPLC 94.8% (AUC), t_R = 11.31 min.

Example 729

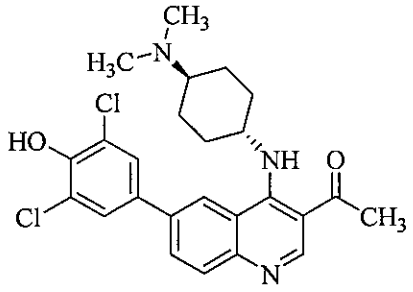
1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl }ethanone



Following general procedure F, 1-{6-bromo-4-[3-(2-(dimethylamino)ethyl)phenylamino]quinolin-3-yl}ethanone (50 mg, 0.120 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.180 mmol) to afford the desired product (46 mg, 77%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.31 (s, 1H), 8.20 (dd, J = 8.8, 2.0 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.72 (s, 1H), 7.70–7.56 (m, 2H), 7.51 (s, 1H), 7.40 (d, J = 7.8 Hz, 1H), 7.10 (s, 2H), 3.40–3.30 (m, 2H), 3.21–3.11 (m, 2H), 2.94 (s, 6H), 2.82 (s, 3H); ESI MS *m/z* 494 $[C_{27}H_{25}Cl_2N_3O_2 + H]^+$; HPLC 96.8% (AUC), t_R = 8.94 min.

Example 765

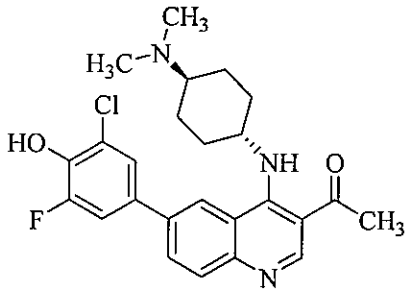
1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure F, 1-{6-bromo-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone (30 mg, 0.0.77 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (44 mg, 0.154 mmol) to afford the desired product (29 mg, 80%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.13 (s, 1H), 8.48 (s, 1H), 8.30 (dd, J = 8.7, 1.8 Hz, 1H), 8.00 (d, J = 8.7 Hz, 1H), 7.77 (s, 2H), 4.66–4.62 (m, 1H), 3.50–3.46 (m, 1H), 2.91 (s, 6H), 2.75 (s, 3H), 2.59–2.55 (m, 2H), 2.34–2.30 (m, 2H), 1.92–1.84 (m, 4H); ESI MS *m/z* 472 $[C_{25}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 98.0% (AUC), t_R = 9.78 min.

Example 763

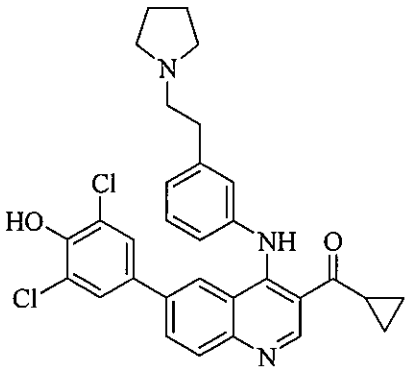
1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone



Following general procedure F, 1-{6-bromo-4-[trans-4-(dimethylamino)cyclohexylamino]quinolin-3-yl}ethanone (30 mg, 0.077 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (42 mg, 0.154 mmol) to afford the desired product (24 mg, 68%) as a yellow-orange solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.13 (s, 1H), 8.48 (s, 1H), 8.29 (dd, J = 8.8, 1.8 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.63 (s, 1H), 7.56 (dd, J = 11.4, 2.3 Hz, 1H), 4.65–4.61 (m, 1H), 3.50–3.46 (m, 1H), 2.91 (s, 6H), 2.75 (s, 3H), 2.58–2.54 (m, 2H), 2.34–2.28 (m, 2H), 1.91–1.81 (m, 4H); ESI MS *m/z* 456 $[C_{25}H_{27}ClFN_3O_2 + H]^+$; HPLC 97.1% (AUC), t_R = 9.52 min.

Example 797

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}methanone

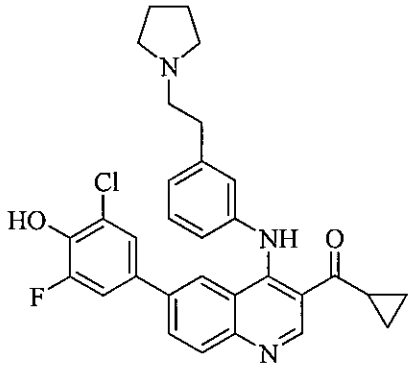


Following general procedure A-1, {6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (56 mg, 0.120 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.180 mmol) to afford the desired product (10 mg, 15%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.46 (s, 1H), 8.22 (dd, J = 8.8, 1.9 Hz, 2H), 8.02 (d, J = 8.8 Hz, 2H), 7.90–7.85 (m, 1H), 7.66–7.59 (m, 1H), 7.58–7.53 (m, 1H), 7.47 (s, 1H), 7.42–7.36 (m, 1H), 7.19 (s, 2H), 3.72–3.63 (m, 2H), 3.45–3.37 (m, 2H), 3.18–3.04 (m, 4H), 2.92–2.84 (m, 1H), 2.20–2.08 (m,

2H), 2.08–1.97 (m, 2H), 1.31–1.13 (m, 4H); ESI MS *m/z* 546 $[C_{31}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 97.3% (AUC), t_R = 11.04 min.

Example 799

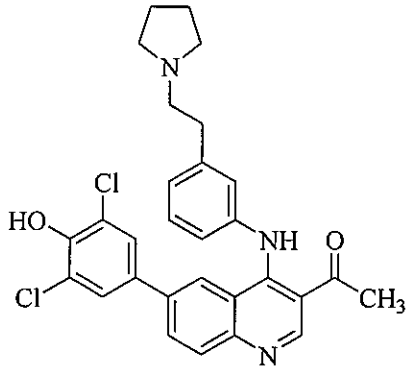
{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone



Following general procedure A-1, {6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)methanone (56 mg, 0.120 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (49 mg, 0.180 mmol) to afford the desired product (20 mg, 32%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.45 (s, 1H), 8.22 (dd, *J* = 8.8, 1.9 Hz, 1H), 8.02 (d, *J* = 8.8 Hz, 1H), 7.91 (s, 1H), 7.65–7.58 (m, 1H), 7.54 (d, *J* = 7.8, 1H), 7.46 (s, 1H), 7.38 (d, *J* = 7.8 Hz, 1H), 7.12 (dd, *J* = 11.5, 2.3 Hz, 1H), 6.95 (s, 1H), 3.72–3.64 (m, 2H), 3.45–3.36 (m, 2H), 3.17–3.05 (m, 4H), 2.92–2.83 (m, 1H), 2.20–2.09 (m, 2H), 2.08–1.99 (m, 2H), 1.31–1.16 (m, 4H); ESI MS *m/z* 530 $[C_{31}H_{29}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.82 min.

Example 801

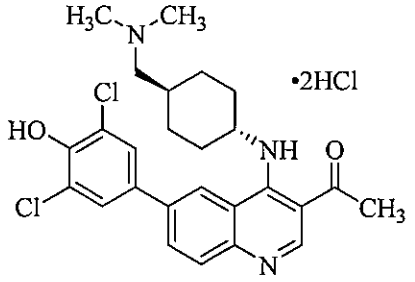
1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}ethanone



Following general procedure F, {6-bromo-4-[3-(2-(pyrrolidin-1-yl)ethyl)phenylamino]quinolin-3-yl}ethanone (53 mg, 0.120 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (52 mg, 0.180 mmol) to afford the desired product (31 mg, 50%) as a yellow solid: ^{1}H NMR (300 MHz, CD_3OD + TFA-*d*) δ 9.31 (s, 1H), 8.21 (dd, J = 8.8, 2.0 Hz, 1H), 8.00 (d, J = 8.8 Hz, 1H), 7.73 (s, 1H), 7.69–7.58 (m, 2H), 7.53 (s, 1H), 7.44–7.38 (m, 1H), 7.10 (s, 2H), 3.72–3.63 (m, 2H), 3.46–3.39 (m, 2H), 3.25–3.14 (m, 2H), 3.14–3.04 (m, 2H), 2.82 (s, 3H), 2.19–2.08 (m, 2H), 2.08–1.97 (m, 2H); ESI MS *m/z* 520[$C_{29}H_{27}Cl_2N_3O_2$ + H]$^+$; HPLC 97.5% (AUC), t_R = 10.62 min.

Example 944

1-{6-(3,5-Dichloro-4-hydroxyphenyl)-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}ethanone hydrochloride

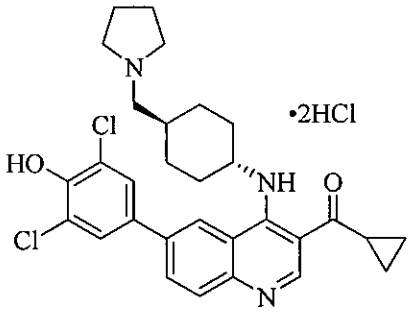


To a suspension of 1-{6-(3,5-dichloro-4-hydroxyphenyl)-4-[trans-4-((dimethylamino)methyl)cyclohexylamino]quinolin-3-yl}ethanone (200 mg, 0.410 mmol) in methanol (20 mL) was added 1M HCl in water (1.03 mL, 1.03 mmol). The resultant solution was concentrated to an approximate volume of 5 mL. The precipitate was washed with acetonitrile to obtain the desired product as the hydrochloride salt (200 mg) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.05 (s, 1H), 8.43 (d, J = 1.9 Hz, 1H), 8.18 (dd, J = 8.7, 1.9 Hz, 1H), 7.96 (d, J = 8.7 Hz, 1H), 7.70 (s, 2H),

4.46–4.38 (m, 1H), 3.07 (d, J = 6.6 Hz, 2H), 2.92 (s, 6H), 2.73 (s, 3H), 2.44 (d, J = 12.2 Hz, 2H), 2.08–1.98 (m, 3H), 1.82–1.70 (m, 2H), 1.40–1.28 (m, 2H); ESI MS m/z 486 [$C_{26}H_{29}Cl_2N_3O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 9.94 min.

Example 585

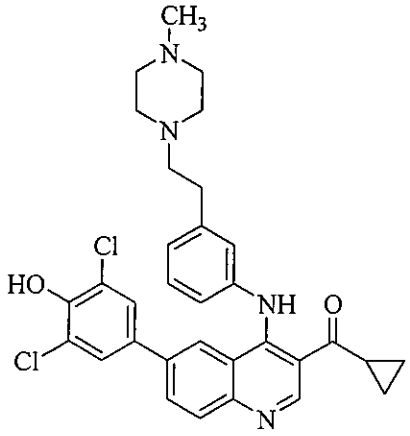
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[trans-4-(pyrrolidin-1-ylmethyl)cyclohexylamino]quinolin-3-yl}methanone hydrochloride



To a suspension of cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[trans-4-(pyrrolidin-1-ylmethyl)cyclohexylamino]quinolin-3-yl}methanone (3.69 g, 6.85 mmol) in methanol (70 mL) was added 1M HCl in water (17 mL, 17 mmol) at 0 °C. The resultant solution was concentrated to an approximate volume of 30 mL. The precipitate was washed with acetonitrile to obtain the desired product as the hydrochloride salt (3.58 g) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.34 (s, 1H), 8.49 (s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.99 (d, J = 8.8 Hz, 1H), 7.74 (s, 2H), 4.51–4.47 (m, 1H), 3.73–3.69 (m, 2H), 3.18–3.09 (m, 4H), 2.84 (br s, 1H), 2.44–2.40 (br s, 2H), 2.19–1.97 (m, 7H), 1.84–1.71 (m, 2H), 1.36–1.18 (m, 6H); ESI MS m/z 538 [$C_{30}H_{33}Cl_2N_3O_2$ + H]$^+$; HPLC >99% (AUC), t_R = 10.65 min.

Example 829

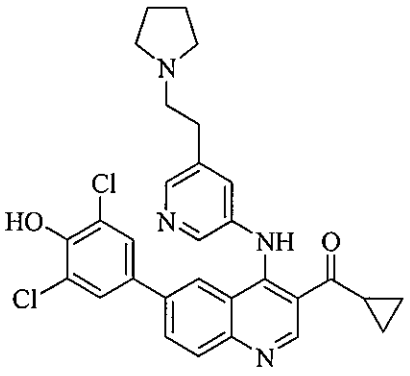
Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino]quinolin-3-yl}methanone



Following general procedure F, {6-bromo-4-[3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino]quinolin-3-yl}(cyclopropyl)metha none (56 mg, 0.110 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (48 mg, 0.165 mmol) to afford the desired product (47 mg, 74%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.25 (s, 1H), 7.89 (s, 2H), 7.69 (s, 1H), 7.41 (t, J = 7.7 Hz, 1H), 7.24 (d, J = 7.7 Hz, 1H), 7.13–6.94 (m, 4H), 2.97–2.84 (m, 1H), 2.82–2.72 (m, 2H), 2.66–2.51 (m, 10H), 2.43 (s, 3H), 1.32–1.06 (m, 4H).ESI MS *m/z* 575 $[C_{32}H_{32}Cl_2N_4O_2 + H]^+$; HPLC 95.1% (AUC), t_R = 10.37 min.

Example 881

Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-ylamino]quinolin-3-yl}methanone

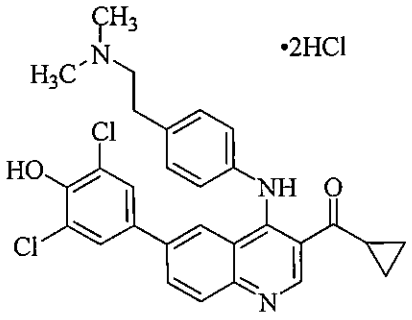


Following general procedure A-1, {6-bromo-4-[5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-ylamino]quinolin-3-yl}(cyclopropyl)methano ne (54 mg, 0.116 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (50 mg, 0.174 mmol) to

afford the desired product (29 mg, 46%) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD + TFA-*d*) δ 9.36 (s, 1H), 8.64 (dd, J = 16.9, 2.1 Hz, 2H), 8.32 (dd, J = 8.8, 2.0 Hz, 1H), 8.17 (d, J = 2.0 Hz, 1H), 8.11 (d, J = 8.8 Hz, 1H), 7.99 (t, J = 2.0 Hz, 1H), 7.43 (s, 2H), 3.74–3.70 (m, 2H), 3.50–3.43 (m, 2H), 3.24–3.17 (m, 2H), 3.16–3.12 (m, 2H), 2.79–2.76 (m, 1H), 2.19–2.15 (m, 2H), 2.07–2.03 (m, 2H), 1.18–1.07 (m, 4H); ESI MS *m/z* 547 $[C_{30}H_{28}Cl_2N_4O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.93 min.

