

Tricyclic compounds and PBK Inhibitors Containing the Same

Technical Field

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

Priority

The present application claims the benefit of U.S. Provisional Applications No. 61/318,606, filed on March 29, 2010, the contents of which are hereby incorporated herein by reference in their entirety for all purposes.

Background Art

Previous studies revealed that PDZ binding kinase (PBK) is a serine/threonine kinase related to the dual specific mitogen-activated protein kinase kinase (MAPKK) family (Abe Y, et al., J Biol Chem. 275: 21525–21531, 2000, Gaudet S, et al., Proc Natl Acad Sci. 97: 5167–5172, 2000 and Matsumoto S, et al., Biochem Biophys Res Commun. 325: 997–1004, 2004). PBK was also indicated to be involved in mitosis as shown by its significant role in highly proliferating spermatocytes (Gaudet S, et al., Proc Natl Acad Sci. 97: 5167–5172, 2000 and Fujibuchi T, et al., Dev Growth Differ. 47:637–44, 2005). In fact, abundant expression of PBK was observed in testis, while almost no PBK expression was detected in other normal organs (Park JH, et al., Cancer Res. 66: 9186-95, 2006). PBK regulates cell cycle progression. In accordance with this, its significant overexpression was detected in clinical breast cancer samples (Park JH, et al., Cancer Res. 66: 9186-95, 2006), Burkitt's lymphoma (Simons-Evelyn M, et al., Blood Cells Mol Dis. 27: 825– 829, 2001) and a variety of hematologic malignancies (Nandi A, et al., Blood Cells Mol Dis. 32: 240-5, 2004). Immunohistochemical analysis of testis revealed the expression of PBK protein around the outer region of seminiferous tubules where repeated mitosis of sperm germ cells followed by meiosis occurs (Fujibuchi T, et al., Dev Growth Differ. 47: 637–44, 2005). Especially, at prophase and metaphase, the subcellular localization of PBK was detected around the condensed chromosome in breast cancer cells (Park JH, et al., Cancer Res. 66: 9186-95, 2006). Moreover the knockdown of PBK expression with gene specific siRNAs caused dysfunction of cytokinesis and subsequently led to apoptosis of the cancer cells (Park JH, et al., Cancer Res. 66: 9186-95, 2006). These indicated the critical function of PBK at mitosis, in testicular and cancer cells. Taken together, PBK-specific inhibitors can be used as a drug applicable for a broad spectrum of cancers. PBK is an excellent target for cancer therapy for the following reasons: i) almost no expression in normal organs (except for testis); ii) frequent overexpression in clinical cancer samples; iii) a serine/threonine kinase related to the essential function for cell mitosis. The present inventors have found that a Tricyclic compound can selectively inhibit the activity of PBK.

Summary of Invention

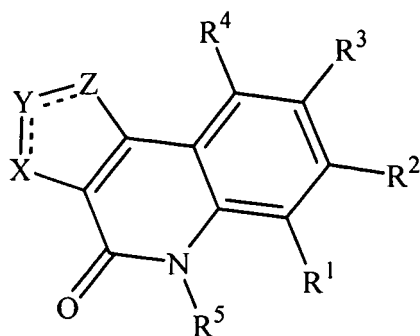
Accordingly, it is an object of the present invention to provide a PBK inhibitor having high inhibitory activity against PBK.

It is another object of the present invention to provide a method for preparing such inhibitor.

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.

In accordance with one aspect of the present invention, there is provided a compound of formula (I), and a pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof:

A compound represented by general formula I:



I

or a pharmaceutically acceptable salt thereof,

wherein R^1 , R^2 , R^3 , and R^4 are each independently a group selected from the group consisting of:

hydrogen,

hydroxyl,

halogen,

cyano,

nitro,

amino,

C_1 - C_6 alkyl,

C_2 - C_6 alkenyl,

C_2 - C_6 alkynyl,

C_3 - C_{10} cycloalkyl,

C_3 - C_{10} cycloalkenyl,

C_1 - C_6 alkoxy,

C_6 - C_{10} aryl,

indanyl,

heteroaryl,

3- to 8-membered heterocycloalkyl,

-OSO₂CH₃,

-OSO₂CF₃, and

-CONH₂,

wherein each of the groups of R^1 to R^4 is optionally substituted with a substituent selected from the group consisting of substituent A below:

substituent A:

hydroxyl;

oxo (=O);

cyano;

halogen;

C_1 - C_6 alkyl (wherein the C_1 - C_6 alkyl is optionally substituted with a substituent selected from the group consisting of substituent B below);

C_3 - C_{10} cycloalkyl [wherein the C_3 - C_{10} cycloalkyl is optionally substituted with cyano, or C_1 - C_6 alkyl substituted with -NR³¹R³² (wherein R³¹ and R³² each independently represent hydrogen or C_1 - C_6 alkyl)];

-NR²¹R²² [wherein R²¹ and R²² each independently represent hydrogen, or C_1 - C_6 alkyl (wherein the C_1 - C_6 alkyl is optionally substituted with di(C_1 - C_6 alkyl)amino, C_1 - C_6 alkylsulfonyl (-SO₂(C_1 - C_6 alkyl)), or 3- to 8-membered heterocycloalkyl)];

C_1 - C_6 alkoxy {wherein the C_1 - C_6 alkoxy is optionally substituted with halogen, 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C_1 - C_6 alkyl), or -NR³³R³⁴ [wherein R³³ and R³⁴ each independently represent

hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl or di(C₁-C₆ alkyl)amino), or C₁-C₆ alkylsulfonyl];

-SO₂NR²³R²⁴ {wherein R²³ and R²⁴ each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, or -NR³⁵R³⁶ (wherein R³⁵ and R³⁶ each independently represent hydrogen or C₁-C₆ alkyl)], C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with C₁-C₆ hydroxyalkyl), or 3- to 8-membered heterocycloalkyl; or R²³ and R²⁴ may together form 3- to 8-membered heterocycloalkyl wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with amino};

C₁-C₆ alkylsulfonyl (wherein the C₁-C₆ alkyl moiety is optionally substituted with hydroxyl);

C₁-C₆ alkylsulfonylamino (-NHSO₂(C₁-C₆ alkyl)) [wherein the C₁-C₆ alkyl moiety is optionally substituted with -NR³⁷R³⁸ (wherein R³⁷ and R³⁸ each independently represent hydrogen or C₁-C₆ alkyl)];

3- to 8-membered heterocycloalkyl {wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with -NR³⁹R⁴⁰ (wherein R³⁹ and R⁴⁰ each independently represent hydrogen, C₁-C₆ alkyl, or C₁-C₆ alkylsulfonyl), C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with -NR⁴¹R⁴² (wherein R⁴¹ and R⁴² each independently represent hydrogen or C₁-C₆ alkyl)], hydroxyl, or C₁-C₆ alkylsulfonyl};

heteroaryl;

-COOR¹¹ (wherein R¹¹ represents hydrogen or C₁-C₆ alkyl); and

-COR¹² [wherein R¹² represents C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, cyanomethyl, -NR²⁵R²⁶ {wherein R²⁵ and R²⁶ each independently represent hydrogen, or C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl or -NR⁴³R⁴⁴ (wherein R⁴³ and R⁴⁴ each independently represent hydrogen or C₁-C₆ alkyl)]}, or 3- to 8-membered heterocycloalkyl which is optionally substituted with C₁-C₆ alkyl],

substituent B:

halogen;

hydroxyl;

cyano;

3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl substituted with C₂-C₇ alkyloxycarbonylamino);

-NR⁵¹R⁵² {wherein R⁵¹ and R⁵² each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl, or 3- to 8-membered heterocycloalkyl optionally substituted with -COOR⁵³ (wherein R⁵³ represents hydrogen or C₁-C₆ alkyl)], 3- to 8-membered heterocycloalkyl, C₁-C₆ alkylsulfonyl, C₃-C₁₀ cycloalkyl, -COR⁵⁵ (wherein R⁵⁵ represents C₁-C₆ alkyl), -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl), or -CONR⁵⁷R⁵⁸ (wherein R⁵⁷ and R⁵⁸ each independently represent hydrogen or C₁-C₆ alkyl)}; and -COOR⁵⁴ (wherein R⁵⁴ represents hydrogen or C₁-C₆ alkyl)];

wherein R⁵ is hydrogen or C₁-C₆ alkyl; and

wherein ---X---Y---Z--- is a structure selected from the group consisting of

(i) -S-CR⁷=CR⁶-,

(ii) -CH₂-CH₂-CH₂-,

(iii) -NH-CH=CCH₃-, and

(iv) -N=CH-S-,

wherein R⁶ is

hydrogen,

hydroxyl,

C₁-C₆ alkyl,
 C₆-C₁₀ aryl (wherein the C₆-C₁₀ aryl is optionally substituted with hydroxyl), or
 3- to 8-membered heterocycloalkyl [wherein the 3- to 8-membered heterocycloalkyl is
 optionally substituted with -NR⁶¹R⁶² (wherein R⁶¹ and R⁶² each independently represent
 hydrogen or C₁-C₆ alkyl)], and

wherein R⁷ is

hydrogen,

C₁-C₆ alkyl {wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, -NR⁷¹R⁷²
 [wherein R⁷¹ and R⁷² each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆
 alkyl is optionally substituted with dimethylamino), C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀
 cycloalkyl is optionally substituted with amino), or 3- to 8-membered heterocycloalkyl], or 3- to
 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally
 substituted with C₁-C₆ aminoalkyl)},

C₆-C₁₀ aryl (wherein the C₆-C₁₀ aryl is optionally substituted with hydroxyl), or
 -COR⁷³ {wherein R⁷³ represents 3- to 8-membered heterocycloalkyl (wherein the 3- to
 8-membered heterocycloalkyl is optionally substituted with amino), or -NR⁷⁴R⁷⁵ [wherein R⁷⁴
 and R⁷⁵ each independently represent hydrogen, 3- to 8-membered heterocycloalkyl, or C₃-C₁₀
 cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with amino)]}.

It must be noted that as used in the specification 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.

Description of Embodiments

In this invention, “alkyl” group refers to a straight chain or a branched chain hydrocarbon group
 which does not contain any hetero atoms or unsaturated carbon-carbon bonds. “C₁-C₆ alkyl”
 refers to an alkyl group which has 1-6 carbon atom(s). “C₁-C₄ alkyl” refers to an alkyl group
 which has 1-4 carbon atom(s).

Examples of “C₁-C₆ alkyl” include, but are not limited to, methyl, ethyl, 1-propyl, 2-propyl,
 2-methyl-1-propyl, 2-methyl-2-propyl (*tert*-butyl(1,1-dimethyl-ethyl), 1-butyl, 2-butyl, 1-pentyl,
 2-pentyl, 3-pentyl, 2-methyl-1-butyl, 3-methyl-1-butyl, 2-methyl-2-butyl, 3-methyl-2-butyl,
 2,2-dimethyl-1-propyl, 1-hexyl, 2-hexyl, 3-hexyl, 2-methyl-1-pentyl, 3-methyl-1-pentyl,
 4-methyl-1-pentyl, 2-methyl-2-pentyl, 3-methyl-2-pentyl, 4-methyl-2-pentyl, 2-methyl-3-pentyl,
 3-methyl-3-pentyl, 2,3-dimethyl-1-butyl, 3,3-dimethyl-1-butyl, 2,2-dimethyl-1-butyl,
 2-ethyl-1-butyl, 3,3-dimethyl-2-butyl, and 2,3-dimethyl-2-butyl.

In this invention, “alkenyl” group refers to a straight chain or a branched chain hydrocarbon
 group which contains one or more than one unsaturated carbon-carbon bond(s) and does not
 contain any hetero atoms. “C₂-C₆ alkenyl” refers to an alkenyl group which has 2-6 carbon
 atoms.

Examples of “C₂-C₆ alkenyl” include, but are not limited to, vinyl(ethenyl), 1-propenyl,
 2-propenyl, 3-propenyl, 2-methyl-prop-1-en-1-yl (2-methyl-1-propenyl),
 2-methyl-prop-1-en-3-yl (2-methyl-2-propenyl), but-1-en-1-yl, but-1-en-2-yl, but-1-en-3-yl,
 but-2-en-1-yl, but-2-en-2-yl, pent-1-en-1-yl, pent-1-en-2-yl, pent-1-en-3-yl, pent-1-en-4-yl,
 pent-1-en-5-yl, pent-2-en-1-yl, pent-2-en-2-yl, pent-2-en-3-yl (1-ethyl-1-propenyl),
 pent-2-en-4-yl, pent-2-en-5-yl, 2-methyl-but-1-en-1-yl, 2-methyl-but-1-en-2-yl,
 2-methyl-but-1-en-3-yl, 2-methyl-but-1-en-4-yl, 2-methyl-but-2-en-1-yl, 2-methyl-but-2-en-3-yl,
 2-methyl-but-2-en-4-yl, 3-methyl-but-1-en-1-yl, 3-methyl-but-1-en-2-yl, 3-methyl-but-1-en-3-yl,
 3-methyl-but-1-en-4-yl, 2,2-dimethyl-prop-1-en-1-yl, 2,2-dimethyl-prop-1-en-2-yl,
 hex-1-en-1-yl, hex-1-en-2-yl, hex-1-en-3-yl, hex-1-en-4-yl, hex-1-en-5-yl, hex-1-en-6-yl,
 hex-2-en-1-yl, hex-2-en-2-yl, hex-2-en-3-yl, hex-2-en-4-yl, hex-2-en-5-yl, hex-2-en-6-yl,

hex-3-en-1-yl, hex-3-en-2-yl, hex-3-en-3-yl, 2-methyl-pent-1-en-1-yl, 2-methyl-pent-1-en-3-yl, 2-methyl-pent-1-en-4-yl, 2-methyl-pent-1-en-5-yl, 2-methyl-pent-2-en-1-yl, 2-methyl-pent-2-en-3-yl, 2-methyl-pent-2-en-4-yl, 2-methyl-pent-2-en-5-yl, 3-methyl-pent-1-en-1-yl, 3-methyl-pent-1-en-2-yl, 3-methyl-pent-1-en-3-yl, 3-methyl-pent-1-en-4-yl, 3-methyl-pent-1-en-5-yl, 3-methyl-pent-2-en-1-yl, 3-methyl-pent-2-en-2-yl, 3-methyl-pent-2-en-4-yl, 3-methyl-pent-2-en-5-yl, 4-methyl-pent-1-en-1-yl, 4-methyl-pent-1-en-2-yl, 4-methyl-pent-1-en-3-yl, 4-methyl-pent-1-en-4-yl, 4-methyl-pent-1-en-5-yl, 4-methyl-pent-2-en-1-yl, 4-methyl-pent-2-en-2-yl, 4-methyl-pent-2-en-3-yl, 4-methyl-pent-2-en-4-yl, 4-methyl-pent-2-en-5-yl, 2,3-dimethyl-but-1-en-1-yl, 2,3-dimethyl-but-1-en-3-yl, 2,3-dimethyl-but-1-en-4-yl, 2,3-dimethyl-but-2-en-1-yl, 3,3-dimethyl-but-1-en-1-yl, 3,3-dimethyl-but-1-en-2-yl, 3,3-dimethyl-but-1-en-4-yl, 2-ethyl-but-1-en-1-yl, 2-ethyl-but-1-en-3-yl, 2-ethyl-but-1-en-4-yl, 3-ethyl-but-1-en-1-yl, 3-ethyl-but-1-en-2-yl, 3-ethyl-but-1-en-3-yl, 3-ethyl-but-1-en-4-yl, 2-ethyl-but-2-en-1-yl, 2-ethyl-but-2-en-3-yl and 2-ethyl-but-2-en-4-yl.

In this invention, “alkynyl” group refers to a straight chain or a branched chain hydrocarbon group which contains at least one triple carbon-carbon bond and does not contain any hetero atoms. “C₂-C₆ alkynyl” refers to an alkynyl group which has 2-6 carbon atoms.

Examples of “C₂-C₆ alkynyl” include, but are not limited to, ethynyl, 1-propynyl, 2-propynyl, 3-propynyl, 2-methyl-prop-1-in-1-yl, 2-methyl-prop-1-in-3-yl, but-1-in-1-yl, but-1-in-2-yl, but-1-in-3-yl, but-2-in-1-yl, but-2-in-2-yl, pent-1-in-1-yl, pent-1-in-2-yl, pent-1-in-3-yl, pent-1-in-4-yl, pent-1-in-5-yl, pent-2-in-1-yl, pent-2-in-2-yl, pent-2-in-3-yl, pent-2-in-4-yl, pent-2-in-5-yl, 2-methyl-but-1-in-1-yl, 2-methyl-but-1-in-2-yl, 2-methyl-but-1-in-3-yl, 2-methyl-but-1-in-4-yl, 2-methyl-but-2-in-1-yl, 2-methyl-but-2-in-3-yl, 2-methyl-but-2-in-4-yl, 3-methyl-but-1-in-1-yl, 3-methyl-but-1-in-2-yl, 3-methyl-but-1-in-3-yl, 3-methyl-but-1-in-4-yl, 2,2-dimethyl-prop-1-in-1-yl, 2,2-dimethyl-prop-1-in-2-yl, hex-1-in-1-yl, hex-1-in-2-yl, hex-1-in-3-yl, hex-1-in-4-yl, hex-1-in-5-yl, hex-1-in-6-yl, hex-2-in-1-yl, hex-2-in-2-yl, hex-2-in-3-yl, hex-2-in-4-yl, hex-2-in-5-yl, hex-2-in-6-yl, hex-3-in-1-yl, hex-3-in-2-yl, hex-3-in-3-yl, 2-methyl-pent-1-in-1-yl, 2-methyl-pent-1-in-3-yl, 2-methyl-pent-1-in-4-yl, 2-methyl-pent-1-in-5-yl, 2-methyl-pent-2-in-1-yl, 2-methyl-pent-2-in-3-yl, 2-methyl-pent-2-in-4-yl, 2-methyl-pent-2-in-5-yl, 3-methyl-pent-1-in-1-yl, 3-methyl-pent-1-in-2-yl, 3-methyl-pent-1-in-3-yl, 3-methyl-pent-1-in-4-yl, 3-methyl-pent-1-in-5-yl, 3-methyl-pent-2-in-1-yl, 3-methyl-pent-2-in-2-yl, 3-methyl-pent-2-in-4-yl, 3-methyl-pent-2-in-5-yl, 4-methyl-pent-1-in-1-yl, 4-methyl-pent-1-in-2-yl, 4-methyl-pent-1-in-3-yl, 4-methyl-pent-1-in-4-yl, 4-methyl-pent-1-in-5-yl, 4-methyl-pent-2-in-1-yl, 4-methyl-pent-2-in-2-yl, 4-methyl-pent-2-in-3-yl, 4-methyl-pent-2-in-4-yl, 4-methyl-pent-2-in-5-yl, 2,3-dimethyl-but-1-in-1-yl, 2,3-dimethyl-but-1-in-3-yl, 2,3-dimethyl-but-1-in-4-yl, 2,3-dimethyl-but-2-in-1-yl, 3,3-dimethyl-but-1-in-1-yl, 3,3-dimethyl-but-1-in-2-yl, 3,3-dimethyl-but-1-in-4-yl, 2-ethyl-but-1-in-1-yl, 2-ethyl-but-1-in-3-yl, 2-ethyl-but-1-in-4-yl, 3-ethyl-but-1-in-1-yl, 3-ethyl-but-1-in-2-yl, 3-ethyl-but-1-in-3-yl, 3-ethyl-but-1-in-4-yl, 2-ethyl-but-2-in-1-yl, 2-ethyl-but-2-in-3-yl and 2-ethyl-but-2-in-4-yl.

In the present invention, “alkoxy” group refers to a group represented by -OR, wherein R is alkyl.

“C₁-C₆ alkoxy” group refers to an alkoxy group which has 1-6 carbon atom(s). “C₁-C₄ alkoxy” refers to an alkoxy group which has 1-4 carbon atom(s).

Examples of “C₁-C₆ alkoxy” include, but are not limited to, methoxy, ethoxy, 1-propyloxy, 2-propyloxy, 2-methyl-1-propyloxy, 2-methyl-2-propyloxy, and 1-butyloxy, and 2-butyloxy.

In the present invention, “cycloalkyl” group refers to a saturated carbon ring system. “C₃-C₁₀ cycloalkyl” group refers to 3-10 membered cycloalkyl.

Examples of “C₃-C₁₀ cycloalkyl” include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptanyl, cyclooctanyl, and adamantyl. For example, 3-8 membered cycloalkyl is also included in “C₃-C₁₀ cycloalkyl”.

In the present invention, “C₃-C₁₀cycloalkenyl” group refers to a cyclic unsaturated aliphatic hydrocarbon group of 3 to 10 carbon atoms with at least one double bond (two adjacent *SP*² carbon atoms).

Specific examples of “C₃-C₁₀cycloalkenyl” include cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl.

In the present invention, “C₆-C₁₀aryl” refers to an aromatic cyclic hydrocarbon group of 6 to 10 carbon atoms.

Specific examples of “C₆-C₁₀aryl” include phenyl, 1-naphthyl, and 2-naphthyl.

In the present invention, “halogen” refers to a fluorine atom, a chlorine atom, a bromine atom, or an iodine atom.

As used herein, “hetero atom” refers to a sulfur atom, an oxygen atom, or a nitrogen atom.

In this invention, “amino” refers to a group represented by -NH₂ whose hydrogens may each be optionally substituted by a substituent.

In the present invention, “C₁-C₆ alkylamino” refers to an amino group bound to the C₁-C₆ alkyl.

Examples of “C₁-C₆ alkylamino” include, but are not limited to, methylamino, ethylamino, propylamino, isopropylamino, n-butylamino, s-butylamino, *t*-butylamino, and 2-ethylbutylamino.

In the present invention, “di(C₁-C₆alkyl)amino” refers to an amino group bound to two “C₁-C₆alkyls” defined above.

Specific examples of “di(C₁-C₆alkyl)amino” include dimethylamino, diethylamino, dipropylamino, diisopropylamino, di-*n*-butylamino, di-*s*-butylamino, di-*t*-butylamino, and di-2-ethylbutylamino.

In the present invention, “C₂-C₇alkyloxycarbonylamino” refers to a group represented by (C₁-C₆alkyl)-O-C=O-NH-, or a group in which the “C₁-C₆alkyl” defined above is bound to -OCONH-.

In the present invention, “C₁-C₆aminoalkyl” refers to a group in which an amino group is bound to the “C₁-C₆alkyl” defined above.

In the present invention, “C₁-C₆hydroxyalkyl” refers to a group in which one or more hydroxy groups are bound to the “C₁-C₆alkyl” defined above.

In this invention, “sulfonyl” is a group represented by -SO₂-.

In this invention, “C₁-C₆ alkylsulfonyl” refers to R-SO₂- wherein R is the C₁-C₆ alkyl. “C₁-C₄ alkylsulfonyl” refers to R-SO₂- wherein R is C₁-C₄ alkyl.

Examples of “C₁-C₆ alkylsulfonyl” include, but are not limited to, methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, n-butylsulfonyl, s-butylsulfonyl, *t*-butylsulfonyl, and 2-ethylbutylsulfonyl.

In this invention, “C₆-C₁₀ arylsulfonyl” refers to R-SO₂- wherein R is the C₆-C₁₀ aryl.

Examples of “C₆-C₁₀ arylsulfonyl” include, but are not limited to, phenylsulfonyl.

In the present invention, “C₁-C₆alkylsulfonylamino” refers to R-SO₂-NH- wherein R is “C₁-C₆ alkyl”. “C₁-C₄ alkylsulfonylamino” refers to R-SO₂-NH- wherein R is R-SO₂-NH- wherein R is “C₁-C₄ alkyl”.

Examples of “C₁-C₆ alkylsulfonylamino” include, but are not limited to, methylsulfonylamino, ethylsulfonylamino, propylsulfonylamino, isopropylsulfonylamino, n-butylsulfonylamino, s-butylsulfonylamino, *t*-butylsulfonylamino, and 2-ethylbutylsulfonylamino.

In this invention, “sulfinyl” is a group represented by -SO-.

In this invention, “C₁-C₆ alkylsulfinyl” refers to R-SO- wherein R is the C₁-C₆ alkyl. “C₁-C₄ alkylsulfinyl” refers to R-SO- wherein R is C₁-C₄ alkyl.

Examples of “C₁-C₆ alkylsulfinyl” include, but are not limited to, methylsulfinyl, ethylsulfinyl, propylsulfinyl, isopropylsulfinyl, n-butylsulfinyl, s-butylsulfinyl, *t*-butylsulfinyl, and 2-ethylbutylsulfinyl.

In the present invention, “heteroaryl” refers to a monocyclic or fused aromatic heterocyclic group that includes at least one hetero atom selected from O, S, and N. When the aromatic heterocyclic group is a fused ring, those including a partially hydrogenated ring are also included in “heteroaryl”.

Examples of such heteroaryls include pyrazolyl, thiazolyl, isothiazolyl, thiadiazolyl, imidazolyl, furyl, thienyl, oxazolyl, isooxazolyl, pyrrolyl, imidazolyl, (1,2,3)- and (1,2,4)-triazolyl, tetrazolyl, pyranlyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, quinolyl, isoquinolyl, tetrahydroisoquinolyl, benzofuranyl, isobenzofuranyl, indolynyl, indolyl, isoindolyl, indazolyl, benzoimidazolyl, benzotriazolyl, benzooxazolyl, benzothiazolyl, benzo[*b*]thiophenyl, (1,2)- and (1,3)-benzooxathiol, chromenyl, 2-oxochromenyl, benzothiadiazolyl, quinoliziny, phthalazinyl, naphthyridinyl, quinoxaliny, quinazoliny, cinnoliny, carbazolyl, tetrahydroisoquinolyl, tetrazolyl, [1,2,4]triazolo[1.5-*a*]pyridyl, 1*H*-pyrrolo[2,3-*b*]pyridyl, and 2,3-dihydrobenzooxazolyl.

Preferable examples include pyrazolyl, furyl, thienyl, pyridyl, pyrimidinyl, tetrahydroisoquinolyl, indolynyl, indazolyl, benzoimidazolyl, benzooxazolyl, tetrahydroisoquinolyl, tetrazolyl, [1,2,4]triazolo[1.5-*a*]pyridyl, 1*H*-pyrrolo[2,3-*b*]pyridyl, and 2,3-dihydrobenzooxazolyl.

In the present invention, “3- to 8-membered heterocycloalkyl” refers to a non-aromatic monovalent 3- to 8-membered ring that includes 1 to 3 hetero atoms in the atoms forming the ring, and that may have a double bond within the ring.

Examples of “3- to 8-membered heterocycloalkyl” include aziridinyl, azetidiny, pyrrolidinyl, imidazolidinyl, piperidyl, piperazinyl, azepanyl, morpholiny, oxetanyl, and 1,2,5,6-tetrahydropyridyl.

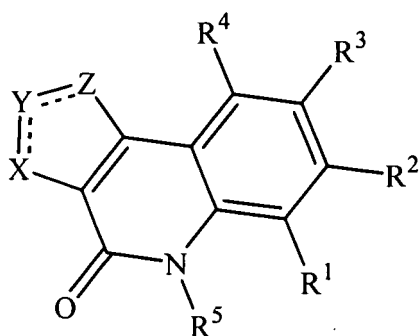
A salt is defined as the product formed from the neutralisation reaction of acids and bases.

Salts are ionic compounds composed of cations (positively charged ions) and anions (negative ions) so that the product is electrically neutral. These component ions can be inorganic as well as organic.

Hydrate is a term used in inorganic chemistry and organic chemistry to indicate that a substance contains water. Solvate refers to a molecule in a solution complexed by solvent molecules.

Isomers are compounds with the same molecular formula but different structural formulae. More specifically, isomer includes geometric isomer, optical isomer, stereoisomer, tautomer of the compound, and mixtures thereof.

In a preferred embodiment, the present invention provides [1] a compound represented by formula (I) or a pharmaceutically acceptable salt thereof:



I

or a pharmaceutically acceptable salt thereof,

wherein R^1 , R^2 , R^3 , and R^4 are each independently a group selected from the group consisting of:

hydrogen,

hydroxyl,

halogen,

cyano,

nitro,

amino,

C_1 - C_6 alkyl,

C_2 - C_6 alkenyl,

C_2 - C_6 alkynyl,

C_3 - C_{10} cycloalkyl,

C_3 - C_{10} cycloalkenyl,

C_1 - C_6 alkoxy,

C_6 - C_{10} aryl,

indanyl,

heteroaryl,

3- to 8-membered heterocycloalkyl,

$-\text{OSO}_2\text{CH}_3$,

$-\text{OSO}_2\text{CF}_3$,

$-\text{CONH}_2$,

$-\text{OCONR}^{101}\text{R}^{102}$, wherein R^{101} and R^{102} each independently is hydrogen, C_1 - C_6 alkyl, or R^{101} and R^{102} taken together form morpholinyl,

$-\text{OCOR}^{103}$, wherein R^{103} represents C_1 - C_6 alkyl, and

$-\text{OCOOR}^{104}$, wherein R^{104} represents C_1 - C_6 alkyl,

wherein R^1 , R^2 , R^3 , and R^4 are optionally substituted with a substituent independently selected from the group consisting of substituent A;

wherein substituent A is independently selected from the group consisting of:

hydroxyl;

oxo ($=\text{O}$);

cyano;

halogen;

C_1 - C_6 alkyl optionally substituted with substituent B;

C_3 - C_{10} cycloalkyl optionally substituted with cyano or C_1 - C_6 alkyl substituted with

$-\text{NR}^{31}\text{R}^{32}$, wherein R^{31} and R^{32} each independently represent hydrogen or C_1 - C_6 alkyl;

$-\text{NR}^{21}\text{R}^{22}$, wherein R^{21} and R^{22} each independently represent hydrogen; C_1 - C_6 alkyl optionally substituted with hydroxyl, amino, di(C_1 - C_6 alkyl)amino, $-\text{SO}_2$ (C_1 - C_6 alkyl), 3- to

8-membered heterocycloalkyl, or cyano; or a 3- to 8-membered heterocycloalkyl optionally substituted with $-\text{COOR}^{105}$ wherein R^{105} represents $\text{C}_1\text{-C}_6$ alkyl;

$\text{C}_1\text{-C}_6$ alkoxy optionally substituted with halogen, 3- to 8-membered heterocycloalkyl optionally substituted with $\text{C}_1\text{-C}_6$ alkyl, or $-\text{NR}^{33}\text{R}^{34}$ wherein R^{33} and R^{34} each independently represent hydrogen, $\text{C}_1\text{-C}_6$ alkylsulfonyl, or $\text{C}_1\text{-C}_6$ alkyl optionally substituted with $\text{C}_1\text{-C}_6$ alkylsulfonyl or $\text{di}(\text{C}_1\text{-C}_6 \text{ alkyl})\text{amino}$;

$-\text{SO}_2\text{NR}^{23}\text{R}^{24}$, wherein R^{23} and R^{24} each independently represent hydrogen; $\text{C}_1\text{-C}_6$ alkyl optionally substituted with hydroxyl, $\text{C}_1\text{-C}_6$ alkoxy, halogen, $\text{C}_3\text{-C}_{10}$ cycloalkyl, heteroaryl, or $-\text{NR}^{35}\text{R}^{36}$ wherein R^{35} and R^{36} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl; $\text{C}_3\text{-C}_{10}$ cycloalkyl optionally substituted with $\text{C}_1\text{-C}_6$ hydroxyalkyl; 3- to 8-membered heterocycloalkyl; or R^{23} and R^{24} taken together form 3- to 8-membered heterocycloalkyl optionally substituted with amino or halogen;

$\text{C}_1\text{-C}_6$ alkylsulfonyl optionally substituted with hydroxyl;

$-\text{NHSO}_2(\text{C}_1\text{-C}_6 \text{ alkyl})$, wherein the carbon atoms are optionally substituted with $-\text{NR}^{37}\text{R}^{38}$ wherein R^{37} and R^{38} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

3- to 8-membered heterocycloalkyl optionally substituted with $-\text{NR}^{39}\text{R}^{40}$, wherein R^{39} and R^{40} each independently represent hydrogen, $\text{C}_1\text{-C}_6$ alkyl, or $\text{C}_1\text{-C}_6$ alkylsulfonyl; $\text{C}_1\text{-C}_6$ alkyl optionally substituted with $-\text{NR}^{41}\text{R}^{42}$, wherein R^{41} and R^{42} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl; hydroxyl; or $\text{C}_1\text{-C}_6$ alkylsulfonyl;

aryl optionally substituted with $\text{C}_1\text{-C}_6$ alkyl optionally substituted with cyano or amino; heteroaryl;

$-\text{COOR}^{11}$, wherein R^{11} represents hydrogen or $\text{C}_1\text{-C}_6$ alkyl; and

$-\text{COR}^{12}$, wherein R^{12} represents $\text{C}_1\text{-C}_6$ alkyl; $\text{C}_3\text{-C}_{10}$ cycloalkyl; cyanomethyl; aminomethyl; $-\text{NR}^{25}\text{R}^{26}$ wherein R^{25} and R^{26} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl optionally substituted with hydroxyl or $-\text{NR}^{43}\text{R}^{44}$, wherein R^{43} and R^{44} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl; or 3- to 8-membered heterocycloalkyl optionally substituted with $\text{C}_1\text{-C}_6$ alkyl;

wherein substituent B is independently selected from the group consisting of:

halogen;

hydroxyl;

$\text{C}_1\text{-C}_6$ alkoxy;

cyano;

cycloalkyl;

$\text{C}_6\text{-C}_{10}$ aryl optionally substituted with cyano;

heteroaryl;

3- to 8-membered heterocycloalkyl optionally substituted with $\text{C}_1\text{-C}_6$ alkyl, hydroxyl, amino, $\text{C}_1\text{-C}_6$ aminoalkyl, or $\text{C}_1\text{-C}_6$ alkyl substituted with $\text{C}_2\text{-C}_7$ alkyloxycarbonylamino;

$-\text{NR}^{51}\text{R}^{52}$, wherein R^{51} and R^{52} each independently represent hydrogen; $\text{C}_1\text{-C}_6$ alkyl optionally substituted with $\text{C}_1\text{-C}_6$ alkylsulfonyl or 3- to 8-membered heterocycloalkyl optionally substituted with $-\text{COOR}^{53}$ wherein R^{53} represents hydrogen or $\text{C}_1\text{-C}_6$ alkyl; 3- to 8-membered heterocycloalkyl; $\text{C}_1\text{-C}_6$ alkylsulfonyl; $\text{C}_3\text{-C}_{10}$ cycloalkyl; $-\text{COR}^{55}$ wherein R^{55} represents $\text{C}_1\text{-C}_6$ alkyl; $-\text{COOR}^{56}$ wherein R^{56} represents $\text{C}_1\text{-C}_6$ alkyl; or $-\text{CONR}^{57}\text{R}^{58}$ wherein R^{57} and R^{58} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

$-\text{COOR}^{54}$, wherein R^{54} represents hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

$-\text{CONH}_2$;

$-\text{SO}_2\text{NR}^{106}\text{R}^{107}$, wherein R^{106} and R^{107} each independently represent hydrogen, $\text{C}_1\text{-C}_6$ alkyl, or $\text{C}_3\text{-C}_{10}$ cycloalkyl;

$\text{C}_1\text{-C}_6$ alkylsulfinyl; and

$\text{C}_1\text{-C}_6$ alkylsulfonyl;

wherein R^5 is hydrogen or $\text{C}_1\text{-C}_6$ alkyl; and

wherein ---X---Y---Z--- is a structure selected from the group consisting of

- (i) $\text{-S-CR}^7\text{=CR}^6\text{-}$,
- (ii) $\text{-CH}_2\text{-CH}_2\text{-CH}_2\text{-}$,
- (iii) $\text{-NR}^{108}\text{-CH=CR}^{109}\text{-}$, wherein R^{108} represents hydrogen, or $\text{C}_1\text{-C}_6$ alkyl optionally substituted with hydroxyl, and R^{109} represents hydrogen, CH_3 , or phenyl substituted with $\text{C}_1\text{-C}_6$ aminoalkyl, and
- (iv) -N=CH-S- ,

wherein R^6 is selected from the group consisting of:

- hydrogen,
- hydroxyl,
- $\text{C}_1\text{-C}_6$ alkyl,
- $\text{C}_6\text{-C}_{10}$ aryl optionally substituted with hydroxyl, and
- 3- to 8-membered heterocycloalkyl optionally substituted with $\text{-NR}^{61}\text{R}^{62}$, wherein R^{61} and R^{62} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

wherein R^7 is selected from the group consisting of:

- hydrogen;
- halogen;
- $\text{C}_1\text{-C}_6$ alkyl optionally substituted with hydroxyl, $\text{-NR}^{71}\text{R}^{72}$ wherein R^{71} and R^{72} each independently represent hydrogen; $\text{C}_1\text{-C}_6$ alkyl optionally substituted with dimethylamino;
- $\text{C}_3\text{-C}_{10}$ cycloalkyl optionally substituted with amino or 3- to 8-membered heterocycloalkyl;
- or 3- to 8-membered heterocycloalkyl optionally substituted with $\text{C}_1\text{-C}_6$ aminoalkyl;
- $\text{C}_6\text{-C}_{10}$ aryl optionally substituted with hydroxyl;
- $\text{C}_6\text{-C}_{10}$ arylsulfonyl; and
- -COR^{73} , wherein R^{73} represents 3- to 8-membered heterocycloalkyl optionally substituted with amino; or $\text{-NR}^{74}\text{R}^{75}$ wherein R^{74} and R^{75} each independently represent hydrogen, 3- to 8-membered heterocycloalkyl, or $\text{C}_3\text{-C}_{10}$ cycloalkyl optionally substituted with amino.

[2] The compound of [1], or a pharmaceutically acceptable salt thereof, wherein

---X---Y---Z--- is $\text{-S-CR}^7\text{=CR}^6\text{-}$.

[3] The compound of [2], or a pharmaceutically acceptable salt thereof, wherein R^1 is hydrogen, cyano, $\text{C}_1\text{-C}_6$ alkyl optionally substituted with hydroxyl or halogen, $\text{C}_3\text{-C}_{10}$ cycloalkyl, $\text{C}_2\text{-C}_6$ alkenyl, $\text{C}_2\text{-C}_6$ alkynyl, or halogen.

[4] The compound of [2], or a pharmaceutically acceptable salt thereof, wherein R^2 is hydrogen, hydroxyl, halogen, $\text{C}_1\text{-C}_6$ alkoxy, or $\text{C}_6\text{-C}_{10}$ aryl optionally substituted with hydroxyl.

[5] The compound of [2] or a pharmaceutically acceptable salt, wherein R^2 is hydrogen, hydroxyl, halogen, $\text{C}_1\text{-C}_6$ alkoxy, or dihydroxyphenyl.

[6] The compound of [2], or a pharmaceutically acceptable salt thereof, wherein R^3 is selected from the group consisting of: hydrogen; hydroxyl; $\text{C}_1\text{-C}_6$ alkyl optionally substituted with hydroxyl, halogen, or hydroxyethylamino; halogen; $\text{C}_1\text{-C}_6$ alkoxy optionally substituted with dimethylamino or morpholinyl; $\text{C}_1\text{-C}_6$ alkylphenyl, wherein the aliphatic carbons are optionally substituted with $\text{-NR}^{51}\text{R}^{52}$; cyano; nitro; amino; 3- to 8-membered heterocycloalkyl optionally substituted with amino; heteroaryl; $\text{-OSO}_2\text{CH}_3$; $\text{-OSO}_2\text{CF}_3$; -OCOR^{103} , wherein R^{103} represents $\text{C}_1\text{-C}_6$ alkyl; -OCOOR^{104} wherein R^{104} represents $\text{C}_1\text{-C}_6$ alkyl; $\text{-OCONR}^{101}\text{R}^{102}$ wherein R^{101} and R^{102} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl, or R^{101} and R^{102} taken together form morpholinyl; and -CONH_2 .

[7] The compound of [6], or a pharmaceutically acceptable salt thereof, wherein when R^3 is a 3- to 8-membered heterocycloalkyl, the 3- to 8-membered heterocycloalkyl is selected from the group consisting of piperidyl, pyrrolidinyl, morpholinyl, or piperazinyl and optionally substituted with amino; and when R^3 is heteroaryl, the heteroaryl is pyridyl.

[8]. The compound of [2], or a pharmaceutically acceptable salt thereof, wherein R^4 is selected from the group consisting of hydrogen, hydroxyl, halogen, amino, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkenyl, C_1 - C_6 alkoxy, C_6 - C_{10} aryl, indanyl, heteroaryl, and 3- to 8-membered heterocycloalkyl, and R^4 is optionally substituted with substituent A.

[9] The compound of [8], or a pharmaceutically acceptable salt thereof, wherein when R^4 is heteroaryl, the heteroaryl is selected from the group consisting of pyridyl, 1*H*-indazolyl, 1*H*-tetrazolyl, [1,2,4]triazolo[1,5-*a*]pyridyl, benzoimidazolyl, 2,3-dihydrobenzooxazolyl, pyrazolyl, pyrrolo[2,3-*b*]pyridyl, pyrimidinyl, indolinyl, furyl, thienyl, and tetrahydroisoquinolyl); and wherein the 3- to 8-membered heterocycloalkyl is selected from the group consisting of aziridinyl, azetidiny, pyrrolidinyl, imidazolidinyl, piperidyl, piperazinyl, azepanyl, morpholinyl, and 1,2,3,6-tetrahydropyridyl; wherein each of the groups of R^4 is optionally substituted with substituent A-1;

wherein substituent A-1 is selected from the group consisting of:

hydroxyl;

oxo;

cyano;

halogen;

C_1 - C_6 alkyl optionally substituted with a substituent selected from the group consisting of substituent B-1;

C_3 - C_{10} cycloalkyl optionally substituted with cyano, or C_1 - C_6 alkyl substituted with $-NR^{31}R^{32}$,

$-NR^{21A}R^{22A}$, wherein R^{21A} and R^{22A} each independently represent hydrogen; C_1 - C_6 alkyl optionally substituted with amino, di (C_1 - C_6 alkyl) amino, $-SO_2$ (C_1 - C_6 alkyl), piperidyl, or cyano; or piperidyl optionally substituted with $-COOR^{105}$;

C_1 - C_6 alkoxy optionally substituted with halogen; a 3- to 8-membered heterocycloalkyl selected from piperidyl and piperazinyl, either of which is optionally substituted with C_1 - C_6 alkyl; or $-NR^{33}R^{34}$,

$-SO_2NR^{23A}R^{24A}$, wherein R^{23A} and R^{24A} each independently represent hydrogen, C_1 - C_6 alkyl optionally substituted with hydroxyl, C_1 - C_6 alkoxy, halogen, C_3 - C_{10} cycloalkyl, pyrazolyl, imidazolyl, or $-NR^{35}R^{36}$; C_3 - C_{10} cycloalkyl optionally substituted with C_1 - C_6 hydroxyalkyl; azetidiny; pyrrolidinyl, or R^{23A} and R^{24A} taken together form pyrrolidinyl optionally substituted with amino or halogen;

C_1 - C_6 alkylsulfonyl optionally substituted with hydroxyl;

$-NHSO_2(C_1-C_6 \text{ alkyl})$, wherein the carbon atoms are optionally substituted with $-NR^{37}R^{38}$;

3- to 8-membered heterocycloalkyl selected from the group consisting of azetidiny, pyrrolidinyl, piperidyl, piperazinyl, and tetrahydropyridyl any of which is optionally substituted with $-NR^{39}R^{40}$; C_1 - C_6 alkyl optionally substituted with $-NR^{41}R^{42}$; hydroxyl; or C_1 - C_6 alkylsulfonyl;

1*H*-tetrazolyl;

aryl optionally substituted with C_1 - C_6 alkyl, wherein C_1 - C_6 is the aliphatic carbons are optionally substituted with cyano or amino;

$-COOR^{11}$; and

$-COR^{12A}$, wherein R^{12A} represents piperazinyl optionally substituted with C_1 - C_6 alkyl; C_3 - C_{10} cycloalkyl; cyanomethyl; aminomethyl; $-NR^{25}R^{26}$ wherein R^{25} and R^{26} each

independently represent hydrogen or C₁-C₆ alkyl optionally substituted with hydroxyl or -NR⁴³R⁴⁴; or C₁-C₆ alkylsulfonyl;

wherein substituent B-1 is selected from the group consisting of:

halogen;

hydroxyl;

C₁-C₆ alkoxy;

cyano;

cycloalkyl;

phenyl optionally substituted with cyano;

heteroaryl selected from the group consisting of imidazolyl, pyrazolyl, and thiazolyl;

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, and oxetanyl any of which are optionally substituted with hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl optionally substituted with C₂-C₇ alkyloxycarbonylamino;

-NR^{51A}R^{52A}, wherein R^{51A} and R^{52A} each independently represent hydrogen; C₁-C₆ alkyl optionally substituted with C₁-C₆ alkylsulfonyl or piperidyl optionally substituted with -COOR⁵³; piperidyl; C₁-C₆ alkylsulfonyl; C₃-C₁₀ cycloalkyl; -COR⁵⁵, -COOR⁵⁶, or -CONR⁵⁷R⁵⁸;

-COOR⁵⁴;

-CONH₂;

-SO₂NR¹⁰⁶R¹⁰⁷;

C₁-C₆ alkylsulfinyl; and

C₁-C₆ alkylsulfonyl.

[10] The compound of [9], or a pharmaceutically acceptable salt thereof, wherein R⁴ is a group selected from group (p):

wherein group (p) is independently selected from the group consisting of:

hydrogen,

hydroxyl,

halogen,

amino optionally substituted with a substituent selected from the group consisting of substituent (g),

C₁-C₆ alkyl optionally substituted with a substituent selected from the group consisting of substituent (a),

C₂-C₆ alkenyl optionally substituted with a substituent selected from the group consisting of substituent (b),

C₃-C₁₀ cycloalkyl,

C₃-C₁₀ cycloalkenyl,

C₁-C₆ alkoxy,

C₆-C₁₀ aryl optionally substituted with a substituent selected from the group consisting of substituent (c),

indanyl optionally substituted with a substituent selected from the group consisting of substituent (d),

heteroaryl selected from the group consisting of pyridyl, 1*H*-indazolyl, 1*H*-tetrazolyl, [1,2,4]triazolo[1,5-*a*]pyridyl, benzoimidazolyl, 2,3-dihydrobenzoxazolyl, pyrazolyl, pyrrolo[2,3-*b*]pyridyl, pyrimidinyl, indolyl, furyl, thienyl, and tetrahydroisoquinolyl any of which is optionally substituted with a substituent selected from the group consisting of substituent (e); and

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, and 1,2,3,6-tetrahydropyridyl any of which is optionally substituted with a substituent selected from the group consisting of substituent (f);

wherein substituent (a) is selected from the group consisting of:

-NR^{21A}R^{22A}, wherein R^{21A} and R^{22A} each independently represent hydrogen; C₁-C₆ alkyl optionally substituted with piperidyl; or piperidyl optionally substituted with -COOR¹⁰⁵;

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl and piperidyl either of which is optionally substituted with C₁-C₆ alkyl optionally substituted with -NR⁴¹R⁴² or -NR³⁹R⁴⁰ wherein R³⁹ and R⁴⁰ each independently represent hydrogen or C₁-C₆ alkyl; and
-NHSO₂(C₁-C₆ alkyl);

wherein substituent (b) is selected from the group consisting of:

-COOR¹¹;
-NR^{21a}R^{22a}, wherein R^{21a} and R^{22a} each independently represent hydrogen, or C₁-C₆ alkyl optionally substituted with di(C₁-C₆ alkyl)amino or C₁-C₆ alkylsulfonyl;
3- to 8-membered heterocycloalkyl selected from the group consisting of azetidiny, pyrrolidinyl, and piperidyl any of which are optionally substituted with -NR³⁹R⁴⁰, C₁-C₆ alkyl optionally substituted with -NR⁴¹R⁴², hydroxyl, or C₁-C₆ alkylsulfonyl; cyano; and
C₁-C₆ alkoxy;

wherein substituent (c) is selected from the group consisting of:

hydroxyl;
cyano;
halogen;
C₁-C₆ alkyl optionally substituted with a substituent selected from the group consisting of substituent B-c below;
C₃-C₁₀ cycloalkyl optionally substituted with cyano, or C₁-C₆ alkyl substituted with -NR³¹R³²;
-NR^{21c}R^{22c}, wherein R^{21c} and R^{22c} each independently represent hydrogen or C₁-C₆ alkyl optionally substituted with amino or cyano;
C₁-C₆ alkoxy optionally substituted with halogen, 3- to 8-membered heterocycloalkyl selected from the group consisting of piperidyl and piperazinyl either of which are optionally substituted with C₁-C₆ alkyl, or -NR³³R³⁴;
-SO₂NR^{23c}R^{24c}, wherein R^{23c} and R^{24c} each independently represent hydrogen, C₁-C₆ alkyl optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, pyrazolyl, imidazolyl, or -NR³⁵R³⁶; C₃-C₁₀ cycloalkyl optionally substituted with C₁-C₆ hydroxyalkyl; azetidiny, pyrrolidinyl, or wherein R^{23c} and R^{24c} taken together form pyrrolidinyl which is optionally substituted with amino or halogen;
C₁-C₆ alkylsulfonyl optionally substituted with hydroxyl;
-NHSO₂(C₁-C₆ alkyl), wherein the carbon atoms are optionally substituted with -NR³⁷R³⁸;
piperazinyl optionally substituted with C₁-C₆ alkyl or C₁-C₆ alkylsulfonyl;
piperidyl optionally substituted with hydroxyl;
1H-tetrazolyl;
1, 2, 3, 6-tetrahydropyridyl; and

-COR^{12c}, wherein R^{12c} represents piperazinyl which is optionally substituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, cyanomethyl, aminomethyl, -NR²⁵R²⁶, or C₁-C₆ alkyl; and

wherein substituent B-c is selected from the group consisting of:

5 halogen;
hydroxyl;
methoxy;
cyano;
C₃-C₁₀ cycloalkyl;
10 3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, and oxetanyl, any of which is optionally substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl substituted with C₂-C₇ alkyloxycarbonylamino;
-NR^{51c}R^{52c}, wherein R^{51c} and R^{52c} each independently represent hydrogen;
15 C₁-C₆ alkyl optionally substituted with C₁-C₆ alkylsulfonyl, or piperidyl optionally substituted with -COOR⁵³; piperidyl; C₁-C₆alkylsulfonyl; C₃-C₁₀ cycloalkyl; -COR⁵⁵; or -CONR⁵⁷R⁵⁸;
heteroaryl selected from the group consisting of imidazolyl, pyrazolyl, and
thiazolyl;
20 -COOR⁵⁴;
-CONH₂;
-SO₂NR¹⁰⁶R¹⁰⁷;
C₁-C₆ alkylsulfinyl; and
C₁-C₆ alkylsulfonyl;

25 wherein substituent (d) is selected from the group consisting of:
-NR^{21d}R^{22d}, wherein R^{21d} and R^{22d} each independently represent hydrogen or C₁-C₆ alkyl;

wherein substituent (e) is selected from the group consisting of:
30 hydroxyl;
oxo;
cyano;
C₃-C₁₀ cycloalkyl optionally substituted with cyano;
-NR^{21e}R^{22e}, wherein R^{21e} and R^{22e} each independently represent hydrogen or
C₁-C₆ alkyl optionally substituted with amino;
35 piperidyl;
C₁-C₆ alkoxy optionally substituted with -NR³³R³⁴.
C₁-C₆ alkyl optionally substituted with cyano; -NR^{51e}R^{52e}, wherein R^{51e} and
R^{52e} each independently represent hydrogen, C₁-C₆ alkyl, or -COOR⁵⁶; morpholinyl; or
cyanophenyl;
40 -CONH₂;

wherein substituent (f) is selected from the group consisting of:
C₁-C₆ alkyl optionally substituted with -NR^{51f}R^{52f}, wherein R^{51f} and R^{52f} each
independently represent hydrogen, C₁-C₆ alkyl, or -COOR⁵⁶; and
C₁-C₆ alkylsulfonyl;

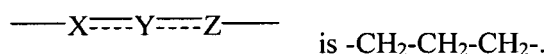
wherein substituent (g) is aryl optionally substituted with C₁-C₆ alkyl having the aliphatic carbons optionally substituted with cyano or amino.

[11] The compound of [2], or a pharmaceutically acceptable salt thereof, wherein R⁶ is hydrogen; hydroxyl; C₁-C₆ alkyl; phenyl optionally substituted with 1 to 3 hydroxyls; piperidyl optionally substituted with amino; or piperazinyl.

[12] The compound of [11], or a pharmaceutically acceptable salt thereof, wherein R⁷ is hydrogen; C₁-C₆ alkyl optionally substituted with hydroxyl or piperidyl; or halogen.

[13] The compound of [2], or a pharmaceutically acceptable salt thereof, wherein R⁷ is hydrogen;
C₁-C₆ alkyl optionally substituted with hydroxyl; -NR^{71A}R^{72A} wherein R^{71A} and R^{72A} each independently represent hydrogen, C₁-C₆ alkyl optionally substituted with dimethylamino, C₃-C₁₀ cycloalkyl optionally substituted with amino, or piperidyl; or 3- to 8-membered heterocycloalkyl selected from the group consisting of piperidyl and morpholinyl either of which is optionally substituted with C₁-C₆ aminoalkyl; phenyl optionally substituted with 1 to 2 hydroxyls; phenylsulfonyl; or -COR^{73A}, wherein R^{73A} represents piperidyl optionally substituted with amino, or -NR^{74A}R^{75A}, wherein R^{74A} and R^{75A} each independently represent hydrogen, piperidyl, or C₃-C₁₀ cycloalkyl optionally substituted with amino.

[14] The compound of [1], or a pharmaceutically acceptable salt thereof, wherein

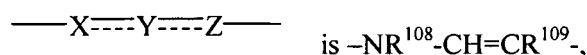


[15] The compound of [14], or a pharmaceutically acceptable salt thereof, wherein R¹ and R² are hydrogen.

[16] The compound of [14], or a pharmaceutically acceptable salt thereof, wherein R³ is hydroxyl or methoxy.

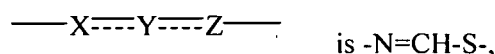
[17] The compound of [14], or a pharmaceutically acceptable salt thereof, wherein R⁴ is hydrogen; phenyl substituted with C₁-C₆ alkyl substituted with -NR^{51A}R^{52A}, wherein R^{51A} and R^{52A} each independently represent hydrogen or C₁-C₆ alkyl, or -SO₂NR^{53A}R^{54A}, wherein R^{53A} and R^{54A} each independently represent hydrogen or C₁-C₆ alkyl optionally substituted with halogen or hydroxy; 1,2,3,6-tetrahydropyridyl; hydroxypyridyl; or methoxypyridyl.

[18] The compound of [1], or a pharmaceutically acceptable salt thereof, wherein



R¹, R², and R⁴ are hydrogen, and
R³ is hydrogen, hydroxyl or C₁-C₆ alkoxy.

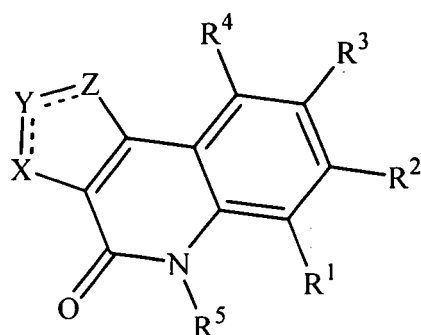
[19] The compound of [1], or a pharmaceutically acceptable salt thereof, wherein



R¹, R², and R⁴ are hydrogen, and
R³ is methoxy.

Alternatively, in some embodiments, the present invention also provides a compound represented by formula (I) or a pharmaceutically acceptable salt thereof:

1. A compound represented by general formula I:



I

or a pharmaceutically acceptable salt thereof,

wherein R^1 , R^2 , R^3 , and R^4 are each independently a group selected from the group consisting of:

hydrogen,

hydroxyl,

halogen,

cyano,

nitro,

amino,

C_1 - C_6 alkyl,

C_2 - C_6 alkenyl,

C_2 - C_6 alkynyl,

C_3 - C_{10} cycloalkyl,

C_3 - C_{10} cycloalkenyl,

C_1 - C_6 alkoxy,

C_6 - C_{10} aryl,

indanyl,

heteroaryl,

3- to 8-membered heterocycloalkyl,

$-\text{OSO}_2\text{CH}_3$,

$-\text{OSO}_2\text{CF}_3$,

$-\text{CONH}_2$

$-\text{OCONR}^{101}\text{R}^{102}$ (wherein R^{101} and R^{102} each independently represent hydrogen or C_1 - C_6 alkyl, or R^{101} and R^{102} together form morpholinyl),

$-\text{OCOR}^{103}$ (wherein R^{103} represents C_1 - C_6 alkyl), and

$-\text{OCOOR}^{104}$ (wherein R^{104} represents C_1 - C_6 alkyl)

wherein each of the groups of R^1 to R^4 is optionally substituted with a substituent selected from the group consisting of substituent A below:

substituent A:

hydroxyl;

oxo ($=\text{O}$);

cyano;

halogen;

C_1 - C_6 alkyl (wherein the C_1 - C_6 alkyl is optionally substituted with a substituent selected from the group consisting of substituent B below);

C_3 - C_{10} cycloalkyl [wherein the C_3 - C_{10} cycloalkyl is optionally substituted with cyano, or C_1 - C_6 alkyl substituted with $-\text{NR}^{31}\text{R}^{32}$ (wherein R^{31} and R^{32} each independently represent hydrogen or C_1 - C_6 alkyl)];

-NR²¹R²² [wherein R²¹ and R²² each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, amino, di(C₁-C₆ alkyl)amino, C₁-C₆ alkylsulfonyl (-SO₂(C₁-C₆ alkyl)), 3- to 8-membered heterocycloalkyl, or cyano), or 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with -COOR¹⁰⁵ (wherein R¹⁰⁵ represents C₁-C₆))];

C₁-C₆ alkoxy {wherein the C₁-C₆ alkoxy is optionally substituted with halogen, 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl), or -NR³³R³⁴ [wherein R³³ and R³⁴ each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl or di(C₁-C₆ alkyl)amino), or C₁-C₆ alkylsulfonyl]};

-SO₂NR²³R²⁴ {wherein R²³ and R²⁴ each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, heteroaryl, or -NR³⁵R³⁶ (wherein R³⁵ and R³⁶ each independently represent hydrogen or C₁-C₆ alkyl)], C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with C₁-C₆ hydroxyalkyl), or 3- to 8-membered heterocycloalkyl; or R²³ and R²⁴ may together form 3- to 8-membered heterocycloalkyl wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with amino or halogen};

C₁-C₆ alkylsulfonyl (wherein the C₁-C₆ alkyl moiety is optionally substituted with hydroxyl);

C₁-C₆ alkylsulfonylamino (-NH-SO₂(C₁-C₆ alkyl)) [wherein the C₁-C₆ alkyl moiety is optionally substituted with -NR³⁷R³⁸ (wherein R³⁷ and R³⁸ each independently represent hydrogen or C₁-C₆ alkyl)];

3- to 8-membered heterocycloalkyl {wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with -NR³⁹R⁴⁰ (wherein R³⁹ and R⁴⁰ each independently represent hydrogen, C₁-C₆ alkyl, or C₁-C₆ alkylsulfonyl), C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with -NR⁴¹R⁴² (wherein R⁴¹ and R⁴² each independently represent hydrogen or C₁-C₆ alkyl)], hydroxyl, or C₁-C₆ alkylsulfonyl};

Aryl (wherein the aryl is optionally substituted with C₁-C₆ alkyl [wherein C₁-C₆ alkyl is optionally substituted with cyano or amino]);

heteroaryl;

-COOR¹¹ (wherein R¹¹ represents hydrogen or C₁-C₆ alkyl); and

-COR¹² [wherein R¹² represents C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, cyanomethyl, aminomethyl, -NR²⁵R²⁶ {wherein R²⁵ and R²⁶ each independently represent hydrogen, or C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl or -NR⁴³R⁴⁴ (wherein R⁴³ and R⁴⁴ each independently represent hydrogen or C₁-C₆ alkyl)]}, or 3- to 8-membered heterocycloalkyl which is optionally substituted with C₁-C₆ alkyl],

substituent B:

halogen;

hydroxyl;

C₁-C₆ alkoxy;

cyano;

cycloalkyl;

C₆-C₁₀ aryl (wherein C₆-C₁₀ aryl is optionally substituted with cyano)

heteroaryl;

3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl substituted with C₂-C₇ alkyloxycarbonylamino);

-NR⁵¹R⁵² {wherein R⁵¹ and R⁵² each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl, or 3- to 8-membered heterocycloalkyl optionally substituted with -COOR⁵³ (wherein R⁵³ represents hydrogen or C₁-C₆ alkyl)], 3- to 8-membered heterocycloalkyl, C₁-C₆ alkylsulfonyl, C₃-C₁₀

cycloalkyl, $-\text{COR}^{55}$ (wherein R^{55} represents $\text{C}_1\text{-C}_6$ alkyl), $-\text{COOR}^{56}$ (wherein R^{56} represents $\text{C}_1\text{-C}_6$ alkyl), or $-\text{CONR}^{57}\text{R}^{58}$ (wherein R^{57} and R^{58} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl));

$-\text{COOR}^{54}$ (wherein R^{54} represents hydrogen or $\text{C}_1\text{-C}_6$ alkyl)];

$-\text{CONH}_2$;

$-\text{SO}_2\text{NR}^{106}\text{R}^{107}$ {wherein R^{106} and R^{107} each independently represent hydrogen, $\text{C}_1\text{-C}_6$ alkyl, or $\text{C}_3\text{-C}_{10}$ cycloalkyl}

$\text{C}_1\text{-C}_6$ alkylsulfinyl; and

$\text{C}_1\text{-C}_6$ alkylsulfonyl;

wherein R^5 is hydrogen or $\text{C}_1\text{-C}_6$ alkyl; and

wherein ---X---Y---Z--- is a structure selected from the group consisting of

(i) $-\text{S}-\text{CR}^7=\text{CR}^6-$,

(ii) $-\text{CH}_2-\text{CH}_2-\text{CH}_2-$,

(iii) $-\text{NR}^{108}-\text{CH}=\text{CR}^{109}-$ (wherein R^{108} represents hydrogen, or $\text{C}_1\text{-C}_6$ alkyl that is optionally substituted with hydroxyl, and R^{109} represents hydrogen, CH_3 , or phenyl that is substituted with $\text{C}_1\text{-C}_6$ aminoalkyl, and

(iv) $-\text{N}=\text{CH}-\text{S}-$,

wherein R^6 is

hydrogen,

hydroxyl,

$\text{C}_1\text{-C}_6$ alkyl,

$\text{C}_6\text{-C}_{10}$ aryl (wherein the $\text{C}_6\text{-C}_{10}$ aryl is optionally substituted with hydroxyl), or

3- to 8-membered heterocycloalkyl [wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with $-\text{NR}^{61}\text{R}^{62}$ (wherein R^{61} and R^{62} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl)];

wherein R^7 is

hydrogen;

halogen;

$\text{C}_1\text{-C}_6$ alkyl {wherein the $\text{C}_1\text{-C}_6$ alkyl is optionally substituted with hydroxyl, $-\text{NR}^{71}\text{R}^{72}$ [wherein R^{71} and R^{72} each independently represent hydrogen, $\text{C}_1\text{-C}_6$ alkyl (wherein the $\text{C}_1\text{-C}_6$ alkyl is optionally substituted with dimethylamino), $\text{C}_3\text{-C}_{10}$ cycloalkyl (wherein the $\text{C}_3\text{-C}_{10}$ cycloalkyl is optionally substituted with amino), or 3- to 8-membered heterocycloalkyl], or 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with $\text{C}_1\text{-C}_6$ aminoalkyl)},

$\text{C}_6\text{-C}_{10}$ aryl (wherein the $\text{C}_6\text{-C}_{10}$ aryl is optionally substituted with hydroxyl);

$\text{C}_6\text{-C}_{10}$ arylsulfonyl; or

$-\text{COR}^{73}$ {wherein R^{73} represents 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with amino), or $-\text{NR}^{74}\text{R}^{75}$ [wherein R^{74} and R^{75} each independently represent hydrogen, 3- to 8-membered heterocycloalkyl, or $\text{C}_3\text{-C}_{10}$ cycloalkyl (wherein the $\text{C}_3\text{-C}_{10}$ cycloalkyl is optionally substituted with amino)]}.

2. The compound of above 1, or a pharmaceutically acceptable salt thereof, wherein

---X---Y---Z--- is $-\text{S}-\text{CR}^7=\text{CR}^6-$.

3. The compound of above 2, or a pharmaceutically acceptable salt thereof, wherein R¹ is hydrogen, cyano, C₁-C₆ alkyl (wherein C₁-C₆ alkyl is optionally substituted with hydroxyl or halogen), C₃-C₁₀ cycloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or halogen.
- 5 4. The compound of above 2, or a pharmaceutically acceptable salt thereof, wherein R² is hydrogen, hydroxyl, halogen, C₁-C₆ alkoxy, or C₆-C₁₀ aryl which is optionally substituted with hydroxyl.
5. The compound of above 2 or a pharmaceutically acceptable salt, wherein R² is hydrogen, hydroxyl, halogen, C₁-C₆ alkoxy, or dihydroxyphenyl.
- 10 6. The compound of above 2, or a pharmaceutically acceptable salt thereof, wherein R³ is hydrogen; hydroxyl; C₁-C₆ alkyl (wherein alkyl is optionally substituted with hydroxyl, halogen, or hydroxyethylamino); halogen; C₁-C₆ alkoxy optionally substituted with dimethylamino or morpholinyl; C₁-C₆ alkylphenyl [wherein C₁-C₆ alkyl of the C₁-C₆ alkylphenyl is optionally substituted with -NR⁵¹R⁵² {wherein R⁵¹ and R⁵² each independently represent hydrogen, C₁-C₆ alkyl, or -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl)}]; cyano; nitro; amino; 3- to 8-membered heterocycloalkyl which is optionally substituted with amino; heteroaryl; -OSO₂CH₃; -OSO₂CF₃; -OCOR¹⁰³ (R¹⁰³ represents C₁-C₆ alkyl); -OCOOR¹⁰⁴ (wherein R¹⁰⁴ represents C₁-C₆ alkyl); -OCONR¹⁰¹R¹⁰² (wherein R¹⁰¹, R¹⁰² each independently represent hydrogen or C₁-C₆ alkyl, or R¹⁰¹ and R¹⁰² together form morpholinyl); or -CONH₂.
- 15 7. The compound of above 2, or a pharmaceutically acceptable salt thereof, wherein R³ is hydrogen; hydroxyl; C₁-C₆ alkyl (wherein alkyl is optionally substituted with hydroxyl, halogen, or hydroxyethylamino); halogen; C₁-C₆ alkoxy that is optionally substituted with dimethylamino or morpholinyl; C₁-C₆ alkylphenyl (wherein C₁-C₆ alkyl of the C₁-C₆ alkylphenyl is optionally substituted with -NR⁵¹R⁵² {wherein R⁵¹ and R⁵² each independently represent hydrogen, C₁-C₆ alkyl, or -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl) cyano; nitro; amino; 3- to 8-membered heterocycloalkyl which is optionally substituted with amino (wherein the 3- to 8-membered heterocycloalkyl is piperidyl, pyrrolidinyl, morpholinyl, or piperazinyl); pyridyl; -OSO₂CH₃; -OSO₂CF₃; -OCOR¹⁰³ (R¹⁰³ represents C₁-C₆ alkyl); -OCOOR¹⁰⁴ (wherein R¹⁰⁴ represents C₁-C₆ alkyl); -OCONR¹⁰¹R¹⁰² (wherein R¹⁰¹, R¹⁰² each independently represent hydrogen or C₁-C₆ alkyl, or R¹⁰¹ and R¹⁰² together form morpholinyl); or -CONH₂.
- 20 8. The compound of above 2, or a pharmaceutically acceptable salt thereof, wherein R⁴ is a group selected from the group consisting of hydrogen, hydroxyl, halogen, amino, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, C₁-C₆ alkoxy, C₆-C₁₀ aryl, indanyl, heteroaryl, and 3- to 8-membered heterocycloalkyl, wherein each of the groups of R⁴ is optionally substituted with a substituent selected from the group consisting of substituent A above.
- 25 9. The compound of above 2, or a pharmaceutically acceptable salt thereof, wherein R⁴ is a group selected from the group consisting of hydrogen, hydroxyl, halogen; amino, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, C₁-C₆ alkoxy, C₆-C₁₀ aryl, indanyl, heteroaryl (wherein the heteroaryl is selected from the group consisting of pyridyl, 1*H*-indazolyl, 1*H*-tetrazolyl, [1,2,4]triazolo[1,5-*a*]pyridyl, benzoimidazolyl, 2,3-dihydrobenzooxazolyl, pyrazolyl, pyrrolo[2,3-*b*]pyridyl, pyrimidinyl, indolinyl, furyl, thienyl, and tetrahydroisoquinolyl), and 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is selected from the group consisting of aziridinyl, azetidiny, pyrrolidinyl, imidazolidinyl, piperidyl, piperazinyl, azepanyl, morpholinyl, and 1,2,3,6-tetrahydropyridyl), wherein each of the groups of R⁴ is optionally substituted with a substituent selected from the group consisting of substituent A-1 below:
- 30 40 45

substituent A-1:

hydroxyl;

oxo;

cyano;

halogen;

C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with a substituent selected from the group consisting of substituent B-1 below);

C₃-C₁₀ cycloalkyl [wherein the C₃-C₁₀ cycloalkyl is optionally substituted with cyano, or C₁-C₆ alkyl substituted with -NR³¹R³² (wherein R³¹ and R³² each independently represent hydrogen or C₁-C₆ alkyl)];

-NR^{21A}R^{22A} [wherein R^{21A} and R^{22A} each independently represent hydrogen, C₁-C₆ alkyl {wherein C₁-C₆ alkyl is optionally substituted with amino, di (C₁-C₆ alkyl) amino, C₁-C₆ alkylsulfonyl (-SO₂ (C₁-C₆ alkyl)), piperidyl, or cyano}, or piperidyl {wherein piperidyl is optionally substituted with -COOR¹⁰⁵ (wherein R¹⁰⁵ represents C₁-C₆ alkyl)}];

C₁-C₆ alkoxy {wherein the C₁-C₆ alkoxy is optionally substituted with 3- to 8-membered heterocycloalkyl selected from halogen, piperidyl, and piperazinyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl), or -NR³³R³⁴ [wherein R³³ and R³⁴ each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl or di (C₁-C₆ alkyl) amino), or C₁-C₆ alkylsulfonyl)];

-SO₂NR^{23A}R^{24A} {wherein R^{23A} and R^{24A} each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, pyrazolyl, imidazolyl, or -NR³⁵R³⁶ (wherein R³⁵ and R³⁶ each independently represent hydrogen or C₁-C₆ alkyl)], C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with C₁-C₆ hydroxyalkyl), azetidiny, or pyrrolidinyl, or may together form pyrrolidinyl, wherein the pyrrolidinyl is optionally substituted with amino or halogen};

C₁-C₆ alkylsulfonyl (wherein the C₁-C₆ alkyl moiety is optionally substituted with hydroxyl);

C₁-C₆ alkylsulfonylamino (-NHSO₂ (C₁-C₆ alkyl)) [wherein the C₁-C₆ alkyl moiety is optionally substituted with -NR³⁷R³⁸ (wherein R³⁷ and R³⁸ each independently represent hydrogen or C₁-C₆ alkyl)];

3- to 8-membered heterocycloalkyl selected from the group consisting of azetidiny, pyrrolidinyl, piperidyl, piperazinyl, and tetrahydropyridyl {wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with -NR³⁹R⁴⁰ (wherein R³⁹ and R⁴⁰ each independently represent hydrogen, C₁-C₆ alkyl, or C₁-C₆ alkylsulfonyl), C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with -NR⁴¹R⁴² (wherein R⁴¹ and R⁴² each independently represent hydrogen or C₁-C₆ alkyl)], hydroxyl, or C₁-C₆ alkylsulfonyl};

1H-tetrazolyl;

aryl (wherein aryl is optionally substituted with C₁-C₆ alkyl [wherein C₁-C₆ alkyl is optionally substituted with cyano or amino])

-COOR¹¹ (wherein R¹¹ represents hydrogen or C₁-C₆ alkyl); and

-COR^{12A} [wherein R^{12A} represents piperazinyl which is optionally substituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, cyanomethyl, aminomethyl, -NR²⁵R²⁶ {wherein R²⁵ and R²⁶ each independently represent hydrogen or C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl or -NR⁴³R⁴⁴ (wherein R⁴³ and R⁴⁴ each independently represent hydrogen or C₁-C₆ alkyl)]}, or C₁-C₆ alkyl];

substituent B-1:

halogen;

hydroxyl;

C₁-C₆ alkoxy;
 cyano;
 cycloalkyl;
 phenyl (wherein phenyl is optionally substituted with cyano);
 heteroaryl selected from the group consisting of imidazolyl, pyrazolyl, and thiazolyl
 3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl,
 piperidyl, piperazinyl, morpholinyl, and oxetanyl (wherein the 3- to 8-membered
 heterocycloalkyl is optionally substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆
 aminoalkyl, or C₁-C₆ alkyl substituted with C₂-C₇ alkyloxycarbonylamino);
 -NR^{51A}R^{52A} {wherein R^{51A} and R^{52A} each independently represent hydrogen, C₁-C₆
 alkyl [wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl, or
 piperidyl which is optionally substituted with, -COOR⁵³ (wherein R⁵³ represents hydrogen
 or C₁-C₆ alkyl)], piperidyl, C₁-C₆ alkylsulfonyl, C₃-C₁₀ cycloalkyl, -COR⁵⁵ (wherein R⁵⁵
 represents C₁-C₆ alkyl), -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl), or -CONR⁵⁷R⁵⁸
 (wherein R⁵⁷ and R⁵⁸ each independently represent hydrogen or C₁-C₆ alkyl)};

-COOR⁵⁴ (wherein R⁵⁴ represents hydrogen or C₁-C₆ alkyl);
 -CONH₂;
 -SO₂NR¹⁰⁶R¹⁰⁷ {wherein R¹⁰⁶ and R¹⁰⁷ each independently represent hydrogen, C₁-C₆
 alkyl, or C₃-C₁₀ cycloalkyl};
 C₁-C₆ alkylsulfinyl; and
 C₁-C₆ alkylsulfonyl

10. The compound of above 9, or a pharmaceutically acceptable salt thereof, wherein R⁴ is a group selected from the group consisting of (p) below:

(p):
 hydrogen,
 hydroxyl,
 halogen,
 amino which is optionally substituted with a substituent selected from the group
 consisting of substituent (g) below

C₁-C₆ alkyl which is optionally substituted with a substituent selected from the group
 consisting of substituent (a) below,

C₂-C₆ alkenyl which is optionally substituted with a substituent selected from the group
 consisting of substituent (b) below,

C₃-C₁₀ cycloalkyl,

C₃-C₁₀ cycloalkenyl,

C₁-C₆ alkoxy,

C₆-C₁₀ aryl which is optionally substituted with a substituent selected from the group
 consisting of substituent (c) below,

indanyl which is optionally substituted with a substituent selected from the group
 consisting of substituent (d) below,

heteroaryl which is optionally substituted with a substituent selected from the group
 consisting of substituent (e) below, and

3- to 8-membered heterocycloalkyl which is optionally substituted with a substituent
 selected from the group consisting of substituent (f) below,

wherein, in the group (p),

the heteroaryl is selected from the group consisting of pyridyl, 1*H*-indazolyl, 1*H*-tetrazolyl, [1,2,4]triazolo[1,5-*a*]pyridyl, benzoimidazolyl, 2,3-dihydrobenzooxazolyl, pyrazolyl, pyrrolo[2,3-*b*]pyridyl, pyrimidinyl, indolyl, furyl, thienyl, and tetrahydroisoquinolyl;

the 3- to 8-membered heterocycloalkyl is selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, and 1,2,3,6-tetrahydropyridyl;

substituent (a):

$-\text{NR}^{21\text{A}}\text{R}^{22\text{A}}$ [wherein $\text{R}^{21\text{A}}$ and $\text{R}^{22\text{A}}$ each independently represent hydrogen, $\text{C}_1\text{-C}_6$ alkyl {wherein $\text{C}_1\text{-C}_6$ alkyl is optionally substituted with piperidyl}, or piperidyl {wherein piperidyl is optionally substituted with $-\text{COOR}^{105}$ (wherein R^{105} represents $\text{C}_1\text{-C}_6$ alkyl)}];

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl and piperidyl {wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with $-\text{NR}^{39}\text{R}^{40}$ (wherein R^{39} and R^{40} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl), or $\text{C}_1\text{-C}_6$ alkyl [wherein the $\text{C}_1\text{-C}_6$ alkyl is optionally substituted with $-\text{NR}^{41}\text{R}^{42}$ (wherein R^{41} and R^{42} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl)]}; and

$\text{C}_1\text{-C}_6$ alkylsulfonylamino ($-\text{NHSO}_2(\text{C}_1\text{-C}_6 \text{ alkyl})$);

substituent (b):

$-\text{COOR}^{11}$ (wherein R^{11} represents hydrogen or $\text{C}_1\text{-C}_6$ alkyl);

$-\text{NR}^{21\text{a}}\text{R}^{22\text{a}}$ [wherein $\text{R}^{21\text{a}}$ and $\text{R}^{22\text{a}}$ each independently represent hydrogen, or $\text{C}_1\text{-C}_6$ alkyl (wherein the $\text{C}_1\text{-C}_6$ alkyl is optionally substituted with di($\text{C}_1\text{-C}_6$ alkyl)amino or $\text{C}_1\text{-C}_6$ alkylsulfonyl)];

3- to 8-membered heterocycloalkyl selected from the group consisting of azetidyl, pyrrolidinyl, and piperidyl {wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with $-\text{NR}^{39}\text{R}^{40}$ (wherein R^{39} and R^{40} each independently represent hydrogen, $\text{C}_1\text{-C}_6$ alkyl, or $\text{C}_1\text{-C}_6$ alkylsulfonyl), $\text{C}_1\text{-C}_6$ alkyl [wherein the $\text{C}_1\text{-C}_6$ alkyl is optionally substituted with $-\text{NR}^{41}\text{R}^{42}$ (wherein R^{41} and R^{42} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl)], hydroxyl, or $\text{C}_1\text{-C}_6$ alkylsulfonyl};

cyano; and

$\text{C}_1\text{-C}_6$ alkoxy;

substituent (c):

hydroxyl;

cyano;

halogen;

$\text{C}_1\text{-C}_6$ alkyl (wherein the $\text{C}_1\text{-C}_6$ alkyl is optionally substituted with a substituent selected from the group consisting of substituent B-c below);

$\text{C}_3\text{-C}_{10}$ cycloalkyl [wherein the $\text{C}_3\text{-C}_{10}$ cycloalkyl is optionally substituted with cyano, or $\text{C}_1\text{-C}_6$ alkyl substituted with $-\text{NR}^{31}\text{R}^{32}$ (wherein R^{31} and R^{32} each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl)];

$-\text{NR}^{21\text{c}}\text{R}^{22\text{c}}$ [wherein $\text{R}^{21\text{c}}$ and $\text{R}^{22\text{c}}$ each independently represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl (wherein $\text{C}_1\text{-C}_6$ alkyl is optionally substituted with amino, or cyano)]];

$\text{C}_1\text{-C}_6$ alkoxy {wherein the $\text{C}_1\text{-C}_6$ alkoxy is optionally substituted with 3- to 8-membered heterocycloalkyl selected from halogen, piperidyl, and piperazinyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with $\text{C}_1\text{-C}_6$ alkyl), or $-\text{NR}^{33}\text{R}^{34}$ [wherein R^{33} and R^{34} each independently represent hydrogen, $\text{C}_1\text{-C}_6$ alkyl (wherein the $\text{C}_1\text{-C}_6$ alkyl is optionally substituted with di($\text{C}_1\text{-C}_6$ alkyl)amino), or $\text{C}_1\text{-C}_6$ alkylsulfonyl]}];

-SO₂NR^{23c}R^{24c} {wherein R^{23c} and R^{24c} each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, pyrazolyl, imidazolyl, or -NR³⁵R³⁶ (wherein R³⁵ and R³⁶ each independently represent hydrogen or C₁-C₆ alkyl)], C₃-C₁₀cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with C₁-C₆ hydroxyalkyl), azetidyl, or pyrrolidinyl, or wherein R^{23c} and R^{24c} may together form pyrrolidinyl which is optionally substituted with amino or halogen};

C₁-C₆ alkylsulfonyl (wherein the C₁-C₆ alkyl moiety is optionally substituted with hydroxyl);

C₁-C₆ alkylsulfonylamino (-NHSO₂(C₁-C₆ alkyl)) [wherein the C₁-C₆ alkyl moiety is optionally substituted with -NR³⁷R³⁸ (wherein R³⁷ and R³⁸ each independently represent hydrogen or C₁-C₆ alkyl)];

piperazinyl {wherein the piperazinyl is optionally substituted with C₁-C₆ alkyl or C₁-C₆ alkylsulfonyl};

piperidyl (wherein piperidyl is optionally substituted with hydroxyl);

1*H*-tetrazolyl;

1, 2, 3, 6-tetrahydropyridyl; and

-COR^{12c} [wherein R^{12c} represents piperazinyl which is optionally substituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, cyanomethyl, aminomethyl, -NR²⁵R²⁶ {wherein R²⁵ and R²⁶ each independently represent hydrogen or C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, or -NR⁴³R⁴⁴ (wherein R⁴³ and R⁴⁴ each independently represent hydrogen or C₁-C₆ alkyl)]}, or C₁-C₆ alkyl]; and

substituent B-c:

halogen;

hydroxyl;

methoxy;

cyano;

C₃-C₁₀ cycloalkyl

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, and oxetanyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl substituted with C₂-C₇ alkyloxycarbonylamino); and

-NR^{51c}R^{52c} {wherein R^{51c} and R^{52c} each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl, or piperidyl which is optionally substituted with -COOR⁵³ (wherein R⁵³ represents hydrogen or C₁-C₆ alkyl)], piperidyl, C₁-C₆alkylsulfonyl, C₃-C₁₀cycloalkyl, -COR⁵⁵ (wherein R⁵⁵ represents C₁-C₆ alkyl), or -CONR⁵⁷R⁵⁸ (wherein R⁵⁷ and R⁵⁸ each independently represent hydrogen or C₁-C₆ alkyl)]};

heteroaryl selected from the group of imidazolyl, pyrazolyl, and thiazolyl;

-COOR⁵⁴ (wherein R⁵⁴ represents hydrogen, or C₁-C₆ alkyl)

-CONH₂;

-SO₂NR¹⁰⁶R¹⁰⁷ {wherein R¹⁰⁶ and R¹⁰⁷ each independently represent hydrogen, C₁-C₆ alkyl, or C₃-C₁₀ cycloalkyl};

C₁-C₆ alkylsufinyl; and

C₁-C₆ alkylsulfonyl;

substituent (d):

-NR^{21d}R^{22d} (wherein R^{21d} and R^{22d} each independently represent hydrogen or C₁-C₆ alkyl);

substituent (e):

hydroxyl;

oxo;

cyano;

C₃-C₁₀ cycloalkyl [wherein C₃-C₁₀ cycloalkyl is optionally substituted with cyano];

-NR²¹R²² [wherein R²¹ and R²² each independently represent hydrogen or C₁-C₆ alkyl (wherein C₁-C₆ alkyl is optionally substituted with amino)];

piperidyl;

C₁-C₆ alkoxy (wherein C₁-C₆ alkoxy is optionally substituted with -NR³³R³⁴ [wherein R³³ and R³⁴ each independently represent hydrogen, or C₁-C₆ alkyl]); and

C₁-C₆ alkyl {wherein the C₁-C₆ alkyl is optionally substituted with cyano, -NR^{51e}R^{52e} [wherein R^{51e} and R^{52e} each independently represent hydrogen, C₁-C₆ alkyl, or -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl)], morpholinyl, or cyanophenyl};

-CONH₂;

substituent (f):

C₁-C₆ alkyl {wherein the C₁-C₆ alkyl is optionally substituted with -NR^{51f}R^{52f} [wherein R^{51f} and R^{52f} each independently represent hydrogen, C₁-C₆ alkyl, or -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl)]}; and

C₁-C₆ alkylsulfonyl;

substituent (g):

Aryl (wherein aryl is optionally substituted with C₁-C₆ alkyl [wherein C₁-C₆ alkyl is optionally substituted with cyano or amino]).

11. The compound of above 2, or a pharmaceutically acceptable salt thereof, wherein R⁶ is hydrogen; hydroxyl; C₁-C₆ alkyl; phenyl which is optionally substituted with 1 to 3 hydroxyls; piperidyl which is optionally substituted with amino; or piperazinyl.

12. The compound of above 11, or a pharmaceutically acceptable salt thereof, wherein R⁷ is hydrogen, C₁-C₆ alkyl (wherein C₁-C₆ alkyl is optionally substituted by a substituent selected from the group comprising hydroxyl and piperidyl), or halogen.

13. The compound of above 2, or a pharmaceutically acceptable salt thereof, wherein R⁷ is hydrogen;

C₁-C₆ alkyl {wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, -NR^{71A}R^{72A} [wherein R^{71A} and R^{72A} each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with dimethylamino), C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with amino), or piperidyl], or 3- to 8-membered heterocycloalkyl selected from the group consisting of piperidyl and morpholinyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ aminoalkyl)};

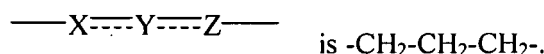
phenyl which is optionally substituted with 1 to 2 hydroxyls;

phenylsulfonyl; or

-COR^{73A} {wherein R^{73A} represents piperidyl (wherein the piperidyl is optionally substituted with amino), or -NR^{74A}R^{75A} [wherein R^{74A} and R^{75A} each independently

represent hydrogen, piperidyl, or C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with amino)]}.

14. The compound of above 1, or a pharmaceutically acceptable salt thereof, wherein

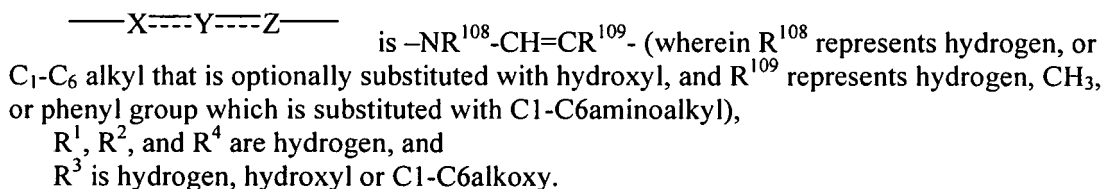


15. The compound of above 14, or a pharmaceutically acceptable salt thereof, wherein R¹ and R² are hydrogen.

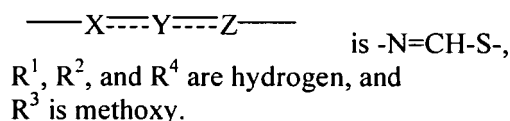
16. The compound of above 14, or a pharmaceutically acceptable salt thereof, wherein R³ is hydroxyl or methoxy.

17. The compound of above 14, or a pharmaceutically acceptable salt thereof, wherein R⁴ is hydrogen, phenyl [wherein the phenyl is substituted with C₁-C₆ alkyl substituted with -NR^{51A}R^{52A} (wherein R^{51A} and R^{52A} each independently represent hydrogen or C₁-C₆ alkyl), or -SO₂NR^{53A}R^{54A} (wherein R^{53A} and R^{54A} each independently represent hydrogen, or C₁-C₆ alkyl that is optionally substituted with halogen or hydroxyl)], 1,2,3,6-tetrahydropyridyl, hydroxypyridyl, or methoxypyridyl.

18. The compound of above 1, or a pharmaceutically acceptable salt thereof, wherein



19. The compound of above 1, or a pharmaceutically acceptable salt thereof, wherein



20. A compound selected from the group consisting of:

- (1): 8-methoxy-5-methylthieno[2,3-c]quinolin-4(5H)-one;
- (2): 8-hydroxy-5-methylthieno[2,3-c]quinolin-4(5H)-one;
- (3): 7,8-dihydroxythieno[2,3-c]quinolin-4(5H)-one;
- (4): 7,8-dimethoxythieno[2,3-c]quinolin-4(5H)-one;
- (5): 8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (6): 7,9-dimethoxythieno[2,3-c]quinolin-4(5H)-one;
- (7): 7,9-dihydroxythieno[2,3-c]quinolin-4(5H)-one;
- (8): 7,8,9-trimethoxythieno[2,3-c]quinolin-4(5H)-one;
- (9): 8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (10): 7,8,9-trihydroxythieno[2,3-c]quinolin-4(5H)-one;
- (11): 9-(3-(2-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (12): 8-chlorothieno[2,3-c]quinolin-4(5H)-one;
- (13): 4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;
- (14): thieno[2,3-c]quinolin-4(5H)-one;
- (15): 8-fluorothieno[2,3-c]quinolin-4(5H)-one;

- (16): 8-nitrothieno[2,3-c]quinolin-4(5H)-one;
(17): 8-(3-aminopiperidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(18): 1-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(19): 1,8-dihydroxythieno[2,3-c]quinolin-4(5H)-one;
5 (20): 8-hydroxy-1-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(21): (R)-8-(3-aminopyrrolidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(22): (S)-8-(3-aminopyrrolidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(23): 8-(pyridin-3-yl)thieno[2,3-c]quinolin-4(5H)-one;
(24): 8-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
10 (25): 1-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(26): 1-(3-aminopiperidin-1-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(27): 8-morpholinothieno[2,3-c]quinolin-4(5H)-one;
(28): 8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one;
(29): 8-hydroxy-2-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one;
15 (30):
8-hydroxy-4-oxo-N-(piperidin-3-yl)-4,5-dihydrothieno[2,3-c]quinoline-2-carboxamide;
(31): 8-hydroxy-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(32): 8-hydroxy-1-(piperazin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(33):
20 N-((1r,4r)-4-aminocyclohexyl)-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-2-carboxamide;
(34): 2-(3-aminopiperidine-1-carbonyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(35): 2-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(36):
25 2-(((1r,4r)-4-aminocyclohexylamino)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(37): 8-(piperazin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(38): 8-hydroxy-1-methylthieno[2,3-c]quinolin-4(5H)-one;
(39):
2-((2-(dimethylamino)ethylamino)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
30 (40): 8-hydroxy-2-((piperidin-3-ylamino)methyl)thieno[2,3-c]quinolin-4(5H)-one;
(41): 7-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(42): 9-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(43): 9-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(44): 1-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;
35 (45): 7-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(46): 8-hydroxy-1-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;
(47): 9-(3,5-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (48): 8-hydroxy-9-(3-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(49): 8-hydroxy-9-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(50): 9-(3,4-difluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(51): (S)-8-(3-aminopyrrolidin-1-yl)-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
5 (52): 5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)picolinonitrile;
(53): 9-(6-aminopyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(54): 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;
(55): 9-(3-fluoro-4-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(56): 8-hydroxy-2-(3-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
10 (57):
(R)-8-(3-aminopyrrolidin-1-yl)-2-(3,4-dihydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(58): 9-(3,4-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(59): 9-(4-fluoro-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(60): 8-hydroxy-9-(3-hydroxy-5-(trifluoromethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
15 (61): 8-hydroxy-9-(1H-indazol-6-yl)thieno[2,3-c]quinolin-4(5H)-one;
(62): 8-hydroxy-9-(3,4,5-trihydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(63): 9-(4-hydroxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(64): 9-(4-(1H-tetrazol-5-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(65): 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
20 (66): 9-(3-chloro-4-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(67): 9-(4-chloro-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(68): 9-(3,4-dichlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(69): 9-(4-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(70): 8-hydroxy-9-phenylthieno[2,3-c]quinolin-4(5H)-one;
25 (71): 9-(4-(difluoromethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(72): 9-(4-(aminomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(73): 9-(4-(aminomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(74): 9-(3-aminophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(75): 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
30 (76): 8-hydroxy-9-(3,4,5-trifluorophenyl)thieno[2,3-c]quinolin-4(5H)-one;
(77):
N-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;
(78): 8-methoxy-9-phenylthieno[2,3-c]quinolin-4(5H)-one;
(79): 8-hydroxy-9-(naphthalen-2-yl)thieno[2,3-c]quinolin-4(5H)-one;
35 (80): 8-hydroxy-9-(4-(hydroxymethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(81): 2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;

- (82): 8-hydroxy-9-(4-(methylsulfonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(83): 8-hydroxy-9-(pyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
(84): 8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
(85): 8-hydroxy-9-(4-hydroxy-3-methoxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
5 (86): 9-(3-fluoro-4-(morpholinomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(87): 9-(3-(aminomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(88): 9-(4-(aminomethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(89): 9-(3-(difluoromethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(90): 9-(3-(aminomethyl)phenyl)-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one;
10 (91): 9-cyclohexenyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(92): 9-(3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(93): 9-(4-(2-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(94): 9-(3-(aminomethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(95): 9-(4-(aminomethyl)phenyl)-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one;
15 (96): 9-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(97): 9-([1,2,4]triazolo[1,5-a]pyridin-6-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(98): 8-methoxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
(99): 9-cyclohexenyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(100):
20 8-methoxy-9-(4-(2-(piperidin-1-yl)ethylamino)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(101):
9-(4-(aminomethyl)phenyl)-8-hydroxy-2-(morpholinomethyl)thieno[2,3-c]quinolin-4(5H)-one;
(102): 9-(1H-benzo[d]imidazol-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
25 (103): 9-(4-(difluoromethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(104):
9-(4-(aminomethyl)phenyl)-8-methoxy-2-(morpholinomethyl)thieno[2,3-c]quinolin-4(5H)-one;
(105):
30 8-hydroxy-9-(4-(2-(piperidin-1-yl)ethylamino)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(106): 8-hydroxy-9-(4-(piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(107): 8-methoxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
(108): 8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
(109):
35 5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzo[d]oxazol-2(3H)-one;
(110): tert-butyl
4-(2-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylamino)ethylpiperidine-1-carboxylate;
(111): 8-methoxy-9-(4-(piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(112):
8-hydroxy-9-(4-(4-(methylsulfonyl)piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(113):
8-hydroxy-9-(4-((piperidin-3-ylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

5 (114):
N-(2-(dimethylamino)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(115):
9-(4-(3-(dimethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

10 (116): 8-methoxy-9-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;

(117): 8-hydroxy-9-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;

(118): 8-methoxythiazolo[4,5-c]quinolin-4(5H)-one;

(119):
2-((4-(aminomethyl)piperidin-1-yl)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

15 (120):
N-(2-(dimethylamino)ethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(121):
9-(4-(aminomethyl)phenyl)-8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

20 (122): (E)-butyl 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)acrylate;

(123): 8-methoxy-9-(1H-pyrrolo[2,3-b]pyridin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;

(124): 8-hydroxy-9-(1H-pyrrolo[2,3-b]pyridin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;

(125): N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylacetamide;

25 (126):
N-(2-aminoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(127):
N-(2-aminoethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(128): N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylacetamide;

30 (129):
4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide;

(130):
8-hydroxy-9-(4-(4-methylpiperazine-1-carbonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(131):
8-methoxy-9-(4-(4-methylpiperazine-1-carbonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

35 (132):
8-hydroxy-9-(4-((4-methylpiperazin-1-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(133):
8-methoxy-9-(4-((4-methylpiperazin-1-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(134): (E)-9-(3-(diethylamino)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

- (135):
(E)-9-(3-(4-(aminomethyl)piperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (136):
(E)-9-(3-(2-(diethylamino)ethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (137):
N-(4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)phenyl)methanesulfonamide;
- 10 (138): 9-(2-(dimethylamino)pyrimidin-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (139): tert-butyl
1-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)piperidin-4-yl)methyl carbamate;
- (140): 8-hydroxy-9-(4-(4-methylpiperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 15 (141): 8-methoxy-9-(4-(4-methylpiperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (142): 8-methoxy-9-(1-(methylsulfonyl)-1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (143): (E)-9-(3-(diethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (144): 9-(3-(4-(aminomethyl)piperidin-1-yl)propyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (145):
9-(4-(3-(2-(diethylamino)ethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (146): 9-(4-(3-(diethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (147):
9-(4-(3-(2-(diethylamino)ethylamino)propoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (148):
(E)-9-(3-(4-(aminomethyl)piperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 30 (149):
9-(4-(3-(dimethylamino)propoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (150): 8-hydroxy-9-(4-(2-(piperidin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (151): 9-(4-(2-(ethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 35 (152):
(E)-9-(3-(4-aminopiperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (153):
9-(1-(2-aminoethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 40 (154): 9-(4-(2-(ethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (155): 9-(4-(2-(diethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (156): 9-(4-(2-(diethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(157): 9-(4-(2-(dimethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(158): 9-(4-(2-(dimethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(159): 8-methoxy-9-(4-(2-(piperidin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(160):

8-methoxy-9-(3-(2-(4-methylpiperazin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one ;

(161): 9-(3-(2-(diethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(162): 9-(3-(3-(diethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(163): 9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(164): 9-(4-((dimethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(165): 9-(4-((dimethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(166): 9-(3-(2-(diethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(167):

8-hydroxy-9-(3-(2-(4-methylpiperazin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one ;

(168):

N-ethyl-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenylmethoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenoxy)ethyl)methanesulfonamide;

(169): 9-(4-(2-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(170): 2-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;

(171): 2-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;

(172):

9-(1-(2-(dimethylamino)ethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(173):

N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide;

(174):

9-(1-(2-(diethylamino)ethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(175): 9-(4-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(176): 9-(4-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(177):

N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide;

(178):

N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide;

(179):

N-(2-aminoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(180):

8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

- (181):
9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- 5 (182):
9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- (183): 9-(4-((diethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (184): 9-(4-((diethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (185): 9-(3-(2-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (186): 9-(3-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (187): 8-hydroxy-9-(4-((methylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (188): 8-methoxy-9-(4-((methylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (189): 9-(4-amino-3-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (190): 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile;
- 15 (191): 9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (192): 9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (193):
N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide;
- 20 (194): 8-hydroxy-9-(4-(1-(pyrrolidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (195): 9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (196): 9-(4-(1-(diethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (197):
N-(2-aminoethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 25 (198):
N-(2-(dimethylamino)ethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (199):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(pyrrolidin-3-yl)benzenesulfonamide;
- 30 (200):
N-(azetidin-3-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 35 (201): 9-(4-(2-(diethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (202):
2-amino-N-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;
- (203): 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile;
- 40 (204): 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile;

(205):

(E)-9-(3-(3-aminopyrrolidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(206):

N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(207): 8-methoxy-9-(5-methoxypyridin-3-yl)thieno[2,3-c]quinolin-4(5H)-one;

(208):

8-methoxy-9-(5-methoxypyridin-3-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

(209):

9-(4-(3-aminopyrrolidin-1-ylsulfonyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(210):

N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(211): 9-(4-((diisopropylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(212):

N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylmethanesulfonamide;

(213): 9-(4-((isopropylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(214):

2-(dimethylamino)-N-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;

(215):

2-amino-N-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;

(216): 8-methoxy-9-(4-(1-(pyrrolidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(217): 9-(4-amino-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(218):

N-(2-methoxy-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

(219): 9-(3,5-difluoro-4-hydroxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(220):

N-(2-hydroxy-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

(221):

9-(4-((4-(aminomethyl)piperidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(222):

9-(4-(2-(dimethylamino)ethyl)phenyl)-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(223): 9-(3,5-difluoro-4-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(224):

6-fluoro-8-methoxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;

(225):

9-(4-(1-(dimethylamino)ethyl)phenyl)-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(226):

9-(4-((diethylamino)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(227):

(E)-9-(3-(3-hydroxypyrrolidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(228):

(E)-8-hydroxy-9-(3-(3-hydroxypyrrolidin-1-yl)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one;

(229): 8-hydroxy-9-(4-((isopropylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(230):

(E)-9-(3-(3-aminoazetidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(231):

(E)-8-methoxy-9-(3-(2-(methylsulfonyl)ethylamino)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one;

(232): (S)-9-(4-(1-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(233): (S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(234):

8-hydroxy-9-(5-hydroxypyridin-3-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

(235):

9-(4-((4-(aminomethyl)piperidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(236):

8-methoxy-9-(4-(1-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(237):

9-(4-((3-aminopyrrolidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(238):

(E)-9-(3-(3-aminoazetidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(239): (E)-9-(3-(ethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(240):

9-(4-((3-aminopiperidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(241):

9-(4-((3-aminopyrrolidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(242):

9-(4-((3-aminopiperidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(243):

8-hydroxy-9-(4-(1-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(244): (E)-9-(3-(3-aminopiperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(245):

(E)-9-(3-(3-aminopyrrolidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(246):

(E)-9-(3-(3-aminopiperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(247):

(E)-8-hydroxy-9-(3-(2-(methylsulfonyl)ethylamino)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one;

(248):

8-methoxy-9-(4-(2-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(249):

2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;

(250):

(E)-N-(1-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)allyl)azetidin-3-yl)methanesulfonamide;

(251):

4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide;

(252):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide;

(253): tert-butyl

(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)furan-2-yl)methylcarbamate;

(254):

N-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl)methanesulfonamide;

(255):

N-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl)methanesulfonamide;

(256): 9-(4-(aminomethyl)phenyl)-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(257): 9-(4-(aminomethyl)phenyl)-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(258):

6-fluoro-8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;

(259):

9-(4-(((diethylamino)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(260): 8-methoxy-9-(4-(1-(piperidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(261):

2-(2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;

(262): 8-hydroxy-9-(4-(1-(piperidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(263):

(E)-9-(3-(3-(dimethylamino)piperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (264):
(E)-9-(3-(3-(dimethylamino)pyrrolidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (265): 9-(4-(2-aminoethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (266): 9-(5-(aminomethyl)thiophen-2-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (267): 9-(4-((ethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (268):
(E)-9-(3-(4-aminopiperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (269): 9-(4-((ethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (270): 9-(4-(aminomethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (271):
9-(3-chloro-4-((diethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (272):
(R)-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (273): 9-(4-(3-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (274): (R)-9-(4-(1-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (275): (R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (276): 9-(4-(2-aminoethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (277):
20 9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (278):
9-(3-fluoro-4-((3-hydroxypyrrolidin-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (279):
25 9-(3-fluoro-4-((3-hydroxypyrrolidin-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (280):
4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2,2,2-trifluoroethyl)benzenesulfonamide;
- 30 (281):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2,2,2-trifluoroethyl)benzenesulfonamide;
- (282):
N-(2-(dimethylamino)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 35 (283):
8-hydroxy-9-(4-((2-(methylsulfonyl)ethylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (284):
40 9-(3-(3-(dimethylamino)pyrrolidin-1-yl)propyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (285): 9-(1-(2-aminoethyl)-1H-pyrazol-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(286):

9-(3-chloro-4-((diethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(287):

4-(7-fluoro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;

(288): 9-(3-acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(289):

2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzamide;

(290): 3-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;

(291): 9-(4-acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(292):

2-fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(293):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzamide;

(294): 1,1-diethyl-3-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)urea;

(295):

N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(296): 9-(4-acetylphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(297):

N-(2-bromoethyl)-2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(298):

9-(3-(3-(dimethylamino)piperidin-1-yl)propyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(299):

N-(2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide;

(300):

9-(3-fluoro-4-(2-(methylsulfonamido)ethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate;

(301):

(R)-N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide;

(302):

(R)-9-(4-(1-(methylsulfonamido)ethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate;

(303):

2-fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(304):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide;

(305):
9-(4-(2-(dimethylamino)ethyl)phenyl)-7-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(306):
N-(2-bromoethyl)-4-(7-fluoro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(307):
4-(7-fluoro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;

(308):
9-(4-(1-(dimethylamino)-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(309):
N-(2-chloro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)-N-methylmethanesulfonamide;

(310):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-methoxyethyl)benzenesulfonamide;

(311):
(E)-3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylacrylonitrile;

(312):
N-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide;

(313): 8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(314): 9-(4-(1-(cyclopentylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(315):
9-(4-(1-(cyclopentylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(316):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;

(317): 9-(5-(aminomethyl)furan-2-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(318):
9-(3-chloro-4-((methylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(319): 9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(320):
N-(3-hydroxypropyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(321):
2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;

(322):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3-hydroxypropyl)benzenesulfonamide;

(323):

N-(3-bromopropyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(324):

2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-methoxyethyl)benzenesulfonamide;

(325):

9-(3-chloro-4-((methylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(326): 9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;

(327):

9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(328): 9-(4-(aminomethyl)phenyl)-6,7-dichloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(329): 9-(4-(aminomethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(330): 9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl trifluoromethanesulfonate;

(331): 9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(332):

N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(333):

N-(2-fluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(334):

9-(4-(2-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(335):

(S)-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(336): 9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(337): 9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(338): 9-(4-(1-(diethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(339): 9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(340): 9-amino-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(341):

9-(4-(1-(dimethylamino)ethyl)phenyl)-6,7-difluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(342):

9-(4-(1-(dimethylamino)ethyl)phenyl)-6,7-difluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(343):

N-cyclopropyl-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(344):

N-cyclopropyl-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(345): 9-(2-amino-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(346): 9-(4-(1-(dimethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(347):

(S)-N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide;

(348):

9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(349):

9-(4-(1-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(350):

N-(1-(hydroxymethyl)cyclopentyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(351):

9-(2-(diethylamino)-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(352):

9-(2-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(353): 8-hydroxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one;

(354): 8-methoxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one;

(355): 3-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;

(356):

9-(4-(1-(diethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(357):

1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropanecarbonitrile;

(358):

9-(2-ethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(359): 9-(4-(1-aminoethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(360): 3-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;

(361):

1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropanecarbonitrile;

(362): 9-(2-amino-2,3-dihydro-1H-inden-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(363):

N-isopentyl-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(364):

9-(2-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

- (365): 9-(4-(1-(ethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (366):
6-chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (367): 9-(4-(cyclopropanecarbonyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (368): 9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carboxamide;
- (369): 9-(2-aminoethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (370): 8-hydroxy-9-(4-(2-hydroxyethylsulfonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (371): 9-(4-(2-hydroxyethylsulfonyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (372): 9-(1-ethylindolin-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (373): 9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (374):
8-hydroxy-9-(2-methyl-1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (375): 9-(4-(1-aminoethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (376): 8-hydroxy-9-(1-methylindolin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;
- 15 (377): 8-hydroxy-9-(indolin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (378): 9-(indolin-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (379):
9-(4-(1-((dimethylamino)methyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (380):
4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-propylbenzenesulfonamide;
- (381):
N-(cyclopropylmethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 25 (382):
N-(3,3-dimethylbutyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (383):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-isopentylbenzenesulfonamide;
- 30 (384):
N-(3,3-dimethylbutyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (385): 9-(4-(1-(ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 35 (386):
3-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-oxopropanenitrile;
- (387): (E)-9-(2-ethoxyvinyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (388):
N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)acetamide;

(389):

4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3,3,3-trifluoropropyl)benzenesulfonamide;

(390):

5 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(1-(hydroxymethyl)cyclopentyl)benzenesulfonamide;

(391):

N-(2,2-difluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

10 (1031): 8-methoxy-9-(4-(1-methoxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1032): 9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1033):

8-methoxy-9-(2-((piperidin-3-ylmethyl)amino)ethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1034):

15 9-(2-(4-((dimethylamino)methyl)piperidin-1-yl)ethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1035): tert-butyl

4-((2-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)ethyl)amino)piperidine-1-carboxylate;

20 (1036): 8-methoxy-9-(2-(piperidin-4-ylamino)ethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1037):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3,3,3-trifluoropropyl)benzenesulfonamide;

(1038): 3H-pyrrolo[2,3-c]quinolin-4(5H)-one;

25 (1039):

9-(4-(1-aminoethyl)phenyl)-6-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1040):

9-(4-(1-aminoethyl)phenyl)-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-6-carbonitrile;

30 (1041): 9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1042):

8-hydroxy-9-(2-(4-((methylamino)methyl)piperidin-1-yl)ethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1043):

35 8-methoxy-9-(2-(4-((methylamino)methyl)piperidin-1-yl)ethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1044):

9-(2-(4-((dimethylamino)methyl)piperidin-1-yl)ethyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

40 (1045): 9-(4-(1-hydroxypropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1046):

(R)-8-methoxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1047): (R)-8-(4-(1-aminoethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

- (1048): (R)-tert-butyl
1-(4-(4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl)phenyl)ethyl carbamate;
- (1049): 9-(4-(4-hydroxypiperidin-4-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1050): (R)-8-(4-(1-(dimethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 5 (1051):
8-hydroxy-9-(4-(1,2,3,6-tetrahydropyridin-4-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1052):
(R)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1053): 8-hydroxy-9-(4-(1-hydroxypropyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 10 (1054): (R)-8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1055): 8-hydroxy-9-(4-(4-hydroxypiperidin-4-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1056): (S)-8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1057):
N-(1-hydroxypropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benz
15 enesulfonamide;
- (1058):
9-(4-(hydroxy(thiazol-2-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1059): 9-(6-(1-aminoethyl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1060): 9-(4-(4-hydroxybutyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (1061):
2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropanamide;
- (1062):
N-(1-bromopropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzen
25 esulfonamide;
- (1063):
8-hydroxy-9-(4-(hydroxy(thiazol-2-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1064):
(S)-8-methoxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 30 (1065):
9-(6-(1-(diethylamino)ethyl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1066): 9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1067): 9-(6-(1-aminoethyl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1068): 8-hydroxy-9-(4-(4-hydroxybutyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 35 (1069):
9-(4-(3-amino-1-hydroxypropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1070):
9-(6-(1-(dimethylamino)ethyl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1071):
40 9-(6-(1-(dimethylamino)ethyl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1072):

4-((4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-1H-pyrazol-1-yl)methyl)benzonitrile;

(1073): 8-aminothieno[2,3-c]quinolin-4(5H)-one;

(1074): 9-(4-((1H-pyrazol-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1075): 9-(6-(1-aminoethyl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1076): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl dimethylcarbamate;

(1077): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl isopropyl carbonate;

(1078):

9-(4-((1H-imidazol-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1079):

N-(2-bromopropyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1080):

(R)-9-(4-(1-aminoethyl)phenyl)-6,7-dichloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1081):

(R)-9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1082):

(S)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1083): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl diethylcarbamate;

(1084):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)-N-methylbenzenesulfonamide;

(1085):

N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide;

(1086): 9-(4-((1H-pyrazol-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1087):

(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1088): 9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1089): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl morpholine-4-carboxylate;

(1090):

N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide;

(1091): 8-bromothieno[2,3-c]quinolin-4(5H)-one;

(1092): 9-(4-(2-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1093): 9-(4-(2-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1094):

N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide;

(1095): 9-(4-(2-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1096):

8-methoxy-9-(1-(2-morpholinoethyl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;

(1097): 9-(4-(2-(diethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1098):

9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1099): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl acetate;

(1100):

9-(1-(1-(dimethylamino)propan-2-yl)-1H-pyrazol-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1101):

9-(4-((1H-imidazol-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1102):

9-(4-(aminomethyl)phenyl)-8-(2-morpholinoethoxy)thieno[2,3-c]quinolin-4(5H)-one;

(1103):

8-hydroxy-9-(1-(2-morpholinoethyl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;

(1104):

N-(2-(1H-pyrazol-1-yl)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1105):

8-hydroxy-9-(4-(2,2,2-trifluoro-1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1106): 9-(4-(2-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1107):

N-(2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropyl)methanesulfonamide;

(1108):

9-(4-(2-(dimethylamino)propyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1109): 9-(4-(1-aminoethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1110):

9-(1-(1-(dimethylamino)propan-2-yl)-1H-pyrazol-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1111): 9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1112):

9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1113):

8-methoxy-9-(4-(2,2,2-trifluoro-1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1114):

N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide;

(1115):
N-(2-(1H-imidazol-1-yl)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1116):
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1117):
3-(4-(8-(2-(dimethylamino)ethoxy)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;

(1118): (R)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1119):
N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide;

(1120): (S)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1121): (S)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1122):
(R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1123):
(R)-9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;

(1125): 9-(4-(1-aminoethyl)phenyl)-8-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1126):
(R)-6-chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1127): (S)-9-(4-(1-(ethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1128):
(S)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1129):
6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1130): 9-(4-(1-aminoethyl)phenyl)-6-ethynyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1131): (R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1132):
(R)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1133): 9-(4-(2-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1134): 9-(4-(1-aminoethyl)phenyl)-8-(difluoromethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1135):
(R)-6-bromo-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1136):
9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1137): 9-(4-butylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1138): 9-(4-butylphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1139):

N-(2-chloroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1140):

9-(4-((3-bromopyrrolidin-1-yl)sulfonyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1141):

(S)-9-(4-(1-(methylsulfonamido)propyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate;

(1142): 9-(4-(2-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1143):

9-(4-(3-(dimethylamino)-1-hydroxypropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1144):

N-(2-bromoethyl)-4-(6-chloro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1145):

N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide;

(1146):

N-(2-bromoethyl)-4-(5-ethyl-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1147):

(S)-8-methoxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1148):

(S)-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1149):

9-(4-(1-aminoethyl)phenyl)-8-(((2-hydroxyethyl)amino)methyl)thieno[2,3-c]quinolin-4(5H)-one;

(1150):

(R)-9-(4-(1-aminopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1151):

(R)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1152): 8-hydroxy-9-(4-pentylphenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1153): 9-(4-(2-aminoacetyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1154):

(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1155): 8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1156): 8-methoxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1157):

(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1158):
(R)-9-(4-(1-aminopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1159):
(R)-9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (1160): (R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1161): (R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(1162): 2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butanenitrile;
(1163): (S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1164): (S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (1165):
6-chloro-8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(1166):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (1167):
9-(4-(2-aminoethyl)-3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1168):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
;
- 20 (1169):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
;
- (1170):
6-chloro-8-methoxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1171): 9-(4-(2-aminoethyl)-3-chlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (1172):
(S)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
e;
- (1173):
6-bromo-8-methoxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 30 (1174):
9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1175):
(R)-9-(4-(1-aminopropyl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1176):
35 (R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
;
- (1177):
9-(4-(2-aminoethyl)-3,5-difluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1178):
40 9-(4-(2-(dimethylamino)ethyl)-3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1179):

9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1180):

(S)-9-(4-(1-aminopropan-2-yl)phenyl)-6,7-dichloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1181):

(S)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1182):

(S)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1183):

6-bromo-8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1185):

N-(2-hydroxyethyl)-4-(8-methoxy-5-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1186): methyl