Example 614

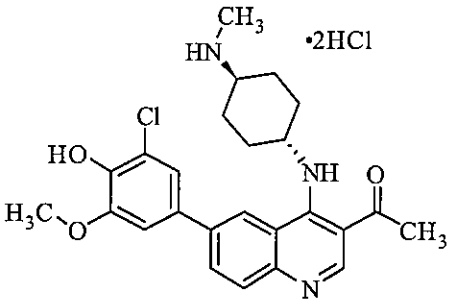
Cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone dihydrochloride



Following general procedure D, (6-bromo-4-(4-(2-(dimethylamino)ethyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone (7.3 g, 16.7 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (5.6 g, 20mol) to obtain the desired product which was dissolved methanol and treated with excess 1 N aq. HCl. During removal of the methanol via rotary evaporation a precipitate appeared which was filtered to obtain the desired product (5.6 g, 64% over 2 steps) as a yellow solid: ^{1}H NMR (300 MHz, MeOD) δ 9.46 (s, 1H), 8.21 (dd, J = 8.8, 1.9 Hz, 1H), 8.01 (d, J = 8.8 Hz, 1H), 7.89 (s, 1H), 7.57 (d, J = 9 Hz, 2H), 7.46 (d, J = 9 Hz, 2H), 7.23 (s, 2H), 3.40 (m, 2H), 3.22 (m, 2H), 2.98 (s, 7H), 2.90 (t, J = 4.8 Hz, 1H), 1.22 (m, 4H); ESI MS *m/z* 520 $[C_{29}H_{27}Cl_2N_3O_2 + H]^+$; HPLC 99.1% (AUC), t_R = 10.54 min.

Example 543

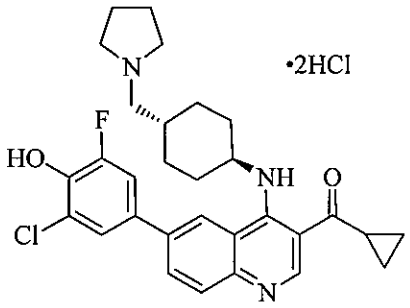
1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride



To a solution of tert-butyl(1r,4r)-4-(3-acetyl-6-(3-chloro-4-hydroxy-5-methoxyphenyl) quinolin-4-ylamino)cyclohexyl(methyl)carbamate (100 mg, 0.180 mmol) in dichloromethane (5 mL) was added HCl (2 mL, 2 M in diethylether) and the mixture was stirred for 16 h at room temperature. The resulting precipitate was filtered, washed with dichloromethane (10 mL), and dried under vaccuum to obtain the desired product (40 mg, 42%) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.12 (s, 1H), 8.47 (s, 1H), 8.31 (dd, J = 8.7, 1.8 Hz, 1H), 8.00 (d, J = 8.7 Hz, 1H), 7.33 (s, 1H), 7.27 (s, 1H), 4.56 (s, 1H), 4.00 (s, 3H), 3.26 (t, J = 12.6 Hz, 1H), 2.75 (s, 3H), 2.73 (s, 3H), 2.54 (d, J = 12.6 Hz, 2H), 2.35 (d, J = 11.7 Hz, 2H), 1.86 (q, J = 12.6 Hz, 2H), 1.63 (q, J = 12.6 Hz, 2H); ESI MS *m/z* 454, $[C_{25}H_{28}ClN_3O_3 + H]^+$; HPLC 97.6% (AUC), t_R = 9.49 min.

Example 588

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone dihydrochloride

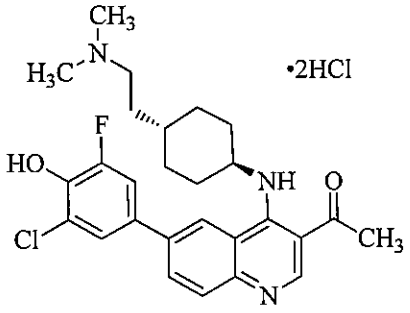


To a suspension of (6-bromo-4-((trans-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino) quinoline-3-yl)(cyclopropyl)methanone (60 mg, 0.13 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (54 mg, 0.20 mmol) and Pd(dppf)Cl_2 (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). The reaction mixture was degassed with nitrogen and heated at 80 °C for 2 h. The reaction mixture was cooled to room temperature, diluted with a satd. aq. $NaHCO_3$ (20 mL) and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium

sulfate, concentrated and purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The desired fractions were combined and concentrated and the residue was dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.5 mL, 1.9 mmol). The mixture was concentrated to obtain the desired product (42.9 mg, 55%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.35 (br s, 1H), 8.47 (br s, 1H), 8.27 (dd, J = 8.8, 1.8 Hz, 1H), 7.98 (d, J = 8.8 Hz, 1H), 7.60 (br s, 1H), 7.53 (d, J = 11.1 Hz, 1H), 4.51 (br s, 1H), 3.74 – 3.66 (m, 2H), 3.18 – 3.07 (m, 4H), 2.85 (br s, 1H), 2.44 (br s, 2H), 2.24 – 1.96 (m, 5H), 1.83 – 1.71 (m, 2H), 1.36 – 1.18 (m, 6H). ESI MS *m/z* 522 $[C_{30}H_{33}ClFN_3O_2 + H]^+$; HPLC 98.9% (AUC), t_R = 10.35 min.

Example 742

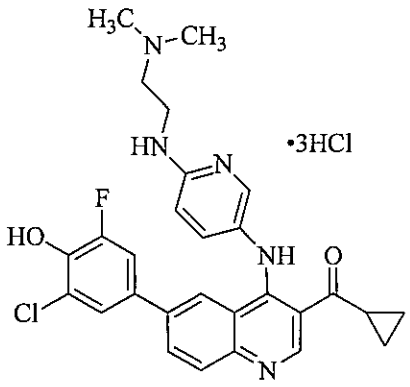
1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride



To a suspension of 1-(6-bromo-4-((trans-4-(2-(dimethylamino)ethyl)cyclohexyl) amino)quinolin-3-yl)ethanone (63 mg, 0.15 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (61 mg, 0.22 mmol) and Pd(dppf)Cl_2 (11 mg, 0.015 mmol) in dioxane (4 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.4 mL, 0.4 mmol). The reaction mixture was degassed with nitrogen and heated at 80 °C for 2 h. The reaction mixture was cooled to room temperature, diluted with a satd. aq. $NaHCO_3$ (20 mL) and extracted with ethyl acetate. The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with a mixture of $CHCl_3$/isopropanol (3:1). The desired fractions were concentrated and dissolved in methanol and resultant residue was dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.5 mL, 1.9 mmol) was added. The resulting solution was concentrated to give the desired product (55.9 mg, 67%) as an off-white solid ^{1}H NMR (500 MHz, MeOD) δ 9.10 (s, 1H), 8.50 (s, 1H), 8.27 (dd, J = 8.7, 1.7 Hz, 1H), 7.97 (d, J = 8.7 Hz, 1H), 7.59 (s, 1H), 7.52 (dd, J = 11.4, 2.3 Hz, 1H), 4.54 – 4.50 (m, 1H), 3.25 – 3.17 (m, 2H), 2.90 (s, 6H), 2.73 (s, 3H), 2.42 (d, J = 12.2 Hz, 2H), 2.04 (d, J = 12.9 Hz, 2H), 1.80 – 1.68 (m, 4H), 1.59 (br s, 1H), 1.39 – 1.27 (m, 2H). ESI MS *m/z* 484 $[C_{27}H_{31}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 10.01 min.

Example 777

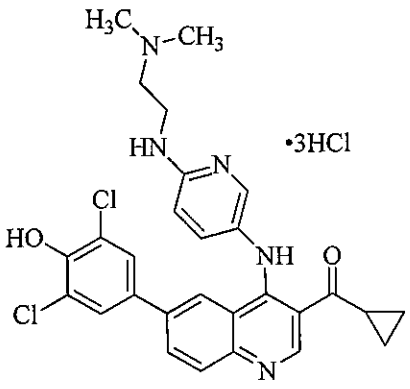
(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((6-((2-(dimethylamino)ethyl)amino)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride



To a suspension of (6-bromo-4-((6-((2-(dimethylamino)ethyl)amino)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone (91 mg, 0.20 mmol), 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (82 mg, 0.30 mmol) and $Pd(dppf)Cl_2$ (14.6 mg, 0.02 mmol) in dioxane (6 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.6 mL, 0.6 mmol). The reaction mixture was degassed with nitrogen and heated at 80 °C for 2 h. The solution was cooled to room temperature, diluted with a satd. aq. $NaHCO_3$ solution and extracted with $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with 0.05% TFA). The desired fractions were combined and concentrated. The residue was dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.5 mL, 1.9 mmol) and the mixture was concentrated to give the desired product (97.7 mg, 78%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.38 (s, 1H), 8.32 – 8.17 (m, 3H), 8.04 (d, J = 8.8 Hz, 1H), 7.73 (d, J = 9.0 Hz, 1H), 7.31 – 7.23 (m, 2H), 7.01 (d, J = 9.2 Hz, 1H), 3.87 (t, J = 6.1 Hz, 2H), 3.44 (t, J = 6.1 Hz, 2H), 2.98 (s, 6H), 2.89 – 2.80 (m, 1H), 1.24 – 1.18 (m, 4H). ESI MS *m/z* 520 $[C_{28}H_{27}ClFN_5O_2 + H]^+$; HPLC 97.9% (AUC), t_R = 9.99 min.

Example 748

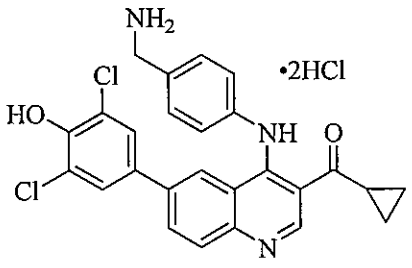
cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((6-((2-(dimethylamino)ethyl)amino)pyridin-3-yl)amino)quinolin-3-yl)methanone trihydrochloride



To a suspension of (6-bromo-4-((6-((2-(dimethylamino)ethyl)amino)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl) methanone (91 mg, 0.20 mmol), 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (87 mg, 0.30 mmol) and $Pd(dppf)Cl_2$ (14.6 mg, 0.02 mmol) in dioxane (6 mL) was added Cs_2CO_3 (1.0 M in H_2O, 0.6 mL, 0.6 mmol). The reaction mixture was degassed with nitrogen and heated at 80 °C for 2 h. The reaction mixture was cooled to room temperature, diluted with a satd. aq. $NaHCO_3$ (20 mL) and extracted with $CHCl_3$/isopropanol (3:1). The combined organic layers were dried over anhydrous sodium sulfate, concentrated and purified by preparative HPLC (C18 silica, 10–90% acetonitrile/water with a mixture of $CHCl_3$/isopropanol (3:1). The desired fractions were concentrated and dissolved in methanol (4 mL) and HCl (1.25 M in methanol, 1.5 mL, 1.9 mmol). The resulting solution was concentrated to give the desired product (95.6 mg, 74%) as an off-white solid. ^{1}H NMR (500 MHz, MeOD) δ 9.38 (s, 1H), 8.33 – 8.23 (m, 2H), 8.19 (d, J = 2.5 Hz, 1H), 8.05 (d, J = 8.8 Hz, 1H), 7.77 (dd, J = 9.2, 2.6 Hz, 1H), 7.45 (s, 2H), 7.06 (d, J = 9.2 Hz, 1H), 3.88 (t, J = 6.1 Hz, 2H), 3.45 (t, J = 6.1 Hz, 2H), 2.98 (s, 6H), 2.88 – 2.79 (m, 1H), 1.24 – 1.18 (m, 4H). ESI MS *m/z* 536 $[C_{28}H_{27}Cl_2N_5O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.24 min.

Example 508

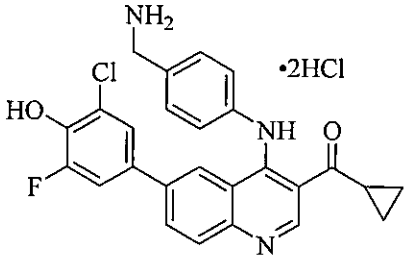
(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl) methanone hydrochloride



Following general procedure F, tert-butyl 4-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)benzylcarbamate (20 mg, 0.04 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (17 mg, 0.06 mmol) to obtain the boc-intermediate, which was deprotected using general procedure A-2. The desired fractions from the preparative HPLC were combined and concentrated. The residue was dissolved in methanol and HCl (2 mL, 1.25 M in methanol) and concentrated to obtain the desired product (15 mg, 68% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.43 (s, 1H), 8.24 (dd, J = 8.8, 1.9 Hz, 1H), 8.04 (d, J = 8.8 Hz, 1H), 7.99 (s, 1H), 7.70 (d, J = 8.2 Hz, 2H), 7.55 (d, J = 8.2 Hz, 2H), 7.25 (s, 2H), 4.28 (s, 2H), 2.91–2.82 (m, 1H), 1.25–1.14 (m, 4H); ESI MS *m/z* 478 $[C_{26}H_{21}Cl_2N_3O_2 + H]^+$; HPLC 98.7% (AUC), t_R = 10.00 min.

Example 505

(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

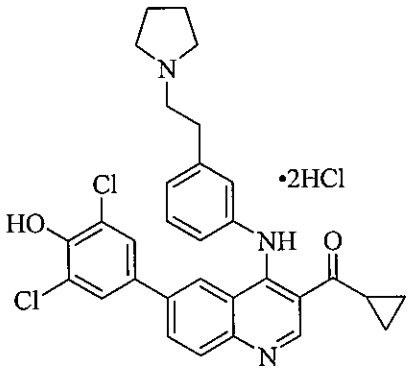


Following general procedure F, tert-butyl 4-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)benzylcarbamate (20 mg, 0.04 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (16 mg, 0.06 mmol) to obtain the Boc-intermediate, which was deprotected using general procedure A-2. The desired fractions from the preparative HPLC were combined and concentrated. The residue was dissolved in methanol and HCl (2 mL, 1.25 M in methanol) and concentrated to obtain the desired product (17 mg, 81% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.43 (s, 1H), 8.24 (dd, J = 8.8, 2.0 Hz, 1H), 8.04 (d, J = 8.8 Hz, 1H), 8.00 (s, 1H), 7.70 (d, J = 8.3 Hz, 2H), 7.55 (d, J = 8.3 Hz, 2H), 7.13 (dd, J = 11.5, 2.3 Hz, 1H), 7.03 (s, 1H), 4.27 (s, 2H), 2.91–2.82 (m, 1H), 1.25–1.14 (m, 4H); ESI MS *m/z* 462 $[C_{26}H_{21}ClFN_3O_2 + H]^+$; HPLC >99% (AUC), t_R = 9.77 min.

Example 798

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quin

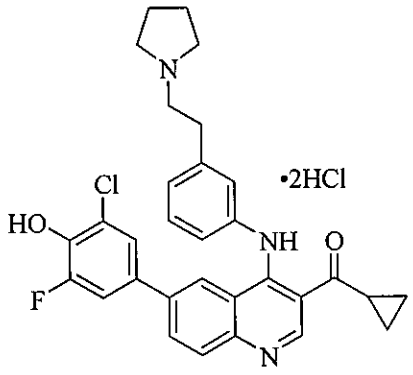
olin-3-yl)methanone hydrochloride



Following general procedure F, (6-bromo-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino) quinolin-3-yl)(cyclopropyl)methanone (41 mg, 0.088 mmol) was reacted with 2,6-dichloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (38 mg, 0.13 mmol) and the desired compound was dissolved in methanol (4 mL) and HCl (2 mL, 1.25 M in methanol). The mixture was concentrated to obtain the desired product (47 mg, 86% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.45 (s, 1H), 8.22 (dd, J = 8.8, 1.9 Hz, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.88 (s, 1H), 7.65–7.58 (m, 1H), 7.57–7.54 (m, 1H), 7.48 (s, 1H), 7.41–7.31 (m, 1H), 7.20 (s, 2H), 3.69–3.65 (m, 2H), 3.45–3.38 (m, 2H), 3.19–3.12 (m, 2H), 3.11–3.07 (m, 2H), 2.93–2.86 (m, 1H), 2.15–2.11 (s, 2H), 2.05–2.01 (s, 2H), 1.24 – 1.16 (m, 4H); ESI MS *m/z* 546 $[C_{31}H_{29}Cl_2N_3O_2 + H]^+$; HPLC 97.8% (AUC), t_R = 10.83 min.

Example 800

(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride

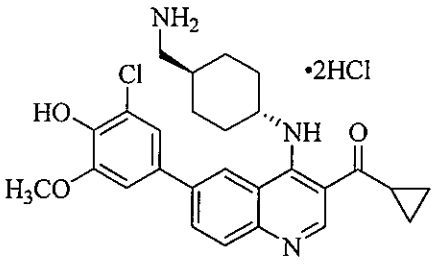


Following general procedure F, (6-bromo-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone (45

mg, 0.097 mmol) was reacted with 2-chloro-6-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (40 mg, 0.15 mmol) to obtain the desired product which was dissolved in methanol and HCl (2 mL, 1.25 M in methanol). The mixture was concentrated to obtain the desired product (46 mg, 79% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, CD_3OD) δ 9.44 (s, 1H), 8.23 (dd, J = 8.8, 1.9 Hz, 1H), 8.02 (d, J = 8.8 Hz, 1H), 7.92 (s, 1H), 7.65–7.57 (m, 1H), 7.56–7.47 (m, 2H), 7.41–7.35 (m, 1H), 7.16–7.10 (m, 1H), 6.97 (s, 1H), 3.71–3.65 (m, 2H), 3.46–3.39 (m, 2H), 3.19–3.06 (m, 4H), 2.91–2.87 (m, 1H), 2.19–2.09 (m, 2H), 2.06–2.00 (m, 2H), 1.26 – 1.15 (m, 4H); ESI MS *m/z* 530 $[C_{31}H_{29}ClFN_3O_2 + H]^+$; HPLC 98.4% (AUC), t_R = 10.81 min.

Example 494

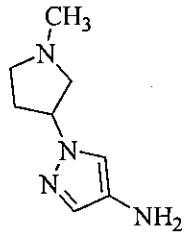
(4-(4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride



Following general procedure F, tert-butyl (4-(6-bromo-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methylcarbamate (25 mg, 0.05 mmol) was reacted with 2-chloro-6-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (21 mg, 0.08 mmol) to obtain the Boc-intermediate, which was deprotected using general procedure A-2. The desired fractions from the preparative HPLC were combined and concentrated and the residue was dissolved in methanol and HCl (2 mL, 1.25 M in methanol). The mixture was concentrated to obtain desired product (18 mg, 66% over two steps) as a yellow solid: ^{1}H NMR (500 MHz, MeOD) δ 9.34 (s, 1H), 8.50 (s, 1H), 8.30 (dd, J = 8.7, 1.8 Hz, 1H), 7.98 (d, J = 8.7 Hz, 1H), 7.35 (s, 1H), 7.27 (s, 1H), 4.53–4.49 (m, 1H), 4.00 (s, 3H), 2.89–2.81 (m, 3H), 2.46–2.42 (m, 2H), 2.08–2.02 (m, 2H), 1.83–1.68 (m, 3H), 1.35–1.18 (m, 6H).; ESI MS *m/z* 480 $[C_{27}H_{30}ClN_3O_3 + H]^+$; HPLC 98.2% (AUC), t_R = 10.03 min.

Example 1199

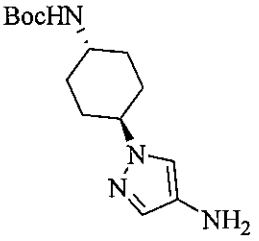
1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-amine



A solution of tert-butyl 3-(4-nitro-1H-pyrazol-1-yl)pyrrolidine-1-carboxylate(1.0 g, 3.5 mmol) in THF and slowly added to a round bottomed flask with LAH (0.54 g, 14 mmol) in THF at 0 °C. Upon complete addition the reaction mixture was heated at reflux for 2 h. The reaction mixture was cooled to 0 °C and quenched by the stepwise addition of 0.5 mL ethanol, 0.5 mL water and 1.5 mL of 3 N NaOH. The resulting solids were filtered and the residue was concentrated to obtain the desired amino pyrazole as a dark foam. ESI MS *m/z* 167 $[C_8H_{14}N_4 + H]^+$

Example 1200

tert-butyl (1r,4r)-4-(4-amino-1H-pyrazol-1-yl)cyclohexylcarbamate



To a solution of nitro pyrazole (1.0 g, 8.8 mmol), tert-butyl (1r,4r)-4-hydroxycyclohexyl carbamate (1:9 g, 8.8 mmol) and triphenyl phosphine (2.1 g, 11 mmol) in THF (50 mL) was added DIAD (2.9 g, 11 mmol) and the reaction mixture was stirred for 18 h. The reaction mixture was concentrated and purified by flash chroma tography to obtain tert-butyl (1r,4r)-4-(4-nitro-1H-pyrazol-1-yl)cyclohexylcarbamate (1.1 g) as an off-white foam. The foam was dissolved in tertrahydrofuran (0.1 M), degassed with nitrogen and placed in a Parr shaker with Pd/C (10%, 0.1 equiv). The Parr shaker was charged with hydrogen (40 Psi) and the reaction was allowed to proceed at room temperature until complete, as indicated by LCMS analysis. The reaction mixture was filtered over diatomaceous earth and the filtrate was concentrated to obtain the desired amino pyrazole.

Compounds of the invention of this application not particularly described in the Examples above were also be synthesized by similar or analogous methods by referring to the above-mentioned Examples and such.

Next, the pharmacological activities of a representative example, compound (I), will be described using Test Examples.

Examples

Kinase assay

MELK activity was determined in the presence or absence of compounds using fluorescein isothiocyanate-labeled (FITC-labeled) histone H3 peptide as a substrate. The extent of FITC-labeled histone H3 peptide phosphorylation was measured by immobilized metal ion affinity-based fluorescence polarization (IMAP) technology (Sportsman JR, et al., Assay Drug Dev. Technol. 2: 205-14, 2004) using IMAP FP Progressive Binding System (Molecular Devices Corporation). Test compounds were dissolved in DMSO at 12.5 mM and then serially diluted as the DMSO concentration in the assays to be 1%. The serially diluted compounds, 0.8 ng/micro-L PBK (Carna Biosciences) and 100 nM FITC-labeled histone H3 peptide were reacted in a reaction buffer (20 mM HEPES, 0.01% Tween-20, 0.3 mM $MgCl_2$, 2 mM dithiothreitol, 50micro-M ATP, pH 7.4) at room temperature for 1 hour. The reaction was stopped by the addition of three fold assay volume of progressive binding solution. Following 0.5 hour incubation at room temperature, fluorescence polarization was measured by Wallac EnVision 2103 multilabel reader (PerkinElmer). IC50 values were calculated by nonlinear four parameter fit using SigmaPlot, version 10.0 (Systat Software, Inc.).

IC_{50} values of the typical compounds of the present invention are shown in following table 2:

Table 2

Example	**Compound Name**	**IC_{50} (μM) (kinase assay)**
55	{4-[*trans*-4-Aminocyclohexylamino]-6-(4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.021
81	{4-[*trans*-4-Aminocyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.007
96	5-{4-[*trans*-4-Aminocyclohexylamino]-3-(cyclopropanecarbonyl)quinolin-6-yl}pyrimidine -2-carbonitrile	0.0024
108	5-{4-[*trans*-4-Aminocyclohexylamino] -3-isobutyrylquinolin-6-yl}picolinonitrile	0.03
116	{4-[*trans*-4-Aminocyclohexylamino]-6-(1*H*-benzo[*d*]imidazol-5-yl)quinolin-3-yl} (cyclopropyl)methanone	0.018
119	5-{4-[*trans*-4-Aminocyclohexylamino]-3-(cyclopropanecarbonyl)quinolin-6-yl}thiophene -2-carbonitrile	0.046
133	Cyclopropyl[4-{4-[(dimethylamino)methyl] piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl]methanone	0.0036

155	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(2,8-diazaspiro[4,5]decan-8-yl)quinolin-3-yl](cyclopropyl)methanone	0.004
156	{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.023
157(a)	{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.0076
157(b)	{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl) methanone dihydrochloride	0.003
160	{4-[*trans*-4-Aminocyclohexylamino]-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.072
165	{4-(*cis*-4-Aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.0019
177	Cyclopropyl[6-(4-hydroxy-3-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl]methanone	0.026
179	{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl) methanone	0.0065
180	[4-(*cis*-4-Aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl](cyclopropyl)methanone	0.0026
181	Cyclopropyl[6-(3,5-difluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl] methanone	0.011
185(a)	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone	0.0026
185(b)	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone dihydrochloride	0.0026
187	Cyclopropyl(4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	0.0034
192	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	0.011
193	Cyclopropyl{4-[4-(diethylamino)cyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl)quinolin-3-yl}methanone	0.007

201	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3-yl}(cyclopropyl)methanone	0.0034
205	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one	0.01
212	{4-[*trans*-4-Aminocyclohexylamino]-6-(pyridin-4-yl) quinolin-3-yl}(cyclopropyl)methanone	0.054
213	{4-[*trans*-4-Aminocyclohexylamino]-6-(1*H*-pyrazol-4-yl)quinolin-3-yl}(cyclopropyl)methanone	0.067
214	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro -4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one	0.043
215	{6-(3-Chloro-4-hydroxyphenyl)-4-[2-(piperazin-1-yl) ethylamino]quinolin-3-yl}(cyclopropyl)methanone	0.017
219	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4 -hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one	0.023
225(a)	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro -4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one	0.0025
225(b)	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}-2-methylpropan-1-one dihydrochloride	0.0028
240	2-Chloro-4-{4-[4-(diethylamino)cyclohexylamino]-3-(methylsulfonyl)quinolin-6-yl}-6-methoxyphenol dihydrochloride	0.0053
243	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin -4-ylmethylamino)quinolin-3-yl](cyclopropyl)methanone	0.0044
245	2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl]-6-methoxyphenol	0.0016
246	2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl]phenol	0.01
249	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino)quinolin-3-yl](cyclopropyl) methanone	0.0079
250	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.0013
255	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-	0.0019

	(dimethylamino)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone	
256	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro -4-hydroxyphenyl)quinolin-3-yl}ethanone	0.0041
258	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-difluoro -4-hydroxyphenyl)quinolin-3-yl}ethanone	0.04
259	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl}ethanone	0.0024
262	1-(4-((trans)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-3-methylbutan-1-one	0.005
263	Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino] quinolin-3-yl}methanone	0.0017
266	Cyclopropyl(4-((trans)-4-(dimethylamino) cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	0.0016
267	1-{4-[*trans*-4-Aminocyclohexylamino]-6-(3,5-dichloro -4-hydroxyphenyl)quinolin-3-yl}-3-methylbutan-1-one dihydrochloride	0.0022
269	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl]piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.0037
270	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[(1-methylpiperidin-4-yl)methylamino]quinolin-3-yl} (cyclopropyl)methanone	0.021
276	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4 -[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.0008
279	[6-(3-Chloro-4-hydroxyphenyl)-4-{4-[(dimethylamino) methyl]piperidin-1-yl}quinolin-3-yl](cyclopropyl) methanone	0.0046
280	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.0017
283	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}	0.0029

	(cyclopropyl)methanone	
284	{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin-3-yl}(cyclopropyl)methanone	0.013
294	Cyclopropyl{6-(3,5-difluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}methanone	0.042
295	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino)cyclohexylamino]-7-fluoroquinolin-3-yl}(cyclopropyl)methanone	0.027
303	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino)cyclohexylamino]quinolin-3-yl}ethanone	0.0061
305	1-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl]ethanone	0.0022
307	Cyclopropyl{6-(3-fluoro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}methanone	0.0041
309	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(3-amino)adamantylamino]quinolin-3-yl}(cyclopropyl)methanone	0.0087
311	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*cis*-4-(diethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	0.0032
313	Cyclopropyl{6-[4-hydroxy-3-(trifluoromethoxy)phenyl]-4-[4-(pyrrolidin-1-ylmethyl]piperidin-1-yl}quinolin-3-yl)methanone	0.012
314	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(diethylamino)cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	0.0031
315	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl](cyclopropyl)methanone	0.0043
316	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{*trans*-4-[(dimethylamino)methyl]cyclohexylamino}quinolin-3-yl](cyclopropyl)methanone	0.011
318	1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(pyrrolidin	0.02

	-1-ylmethyl)piperidin-1-yl]quinolin-3-yl}-2 -methylpropan-1-one	
321	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl} ethanone	0.0027
323	1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl)piperidin-1-yl]quinolin-3-yl} -2-methylpropan-1-one	0.013
325	Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino} quinolin-3-yl]methanone	0.011
327	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl]methylamino}quinolin-3-yl] (cyclopropyl)methanone	0.0055
332	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl}(cyclopropyl)methanone	0.035
334	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.0081
335	1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}ethanone	0.021
339	[-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl] cyclopropyl)methanone	0.004
342	{6-(3-Chloro-4-hydroxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone	0.0046
343	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl)cyclohexylamino]quinolin-3-yl} (cyclopropyl)methanone	0.0021
345	Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl]phenylamino}quinolin-3-yl] methanone	0.0032
347	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl]piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.0024
348	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-	0.0043

	[*trans*-4-(dimethylamino)cyclohexylamino] quinolin-3-yl}-3-methylbutan-1-one	
349	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.0046
350	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.005
351	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.0022
353	Cyclopropyl[4-{4-[(dimethylamino)methyl] piperidin-1-yl}-6-(3-ethoxy-4-hydroxyphenyl) quinolin-3-yl]methanone	0.0017
384	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone	0.0046
385	(6-(3-chloro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone	0.019
386	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone	0.0092
387	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	0.089
388	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	0.014
389	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone	0.031
391	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone	0.0037
392	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0034
394	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(pyrroli	0.0018

	din-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	
397	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	0.0076
398	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0084
399	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol	0.016
400	2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.0034
401	2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.0055
402	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.0058
403	(6-(3-chloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.011
404	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0038
405	cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	0.017
406	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.019
407	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	0.0051
408	2-chloro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	0.009
409	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	0.0017
410	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol	0.0068
411	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-	0.0091