3-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanoate;

(1187):

(R)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1188):

(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1189):

(R)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1190):

9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1191): 9-(4-(2-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1192): 9-(4-(2-aminoethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1193):

9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1194):

(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1195):

(S)-6-chloro-9-(4-(1-(diethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1196):

(S)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1197):

(S)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1198):

4-(8-hydroxy-5-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;

(1199):

5 N-(2-bromoethyl)-4-(8-hydroxy-5-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1200):

(R)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

10 (1201):

(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1202): 9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1203):

15 9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxy-2-(phenylsulfonyl)thieno[2,3-c]quinolin-4(5H)-one;

(1204):

N-(1-chloropropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

20 (1205):

N-(1-chloropropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1206): 9-(4-(2-aminoethyl)-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1207): 9-(4-(2-aminoethyl)-3-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

25 (1208):

9-(4-(2-aminoethyl)-2-chloro-5-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1209):

9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

30 (1210):

(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxy-5,6-dimethylthieno[2,3-c]quinolin-4(5H)-one;

(1211):

9-(4-(2-aminoethyl)-2-chloro-5-hydroxyphenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

35 (1212):

9-(4-(aminomethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1213):

9-(4-(2-aminoethyl)-3-fluorophenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1214):

9-(4-(2-aminoethyl)-3-fluorophenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

40 (1215):

(S)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1216):

9-(4-(2-aminoethyl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1217):

9-(4-(2-aminoethyl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1218):

9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1219):

9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1220):

9-(4-(2-aminoethyl)-3-fluorophenyl)-6-cyclopropyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1221):

9-(4-(2-aminoethyl)-3-fluorophenyl)-6-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1222):

(S)-8-methoxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1223):

(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1224):

9-(4-(2-aminoethyl)-2-bromo-5-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1225):

(S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1226):

3-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;

(1227):

9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1228):

9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1229):

2-(2-fluoro-4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;

(1230):

6-cyclopropyl-9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1231):

6-cyclopropyl-9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1232):

(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1233):

(S)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1234):

9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1235):

9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1236):

9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1237):

9-(4-(2-amino-1,1-dicyclopentylethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1238):

3-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;

(1239):

9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1240):

9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1241): 9-(4-(3-aminopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1242): 9-(4-(2-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1243): 9-(4-(2-aminopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1244):

9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-cyclopropyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1245):

6-bromo-9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1246):

6-bromo-9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1247):

9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1248):

9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1249):

(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;

- (1250): (R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-vinylthieno[2,3-c]quinolin-4(5H)-one;
(1251):
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
5 (1252):
9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1253):
9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(1254):
10 9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1255): (R)-9-(4-(1-aminoethyl)phenyl)-6-ethyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1256):
15 (R)-9-(4-(1-aminoethyl)phenyl)-6-(difluoromethyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1257):
9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1258):
20 9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1259):
6-bromo-9-(4-(1-(dimethylamino)-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
25 (1260):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1261): 9-(4-(3-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1262):
30 (R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(1263):
9-(4-(2-aminoethyl)-3-chlorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1264):
35 9-(4-(2-aminoethyl)-3-chlorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1265):
(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(1266): 9-(4-(2-aminopropyl)phenyl)-6-ethyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
40 (1267): (R)-9-(4-(1-aminoethyl)phenyl)-6-butyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1268):
9-(4-(2-aminoethyl)-3-chlorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1269): 9-(4-(2-aminopropyl)phenyl)-6-ethyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1270):

2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-(oxetan-3-yl)aceto nitrile;

(1271):

5 9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1272):

(R)-6-ethyl-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one ;

(1273):

10 9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1274):

15 9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1275):

9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1276):

20 9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1277):

9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1278):

25 9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1279):

9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1280):

30 9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1281): 9-(4-(2-amino-2-methylpropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1282): 9-(4-(2-amino-2-methylpropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1283):

35 9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1284):

8-methoxy-6-methyl-9-(4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1285):

40 8-hydroxy-6-methyl-9-(4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1286):

9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1287):

9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1288):

5 9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1289):

9-(4-(2-amino-2-methylpropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

10

(1290):

9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1291):

9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

15

(1292):

9-(4-(2-amino-2-methylpropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1293):

20

9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1294):

9-(3-fluoro-4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1295):

25

9-(4-(1-(dimethylamino)-3-methylbutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1296):

9-(4-(1-(dimethylamino)-3-methylbutan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

30

(1297):

9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1298):

35

(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1299):

9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1300):

9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

40

(1301): 8-methoxy-6-methyl-9-(4-(piperidin-3-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1302): 8-hydroxy-6-methyl-9-(4-(piperidin-3-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1303):

(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1304):
(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1305):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1306):
(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 10 (1307):
(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1308): 8-methoxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;
- (1309): 9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (1310):
(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1311):
20 (R)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1312):
9-(4-(1-aminobutan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1313): 8-hydroxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;
- (1314): 9-amino-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 25 (1315):
(R)-9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1316):
30 (R)-9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1317):
(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 35 (1318):
(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1319):
(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 40 (1320):
9-((4-(2-aminoethyl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1321):
9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1322):

(R)-1-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;

(1323): 8-hydroxy-3-(hydroxymethyl)-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;

(1324):

(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1325):

9-((4-(aminomethyl)phenyl)amino)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1326):

9-((4-(aminomethyl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1327):

9-((4-(1-aminopropan-2-yl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1328):

9-((4-(1-aminopropan-2-yl)phenyl)amino)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1329):

9-(4-(2-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1330):

9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1331):

9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1332):

9-(4-((R)-1-aminopropan-2-yl)phenyl)-8-hydroxy-2-(1-hydroxyethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1333):

9-(4-((R)-1-aminopropan-2-yl)phenyl)-2-(1-hydroxyethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1334):

3-(4-((8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)amino)phenyl)propanenitrile;

(1335):

9-((3-(2-aminoethyl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1336):

9-((4-(2-aminoethyl)phenyl)amino)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1337):

9-(4-(2-(ethylamino)propyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1338):

9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1339):

9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1340):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1341):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one;
- (1342):
9-(4-((R)-1-aminopropan-2-yl)phenyl)-2-(1-hydroxyethyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 10 (1343):
2-((4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)amino)acetonitrile;
- (1344):
(R)-9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 15 (1345):
9-(3-chloro-4-(2-(ethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1346):
9-(4-(3-((dimethylamino)methyl)pentan-3-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 20 (1347):
(R)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1348):
9-(4-(2-(ethylamino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 25 (1349):
9-(4-(2-(ethylamino)ethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1350):
9-(4-(2-(ethyl(methyl)amino)propyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 30 (1351):
2-(hydroxy(piperidin-4-yl)methyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1352):
(R)-9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 35 (1353):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1354):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 40 (1355):
8-methoxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1356):
9-(4-(2-(ethyl(methyl)amino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1357):
9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (1358):
9-(4-(3-((dimethylamino)methyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1359):
9-(6-(dimethylamino)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 10 (1360):
(R)-9-(4-(1-(dimethylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1361):
(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 15 (1362):
9-(4-(3-((diethylamino)methyl)pentan-3-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1363):
9-(3-chloro-4-(2-(ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (1364):
8-hydroxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1365):
(R)-9-(4-(1-(dimethylamino)butan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 25 (1366):
(R)-9-(4-(1-(ethyl(methyl)amino)butan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1367):
(R)-9-(4-(1-(diethylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 30 (1368):
(R)-9-(4-(1-(ethyl(methyl)amino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1369):
2-((4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)(methylamino)acetonitrile;
- 35 (1370):
2-((4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)(methylamino)acetonitrile;
- 40 (1371):
9-(3-chloro-4-(2-(ethyl(methyl)amino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1372):
9-(4-(1-((dimethylamino)methyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 45

(1373):

(R)-9-(4-(1-aminopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1374):

9-(6-(2-aminoethoxy)pyridin-3-yl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

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(1375):

(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-fluoro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1376):

9-(6-(2-aminoethoxy)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

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(1377):

9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1378):

9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

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(1379):

(R)-9-(4-(1-(ethyl(methyl)amino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1380):

(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

20

(1381):

9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1382):

(R)-1-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;

25

(1383):

(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-fluoro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

30

(1384):

9-(6-((2-aminoethyl)amino)pyridin-3-yl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1385):

9-(6-((2-aminoethyl)amino)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

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(1386):

(S)-6-chloro-9-(4-(1-(ethyl(methyl)amino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1387):

(S)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

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(1388):

(R)-9-(4-(1-(diethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1389):

9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1390):

9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1391):

9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1392):

(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

(1393):

8-methoxy-6-methyl-9-(4-(2-(methylsulfinyl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1394):

8-hydroxy-6-methyl-9-(4-((methylsulfonyl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1395):

(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

(1396):

9-(4-((2-aminoethyl)(methyl)amino)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1397):

(R)-N-(2-(2-fluoro-4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

(1398):

(R)-N-(2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

(1399):

(S)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1400):

9-(4-((2-aminoethyl)(methyl)amino)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1401):

9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1402):

2-(6-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-3-yl)acetonitrile;

(1403):

8-hydroxy-6-methyl-9-(4-(2-(methylsulfinyl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1404):

8-methoxy-6-methyl-9-(4-((methylsulfonyl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

;

- (1405): 5-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)nicotinamide;
(1406):
2-(5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)propanenitrile;
(1407):
5 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanamide;
(1408):
9-(6-(1-aminopropan-2-yl)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
10 (1409):
2-(5-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile;
(1410):
15 2-hydroxy-2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide;
(1411):
N-(tert-butyl)-2-hydroxy-2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide;
(1412):
20 2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanamide;
(1413):
2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide;
25 (1414):
9-(4-(2-amino-1-fluoroethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1415):
9-(6-(1-aminopropan-2-yl)pyridin-3-yl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
30 (1416):
2-(5-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile;
(1417):
35 2-(5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile;
(1418):
2-(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile;
(1419):
40 2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide;
(1420):
9-(4-(2-amino-1-hydroxyethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1421):

9-(6-(1-amino-2-methylpropan-2-yl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1422):

5 N-cyclopropyl-1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

(1423):

2-(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)propanenitrile;

(1424):

10 (R)-N-(2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

(1425):

N-ethyl-1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

15 (1426):

9-(6-(1-aminopropan-2-yl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1427):

N-cyclopropyl-1-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

20 (1428):

1-(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)cyclopropanecarbonitrile;

(1429):

25 N-ethyl-1-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

(1430):

1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;

(1431):

30 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;

(1432):

(R)-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

(1433):

35 (R)-N-(2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide;

(1434):

(R)-N-(2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

40 (1435):

(R)-N-(2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

(1436):

45 (R)-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide;

(1437):

(R)-N-(2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide;

(1438):

(R)-N-(2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide;

or a pharmaceutically acceptable salt thereof.

21. A pharmaceutical composition comprising at least one compound of above 1 or 2 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

22. The pharmaceutical composition of above 21 which is available for preventing or treating a PBK dependent disease.

23. The pharmaceutical composition of above 22, wherein the PBK dependent disease is cancer.

24. A PBK inhibitor comprising at least one compound of above 1 or 2, or a pharmaceutically acceptable salt thereof.

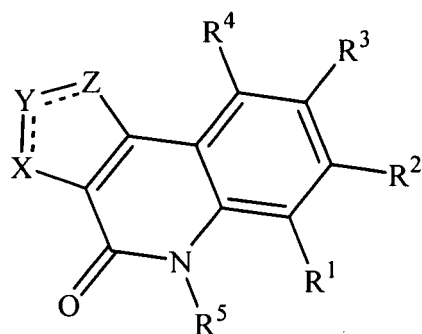
25. A method for treating a PBK dependent disease in a subject, comprising administering to said subject an effective amount of a compound or a pharmaceutically acceptable salt thereof of above 1 or 2.

26. A compound or pharmaceutically acceptable salt thereof of above 1 or 2 for use in treatment of a PBK dependent disease.

27. Use of a compound of above 1 or 2 or a pharmaceutically acceptable salt thereof in manufacturing a pharmaceutical composition for treating a PBK dependent disease.

Alternatively, the present invention also provides following embodiments:

101. The present invention provides a compound represented by formula (I) or a pharmaceutically acceptable salt thereof:



I

or a pharmaceutically acceptable salt thereof,

wherein R^1 , R^2 , R^3 , and R^4 are each independently a group selected from the group consisting of:

hydrogen,

hydroxyl,

halogen,

cyano,

nitro,

amino,

C_1 - C_6 alkyl,

C_2 - C_6 alkenyl,

C₂-C₆ alkynyl,
 C₃-C₁₀ cycloalkyl,
 C₃-C₁₀ cycloalkenyl,
 C₁-C₆ alkoxy,
 C₆-C₁₀ aryl,
 indanyl,
 heteroaryl,
 3- to 8-membered heterocycloalkyl,
 -OSO₂CH₃,
 -OSO₂CF₃, and
 -CONH₂,

wherein each of the groups of R¹ to R⁴ is optionally substituted with a substituent selected from the group consisting of substituent A below:

substituent A:

hydroxyl;
 oxo (=O);
 cyano;
 halogen;

C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with a substituent selected from the group consisting of substituent B below);

C₃-C₁₀ cycloalkyl [wherein the C₃-C₁₀ cycloalkyl is optionally substituted with cyano, or C₁-C₆ alkyl substituted with -NR³¹R³² (wherein R³¹ and R³² each independently represent hydrogen or C₁-C₆ alkyl)];

-NR²¹R²² [wherein R²¹ and R²² each independently represent hydrogen, or C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with di(C₁-C₆ alkyl)amino, C₁-C₆ alkylsulfonyl (-SO₂(C₁-C₆ alkyl)), or 3- to 8-membered heterocycloalkyl)];

C₁-C₆ alkoxy {wherein the C₁-C₆ alkoxy is optionally substituted with halogen, 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl), or -NR³³R³⁴ [wherein R³³ and R³⁴ each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl or di(C₁-C₆ alkyl)amino), or C₁-C₆ alkylsulfonyl]};

-SO₂NR²³R²⁴ {wherein R²³ and R²⁴ each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, or -NR³⁵R³⁶ (wherein R³⁵ and R³⁶ each independently represent hydrogen or C₁-C₆ alkyl)], C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with C₁-C₆ hydroxyalkyl), or 3- to 8-membered heterocycloalkyl; or R²³ and R²⁴ may together form 3- to 8-membered heterocycloalkyl wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with amino};

C₁-C₆ alkylsulfonyl (wherein the C₁-C₆ alkyl moiety is optionally substituted with hydroxyl);

C₁-C₆ alkylsulfonylamino (-NHSO₂(C₁-C₆ alkyl)) [wherein the C₁-C₆ alkyl moiety is optionally substituted with -NR³⁷R³⁸ (wherein R³⁷ and R³⁸ each independently represent hydrogen or C₁-C₆ alkyl)];

3- to 8-membered heterocycloalkyl {wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with -NR³⁹R⁴⁰ (wherein R³⁹ and R⁴⁰ each independently represent hydrogen, C₁-C₆ alkyl, or C₁-C₆ alkylsulfonyl), C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with -NR⁴¹R⁴² (wherein R⁴¹ and R⁴² each independently represent hydrogen or C₁-C₆ alkyl)], hydroxyl, or C₁-C₆ alkylsulfonyl};

heteroaryl;

-COOR¹¹ (wherein R¹¹ represents hydrogen or C₁-C₆ alkyl); and

-COR¹² [wherein R¹² represents C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, cyanomethyl, -NR²⁵R²⁶ {wherein R²⁵ and R²⁶ each independently represent hydrogen, or C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl or -NR⁴³R⁴⁴ (wherein R⁴³ and R⁴⁴ each independently represent hydrogen or C₁-C₆ alkyl)]}, or 3- to 8-membered heterocycloalkyl which is optionally substituted with C₁-C₆ alkyl],

substituent B:

halogen;
hydroxyl;
cyano;

3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl substituted with C₂-C₇ alkyloxycarbonylamino);

-NR⁵¹R⁵² {wherein R⁵¹ and R⁵² each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl, or 3- to 8-membered heterocycloalkyl optionally substituted with -COOR⁵³ (wherein R⁵³ represents hydrogen or C₁-C₆ alkyl)], 3- to 8-membered heterocycloalkyl, C₁-C₆ alkylsulfonyl, C₃-C₁₀ cycloalkyl, -COR⁵⁵ (wherein R⁵⁵ represents C₁-C₆ alkyl), -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl), or -CONR⁵⁷R⁵⁸ (wherein R⁵⁷ and R⁵⁸ each independently represent hydrogen or C₁-C₆ alkyl)}; and

-COOR⁵⁴ (wherein R⁵⁴ represents hydrogen or C₁-C₆ alkyl)];

wherein R⁵ is hydrogen or C₁-C₆ alkyl; and

wherein ---X---Y---Z--- is a structure selected from the group consisting of

- (i) -S-CR⁷=CR⁶-,
- (ii) -CH₂-CH₂-CH₂-,
- (iii) -NH-CH=CCH₃-, and
- (iv) -N=CH-S-,

wherein R⁶ is

hydrogen,
hydroxyl,
C₁-C₆ alkyl,

C₆-C₁₀ aryl (wherein the C₆-C₁₀ aryl is optionally substituted with hydroxyl), or 3- to 8-membered heterocycloalkyl [wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with -NR⁶¹R⁶² (wherein R⁶¹ and R⁶² each independently represent hydrogen or C₁-C₆ alkyl)], and

wherein R⁷ is

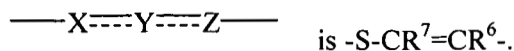
hydrogen,

C₁-C₆ alkyl {wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, -NR⁷¹R⁷² [wherein R⁷¹ and R⁷² each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with dimethylamino), C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with amino), or 3- to 8-membered heterocycloalkyl], or 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ aminoalkyl)}},

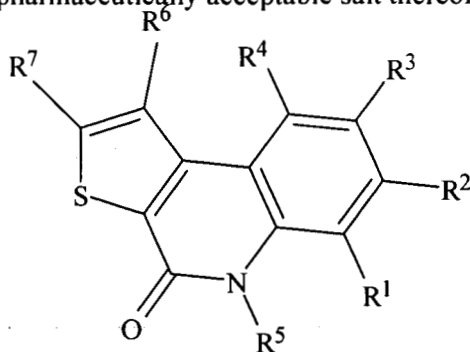
C₆-C₁₀ aryl (wherein the C₆-C₁₀ aryl is optionally substituted with hydroxyl), or

-COR⁷³ {wherein R⁷³ represents 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with amino), or -NR⁷⁴R⁷⁵ [wherein R⁷⁴ and R⁷⁵ each independently represent hydrogen, 3- to 8-membered heterocycloalkyl, or C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with amino)]}.

102. The compound of above 101, or a pharmaceutically acceptable salt thereof, wherein



Specifically, the compound of above 1 which have a following formula II, or a pharmaceutically acceptable salt thereof:



II

103. The compound of above 102, or a pharmaceutically acceptable salt thereof, wherein R^1 is hydrogen or halogen.

104. The compound of above 102 or 103, or a pharmaceutically acceptable salt thereof, wherein R^2 is hydrogen, hydroxyl, halogen, C_1 - C_6 alkoxy, or C_6 - C_{10} aryl which is optionally substituted with hydroxyl.

105. The compound of any one of above 102-104 or a pharmaceutically acceptable salt, wherein R^2 is hydrogen, hydroxyl, halogen, C_1 - C_6 alkoxy, or dihydroxyphenyl.

106. The compound of any one of above 102-105, or a pharmaceutically acceptable salt thereof, wherein R^3 is hydrogen; hydroxyl; halogen; C_1 - C_6 alkoxy; cyano; nitro; 3- to 8-membered heterocycloalkyl which is optionally substituted with amino; heteroaryl; $-\text{OSO}_2\text{CH}_3$; $-\text{OSO}_2\text{CF}_3$; or $-\text{CONH}_2$.

107. The compound of any one of above 102-106, or a pharmaceutically acceptable salt thereof, wherein R^3 is hydrogen; hydroxyl; halogen; C_1 - C_6 alkoxy; cyano; nitro; 3- to 8-membered heterocycloalkyl which is optionally substituted with amino (wherein the 3- to 8-membered heterocycloalkyl is piperidyl, pyrrolidinyl, morpholinyl, or piperazinyl); pyridyl; $-\text{OSO}_2\text{CH}_3$; $-\text{OSO}_2\text{CF}_3$; or $-\text{CONH}_2$.

108. The compound of any one of above 102-107, or a pharmaceutically acceptable salt thereof, wherein R^4 is a group selected from the group consisting of hydrogen, hydroxyl, halogen, amino, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkenyl, C_1 - C_6 alkoxy, C_6 - C_{10} aryl, indanyl, heteroaryl, and 3- to 8-membered heterocycloalkyl, wherein each of the groups of R^4 is optionally substituted with a substituent selected from the group consisting of substituent A above.

109. The compound of any one of above 102-108, or a pharmaceutically acceptable salt thereof, wherein R^4 is a group selected from the group consisting of hydrogen, hydroxyl, halogen, amino, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkenyl, C_1 - C_6 alkoxy, C_6 - C_{10} aryl, indanyl, heteroaryl (wherein the heteroaryl is selected from the group consisting of pyridyl, 1*H*-indazolyl, 1*H*-tetrazolyl, [1,2,4]triazolo[1,5-*a*]pyridyl, benzoimidazolyl, 2,3-dihydrobenzooxazolyl, pyrazolyl, pyrrolo[2,3-*b*]pyridyl, pyrimidinyl, indolinyl, furyl, thienyl, and tetrahydroisoquinolyl), and 3- to 8-membered heterocycloalkyl (wherein the 3- to 8-membered heterocycloalkyl is selected from the group consisting of aziridinyl, azetidiny, pyrrolidinyl, imidazolidinyl, piperidyl, piperazinyl, azepanyl, morpholinyl, and

1,2,3,6-tetrahydropyridyl), wherein each of the groups of R⁴ is optionally substituted with a substituent selected from the group consisting of substituent A-1 below:

substituent A-1:

hydroxyl;

oxo;

cyano;

halogen;

C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with a substituent selected from the group consisting of substituent B-1 below);

C₃-C₁₀ cycloalkyl [wherein the C₃-C₁₀ cycloalkyl is optionally substituted with cyano, or C₁-C₆ alkyl substituted with -NR³¹R³² (wherein R³¹ and R³² each independently represent hydrogen or C₁-C₆ alkyl)];

-NR^{21A}R^{22A} [wherein R^{21A} and R^{22A} each independently represent hydrogen or C₁-C₆ alkyl (wherein C₁-C₆ alkyl is optionally substituted with di (C₁-C₆ alkyl) amino, C₁-C₆ alkylsulfonyl (-SO₂ (C₁-C₆ alkyl)), or piperidyl)];

C₁-C₆ alkoxy {wherein the C₁-C₆ alkoxy is optionally substituted with 3- to 8-membered heterocycloalkyl selected from halogen, piperidyl, and piperazinyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl), or -NR³³R³⁴ [wherein R³³ and R³⁴ each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl or di (C₁-C₆ alkyl) amino), or C₁-C₆ alkylsulfonyl]};

-SO₂NR^{23A}R^{24A} {wherein R^{23A} and R^{24A} each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, or -NR³⁵R³⁶ (wherein R³⁵ and R³⁶ each independently represent hydrogen or C₁-C₆ alkyl)], C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with C₁-C₆ hydroxyalkyl), azetidiny, or pyrrolidinyl, or may together form pyrrolidinyl, wherein the pyrrolidinyl is optionally substituted with amino};

C₁-C₆ alkylsulfonyl (wherein the C₁-C₆ alkyl moiety is optionally substituted with hydroxyl);

C₁-C₆ alkylsulfonylamino (-NH-SO₂ (C₁-C₆ alkyl)) [wherein the C₁-C₆ alkyl moiety is optionally substituted with -NR³⁷R³⁸ (wherein R³⁷ and R³⁸ each independently represent hydrogen or C₁-C₆ alkyl)];

3- to 8-membered heterocycloalkyl selected from the group consisting of azetidiny, pyrrolidinyl, piperidyl, and piperazinyl {wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with -NR³⁹R⁴⁰ (wherein R³⁹ and R⁴⁰ each independently represent hydrogen, C₁-C₆ alkyl, or C₁-C₆ alkylsulfonyl), C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with -NR⁴¹R⁴² (wherein R⁴¹ and R⁴² each independently represent hydrogen or C₁-C₆ alkyl)], hydroxyl, or C₁-C₆ alkylsulfonyl};

1H-tetrazolyl;

-COOR¹¹ (wherein R¹¹ represents hydrogen or C₁-C₆ alkyl); and

-COR^{12A} [wherein R^{12A} represents piperazinyl which is optionally substituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, cyanomethyl, -NR²⁵R²⁶ {wherein R²⁵ and R²⁶ each independently represent hydrogen or C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl or -NR⁴³R⁴⁴ (wherein R⁴³ and R⁴⁴ each independently represent hydrogen or C₁-C₆ alkyl)]}, or C₁-C₆ alkyl];

substituent B-1:

halogen;

hydroxyl;

cyano;

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, and morpholinyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl substituted with C₂-C₇ alkyloxycarbonylamino);

-NR^{51A}R^{52A} {wherein R^{51A} and R^{52A} each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl, or piperidyl which is optionally substituted with, -COOR⁵³ (wherein R⁵³ represents hydrogen or C₁-C₆ alkyl)], piperidyl, C₁-C₆ alkylsulfonyl, C₃-C₁₀ cycloalkyl, -COR⁵⁵ (wherein R⁵⁵ represents C₁-C₆ alkyl), -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl), or -CONR⁵⁷R⁵⁸ (wherein R⁵⁷ and R⁵⁸ each independently represent hydrogen or C₁-C₆ alkyl)}; and
-COOR⁵⁴ (wherein R⁵⁴ represents hydrogen or C₁-C₆ alkyl).

1010. The compound of any one of above 102-109, or a pharmaceutically acceptable salt thereof, wherein R⁴ is a group selected from the group consisting of (p) below:

(p):

hydrogen,
hydroxyl,
halogen,
amino,
C₁-C₆ alkyl which is optionally substituted with a substituent selected from the group consisting of substituent (a) below,
C₂-C₆ alkenyl which is optionally substituted with a substituent selected from the group consisting of substituent (b) below,
C₃-C₁₀ cycloalkyl,
C₃-C₁₀ cycloalkenyl,
C₁-C₆ alkoxy,
C₆-C₁₀ aryl which is optionally substituted with a substituent selected from the group consisting of substituent (c) below,
indanyl which is optionally substituted with a substituent selected from the group consisting of substituent (d) below,
heteroaryl which is optionally substituted with a substituent selected from the group consisting of substituent (e) below, and
3- to 8-membered heterocycloalkyl which is optionally substituted with a substituent selected from the group consisting of substituent (f) below,

wherein, in the group (p),

the heteroaryl is selected from the group consisting of pyridyl, 1*H*-indazolyl, 1*H*-tetrazolyl, [1,2,4]triazolo[1,5-*a*]pyridyl, benzoimidazolyl, 2,3-dihydrobenzooxazolyl, pyrazolyl, pyrrolo[2,3-*b*]pyridyl, pyrimidinyl, indolinyl, furyl, thienyl, and tetrahydroisoquinolyl;

the 3- to 8-membered heterocycloalkyl is selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, and 1,2,3,6-tetrahydropyridyl;

substituent (a):

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl and piperidyl {wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with -NR³⁹R⁴⁰ (wherein R³⁹ and R⁴⁰ each independently represent hydrogen or C₁-C₆ alkyl), or C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with -NR⁴¹R⁴² (wherein R⁴¹ and R⁴² each independently represent hydrogen or C₁-C₆ alkyl)]}; and
C₁-C₆ alkylsulfonylamino (-NHSO₂(C₁-C₆ alkyl));

substituent (b):

-COOR¹¹ (wherein R¹¹ represents hydrogen or C₁-C₆ alkyl);

-NR^{21a}R^{22a} [wherein R^{21a} and R^{22a} each independently represent hydrogen, or C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with di(C₁-C₆ alkyl)amino or C₁-C₆ alkylsulfonyl)];

3- to 8-membered heterocycloalkyl selected from the group consisting of azetidiny, pyrrolidinyl, and piperidyl {wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with -NR³⁹R⁴⁰ (wherein R³⁹ and R⁴⁰ each independently represent hydrogen, C₁-C₆ alkyl, or C₁-C₆ alkylsulfonyl), C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with -NR⁴¹R⁴² (wherein R⁴¹ and R⁴² each independently represent hydrogen or C₁-C₆ alkyl)], hydroxyl, or C₁-C₆ alkylsulfonyl};

cyano; and

C₁-C₆ alkoxy;

substituent (c):

hydroxyl;

cyano;

halogen;

C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with a substituent selected from the group consisting of substituent B-c below);

C₃-C₁₀ cycloalkyl [wherein the C₃-C₁₀ cycloalkyl is optionally substituted with cyano, or C₁-C₆ alkyl substituted with -NR³¹R³² (wherein R³¹ and R³² each independently represent hydrogen or C₁-C₆ alkyl)];

-NR^{21c}R^{22c} [wherein R^{21c} and R^{22c} each independently represent hydrogen or C₁-C₆ alkyl];

C₁-C₆ alkoxy {wherein the C₁-C₆ alkoxy is optionally substituted with 3- to 8-membered heterocycloalkyl selected from halogen, piperidyl, and piperazinyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl), or -NR³³R³⁴ [wherein R³³ and R³⁴ each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with di(C₁-C₆ alkyl)amino), or C₁-C₆ alkylsulfonyl]};

-SO₂NR^{23c}R^{24c} {wherein R^{23c} and R^{24c} each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, or -NR³⁵R³⁶ (wherein R³⁵ and R³⁶ each independently represent hydrogen or C₁-C₆ alkyl)], C₃-C₁₀cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with C₁-C₆ hydroxyalkyl), azetidiny, or pyrrolidinyl, or wherein R^{23c} and R^{24c} may together form pyrrolidinyl which is optionally substituted with amino};

C₁-C₆ alkylsulfonyl (wherein the C₁-C₆ alkyl moiety is optionally substituted with hydroxyl);

C₁-C₆ alkylsulfonylamino (-NHSO₂(C₁-C₆ alkyl)) [wherein the C₁-C₆ alkyl moiety is optionally substituted with -NR³⁷R³⁸ (wherein R³⁷ and R³⁸ each independently represent hydrogen or C₁-C₆ alkyl)];

piperazinyl {wherein the piperazinyl is optionally substituted with C₁-C₆ alkyl or C₁-C₆ alkylsulfonyl};

1H-tetrazolyl; and

-COR^{12c} [wherein R^{12c} represents piperazinyl which is optionally substituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, cyanomethyl, -NR²⁵R²⁶ {wherein R²⁵ and R²⁶ each independently represent hydrogen or C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, or -NR⁴³R⁴⁴ (wherein R⁴³ and R⁴⁴ each independently represent hydrogen or C₁-C₆ alkyl)]}, or C₁-C₆ alkyl]; and

substituent B-c:

halogen;

hydroxyl;

cyano;

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, and morpholinyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl substituted with C₂-C₇ alkyloxycarbonylamino); and

-NR^{51c}R^{52c} {wherein R^{51c} and R^{52c} each independently represent hydrogen, C₁-C₆ alkyl [wherein the C₁-C₆ alkyl is optionally substituted with C₁-C₆ alkylsulfonyl, or piperidyl which is optionally substituted with -COOR⁵³ (wherein R⁵³ represents hydrogen or C₁-C₆ alkyl)], piperidyl, C₁-C₆alkylsulfonyl, C₃-C₁₀cycloalkyl, -COR⁵⁵ (wherein R⁵⁵ represents C₁-C₆ alkyl), or -CONR⁵⁷R⁵⁸ (wherein R⁵⁷ and R⁵⁸ each independently represent hydrogen or C₁-C₆ alkyl)}];

substituent (d):

-NR^{21d}R^{22d} (wherein R^{21d} and R^{22d} each independently represent hydrogen or C₁-C₆ alkyl);

substituent (e):

hydroxyl;

oxo;

cyano;

-NR²¹R²² [wherein R²¹ and R²² each independently represent hydrogen or C₁-C₆ alkyl];

piperidyl;

C₁-C₆ alkoxy; and

C₁-C₆ alkyl {wherein the C₁-C₆ alkyl is optionally substituted with -NR^{51e}R^{52e} [wherein R^{51e} and R^{52e} each independently represent hydrogen or -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl)]}; and

substituent (f):

C₁-C₆ alkyl {wherein the C₁-C₆ alkyl is optionally substituted with -NR^{51f}R^{52f} [wherein R^{51f} and R^{52f} each independently represent hydrogen, C₁-C₆ alkyl, or -COOR⁵⁶ (wherein R⁵⁶ represents C₁-C₆ alkyl)]}; and

C₁-C₆ alkylsulfonyl.

111. The compound of any one of above 102-110, or a pharmaceutically acceptable salt thereof, wherein R⁶ is hydrogen; hydroxyl; C₁-C₆ alkyl; phenyl which is optionally substituted with 1 to 3 hydroxyls; piperidyl which is optionally substituted with amino; or piperazinyl.

112. The compound of above 111, or a pharmaceutically acceptable salt thereof, wherein R⁷ is hydrogen.

113. The compound of any one of above 102-111, or a pharmaceutically acceptable salt thereof, wherein R⁷ is

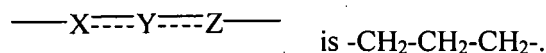
hydrogen;

C₁-C₆ alkyl {wherein the C₁-C₆ alkyl is optionally substituted with hydroxyl, -NR^{71A}R^{72A} [wherein R^{71A} and R^{72A} each independently represent hydrogen, C₁-C₆ alkyl (wherein the C₁-C₆ alkyl is optionally substituted with dimethylamino), C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with amino), or piperidyl], or 3- to 8-membered heterocycloalkyl selected from the group consisting of piperidyl and morpholinyl (wherein the 3- to 8-membered heterocycloalkyl is optionally substituted with C₁-C₆ aminoalkyl)}];

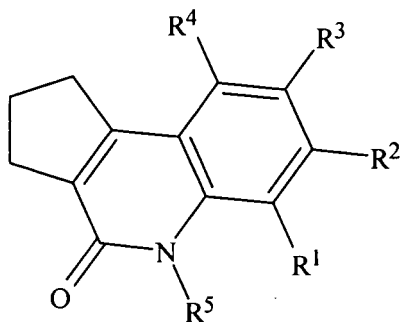
phenyl which is optionally substituted with 1 to 2 hydroxyls; or

-COR^{73A} {wherein R^{73A} represents piperidyl (wherein the piperidyl is optionally substituted with amino), or -NR^{74A}R^{75A} [wherein R^{74A} and R^{75A} each independently represent hydrogen, piperidyl, or C₃-C₁₀ cycloalkyl (wherein the C₃-C₁₀ cycloalkyl is optionally substituted with amino)]}.

114. The compound of above 101, or a pharmaceutically acceptable salt thereof, wherein



Specifically, the compound of above 1 which have a following formula III, or a pharmaceutically acceptable salt thereof:



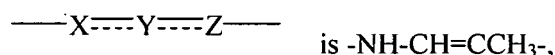
III

115. The compound of above 114, or a pharmaceutically acceptable salt thereof, wherein R^1 , R^2 and R^5 are hydrogen.

116. The compound of above 114 or 115, or a pharmaceutically acceptable salt thereof, wherein R^3 is hydroxyl or methoxy.

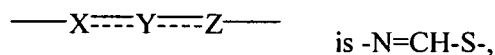
117. The compound of any one of above 114-116, or a pharmaceutically acceptable salt thereof, wherein R^4 is hydrogen, phenyl [wherein the phenyl is substituted with C_1 - C_6 alkyl substituted with $-\text{NR}^{51A}\text{R}^{52A}$ (wherein R^{51A} and R^{52A} each independently represent hydrogen or C_1 - C_6 alkyl), or $-\text{SO}_2\text{NH}_2$], 1,2,3,6-tetrahydropyridyl, hydroxypyridyl, or methoxypyridyl.

118. The compound of above 101, or a pharmaceutically acceptable salt thereof, wherein



R^1 , R^2 , R^4 and R^5 are hydrogen, and
 R^3 is hydroxyl.

119. The compound of above 101, or a pharmaceutically acceptable salt thereof, wherein



R^1 , R^2 , R^4 and R^5 are hydrogen, and
 R^3 is methoxy.

120. A compound selected from the group consisting of:

(1): 8-methoxy-5-methylthieno[2,3-c]quinolin-4(5H)-one;

(2): 8-hydroxy-5-methylthieno[2,3-c]quinolin-4(5H)-one;

(3): 7,8-dihydroxythieno[2,3-c]quinolin-4(5H)-one;

(4): 7,8-dimethoxythieno[2,3-c]quinolin-4(5H)-one;

(5): 8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(6): 7,9-dimethoxythieno[2,3-c]quinolin-4(5H)-one;

(7): 7,9-dihydroxythieno[2,3-c]quinolin-4(5H)-one;

(8): 7,8,9-trimethoxythieno[2,3-c]quinolin-4(5H)-one;

(9): 8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(10): 7,8,9-trihydroxythieno[2,3-c]quinolin-4(5H)-one;

- (11): 9-(3-(2-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(12): 8-chlorothieno[2,3-c]quinolin-4(5H)-one;
(13): 4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;
(14): thieno[2,3-c]quinolin-4(5H)-one;
5 (15): 8-fluorothieno[2,3-c]quinolin-4(5H)-one;
(16): 8-nitrothieno[2,3-c]quinolin-4(5H)-one;
(17): 8-(3-aminopiperidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(18): 1-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(19): 1,8-dihydroxythieno[2,3-c]quinolin-4(5H)-one;
10 (20): 8-hydroxy-1-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(21): (R)-8-(3-aminopyrrolidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(22): (S)-8-(3-aminopyrrolidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(23): 8-(pyridin-3-yl)thieno[2,3-c]quinolin-4(5H)-one;
(24): 8-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
15 (25): 1-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(26): 1-(3-aminopiperidin-1-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(27): 8-morpholinothieno[2,3-c]quinolin-4(5H)-one ;
(28): 8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one;
(29): 8-hydroxy-2-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one;
20 (30):
8-hydroxy-4-oxo-N-(piperidin-3-yl)-4,5-dihydrothieno[2,3-c]quinoline-2-carboxamide;
(31): 8-hydroxy-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(32): 8-hydroxy-1-(piperazin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(33):
25 N-((1r,4r)-4-aminocyclohexyl)-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-2-carboxamide;
(34): 2-(3-aminopiperidine-1-carbonyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(35): 2-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(36):
30 2-(((1r,4r)-4-aminocyclohexylamino)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(37): 8-(piperazin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
(38): 8-hydroxy-1-methylthieno[2,3-c]quinolin-4(5H)-one;
(39):
2-(((2-(dimethylamino)ethylamino)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
35 (40): 8-hydroxy-2-((piperidin-3-ylamino)methyl)thieno[2,3-c]quinolin-4(5H)-one;
(41): 7-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(42): 9-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (43): 9-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(44): 1-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;
(45): 7-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(46): 8-hydroxy-1-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;
5 (47): 9-(3,5-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(48): 8-hydroxy-9-(3-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(49): 8-hydroxy-9-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(50): 9-(3,4-difluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(51): (S)-8-(3-aminopyrrolidin-1-yl)-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
10 (52): 5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)picolinonitrile;
(53): 9-(6-aminopyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(54): 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;
(55): 9-(3-fluoro-4-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(56): 8-hydroxy-2-(3-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
15 (57):
(R)-8-(3-aminopyrrolidin-1-yl)-2-(3,4-dihydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(58): 9-(3,4-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(59): 9-(4-fluoro-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(60): 8-hydroxy-9-(3-hydroxy-5-(trifluoromethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
20 (61): 8-hydroxy-9-(1H-indazol-6-yl)thieno[2,3-c]quinolin-4(5H)-one;
(62): 8-hydroxy-9-(3,4,5-trihydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(63): 9-(4-hydroxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(64): 9-(4-(1H-tetrazol-5-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(65): 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
25 (66): 9-(3-chloro-4-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(67): 9-(4-chloro-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(68): 9-(3,4-dichlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(69): 9-(4-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(70): 8-hydroxy-9-phenylthieno[2,3-c]quinolin-4(5H)-one;
30 (71): 9-(4-(difluoromethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(72): 9-(4-(aminomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(73): 9-(4-(aminomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(74): 9-(3-aminophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(75): 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
35 (76): 8-hydroxy-9-(3,4,5-trifluorophenyl)thieno[2,3-c]quinolin-4(5H)-one;

- (77):
N-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;
- (78): 8-methoxy-9-phenylthieno[2,3-c]quinolin-4(5H)-one;
- (79): 8-hydroxy-9-(naphthalen-2-yl)thieno[2,3-c]quinolin-4(5H)-one;
- 5 (80): 8-hydroxy-9-(4-(hydroxymethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (81): 2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;
- (82): 8-hydroxy-9-(4-(methylsulfonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (83): 8-hydroxy-9-(pyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (84): 8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- 10 (85): 8-hydroxy-9-(4-hydroxy-3-methoxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (86): 9-(3-fluoro-4-(morpholinomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (87): 9-(3-(aminomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (88): 9-(4-(aminomethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (89): 9-(3-(difluoromethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (90): 9-(3-(aminomethyl)phenyl)-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one;
- (91): 9-cyclohexenyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (92): 9-(3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (93): 9-(4-(2-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (94): 9-(3-(aminomethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (95): 9-(4-(aminomethyl)phenyl)-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one;
- (96): 9-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (97): 9-([1,2,4]triazolo[1,5-a]pyridin-6-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (98): 8-methoxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (99): 9-cyclohexenyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (100):
8-methoxy-9-(4-(2-(piperidin-1-yl)ethylamino)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (101):
9-(4-(aminomethyl)phenyl)-8-hydroxy-2-(morpholinomethyl)thieno[2,3-c]quinolin-4(5H)-one;
- 30 (102): 9-(1H-benzo[d]imidazol-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (103): 9-(4-(difluoromethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (104):
9-(4-(aminomethyl)phenyl)-8-methoxy-2-(morpholinomethyl)thieno[2,3-c]quinolin-4(5H)-one;
- 35 (105):
8-hydroxy-9-(4-(2-(piperidin-1-yl)ethylamino)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (106): 8-hydroxy-9-(4-(piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (107): 8-methoxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

(108): 8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

(109):

5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzo[d]oxazol-2(3H)-one;

(110): tert-butyl

4-(2-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylamino)ethyl)piperidine-1-carboxylate;

(111): 8-methoxy-9-(4-(piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(112):

8-hydroxy-9-(4-(4-(methylsulfonyl)piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(113):

8-hydroxy-9-(4-((piperidin-3-ylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(114):

N-(2-(dimethylamino)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(115):

9-(4-(3-(dimethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(116): 8-methoxy-9-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;

(117): 8-hydroxy-9-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;

(118): 8-methoxythiazolo[4,5-c]quinolin-4(5H)-one;

(119):

2-((4-(aminomethyl)piperidin-1-yl)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(120):

N-(2-(dimethylamino)ethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(121):

9-(4-(aminomethyl)phenyl)-8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

(122): (E)-butyl 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)acrylate;

(123): 8-methoxy-9-(1H-pyrrolo[2,3-b]pyridin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;

(124): 8-hydroxy-9-(1H-pyrrolo[2,3-b]pyridin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;

(125): N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)acetamide;

(126):

N-(2-aminoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(127):

N-(2-aminoethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(128): N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)acetamide;

(129):

4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide;

(130):

8-hydroxy-9-(4-(4-methylpiperazine-1-carbonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(131):

8-methoxy-9-(4-(4-methylpiperazine-1-carbonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

- (132):
8-hydroxy-9-(4-((4-methylpiperazin-1-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (133):
8-methoxy-9-(4-((4-methylpiperazin-1-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 5 (134): (E)-9-(3-(diethylamino)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (135):
(E)-9-(3-(4-(aminomethyl)piperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (136):
(E)-9-(3-(2-(diethylamino)ethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (137):
N-(4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)phenyl)methanesulfonamide;
- 15 (138): 9-(2-(dimethylamino)pyrimidin-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (139): tert-butyl
(1-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)piperidin-4-yl)methylcarbamate;
- (140): 8-hydroxy-9-(4-(4-methylpiperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 20 (141): 8-methoxy-9-(4-(4-methylpiperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (142): 8-methoxy-9-(1-(methylsulfonyl)-1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (143): (E)-9-(3-(diethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (144): 9-(3-(4-(aminomethyl)piperidin-1-yl)propyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (145):
9-(4-(3-(2-(diethylamino)ethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (146): 9-(4-(3-(diethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 30 (147):
9-(4-(3-(2-(diethylamino)ethylamino)propoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (148):
(E)-9-(3-(4-(aminomethyl)piperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 35 (149):
9-(4-(3-(dimethylamino)propoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (150): 8-hydroxy-9-(4-(2-(piperidin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (151): 9-(4-(2-(ethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 40 (152):
(E)-9-(3-(4-aminopiperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

- (153):
9-(1-(2-aminoethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (154): 9-(4-(2-(ethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (155): 9-(4-(2-(diethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (156): 9-(4-(2-(diethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (157): 9-(4-(2-(dimethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (158): 9-(4-(2-(dimethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (159): 8-methoxy-9-(4-(2-(piperidin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 10 (160):
8-methoxy-9-(3-(2-(4-methylpiperazin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (161): 9-(3-(2-(diethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (162): 9-(3-(3-(diethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (163): 9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (164): 9-(4-((dimethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (165): 9-(4-((dimethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (166): 9-(3-(2-(diethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (167):
20 8-hydroxy-9-(3-(2-(4-methylpiperazin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (168):
N-ethyl-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenylmethoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenoxy)ethylmethanesulfonamide;
- 25 (169): 9-(4-(2-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (170): 2-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;
- (171): 2-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;
- (172):
30 9-(1-(2-(dimethylamino)ethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (173):
N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylmethanesulfonamide;
- (174):
35 9-(1-(2-(diethylamino)ethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (175): 9-(4-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (176): 9-(4-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (177):
N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylmethanesulfonamide;

(178):

N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylmethanesulfonamide;

(179):

N-(2-aminoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(180):

8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

(181):

9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

(182):

9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;

(183): 9-(4-((diethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(184): 9-(4-((diethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(185): 9-(3-(2-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(186): 9-(3-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(187): 8-hydroxy-9-(4-((methylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(188): 8-methoxy-9-(4-((methylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(189): 9-(4-amino-3-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(190): 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile;

(191): 9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(192): 9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(193):

N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide;

(194): 8-hydroxy-9-(4-(1-(pyrrolidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(195): 9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(196): 9-(4-(1-(diethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(197):

N-(2-aminoethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(198):

N-(2-(dimethylamino)ethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(199):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(pyrrolidin-3-yl)benzenesulfonamide;

(200):

N-(azetidin-3-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

- (201): 9-(4-(2-(diethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (202):
2-amino-N-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfo
namide;
- 5 (203): 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile;
(204): 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile;
- (205):
(E)-9-(3-(3-aminopyrrolidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (206):
N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesul
fonamide;
- (207): 8-methoxy-9-(5-methoxypyridin-3-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (208):
8-methoxy-9-(5-methoxypyridin-3-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- 15 (209):
9-(4-(3-aminopyrrolidin-1-ylsulfonyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (210):
N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfo
namide;
- 20 (211): 9-(4-((diisopropylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (212):
N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)methanesulfonamide;
- (213): 9-(4-((isopropylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (214):
2-(dimethylamino)-N-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)et
hanesulfonamide;
- 25 (215):
2-amino-N-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfo
namide;
- 30 (216): 8-methoxy-9-(4-(1-(pyrrolidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (217): 9-(4-amino-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (218):
N-(2-methoxy-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanes
ulfonamide;
- 35 (219): 9-(3,5-difluoro-4-hydroxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (220):
N-(2-hydroxy-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanes
ulfonamide;
- 40 (221):
9-(4-((4-(aminomethyl)piperidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quin
olin-4(5H)-one;

- (222):
9-(4-(2-(dimethylamino)ethyl)phenyl)-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(223): 9-(3,5-difluoro-4-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(224):
5 6-fluoro-8-methoxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
(225):
9-(4-(1-(dimethylamino)ethyl)phenyl)-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(226):
9-(4-((diethylamino)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
10 (227):
(E)-9-(3-(3-hydroxypyrrolidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(228):
15 (E)-8-hydroxy-9-(3-(3-hydroxypyrrolidin-1-yl)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one;
(229): 8-hydroxy-9-(4-((isopropylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(230):
(E)-9-(3-(3-aminoazetidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(231):
20 (E)-8-methoxy-9-(3-(2-(methylsulfonyl)ethylamino)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one;
(232): (S)-9-(4-(1-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(233): (S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(234):
25 8-hydroxy-9-(5-hydroxypyridin-3-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
(235):
9-(4-((4-(aminomethyl)piperidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(236):
30 8-methoxy-9-(4-(1-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(237):
9-(4-((3-aminopyrrolidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
35 (238):
(E)-9-(3-(3-aminoazetidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(239): (E)-9-(3-(ethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(240):
40 9-(4-((3-aminopiperidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(241):
9-(4-((3-aminopyrrolidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (242):
9-(4-((3-aminopiperidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (243):
8-hydroxy-9-(4-(1-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (244): (E)-9-(3-(3-aminopiperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (245):
(E)-9-(3-(3-aminopyrrolidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (246):
(E)-9-(3-(3-aminopiperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (247):
(E)-8-hydroxy-9-(3-(2-(methylsulfonyl)ethylamino)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one;
- (248):
8-methoxy-9-(4-(2-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 20 (249):
2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;
- (250):
(E)-N-(1-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)allyl)azetidin-3-yl)methanesulfonamide;
- 25 (251):
4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide;
- (252):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide;
- 30 (253): tert-butyl
(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)furan-2-yl)methylcarbamate;
- (254):
N-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl)methanesulfonamide;
- 35 (255):
N-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl)methanesulfonamide;
- (256): 9-(4-(aminomethyl)phenyl)-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (257): 9-(4-(aminomethyl)phenyl)-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 40 (258):
6-fluoro-8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (259):
9-(4-((diethylamino)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (260): 8-methoxy-9-(4-(1-(piperidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

- (261):
2-(2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;
- (262): 8-hydroxy-9-(4-(1-(piperidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (263):
5 (E)-9-(3-(3-(dimethylamino)piperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (264):
(E)-9-(3-(3-(dimethylamino)pyrrolidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (265): 9-(4-(2-aminoethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(266): 9-(5-(aminomethyl)thiophen-2-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(267): 9-(4-((ethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (268):
(E)-9-(3-(4-aminopiperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (269): 9-(4-((ethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(270): 9-(4-(aminomethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (271):
9-(3-chloro-4-((diethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (272):
20 (R)-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (273): 9-(4-(3-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (274): (R)-9-(4-(1-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (275): (R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (276): 9-(4-(2-aminoethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (277):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (278):
9-(3-fluoro-4-((3-hydroxypyrrolidin-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 30 (279):
9-(3-fluoro-4-((3-hydroxypyrrolidin-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (280):
4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2,2,2-trifluoroethyl)benzenesulfonamide;
- 35 (281):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2,2,2-trifluoroethyl)benzenesulfonamide;
- (282):
40 N-(2-(dimethylamino)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

- (283):
8-hydroxy-9-(4-((2-(methylsulfonyl)ethylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 5 (284):
9-(3-(3-(dimethylamino)pyrrolidin-1-yl)propyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (285): 9-(1-(2-aminoethyl)-1H-pyrazol-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (286):
9-(3-chloro-4-((diethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (287):
4-(7-fluoro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;
- (288): 9-(3-acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (289):
15 2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzamide;
- (290): 3-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;
- (291): 9-(4-acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (292):
20 2-fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;
- (293):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzamide;
- (294): 1,1-diethyl-3-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylurea;
- 25 (295):
N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;
- (296): 9-(4-acetylphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (297):
N-(2-bromoethyl)-2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 30 (298):
9-(3-(3-(dimethylamino)piperidin-1-yl)propyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (299):
N-(2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide;
- 35 (300):
9-(3-fluoro-4-(2-(methylsulfonamido)ethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate;
- (301):
40 (R)-N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide;
- (302):
(R)-9-(4-(1-(methylsulfonamido)ethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate;

- (303):
2-fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 5 (304):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide;
- (305):
9-(4-(2-(dimethylamino)ethyl)phenyl)-7-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (306):
N-(2-bromoethyl)-4-(7-fluoro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (307):
4-(7-fluoro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;
- 15 (308):
9-(4-(1-(dimethylamino)-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (309):
N-(2-chloro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)-N-methylmethanesulfonamide;
- 20 (310):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-methoxyethyl)benzenesulfonamide;
- (311):
25 (E)-3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylacrylonitrile;
- (312):
N-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide;
- (313): 8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 30 (314): 9-(4-(1-(cyclopentylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (315):
9-(4-(1-(cyclopentylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (316):
35 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;
- (317): 9-(5-(aminomethyl)furan-2-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (318):
9-(3-chloro-4-((methylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (319): 9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 40 (320):
N-(3-hydroxypropyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(321):

2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;

(322):

5 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3-hydroxypropyl)benzenesulfonamide;

(323):

N-(3-bromopropyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(324):

10 2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-methoxyethyl)benzenesulfonamide;

(325):

9-(3-chloro-4-((methylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

15 (326): 9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;

(327):

9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(328): 9-(4-(aminomethyl)phenyl)-6,7-dichloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(329): 9-(4-(aminomethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

20 (330): 9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl trifluoromethanesulfonate;

(331): 9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(332):

25 N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(333):

N-(2-fluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(334):

30 9-(4-(2-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(335):

(S)-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(336): 9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(337): 9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

35 (338): 9-(4-(1-(diethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(339): 9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(340): 9-amino-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(341):

40 9-(4-(1-(dimethylamino)ethyl)phenyl)-6,7-difluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (342):
9-(4-(1-(dimethylamino)ethyl)phenyl)-6,7-difluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (343):
N-cyclopropyl-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (344):
N-cyclopropyl-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 10 (345): 9-(2-amino-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(346): 9-(4-(1-(dimethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (347):
(S)-N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide;
- 15 (348):
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (349):
9-(4-(1-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (350):
N-(1-(hydroxymethyl)cyclopentyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (351):
9-(2-(diethylamino)-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (352):
9-(2-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (353): 8-hydroxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (354): 8-methoxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one;
- 30 (355): 3-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;
- (356):
9-(4-(1-(diethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (357):
1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropanecarbonitrile;
- 35 (358):
9-(2-ethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (359): 9-(4-(1-aminoethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (360): 3-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;
- 40 (361):
1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropanecarbonitrile;
- (362): 9-(2-amino-2,3-dihydro-1H-inden-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

- (363):
N-isopentyl-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 5 (364):
9-(2-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (365): 9-(4-(1-(ethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (366):
6-chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (367): 9-(4-(cyclopropanecarbonyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (368): 9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carboxamide;
- (369): 9-(2-aminoethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (370): 8-hydroxy-9-(4-(2-hydroxyethylsulfonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (371): 9-(4-(2-hydroxyethylsulfonyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (372): 9-(1-ethylindolin-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (373): 9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (374):
8-hydroxy-9-(2-methyl-1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (375): 9-(4-(1-aminoethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (376): 8-hydroxy-9-(1-methylindolin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (377): 8-hydroxy-9-(indolin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (378): 9-(indolin-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (379):
9-(4-(1-((dimethylamino)methyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (380):
4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-propylbenzenesulfonamide;
- (381):
N-(cyclopropylmethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 30 (382):
N-(3,3-dimethylbutyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (383):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-isopentylbenzenesulfonamide;
- 35 (384):
N-(3,3-dimethylbutyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 40 (385): 9-(4-(1-(ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(386):

3-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-oxopropanenitrile;

(387): (E)-9-(2-ethoxyvinyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(388):

N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)acetamide;

(389):

4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3,3,3-trifluoropropyl)benzenesulfonamide;

(390):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(1-(hydroxymethyl)cyclopentyl)benzenesulfonamide;

(391):

N-(2,2-difluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

or a pharmaceutically acceptable salt thereof.

121. A pharmaceutical composition comprising at least one compound of any one of above 101-120 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

122. The pharmaceutical composition of above 121 which is available for preventing or treating a PBK dependent disease.

123. The pharmaceutical composition of above 122, wherein the PBK dependent disease is cancer.

124. A PBK inhibitor comprising at least one compound of any one of above 101-120, or a pharmaceutically acceptable salt thereof.

125. A method for treating a PBK dependent disease in a subject, comprising administering to said subject an effective amount of a compound or a pharmaceutically acceptable salt thereof of any one of above 101-120.

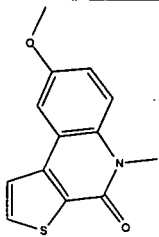
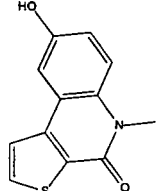
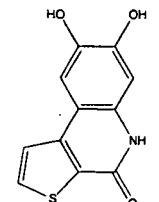
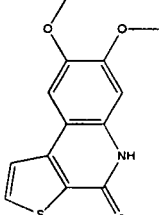
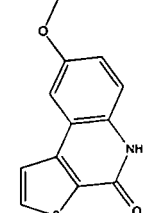
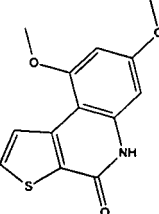
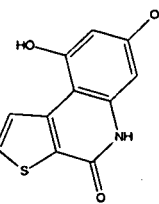
126. A compound or a pharmaceutically acceptable salt thereof of any one of above 101-120 for use in a treatment of a PBK dependent disease.