	(methylsulfonyl)quinolin-6-yl)phenol	
412	2-chloro-6-methoxy-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	0.002
413	5-(3-acetyl-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0029
414	5-(3-acetyl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0022
415	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone	0.035
416	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone **dihydrobromide**	0.0032
417	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)methanone	0.0099
418	5-(3-acetyl-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0073
419	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone	0.0059
420	2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.0023
421	2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.0017
422	2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol	0.0041
423	2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol	0.0063
424	2-chloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	0.013
425	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0027
426	5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0025
427	(6-(3-chloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridi	0.0062

	n-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	
429	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.019
435	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.049
439	5-(3-(cyclopropanecarbonyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.058
440	4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-1-(2-(pyrrolidin-1-yl)ethyl)piperazin-2-one	0.0044
443	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.043
444	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.036
445	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	0.022
448	(6-(5-chloro-4-hydroxy-2-methylphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.06
449	cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)methanone	0.014
453	cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(1H-indol-5-yl)quinolin-3-yl)methanone	0.094
457	(6-(3-chloro-5-ethoxy-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	0.0024
459	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-yl)quinolin-3-yl)methanone	0.0091
460	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.083
461	5-(3-(cyclopropanecarbonyl)-4-(4-(morpholinomethyl)phe	0.015

	nylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	
462	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.066
463	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)methanone	0.02
469	5-(3-(cyclopropanecarbonyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0015
470	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.015
471	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.011
472	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.023
474	5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)indolin-2-one	0.66
478	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	0.0078
479	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)methanone	0.04
480	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(5-hydroxy-1H-indol-2-yl)quinolin-3-yl)-2-methylpropan-1-one	0.054
481	methyl 4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoate	1.4
482	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	0.0061
483	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.016
486	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-ethoxy-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.0021
487	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.01
488	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylp	0.0041

	iperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	
493	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.003
494	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0016
495	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0029
496	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0048
497	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)methanone	0.0021
501	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.046
502	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)-2-methylpropan-1-one	0.007
503	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0047
504	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0089
505	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0027
506	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0032
507	(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0038
508	(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0017
509	5-(4-(4-(aminomethyl)phenylamino)-3-(cyclopropanecarbo	0.0013

	nyl)quinolin-6-yl)pyrimidine-2-carbonitrile	
510	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)methanone	0.0019
511	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	0.017
512	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0022
513	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.002
514	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0028
515	(4-((1s,4s)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0034
517	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-(methylamino)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone	0.0014
518	2-((((1s,4s)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	0.013
519	(6-(3-chloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.003
520	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0016
522	5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0014
523	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0024
524	2-((((1s,4s)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	0.01

525	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0048
526	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0021
527	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.015
528	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0044
529	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)butan-1-one	0.0038
530	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	0.0045
531	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one dihydrochloride	0.0052
532	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	0.017
535	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	0.0024
537	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	0.016
538	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	0.02
539	5-(3-butyryl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	0.0038
540	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	0.064
541	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	0.077
542	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.0043
543	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(	0.0018

	methylamino)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	
547	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)methanone	0.02
548	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.002
549	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0027
550	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0057
551	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	1.1
552	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)methanone	0.58
553	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0056
554	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0031
555	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	5.1
556	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0044
557	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0056
558	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.0025

559	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.01
560	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.11
561	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0027
562	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0017
563	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	1.8
564	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	0.26
565	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	2.1
566	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.003
567	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0083
568	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0027
569	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.011
570	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.016
571	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)q	0.0043

	uinolin-3-yl)methanone	
572	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.003
573	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.004
574	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0018
575	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0031
576	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0019
577	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride)	0.0037
578	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.021
579	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0042
580	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0045
582	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0031
584	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone	0.0016
585	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	0.0014

586	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0028
587	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0032
588	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0037
589	(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.011
590	(4-(6-aminopyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.014
591	(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.032
592	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0015
593	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	0.0013
594	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	0.0048
595	(4-(4,4'-bipiperidin-1-yl)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0042
596	(4-(4,4'-bipiperidin-1-yl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0016
597	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	0.0073
598	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0023
599	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.005
600	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-	0.00073

	4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	
601	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0014
602	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0011
603	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0036
604	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0028
605	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	0.002
606	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	0.0063
607	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	0.0051
608	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	0.0022
609	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0025
610	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0017
611	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0023
612	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0055
613	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(di	0.0022

	methylamino)ethyl)phenylamino)quinolin-3-yl)methanone	
614	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	0.0016
615	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0082
616	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	0.0044
617	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	0.0042
620	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	0.0066
621	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.01
622	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0037
623	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	0.011
624	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)methanone	0.0016
625	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	0.15
626	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0011
627	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.024
628	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0015
629	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)metha	0.0051

	none	
630	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0023
631	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0021
632	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0031
633	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0016
634	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0008
635	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	0.00074
638	(4-(4-amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0034
639	(4-(4-amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0012
640	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	0.027
641	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	0.0076
644	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0028
646	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0011
647	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5	0.0015

	-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	
648	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0019
649	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0021
650	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.001
651	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.00076
652	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)methanone	0.0011
653	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	0.0098
654	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	0.0082
655	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)methyl)phenyl)amino)quinolin-3-yl)ethanone	0.0029
656	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	0.033
657	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((dimethylamino)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0089
658	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0078
659	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.013
660	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopro	0.0024

	pyl)methanone	
661	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	0.0018
665	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0033
666	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	0.024
667	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.01
672	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.014
673	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0042
674	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	0.0069
680	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	0.011
681	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.00086
685	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((methylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	0.0016
686	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0035
687	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0033
688	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-ch	0.0032

	loro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	
692	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0056
693	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0083
698	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	0.0024
699	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0026
702	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	0.0014
703	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	0.0013
704	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	0.0023
706	(4-(1-(1R,4R)-4-aminocyclohexyl)-1H-pyrazol-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.0011
707	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0059
708	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0065
709	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)methanone	0.0035
710	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0069
711	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)met	0.0032

	hanone	
712	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0036
713	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	0.0024
714	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	0.004
715	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	0.0015
716	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one dihydrochloride	0.0016
717	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	0.011
718	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	0.0055
719	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0092
720	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(dimethylamino)-2,3-dihydro-1H-inden-5-ylamino)quinolin-3-yl)ethanone	0.0033
721	1-(6-(3,5-difluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.035
722	(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0043
723	(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0019
724	(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0055
725	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dif	0.003

	luoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	
726	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)methanone	0.0057
727	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0034
729	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0022
730	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0026
731	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0047
732	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.0017
733	1-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.00099
734	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0016
735	(4-(2-(4-aminopiperidin-1-yl)pyridin-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.0041
736	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	0.036
737	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	0.055
738	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0026
739	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0032
740	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0013
741	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-	0.0048

	(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	
742	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone **di**hydrochloride	0.0044
743	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0042
744	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0017
745	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0083
746	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0029
747	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	0.0043
748	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone hydrochloride	0.0026
749	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0017
750	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0023
751	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0067
752	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.001
753	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0015
754	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	0.02
755	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(	0.0018

	dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	
756	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl)quinolin-3-yl)methanone	0.0023
757	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	0.0062
758	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.0053
759	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	0.013
760	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	0.0034
761	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	0.0026
762	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.014
763	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.0087
765	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.0022
766	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(dimethylamino)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0017
767	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0018
768	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0015
769	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.0049
770	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.015
771	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.0054
772	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperaz	0.0021

	in-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	
773	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.006
774	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	0.0019
775	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)propan-1-one	0.0034
776	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0027
777	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	0.0034
778	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.021
779	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.0017
780	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.002
783	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.0088
784	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1r,3r)-3-((dimethylamino)methyl)cyclobutylamino)quinolin-3-yl)ethanone	0.0031
785	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.0056
786	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.0031
788	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.0017

	trihydrochloride	
789	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	0.0028
790	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.0018
791	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0031
792	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0011
793	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1S,4r)-4-((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0018
794	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.0056
795	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.035
796	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	0.026
797	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	0.0056
798	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	0.0029
799	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0047
800	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.012
801	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.004

802	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0021
803	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.016
804	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	0.002
805	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone hydrochloride	0.0028
806	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.0012
807	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	0.0015
808	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0065
809	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.016
810	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	0.0018
811	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0027
812	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0017
813	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.0021
814	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone	0.0009

	dihydrochloride	
815	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.0018
816	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0027
817	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.0014
818	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	0.0043
819	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	0.0024
820	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	0.0018
821	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	0.0053
822	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.0031
823	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	0.0027
824	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.0016
825	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.0018
826	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.0083
827	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0033

	hydrochloride	
828	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.017
829	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	0.0051
830	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.019
831	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.0054
836	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.0014
843	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	0.0016
844	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.0046
845	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.002045
846	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	0.0023
848	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	0.011
852	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.0028
861	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0032
862	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)eth	0.0067

	anone hydrochloride	
864	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((bis-(trideuteromethyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride	0.0011
873	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.0067
876	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide dihydrochloride	0.0088
877	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide hydrochloride	0.0027
878	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	0.0079
879	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	0.011
880	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.04
881	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)methanone	0.0064
882	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone	0.019
883	2,6-dichloro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	0.002
884	2,6-dichloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.0035
885	2-chloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride	0.0083
886	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphen	0.00066

	yl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	
890	2,6-dichloro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	0.0027
891	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	0.0095
895	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.065
896	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	0.22
899	2,6-dichloro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.0017
900	2-chloro-6-fluoro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.0032
901	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.1
902	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	0.042
903	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	0.0017
904	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	0.017
907	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	0.0047
908	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(pyridin-4-yl)quinolin-3-yl)ethanone hydrochloride	0.23
909	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(me	0.0016

	thylsulfonyl)quinolin-6-yl)-2-chloro-6-fluorophenol trihydrochloride	
910	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-indazol-5-yl)quinolin-3-yl)ethanone hydrochloride	0.1
911	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.13
912	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-pyrazol-4-yl)quinolin-3-yl)ethanone hydrochloride	0.074
913	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2,6-dichlorophenol trihydrochloride	0.00094
914	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	0.028
915	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	0.0031
916	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	0.0048
917	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone hydrochloride	0.003
918	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	0.022
919	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	0.034
920	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	0.0019
921	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carb	0.012

	oxamide dihydrochloride	
922	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	0.0042
923	1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.007
924	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	0.018
925	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.019
926	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.0079
927	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)methanone hydrochloride	0.0096
928	2-amino-N-(1R,4R)-4-((6-(3,5-dichloro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	0.015
929	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)ethanone hydrochloride	0.064
930	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	0.017
931	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	0.0062
932	2-amino-N-(1R,4R)-4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	0.047
934	(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxy phenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.0012

935	1-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino) -6-(4-hydroxyphenyl)quinolin-3-yl)ethanone dihydrochloride	0.08
936	(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-5-fluoro-4- hydroxyphenyl)quinolin-3-yl) (cyclopropyl)methanone hydrochloride	0.0019
937	1-(4-((trans)-4-aminocyclohexylamino) -6-(3-chloro-5-fluoro-4- hydroxyphenyl)quinolin-3-yl) -2-methylpropan-1-one dihydrochloride	0.0054
938	1-(4-((trans)-4-aminocyclohexylamino)-6- (3,5-difluoro-4-hydroxyphenyl) quinolin-3-yl)-2- methylpropan-1-one dihydrochloride	0.017
939	1-(4-((trans)-4-aminocyclohexylamino)-6- (3,5-dichloro-4-hydroxyphenyl) quinolin-3-yl)ethanone hydrochloride	0.0034
940	1-(4-((trans)-4-aminocyclohexylamino)-6- (3-chloro-4-hydroxy-5- methoxyphenyl)quinolin-3-yl) ethanone dihydrochloride	0.0012
941	cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4- (dimethylamino)cyclohexylamino) quinolin-3-yl)methanone dihydrobromide	0.0016
264	(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4- (dimethylamino)cyclohexylamino) quinolin-3-yl)(cyclopropyl) methanone	0.0029
324	cyclopropyl(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4- ((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) methanone	0.00085
366	Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[4-(pyrr olidin-1-ylmethyl)phenylamino]quinolin-3-yl}methanone	0.15
374	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((dimethylamin o)methyl)phenyl)amino)quinolin-3-yl)ethanone	0.0066
942	1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-(4- ((dimethylamino)methyl) phenylamino)quinolin-3-yl) ethanone dihydrobromide	0.0022
378	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((*trans*-4-((di methylamino)methyl)cyclohexyl)amino)quinolin-3-yl)etha	0.0061

	none	
943	1-(6-(3-chloro-5-fluoro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride	0.004
379	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((*trans*-4-((dimethy lamino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone	0.0031
944	1-(6-(3,5-dichloro-4- hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl) cyclohexylamino)quinolin-3-yl) ethanone dihydrochloride	0.00094

Examples

Western blot analysis

To evaluate the expression status of MELK in several cell lines, western blot analysis was performed using crude cell lysate collected from those cells. Anti-MELK antibody (clone 31, BD Biosciences) was used to visualize the expression. Breast cancer cell lines, BT-549, T47D and A549 expressed MELK significantly although Bladder cancer cell line and HT-1197 showed no expression of MELK.

Examples

Cell-based assay

Active candidate inhibitors against MELK were evaluated for their target-specific cytotoxicity using T47D, A549, BT-549, and HT-1197 cells was used for negative control. 100 micro-L of cell suspension was seeded onto 96-well microtiter plate (ViewPlate-96FTC, PerkinElmer). The initial cell concentration of T47D, A549, BT-549, and HT-1197 were 3,000 cells/well, 2,000 cells/well and 2,500 cells/well, respectively. Cellular growth was determined using Cell Counting Kit-8 (DOJINDO) at 72 hours after the exposure of the candidate inhibitors. IC50 was used as an indicator of the anti-proliferative activity of the inhibitors, and calculated by serial dilution method (0, 1.5625, 3.125, 6.25, 12.5, 25, 50, and 100 micro-M). Accurate IC50 values were calculated as described previously.