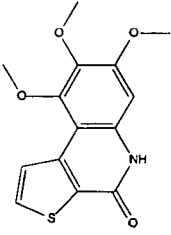
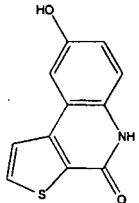
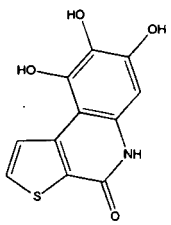
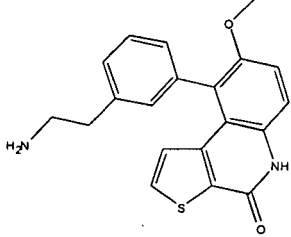
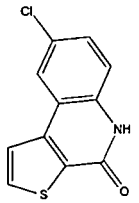
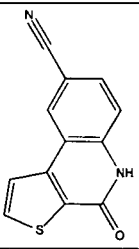
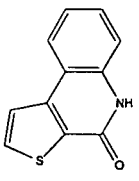
127. Use of a compound of any one of above 101-120 or a pharmaceutically acceptable salt thereof in manufacturing a pharmaceutical composition for treating a PBK dependent disease.

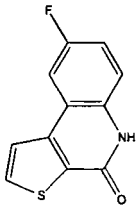
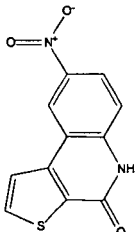
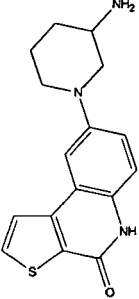
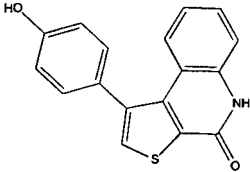
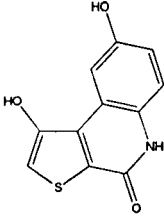
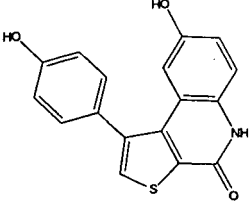
Preferred compounds include those selected from the group consisting of: Example Nos. 1-391 listed in Table 1 below; and the pharmaceutically acceptable salts, prodrugs, hydrates and solvates of the forgoing compounds.

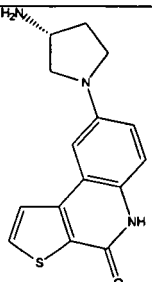
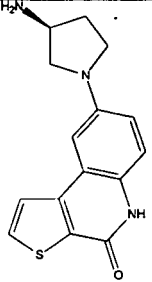
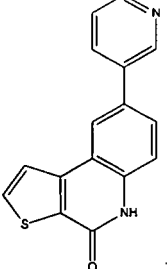
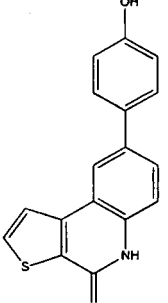
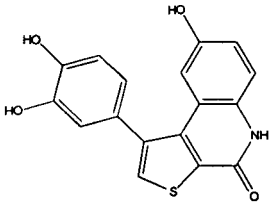
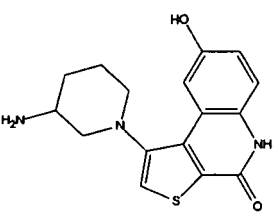
Table 1-1(Examples 1-391)

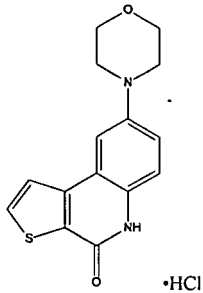
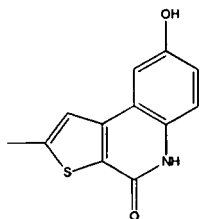
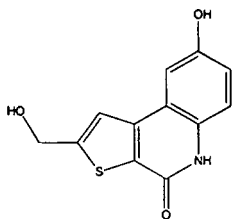
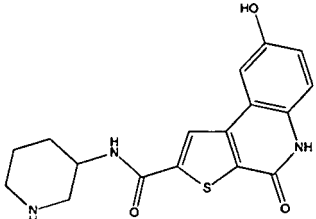
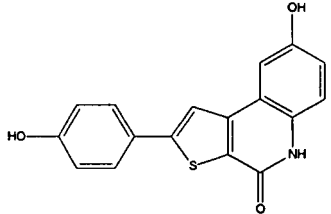
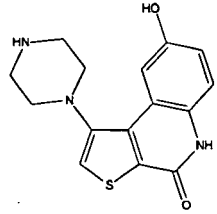
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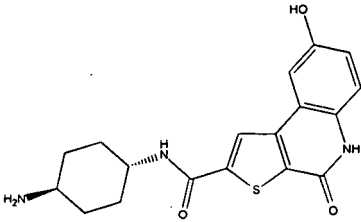
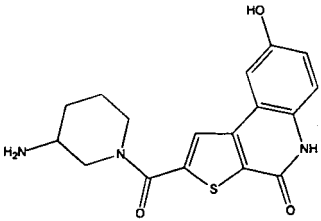
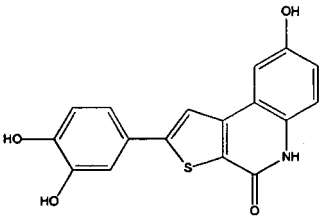
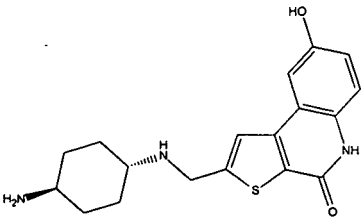
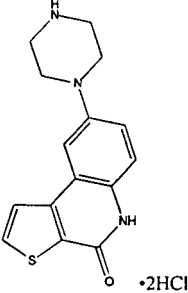
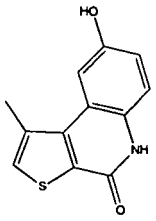
1		8-methoxy-5-methylthieno[2,3-c] quinolin-4(5H)-one
2		8-hydroxy-5-methylthieno[2,3-c] quinolin-4(5H)-one
3		7,8-dihydroxythieno[2,3-c] quinolin-4(5H)-one
4		7,8-dimethoxythieno[2,3-c] quinolin-4(5H)-one
5		8-methoxythieno[2,3-c] quinolin-4(5H)-one
6		7,9-dimethoxythieno[2,3-c] quinolin-4(5H)-one
7		7,9-dihydroxythieno[2,3-c] quinolin-4(5H)-one

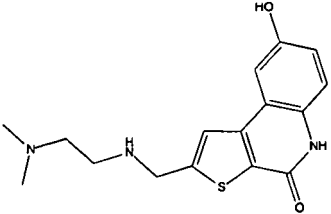
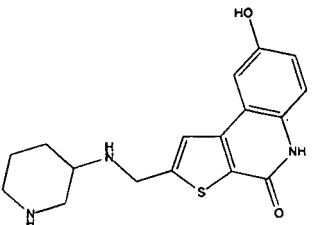
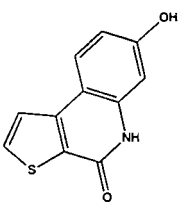
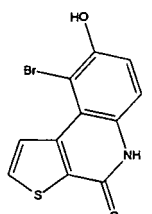
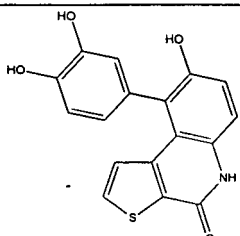
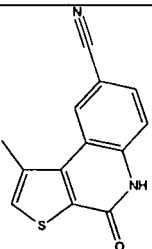
8		7,8,9-trimethoxythieno[2,3-c] quinolin-4(5H)-one
9		8-hydroxythieno[2,3-c] quinolin-4(5H)-one
10		7,8,9-trihydroxythieno[2,3-c] quinolin-4(5H)-one
11		9-(3-(2-aminoethyl)phenyl)-8- methoxythieno[2,3-c] quinolin-4(5H)-one
12		8-chlorothieno[2,3-c] quinolin-4(5H)-one
13		4-oxo-4,5-dihydrothieno[2,3-c] quinoline-8-carbonitrile
14		thieno[2,3-c]quinolin-4(5H)-one

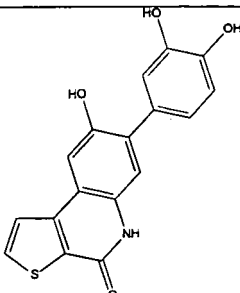
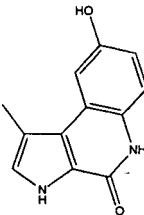
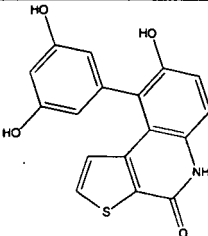
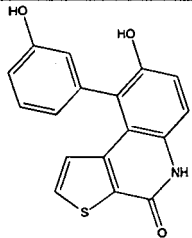
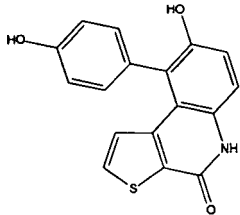
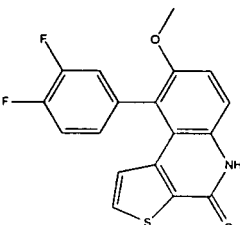
15		8-fluorothieno[2,3-c]quinolin-4(5H)-one
16		8-nitrothieno[2,3-c]quinolin-4(5H)-one
17		8-(3-aminopiperidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one
18		1-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one
19		1,8-dihydroxythieno[2,3-c]quinolin-4(5H)-one
20		8-hydroxy-1-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one

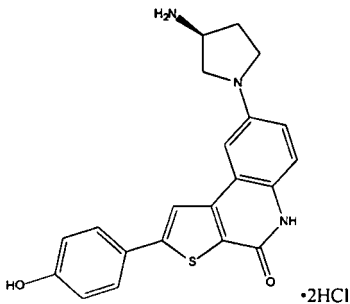
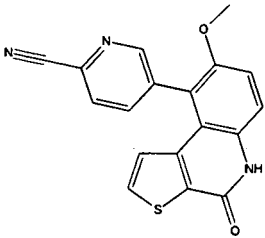
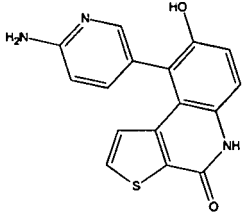
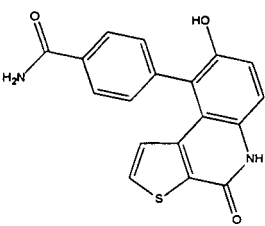
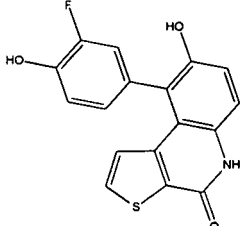
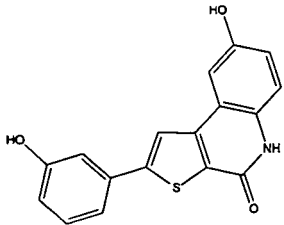
21		(R)-8-(3-aminopyrrolidin-1-yl)thieno [2,3-c]quinolin-4(5H)-one
22		(S)-8-(3-aminopyrrolidin-1-yl)thieno [2,3-c]quinolin-4(5H)-one
23		8-(pyridin-3-yl)thieno[2,3-c] quinolin-4(5H)-one
24		8-(4-hydroxyphenyl)thieno[2,3-c] quinolin-4(5H)-one
25		1-(3,4-dihydroxyphenyl)-8-hydroxy thieno[2,3-c]quinolin-4(5H)-one
26		1-(3-aminopiperidin-1-yl)-8-hydroxy thieno[2,3-c]quinolin-4(5H)-one

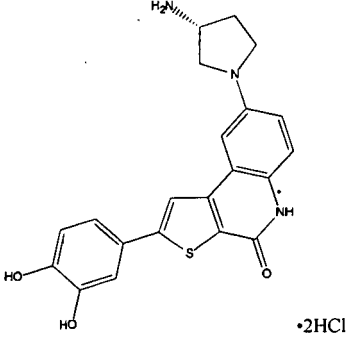
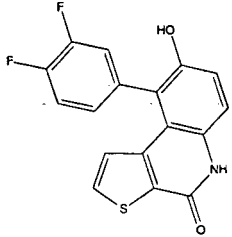
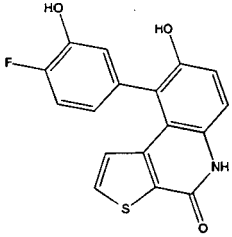
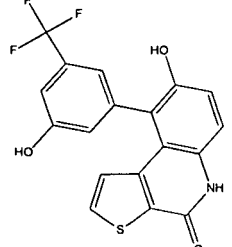
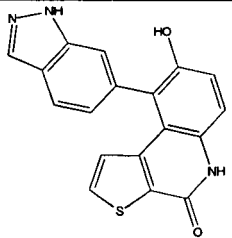
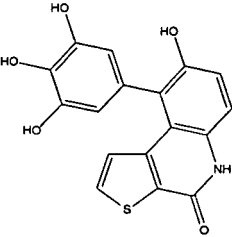
27		8-morpholinothieno[2,3-c]quinolin-4(5H)-one hydrochloride
28		8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one
29		8-hydroxy-2-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one
30		8-hydroxy-4-oxo-N-(piperidin-3-yl)-4,5-dihydrothieno[2,3-c]quinoline-2-carboxamide
31		8-hydroxy-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one
32		8-hydroxy-1-(piperazin-1-yl)thieno[2,3-c]quinolin-4(5H)-one

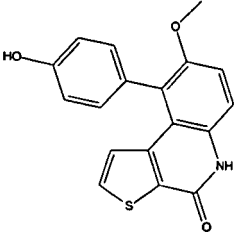
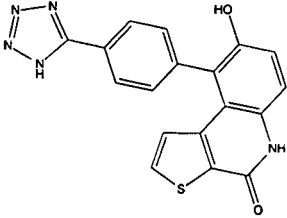
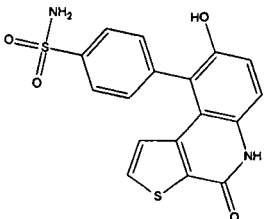
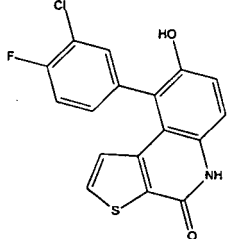
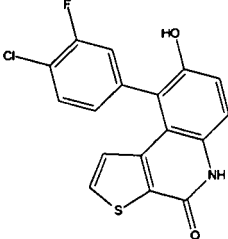
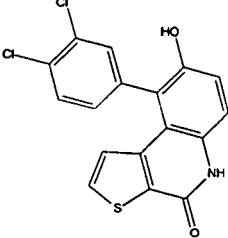
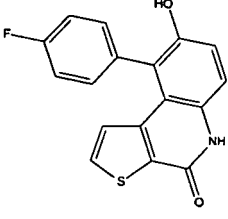
33		N-((1R,4R)-4-aminocyclohexyl)-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-2-carboxamide
34		2-(3-aminopiperidine-1-carbonyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
35		2-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
36		2-(((1R,4R)-4-aminocyclohexylamino)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
37		8-(piperazin-1-yl)thieno[2,3-c]quinolin-4(5H)-one dihydrochloride
38		8-hydroxy-1-methylthieno[2,3-c]quinolin-4(5H)-one

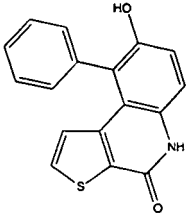
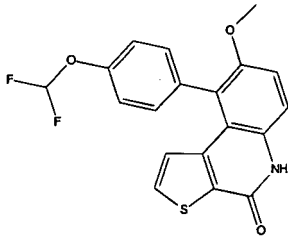
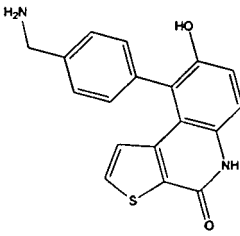
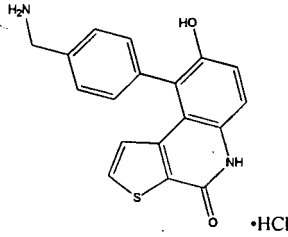
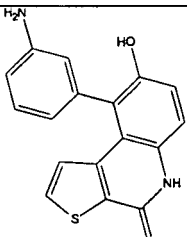
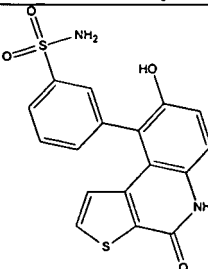
39		2-((2-(dimethylamino)ethylamino)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
40		8-hydroxy-2-((piperidin-3-ylamino)methyl)thieno[2,3-c]quinolin-4(5H)-one
41		7-hydroxythieno[2,3-c]quinolin-4(5H)-one
42		9-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
43		9-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
44		1-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile

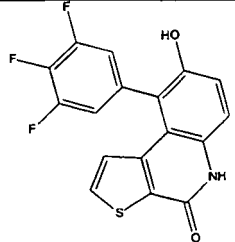
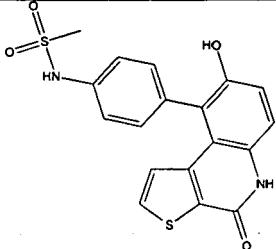
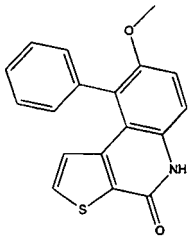
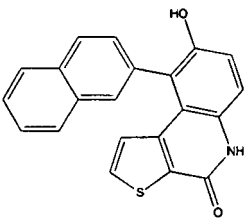
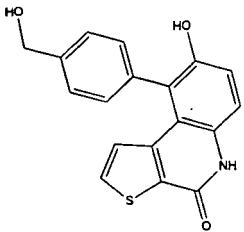
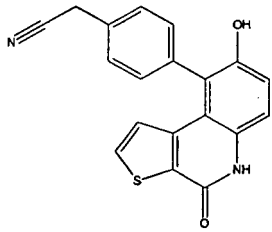
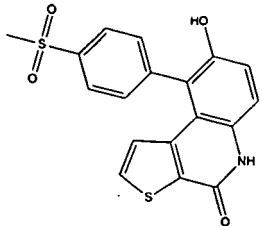
45		7-(3,4-dihydroxyphenyl)-8-hydroxy thieno[2,3-c]quinolin-4(5H)-one
46		8-hydroxy-1-methyl-3H-pyrrolo [2,3-c]quinolin-4(5H)-one
47		9-(3,5-dihydroxyphenyl)-8-hydroxy thieno[2,3-c]quinolin-4(5H)-one
48		8-hydroxy-9-(3-hydroxyphenyl) thieno[2,3-c]quinolin-4(5H)-one
49		8-hydroxy-9-(4-hydroxyphenyl) thieno[2,3-c]quinolin-4(5H)-one
50		9-(3,4-difluorophenyl)-8-methoxy thieno[2,3-c]quinolin-4(5H)-one

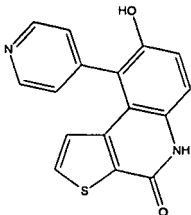
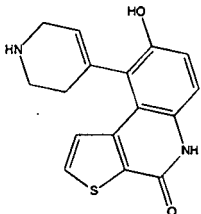
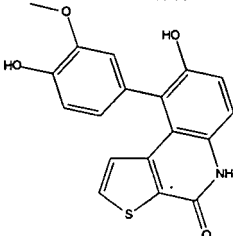
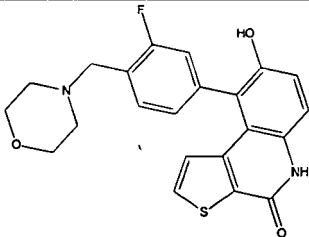
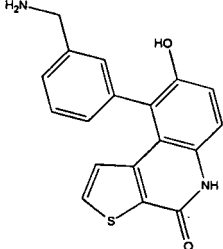
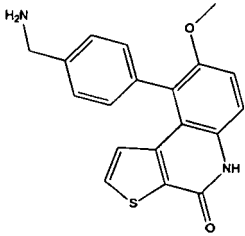
51		(S)-8-(3-aminopyrrolidin-1-yl)-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one dihydrochloride
52		5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)picolinonitrile
53		9-(6-aminopyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
54		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide
55		9-(3-fluoro-4-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
56		8-hydroxy-2-(3-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one

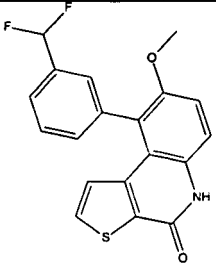
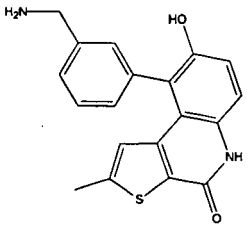
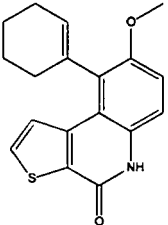
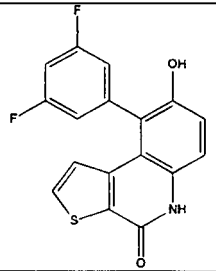
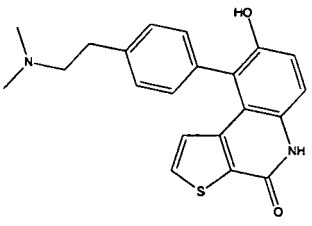
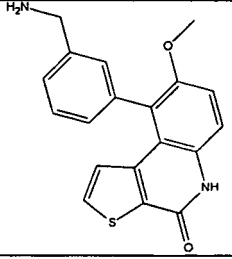
57	 •2HCl	(R)-8-(3-aminopyrrolidin-1-yl)-2-(3,4-dihydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one dihydrochloride
58		9-(3,4-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
59		9-(4-fluoro-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
60		8-hydroxy-9-(3-hydroxy-5-(trifluoromethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
61		8-hydroxy-9-(1H-indazol-6-yl)thieno[2,3-c]quinolin-4(5H)-one
62		8-hydroxy-9-(3,4,5-trihydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one

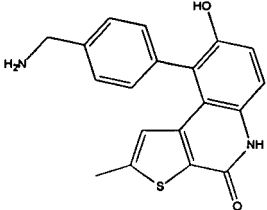
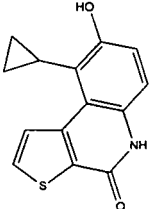
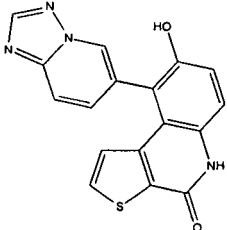
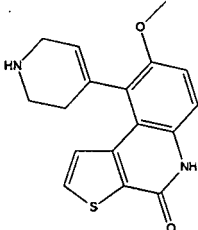
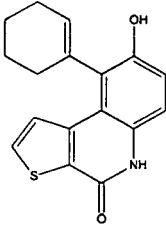
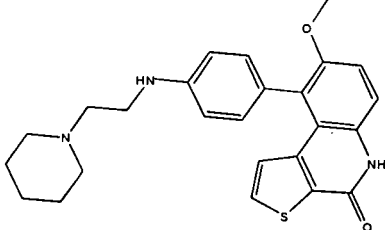
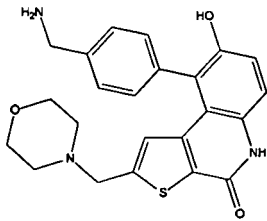
63		9-(4-hydroxyphenyl)-8-methoxy thieno[2,3-c]quinolin-4(5H)-one
64		9-(4-(1H-tetrazol-5-yl)phenyl)-8- hydroxythieno[2,3-c] quinolin-4(5H)-one
65		4-(8-hydroxy-4-oxo-4,5-dihydro thieno[2,3-c]quinolin-9-yl) benzenesulfonamide
66		9-(3-chloro-4-fluorophenyl)-8- hydroxythieno[2,3-c] quinolin-4(5H)-one
67		9-(4-chloro-3-fluorophenyl)-8- hydroxythieno[2,3-c] quinolin-4(5H)-one
68		9-(3,4-dichlorophenyl)-8-hydroxy thieno[2,3-c]quinolin-4(5H)-one
69		9-(4-fluorophenyl)-8-hydroxythieno [2,3-c]quinolin-4(5H)-one

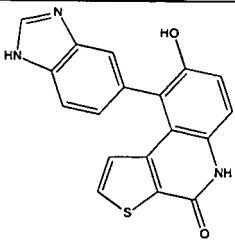
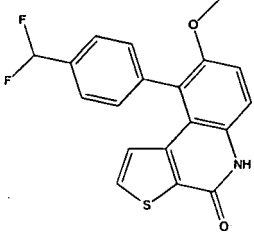
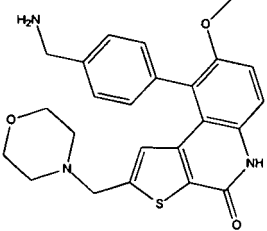
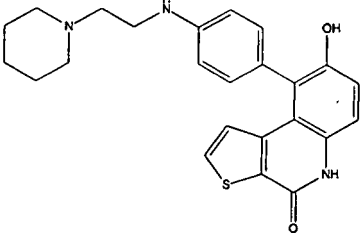
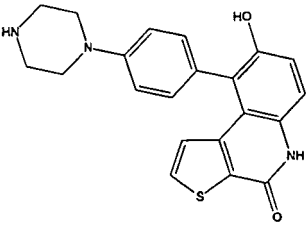
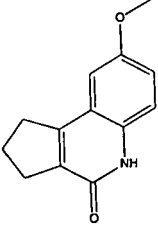
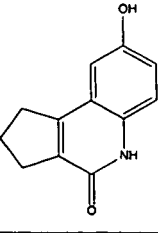
70		8-hydroxy-9-phenylthieno[2,3-c] quinolin-4(5H)-one
71		9-(4-(difluoromethoxy)phenyl)-8- methoxythieno[2,3-c] quinolin-4(5H)-one
72		9-(4-(aminomethyl)phenyl)-8- hydroxythieno[2,3-c] quinolin-4(5H)-one
73		9-(4-(aminomethyl)phenyl)-8- hydroxythieno[2,3-c] quinolin-4(5H)-one hydrochloride
74		9-(3-aminophenyl)-8-hydroxythieno [2,3-c]quinolin-4(5H)-one
75		3-(8-hydroxy-4-oxo-4,5-dihydro thieno[2,3-c]quinolin-9-yl) benzenesulfonamide

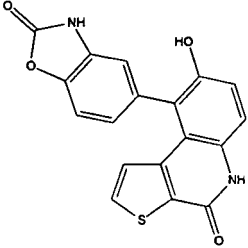
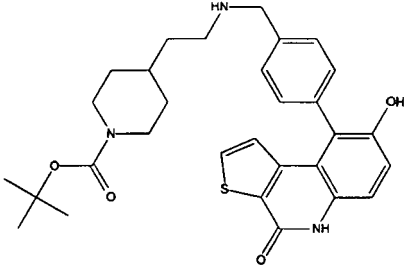
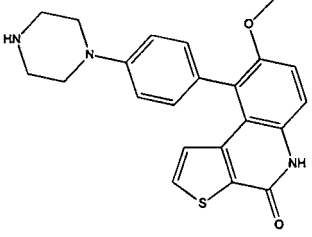
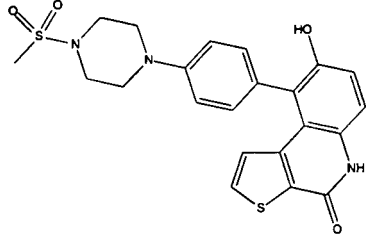
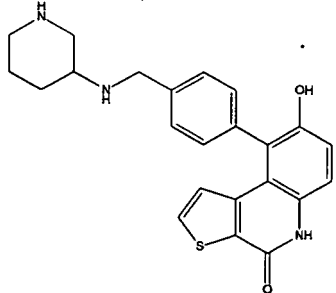
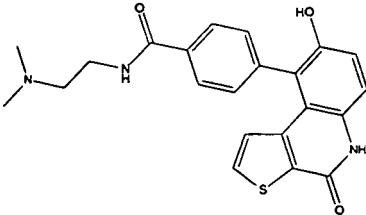
76		8-hydroxy-9-(3,4,5-trifluorophenyl) thieno[2,3-c]quinolin-4(5H)-one
77		N-(4-(8-hydroxy-4-oxo-4,5-dihydro thieno[2,3-c]quinolin-9-yl)phenyl) methanesulfonamide
78		8-methoxy-9-phenylthieno[2,3-c] quinolin-4(5H)-one
79		8-hydroxy-9-(naphthalen-2-yl)thieno [2,3-c]quinolin-4(5H)-one
80		8-hydroxy-9-(4-(hydroxymethyl) phenyl)thieno[2,3-c]quinolin- 4(5H)-one
81		2-(4-(8-hydroxy-4-oxo-4,5-dihydro thieno[2,3-c]quinolin-9-yl)phenyl) acetonitrile
82		8-hydroxy-9-(4-(methylsulfonyl) phenyl)thieno[2,3-c]quinolin- 4(5H)-one

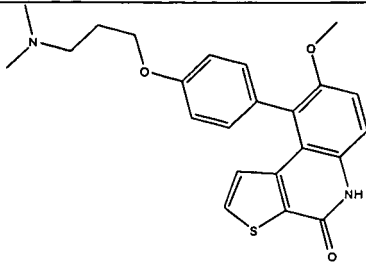
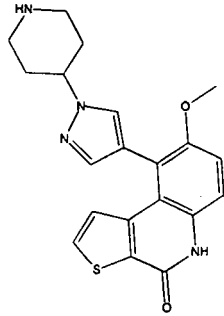
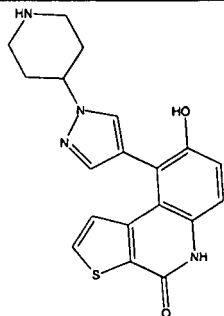
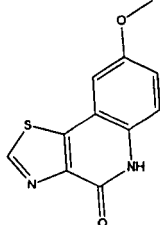
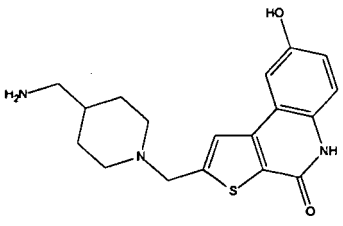
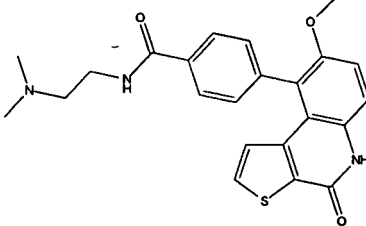
83		8-hydroxy-9-(pyridin-4-yl)thieno [2,3-c]quinolin-4(5H)-one
84		8-hydroxy-9-(1,2,3,6-tetrahydro pyridin-4-yl)thieno[2,3-c] quinolin-4(5H)-one
85		8-hydroxy-9-(4-hydroxy-3-methoxy phenyl)thieno[2,3-c]quinolin- 4(5H)-one
86		9-(3-fluoro-4-(morpholinomethyl) phenyl)-8-hydroxythieno[2,3-c] quinolin-4(5H)-one
87		9-(3-(aminomethyl)phenyl)-8- hydroxythieno[2,3-c]quinolin- 4(5H)-one
88		9-(4-(aminomethyl)phenyl)-8- methoxythieno[2,3-c]quinolin- 4(5H)-one

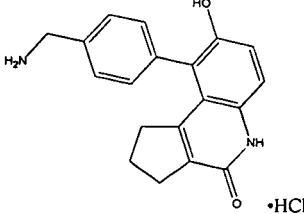
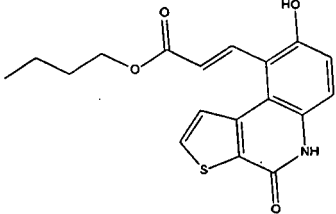
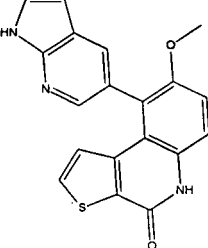
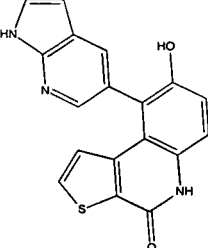
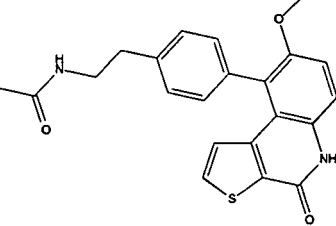
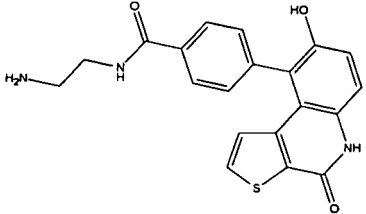
89		9-(3-(difluoromethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
90		9-(3-(aminomethyl)phenyl)-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one
91		9-cyclohexenyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one
92		9-(3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
93		9-(4-(2-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
94		9-(3-(aminomethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

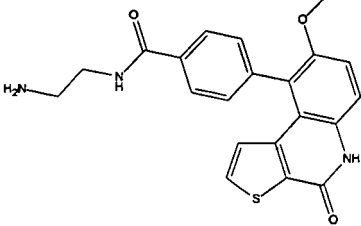
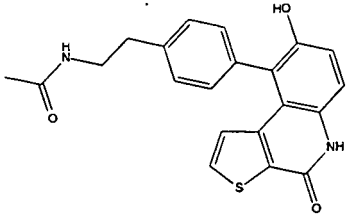
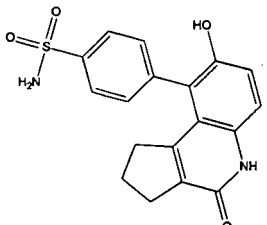
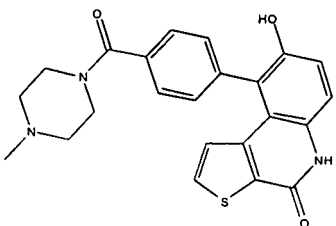
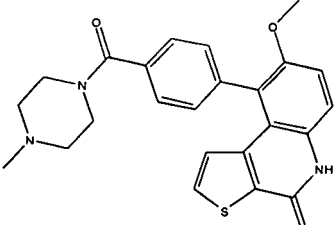
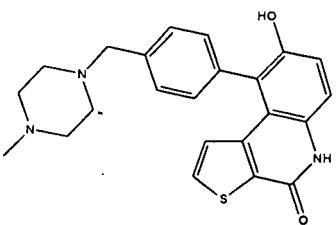
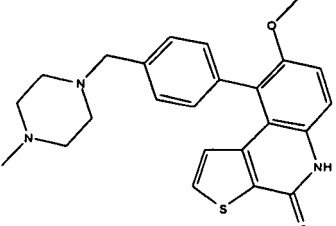
95		9-(4-(aminomethyl)phenyl)-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one
96		9-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
97		9-([1,2,4]triazolo[1,5-a]pyridin-6-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
98		8-methoxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one
99		9-cyclohexenyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
100		8-methoxy-9-(4-(2-(piperidin-1-yl)ethylamino)phenyl)thieno[2,3-c]quinolin-4(5H)-one
101		9-(4-(aminomethyl)phenyl)-8-hydroxy-2-(morpholinomethyl)thieno[2,3-c]quinolin-4(5H)-one

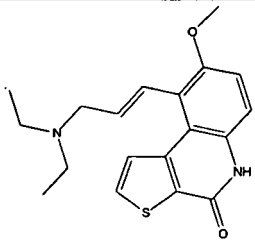
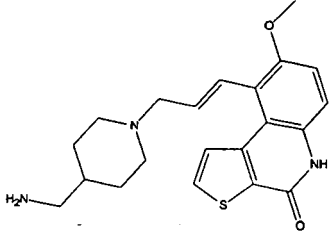
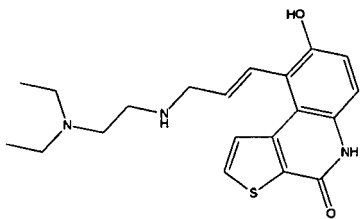
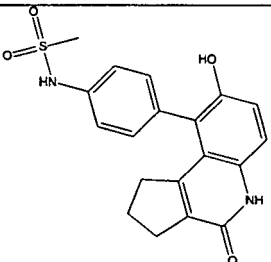
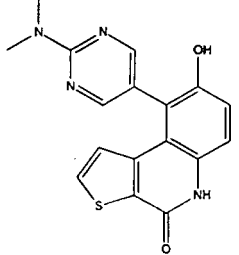
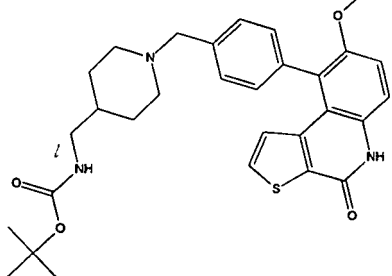
102		9-(1H-benzo[d]imidazol-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
103		9-(4-(difluoromethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
104		9-(4-(aminomethyl)phenyl)-8-methoxy-2-(morpholinomethyl)thieno[2,3-c]quinolin-4(5H)-one
105		8-hydroxy-9-(4-(2-(piperidin-1-yl)ethylamino)phenyl)thieno[2,3-c]quinolin-4(5H)-one
106		8-hydroxy-9-(4-(piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
107		8-methoxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one
108		8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one

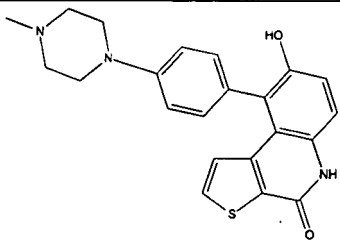
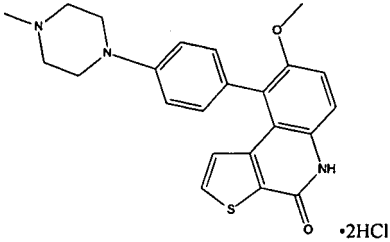
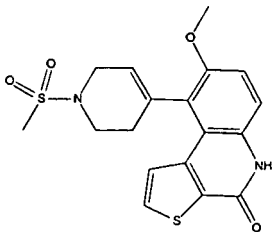
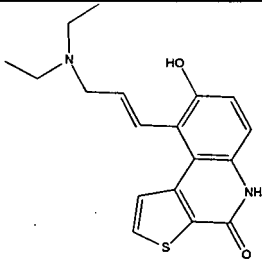
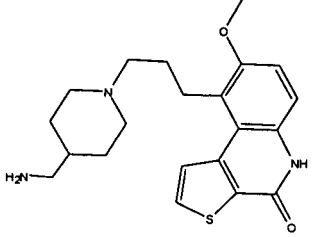
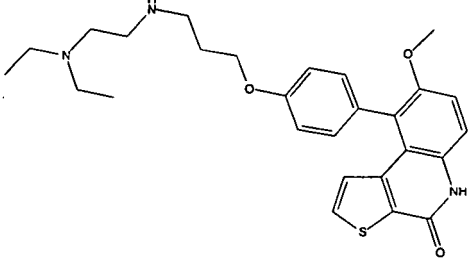
109		5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzo[d]oxazol-2(3H)-one
110		tert-butyl 4-(2-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylamino)ethyl)piperidine-1-carboxylate
111		8-methoxy-9-(4-(piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
112		8-hydroxy-9-(4-(4-(methylsulfonyl)piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
113		8-hydroxy-9-(4-((piperidin-3-ylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
114		N-(2-(dimethylamino)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide

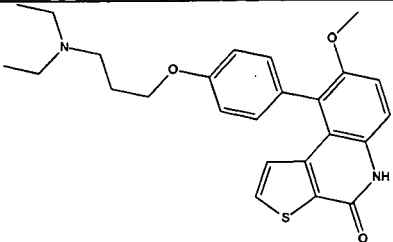
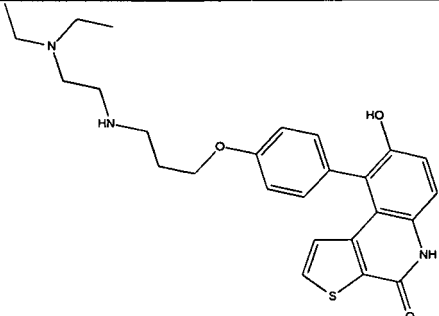
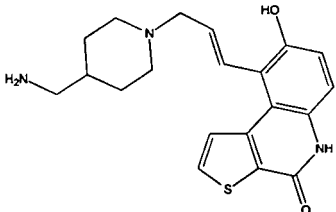
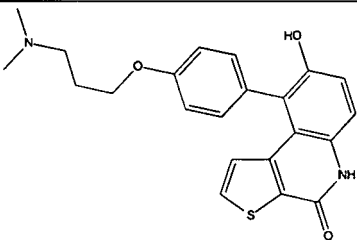
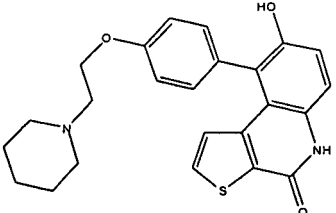
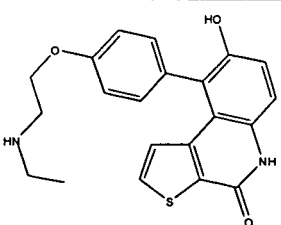
115		9-(4-(3-(dimethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
116		8-methoxy-9-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one
117		8-hydroxy-9-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one
118		8-methoxythiazolo[4,5-c]quinolin-4(5H)-one
119		2-((4-(aminomethyl)piperidin-1-yl)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
120		N-(2-(dimethylamino)ethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide

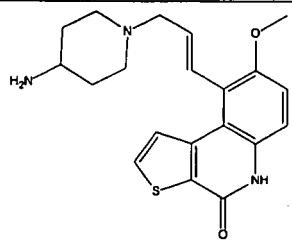
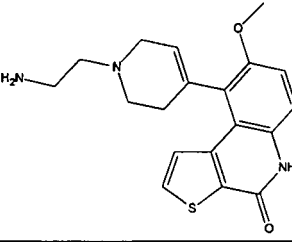
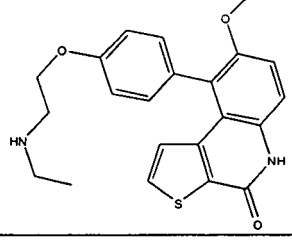
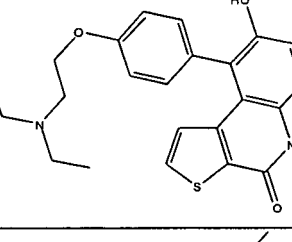
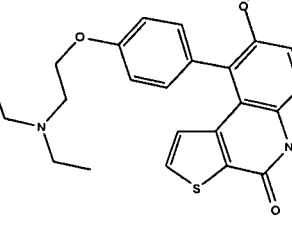
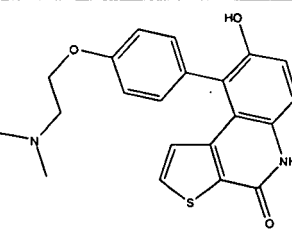
121		9-(4-(aminomethyl)phenyl)-8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one hydrochloride
122		(E)-butyl 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)acrylate
123		8-methoxy-9-(1H-pyrrolo[2,3-b]pyridin-5-yl)thieno[2,3-c]quinolin-4(5H)-one
124		8-hydroxy-9-(1H-pyrrolo[2,3-b]pyridin-5-yl)thieno[2,3-c]quinolin-4(5H)-one
125		N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl acetamide
126		N-(2-aminoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide

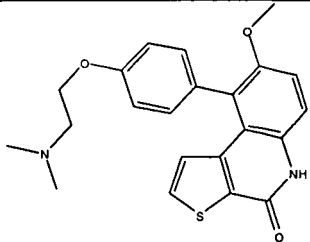
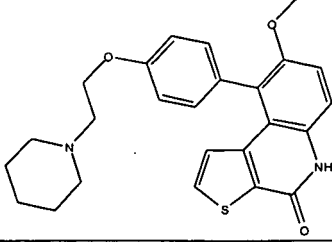
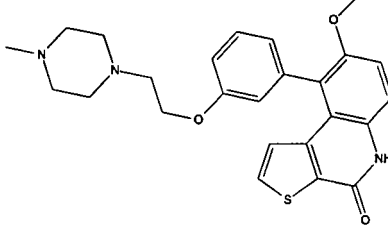
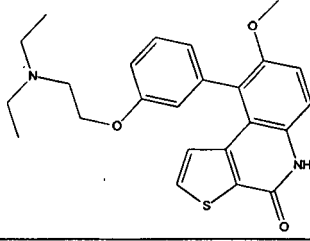
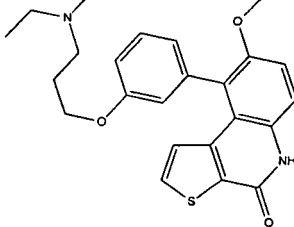
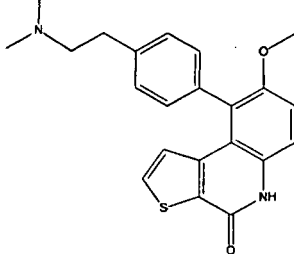
127		N-(2-aminoethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide
128		N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)acetamide
129		4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide
130		8-hydroxy-9-(4-(4-methylpiperazine-1-carbonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
131		8-methoxy-9-(4-(4-methylpiperazine-1-carbonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
132		8-hydroxy-9-(4-((4-methylpiperazin-1-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
133		8-methoxy-9-(4-((4-methylpiperazin-1-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

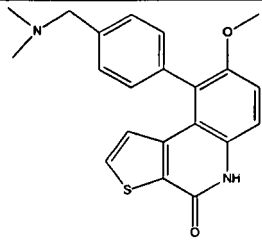
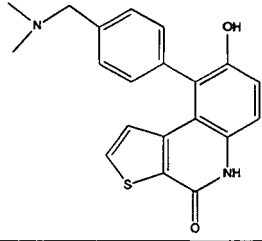
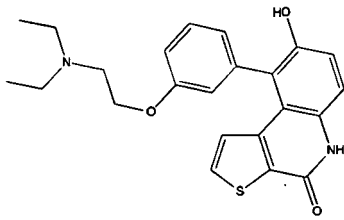
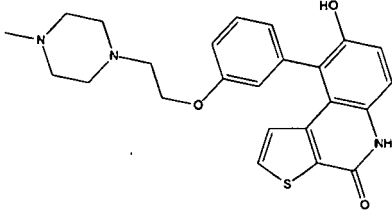
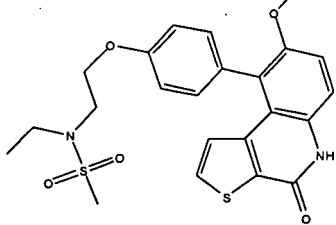
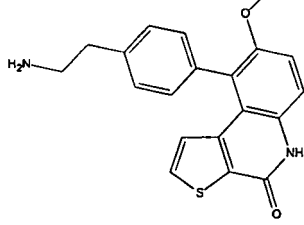
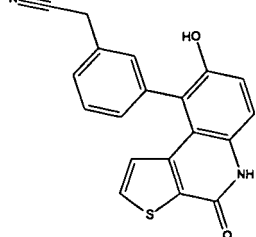
134		(E)-9-(3-(diethylamino)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
135		(E)-9-(3-(4-(aminomethyl)piperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
136		(E)-9-(3-(2-(diethylamino)ethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
137		N-(4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)phenyl)methanesulfonamide
138		9-(2-(dimethylamino)pyrimidin-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
139		tert-butyl (1-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)piperidin-4-yl)methyl carbamate

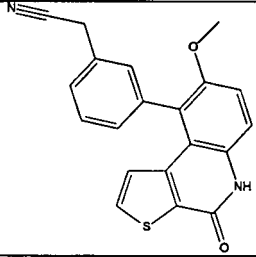
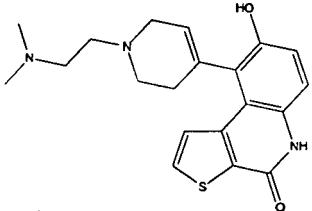
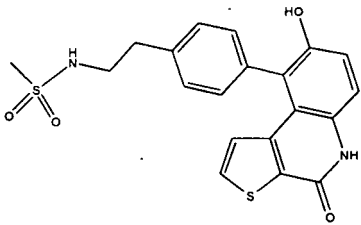
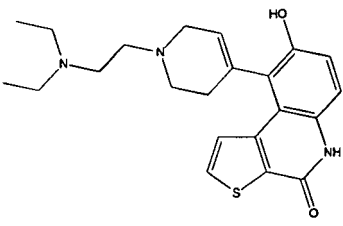
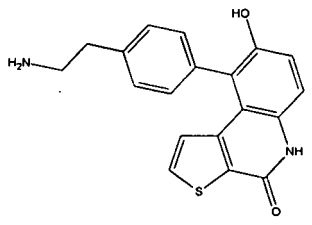
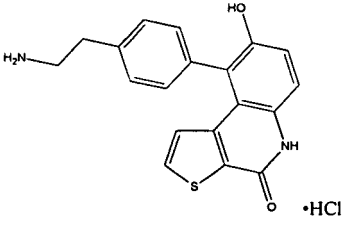
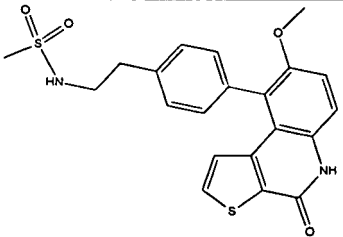
140		8-hydroxy-9-(4-(4-methylpiperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
141		8-methoxy-9-(4-(4-methylpiperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one dihydrochloride
142		8-methoxy-9-(1-(methylsulfonyl)-1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one
143		(E)-9-(3-(diethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
144		9-(3-(4-(aminomethyl)piperidin-1-yl)propyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
145		9-(4-(3-(2-(diethylamino)ethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

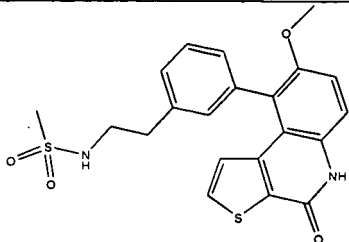
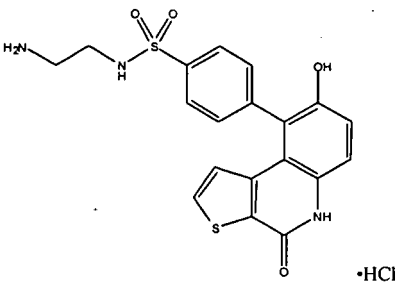
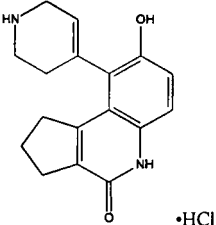
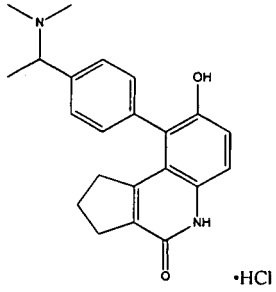
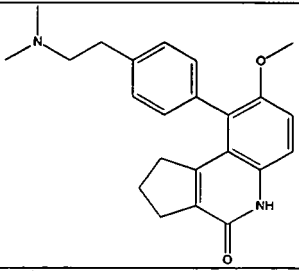
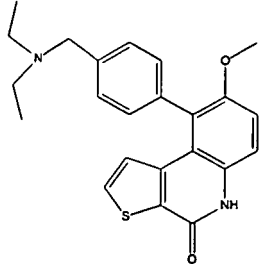
146		9-(4-(3-(diethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
147		9-(4-(3-(2-(diethylamino)ethylamino)propoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
148		(E)-9-(3-(4-(aminomethyl)piperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
149		9-(4-(3-(dimethylamino)propoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
150		8-hydroxy-9-(4-(2-(piperidin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one
151		9-(4-(2-(ethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

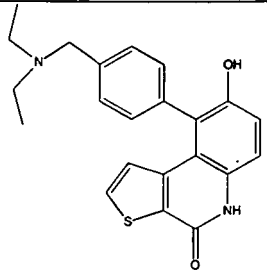
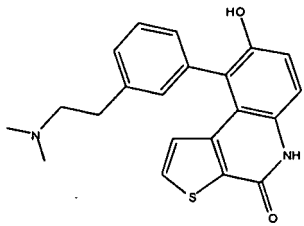
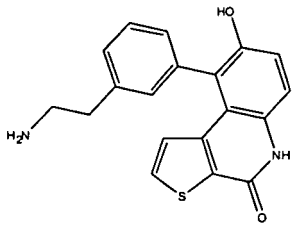
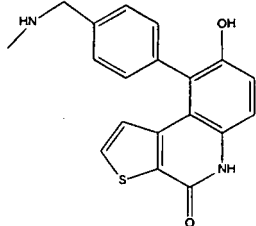
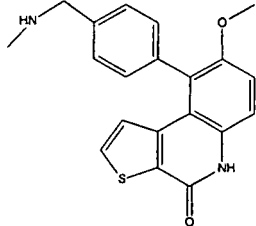
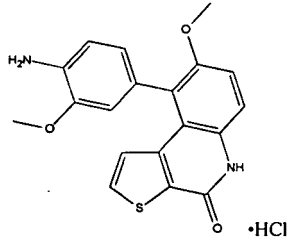
152		(E)-9-(3-(4-aminopiperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
153		9-(1-(2-aminoethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
154		9-(4-(2-(ethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
155		9-(4-(2-(diethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
156		9-(4-(2-(diethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
157		9-(4-(2-(dimethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

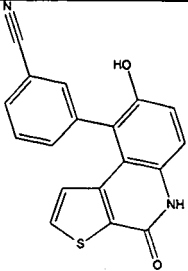
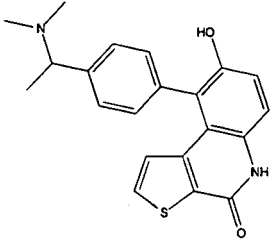
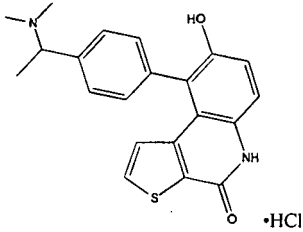
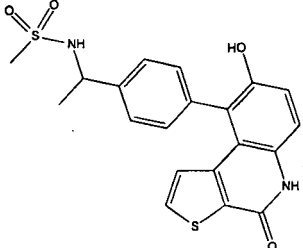
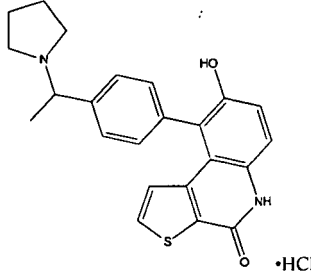
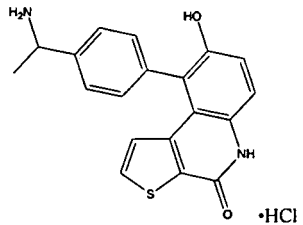
158		9-(4-(2-(dimethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
159		8-methoxy-9-(4-(2-(piperidin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one
160		8-methoxy-9-(3-(2-(4-methylpiperazin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one
161		9-(3-(2-(diethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
162		9-(3-(3-(diethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
163		9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

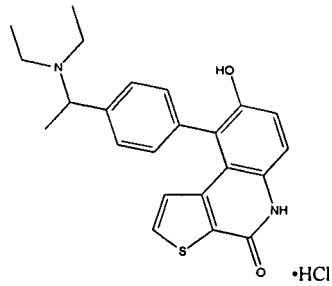
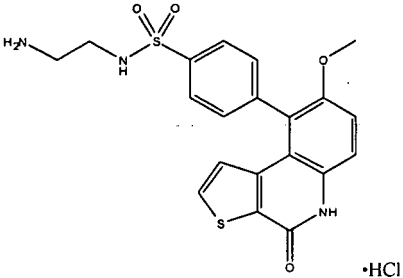
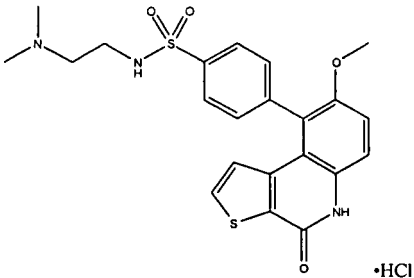
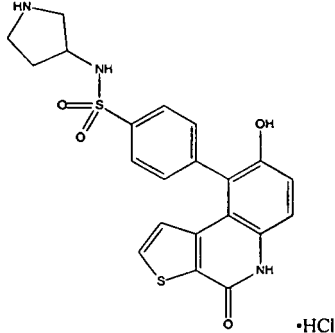
164		9-(4-((dimethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
165		9-(4-((dimethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
166		9-(3-(2-(diethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
167		8-hydroxy-9-(3-(2-(4-methylpiperazin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one
168		N-ethyl-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenylmethoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenoxy)ethyl)methanesulfonamide
169		9-(4-(2-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
170		2-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile

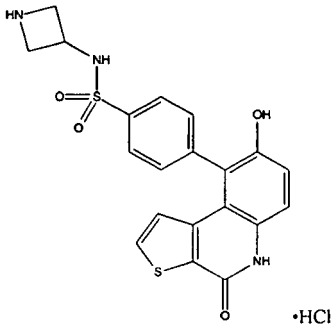
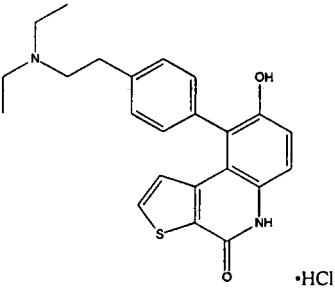
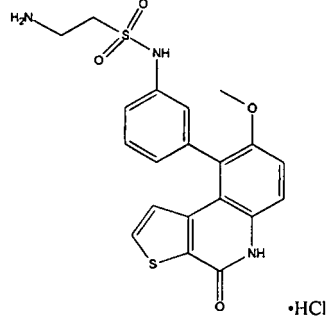
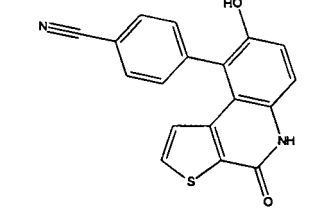
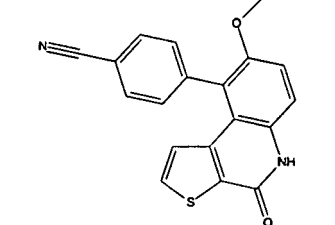
171		2-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile
172		9-(1-(2-(dimethylamino)ethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
173		N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl methanesulfonamide
174		9-(1-(2-(diethylamino)ethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
175		9-(4-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
176		9-(4-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
177		N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl methanesulfonamide

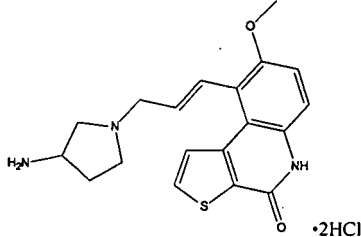
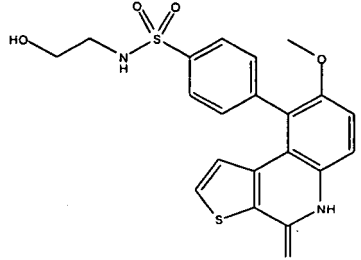
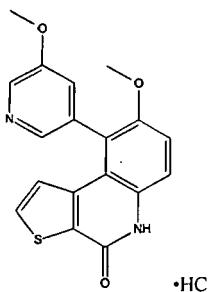
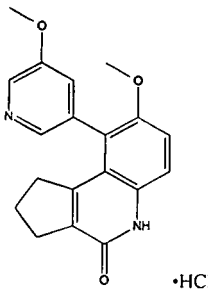
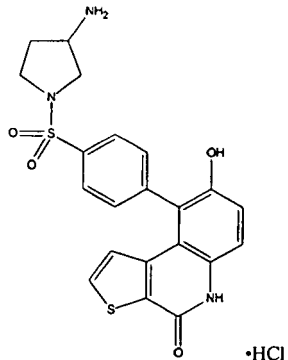
178		N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl methanesulfonamide
179		N-(2-aminoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide hydrochloride
180		8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one hydrochloride
181		9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one hydrochloride
182		9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one
183		9-(4-((diethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

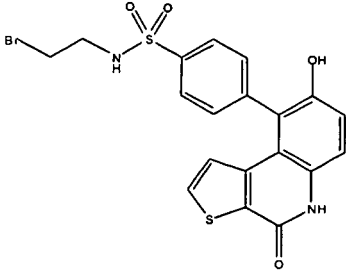
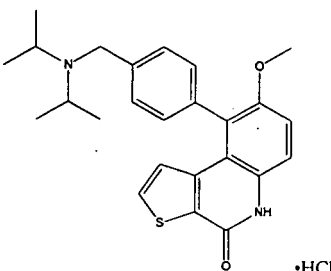
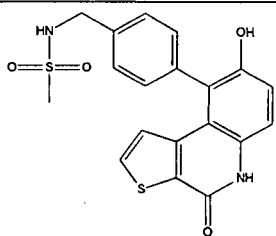
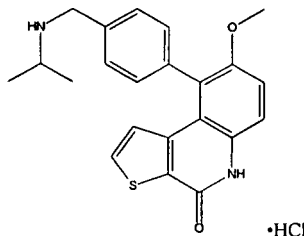
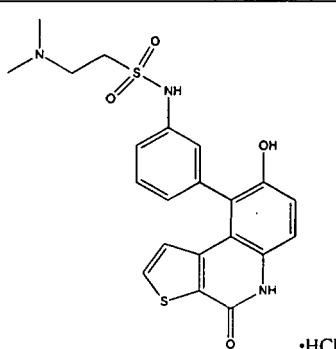
184		9-(4-((diethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
185		9-(3-(2-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
186		9-(3-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
187		8-hydroxy-9-(4-((methylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
188		8-methoxy-9-(4-((methylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
189		9-(4-amino-3-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

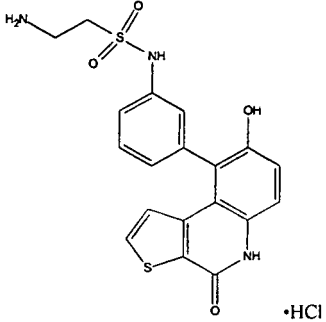
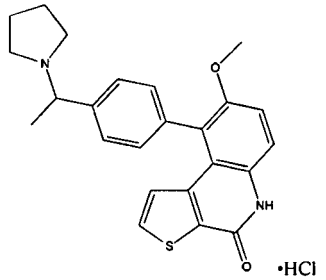
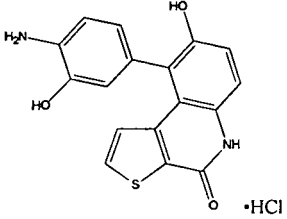
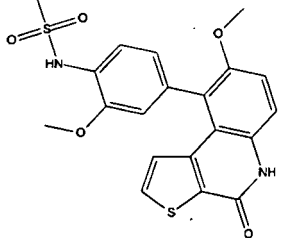
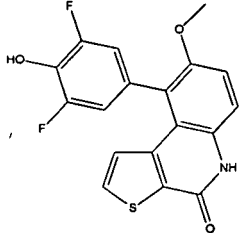
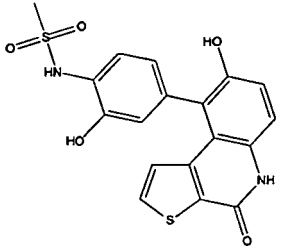
190		3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) benzonitrile
191		9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
192		9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
193		N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide
194		8-hydroxy-9-(4-(1-(pyrrolidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
195		9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

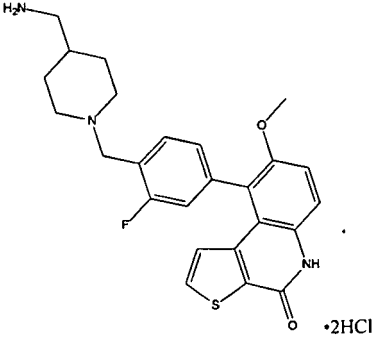
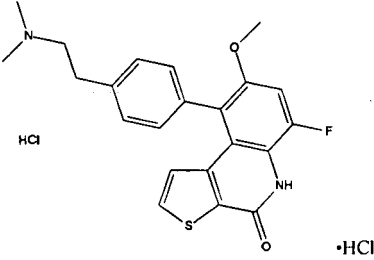
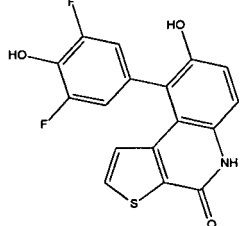
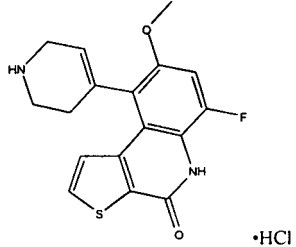
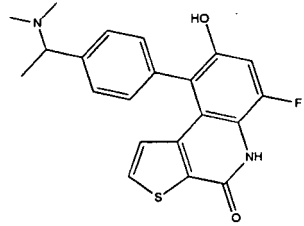
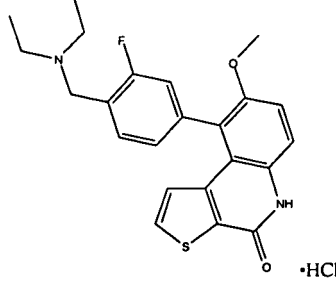
196	 •HCl	9-(4-(1-(diethylamino)ethyl)phenyl)- 8-hydroxythieno[2,3-c]quinolin- 4(5H)-one hydrochloride
197	 •HCl	N-(2-aminoethyl)-4-(8-methoxy-4- oxo-4,5-dihydrothieno[2,3-c]quinolin -9-yl)benzenesulfonamide hydrochloride
198	 •HCl	N-(2-(dimethylamino)ethyl)-4-(8- methoxy-4-oxo-4,5-dihydrothieno [2,3-c]quinolin-9-yl)benzene sulfonamide hydrochloride
199	 •HCl	4-(8-hydroxy-4-oxo-4,5-dihydro thieno[2,3-c]quinolin-9-yl)-N- (pyrrolidin-3-yl)benzenesulfonamide hydrochloride

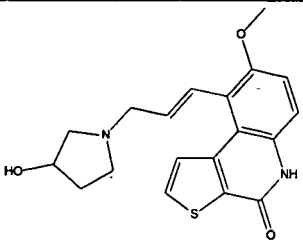
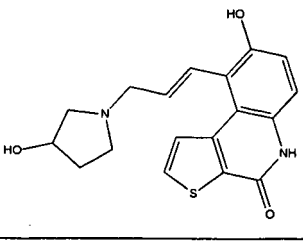
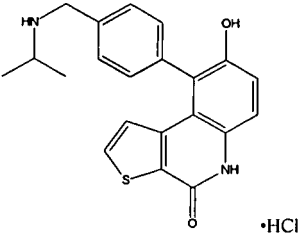
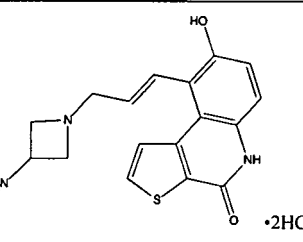
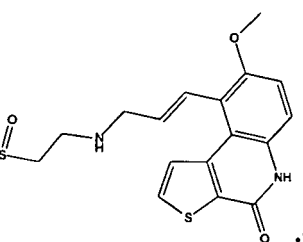
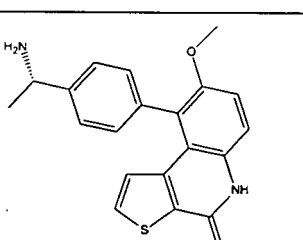
200		N-(azetidin-3-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide hydrochloride
201		9-(4-(2-(diethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
202		2-amino-N-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide hydrochloride
203		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile
204		4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile

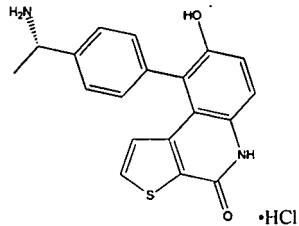
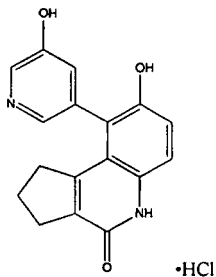
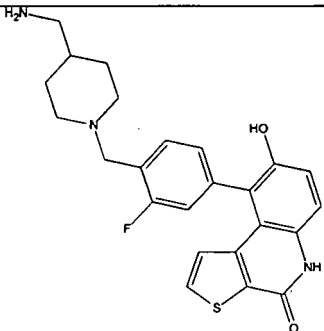
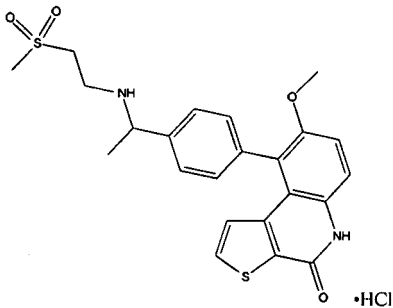
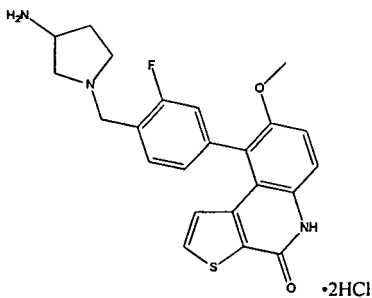
205		(E)-9-(3-(3-aminopyrrolidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
206		N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
207		8-methoxy-9-(5-methoxypyridin-3-yl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
208		8-methoxy-9-(5-methoxypyridin-3-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one hydrochloride
209		9-(4-(3-aminopyrrolidin-1-ylsulfonyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

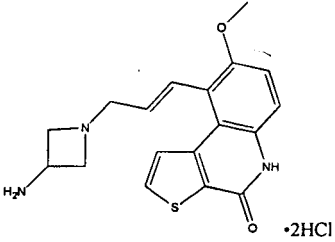
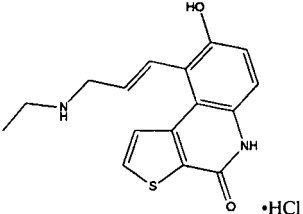
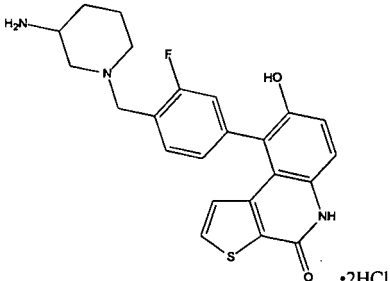
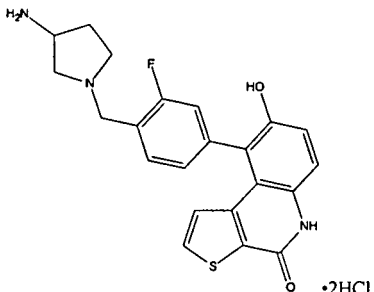
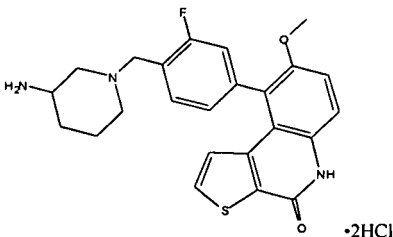
210		N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
211	 •HCl	9-(4-((diisopropylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
212		N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylmethane sulfonamide
213	 •HCl	9-(4-((isopropylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
214	 •HCl	2-(dimethylamino)-N-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethane sulfonamide hydrochloride

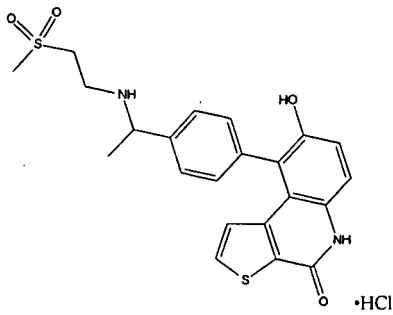
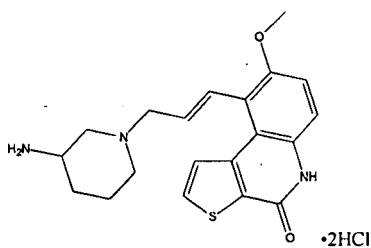
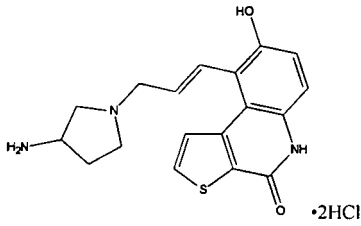
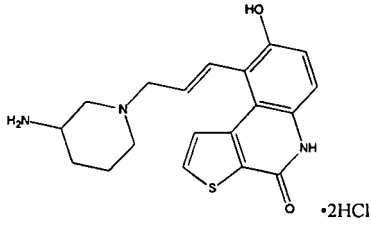
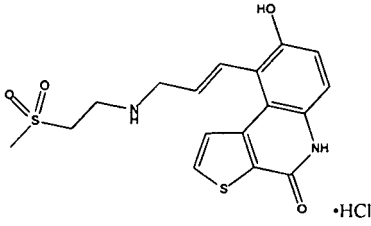
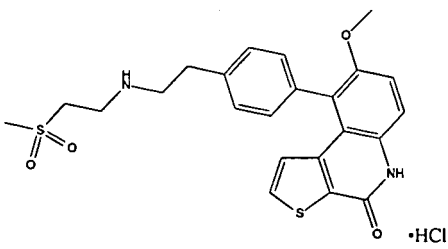
215		2-amino-N-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide hydrochloride
216		8-methoxy-9-(4-(1-(pyrrolidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
217		9-(4-amino-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
218		N-(2-methoxy-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide
219		9-(3,5-difluoro-4-hydroxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
220		N-(2-hydroxy-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide

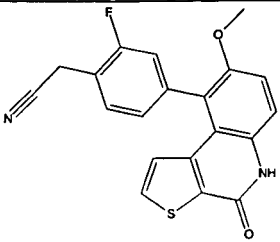
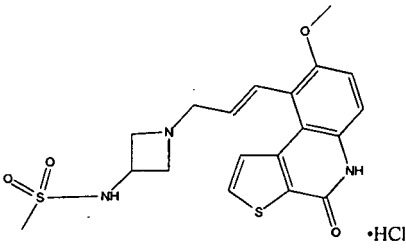
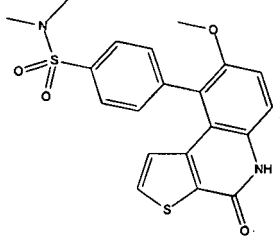
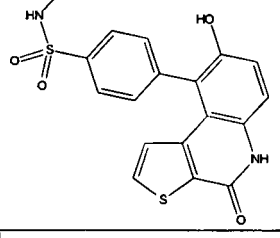
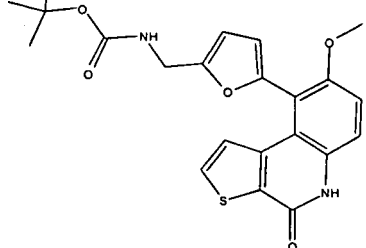
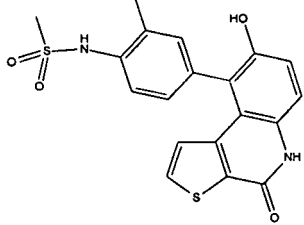
221		9-((4-((4-aminomethyl)piperidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
222		9-(4-(2-(dimethylamino)ethyl)phenyl)-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
223		9-(3,5-difluoro-4-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
224		6-fluoro-8-methoxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
225		9-(4-(1-(dimethylamino)ethyl)phenyl)-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
226		9-((4-((diethylamino)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

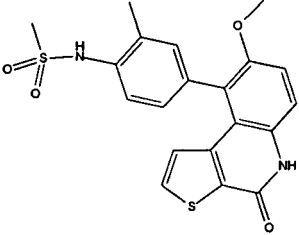
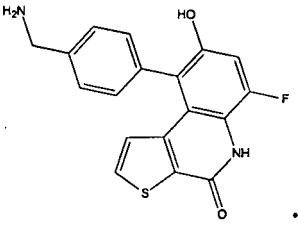
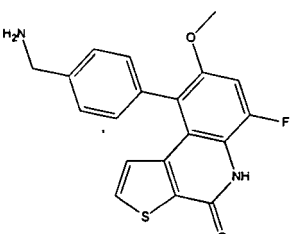
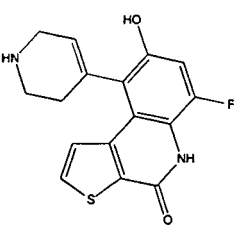
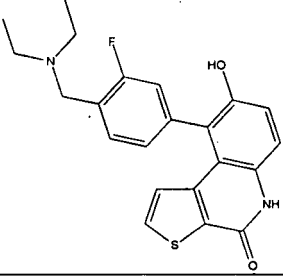
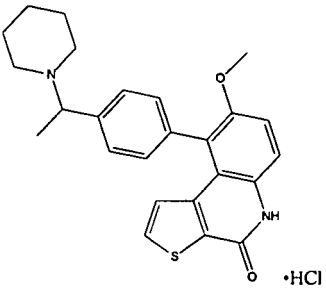
227		(E)-9-(3-(3-hydroxypyrrolidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
228		(E)-8-hydroxy-9-(3-(3-hydroxypyrrolidin-1-yl)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one
229		8-hydroxy-9-(4-((isopropylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
230		(E)-9-(3-(3-aminoazetidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
231		(E)-8-methoxy-9-(3-(2-(methylsulfonyl)ethylamino)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
232		(S)-9-(4-(1-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

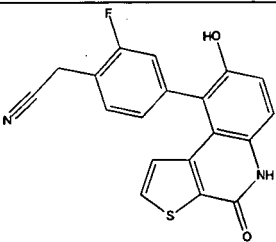
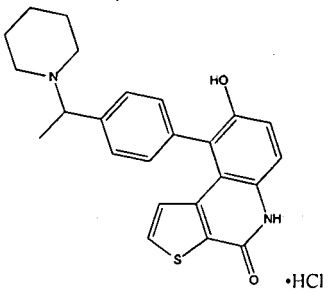
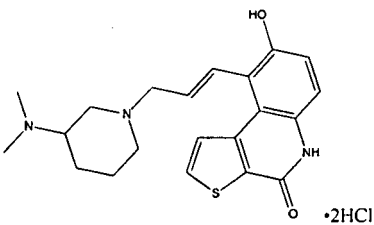
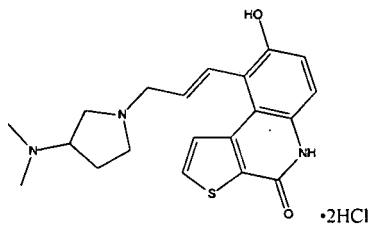
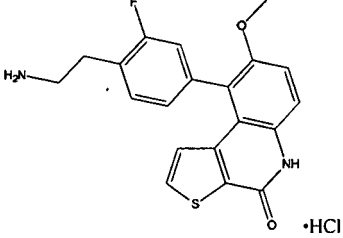
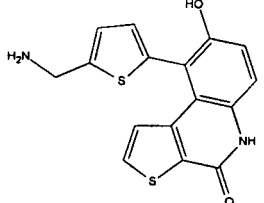
233		(S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
234		8-hydroxy-9-(5-hydroxypyridin-3-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one hydrochloride
235		9-(4-((4-(aminomethyl)piperidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
236		8-methoxy-9-(4-(1-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
237		9-(4-((3-aminopyrrolidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride

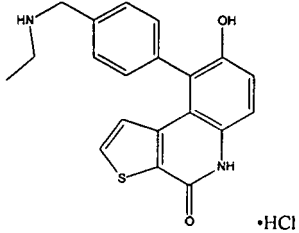
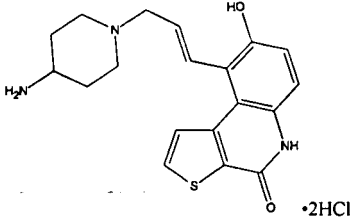
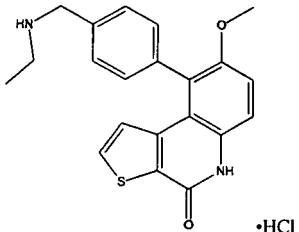
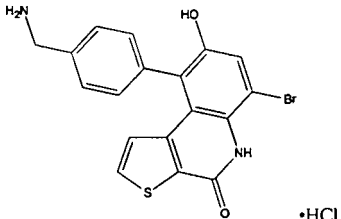
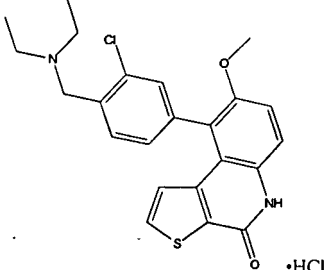
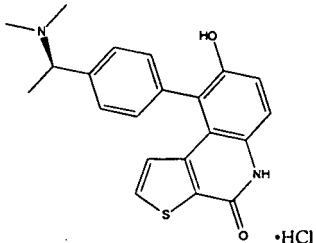
238		(E)-9-(3-(3-aminoazetidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
239		(E)-9-(3-(ethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
240		9-(4-((3-aminopiperidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
241		9-(4-((3-aminopyrrolidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
242		9-(4-((3-aminopiperidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride

243		8-hydroxy-9-(4-(1-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
244		(E)-9-(3-(3-aminopiperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
245		(E)-9-(3-(3-aminopyrrolidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
246		(E)-9-(3-(3-aminopiperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
247		(E)-8-hydroxy-9-(3-(2-(methylsulfonyl)ethylamino)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
248		8-methoxy-9-(4-(2-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride

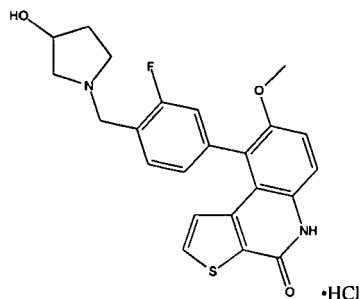
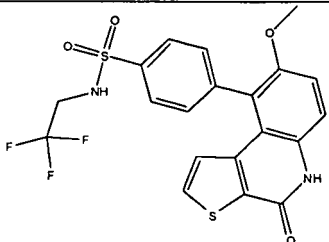
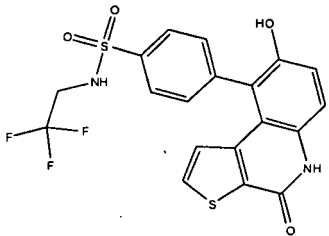
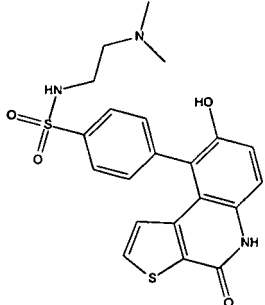
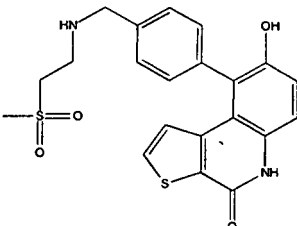
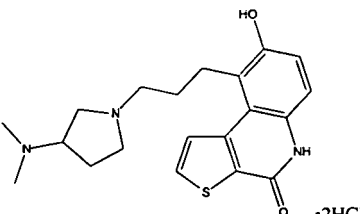
249		2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile
250		(E)-N-(1-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)allyl)azetidin-3-yl)methanesulfonamide hydrochloride
251		4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide
252		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide
253		tert-butyl (5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)furan-2-yl)methylcarbamate
254		N-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl)methanesulfonamide

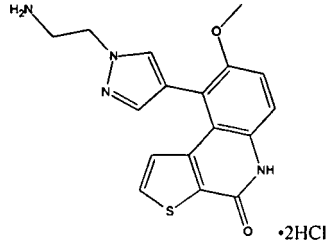
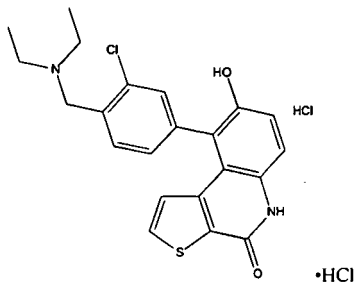
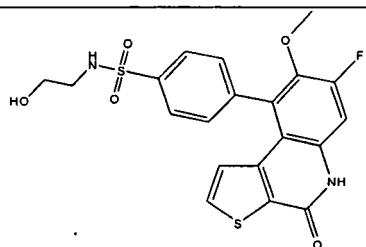
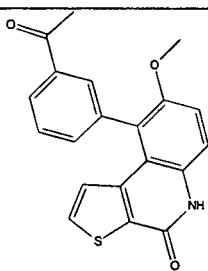
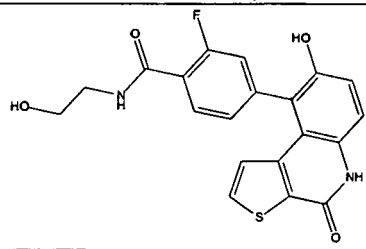
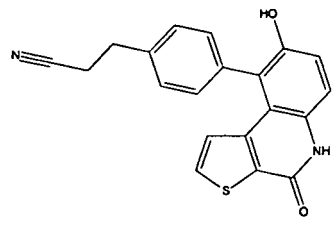
255		N-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl)methanesulfonamide
256		9-(4-(aminomethyl)phenyl)-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
257		9-(4-(aminomethyl)phenyl)-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
258		6-fluoro-8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one
259		9-(4-((diethylamino)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
260		8-methoxy-9-(4-(1-(piperidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride

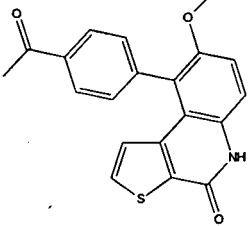
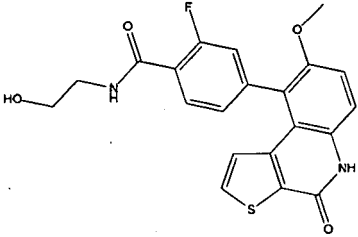
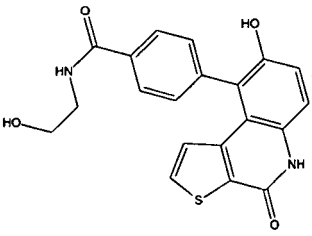
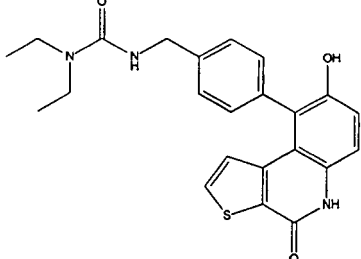
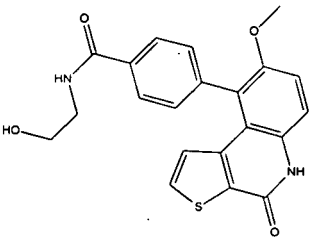
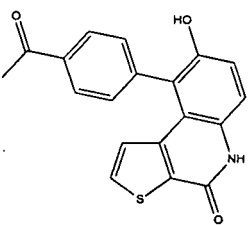
261		2-(2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile
262		8-hydroxy-9-(4-(1-(piperidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
263		(E)-9-(3-(3-(dimethylamino)piperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
264		(E)-9-(3-(3-(dimethylamino)pyrrolidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
265		9-(4-(2-aminoethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
266		9-(5-(aminomethyl)thiophen-2-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

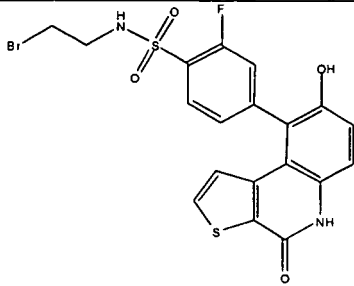
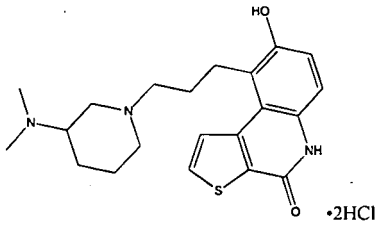
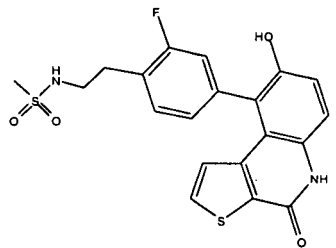
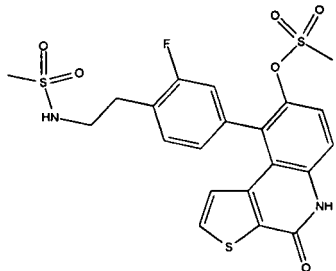
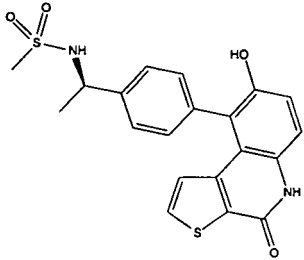
267		9-(4-((ethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
268		(E)-9-(3-(4-aminopiperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
269		9-(4-((ethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
270		9-(4-(aminomethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
271		9-(3-chloro-4-((diethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
272		(R)-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

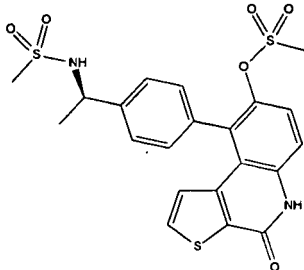
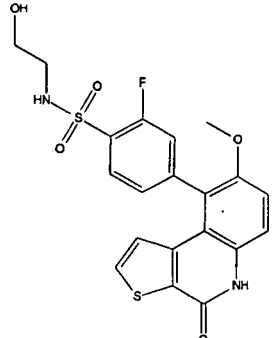
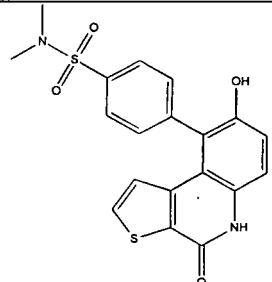
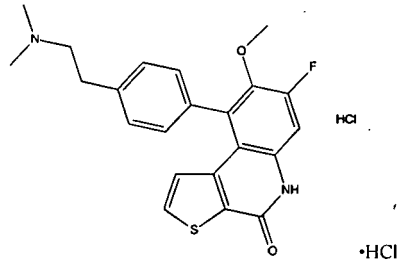
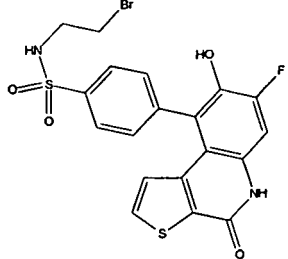
273		9-(4-(3-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
274		(R)-9-(4-(1-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
275		(R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
276		9-(4-(2-aminoethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
277		9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
278		9-(3-fluoro-4-((3-hydroxypyrrolidin-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

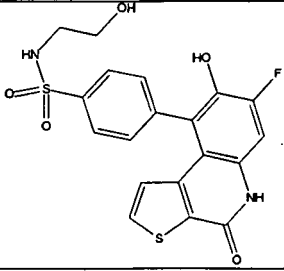
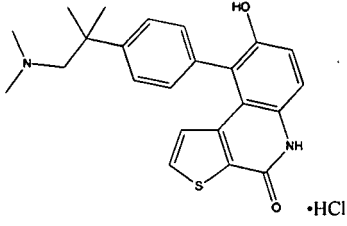
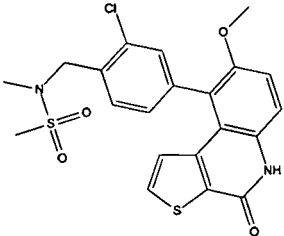
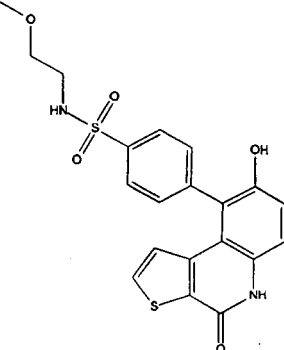
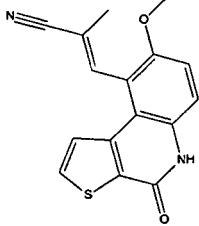
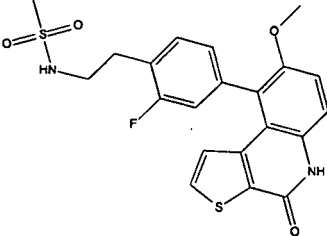
279		9-(3-fluoro-4-((3-hydroxypyrrolidin-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
280		4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2,2,2-trifluoroethyl)benzenesulfonamide
281		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2,2,2-trifluoroethyl)benzenesulfonamide
282		N-(2-(dimethylamino)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
283		8-hydroxy-9-(4-((2-(methylsulfonyl)ethylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
284		9-(3-(3-(dimethylamino)pyrrolidin-1-yl)propyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride

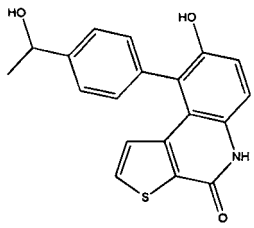
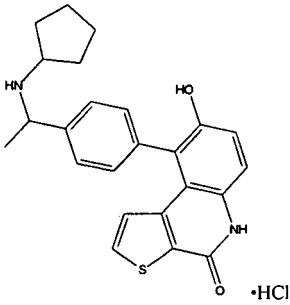
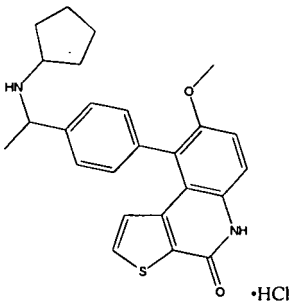
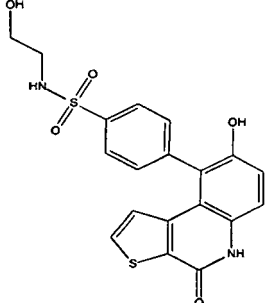
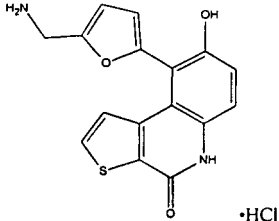
285		9-(1-(2-aminoethyl)-1H-pyrazol-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
286		9-(3-chloro-4-((diethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
287		4-(7-fluoro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide
288		9-(3-acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
289		2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzamide
290		3-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile

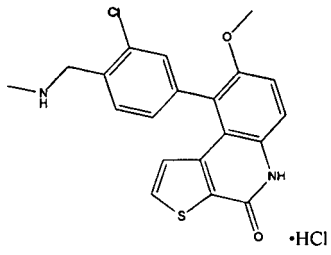
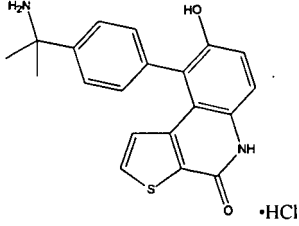
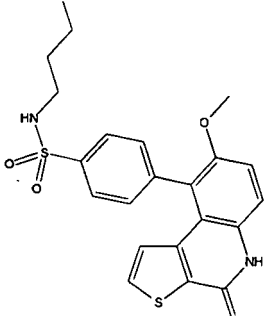
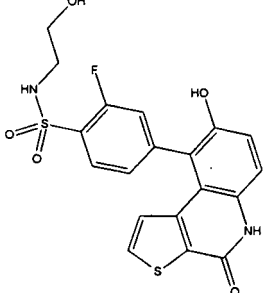
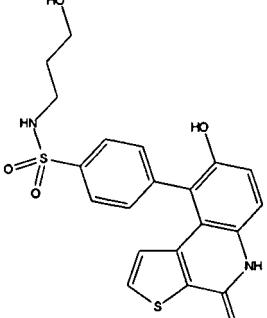
291		9-(4-acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
292		2-fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide
293		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzamide
294		1,1-diethyl-3-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl urea
295		N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide
296		9-(4-acetylphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

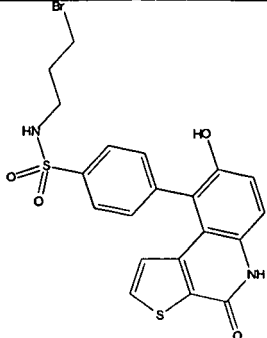
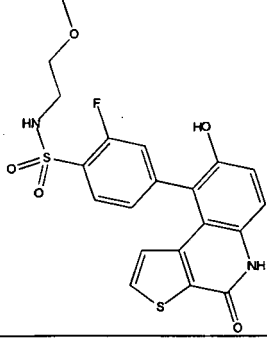
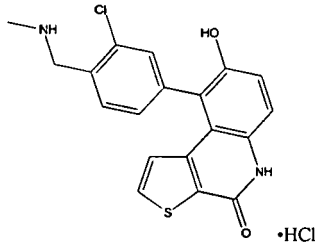
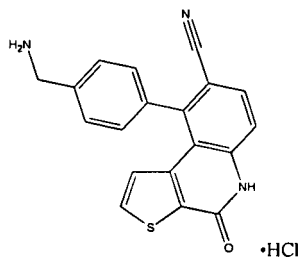
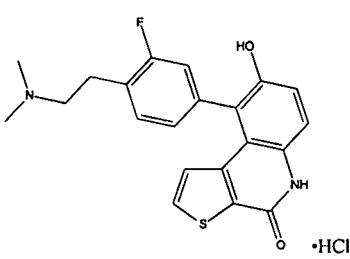
297		N-(2-bromoethyl)-2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
298		9-(3-(3-(dimethylamino)piperidin-1-yl)propyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one dihydrochloride
299		N-(2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide
300		9-(3-fluoro-4-(2-(methanesulfonamido)ethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate
301		(R)-N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide

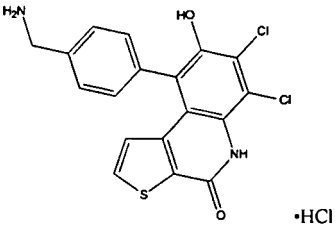
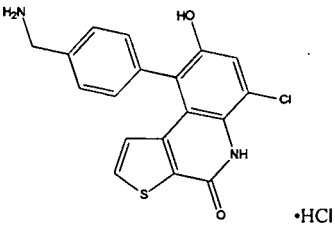
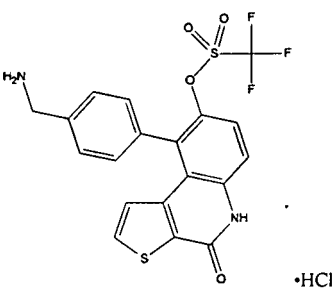
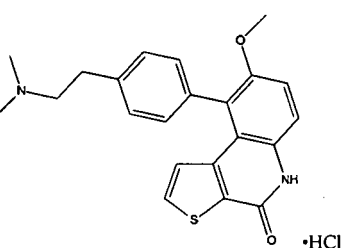
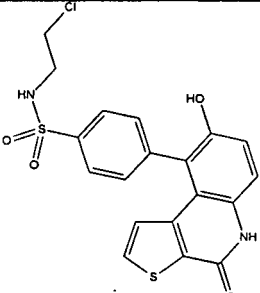
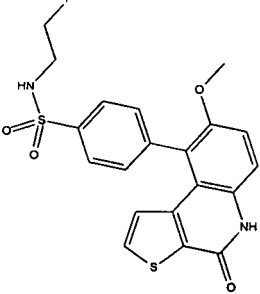
302		(R)-9-(4-(1-(methylsulfonamido)ethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate
303		2-fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzene sulfonamide
304		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide
305		9-(4-(2-(dimethylamino)ethyl)phenyl)-7-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
306		N-(2-bromoethyl)-4-(7-fluoro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide

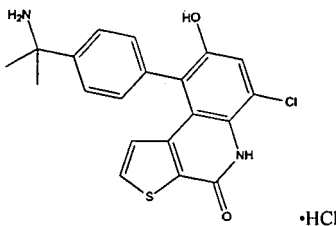
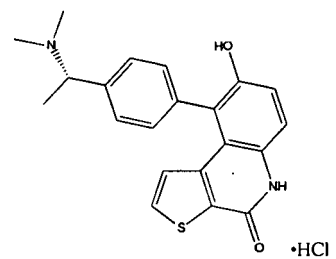
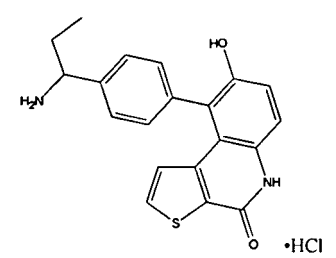
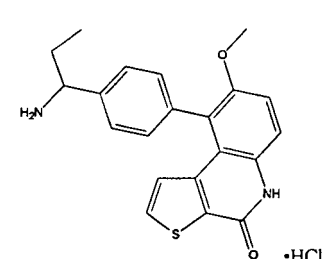
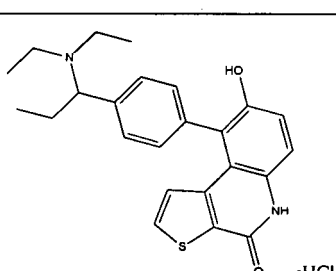
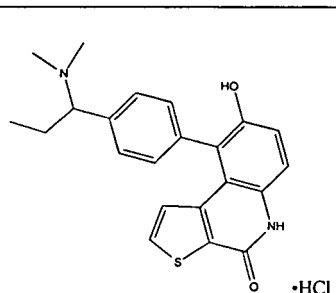
307		4-(7-fluoro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzene sulfonamide
308		9-(4-(1-(dimethylamino)-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
309		N-(2-chloro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)-N-methylmethane sulfonamide
310		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-methoxyethyl)benzenesulfonamide
311		(E)-3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylacrylonitrile
312		N-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide

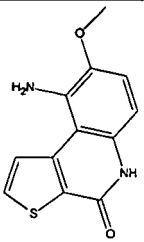
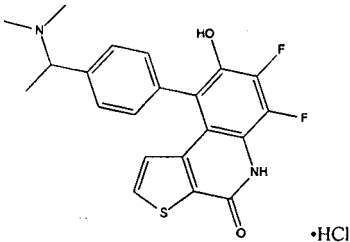
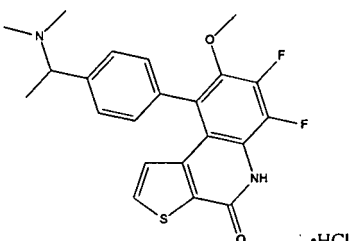
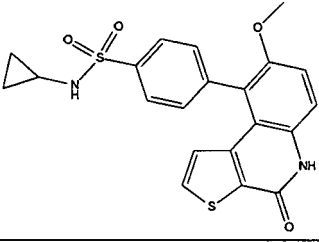
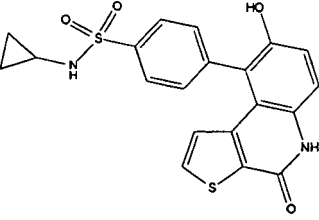
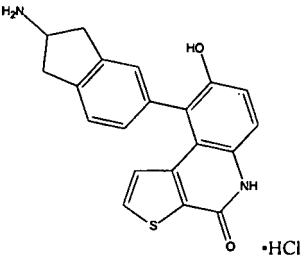
313		8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
314		9-(4-(1-(cyclopentylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
315		9-(4-(1-(cyclopentylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
316		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide
317		9-(5-(aminomethyl)furan-2-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

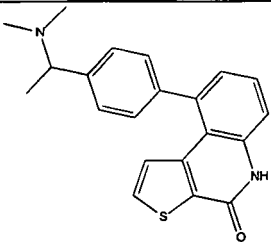
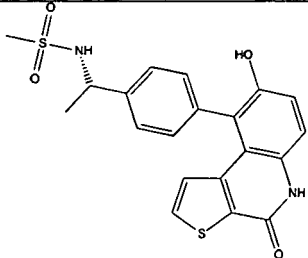
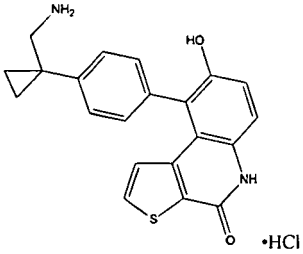
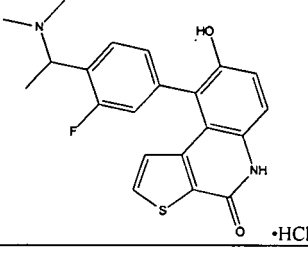
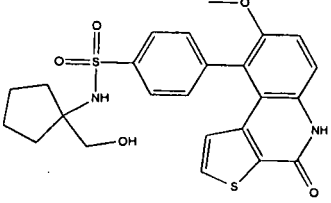
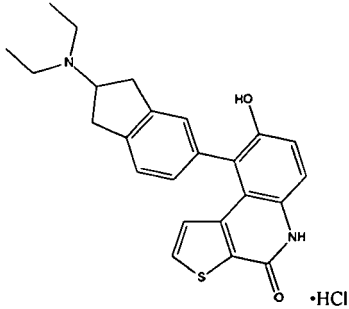
318		9-(3-chloro-4-((methylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
319		9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
320		N-(3-hydroxypropyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
321		2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide
322		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3-hydroxypropyl)benzenesulfonamide

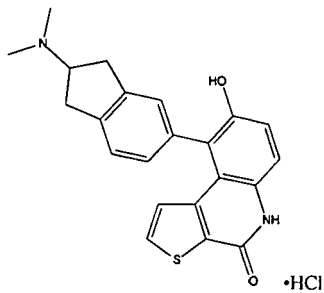
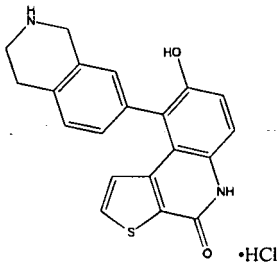
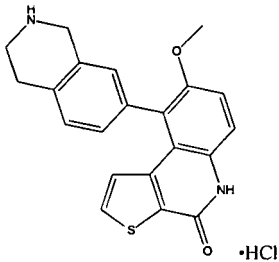
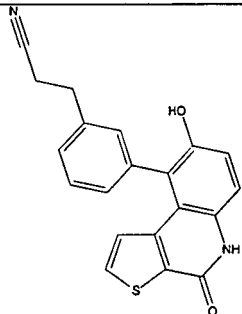
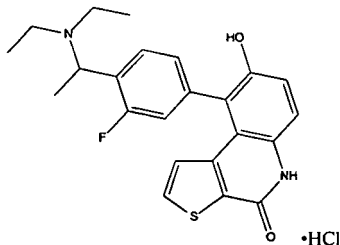
323		N-(3-bromopropyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
324		2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-methoxyethyl)benzenesulfonamide
325		9-(3-chloro-4-((methylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
326		9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile hydrochloride
327		9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

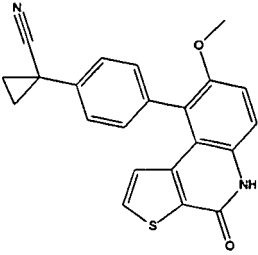
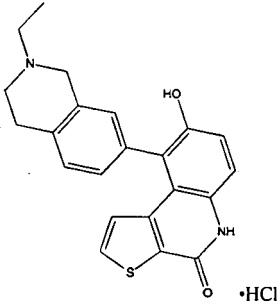
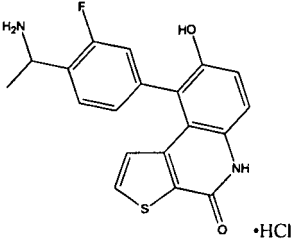
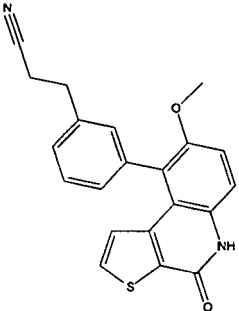
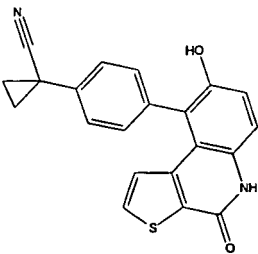
328		9-(4-(aminomethyl)phenyl)-6,7-dichloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
329		9-(4-(aminomethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
330		9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl trifluoromethanesulfonate hydrochloride
331		9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
332		N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
333		N-(2-fluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide

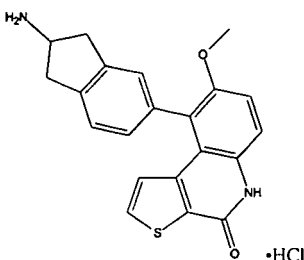
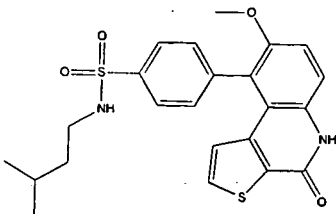
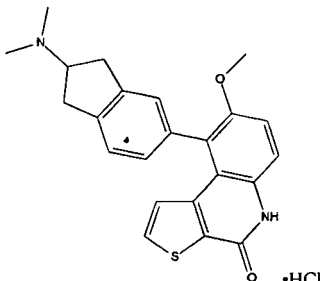
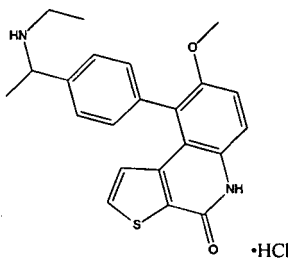
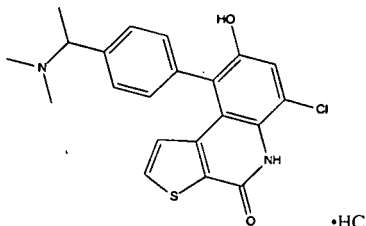
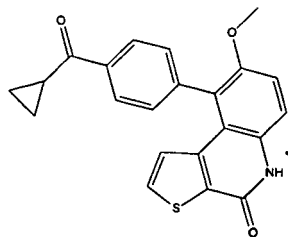
334		9-(4-(2-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
335		(S)-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
336		9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
337		9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
338		9-(4-(1-(diethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
339		9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

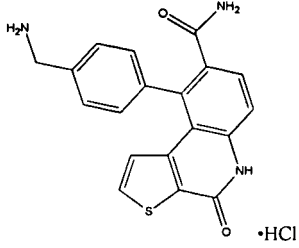
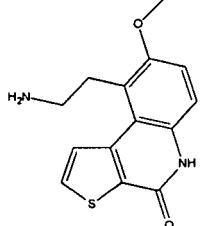
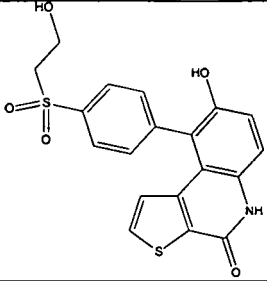
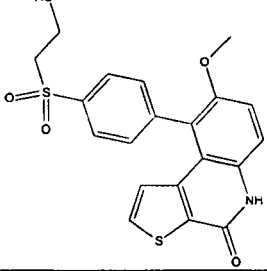
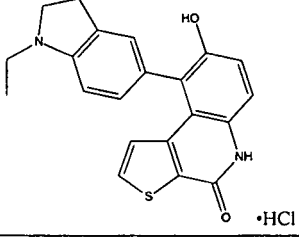
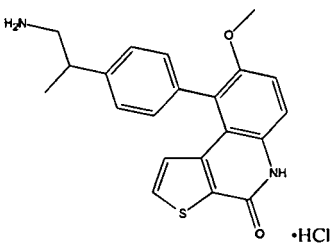
340		9-amino-8-methoxythieno[2,3-c] quinolin-4(5H)-one
341		9-(4-(1-(dimethylamino)ethyl)phenyl) -6,7-difluoro-8-hydroxythieno[2,3-c] quinolin-4(5H)-one hydrochloride
342		9-(4-(1-(dimethylamino)ethyl)phenyl) -6,7-difluoro-8-methoxythieno[2,3-c] quinolin-4(5H)-one hydrochloride
343		N-cyclopropyl-4-(8-methoxy-4-oxo-4, 5-dihydrothieno[2,3-c]quinolin-9-yl) benzenesulfonamide
344		N-cyclopropyl-4-(8-hydroxy-4-oxo-4, 5-dihydrothieno[2,3-c]quinolin-9-yl) benzenesulfonamide
345		9-(2-amino-2,3-dihydro-1H-inden-5- yl)-8-hydroxythieno[2,3-c]quinolin-4 (5H)-one hydrochloride

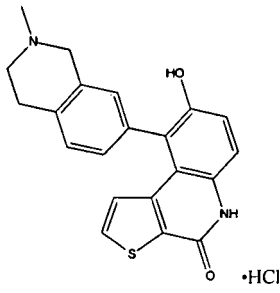
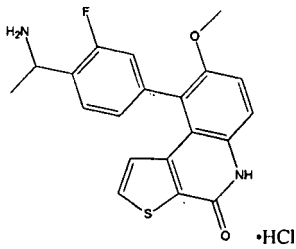
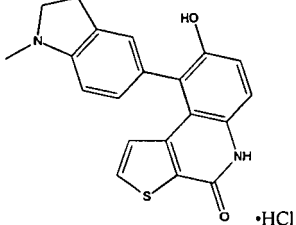
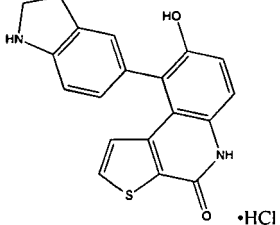
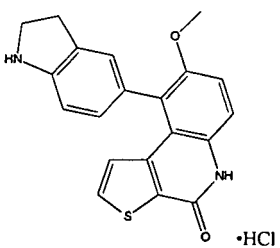
346		9-(4-(1-(dimethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
347		(S)-N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide
348		9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
349		9-(4-(1-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
350		N-(1-(hydroxymethyl)cyclopentyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzene sulfonamide
351		9-(2-(diethylamino)-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

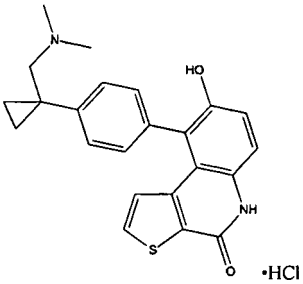
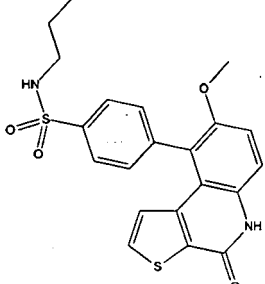
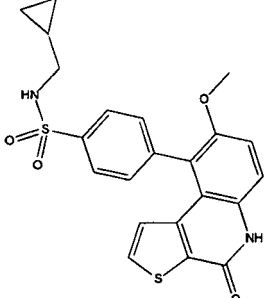
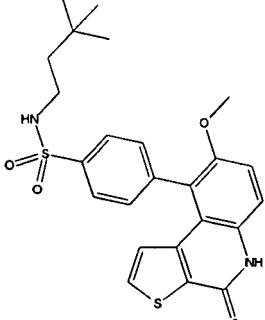
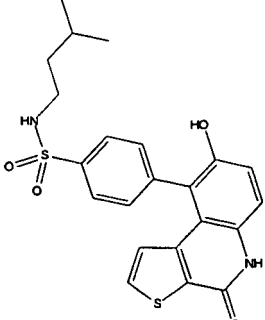
352		9-(2-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
353		8-hydroxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
354		8-methoxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
355		3-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile
356		9-(4-(1-(diethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

357		1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropanecarbonitrile
358		9-(2-ethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
359		9-(4-(1-aminoethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
360		3-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile
361		1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropanecarbonitrile

362		9-(2-amino-2,3-dihydro-1H-inden-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
363		N-isopentyl-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
364		9-(2-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
365		9-(4-(1-(ethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
366		6-chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
367		9-(4-(cyclopropanecarbonyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

368		9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carboxamide hydrochloride
369		9-(2-aminoethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
370		8-hydroxy-9-(4-(2-hydroxyethylsulfonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
371		9-(4-(2-hydroxyethylsulfonyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
372		9-(1-ethylindolin-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
373		9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

374		8-hydroxy-9-(2-methyl-1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
375		9-(4-(1-aminoethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
376		8-hydroxy-9-(1-methylindolin-5-yl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
377		8-hydroxy-9-(indolin-5-yl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride
378		9-(indolin-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride

379		9-(4-(1-((dimethylamino)methyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
380		4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-propylbenzenesulfonamide
381		N-(cyclopropylmethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
382		N-(3,3-dimethylbutyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
383		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-isopentylbenzenesulfonamide

384		N-(3,3-dimethylbutyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
385		9-(4-(1-(ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride
386		3-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-oxopropanenitrile
387		(E)-9-(2-ethoxyvinyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
388		N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)acetamide
389		4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3,3,3-trifluoropropyl)benzenesulfonamide

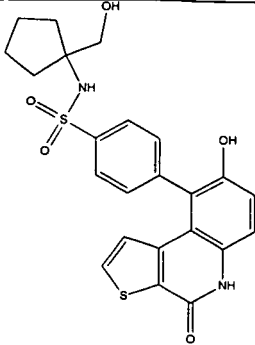
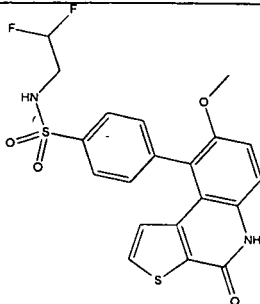
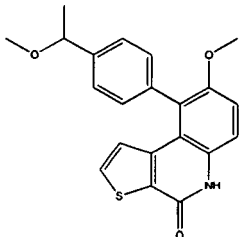
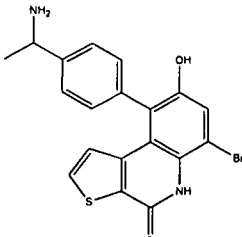
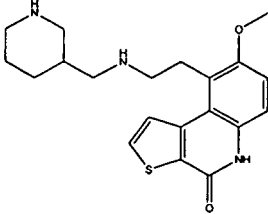
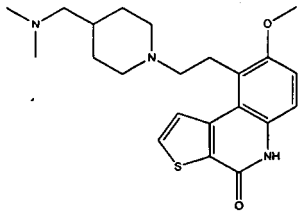
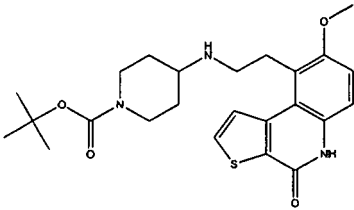
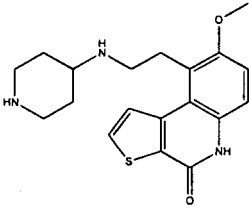
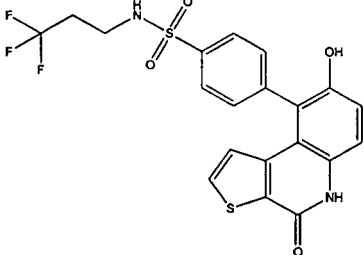
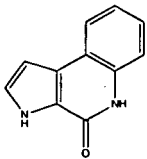
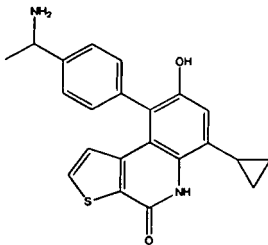
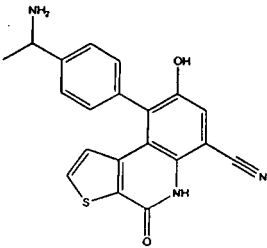
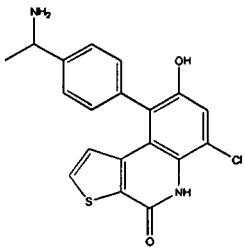
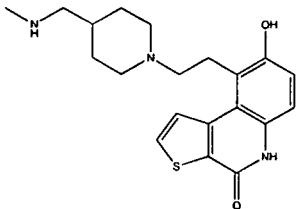
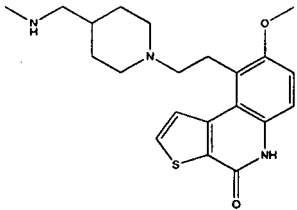
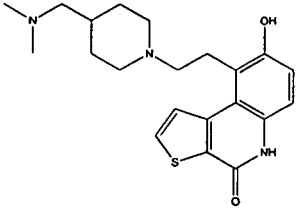
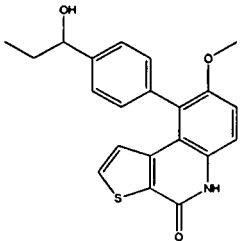
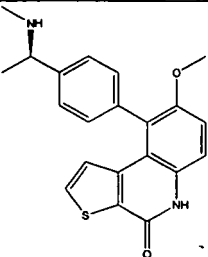
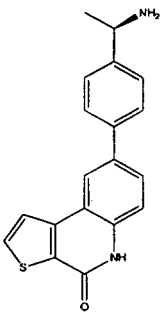
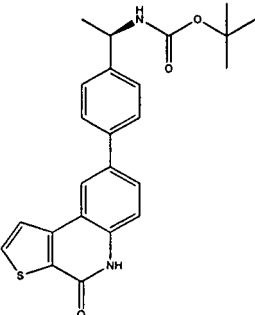
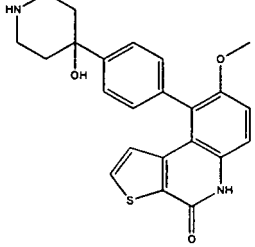
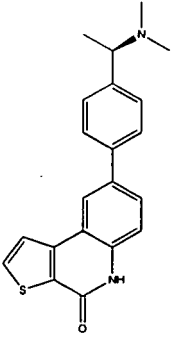
390		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(1-(hydroxymethyl)cyclopentyl)benzenesulfonamide
391		N-(2,2-difluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide

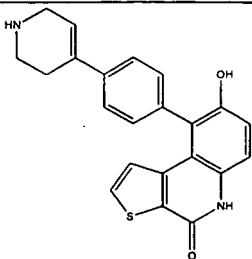
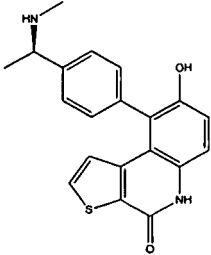
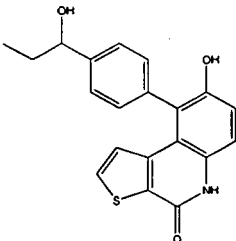
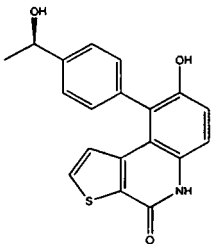
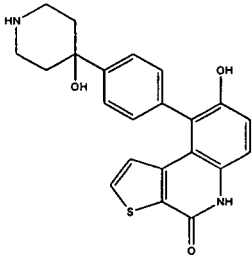
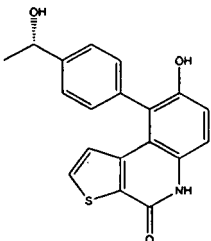
Table 1-2(Examples 1031-1438)

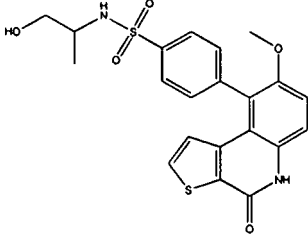
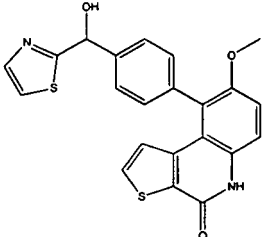
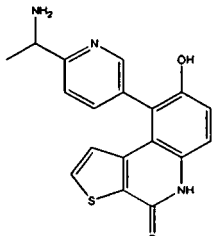
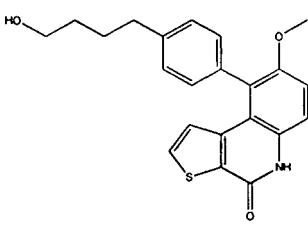
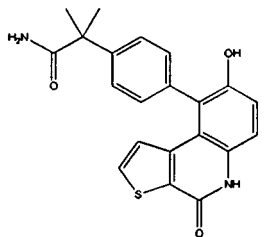
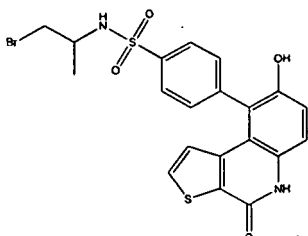
No.	Molecule	Name
1031		8-methoxy-9-(4-(1-methoxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1032		9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1033		8-methoxy-9-(2-((piperidin-3-ylmethyl)amino)ethyl)thieno[2,3-c]quinolin-4(5H)-one

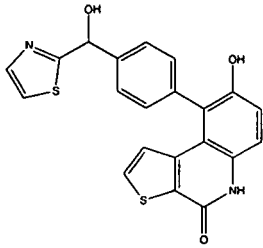
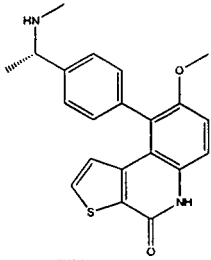
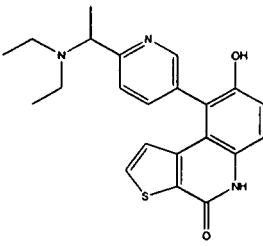
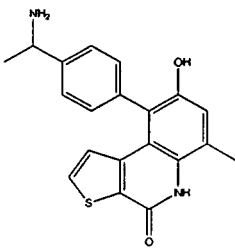
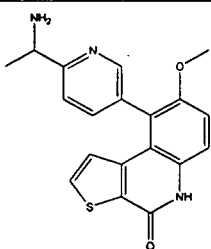
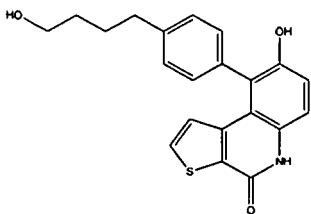
1034		9-(2-(4-((dimethylamino)methyl)piperidin-1-yl)ethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1035		tert-butyl 4-((2-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)ethyl)amino)piperidine-1-carboxylate
1036		8-methoxy-9-(2-(piperidin-4-ylamino)ethyl)thieno[2,3-c]quinolin-4(5H)-one
1037		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3,3,3-trifluoropropyl)benzenesulfonamide
1038		3H-pyrrolo[2,3-c]quinolin-4(5H)-one
1039		9-(4-(1-aminoethyl)phenyl)-6-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

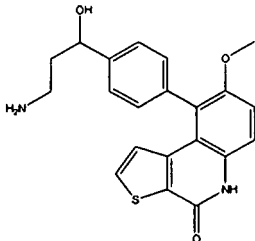
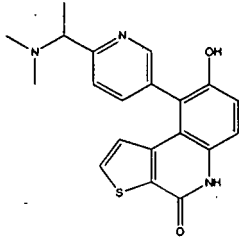
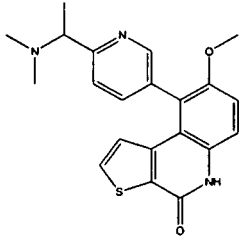
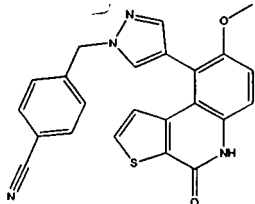
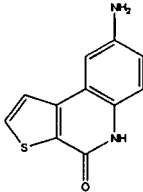
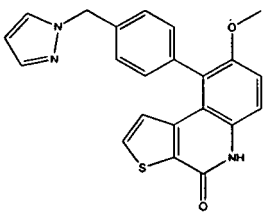
1040		9-(4-(1-aminoethyl)phenyl)-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-6-carbonitrile
1041		9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1042		8-hydroxy-9-(2-(4-((methylamino)methyl)piperidin-1-yl)ethyl)thieno[2,3-c]quinolin-4(5H)-one
1043		8-methoxy-9-(2-(4-((methylamino)methyl)piperidin-1-yl)ethyl)thieno[2,3-c]quinolin-4(5H)-one
1044		9-(2-(4-((dimethylamino)methyl)piperidin-1-yl)ethyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1045		9-(4-(1-hydroxypropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

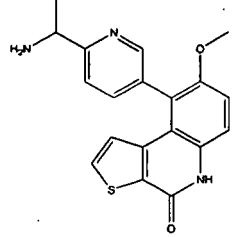
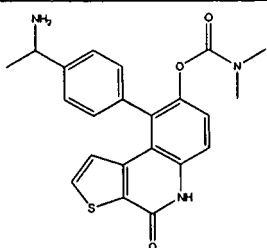
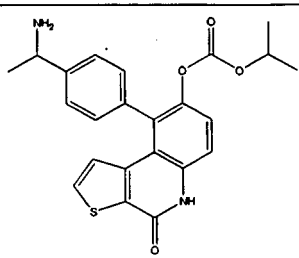
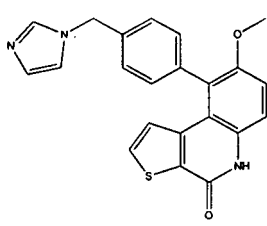
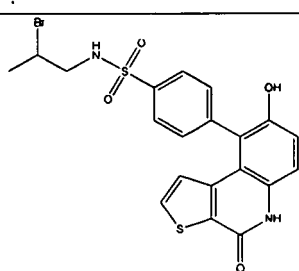
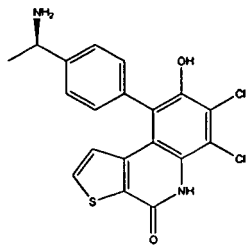
1046		(R)-8-methoxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1047		(R)-8-(4-(1-aminoethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1048		(R)-tert-butyl (1-(4-(4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl)phenyl)ethyl)carbamate
1049		9-(4-(4-hydroxypiperidin-4-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1050		(R)-8-(4-(1-(dimethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

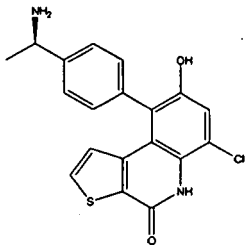
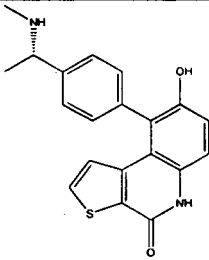
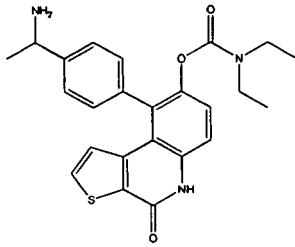
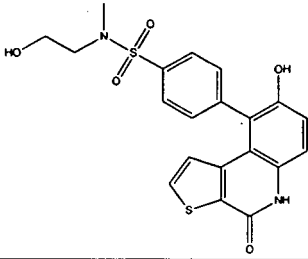
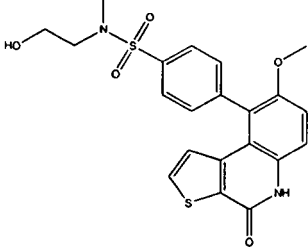
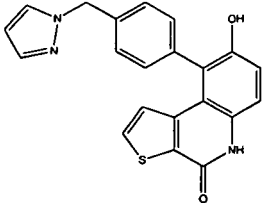
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1052		(R)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1053		8-hydroxy-9-(4-(1-hydroxypropyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1054		(R)-8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1055		8-hydroxy-9-(4-(4-hydroxypiperidin-4-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1056		(S)-8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

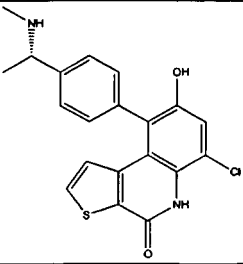
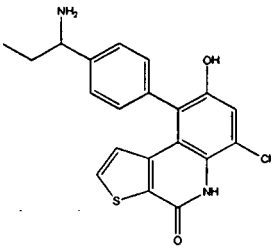
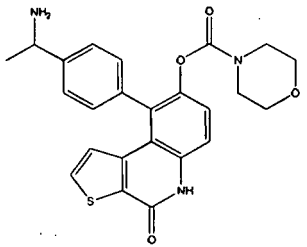
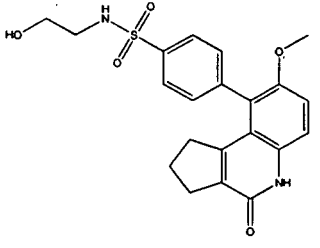
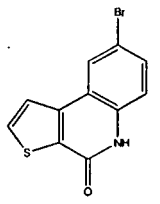
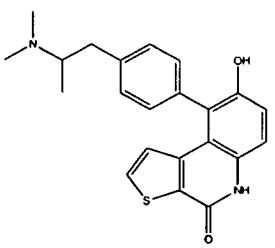
1057		N-(1-hydroxypropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
1058		9-(4-(hydroxy(thiazol-2-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1059		9-(6-(1-aminoethyl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1060		9-(4-(4-hydroxybutyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1061		2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropanamide
1062		N-(1-bromopropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide

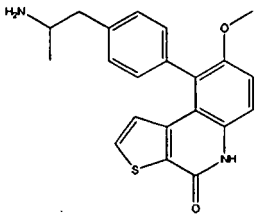
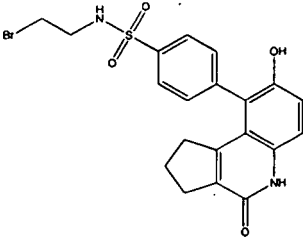
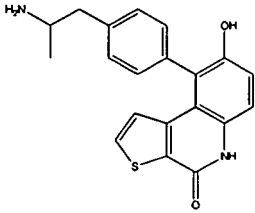
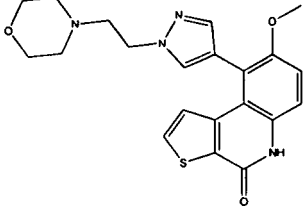
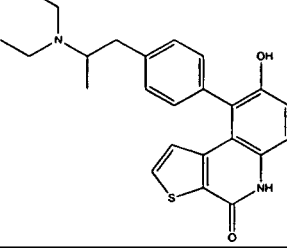
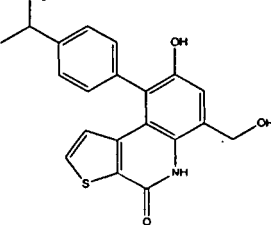
1063		8-hydroxy-9-(4-(hydroxy(thiazol-2-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1064		(S)-8-methoxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1065		9-(6-(1-(diethylamino)ethyl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1066		9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1067		9-(6-(1-aminoethyl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1068		8-hydroxy-9-(4-(4-hydroxybutyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

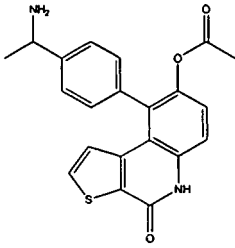
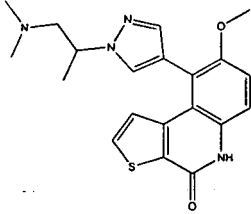
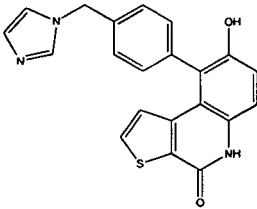
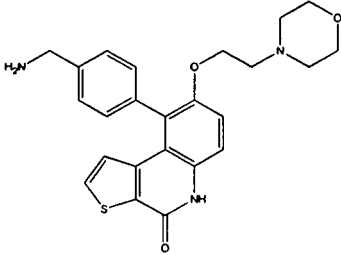
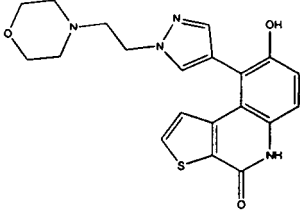
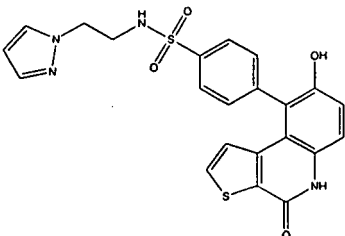
1069		9-(4-(3-amino-1-hydroxypropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1070		9-(6-(1-(dimethylamino)ethyl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1071		9-(6-(1-(dimethylamino)ethyl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1072		4-((4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-1H-pyrazol-1-yl)methyl)benz nitrile
1073		8-aminothieno[2,3-c]quinolin-4(5H)-one
1074		9-(4-((1H-pyrazol-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

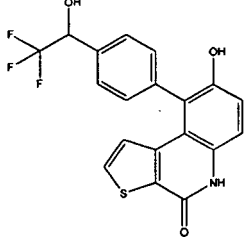
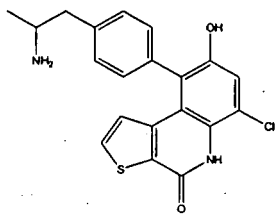
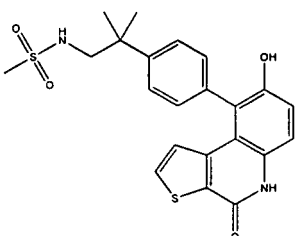
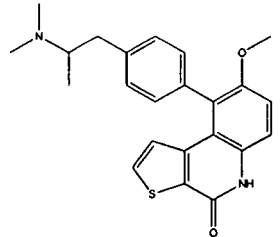
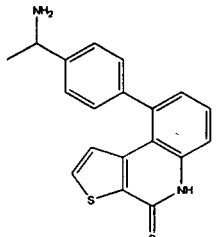
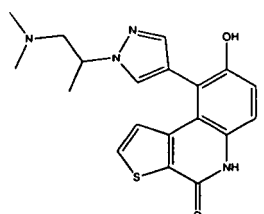
1075		9-(6-(1-aminoethyl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1076		9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl dimethylcarbamate
1077		9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl isopropyl carbonate
1078		9-(4-((1H-imidazol-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1079		N-(2-bromopropyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
1080		(R)-9-(4-(1-aminoethyl)phenyl)-6,7-dichloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

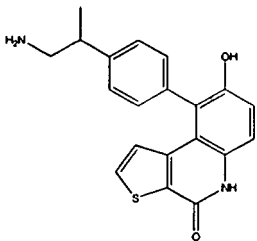
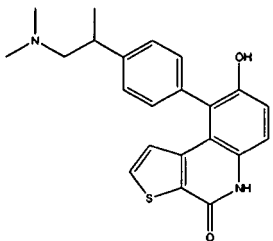
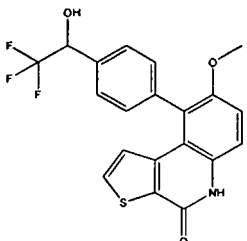
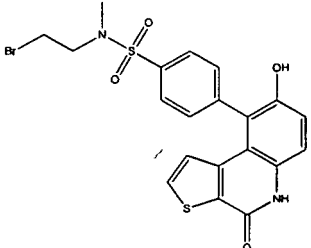
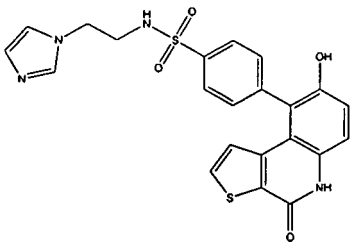
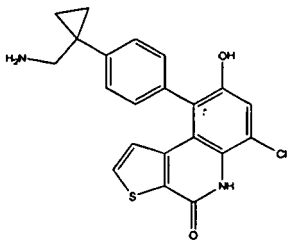
1081		(R)-9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1082		(S)-8-hydroxy-9-(4-(1-(methyldamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1083		9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl diethylcarbamate
1084		4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)-N-methylbenzenesulfonamide
1085		N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide
1086		9-(4-((1H-pyrazol-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

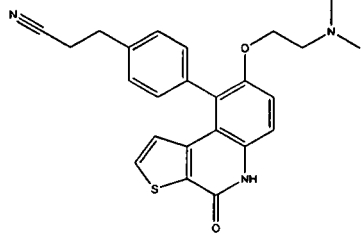
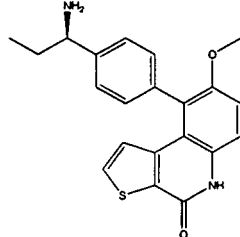
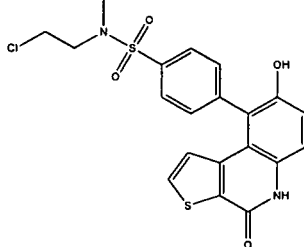
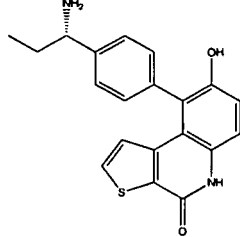
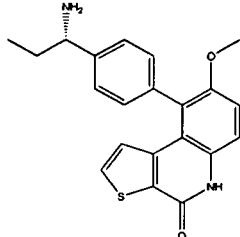
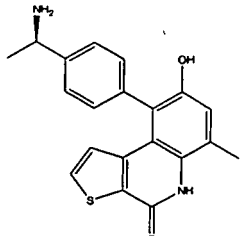
1087		(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1088		9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1089		9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl morpholine-4-carboxylate
1090		N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide
1091		8-bromothieno[2,3-c]quinolin-4(5H)-one
1092		9-(4-(2-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

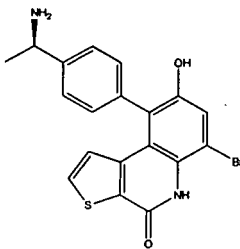
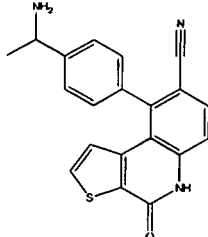
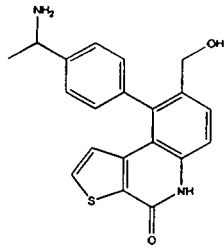
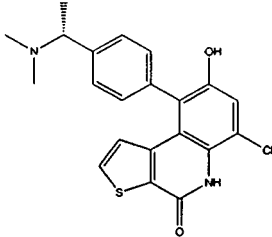
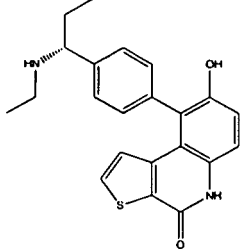
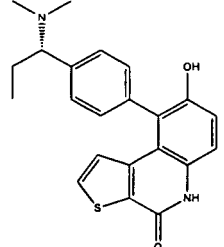
1093		9-(4-(2-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1094		N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide
1095		9-(4-(2-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1096		8-methoxy-9-(1-(2-morpholinoethyl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one
1097		9-(4-(2-(diethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1098		9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one

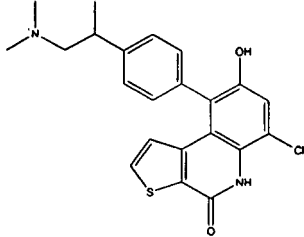
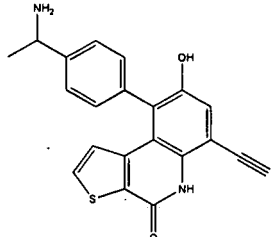
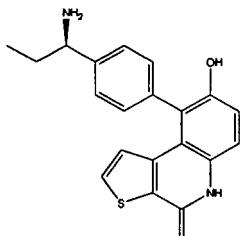
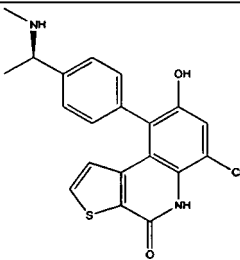
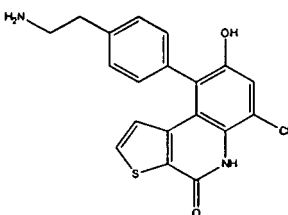
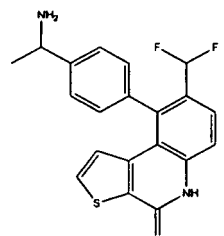
1099		9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl acetate
1100		9-(1-(1-(dimethylamino)propan-2-yl)-1H-pyrazol-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1101		9-(4-((1H-imidazol-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1102		9-(4-(aminomethyl)phenyl)-8-(2-morpholinoethoxy)thieno[2,3-c]quinolin-4(5H)-one
1103		8-hydroxy-9-(1-(2-morpholinoethyl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one
1104		N-(2-(1H-pyrazol-1-yl)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide

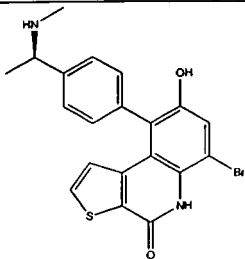
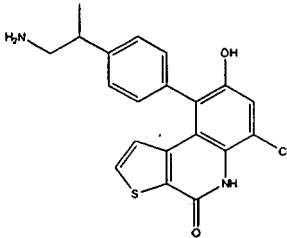
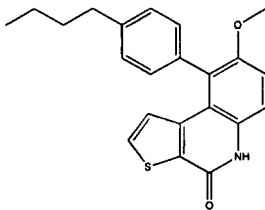
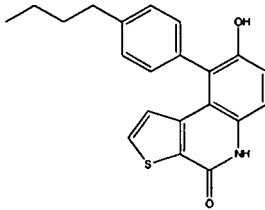
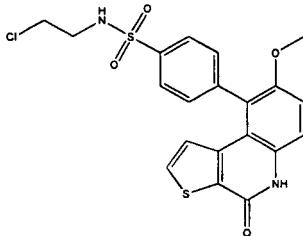
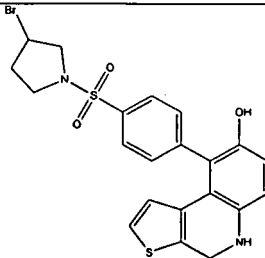
1105		8-hydroxy-9-(4-(2,2,2-trifluoro-1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1106		9-(4-(2-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1107		N-(2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropyl)methanesulfonamide
1108		9-(4-(2-(dimethylamino)propyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1109		9-(4-(1-aminoethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1110		9-(1-(1-(dimethylamino)propan-2-yl)-1H-pyrazol-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

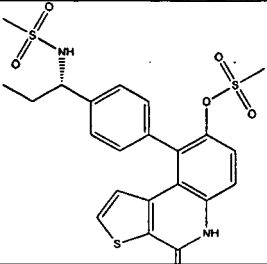
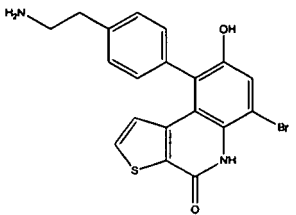
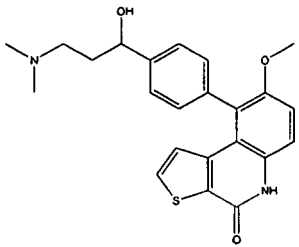
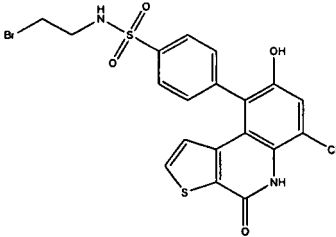
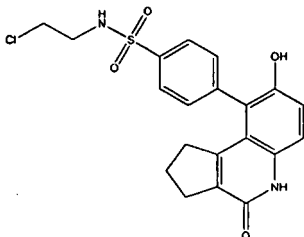
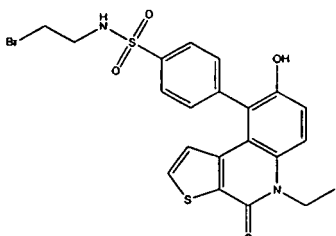
1111		9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1112		9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1113		8-methoxy-9-(4-(2,2,2-trifluoro-1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1114		N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide
1115		N-(2-(1H-imidazol-1-yl)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
1116		9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

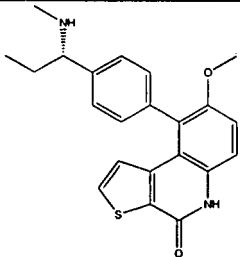
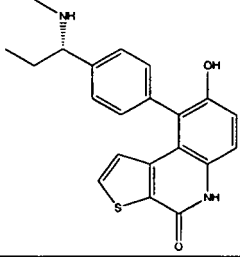
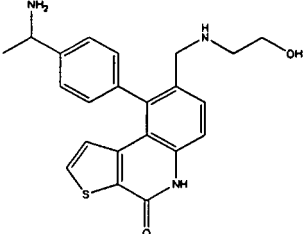
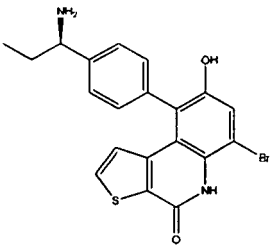
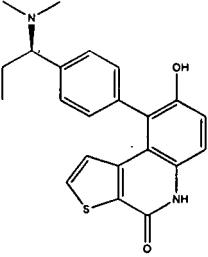
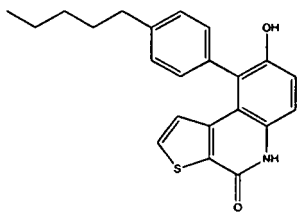
1117		3-(4-(8-(2-(dimethylamino)ethoxy)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile
1118		(R)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1119		N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide
1120		(S)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1121		(S)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1122		(R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

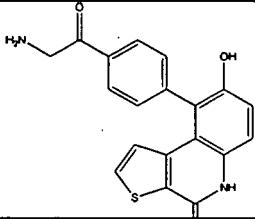
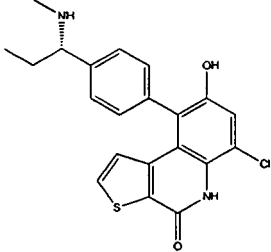
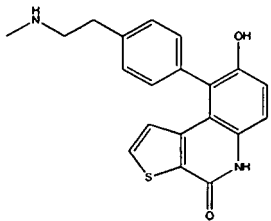
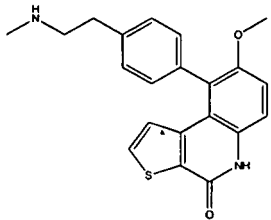
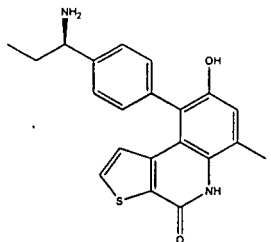
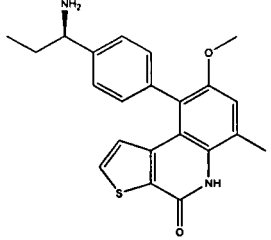
1123		(R)-9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1124		9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile
1125		9-(4-(1-aminoethyl)phenyl)-8-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one
1126		(R)-6-chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1127		(S)-9-(4-(1-(ethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1128		(S)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

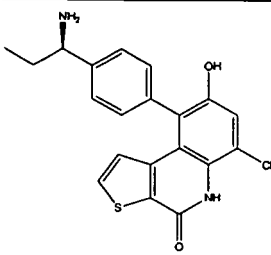
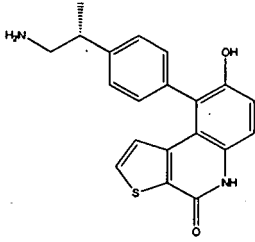
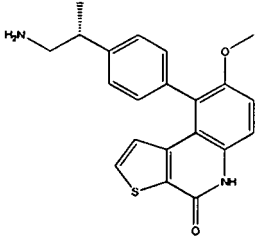
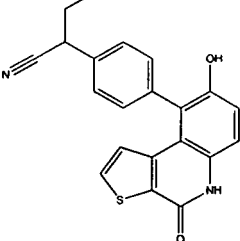
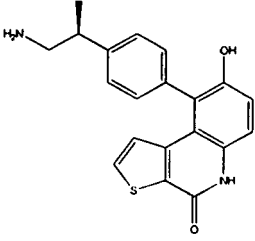
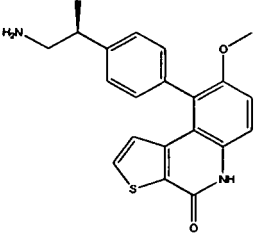
1129		6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1130		9-(4-(1-aminoethyl)phenyl)-6-ethynyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1131		(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1132		(R)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1133		9-(4-(2-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1134		9-(4-(1-aminoethyl)phenyl)-8-(difluoromethyl)thieno[2,3-c]quinolin-4(5H)-one

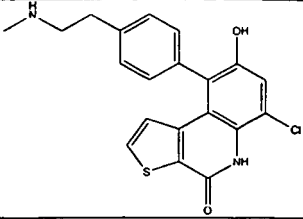
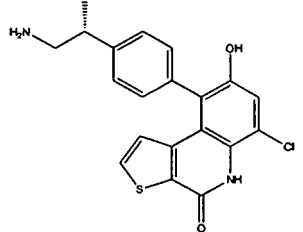
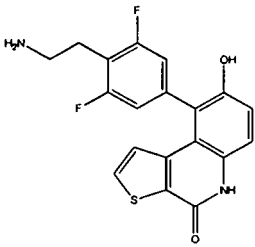
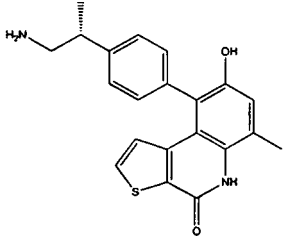
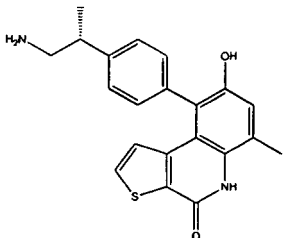
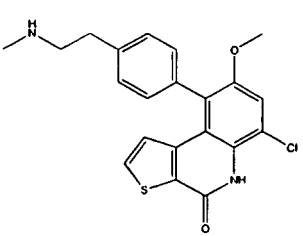
1135		(R)-6-bromo-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1136		9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1137		9-(4-butylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1138		9-(4-butylphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1139		N-(2-chloroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
1140		9-(4-((3-bromopyrrolidin-1-yl)sulfonyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

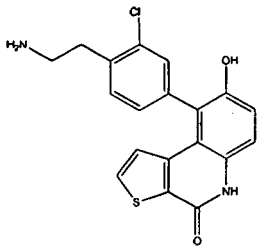
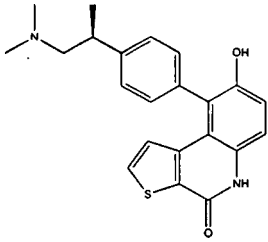
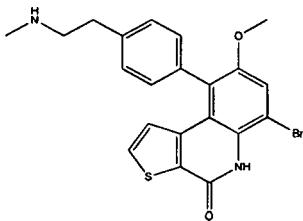
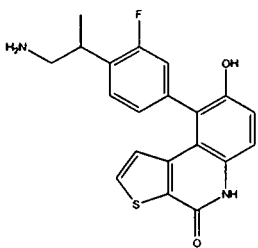
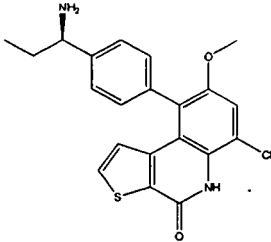
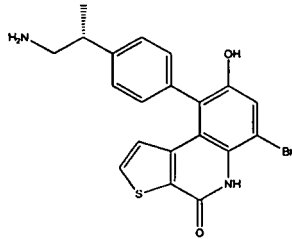
1141		(S)-9-(4-(1-(methylsulfonylamido)propyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate
1142		9-(4-(2-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1143		9-(4-(3-(dimethylamino)-1-hydroxypropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1144		N-(2-bromoethyl)-4-(6-chloro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
1145		N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide
1146		N-(2-bromoethyl)-4-(5-ethyl-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide

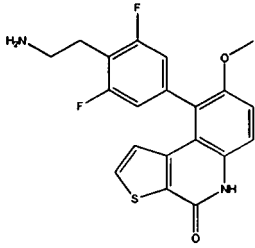
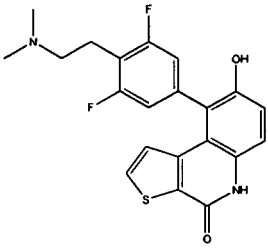
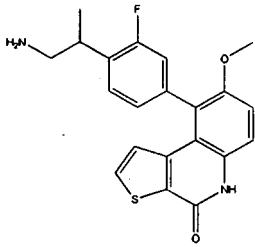
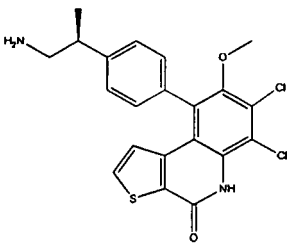
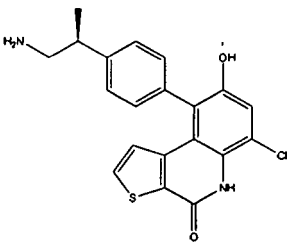
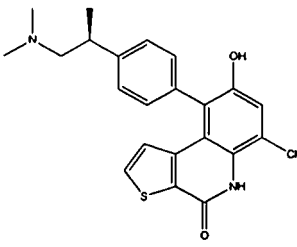
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1148		(S)-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1149		9-(4-(1-aminoethyl)phenyl)-8-((2-hydroxyethyl)amino)methylthieno[2,3-c]quinolin-4(5H)-one
1150		(R)-9-(4-(1-aminopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1151		(R)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1152		8-hydroxy-9-(4-pentylphenyl)thieno[2,3-c]quinolin-4(5H)-one

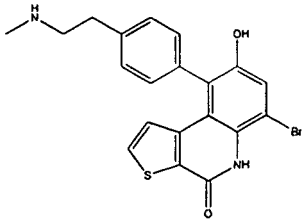
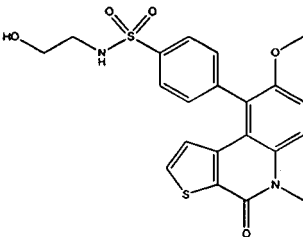
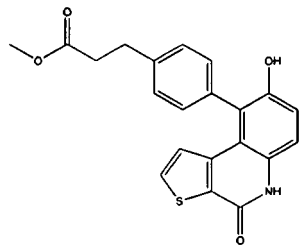
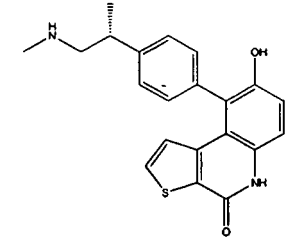
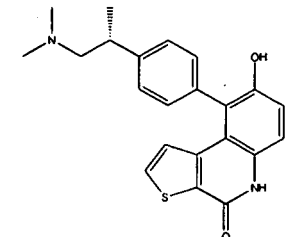
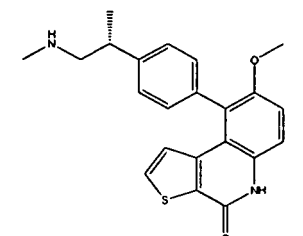
1153		9-(4-(2-aminoacetyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1154		(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1155		8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1156		8-methoxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1157		(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1158		(R)-9-(4-(1-aminopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

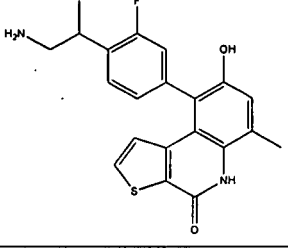
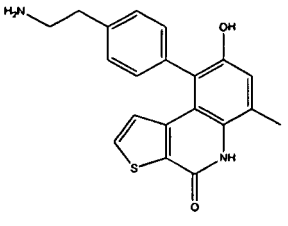
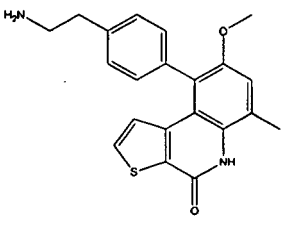
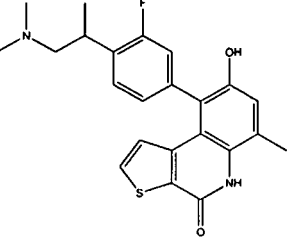
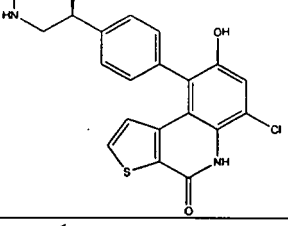
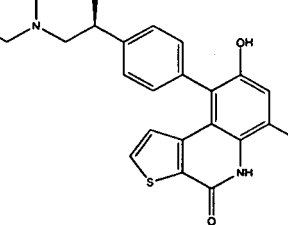
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1160		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1161		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1162		2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butanenitrile
1163		(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1164		(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

1165		6-chloro-8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1166		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1167		9-(4-(2-aminoethyl)-3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1168		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1169		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1170		6-chloro-8-methoxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

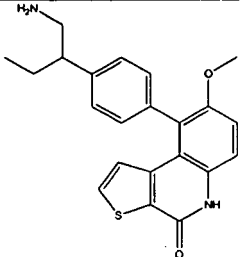
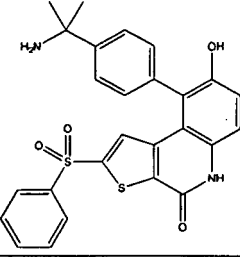
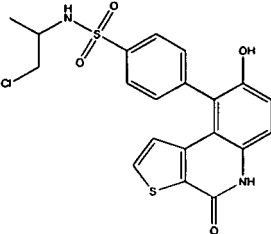
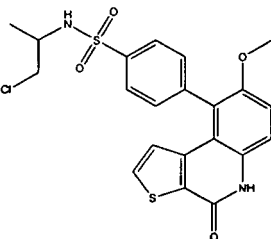
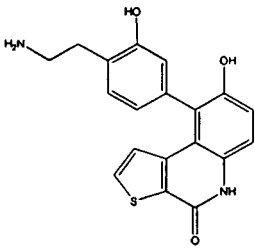
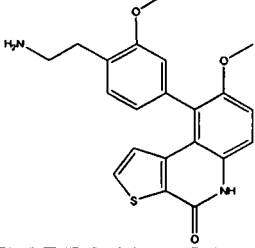
1171		9-(4-(2-aminoethyl)-3-chlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1172		(S)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1173		6-bromo-8-methoxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1174		9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1175		(R)-9-(4-(1-aminopropyl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1176		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

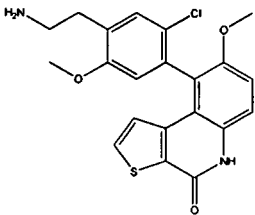
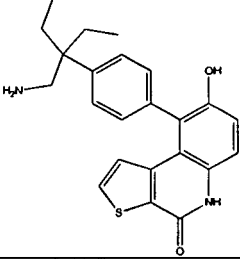
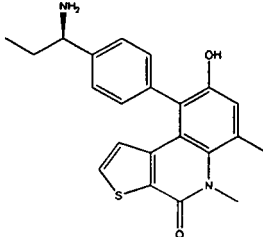
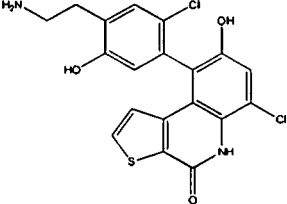
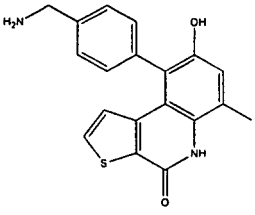
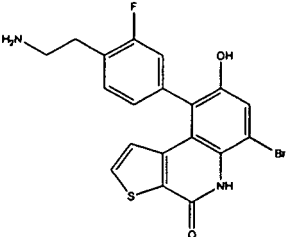
1177		9-(4-(2-aminoethyl)-3,5-difluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1178		9-(4-(2-(dimethylamino)ethyl)-3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1179		9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1180		(S)-9-(4-(1-aminopropan-2-yl)phenyl)-6,7-dichloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1181		(S)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1182		(S)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

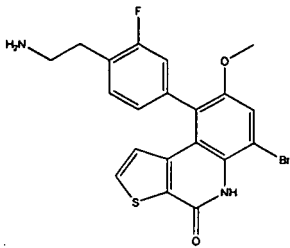
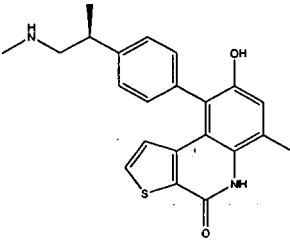
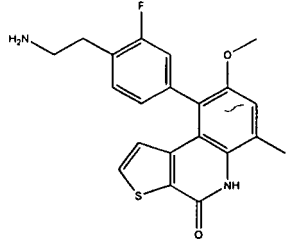
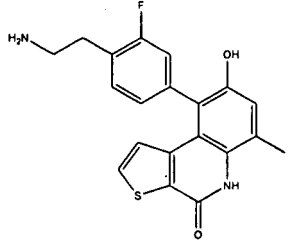
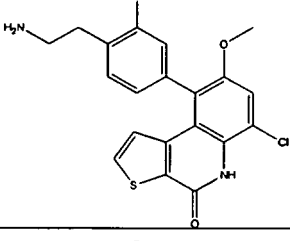
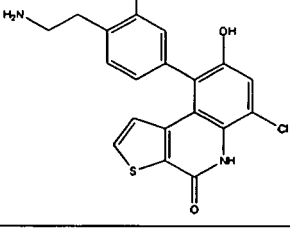
1183		6-bromo-8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1185		N-(2-hydroxyethyl)-4-(8-methoxy-5-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
1186		methyl 3-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanoate
1187		(R)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1188		(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1189		(R)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

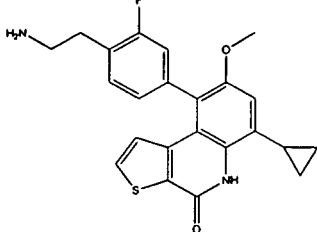
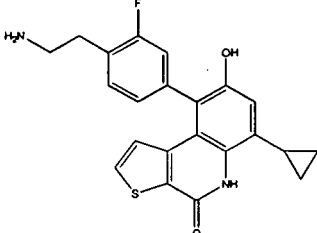
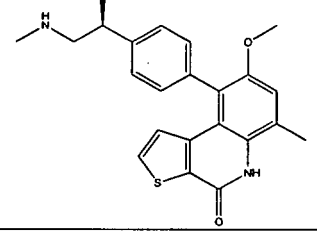
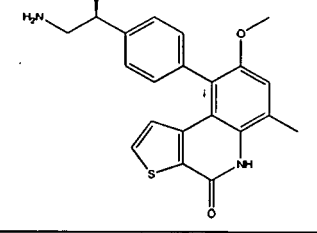
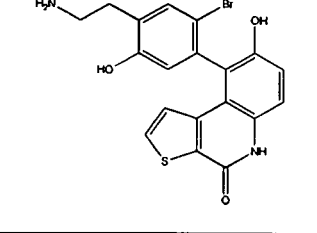
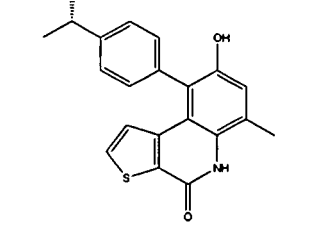
1190		9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1191		9-(4-(2-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1192		9-(4-(2-aminoethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1193		9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1194		(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1195		(S)-6-chloro-9-(4-(1-(diethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

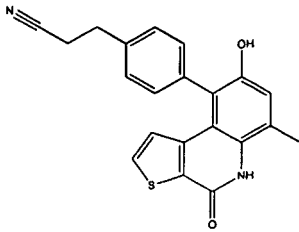
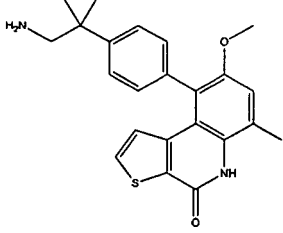
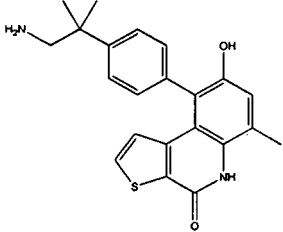
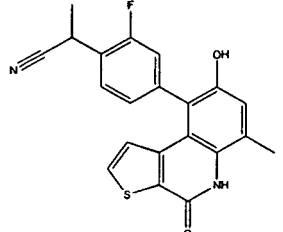
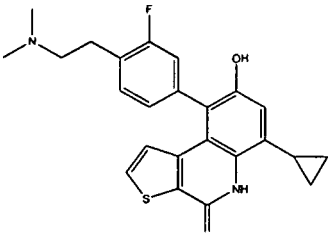
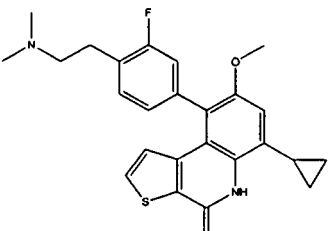
1196		(S)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1197		(S)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1198		4-(8-hydroxy-5-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide
1199		N-(2-bromoethyl)-4-(8-hydroxy-5-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
1200		(R)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1201		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one

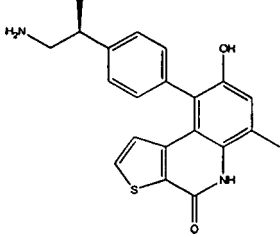
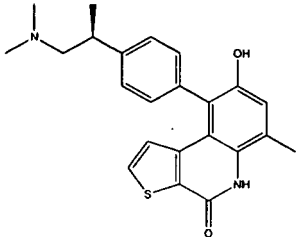
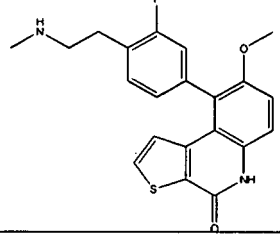
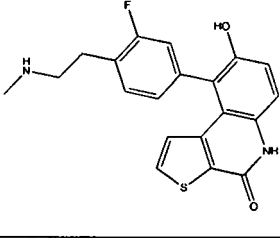
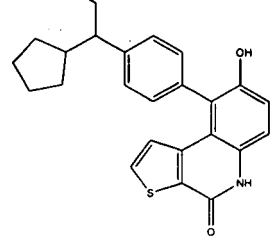
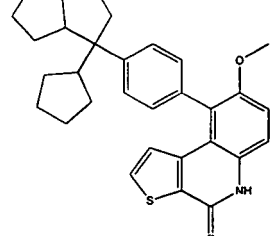
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1203		9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxy-2-(phenylsulfonyl)thieno[2,3-c]quinolin-4(5H)-one
1204		N-(1-chloropropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
1205		N-(1-chloropropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide
1206		9-(4-(2-aminoethyl)-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1207		9-(4-(2-aminoethyl)-3-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

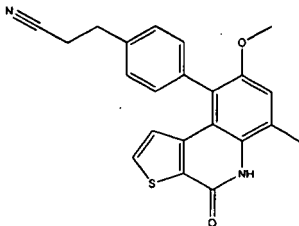
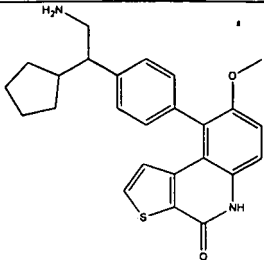
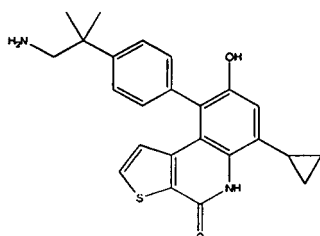
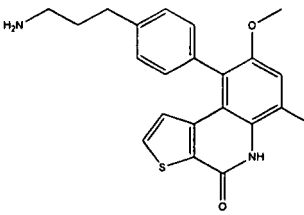
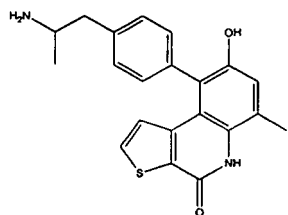
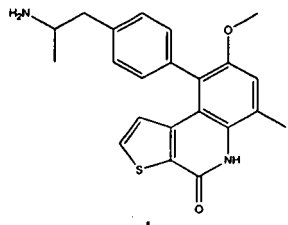
1208		9-(4-(2-aminoethyl)-2-chloro-5-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1209		9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1210		(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxy-5,6-dimethylthieno[2,3-c]quinolin-4(5H)-one
1211		9-(4-(2-aminoethyl)-2-chloro-5-hydroxyphenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1212		9-(4-(aminomethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1213		9-(4-(2-aminoethyl)-3-fluorophenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