IC_{50} values of the typical compounds of the present invention are shown in following table 3:

Table 3;

Example	Compound Name	IC50 (μM) (BT549)	IC_{50} (μM) (T47D)	IC_{50} (μM) (A549)	IC_{50} (μM) (HT1197)
55	{4-[*trans*-4-aminocyclohexylamino]-6-(4-hydroxyphenyl)quinolin-3- yl}(cyclopropyl)methanone	0.43	0.2	0.48	2
81	{4-[*trans*-4-aminocyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl}(cyclopropyl)	0.55	0.13	0.23	1.2

	methanone				
96	5-{4-[*trans*-4-aminocyclohexylamino]-3-(cyclopropanecarbonyl) quinolin-6-yl}pyrimidine-2-carbonitrile	0.93	0.29	1.3	1.5
108	5-{4-[*trans*-4-aminocyclohexylamino]-3-isobutyrylquinolin-6-yl} picolinonitrile	14	6.1	13	15
116	{4-[*trans*-4-aminocyclohexylamino]-6-(1*H*-benzo[*d*]imidazol-5-yl) quinolin-3-yl}(cyclopropyl) methanone	5.7	1.8	13	15
119	5-{4-[*trans*-4-aminocyclohexylamino]-3-(cyclopropanecarbonyl) quinolin-6-yl}thiophene-2-carbonitrile	14	8.8	5.4	8
133	Cyclopropyl[4-{4-[(dimethylamino)methyl] piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl]methanone	1.7	0.7	0.79	1.9
155	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(2,8-diazaspiro[4,5]decan-8-yl)quinolin-3-yl](cyclopropyl) methanone	0.79	0.43	0.68	2.4
156	{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl) methanone	0.16	0.095	0.88	3.1
157(a)	{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} (cyclopropyl)methanone	0.33	0.15	0.57	3.7
157(b)	{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}	0.31	0.096	0.3	4.7

	(cyclopropyl)methanone dihydrochloride				
160	{4-[*trans*-4-aminocyclohexylamino]-6-(2,5-dichloro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.39	0.28	0.68	1.2
165	{4-(*cis*-4-aminocyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl}(cyclopropyl) methanone	0.93	0.57	0.45	2.1
177	Cyclopropyl[6-(4-hydroxy-3-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl]methanone	2.6	0.88	0.83	2.3
179	{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl}(cyclopropyl)methanone	0.22	0.11	0.85	5.2
180	[4-(*cis*-4-aminocyclohexylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl](cyclopropyl) methanone	0.3	0.17	0.9	4.5
181	Cyclopropyl[6-(3,5-difluoro-4-hydroxyphenyl)-4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}quinolin-3-yl] methanone	0.73	0.47	0.7	1.1
185(a)	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	2	0.59	0.42	6.9
185(b)	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone dihydrochloride	1	0.49	0.18	4.7
187	Cyclopropyl(4-{4-[1-(dimethyla	2	0.44	0.65	2.2

	mino) ethyl]piperidin-1-yl}-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone				
192	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin -3-yl}(cyclopropyl)methanone	1.3	0.57	0.42	2.2
193	Cyclopropyl{4-[4-(diethylamino) cyclohexylamino]-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl}methanone	2	0.52	0.59	4.2
201	{6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4 -[(1-methylpiperidin-4-yl) methylamino]quinolin-3-yl} (cyclopropyl)methanone	1.8	0.76	0.73	7.4
205	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl} -2-methylpropan-1-one	0.15	0.066	0.6	2.4
212	{4-[*trans*-4-aminocyclohexylamino]-6-(pyridin-4-yl)quinolin-3-yl } (cyclopropyl)methanone	2.5	2.7	0.99	7.2
213	{4-[*trans*-4-aminocyclohexylamino]-6-(1*H*-pyrazol-4-yl)quinolin -3-yl}(cyclopropyl)methanone	1.7	0.89	5.1	14
214	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-difluoro-4-hydroxy phenyl)quinolin-3-yl}-2-methylpropan-1-one	0.084	0.048	0.65	1.4
215	{6-(3-Chloro-4-hydroxyphenyl)-4-[2-(piperazin-1-yl)ethylamino] quinolin-3-yl}(cyclopropyl) methanone	1.5	0.92	1.2	3.9
219	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-4-hydroxyphe	0.25	0.11	0.32	1.2

	nyl) quinolin-3-yl}-2-methylpropan-1-one				
225(a)	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} -2-methylpropan-1-one	0.18	0.073	0.43	2
225(b)	1-{4-[*trans*-4-aminocyclohexylamino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} -2-methylpropan-1-one dihydrochloride	0.17	0.048	0.22	1.6
240	2-Chloro-4-{4-[4-(diethylamino) cyclohexylamino]-3-(methylsulfonyl)quinolin -6-yl}-6-methoxyphenol dihydrochloride	1.5	0.97	0.78	3.8
243	[6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-(piperidin-4-ylmethylamino)quinolin -3-yl](cyclopropyl)methanone	1.8	0.33	0.62	7.3
245	2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl] -6-methoxyphenol	0.74	0.35	0.25	2.3
246	2-Chloro-4-[4-{4-[1-(dimethylamino)ethyl] piperidin-1-yl}-3-(methylsulfonyl)quinolin-6-yl] phenol	0.72	0.18	0.35	1.2
249	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-(1-methylpiperidin-4-ylamino) quinolin-3-yl](cyclopropyl) methanone	1.3	0.83	0.3	4.4
250	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[1-(dimethylamino)ethyl]	0.61	0.16	0.11	1.7

	piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone				
255	{6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-[*trans*-4-(di methylamino) cyclohexylamino]quinolin -3-yl}(cyclopropyl)methanone	0.64	0.28	0.18	1.9
256	1-{4-[*trans*-4-aminocyclohexyla mino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} ethanone	0.31	0.064	0.44	100
258	1-{4-[*trans*-4-aminocyclohexyla mino]-6-(3,5-difluoro-4-hydroxy phenyl)quinolin-3-yl}ethanone	0.16	0.071	0.85	100
259	1-{4-[*trans*-4-aminocyclohexyla mino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl} ethanone	0.43	0.057	0.099	2.5
262	1-(4-((trans)-4-aminocyclohexyl amino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl) -3-methylbutan-1-one	0.91	0.13	0.36	2.2
263	Cyclopropyl{6-(3,5-dichloro-4-hydroxyphenyl)-4-[*trans*-4-(dim ethylamino) cyclohexylamino] quinolin-3-yl}methanone	0.41	0.13	0.2	1.2
266	Cyclopropyl(4-((trans)-4-(dimeth ylamino) cyclohexylamino)-6-(4-hydroxy-3-methoxyphenyl) quinolin-3-yl)methanone	0.94	0.29	0.37	3
267	1-{4-[*trans*-4-aminocyclohexyla mino]-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl} -3-methylbutan-1-one dihydrochloride	0.72	0.14	0.38	3
269	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[1-(dimeth	0.5	0.22	0.44	1.3

	ylamino)ethyl] piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone				
270	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[(1-methylpiperidin-4-yl) methylamino]quinolin-3-yl} (cyclopropyl)methanone	1.1	0.51	0.69	2.9
276	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl] piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.58	0.16	0.21	1.8
279	[6-(3-Chloro-4-hydroxyphenyl)-4-{4-[(dimethylamino) methyl]piperidin-1-yl} quinolin-3-yl](cyclopropyl) methanone	0.86	0.21	0.3	6.7
280	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.71	0.25	0.2	2.9
283	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.72	0.35	0.54	3
284	{4-[*trans*-4-aminocyclohexylamino]-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoroquinolin-3-yl} (cyclopropyl)methanone	1.7	0.62	0.49	2.2
294	Cyclopropyl{6-(3,5-difluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino) cyclohexylamino]-7-	0.55	0.68	0.43	1.5

	fluoroquinolin-3-yl} methanone				
295	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[*trans*-4-(dimethylamino) cyclohexylamino]-7-fluoroquinolin-3-yl} (cyclopropyl)methanone	0.39	0.42	0.31	1.2
303	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin-3-yl}ethanone	1.7	0.39	0.35	7.4
305	1-[6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl] piperidin-1-yl}quinolin-3-yl] ethanone	0.33	0.21	0.14	3.6
307	Cyclopropyl{6-(3-fluoro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} methanone	1.2	0.79	0.53	3
309	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[(3-amino) adamantylamino]quinolin-3-yl}(cyclopropyl)methanone	0.49	0.32	0.28	0.5
311	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*cis*-4-(diethylamino) cyclohexylamino]quinolin-3-yl}(cyclopropyl)methanone	1.5	0.51	0.21	7.6
313	Cyclopropyl{6-[4-hydroxy-3-(trifluoromethoxy)phenyl]-4-[4-(pyrrolidin-1-ylmethyl] piperidin-1-yl}quinolin-3-yl) methanone	1.3	0.67	0.8	3.2
314	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(die	0.73	0.16	0.22	4.3

	thylamino) cyclohexylamino]quinolin -3-yl}(cyclopropyl)methanone				
315	[6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl] methylamino}quinolin-3-yl] (cyclopropyl)methanone	1.4	0.64	0.3	3
316	[6-(3-Chloro-4-hydroxy-5 -methoxyphenyl)-4-{*trans*-4-[(dimethylamino)methyl] cyclohexylamino}quinolin -3-yl](cyclopropyl)methanone	1.3	0.5	0.32	6.3
318	1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} -2-methylpropan-1-one	1.8	0.92	0.87	1.4
321	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} ethanone	0.29	0.19	0.18	5.1
323	1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} -2-methylpropan-1-one	1.5	0.63	0.87	1.2
325	Cyclopropyl[6-(3,5-dichloro -4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl] methylamino}quinolin-3-yl] methanone	1.9	0.78	0.62	10
327	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{[*trans*-4-(dimethylamino)cyclohexyl] methylamino}quinolin-3-yl]	1	0.48	0.42	3

	(cyclopropyl)methanone				
332	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(morpholinomethyl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	1.5	0.67	0.55	10
334	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(morpholinomethyl)piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.77	0.55	0.41	4.8
335	1-{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(diethylamino) cyclohexylamino]quinolin -3-yl}ethanone	0.65	0.1	0.29	1.2
336	1-{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(pyrrolidin-1-ylmethyl) piperidin-1-yl]quinolin-3-yl} ethanone	0.85	0.33	0.8	5
339	[-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-{4-[(dimethylamino)methyl] phenylamino}quinolin-3-yl] cyclopropyl)methanone	0.49	0.15	0.19	1.9
342	{6-(3-Chloro-4-hydroxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl) cyclohexylamino]quinolin -3-yl}(cyclopropyl)methanone	0.68	0.25	0.27	1.8
343	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(pyrrolidin-1-yl) cyclohexylamino]quinolin -3-yl}(cyclopropyl)methanone	0.68	0.33	0.2	3.4
345	Cyclopropyl[6-(3,5-dichloro-4-hydroxyphenyl)-4-{4-	0.1	0.054	0.051	0.67

	[(dimethylamino)methyl] phenylamino}quinolin-3-yl] methanone				
347	[6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-{4-[(dimethylamino)methyl] piperidin-1-yl}quinolin-3-yl] (cyclopropyl)methanone	0.62	0.42	0.48	1.8
348	1-{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[*trans*-4-(dimethylamino) cyclohexylamino]quinolin-3-yl}-3-methylbutan-1-one	0.93	0.41	0.47	3
349	{6-(3-Chloro-5-fluoro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.91	0.49	0.98	5.8
350	{6-(3-Chloro-4-hydroxyphenyl)-4-[4-(4-methylpiperazin-1-yl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.98	0.26	0.44	2.5
351	{6-(3-Chloro-4-hydroxy-5-methoxyphenyl)-4-[4-(4-methyl piperazin-1-yl) piperidin-1-yl]quinolin-3-yl} (cyclopropyl)methanone	0.67	0.34	0.33	3
353	Cyclopropyl[4-{4-[(dimethylamino)methyl] piperidin-1-yl}-6-(3-ethoxy-4-hydroxyphenyl)quinolin-3-yl]methanone	1.5	0.61	0.68	2.5

">100" in the table means over 100 microM.

IC_{50} values of the typical compounds of the present invention are shown in following table 4:

Table 4;

Example	Compound Name	IC50 (μM) (22Rv1)	IC50 (μM) (T47D)	IC50 (μM) (HT1197)	IC50 (μM) (A549)	IC50 (μM) (DU4475)
384	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone	NT	2	4	1.9	NT
385	(6-(3-chloro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone	NT	1.7	1.9	0.94	NT
386	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(diethylamino)cyclohexylamino)quinolin-3-yl)(cyclopentyl)methanone	NT	0.41	0.78	0.4	0.29
387	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	NT	1.6	1.9	1	NT
388	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopentyl)methanone	NT	0.52	1.5	0.52	0.21
389	(6-(3-chloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopentyl)methanone	NT	2.9	6.9	1.7	NT
391	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)ethanone	NT	0.12	4.2	1	NT
392	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.25	10	0.27	0.44
394	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)eth	NT	0.4	5.3	0.25	0.24

	yl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone					
397	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	NT	0.33	5.2	0.15	0.088
398	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.78	4.7	0.38	0.73
399	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol	NT	0.27	1.9	0.47	0.16
400	2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	NT	0.23	1.8	0.3	0.07
401	2,6-dichloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	0.52	0.19	2.2	0.44	0.11
402	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.88	5.1	1.2	0.48
403	(6-(3-chloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperazin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	NT	4.5	10	1.3	NT
404	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.31	2.2	0.42	NT
405	cyclopropyl(6-(4-hydroxy-3-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)methanone	NT	0.76	3.5	0.8	NT
406	(6-(3-chloro-4-hydroxyphenyl)-4-(4	NT	1.3	3.4	0.49	NT

	-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone					
407	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	NT	0.75	6.5	3.8	NT
408	2-chloro-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	NT	8.2	9.5	3.2	NT
409	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	NT	0.074	1.6	0.26	0.098
410	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-methoxyphenol	NT	0.58	6.2	1.2	NT
411	2-chloro-4-(4-(4-((dimethylamino)methyl)phenylamino)-3-(methylsulfonyl)quinolin-6-yl)phenol	NT	0.29	0.63	0.37	NT
412	2-chloro-6-methoxy-4-(3-(methylsulfonyl)-4-(4-(pyrrolidin-1-ylmethyl)piperidin-1-yl)quinolin-6-yl)phenol	NT	1.4	2.5	0.58	NT
413	5-(3-acetyl-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.35	1.2	1.7	NT
414	5-(3-acetyl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.24	0.8	1.5	NT
415	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.12	10	0.15	0.067
416	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(pyrrolidin-1-ylmethyl)phenylamino)quinolin-3-yl)ethanone dihydrobromide	0.19	0.066	6.1	0.11	0.053
417	cyclopropyl(6-(3,5-dichloro-4-hydr	NT	1.7	22	1.8	NT

	oxyphenyl)-4-(5-((dimethylamino) methyl)pyridin-2-ylamino)quinolin-3-yl)methanone					
418	5-(3-acetyl-4-(1R,4R)-4-((dimethyl amino)methyl)cyclohexylamino)qui nolin-6-yl)pyrimidine-2-carbonitril e	NT	0.23	1.4	0.95	0.5
419	1-(6-(3-chloro-4-hydroxy-5-methox yphenyl)-4-(4-(pyrrolidin-1-ylmeth yl)phenylamino)quinolin-3-yl)ethan one	NT	0.99	5.1	0.84	NT
420	2,6-dichloro-4-(4-(1R,4R)-4-((dime thylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)p henol	NT	0.47	2.5	0.69	0.14
421	2,6-dichloro-4-(4-(1R,4R)-4-((dime thylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)p henol	0.64	0.47	2.1	0.68	0.086
422	2-chloro-4-(4-(1R,4R)-4-((dimethyl amino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-m ethoxyphenol	NT	0.7	4.4	0.65	NT
423	2-chloro-4-(4-(1R,4R)-4-((dimethyl amino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)-6-fl uorophenol	NT	0.42	2.4	0.93	0.31
424	2-chloro-4-(4-(1R,4R)-4-((dimethyl amino)methyl)cyclohexylamino)-3-(methylsulfonyl)quinolin-6-yl)phen ol	NT	0.43	1.1	0.79	NT
425	(6-(3-chloro-5-fluoro-4-hydroxyphe nyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.082	0.042	1.4	0.16	0.044
426	5-(3-(cyclopropanecarbonyl)-4-(1R ,4R)-4-((dimethylamino)methyl)cy	NT	0.43	3.7	1.5	NT

	clohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile					
427	(6-(3-chloro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.2	1.4	0.24	0.24
429	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	3.8	19	5.1	NT
435	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	2.7	12	2.8	NT
439	5-(3-(cyclopropanecarbonyl)-4-(5-((dimethylamino)methyl)pyridin-2-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.61	3.6	4	NT
440	4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-yl)-1-(2-(pyrrolidin-1-yl)ethyl)piperazin-2-one	NT	1.1	10	5.1	NT
443	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	7.1	10	6.6	NT
444	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	6.8	10	7.6	NT
445	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(5-(1-methylpyrrolidin-2-yl)pyridin-2-ylamino)quinolin-3-yl)methanone	NT	7.5	10	4.1	NT
448	(6-(5-chloro-4-hydroxy-2-methylphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclo	NT	2	7.9	1.7	NT

	propyl)methanone					
449	cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)methanone	NT	1.8	3.3	0.64	NT
453	cyclopropyl(4-(4-((dimethylamino)methyl)piperidin-1-yl)-6-(1H-indol-5-yl)quinolin-3-yl)methanone	NT	1.2	2.3	0.48	NT
457	(6-(3-chloro-5-ethoxy-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.22	2.4	0.14	0.21
459	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-yl)quinolin-3-yl)methanone	NT	0.83	10	1.9	NT
460	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.65	4.2	0.59	0.42
461	5-(3-(cyclopropanecarbonyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.17	2	1.6	0.41
462	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.44	6	0.7	1.1
463	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(morpholinomethyl)phenylamino)quinolin-3-yl)methanone	NT	0.52	3.6	0.43	0.26
469	5-(3-(cyclopropanecarbonyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.18	1.4	0.99	0.43
470	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-	NT	0.26	2.2	0.56	0.68

	yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone					
471	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.14	2.8	0.21	0.14
472	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.3	5.7	0.18	0.11
474	5-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)indolin-2-one	NT	10	10	NT	NT
478	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	NT	0.53	2.9	0.87	0.75
479	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(4-methylpiperazin-1-yl)pyrimidin-5-yl)quinolin-3-yl)methanone	NT	0.92	7.9	1.7	1.7
480	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(5-hydroxy-1H-indol-2-yl)quinolin-3-yl)-2-methylpropan-1-one	NT	0.59	4.6	2.7	0.93
481	methyl 4-(3-(cyclopropanecarbonyl)-4-(4-((dimethylamino)methyl)piperidin-1-yl)quinolin-6-yl)benzoate	NT	10	10	NT	NT
482	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenyl)quinolin-3-yl)methanone	NT	0.54	3.1	0.96	0.9
483	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	NT	0.64	0.89	0.64	0.69

486	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-ethoxy-4-hydroxyphenyl)quinolin-3-yl)ethanone	NT	0.15	2.2	0.11	0.13
487	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	NT	0.041	1.4	0.088	0.1
488	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone	0.38	0.084	2.1	0.2	0.14
493	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.078	0.82	0.095	0.068
494	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.13	0.081	0.48	0.085	0.055
495	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.045	3.9	0.35	0.018
496	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.036	0.64	0.079	0.068
497	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)methanone	NT	0.052	0.97	0.12	0.056
501	(4-(1R,4R)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.061	1.2	0.57	0.031
502	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-met	NT	0.045	0.31	0.1	0.041

	hoxyphenyl)quinolin-3-yl)-2-methylpropan-1-one					
503	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.24	1.1	0.37	0.46
504	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.084	0.71	0.081	0.019
505	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.088	0.063	0.4	0.067	0.026
506	(4-(4-(aminomethyl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.15	0.74	0.18	0.13
507	(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.094	0.58	0.059	0.018
508	(4-(4-(aminomethyl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.099	0.078	0.49	0.067	0.02
509	5-(4-(4-(aminomethyl)phenylamino)-3-(cyclopropanecarbonyl)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.19	0.95	0.79	0.6
510	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)methanone	NT	0.054	1	0.12	0.018
511	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	NT	0.18	10	0.31	0.019

512	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.41	2.9	0.3	0.31
513	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.19	3.8	0.099	0.086
514	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.44	0.77	0.26	0.21
515	(4-((1s,4s)-4-(aminomethyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.23	3.9	0.83	0.12
517	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-(methylamino)cyclohexyl)amino)quinolin-3-yl)(cyclopropyl)methanone	0.18	0.067	0.38	0.072	0.048
518	2-((((1s,4s)-4-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	NT	0.16	3.4	0.17	0.078
519	(6-(3-chloro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.12	1.6	0.14	0.1
520	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(piperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.081	10	0.52	0.042
522	5-(3-(cyclopropanecarbonyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.23	1.1	0.95	0.91

523	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.033	1.3	0.19	0.02
524	2-((((1s,4s)-4-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-(cyclopropanecarbonyl)quinolin-4-ylamino)cyclohexyl)methyl)(methyl)amino)acetonitrile	NT	0.2	1.7	0.39	0.1
525	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.48	11	1.7	0.46
526	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.29	1.2	0.25	0.15
527	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	NT	0.034	10	0.42	0.02
528	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(5-(piperazin-1-yl)pyridin-2-ylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	1.8	4.2	1.3	1.7
529	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)butan-1-one	NT	0.081	1.5	0.15	0.076
530	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	NT	0.07	1.2	0.15	0.086
531	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one dihydrochloride	NT	0.11	1.3	0.18	0.072
532	1-(4-(1R,4R)-4-aminocyclohexyla	NT	0.046	2.9	0.49	0.03

	mino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one					
535	1-(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)butan-1-one	NT	0.077	3.3	0.24	0.025
537	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	NT	0.11	0.94	0.15	0.098
538	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)butan-1-one	NT	0.28	3.1	0.45	0.27
539	5-(3-butyryl-4-(4-((dimethylamino)methyl)phenylamino)quinolin-6-yl)pyrimidine-2-carbonitrile	NT	0.37	1.6	1.6	1.5
540	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	0.89	3.7	0.25	0.35
541	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	0.42	1.1	0.076	0.087
542	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.078	1.7	0.1	0.069
543	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.14	0.047	1.1	0.076	0.051
547	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-7-fluoroquinolin-3-yl)methanone	NT	0.41	1.9	0.13	0.14

548	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.097	3.4	0.45	0.099
549	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	0.15	3.2	0.48	0.078
550	(4-(6-(4-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.1	2.7	0.58	1
551	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	2	6.9	NT	NT
552	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)methanone	NT	1.1	9	NT	NT
553	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.12	2.1	0.39	0.95
554	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.068	1.9	0.08	0.025
555	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	0.44	10	NT	NT
556	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.03	0.96	0.023	0.016
557	(4-(6-(4-aminopiperidin-1-yl)pyridi	NT	0.11	3.1	0.52	0.18

	n-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone					
558	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.094	10	0.32	0.043
559	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.46	3.2	0.59	0.35
560	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(methylamino)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.041	10	NT	NT
561	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0055	0.3	0.008	0.0066
562	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	0.0064	0.19	0.012	0.0089
563	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	1.3	3.3	NT	NT
564	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	0.43	1.8	NT	NT
565	(4-(1R,4R)-4-aminocyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-8-fluoroquinolin-3-yl)(cyclopropyl)methanone	NT	0.3	10	NT	NT
566	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclo	NT	0.11	2.6	0.4	0.13

	propyl)methanone					
567	(4-(2-(4-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.076	1.9	0.34	0.18
568	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.057	0.62	0.082	0.045
569	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.62	1.8	0.4	0.41
570	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.14	0.16	0.13	0.089
571	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-3-fluoropyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.18	0.39	0.16	0.08
572	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0076	0.37	0.03	0.011
573	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	0.0072	0.57	0.032	0.013
574	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.031	1.4	0.087	0.021
575	(4-(6-(3-aminopyrrolidin-1-yl)pyrid	NT	0.028	1.2	0.068	0.029

	in-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone					
576	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0094	0.53	0.026	0.012
577	(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride)	NT	0.0065	0.52	0.029	0.014
578	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	3	8	1.9	2.8
579	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.17	7.8	0.27	0.14
580	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.25	4.1	0.69	0.12
582	(4-(2-(3-aminopyrrolidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.052	1.1	0.097	0.064
584	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.13	0.86	0.071	0.053
585	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)methanone hydrochloride	0.1	0.12	0.78	0.073	0.043

586	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.38	3	0.2	0.19
587	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.11	0.55	0.084	0.065
588	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.16	0.091	0.46	0.096	0.063
589	(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.61	1.4	0.18	0.21
590	(4-(6-aminopyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.48	2.2	0.48	0.19
591	(4-(6-aminopyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.26	1.4	0.36	0.12
592	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.24	2.8	0.13	0.068
593	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	NT	0.32	4.3	0.094	0.044
594	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	NT	0.025	0.66	0.063	0.048
595	(4-(4,4'-bipiperidin-1-yl)-6-(3-chlor	NT	0.41	3.4	0.57	0.64

	o-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone					
596	(4-(4,4'-bipiperidin-1-yl)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.18	5.1	1.5	0.14
597	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	NT	0.11	1.1	0.16	0.083
598	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.18	1	0.13	0.066
599	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.51	2.8	0.54	0.37
600	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.078	0.76	0.12	0.029
601	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	0.09	0.42	0.047	0.023
602	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	0.082	0.13	0.73	0.074	0.038
603	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.52	1.7	0.64	0.37
604	(4-(4-(2-aminopropan-2-yl)phenylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cycloprop	0.087	0.094	0.79	0.097	0.039

	yl)methanone					
605	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	NT	0.095	1.1	0.13	0.051
606	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.65	1.1	0.65	0.34
607	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)butan-1-one	NT	0.24	3.4	0.48	0.24
608	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.15	0.46	0.25	0.072
609	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.22	3.9	0.68	0.34
610	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.22	10	0.39	0.073
611	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.13	10	0.57	0.39
612	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.84	4.7	0.72	0.4
613	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	NT	0.13	1	0.075	0.028

614	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl) methanone hydrochloride	0.12	0.12	1.6	0.075	0.024
615	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl) phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.17	1.4	0.086	0.042
616	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(2-(piperazin-1-yl)pyrimidin-5-ylamino)quinolin-3-yl)ethanone	NT	0.22	1.8	0.66	0.5
617	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	NT	0.33	1.7	0.19	0.32
620	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.16	0.17	0.18	0.095
621	(4-((1R,3r,5S)-8-azabicyclo[3.2.1]octan-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.24	3.9	0.91	0.11
622	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	1.1	5.5	0.43	0.32

623	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	NT	0.085	2	0.2	0.1
624	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)methanone	NT	0.55	3	0.089	0.043
625	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)butan-1-one	NT	1.5	10	NT	NT
626	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0051	0.05	0.0073	0.0048
627	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-methoxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.15	0.55	0.11	0.083
628	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0069	0.33	0.019	0.0056
629	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-((dimethylamino)methyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.58	3.3	0.12	0.069
630	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.41	3.6	0.19	0.17
631	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methan	NT	0.074	0.85	0.17	0.055

	one					
632	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.069	0.72	0.1	0.069
633	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.21	2.3	0.12	0.15
634	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((2-hydroxyethyl)(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.099	0.75	0.095	0.042
638	(4-(4-amino-4-methylcyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.1	0.67	0.12	0.022
639	(4-(4-amino-4-methylcyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.1	0.46	0.09	0.062
640	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.54	0.82	0.61	0.52
641	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.22	0.74	0.23	0.097
644	(4-(2-(3-aminopiperidin-1-yl)pyrimidin-5-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.047	2.4	0.23	0.068
646	(S)-(4-(6-(3-aminopiperidin-1-yl)py	NT	0.02	0.56	0.022	0.0035

	ridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone					
647	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.03	0.03	0.75	0.08	0.015
648	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0095	0.28	0.013	0.0046
649	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.087	1.4	0.15	0.077
650	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0099	0.32	0.015	0.0072
651	(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0054	0.12	0.0075	0.0071
652	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)methanone	NT	0.15	1.4	0.15	0.051
653	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	NT	1.3	1.9	0.5	0.32
654	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	NT	0.091	8.7	0.19	0.11
655	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((4-((4-methylpiperazin-1-yl)m	0.18	0.072	7.8	0.23	0.097

	ethyl)phenyl)amino)quinolin-3-yl)ethanone					
656	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((4-methylpiperazin-1-yl)methyl)phenylamino)quinolin-3-yl)ethanone	NT	0.19	6.1	0.41	0.27
657	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-((dimethylamino)methyl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.31	2.8	0.18	0.098
658	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.3	4.5	0.072	0.07
659	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.6	7.3	0.32	0.28
660	(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.1	2.9	0.22	0.046
661	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.25	1.4	0.17	0.1
665	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.16	3.7	0.53	0.22
666	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.34	10	10	0.071
667	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-3-ylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclo	NT	0.17	10	7.7	0.077

	propyl)methanone					
672	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.39	3.2	0.17	0.16
673	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)methyl)-4-hydroxycyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.16	1.4	0.16	0.089
674	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.11	2.4	0.46	0.15
680	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)-2-methylpropan-1-one	NT	0.59	2.4	1.3	1
681	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone	NT	0.0046	0.25	0.017	0.0085
685	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((methylamino)methyl)cyclohexylamino)quinolin-3-yl)methanone	NT	0.054	0.73	0.14	0.018
686	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.19	1.1	0.17	0.16
687	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(3-methoxypyrrolidin-1-yl)cyclohexylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.63	3.3	0.45	0.33
688	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)	NT	0.056	1.7	0.23	0.11

	ethanone					
692	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	1	5.9	0.37	0.3
693	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.37	10	0.09	0.085
698	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	NT	0.3	10	0.05	0.04
699	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.24	2.7	0.18	0.072
702	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	NT	0.046	2.4	0.14	0.044
703	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)methanone	NT	0.33	5.5	0.11	0.052
704	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(piperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	NT	0.17	2.1	0.2	0.12
706	(4-(1-(1R,4R)-4-aminocyclohexyl)-1H-pyrazol-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	NT	0.042	7.9	0.59	0.02
707	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3	NT	0.21	3.4	0.13	0.081

	-yl)(cyclopropyl)methanone					
708	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.41	4.6	0.3	0.25
709	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)-1-hydroxyethyl)phenylamino)quinolin-3-yl)methanone	NT	0.2	3.8	0.14	0.077
710	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.15	2	0.09	0.083
711	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.22	5.7	0.11	0.073
712	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethoxy)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.31	5.4	0.31	0.34
713	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.2	4.9	0.18	0.15
714	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.054	0.55	0.11	0.067
715	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.087	0.84	0.11	0.046
716	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)propan-1-one dihydrochloride	0.14	0.14	0.82	0.12	0.055