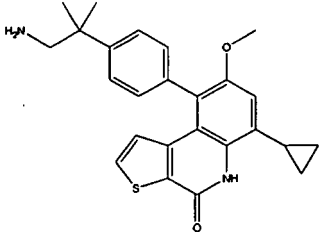
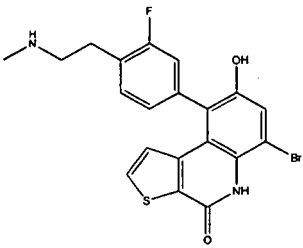
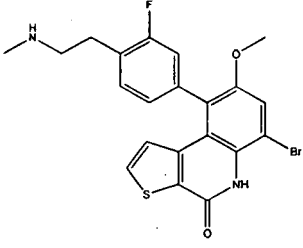
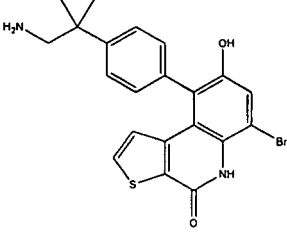
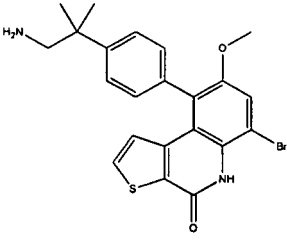
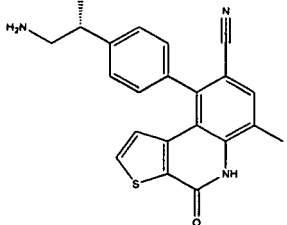
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1215		(S)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1216		9-(4-(2-aminoethyl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1217		9-(4-(2-aminoethyl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1218		9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1219		9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

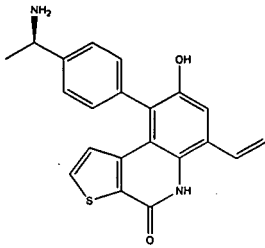
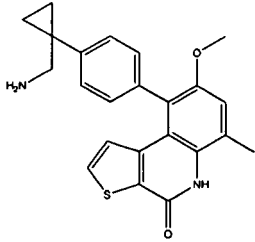
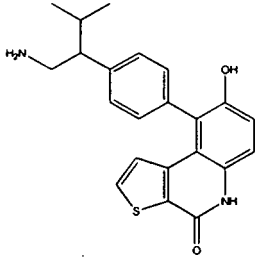
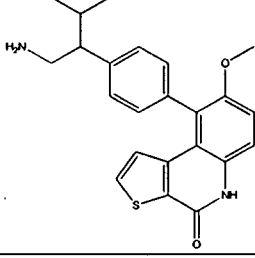
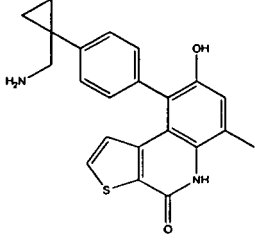
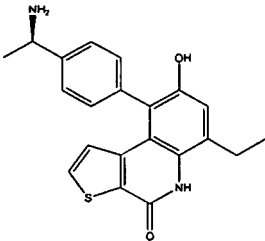
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1221		9-(4-(2-aminoethyl)-3-fluorophenyl)-6-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1222		(S)-8-methoxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1223		(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1224		9-(4-(2-aminoethyl)-2-bromo-5-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1225		(S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

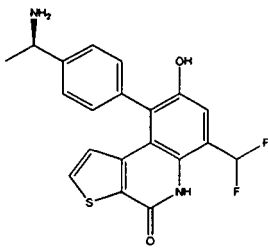
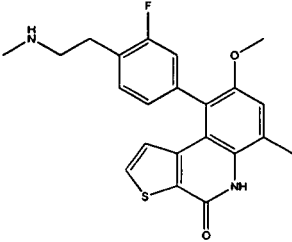
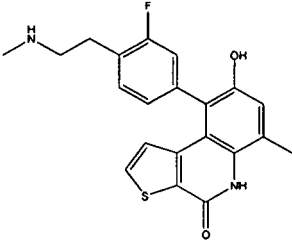
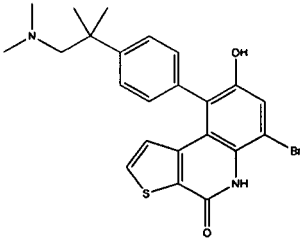
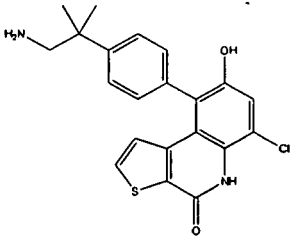
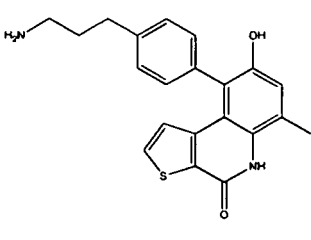
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1227		9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1228		9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1229		2-(2-fluoro-4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile
1230		6-cyclopropyl-9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1231		6-cyclopropyl-9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

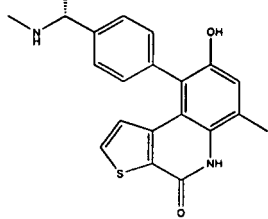
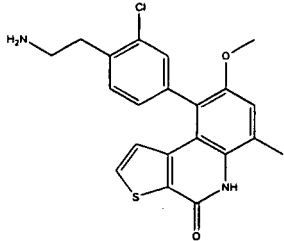
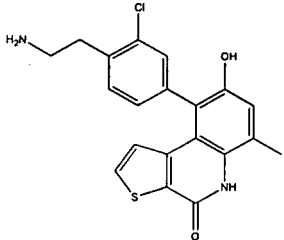
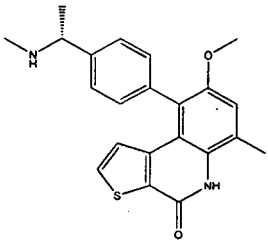
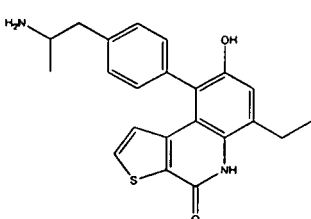
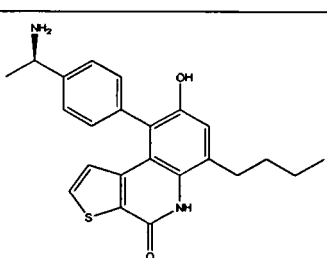
1232		(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1233		(S)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1234		9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1235		9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1236		9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1237		9-(4-(2-amino-1,1-dicyclopentylethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

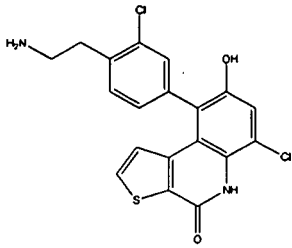
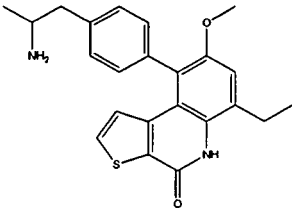
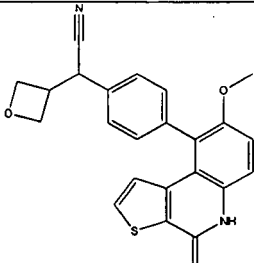
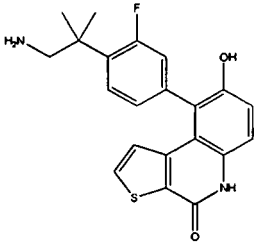
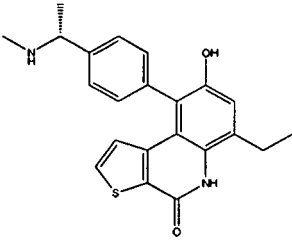
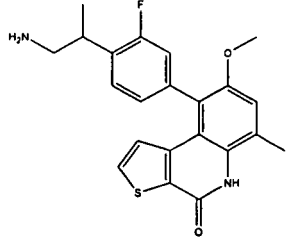
1238		3-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile
1239		9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1240		9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1241		9-(4-(3-aminopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1242		9-(4-(2-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1243	 I	9-(4-(2-aminopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

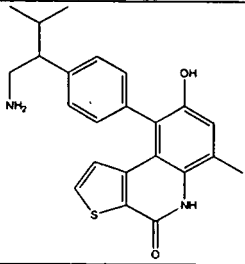
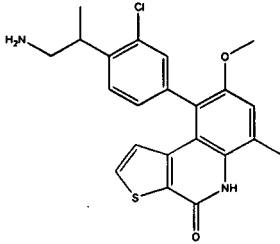
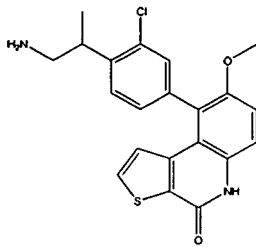
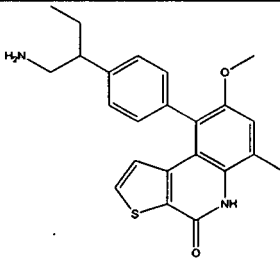
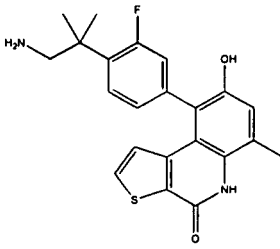
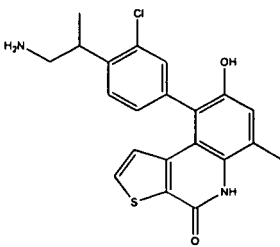
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1245		6-bromo-9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1246		6-bromo-9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1247		9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1248		9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1249		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile

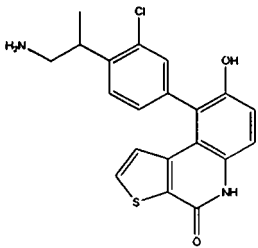
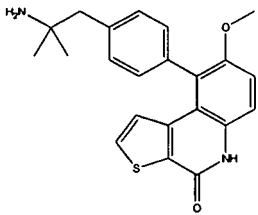
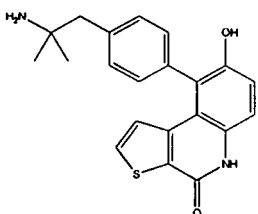
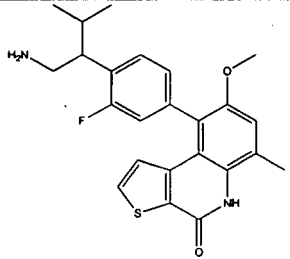
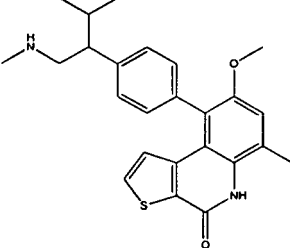
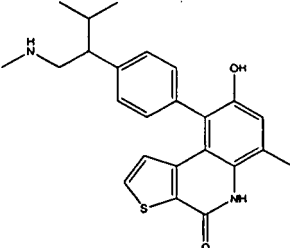
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1251		9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1252		9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1253		9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1254		9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1255		(R)-9-(4-(1-aminoethyl)phenyl)-6-ethyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

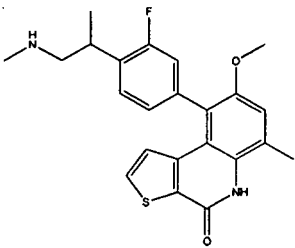
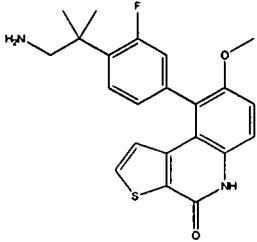
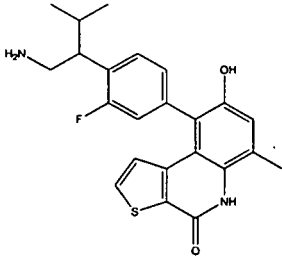
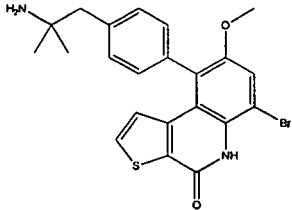
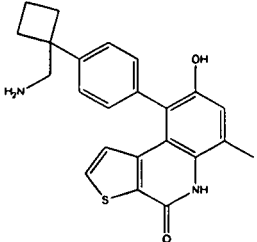
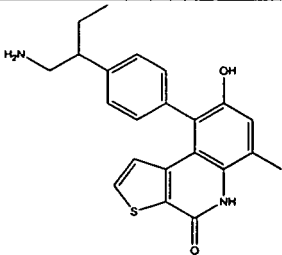
1256		(R)-9-(4-(1-aminoethyl)phenyl)-6-(difluoromethyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1257		9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1258		9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1259		6-bromo-9-(4-(1-(dimethylamino)-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1260		9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1261		9-(4-(3-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

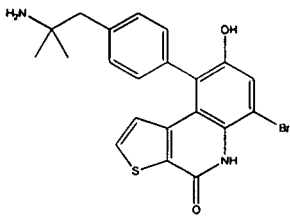
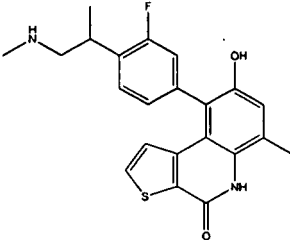
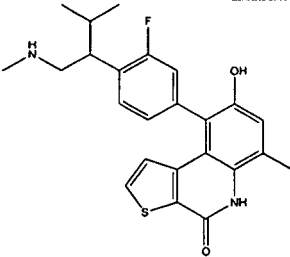
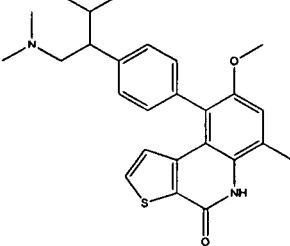
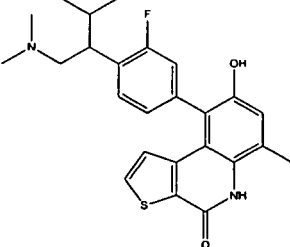
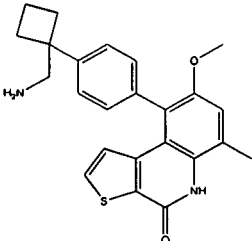
1262		(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1263		9-(4-(2-aminoethyl)-3-chlorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1264		9-(4-(2-aminoethyl)-3-chlorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1265		(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1266		9-(4-(2-aminopropyl)phenyl)-6-ethyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1267		(R)-9-(4-(1-aminoethyl)phenyl)-6-butyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

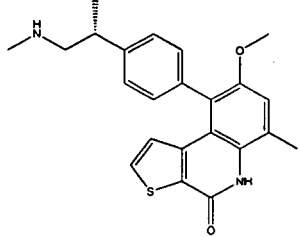
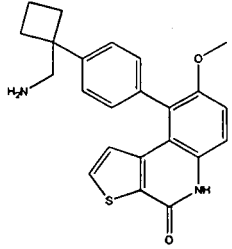
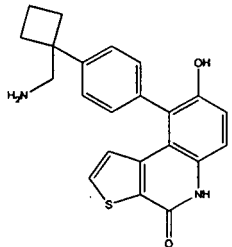
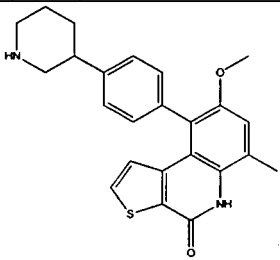
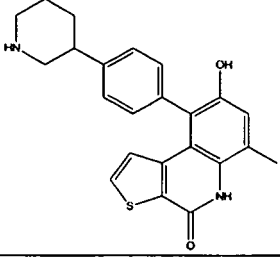
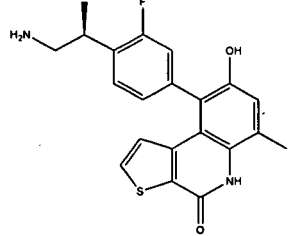
1268		9-(4-(2-aminoethyl)-3-chlorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1269		9-(4-(2-aminopropyl)phenyl)-6-ethyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1270		2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-(oxetan-3-yl)acetonitrile
1271		9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1272		(R)-6-ethyl-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1273		9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

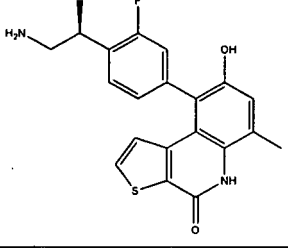
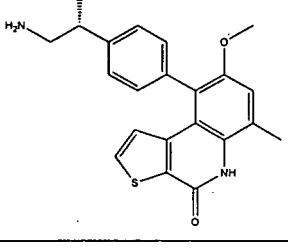
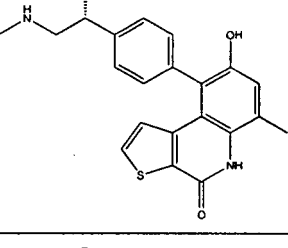
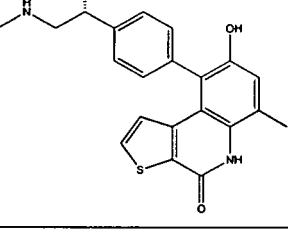
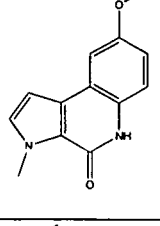
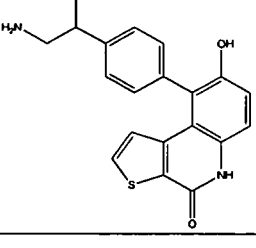
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1276		9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1277		9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1278		9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
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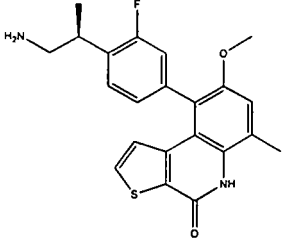
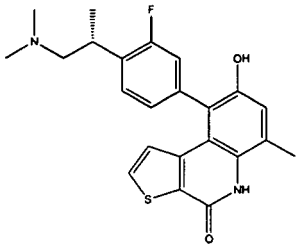
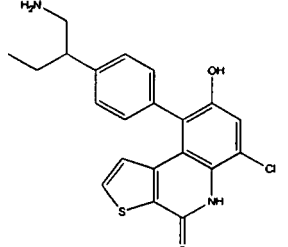
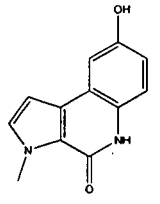
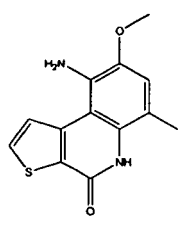
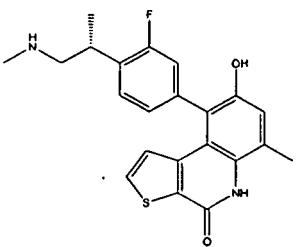
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1281		9-(4-(2-amino-2-methylpropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1282		9-(4-(2-amino-2-methylpropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1283		9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1284		8-methoxy-6-methyl-9-(4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1285		8-hydroxy-6-methyl-9-(4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

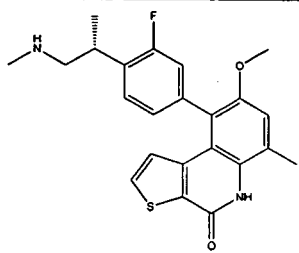
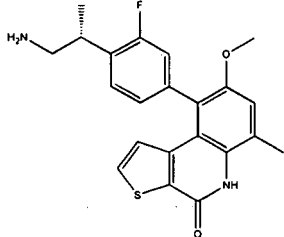
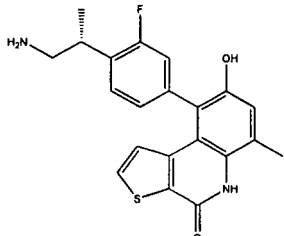
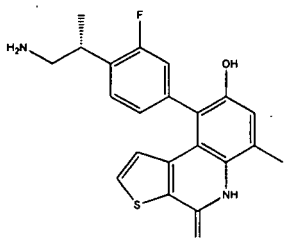
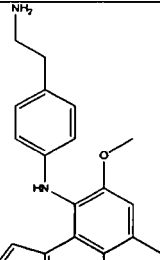
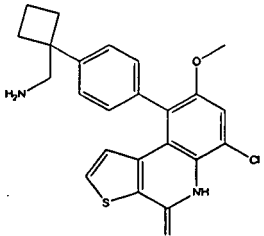
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1288		9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1289		9-(4-(2-amino-2-methylpropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1290		9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1291		9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

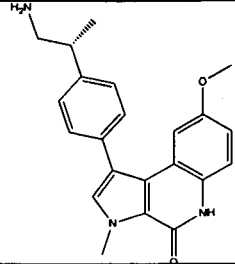
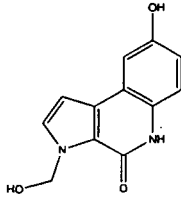
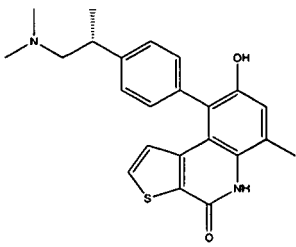
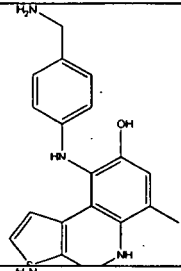
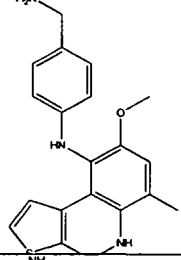
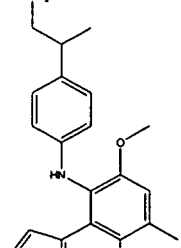
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1293		9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1294		9-(3-fluoro-4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1295		9-(4-(1-(dimethylamino)-3-methylbutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1296		9-(4-(1-(dimethylamino)-3-methylbutan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1297		9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

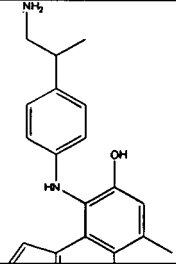
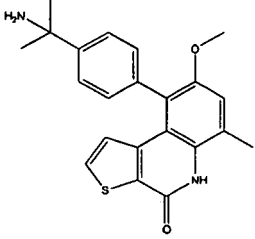
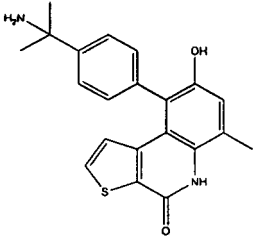
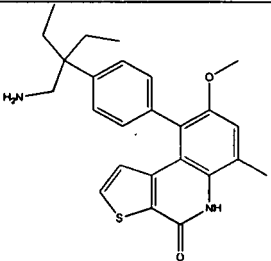
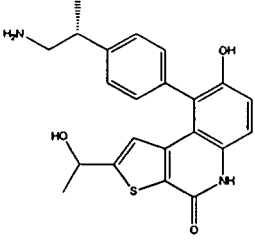
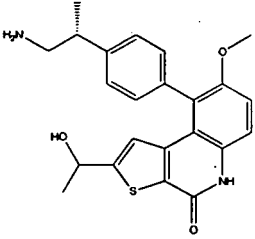
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1299		9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1300		9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1301		8-methoxy-6-methyl-9-(4-(piperidin-3-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1302		8-hydroxy-6-methyl-9-(4-(piperidin-3-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1303		(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

1304		(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1305		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1306		(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1307		(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1308		8-methoxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one
1309		9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

1310		(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1311		(R)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1312		9-(4-(1-aminobutan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1313		8-hydroxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one
1314		9-amino-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1315		(R)-9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

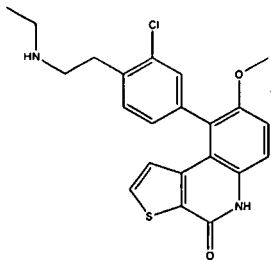
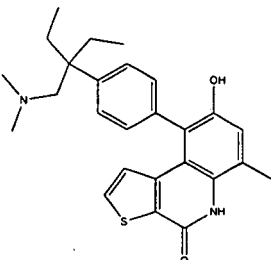
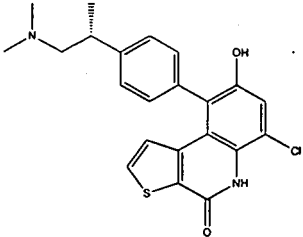
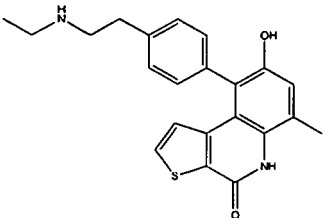
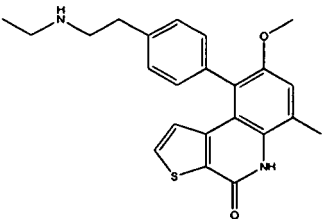
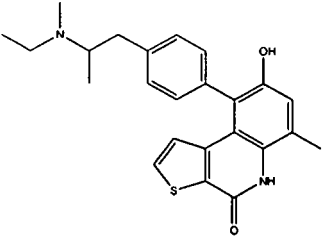
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1317		(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1318		(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1319		(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1320		9-((4-(2-aminoethyl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1321		9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one

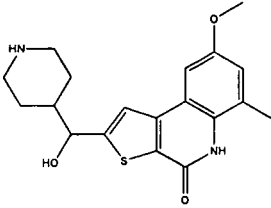
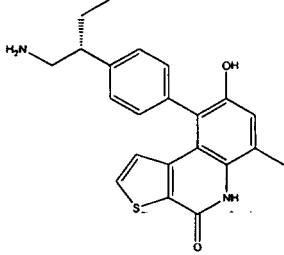
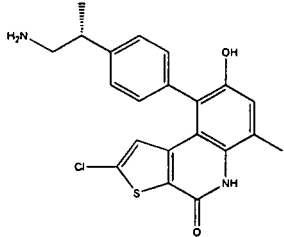
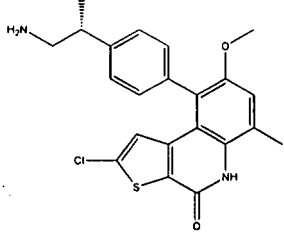
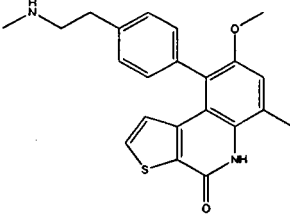
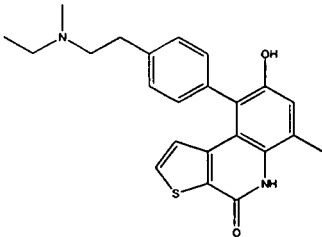
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1323		8-hydroxy-3-(hydroxymethyl)-3H-pyrrolo[2,3-c]quinolin-4(5H)-one
1324		(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1325		9-((4-(aminomethyl)phenyl)amino)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1326		9-((4-(aminomethyl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1327		9-((4-(1-aminopropan-2-yl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

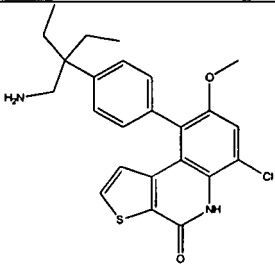
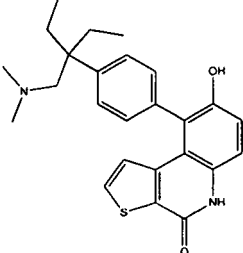
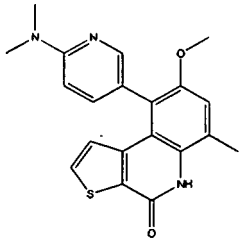
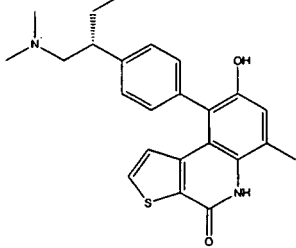
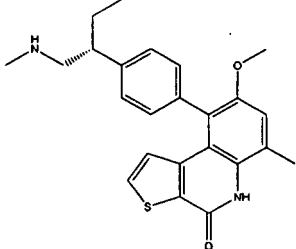
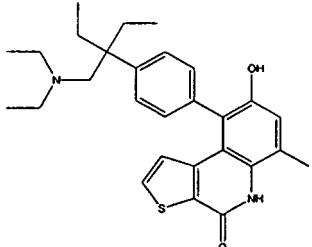
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1329		9-(4-(2-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1330		9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1331		9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1332		9-(4-((R)-1-aminopropan-2-yl)phenyl)-8-hydroxy-2-(1-hydroxyethyl)thieno[2,3-c]quinolin-4(5H)-one
1333		9-(4-((R)-1-aminopropan-2-yl)phenyl)-2-(1-hydroxyethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

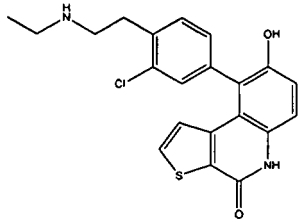
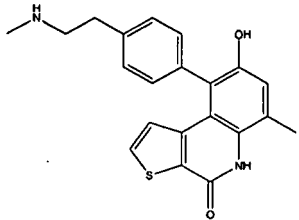
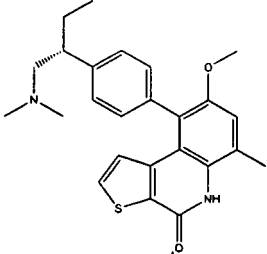
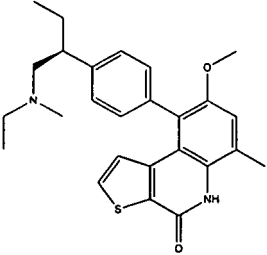
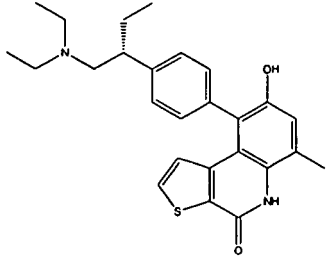
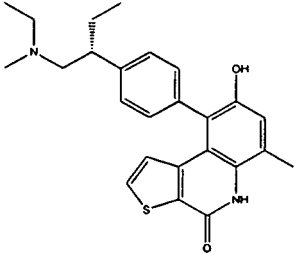
1334		3-(4-((8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)amino)phenyl)propanenitrile
1335		9-((3-(2-aminoethyl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1336		9-((4-(2-aminoethyl)phenyl)amino)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1337		9-(4-(2-(ethylamino)propyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1338		9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one

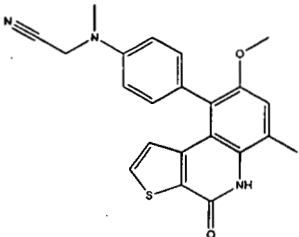
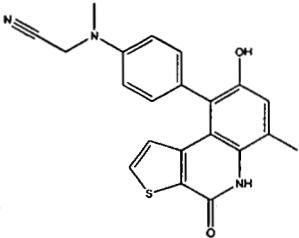
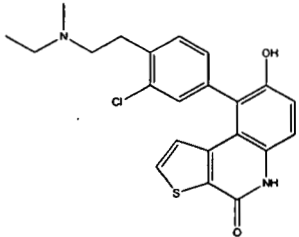
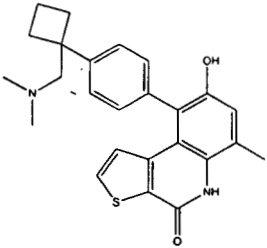
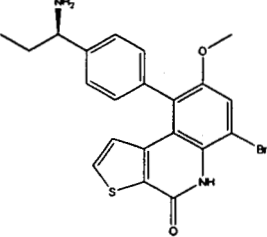
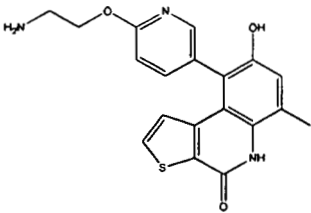
1339		9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1340		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one
1341		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one
1342		9-(4-((R)-1-aminopropan-2-yl)phenyl)-2-(1-hydroxyethyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1343		2-((4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)amino)acetonitrile
1344		(R)-9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

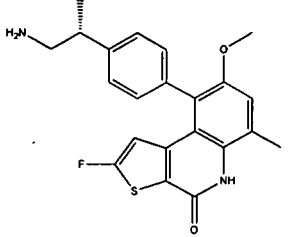
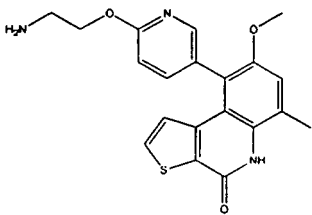
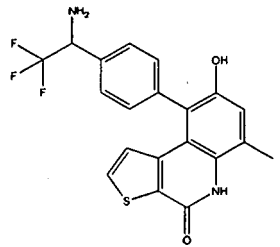
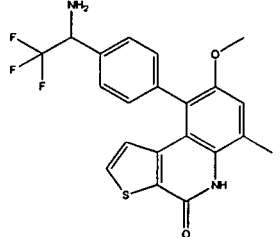
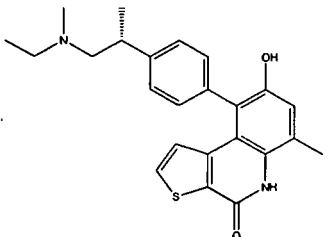
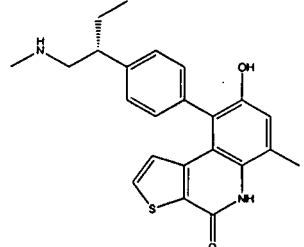
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1346		9-(4-(3-((dimethylamino)methyl)pentan-3-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1347		(R)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1348		9-(4-(2-(ethylamino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1349		9-(4-(2-(ethylamino)ethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1350		9-(4-(2-(ethyl(methyl)amino)propyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

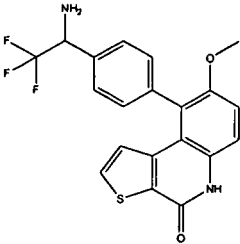
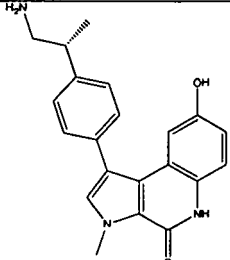
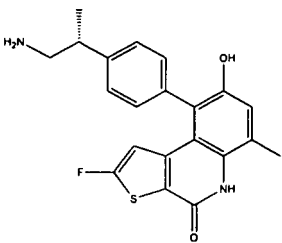
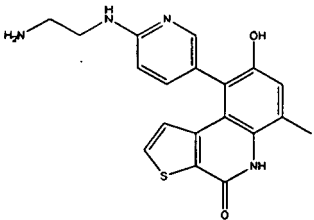
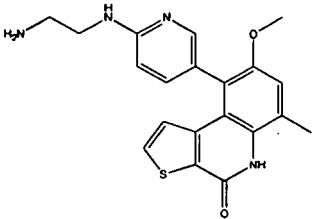
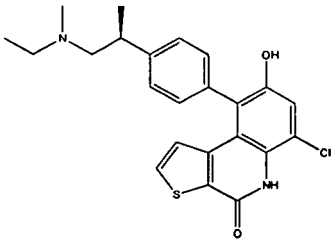
1351		2-(hydroxy(piperidin-4-yl)methyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1352		(R)-9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1353		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1354		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1355		8-methoxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1356		9-(4-(2-(ethyl(methyl)amino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

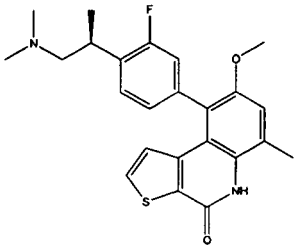
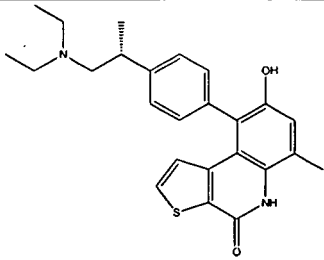
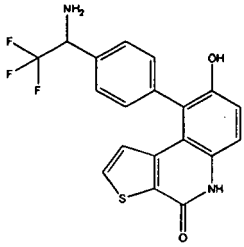
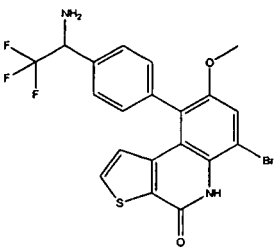
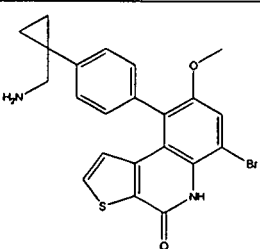
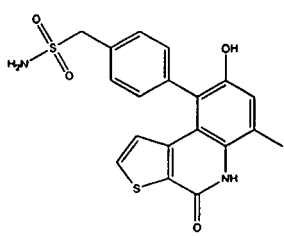
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1358		9-(4-(3-((dimethylamino)methyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1359		9-(6-(dimethylamino)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1360		(R)-9-(4-(1-(dimethylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1361		(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1362		9-(4-(3-((diethylamino)methyl)pentan-3-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

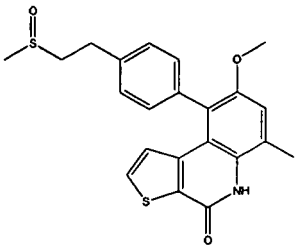
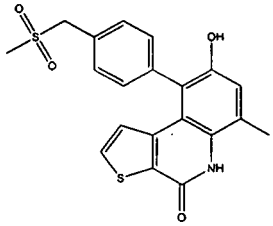
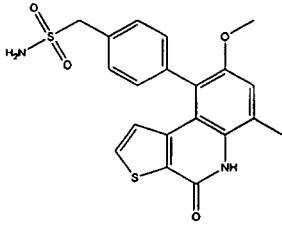
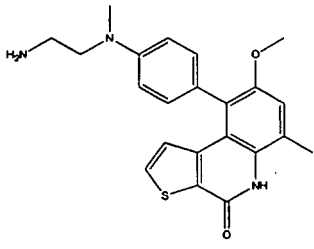
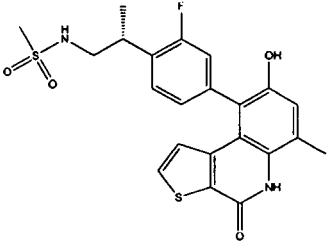
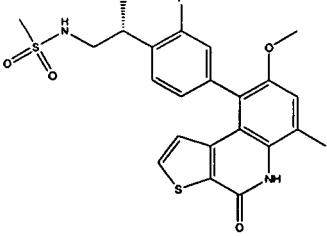
1363		9-(3-chloro-4-(2-(ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1364		8-hydroxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1365		(R)-9-(4-(1-(dimethylamino)butan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1366		(R)-9-(4-(1-(ethyl(methyl)amino)butan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1367		(R)-9-(4-(1-(diethylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1368		(R)-9-(4-(1-(ethyl(methyl)amino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

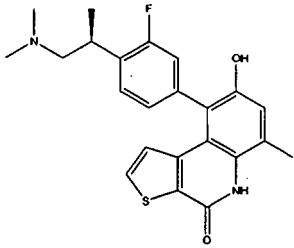
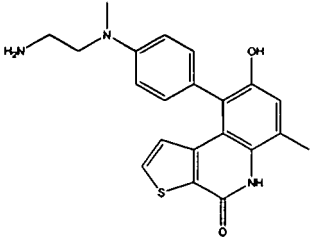
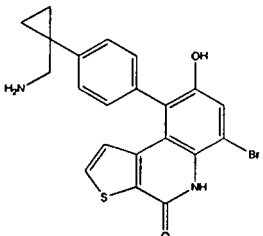
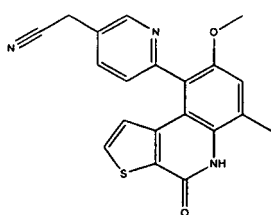
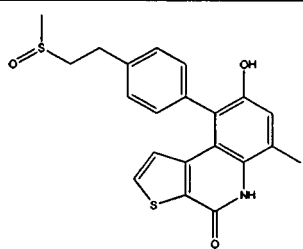
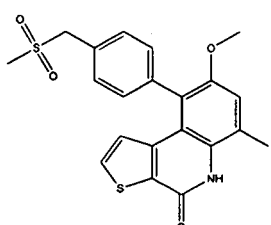
1369		2-((4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)(methylamino)acetonitrile
1370		2-((4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)(methylamino)acetonitrile
1371		9-(3-chloro-4-(2-(ethyl(methyl)amino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1372		9-(4-(1-((dimethylamino)methyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1373		(R)-9-(4-(1-aminopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1374		9-(6-(2-aminoethoxy)pyridin-3-yl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

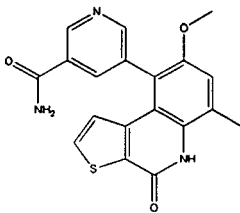
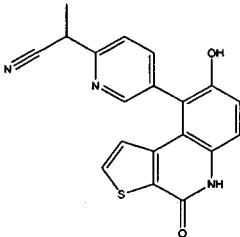
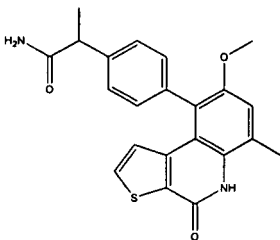
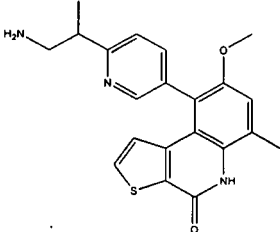
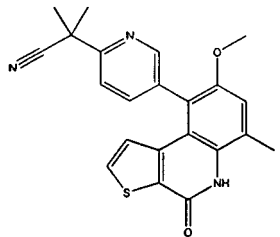
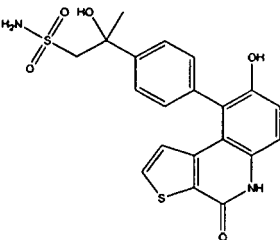
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1376		9-(6-(2-aminoethoxy)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1377		9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1378		9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1379		(R)-9-(4-(1-(ethyl(methyl)amino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1380		(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

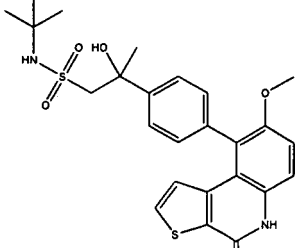
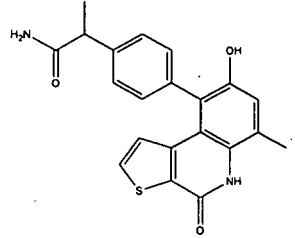
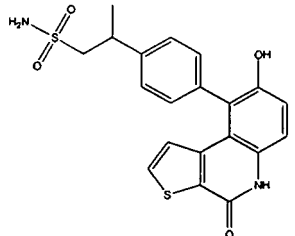
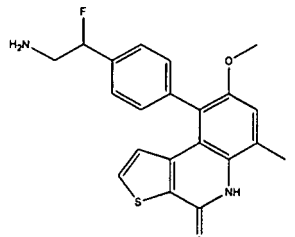
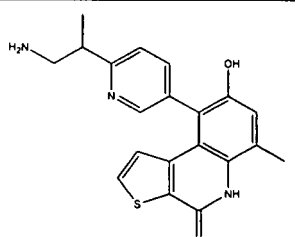
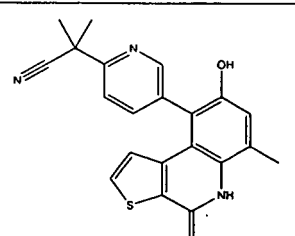
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1382		(R)-1-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one
1383		(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-fluoro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1384		9-(6-((2-aminoethyl)amino)pyridin-3-yl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1385		9-(6-((2-aminoethyl)amino)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1386		(S)-6-chloro-9-(4-(1-(ethyl(methyl)amino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

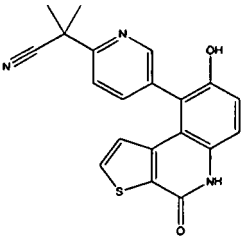
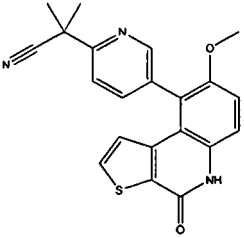
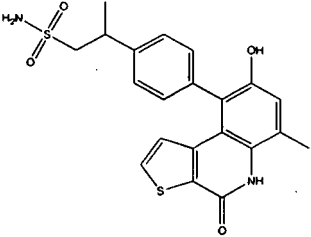
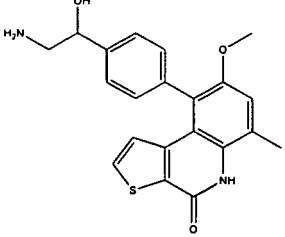
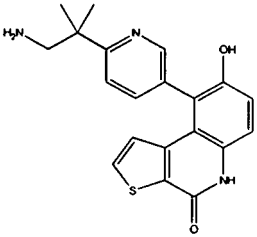
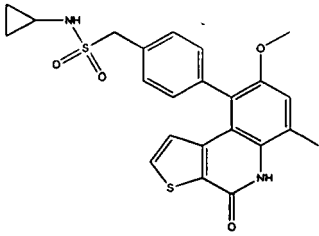
1387		(S)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1388		(R)-9-(4-(1-(diethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1389		9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1390		9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1391		9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1392		(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide

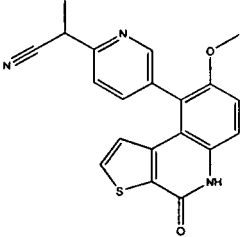
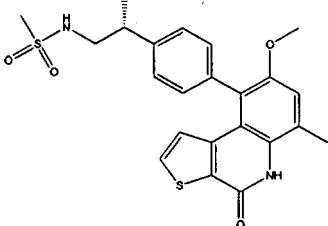
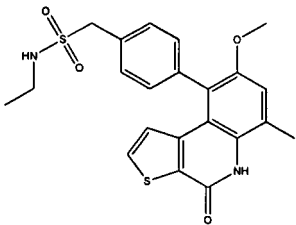
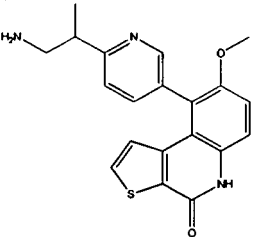
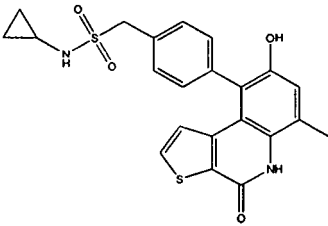
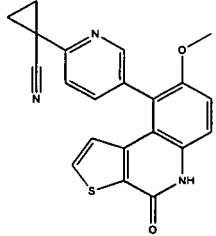
1393		8-methoxy-6-methyl-9-(4-(2-(methylsulfinyl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1394		8-hydroxy-6-methyl-9-(4-((methylsulfonyl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1395		(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide
1396		9-(4-((2-aminoethyl)(methyl)amino)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1397		(R)-N-(2-(2-fluoro-4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide
1398		(R)-N-(2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide

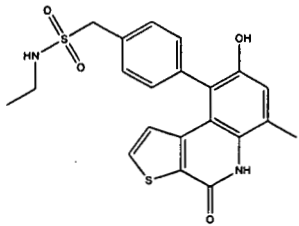
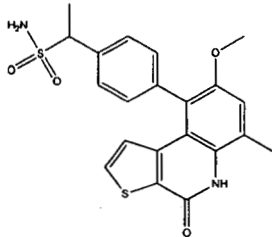
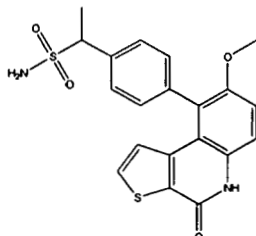
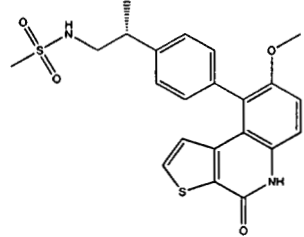
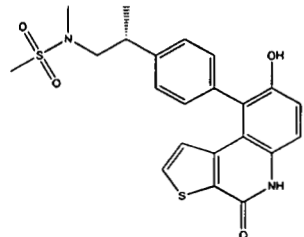
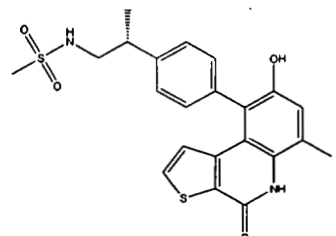
1399		(S)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1400		9-(4-((2-aminoethyl)(methyl)amino)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1401		9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1402		2-(6-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-3-yl)acetonitrile
1403		8-hydroxy-6-methyl-9-(4-(2-(methylsulfinyl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one
1404		8-methoxy-6-methyl-9-(4-((methylsulfonyl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one

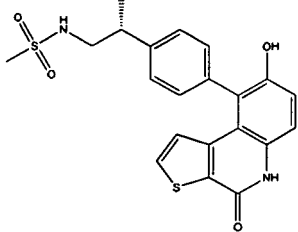
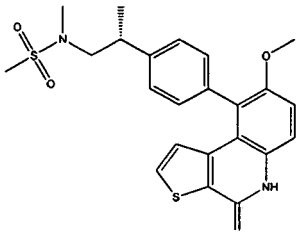
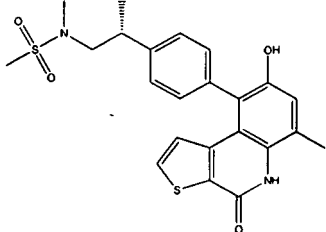
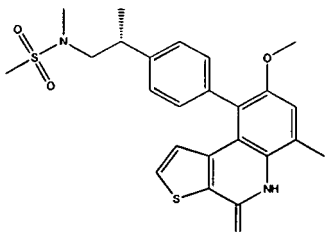
1405		5-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)nicotinamide
1406		2-(5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)propanenitrile
1407		2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanamide
1408		9-(6-(1-aminopropan-2-yl)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1409		2-(5-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile
1410		2-hydroxy-2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide

1411		N-(tert-butyl)-2-hydroxy-2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide
1412		2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanamide
1413		2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide
1414		9-(4-(2-amino-1-fluoroethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1415		9-(6-(1-aminopropan-2-yl)pyridin-3-yl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1416		2-(5-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile

1417		2-(5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile
1418		2-(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile
1419		2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide
1420		9-(4-(2-amino-1-hydroxyethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
1421		9-(6-(1-amino-2-methylpropan-2-yl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
1422		N-cyclopropyl-1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide

1423		2-(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)propanenitrile
1424		(R)-N-(2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide
1425		N-ethyl-1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide
1426		9-(6-(1-aminopropan-2-yl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one
1427		N-cyclopropyl-1-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide
1428		1-(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)cyclopropanecarbonitrile

1429		N-ethyl-1-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide
1430		1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide
1431		1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide
1432		(R)-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide
1433		(R)-N-(2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide
1434		(R)-N-(2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide

1435		(R)-N-(2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide
1436		(R)-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide
1437		(R)-N-(2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide
1438		(R)-N-(2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide

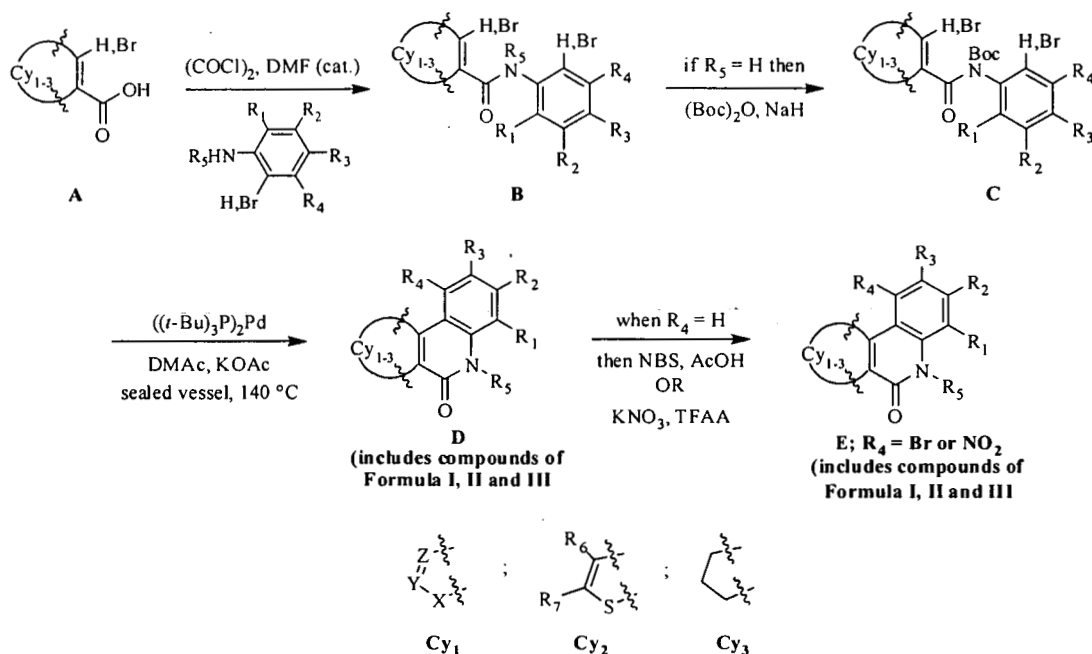
The compound of formula (I) of the present invention may be in the form of a pharmaceutically acceptable salt derived from an inorganic or organic acid. Representative examples of the pharmaceutically acceptable salt derived from an inorganic or organic acid include salts obtained by adding to the compound of formula (I) an inorganic acid including, but not limited to hydrochloric acid, hydrobromic acid, phosphoric acid or sulfonic acid, or organic carboxylic acids such as acetic acid, trifluoroacetic acid, citric acid, formic acid, maleic acid, oxalic acid, succinic acid, benzoic acid, tartaric acid, fumaric acid, mandelic acid, ascorbic acid or malic acid, methanesulfonic acid, or para toluenesulfonic acid, which do not limit its scope. Such acids may be prepared by the conventional processes, and other acids, which themselves are not pharmaceutically acceptable, including oxalic acid may be employed in the preparation of the salts.

Alternatively, the compound of formula (I) of the present invention may also be in the form of a pharmaceutically acceptable salt derived from an inorganic or organic base include salts obtained by adding an inorganic or organic base. For example, alkalis including sodium hydroxide or potassium hydroxide, or alkaline earth metal hydroxides including calcium hydroxide, magnesium hydroxide, aluminum hydroxide or ammonium hydroxide may be used for the

preparation of inorganic salt of the compound. Further, organic bases including triethylamine or diisopropylethylamine may also be used for the preparation of organic salt of the compound.

The compounds of formula (I) may be prepared as in Scheme (I) and (II).

Scheme (I)

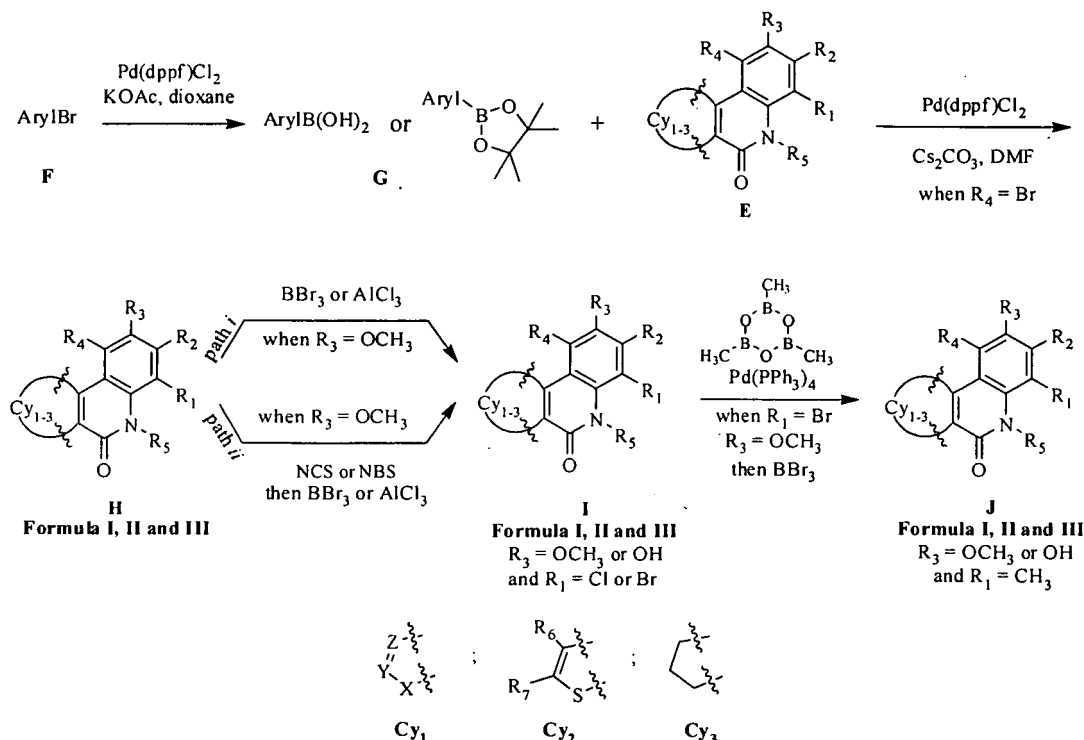


5

A variety of acids A whose structure is defined by the cycles (Cy₁₋₃) shown in Scheme I, were converted to the corresponding acid chloride and then coupled with the requisite aniline to afford coupled products B. In the case where R₅ = H, the amide was protected to obtain intermediates C which subsequently underwent the key intramolecular Heck cyclization using bis-tri-*t*-butyl phosphine as the catalyst of choice. This provided tricycles D which included some compounds of Formula I, II and III. In some instances tricycles D were brominated using NBS or nitrated using potassium nitrate and trifluoroacetic anhydride to provide products E (Scheme I).

10

Scheme (II)



The aryl bromides **F** were either purchased or prepared and then converted to the corresponding boronic acids or boronate esters **G** via standard conditions. Bromides **E** underwent Suzuki or Buchwald type cross-coupling reactions with the requisite boronate esters or boronic acids **G** to afford compounds **H** some of which are compounds of Formula I, II and III. In cases where $R_3 = \text{OCH}_3$, treatment of compounds **H** (via path i) with boron tribromide or aluminum chloride provided the de-methylated compounds **I** which includes compounds of Formula I, II and III (Scheme II). Additionally, treatment of compounds **H** (via path ii) with NCS or NBS afforded compounds **I** containing a halogen at R_1 . These halogenated compounds were treated with boron tribromide or aluminum chloride to provide the de-methylated compounds **I**. Finally, compounds with $R_1 = \text{Br}$ were reacted with trimethylboroxine and palladium catalyst to afford compounds **J** of formula I, II and III where $R_1 = \text{CH}_3$. Treatment of these compounds with boron tribromide afforded compounds of formula I, II and III.