717	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	NT	0.42	2	0.65	0.34
718	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclopentylamino)quinolin-3-yl)ethanone	NT	0.36	2	0.44	0.19
719	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.32	10	0.69	0.17
720	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(dimethylamino)-2,3-dihydro-1H-inden-5-ylamino)quinolin-3-yl)ethanone	NT	0.059	0.38	0.063	0.032
721	1-(6-(3,5-difluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.15	3.4	0.32	0.22
722	(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.19	2.3	0.32	0.19
723	(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.099	2.1	0.23	0.028
724	(4-(6-(2-aminoethoxy)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.07	2.8	0.23	0.035
725	(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-difluoro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	0.0078	0.11	0.012	0.01
726	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(piperidin-4-ylamino)	NT	0.56	2.4	0.52	0.14

	quinolin-3-yl)methanone					
727	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.37	1.1	0.19	0.14
729	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.52	10	0.2	0.1
730	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	2.1	8	0.82	0.69
731	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.11	1.3	0.17	0.13
732	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	NT	0.0056	0.18	0.0094	0.0099
733	1-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.0064	0.0035	0.064	0.0086	0.01
734	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.51	6.4	0.25	0.36
735	(4-(2-(4-aminopiperidin-1-yl)pyridin-4-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)(cyclopropyl)methanone	NT	1	20	3.5	0.5
736	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)propan-1-one	NT	0.14	10	0.22	0.19
737	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyr	NT	0.31	10	0.29	0.27

	idin-3-ylamino)quinolin-3-yl)propan-1-one					
738	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.51	7.8	0.34	2.1
739	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.14	4	0.14	0.099
740	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.17	0.099	3.5	0.13	0.087
741	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.037	2	0.085	0.062
742	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(2-(dimethylamino)ethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.094	0.034	1.7	0.078	0.063
743	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.66	4.8	0.53	0.36
744	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.11	0.43	0.082	0.031
745	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.12	0.99	0.16	0.063
746	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	NT	0.23	6.2	0.18	0.11

747	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone	NT	0.16	2.6	0.091	0.043
748	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)methanone hydrochloride	0.1	0.088	1.6	0.069	0.032
749	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.12	6.4	0.22	0.21
750	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.2	1.7	0.39	0.19
751	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.32	1.7	0.58	0.29
752	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.18	3.4	0.2	0.17
753	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((3-hydroxypyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	NT	0.13	71	0.37	0.057
754	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.19	10	0.22	0.072
755	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.35	3.4	0.34	0.21

756	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl)quinolin-3-yl)methanone	NT	0.5	10	0.54	0.27
757	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)propan-1-one	NT	0.064	0.76	0.15	0.069
758	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	NT	0.15	24	0.22	0.055
759	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)ethanone	NT	0.67	10	0.42	0.2
760	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	NT	0.0087	0.77	0.031	0.016
761	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one	NT	0.031	1.5	0.053	0.022
762	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)ethanone	NT	0.12	5.2	0.25	0.089
763	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.14	0.05	1.8	0.27	0.093
765	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(dimethylamino)cyclohexylamino)quinolin-3-yl)ethanone	0.14	0.081	1.7	0.17	0.047
766	1-(6-(3,5-dichloro-4-hydroxypheny	0.1	0.074	1	0.14	0.06

	l)-4-((1R,4R)-4-(dimethylamino)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride					
767	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone	0.15	0.12	24	0.16	0.083
768	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.11	0.11	28	0.13	0.07
769	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.79	0.12	2.8	0.48	0.14
770	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.17	0.074	0.84	0.11	0.062
771	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(4-((dimethylamino)methyl)phenylamino)quinolin-3-yl)propan-1-one	0.16	0.072	0.72	0.095	0.045
772	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.14	0.058	3.2	0.26	0.076
773	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone	0.0094	0.0042	0.7	0.028	0.017
774	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone	0.082	0.034	0.71	0.045	0.056
775	1-(4-(6-(3-aminopyrrolidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-4-hydr	0.12	0.049	0.75	0.049	0.065

	oxy-5-methoxyphenyl)quinolin-3-yl)propan-1-one					
776	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.15	0.073	1.2	0.08	0.038
777	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(2-(dimethylamino)ethylamino)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	0.17	0.12	1.6	0.12	0.047
778	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(4-methylpiperazin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone	0.48	0.1	2.6	0.17	0.22
779	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.23	0.14	10	1	0.13
780	1-(4-(1R,4R)-4-((3-aminopyrrolidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	0.67	0.41	3.2	0.62	1.5
783	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(piperazin-1-ylmethyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.087	0.027	4.2	0.2	0.057
784	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1r,3r)-3-((dimethylamino)methyl)cyclobutylamino)quinolin-3-yl)ethanone	0.95	0.6	3.2	0.74	0.2
785	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.076	0.035	1.3	0.094	0.074
786	1-(4-(1R,4R)-4-((3-aminopyrrolidin	0.17	0.07	10	0.96	0.23

	-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone hydrochloride					
788	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.1	0.071	8.6	0.16	0.07
789	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)(cyclopropyl)methanone trihydrochloride	0.077	0.039	0.8	0.076	0.053
790	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.14	0.1	4.2	0.14	0.098
791	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.49	0.58	9.3	0.27	0.43
792	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.12	0.079	100	0.1	0.073
793	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1S,4r)-4-(((S)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.34	0.15	7.1	0.17	0.33
794	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-((diethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.094	0.031	0.75	0.077	0.074
795	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(6-(pyrrolidin-1-ylmethyl)pyridin-3-ylamino)quinolin-3-	0.83	0.51	3.5	0.34	0.31

	yl)methanone					
796	cyclopropyl(6-(3,5-difluoro-4-hydroxyphenyl)-4-(3-(2-(dimethylamino)ethyl)phenylamino)quinolin-3-yl)methanone	0.52	0.43	0.67	0.14	0.14
797	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	0.12	0.31	100	0.022	0.03
798	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone hydrochloride	0.092	0.16	NT	0.019	0.02
799	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.25	0.52	10	0.081	0.079
800	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone hydrochloride	0.17	0.26	NT	0.041	0.046
801	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.15	0.37	100	0.081	0.06
802	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)ethanone hydrochloride	0.16	0.45	100	0.08	0.072
803	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(pyrrolidin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	0.44	0.65	100	0.17	0.12
804	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)pyrrolidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	0.1	0.088	1.4	0.17	0.042

805	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone hydrochloride	0.32	0.17	2.4	0.34	0.11
806	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.039	0.027	0.33	0.054	0.032
807	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)methanone trihydrochloride	0.055	0.058	1.1	0.056	0.032
808	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.22	0.12	8.2	0.22	0.11
809	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-4-hydroxy-5-methoxyphenyl)quinolin-3-yl)ethanone hydrochloride	2.6	4.6	10	3.1	10
810	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	0.056	0.034	2.3	0.12	0.044
811	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.45	0.49	5.4	0.28	0.38
812	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-(((R)-2-(hydroxymethyl)pyrrolidin-1-yl)methyl)cyclohexylamino)quinolin-3-yl)ethanone	0.2	0.15	100	0.18	0.086
813	1-(6-(3-chloro-5-fluoro-4-hydroxyp	0.11	0.07	0.75	0.25	0.054

	henyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride					
814	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(1-methylpyrrolidin-3-yl)-1H-pyrazol-4-ylamino)quinolin-3-yl)ethanone dihydrochloride	0.13	0.14	0.78	0.29	0.057
815	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(6-(3-(methylamino)piperidin-1-yl)pyridin-3-ylamino)quinolin-3-yl)ethanone trihydrochloride	0.034	0.016	0.052	0.041	0.041
816	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.44	0.28	7.3	0.25	0.36
817	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1R,4R)-4-((ethyl(methyl)amino)methyl)cyclohexylamino)quinolin-3-yl)ethanone dihydrochloride	0.12	0.097	11	0.15	0.074
818	1-(4-(1R,4R)-4-((3-aminopiperidin-1-yl)methyl)cyclohexylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)ethanone trihydrochloride	0.064	0.022	2.7	0.14	0.071
819	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	0.64	0.38	3.3	0.44	0.17
820	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)methanone	0.82	0.32	2.9	0.46	0.15
821	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	0.25	0.23	3.3	0.59	0.17

822	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)(cyclopropyl)methanone	0.43	0.29	2.5	0.48	0.16
823	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-(1-(2-(dimethylamino)ethyl)piperidin-4-ylamino)quinolin-3-yl)ethanone	0.32	0.21	2.6	0.45	0.16
824	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.026	0.021	0.28	0.036	0.026
825	1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.016	0.01	0.069	0.017	0.018
826	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.48	0.25	1.9	0.54	0.22
827	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1S,3R)-3-((dimethylamino)methyl)cyclohexylamino)quinolin-3-yl)ethanone hydrochloride	0.43	0.49	5.9	0.51	0.2
828	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)(cyclopropyl)methanone	0.72	5.7	9.5	0.23	0.16
829	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)methanone	0.23	0.7	5.7	0.087	0.057
830	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(3-(2-(4-methylpiperazin-1-yl)ethyl)phenylamino)quinolin-3-yl)ethanone	1.3	1.5	15	0.73	0.26
831	1-(6-(3,5-dichloro-4-hydroxypheny	0.83	1.1	11	0.32	0.12

	l)-4-(3-(2-(4-methylpiperazin-1-yl) ethyl)phenylamino)quinolin-3-yl)et hanone					
836	1-(6-(3,5-dichloro-4-hydroxypheny l)-4-(1-(1-methylpiperidin-4-yl)-1H -pyrazol-4-ylamino)quinolin-3-yl)et hanone dihydrochloride	0.27	0.35	100	0.45	0.082
843	1-(6-(3,5-dichloro-4-hydroxypheny l)-4-(1R,4R)-4-(pyrrolidin-1-ylmet hyl)cyclohexylamino)quinolin-3-yl) propan-1-one dihydrochloride	0.19	0.15	4.2	0.15	0.057
844	1-(6-(3-chloro-5-fluoro-4-hydroxyp henyl)-4-((1S,4r)-4-(((S)-2-(hydrox ymethyl)pyrrolidin-1-yl)methyl)cyc lohexylamino)quinolin-3-yl)ethano ne	0.18	0.067	3.3	0.18	0.092
845	1-(6-(3-chloro-5-fluoro-4-hydroxyp henyl)-4-(1R,4R)-4-((ethyl(methyl) amino)methyl)cyclohexylamino)qui nolin-3-yl)ethanone dihydrochloride	0.11	0.063	1.4	0.14	0.069
846	1-(6-(3-chloro-5-fluoro-4-hydroxyp henyl)-4-(1R,4R)-4-(pyrrolidin-1-yl methyl)cyclohexylamino)quinolin-3-yl)propan-1-one dihydrochloride	0.16	0.096	0.71	0.13	0.071
848	1-(6-(3-chloro-5-fluoro-4-hydroxyp henyl)-4-(6-(2-(dimethylamino)eth oxy)pyridin-3-ylamino)quinolin-3-yl)ethanone hydrochloride	0.44	0.14	5.3	0.29	0.16
852	1-(6-(3,5-dichloro-4-hydroxypheny l)-4-(6-(2-(dimethylamino)ethoxy)p yridin-3-ylamino)quinolin-3-yl)etha none dihydrochloride	0.55	0.16	22	0.29	0.088
861	1-(6-(3,5-dichloro-4-hydroxypheny l)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3 -yl)ethanone hydrochloride	0.24	0.22	1	0.25	0.19

862	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-(((1S,3R)-3-(2-(dimethylamino)ethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.2	0.26	1.1	0.29	0.2
864	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((bis-(trideuteromethyl)amino)methyl)cyclohexyl)amino)quinolin-3-yl)ethanone dihydrochloride	0.081	0.067	1.3	0.11	0.043
873	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	100	100	100	0.35	0.17
876	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide dihydrochloride	0.14	0.033	1.5	0.16	0.092
877	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-(dimethylamino)acetamide hydrochloride	0.18	0.11	2	0.2	0.11
878	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	0.096	0.027	21	0.83	0.03
879	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	3	1.1	9	3.8	0.9
880	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	7.4	3.1	46	1.8	0.96
881	cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin	100	100	100	0.15	0.078

	-3-yl)methanone					
882	(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((5-(2-(pyrrolidin-1-yl)ethyl)pyridin-3-yl)amino)quinolin-3-yl)(cyclopropyl)methanone	3.1	100	100	0.27	0.15
883	2,6-dichloro-4-(3-(methylsulfonyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-6-yl)phenol hydrochloride	1.5	1.4	100	1.9	0.53
884	2,6-dichloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	4.1	0.91	13	2.1	0.51
885	2-chloro-4-(4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-6-fluorophenol hydrochloride	2.5	0.7	10	3	0.62
886	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-aminopropanamide dihydrochloride	0.088	0.045	11	0.64	0.016
890	2,6-dichloro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	0.94	1.3	3	1.1	0.35
891	2-chloro-6-fluoro-4-(3-(methylsulfonyl)-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-6-yl)phenol hydrochloride	0.92	0.73	2.4	1.3	0.46
895	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)ethanone hydrochloride	2.2	0.94	9.3	4	9.1
899	2,6-dichloro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	1.5	1.6	10	4	0.26

900	2-chloro-6-fluoro-4-(4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)phenol hydrochloride	3.1	1.6	10	10	0.54
901	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(4-methylpiperazin-1-yl)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	2.1	1.2	9.1	2.3	9.5
902	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((6-(2-(dimethylamino)ethoxy)pyridin-3-yl)amino)quinolin-3-yl)ethanone hydrochloride	3.1	3.3	12	2.9	14
903	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	0.27	0.55	1.5	0.36	0.16
904	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-7-fluoroquinolin-3-yl)ethanone hydrochloride	0.33	0.51	1.6	0.43	0.26
907	N-(1R,4R)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	0.059	0.016	3.7	0.18	0.033
909	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2-chloro-6-fluorophenol trihydrochloride	0.44	0.19	10	2.8	0.042
910	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-indazol-5-yl)quinolin-3-yl)ethanone hydrochloride	3.4	6	9.8	2.6	5.4
911	1-(6-(1H-benzo[d]imidazol-6-yl)-4-((1R,4R)-4-((dimethylamino)methy	5.4	10	13	3.2	10

	l)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride					
912	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(1H-pyrazol-4-yl)quinolin-3-yl)ethanone hydrochloride	1.7	4.2	3	1.3	1.4
913	4-(4-((6-(3-aminopiperidin-1-yl)pyridin-3-yl)amino)-3-(methylsulfonyl)quinolin-6-yl)-2,6-dichlorophenol trihydrochloride	0.58	0.27	100	2.6	0.025
914	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	0.28	0.075	3.6	0.65	0.19
915	N-(1R,4R)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3-methylbutanamide dihydrochloride	0.099	0.053	3.5	0.29	0.062
916	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	1.5	1.2	3.1	1.1	1
917	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)methanone hydrochloride	0.91	0.7	3.3	0.68	0.19
918	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	6.6	3	10	5.5	3.2
919	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)quino	3.5	1.9	6.4	4.3	2.5

	lin-3-yl)-2,2-dimethylpropan-1-one hydrochloride					
920	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	0.34	0.1	6.5	0.86	0.073
921	(S)-N-((1r,4S)-4-((3-acetyl-6-(3-chloro-5-fluoro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)pyrrolidine-2-carboxamide dihydrochloride	0.21	0.048	10	1.2	0.068
922	(S)-N-((1r,4S)-4-((3-acetyl-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-4-yl)amino)cyclohexyl)-2-amino-3,3-dimethylbutanamide hydrochloride	0.65	0.2	4.5	0.62	0.21
923	1-(6-(3,5-dichloro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.29	0.63	2.5	0.39	0.2
924	(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)(cyclopentyl)methanone hydrochloride	3	3.2	3.3	3.7	1.8
925	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.27	0.52	1.5	0.38	0.27
926	1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-7-fluoro-4-((1R,4R)-4-(pyrrolidin-1-ylmethyl)cyclohexyl)amino)quinolin-3-yl)ethanone hydrochloride	0.69	2.7	5	0.61	0.55
927	cyclopentyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((3-(2-(pyrrolidin-1-yl)ethyl)phenyl)amino)quinolin-3-yl)	0.78	1.3	12	0.71	0.48

	methanone hydrochloride					
928	2-amino-N-(1R,4R)-4-((6-(3,5-dichloro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	2	1.4	8.1	4.1	1.1
929	1-(4-((1R,4R)-4-((dimethylamino)methyl)cyclohexyl)amino)-6-(6-hydroxynaphthalen-2-yl)quinolin-3-yl)ethanone hydrochloride	0.71	3.3	3.9	0.87	2.8
930	1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	2.5	1.7	14	4.4	1.3
931	1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1-(1-methylpiperidin-4-yl)-1H-pyrazol-4-yl)amino)quinolin-3-yl)-2,2-dimethylpropan-1-one hydrochloride	2.1	1.4	100	1.8	1.5
932	2-amino-N-(1R,4R)-4-((6-(3-chloro-5-fluoro-4-hydroxyphenyl)-3-pivaloylquinolin-4-yl)amino)cyclohexyl)propanamide hydrochloride	1.6	0.71	7.6	4.7	1
934	(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one trihydrochloride	0.02	0.014	0.6	0.034	0.016
935	1-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-6-(4-hydroxyphenyl)quinolin-3-yl)ethanone dihydrochloride	0.53	1.6	4.3	0.86	1.5

NT: Not tested

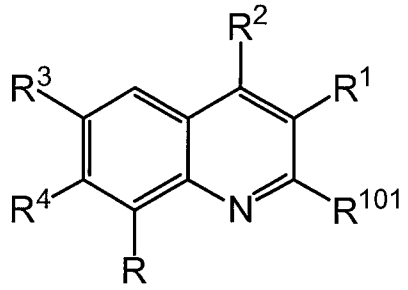
Industrial Applicability

The present invention provides a novel quinoline derivative having MELK inhibitory effect.

The compounds of the present invention may be used for pharmaceutical composition for inhibiting MELK. Such pharmaceutical compositions are suitable for treating or preventing cancer.

CLAIMS

1. A compound or a pharmaceutically acceptable salt thereof for use in the treatment or prevention of cancer, wherein the compound is represented by the following formula:



(I)

wherein,

R^1 represents

a C_1-C_6 alkylsulfonyl, or

-CO-R^5 [wherein,

R^5 is

a C_1-C_6 alkyl,

a C_3-C_{10} cycloalkyl],

R^2 represents

-$NR^{6A}R^{7A}$;

wherein R^{6A} represents a hydrogen atom, and R^{7A} represents -$(CH_2)_n$-R^{10A} (wherein n represents an integer of 0 to 6, and R^{10A} represents a C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D, an aryl which may have a substituent group selected from Substituent Group E, an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl, an aromatic heterocyclic group which may have a substituent group selected from Substituent Group I), or R^{6A} and R^{7A} form with an adjacent nitrogen atom a heterocyclic group which may have a substituent group selected from Substituent Group F,

R^3 represents

an aryl which may have a substituent group selected from Substituent Group G, or an aromatic heterocyclic group which may have a substituent group selected from Substituent Group H;

R^4 represents

a hydrogen atom, or

a halogen;

R represents

a hydrogen atom, or
a halogen, and
R^{101} represents
a hydrogen atom.

wherein the above-mentioned Substituents C to I are one to three substituents each independently selected from the following Substituent Groups:

Substituent Group C: a halogen, a hydroxy, a C_1-C_6 alkoxy, and a di(C_1-C_6 alkyl) amino;

Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either one of C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6 alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic-carbonylamino;

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent);

Substituent Group F: a carbamoyl, an amino, a C_1-C_6 aminoalkyl, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), an aliphatic heterocyclic-(C_1-C_6 alkylenyl), and an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl;

Substituent Group G: a halogen, a hydroxy, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, a trifluoromethoxy, a C_1-C_6 aminoalkyl, a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), an amino, a C_1-C_6 alkylsulfonylamino, a carbamoyl, a sulfamoyl, a (C_1-C_6 alkyl)ureide, a benzylureide, andan aliphatic heterocyclic group;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group I: an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino group or a C_1-C_6 alkylamino as a substituent); an aliphatic heterocyclic-(C_1-C_6 alkylenyl); an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent); a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl); a C_1-C_6 aminoalkyloxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino; a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent).

2. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^1 is -CO-R^5.

3. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^1 is a methylsulfonyl.

4. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^{6A} is a hydrogen atom, and R^{7A} is -$(CH_2)_n$-R^{10A} (wherein, n and R^{10A} each have the same meaning as described in claim 1).

5. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^{6A} and R^{7A} form with an adjacent nitrogen atom a heterocyclic group which may have a substituent group selected from Substituent Group F described in claim 1.

6. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^2 is a piperidin-4-spiro-3'-pyrrolidin-1-yl, a piperidyl which may have a substituent group selected from Substituent Group F described in claim 1, or a 1-piperazinyl which may have a substituent group selected from Substituent Group F described in claim 1.

7. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^2 is an aryl which may have a substituent group selected from Substituent Group C described in claim 1.

8. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^2 is a phenyl which may have a substituent group selected from Substituent Group C described in claim 1.

9. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein R^3 is an aryl which may have a substituent group selected from Substituent Group G described in claim 1, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H described in claim 1.

10. The compound or a pharmaceutically acceptable salt thereof of claim 1, which is selected from

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)-cyclo- hexylamino)quinolin-3-yl)ethanone;

2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)-quinolin-6-yl)phenol;

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)methanone;

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)propan-1-one;

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)-cyclohexyl)-amino)quinolin-3-yl)propan-1-one;

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl)-cyclo-hexylamino)quinolin-3-yl)ethanone;

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl)-cyclohexylamino)-quinolin-3-yl)ethanone;

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)methanone;

(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)ethanone;

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4- hydroxyphenyl)-quinolin-3-yl)propan-1-one; and

(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one;

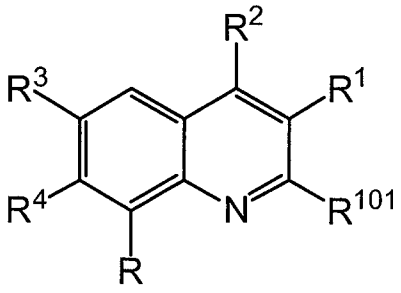
and a pharmaceutically acceptable salt thereof.

11. The compound or a pharmaceutically acceptable salt thereof of claim 1, wherein the cancer

is selected from the group consisting of breast cancer, lung cancer, bladder cancer, lymphoma, and uterine cancer.

12. A pharmaceutical composition for use in the treatment or prevention of cancer, which comprises as an active ingredient the compound or a pharmaceutically acceptable salt thereof of any one of claims 1 to 11.

13. Use of a compound or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for the treatment or prevention of cancer, wherein the compound is represented by the following formula:



(I)

wherein,

R^1 represents

a C_1-C_6 alkylsulfonyl, or

-CO-R^5 [wherein,

R^5 is

a C_1-C_6 alkyl,

a C_3-C_{10} cycloalkyl],

R^2 represents

-$NR^{6A}R^{7A}$;

wherein R^{6A} represents a hydrogen atom, and R^{7A} represents -$(CH_2)_n$-R^{10A} (wherein n represents an integer of 0 to 6, and R^{10A} represents a C_3-C_{10} cycloalkyl which may have a substituent group selected from Substituent Group D, an aryl which may have a substituent group selected from Substituent Group E, an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl, an aromatic heterocyclic group which may have a substituent group selected from Substituent Group I), or R^{6A} and R^{7A} form with an adjacent nitrogen atom a heterocyclic group which may have a substituent group selected from Substituent Group F,

R^3 represents

an aryl which may have a substituent group selected from Substituent Group G, or an aromatic heterocyclic group which may have a substituent group selected from

Substituent Group H;

R^4 represents

a hydrogen atom, or

a halogen;

R represents

a hydrogen atom, or

a halogen, and

R^{101} represents

a hydrogen atom.

wherein the above-mentioned Substituents C to I are one to three substituents each independently selected from the following Substituent Groups:

Substituent Group C: a halogen, a hydroxy, a C_1-C_6 alkoxy, and a di(C_1-C_6 alkyl) amino;

Substituent Group D: a hydroxy, a C_1-C_6 alkyl, a C_1-C_6 aminoalkyl, an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have an amino, a hydroxy, a C_1-C_6 hydroxyalkyl, a C_1-C_6 alkoxy, or a halogen as a substituent), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, either one of C_1-C_6 alkyl may have a hydroxy or a cyano as a substituent, and wherein hydrogen atom of C_1-C_6 alkyl may be substituted with deuterium atom), an amino, a C_1-C_6 alkylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkylcarbonylamino, a di(C_1-C_6 alkyl)amino(C_1-C_6 alkylenyl)carbonylamino, an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkoxy as a substituent), and an aliphatic heterocyclic-carbonylamino;

Substituent Group E: a halogen, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl) (wherein, the C_1-C_6 alkylenyl may have a hydroxy as a substituent), an amino, a C_2-C_7 alkanoylamino, a di(C_1-C_6 alkyl)amino, a C_1-C_6 aminoalkyl, and an aliphatic heterocyclic-(C_1-C_6 alkylenyl) (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl as a substituent);

Substituent Group F: a carbamoyl, an amino, a C_1-C_6 aminoalkyl, a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), an aliphatic heterocyclic-(C_1-C_6 alkylenyl), and an aliphatic heterocyclic group which may be substituted with a C_1-C_6 alkyl;

Substituent Group G: a halogen, a hydroxy, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, a trifluoromethoxy, a C_1-C_6 aminoalkyl, a C_1-C_6 alkylamino-(C_1-C_6 alkylenyl), a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl), an amino, a C_1-C_6 alkylsulfonylamino, a carbamoyl, a

sulfamoyl, a (C_1-C_6 alkyl)ureide, a benzylureide, andan aliphatic heterocyclic group;

Substituent Group H: a halogen, a cyano, a C_1-C_6 alkyl, a C_1-C_6 alkoxy, an amino, a carbamoyl, a dimethylaminopropylaminocarbonyl, and an aminocyclohexylaminocarbonyl;

Substituent Group I: an aliphatic heterocyclic group (wherein, the aliphatic heterocyclic group may have a C_1-C_6 alkyl, an amino group or a C_1-C_6 alkylamino as a substituent); an aliphatic heterocyclic-(C_1-C_6 alkylenyl); an aliphatic heterocyclic-amino (wherein, the aliphatic heterocyclic may have a C_1-C_6 alkyl or an amino as a substituent); a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl); a C_1-C_6 aminoalkyloxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)oxy; a di(C_1-C_6 alkyl)amino-(C_1-C_6 alkylenyl)amino; a cyclohexyl (wherein, the cyclohexyl may have an amino or a C_1-C_6 aminoalkyl as a substituent).

14. The use of claim 13, wherein R^1 is -CO-R^5.

15. The use of claim 13, wherein R^1 is a methylsulfonyl.

16. The use of claim 13, wherein R^{6A} is a hydrogen atom, and R^{7A} is -$(CH_2)_n$-R^{10A} (wherein, n and R^{10A} each have the same meaning as described in claim 13).

17. The use of claim 13, wherein R^{6A} and R^{7A} form with an adjacent nitrogen atom a heterocyclic group which may have a substituent group selected from Substituent Group F described in claim 13.

18. The use of claim 13, wherein R^2 is a piperidin-4-spiro-3'-pyrrolidin-1-yl, a piperidyl which may have a substituent group selected from Substituent Group F described in claim 13, or a 1-piperazinyl which may have a substituent group selected from Substituent Group F described in claim 13.

19. The use of claim 13, wherein R^2 is an aryl which may have a substituent group selected from Substituent Group C described in claim 13.

20. The use of claim 13, wherein R^2 is a phenyl which may have a substituent group selected from Substituent Group C described in claim 13.

21. The use of claim 13, wherein R^3 is an aryl which may have a substituent group selected from Substituent Group G described in claim 13, or an optionally substituted aromatic heterocyclic group which may have a substituent group selected from Substituent Group H described in claim 13.

22. The use of claim 13, wherein the compound or a pharmaceutically acceptable salt thereof is selected from:

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)methyl)-cyclo-hexylamino)quinolin-3-yl)ethanone;

2,6-dichloro-4-(4-(1R,4R)-4-((dimethylamino)methyl)cyclohexylamino)-3-(methylsulfonyl)-quinolin-6-yl)phenol;

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1s,4s)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)methanone;

1-(6-(3-chloro-4-hydroxy-5-methoxyphenyl)-4-(1R,4R)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)propan-1-one;

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((1R,4R)-4-((dimethylamino)methyl)-cyclohexyl)-amino)quinolin-3-yl)propan-1-one;

1-(6-(3-chloro-5-fluoro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl)-cyclo-hexylamino)quinolin-3-yl)ethanone;

1-(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)methyl)-cyclohexylamino)-quinolin-3-yl)ethanone;

cyclopropyl(6-(3,5-dichloro-4-hydroxyphenyl)-4-((trans)-4-((dimethylamino)-methyl)cyclo-hexylamino)quinolin-3-yl)methanone;

(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

(S)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

(R)-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)(cyclopropyl)methanone;

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4- hydroxyphenyl)-quinolin-3-yl)ethanone;

1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)-quinolin-3-yl)propan-1-one; and

(S)-1-(4-(6-(3-aminopiperidin-1-yl)pyridin-3-ylamino)-6-(3,5-dichloro-4-hydroxyphenyl)quinolin-3-yl)propan-1-one;

and a pharmaceutically acceptable salt thereof.

23. The use of claim 13, wherein the cancer is selected from the group consisting of breast cancer, lung cancer, bladder cancer, lymphoma, and uterine cancer.