A salt, hydrate, solvate and isomer of the inventive compound of formula (I) or (II) may be prepared by employing any of the known methods. The inventive compound of formula (I) or (II), or a salt, hydrate, solvate or isomer thereof, may be used for the treatment of PBK-dependent diseases such as cancer. The treatment of PBK-dependent diseases can be accomplished by way of inhibiting PBK activity. The inventive compound typically have an IC_{50} value (micro M) in the range of 0.0001 to 100, for example 0.001 to 50, preferably 0.001 to 10, more preferably 0.001 to 5.

Accordingly, the present invention includes a pharmaceutical composition that includes a therapeutically effective amount of the compound of formula (I) or (II), a salt, hydrate, solvate or isomer thereof as an active ingredient and a pharmaceutically acceptable carrier. The pharmaceutical composition of the present invention can be used to treat or prevent PBK-dependent diseases.

A pharmaceutical formulation may be prepared in accordance with any of the conventional procedures. In preparing the formulation, the active ingredient is preferably admixed or diluted with a carrier, or enclosed within a carrier, sachet or other container. The carrier may be a solid, semi-solid or liquid material acting as a vehicle, excipient or medium for the active ingredient.

5 The formulations may be in the form of a tablet, pill, powder, sachet, elixir, suspension, emulsion, solution, syrup, aerosol, soft and hard gelatin capsule, sterile injectable solution, sterile packaged powder and the like.

Examples of suitable carriers, excipients, and diluents are lactose, dextrose, sucrose, sorbitol, mannitol, calcium silicate, cellulose, methyl cellulose, microcrystalline cellulose,
10 polyvinylpyrrolidone, water, and mineral oil. The formulations may additionally include fillers, antiemulsifiers, preservatives and the like. The compositions of the invention may be formulated to provide immediate, sustained or delayed release of the active ingredient after their administration to a mammal by employing any of the procedures well known in the art.

The pharmaceutical composition of the present invention can be administered via various routes
15 including oral, transdermal, subcutaneous, intravenous and intramuscular administration.

In addition to the above, the present composition may contain other pharmaceutical active ingredients so long as they do not inhibit the *in vivo* function of the compound of the present invention. The compounds as disclosed herein can be co-administered with a second therapeutic agent, such as a chemotherapeutic agent. The term "co-administer" means to
20 administer more than one active agent, such that the duration of physiological effect of one active agent overlaps with the physiological effect of a second active agent. For systematic agents, the term co-administer means that more than one active agent is present in the bloodstream during at least one time point. Co-administration includes administering two active agents simultaneously, approximately simultaneously, or sequentially in any order. In
25 some embodiments, co-administration can be accomplished by co-formulation, i.e., preparing a single dosage unit including both active agents.

"Treating" the disease includes one or more of: addressing a physiological cause of the disease, addressing a physiological cause of a disease symptom, reducing the severity of the disease, ameliorating a symptom of the disease, and shortening the duration of the
30 disease. "Preventing" the disease includes eliminating or delaying the onset of a disease or its symptoms.

The compounds disclosed herein can be used to treat or prevent PBK-dependent diseases, including cancer. It has been shown that PBK is a target for treating cancers, such as breast cancer (Example 504 of the present specification), bladder cancer (WO2006/085684), and
35 small cell lung cancer (WO2007/013665). Accordingly, cancers to be targeted include, but are not limited to, breast cancer, bladder cancer, and small cell lung cancer. For example, the present invention provides methods for treating or preventing PBK-dependent diseases, including cancer, in a subject by administering to said subject the compounds disclosed herein. In a preferred embodiment, such compound can be administered to the subject in the form of
40 pharmaceutical composition including the compound of the present invention and pharmaceutically or physiologically acceptable carrier. The pharmaceutical composition of the present invention can be administered via various routes including oral, transdermal, subcutaneous, intravenous and intramuscular introduction for treating PBK dependent diseases, including cancer, in a subject.

45 In another embodiment, the present invention also provides the use of the compound of the present invention in manufacturing a pharmaceutical composition for treating a PBK dependent diseases including cancer. For example, the present invention relates to a use of the compound of the present invention for manufacturing a pharmaceutical composition for treating

PBK dependent diseases, including cancer. In another embodiment, the compounds of the present invention can be used in treating PBK dependent diseases, including cancer.

In another embodiment, the present invention also provides a method or process for manufacturing a pharmaceutical composition for treating a PBK dependent diseases including cancer, wherein the method or process includes a step for admixing an active ingredient with a pharmaceutically or physiologically acceptable carrier, wherein the active ingredient is the compound of the present invention.

The dosage and method of administration vary according to the body weight, age, and symptoms of the patient; however, one skilled in the art can suitably select them.

For example, the dose is generally about 0.1 mg to about 100 mg per day, preferably about 1.0 mg to about 50 mg per day and more preferably about 1.0 mg to about 20 mg per day, when administered orally to a normal adult human (weight 60 kg).

When administering the compound parenterally, in the form of an injection to a normal adult human (weight 60 kg), although there are some differences according to the patient, target organ, symptoms and method of administration, it is convenient to intravenously inject a dose of about 0.01 mg to about 30 mg per day, preferably about 0.1 to about 20 mg per day and more preferably about 0.1 to about 10 mg per day. In the case of other animals, the appropriate dosage amount may be routinely calculated by converting to 60 kg of body weight.

Examples

The following examples are intended to further illustrate the present invention without limiting its scope.

General Procedure A (Scheme I):

Step 1: To a suspension of the requisite carboxylic acid **A** (1 mol) in CH_2Cl_2 (0.1 – 0.5 M) at room temperature was added $(\text{COCl})_2$ (2 mol) followed by the addition of catalytic DMF. The reaction mixture was stirred at room temperature for 18 h, concentrated and dried under high vacuum to obtain the intermediate acid chloride. The acid chloride was dissolved in CH_2Cl_2 (0.1–0.3 M) followed by the addition of Et_3N (1.5 – 2 mol) and the requisite aniline (1.1 mol) and the reaction was stirred at room temperature for 18 h. The reaction mixture was concentrated, triturated with an appropriate solvent or purified by flash chromatography to obtain amide **B** as a solid.

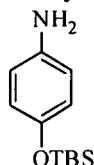
Step 2: To a solution of amide **B** (1 mol) in THF (0.1 – 0.3 M) at 0 °C was added NaH (1.2 mol) and the reaction was warmed up to 45 °C for 15 min and cooled to 0 °C followed by the addition of $(\text{Boc})_2\text{O}$ (2 mol). The reaction mixture was warmed to room temperature and stirred for 18 h. The reaction mixture was quenched by slowly pouring it into a stirred solution of water and satd aq NaHCO_3 at 0 °C. The mixture was extracted with ethyl acetate and the combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated. The residue was triturated with an appropriate solvent or purified by flash chromatography to obtain **C** as a solid.

Step 3: Intermediate **C** (1 mol), bis(tri-tert-butylphosphine)palladium (5 mol%) and potassium acetate (4 mol) were added to a Parr pressure reactor followed by the addition of dimethyl acetamide (0.3 M). The reaction mixture was sparged with nitrogen for 30 min followed by heating at 140–150 °C for 4 h. The reaction mixture was cooled and quenched by pouring into brine at 0 °C. The resulting precipitate was filtered and the filter cake was washed with water and ether to obtain crude **D** as a solid.

Step 4: To a solution of crude **D** (1 mol) in $\text{CH}_2\text{Cl}_2:\text{AcOH}$ (1:1) was added NBS (1 mol) and the reaction mixture was stirred at room temperature for 18 h. The reaction mixture was quenched by pouring slowly into a stirred solution of ice and satd aq Na_2CO_3 . Once the aqueous layer was at pH 8 the layers were separated and the CH_2Cl_2 layer was concentrated, trituted with acetonitrile and filtered to obtain **E** as solid.

Example 392

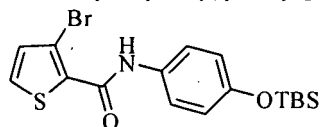
4-(tert-Butyldimethylsilyloxy)aniline



To a solution of 4-aminophenol (11 g, 100 mmol) and imidazole (10 g, 150 mmol) in THF (250 mL) was added tert-butyldimethylsilyl chloride (18 g, 120 mmol) and the reaction was stirred at room temperature for 18 h. The reaction mixture was poured into water and extracted with diethyl ether. The combined organic layers were dried over Na_2SO_4 , filtered, concentrated and the residue was purified by column chromatography to afford the desired product (15 g, 67%): ESI MS m/z 224 [$\text{C}_{12}\text{H}_{21}\text{NOSi} + \text{H}$] $^+$.

Example 393

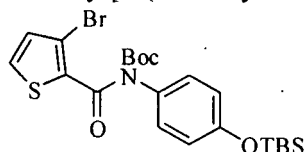
3-Bromo-N-[4-(tert-butyldimethylsilyloxy)phenyl]thiophene-2-carboxamide



Following Step 1 from General Procedure A, 5-bromothiophene-2-carboxylic acid (3.0 g, 14 mmol) was reacted with 4-(tert-butyldimethylsilyloxy)aniline (4.2 g, 19 mmol) to afford the desired product (4.4 g, 73%) as a solid: ESI MS m/z 413 [$\text{C}_{17}\text{H}_{22}\text{BrNO}_2\text{SSi} + \text{H}$] $^+$.

Example 394

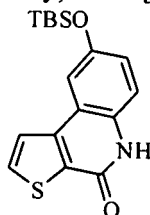
tert-Butyl 3-Bromothiophene-2-carbonyl[4-(tert-butyldimethylsilyloxy)phenyl]carbamate



Following Step 2 from General Procedure A, 3-bromo-N-[4-(tert-butyldimethylsilyloxy)phenyl]thiophene-2-carboxamide (4.4 g, 11 mmol) was reacted with di-tert-butyl dicarbonate (4.6 g, 21 mmol) to afford the desired product (1.5 g, 28%) as a solid: ESI MS m/z 513 [$\text{C}_{22}\text{H}_{30}\text{BrNO}_4\text{SSi} + \text{H}$] $^+$.

Example 395

8-(tert-Butyldimethylsilyloxy)thieno[2,3-c]quinolin-4(5H)-one

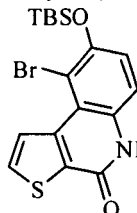


Following Step 3 from General Procedure A, tert-butyl 3-bromothiophene-2-carbonyl[4-(tert-butyldimethylsilyloxy)phenyl]carbamate (1.0 g, 2.0 mmol)

was reacted with bis(tri-tert-butylphosphine)palladium (50 mg, 0.098 mmol) to afford the desired product (740 mg, quant.) as a solid: ESI MS m/z 332 $[C_{17}H_{21}NO_2SSi + H]^+$.

Example 396

9-Bromo-8-(tert-butyldimethylsilyloxy)thieno[2,3-c]quinolin-4(5H)-one

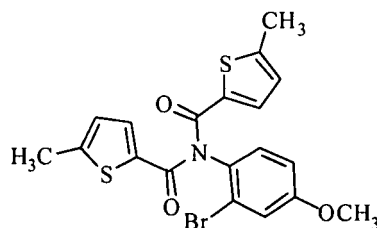


Following Step 4 from General Procedure A,

8-(tert-butyldimethylsilyloxy)thieno[2,3-c]quinolin-4(5H)-one (740 mg, 2.2 mmol) was reacted with N-bromosuccinimide (480 mg, 2.7 mmol) to afford the desired product (340 mg, 37%) as a brown solid: ESI MS m/z 411 $[C_{17}H_{20}BrNO_2SSi + H]^+$.

Example 397

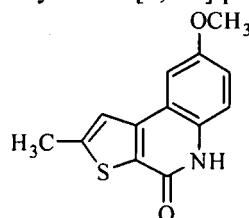
N-(2-Bromo-4-methoxyphenyl)-5-methyl-N-(5-methylthiophene-2-carbonyl)thiophene-2-carboxamide



Following Step 1 from General Procedure A, 5-methylthiophene-2-carboxylic acid (8.5 g, 60 mmol) was reacted with 2-bromo-4-methoxyaniline (6.7 g, 30 mmol) to afford the desired product (5.0 g, 57%) as a solid: ESI MS m/z 327 $[C_{13}H_{12}BrNO_2S + H]^+$.

Example 398

8-Methoxy-2-methylthieno[2,3-c]quinolin-4(5H)-one

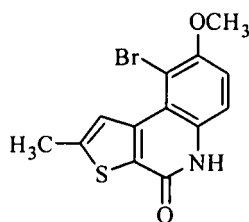


Following Step 3 from General Procedure A,

N-(2-bromo-4-methoxyphenyl)-5-methyl-N-(5-methylthiophene-2-carbonyl)thiophene-2-carboxamide (500 mg, 1.1 mmol) was reacted with bis(tri-tert-butylphosphine)palladium (45 mg, 0.089 mmol) to afford the desired product (1.3 g, 48%) as a green solid: ESI MS m/z 246 $[C_{13}H_{11}NO_2S + H]^+$.

Example 399

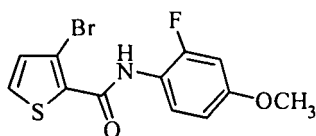
9-Bromo-8-methoxy-2-methylthieno[2,3-c]quinolin-4(5H)-one



Following Step 4 from General Procedure A, 8-methoxy-2-methylthieno[2,3-c]quinolin-4(5H)-one (1.4 g, 5.7 mmol) was reacted with N-bromosuccinimide (1.2 g, 6.9 mmol) to afford the desired product (740 mg, 40%) as a brown solid: ESI MS m/z 325 [$C_{13}H_{10}BrNO_2S + H$]⁺.

Example 400

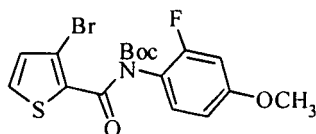
3-bromo-N-(2-fluoro-4-methoxyphenyl)thiophene-2-carboxamide



Following Step 1 from General Procedure A, 3-bromothiophene-2-carboxylic acid (7.3 g, 35 mmol) was reacted with 2-fluoro-4-methoxyaniline (5.0 g, 35 mmol) to afford the desired product (10 g, 90%) as an orange solid: ESI MS m/z 331 [$C_{12}H_{10}FNO_2S + H$]⁺.

Example 401

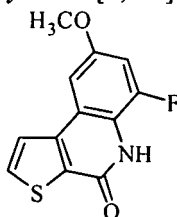
tert-butyl 3-bromothiophene-2-carbonyl(2-fluoro-4-methoxyphenyl)carbamate



Following Step 2 from General Procedure A, 3-bromo-N-(2-fluoro-4-methoxyphenyl)thiophene-2-carboxamide (12 g, 35 mmol) was reacted with di-tert-butyl dicarbonate (12 g, 53 mmol) to afford the desired product (14 g, >99%) as an orange solid: ESI MS m/z 331 [$C_{12}H_{10}FNO_2S + H$]⁺.

Example 402

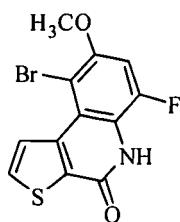
6-Fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following Step 3 from General Procedure A, tert-butyl 3-bromothiophene-2-carbonyl(2-fluoro-4-methoxyphenyl)carbamate (2.0 g, 4.6 mmol) was reacted with bis(tri-tert-butylphosphine)palladium (100 mg, 0.20 mmol) to afford the desired product (950 mg, 80%) as a dark brown solid: ESI MS m/z 250 [$C_{12}H_8FNO_2S + H$]⁺.

Example 403

9-Bromo-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one

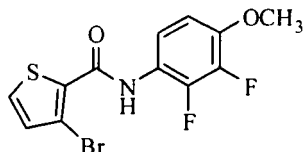


Following Step 4 from General Procedure A,

6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.0 g, 4.0 mmol) was reacted with N-bromosuccinimide (570 mg, 4.8 mmol) to afford the desired product (800 mg, 61%) as a brown solid: ESI MS m/z 329 [C₁₂H₇BrFNO₂S + H]⁺.

Example 404

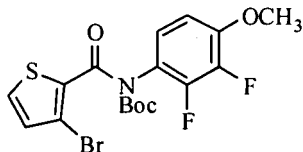
3-Bromo-N-(2,3-difluoro-4-methoxyphenyl)thiophene-2-carboxamide



Following Step 1 from General Procedure A, 3-bromothiophene-2-carboxylic acid (1.3 g, 6.3 mmol) was reacted with 2,3-difluoro-4-methoxyaniline (960 mg, 7.5 mmol) to afford the desired product (2.2 g, >99%): ESI MS m/z 349 [C₁₂H₈BrF₂NO₂S + H]⁺.

Example 405

tert-Butyl 3-Bromothiophene-2-carbonyl(2,3-difluoro-4-methoxyphenyl)carbamate

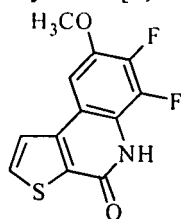


Following Step 2 from General Procedure A,

3-bromo-N-(2,3-difluoro-4-methoxyphenyl)thiophene-2-carboxamide (2.4 g, 7.00 mmol) was reacted with di-tert-butyl dicarbonate (330 mg, 14 mmol) to afford the desired product (2.1 g, 67%) as a white solid: ESI MS m/z 448 [C₁₇H₁₆BrF₂NO₄S + H]⁺.

Example 406

6,7-Difluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one

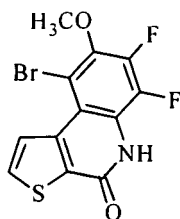


Following Step 3 from General Procedure A, tert-butyl

3-bromothiophene-2-carbonyl(2,3-difluoro-4-methoxyphenyl)carbamate (1.4 g, 3.1 mmol) was reacted with bis(tri-tert-butylphosphine)palladium (80 mg, 0.15 mmol) to afford the desired product (58 mg, 65%) as a brown solid: ESI MS m/z 268 [C₁₂H₇F₂NO₂S + H]⁺.

Example 407

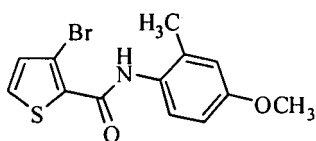
9-Bromo-6,7-difluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following Step 4 from General Procedure A, 6,7-difluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one (300 mg, 1.1 mmol) was reacted with N-bromosuccinimide (400 mg, 2.2 mmol) to afford the desired product (200 mg, 57%) as a yellow solid: ESI MS m/z 347 [$C_{12}H_6BrF_2NO_2S + H$] $^+$.

Example 510

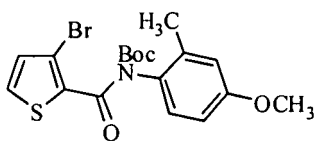
3-bromo-N-(4-methoxy-2-methylphenyl)thiophene-2-carboxamide



Following Step 1 from General Procedure A, 3-bromothiophene-2-carboxylic acid (6.7 g, 49 mol) was reacted with 2-methyl-4-methoxyaniline (12 g, 53 mmol) to afford the desired product (13 g, 80%) as an orange solid: ESI MS m/z 331 [$C_{12}H_{10}FNO_2S + H$] $^+$.

Example 511

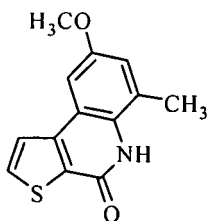
tert-butyl 3-bromothiophene-2-carbonyl(4-methoxy-2-methylphenyl)carbamate



Following Step 2 from General Procedure A, 3-bromo-N-(4-methoxy-2-methylphenyl)thiophene-2-carboxamide (12 g, 37 mmol) was reacted with di-tert-butyl dicarbonate (9.6 g, 44 mmol) to afford the desired product (15 g, 96%) as an orange solid: ESI MS m/z 331 [$C_{12}H_{10}FNO_2S + H$] $^+$.

Example 512

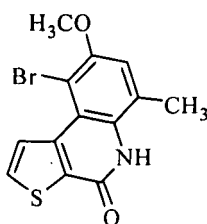
8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one



Following Step 3 from General Procedure A, tert-butyl 3-bromothiophene-2-carbonyl(4-methoxy-2-methylphenyl)carbamate (14 g, 33 mmol) was reacted with bis(tri-tert-butylphosphine)palladium (750 mg, 1.5 mmol) to afford the desired product (7.0 g, 85%) as a dark brown solid: ESI MS m/z 250 [$C_{12}H_8FNO_2S + H$] $^+$.

Example 513

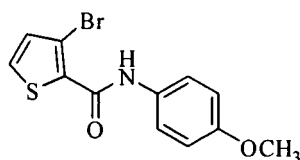
9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one



Following Step 4 from General Procedure A, 8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (6.4 g, 26 mmol) was reacted with N-bromosuccinimide (5.0 g, 26 mmol) to afford the desired product (7.0 g, 82%) as a brown solid: ESI MS m/z 329 [$C_{12}H_7BrFNO_2S + H$]⁺.

Example 514

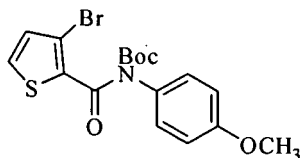
3-Bromo-N-(4-methoxyphenyl)thiophene-2-carboxamide



Following Step 1 from General Procedure A, 5-bromothiophene-2-carboxylic acid (75 g, 360 mmol) was reacted with 4-methoxyaniline (54 g, 430 mmol) to afford the desired product (110 g, 93%) as a solid: ESI MS m/z 313 [$C_{12}H_{10}BrNO_2S + H$]⁺.

Example 515

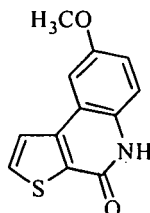
tert-Butyl 3-bromothiophene-2-carbonyl(4-methoxyphenyl)carbamate



Following Step 2 from General Procedure A, 3-bromo-N-(4-methoxyphenyl)thiophene-2-carboxamide (60 g, 190 mmol) was reacted with di-tert-butyl dicarbonate (83 g, 380 mmol) to afford the desired product (65 g, 82%) as a solid: ESI MS m/z 413 [$C_{17}H_{18}BrNO_4S + H$]⁺.

Example 516

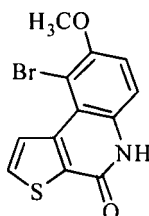
8-Methoxythieno[2,3-c]quinolin-4(5H)-one



Following Step 3 from General Procedure A, tert-butyl 3-bromothiophene-2-carbonyl (4-methoxyphenyl)carbamate (62 g, 150 mmol) was reacted with bis(tri-tert-butylphosphine) palladium (3.7 g, 5 mol%) to afford the crude desired product (26 g) as a grey-brown solid: ESI MS m/z 232 [C₁₂H₉NO₂S + H]⁺.

Example 517

9-Bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one



General Procedure B (Scheme II):

To a solution of bromides **E** (1 mmol) in DMF was added Cs₂CO₃ (3 mmol), Pd(dppf)Cl₂ (0.1 mmol) and boronate esters or acids **G** (1 - 2 mmol) and the reaction was heated at 80 °C for 18 h. The reaction mixture was cooled, concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) or preparatory HPLC (C18 silica, acetonitrile/water with 0.05% TFA gradient) to obtain the desired products **H**. In some instances the desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt.

General Procedure C (Scheme II):

The compound from General Procedure B (1 mmol) was dissolved in TFA (10 mmol) and stirred at room temperature for 2 h and concentrated. 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. In some instances the desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt.

General Procedure D-1 (Scheme II):

The requisite compound (1 mmol) was dissolved in methanol followed by the addition of 2 N HCl in diethylether (100 mmol). The reaction mixture was stirred at room temperature for 2 h and filtered or concentrated to obtain the desired product as the hydrochloride salt.

General Procedure D-2 (Scheme II):

The requisite compound was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt.

General Procedure D-3 (Scheme II):

The requisite compound (1 mmol) was dissolved in aqueous HCl (100 mmol) and stirred concentrated at room temperature for 2 h, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt.

General Procedure E – One Pot (Scheme II):

To a solution of aryl bromides **F** (1 mmol) in dioxane was added KOAc (2 mmol), Pd(dppf)Cl₂ (0.1 mmol) and bis(pinacolato)diboron (1.5 mmol) and the reaction was heated at 90 °C until the aryl bromide was consumed. To the reaction mixture was added Cs₂CO₃ (2 mmol) and bromides **E** (0.5 mmol) and heating was continued for 18 h. The reaction mixture was cooled, concentrated and purified by chromatography (silica, ethyl acetate/hexanes gradient) or preparatory HPLC (C18 silica, acetonitrile/water with 0.05% TFA gradient) to obtain the desired

products I. In some instances the desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt.

General Procedure F (Scheme II):

To a solution or suspension of compounds **H**, **I**, or **J** ($R_3 = \text{OCH}_3$) (1 mmol) in CH_2Cl_2 at 0 °C was added BBr_3 (6 – 10 mmol) and the reaction was warmed to room temperature for 18 h or until the starting material disappeared by LCMS analysis. The reaction was quenched by pouring onto ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired fractions were combined, concentrated and eluted through an ion-exchange column (using methanol and 7 N methanol in ammonia) to obtain the desired product. In some instances the desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt.

General Procedure G (Scheme II):

To a solution of aryl bromides **F** (1 mmol) in dioxane was added KOAc (2 mmol), $\text{Pd}(\text{dppf})\text{Cl}_2$ (0.1 mmol) and bis(pinacolato)diboron (1.5 mmol) and the reaction was heated at 90 °C for 18 h. The reaction mixture was cooled, concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to obtain the desired product.

General Procedure H (Scheme II):

To a solution requisite compound **H** (1.0 mmol) in DMF was added N-chlorosuccinimide (1.2 mmol) and the reaction was stirred at room temperature for 30 min and heated at 60 °C for 2 h. The reaction mixture was concentrated and the residue purified by column chromatography (silica, 0–30% ethyl acetate/heptane) to afford the desired product **I**.

General Procedure I (Scheme II):

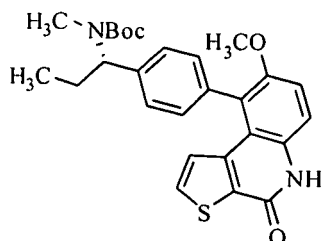
To a solution requisite compound **H** (1.0 mmol) in DMF was added N-bromosuccinimide (1.2 mmol) and the reaction was stirred at room temperature for 30 min and heated at 50 °C for 2 h. The reaction mixture was concentrated and the residue purified by column chromatography (silica, 0–30% ethyl acetate/heptane) to afford the desired product **I**.

General Procedure J (Scheme II):

To a solution requisite compound **I** (1.0 mmol) in toluene, was added tripotassium phosphate (4.0 mmol), trimethylboroxine (3.0 mmol), water (0.60 M) and $\text{Pd}(\text{PPh}_3)_4$ (0.10 mmol) the reaction mixture degassed and heated at 120 °C for 2 hr. The reaction mixture was cooled, concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to afford the desired product **J**.

Example 518

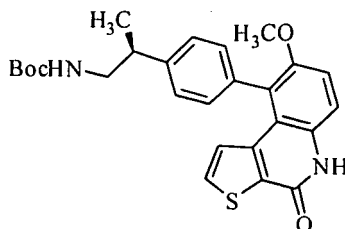
(*S*)-tert-Butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-*c*]quinolin-4(5*H*)-one (670 mg, 2.2 mmol) was reacted with (*S*)-tert-butyl methyl(1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propyl)carbamate (1.3 g, 3.4 mmol) to afford the desired product (700 mg, 48%) as a light brown solid: ESI MS m/z 479 $[\text{C}_{27}\text{H}_{30}\text{N}_2\text{O}_4\text{S} + \text{H}]^+$.

Example 519

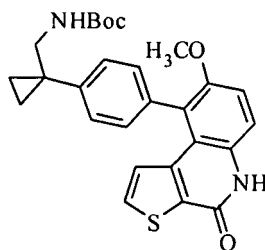
(S)-tert-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (240 mg, 0.32 mmol) was reacted with (S)-tert-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (3.5 g, 9.7 mmol) to afford the desired product (1.4 g, 32%) as a light brown solid: ESI MS m/z 465 [C₂₆H₂₈N₂O₄S + H]⁺.

Example 520

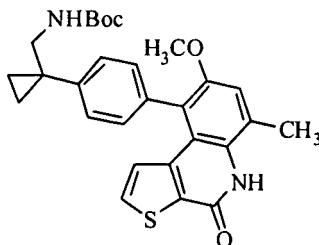
tert-Butyl (1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (830 mg, 2.7 mmol) was reacted with tert-butyl (1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)cyclopropyl)methylcarbamate (1.5 g, 4.0 mmol) to afford the desired product (670 mg, 52%) as a light brown solid: ESI MS m/z 477 [C₂₇H₂₈N₂O₄S + H]⁺.

Example 521

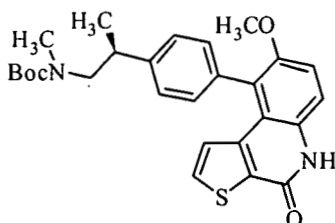
tert-Butyl (1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.46 mmol) was reacted with tert-butyl (1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)cyclopropyl)methylcarbamate (260 mg, 0.69 mmol) to afford the desired product (150 mg, 68 %) as a light brown solid: ESI MS m/z 491 [C₂₈H₃₀N₂O₄S + H]⁺.

Example 522

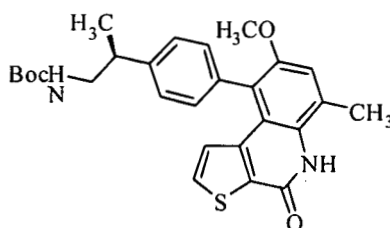
(*S*)-tert-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-*c*]quinolin-4(5*H*)-one (2.5 g, 8.1 mmol) was reacted with (*S*)-tert-butylmethyl(2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propyl)carbamate (4.6 g, 12 mmol) to afford the desired product (1.9 g, 50%) as a light brown solid: ESI MS *m/z* 479 [$C_{27}H_{30}N_2O_4S + H$]⁺.

Example 523

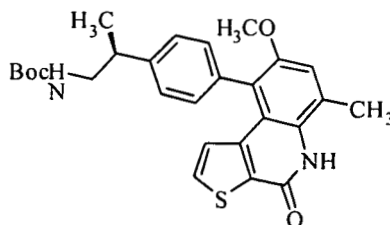
(*S*)-tert-Butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5*H*)-one (150 mg, 0.46 mmol) was reacted with (*S*)-tert-butyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (251 mg, 0.69 mmol) to afford the desired product (140 mg, 62%) as a light brown solid: ESI MS *m/z* 479 [$C_{27}H_{30}N_2O_4S + H$]⁺.

Example 524

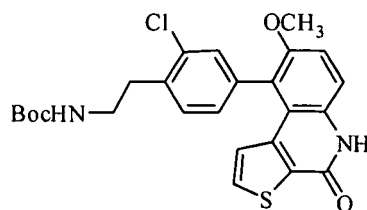
(*S*)-tert-Butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5*H*)-one (150 mg, 0.46 mmol) was reacted with (*S*)-tert-butyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (251 mg, 0.69 mmol) to afford the desired product (135 mg, 62%) as a light brown solid: ESI MS *m/z* 479 [$C_{27}H_{30}N_2O_4S + H$]⁺.

Example 525

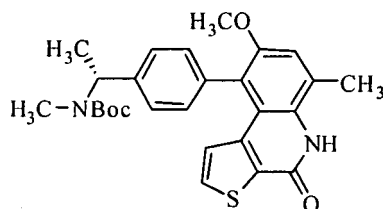
tert-Butyl 2-chloro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (3.0 g, 9.7 mmol) was reacted with tert-butyl 2-chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenethylcarbamate (5.53 g, 14.5 mmol) to afford the desired product (2.65 g, 57%) as a light brown solid. ESI MS m/z 485 [$C_{25}H_{25}ClN_2O_4S + H$] $^+$.

Example 526

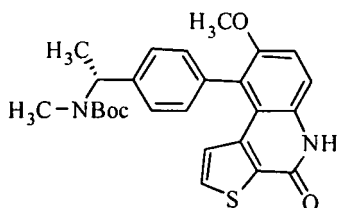
(*R*)-tert-Butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.46 mmol) was reacted with (*R*)-tert-butylmethyl(1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethyl)carbamate (250 mg, 0.69 mmol) to afford the desired product (145 mg, 66 %) as a light brown solid: ESI MS m/z 479 [$C_{27}H_{30}N_2O_4S + H$] $^+$.

Example 527

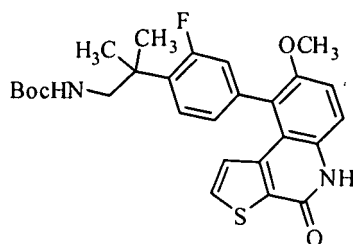
(*R*)-tert-Butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.4 g, 4.4 mmol) was reacted with (*R*)-tert-butylmethyl(1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethyl)carbamate (2.4 g, 6.6 mmol) to afford the desired product (1.4 g, 66%) as a light brown solid: ESI MS m/z 465 [$C_{26}H_{28}N_2O_4S + H$] $^+$.

Example 528

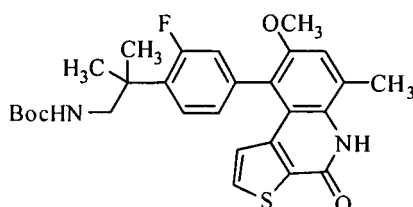
tert-Butyl 2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.4 g, 4.3 mmol) was reacted with tert-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-2-methylpropylcarbamate (2.5 g, 6.4 mmol) to afford the desired product (1.7 g, 79%) as a light brown solid. ESI MS m/z 497 $[C_{27}H_{29}FN_2O_4S + H]^+$.

Example 529

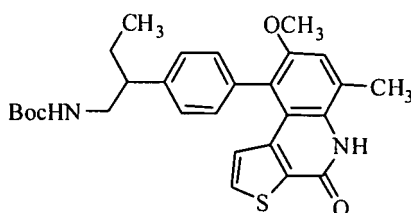
tert-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.46 mmol) was reacted with tert-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-2-methylpropylcarbamate (270 mg, 0.64 mmol) to afford the desired product (130 mg, 56 %) as a light brown solid: ESI MS m/z 511 $[C_{28}H_{31}FN_2O_4S + H]^+$.

Example 530

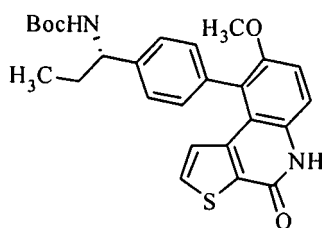
tert-Butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.46 mmol) was reacted with tert-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butylcarbamate (240 mg, 0.64 mmol) to afford the desired product (75 mg, 33 %) as a light brown solid: ESI MS m/z 493 $[C_{28}H_{32}N_2O_4S + H]^+$.

Example 531

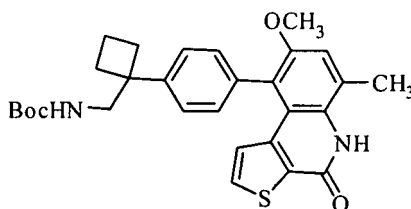
(S)-tert-Butyl 1-(4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (640 mg, 2.1 mmol) was reacted with (S)-tert-butyl 1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (1.13 g, 3.12 mmol) to afford the desired product (680 mg, 71%) as a light brown solid: ESI MS m/z 465 [$C_{26}H_{28}N_2O_4S + H$] $^+$.

Example 532

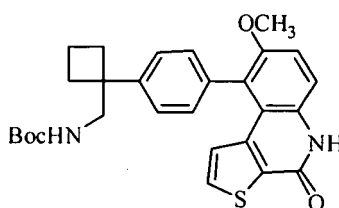
tert-Butyl (1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclobutyl)methylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.46 mmol) was reacted with tert-butyl (1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)cyclobutyl)methylcarbamate (270 mg, 0.70 mmol) to afford the desired product (105 mg, 45 %) as a light brown solid: ESI MS m/z 505 [$C_{29}H_{32}N_2O_4S + H$] $^+$.

Example 533

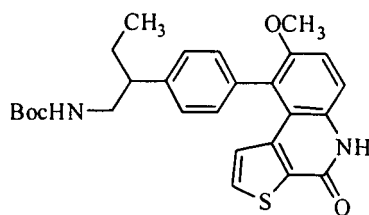
tert-Butyl (1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclobutyl)methylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.9 g, 6.0 mmol) was reacted with tert-butyl (1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)cyclobutyl)methylcarbamate (3.5 g, 9.0 mmol) to afford the desired product (1.5 g, 33%) as a light brown solid: ESI MS m/z 491 [$C_{28}H_{30}N_2O_4S + H$] $^+$.

Example 534

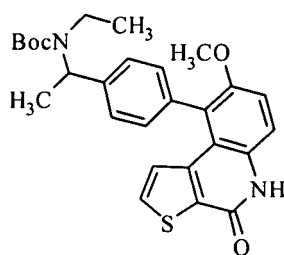
tert-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (840 mg, 2.7 mmol) was reacted with tert-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethylcarbamate (1.5 g, 4.0 mmol) to afford the desired product (820 mg, 43%) as a light brown solid: ESI MS m/z 479 $[C_{27}H_{30}N_2O_4S + H]^+$.

Example 535

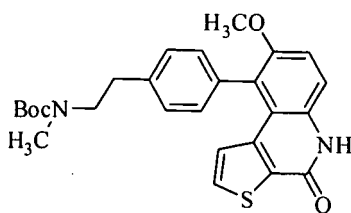
tert-Butyl ethyl(1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)carbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (770 mg, 2.5 mmol) was reacted with tert-butyl ethyl(1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethyl)carbamate (1.4 g, 3.7 mmol) to afford the desired product (450 mg, 40%) as a light brown solid: ESI MS m/z 479 $[C_{27}H_{30}N_2O_4S + H]^+$.

Example 536

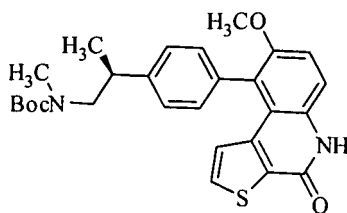
tert-Butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (2.4 g, 7.6 mmol) was reacted with tert-butyl methyl(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenethyl)carbamate (4.2 g, 11 mmol) to afford the desired product (2.1 g, 40%) as a light brown solid: ESI MS m/z 465 $[C_{26}H_{28}N_2O_4S + H]^+$.

Example 537

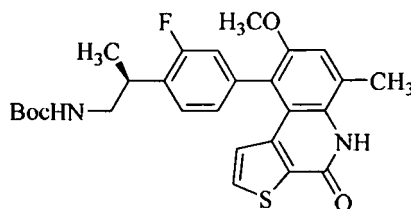
(S)-tert-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (2.6 g, 8.2 mmol) was reacted with (S)-tert-butyl methyl(2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propyl)carbamate (4.6 g, 12 mmol) to afford the desired product (1.9 g, 50%) as a light brown solid: ESI MS m/z 479 [$C_{27}H_{30}N_2O_4S + H$] $^+$.

Example 538

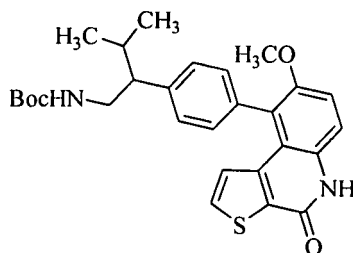
(S)-tert-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c] quinolin-9-yl) phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (380 mg, 1.2 mmol) was reacted with (S)-tert-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (400 mg, 1.1 mmol) to afford the desired product (190 mg, 36%) as a yellow solid: ESI MS m/z 497 [$C_{27}H_{29}FN_2O_4S + H$] $^+$.

Example 539

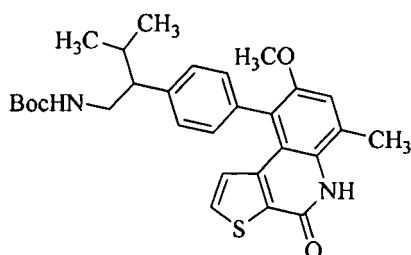
tert-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) phenyl)-3-methylbutylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-thieno[2,3-c]quinolin-4(5H)-one (800 mg, 2.58 mmol) was reacted with tert-butyl 3-methyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butylcarbamate (1.2 g, 3.09 mmol) to afford the desired product (250 mg, 20%) as a yellow solid: ESI MS m/z 493 [$C_{28}H_{32}N_2O_4S + H$] $^+$.

Example 540

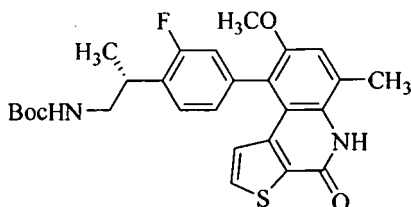
tert-Butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) phenyl)-3-methylbutylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (500 mg, 1.54 mmol) was reacted with *tert*-butyl 3-methyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butylcarbamate (590 mg, 1.9 mmol) to afford the desired product (120 mg, 15%) as a yellow solid: ESI MS m/z 507 [$C_{29}H_{34}N_2O_4S + H$] $^+$.

Example 541

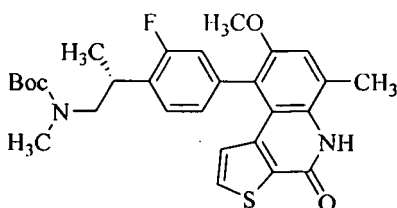
(*R*)-*tert*-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (770 mg, 2.4 mmol) was reacted with (*R*)-*tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (770 mg, 2.0 mmol) to afford the desired product (500 mg, 49%) as a yellow solid: ESI MS m/z 497 [$C_{27}H_{29}FN_2O_4S + H$] $^+$.

Example 542

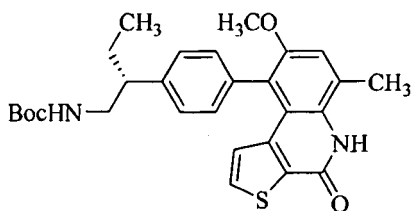
(*R*)-*tert*-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (180 mg, 0.57 mmol) was reacted with (*R*)-*tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propyl(methyl)carbamate (150 mg, 0.38 mmol) to afford the desired product (190 mg, 36%) as a yellow solid: ESI MS m/z 511 [$C_{28}H_{31}FN_2O_4S + H$] $^+$.

Example 543

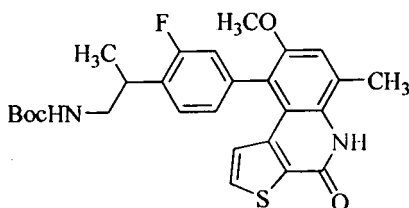
(*R*)-*tert*-Butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (900 mg, 2.9 mmol) was reacted with (*R*)-*tert*-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butylcarbamate (900 mg, 2.7 mmol) to afford the desired product (190 mg, 15%) as a yellow solid: ESI MS m/z 493 [$C_{28}H_{32}N_2O_4S + H$] $^+$.

Example 544

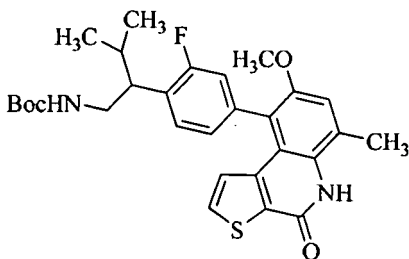
tert-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (900 mg, 2.8 mmol) was reacted with *tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (1.3 g, 3.3 mmol) to afford the desired product (200 mg, 27%) as a yellow solid: ESI MS m/z 497 [$C_{27}H_{29}FN_2O_4S + H$] $^+$.

Example 545

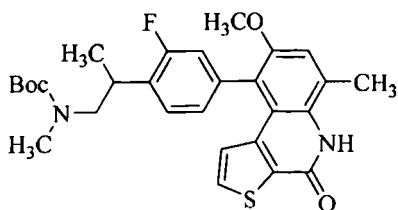
tert-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-methylbutylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (170 mg, 0.50 mmol) was reacted with *tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-3-methylbutylcarbamate (200 mg, 0.50 mmol) to afford the desired product (65 mg, 25%) as a yellow solid: ESI MS m/z 525 [$C_{29}H_{33}FN_2O_4S + H$] $^+$.

Example 546

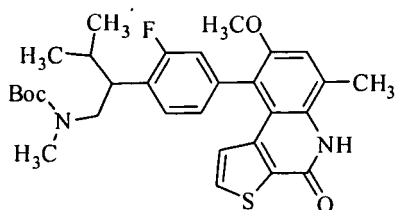
tert-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (380 mg, 1.16 mmol) was reacted with *tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propyl(methyl)carbamate (400 mg, 1.1 mmol) to afford the desired product (190 mg, 36%) as a yellow solid: ESI MS m/z 511 $[C_{28}H_{31}FN_2O_4S + H]^+$.

Example 547

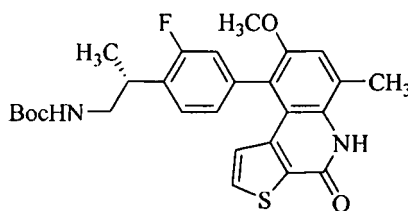
tert-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-methylbutyl(methyl)carbamate



Following General Procedure, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (265 mg, 0.81 mmol) was reacted with *tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-3-methylbutyl(methyl)carbamate (300 mg, 0.89 mmol) to afford the desired product (80 mg, 18%) as a yellow solid: ESI MS m/z 539 $[C_{30}H_{35}FN_2O_4S + H]^+$.

Example 548

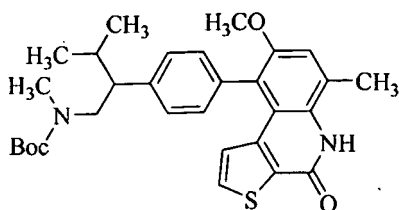
(*R*)-*tert*-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (1.0 g, 3.3 mmol) was reacted with (*R*)-*tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (1.1 g, 3.0 mmol) to afford the desired product (510 mg, 34%) as a yellow solid: ESI MS m/z 497 $[C_{27}H_{29}FN_2O_4S + H]^+$.

Example 549

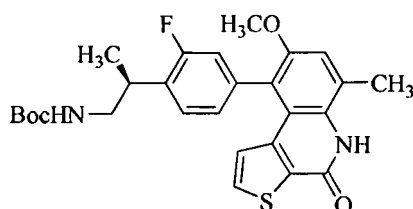
tert-Butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-methylbutyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (440 mg, 1.4 mmol) was reacted with *tert*-butyl methyl(3-methyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butyl)carbamate (600 mg, 1.5 mmol) to afford the desired product (100 mg, 14%) as a yellow solid: ESI MS m/z 521 $[C_{30}H_{36}N_2O_4S + H]^+$.

Example 550

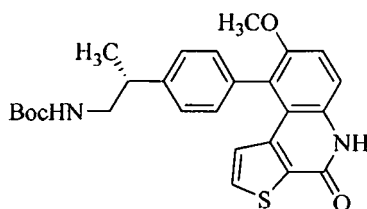
(*S*)-*tert*-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (840 mg, 2.6 mmol) was reacted with (*S*)-*tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (900 mg, 2.4 mmol) to afford the desired

Example 551

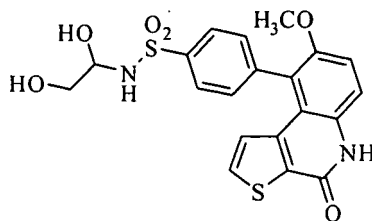
(*R*)-*tert*-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-thieno[2,3-c]quinolin-4(5H)-one (1.2 g, 4.0 mmol) was reacted with (*R*)-*tert*-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (2.2 g, 6.1 mmol) to afford the desired product (900 mg, 48%) as a yellow solid: ESI MS m/z 465 $[C_{26}H_{28}N_2O_4S + H]^+$.

Example 1057

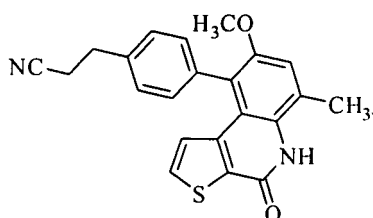
N-(1-Hydroxypropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide



Following General Procedure B, 9-bromo-8-methoxy-thieno[2,3-c]quinolin-4(5H)-one (530 mg, 1.8 mmol) was reacted with N-(1-hydroxypropan-2-yl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzenesulfonamide (750 mg, 2.02 mmol) to afford the desired product (150 mg, 20%) as a yellow solid: ESI MS m/z 445 $[C_{21}H_{20}N_2O_5S_2 + H]^+$.

Example 1238

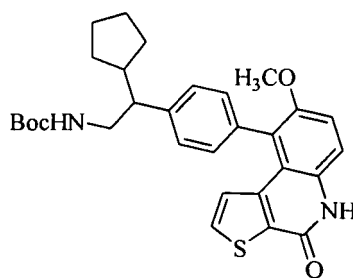
3-(4-(8-Methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (325 mg, 1.0 mmol) was reacted with 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propanenitrile (330 mg, 1.3 mmol) to afford the desired product (140 mg, 37%) as a yellow solid: ESI MS m/z 375 $[C_{22}H_{18}N_2O_2S + H]^+$.

Example 552

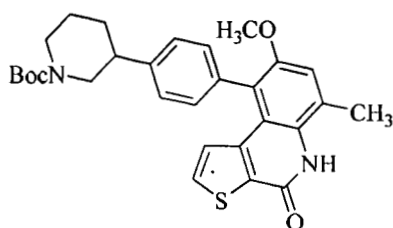
***tert*-Butyl 2-cyclopentyl-2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate**



Following General Procedure B, 9-bromo-8-methoxy-thieno[2,3-c]quinolin-4(5H)-one (500 mg, 1.6 mmol) was reacted with *tert*-butyl 2-cyclopentyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethylcarbamate (1.3 g, 3.2 mmol) to afford the desired product (150 mg, 19%) as a yellow solid: ESI MS m/z 519 $[C_{30}H_{34}N_2O_4S + H]^+$.

Example 553

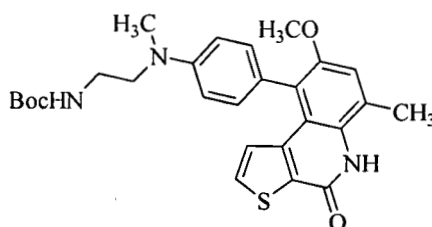
***tert*-Butyl 3-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)piperidine-1-carboxylate**



Following General Procedure B, 9-bromo-8-methoxy-thieno[2,3-c]quinolin-4(5H)-one (190 mg, 0.58 mmol) was reacted with *tert*-butyl 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)piperidine-1-carboxylate (180 mg, 0.46 mmol) to afford the desired product (79 mg, 34%) as a yellow solid: ESI MS m/z 505 [$C_{29}H_{32}N_2O_4S + H$] $^+$.

Example 554

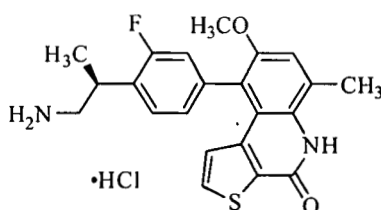
tert-Butyl 2-((4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)(methylamino)ethyl)carbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (86 mg, 0.26 mmol) was reacted with *tert*-butyl 2-(methyl(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)amino)ethylcarbamate (100 mg, 0.26 mmol) to afford the desired product (100 mg, 78%) as a yellow solid: ESI MS m/z 494 [$C_{27}H_{31}N_3O_4S + H$] $^+$.

Example 1310

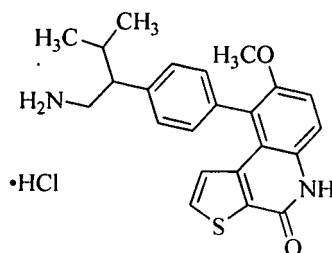
(*S*)-9-(4-(1-Aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure D1, (*S*)-*tert*-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (100 mg, 0.20 mmol) was reacted with HCl in ether (10 mL) to afford the desired product (80 mg, 98%) as an off-white solid: ESI MS m/z 397 [$C_{22}H_{21}FN_2O_2S + H$] $^+$

Example 1253

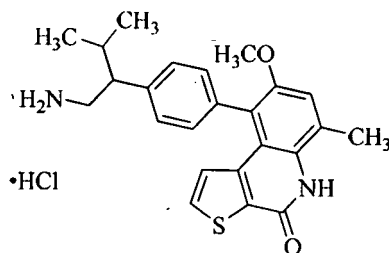
9-(4-(1-Amino-3-methylbutan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure D1, *tert*-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-methylbutylcarbamate (30 mg, 0.060 mmol) was reacted with HCl in ether (3 mL) to afford the desired product (22 mg, 97%) as an off-white solid; ¹H NMR (500 MHz, DMSO-*d*₆) δ 7.93 (s, 3H), 7.62 (d, *J* = 5.4 Hz, 1H), 7.53 (d, *J* = 9.1 Hz, 1H), 7.41 (d, *J* = 9.0 Hz, 2H), 7.38 – 7.33 (m, 1H), 7.24 (d, *J* = 8.2 Hz, 2H), 5.72 (d, *J* = 5.4 Hz, 1H), 3.70 (s, 3H), 3.38 – 3.26 (m, 2H), 2.87 (dt, *J* = 12.9, 6.3 Hz, 1H), 2.01 (dq, *J* = 13.3, 6.6 Hz, 1H), 0.97 (t, *J* = 7.8 Hz, 3H), 0.82 (t, *J* = 9.9 Hz, 3H).; ESI MS *m/z* 393 [C₂₃H₂₄N₂O₂S + H]⁺. HPLC 98.4% (AUC), *t*_R = 11.65 min.

Example 555

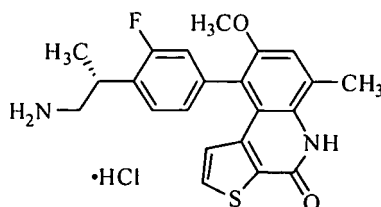
9-(4-(1-Amino-3-methylbutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure D1, *tert*-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-methylbutylcarbamate (50 mg, 0.060 mmol) was reacted with HCl in ether (3 mL) to afford the desired product (37 mg, 92%) as an off-white solid: ESI MS *m/z* 407 [C₂₄H₂₆N₂O₂S + H]⁺.

Example 1317

(*R*)-9-(4-(1-Aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride

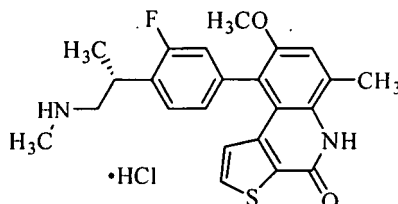


Following General Procedure D1, (*R*)-*tert*-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (150 mg, 0.30 mmol) was reacted with HCl in ether (15 mL) to afford the desired product (105 mg, 81%) as an off-white solid: ¹H NMR (500 MHz, MeOD) δ 7.63 (dd, *J* = 5.4, 2.4 Hz, 1H), 7.52 (dt, *J* = 24.8, 7.8 Hz, 1H), 7.30 (s, 1H), 7.09 (m, 2H), 6.11 (dd, *J* = 26.4, 5.4 Hz, 1H), 3.76 (s, 3H), 3.63 – 3.43 (m, 1H),

3.42 – 3.16 (m, 2H), 2.64 (s, 3H), 1.51 (d, $J = 7.0$ Hz, 3H). ESI MS m/z 397 [$C_{22}H_{21}FN_2O_2S + H$] $^+$; HPLC >99% (AUC), $t_R = 11.57$ min.

Example 1316

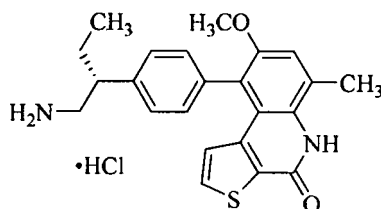
(*R*)-9-(3-Fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



- 10 Following General Procedure D1, (*R*)-*tert*-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propyl(methyl)carbamate (50 mg, 0.10 mmol) was reacted with HCl in ether (5 mL) to afford the desired product (35 mg, 85%) as an yellow solid; ^1H NMR (500 MHz, CD_3OD) δ 7.63 (d, $J = 5.4$ Hz, 1H), 7.53 (dt, $J = 28.7, 7.8$ Hz, 1H), 7.29 (s, 1H), 7.17 – 7.04 (m, 2H), 6.10 (dd, $J = 31.6, 5.4$ Hz, 1H), 3.75 (s, 3H), 3.68 – 3.24 (m, 15 3H), 2.78 (d, $J = 13.3$ Hz, 3H), 2.64 (s, 3H), 1.52 (dd, $J = 7.0, 3.2$ Hz, 3H).; ESI MS m/z 411 [$C_{23}H_{23}FN_2O_2S + H$] $^+$; HPLC 98.2% (AUC), $t_R = 11.79$ min.

Example 1344

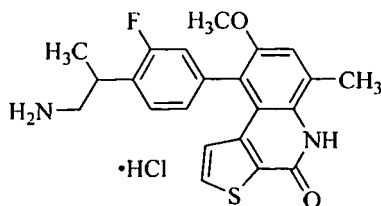
(*R*)-9-(4-(1-Aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



- 25 Following General Procedure D1, (*R*)-*tert*-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)butylcarbamate (100 mg, 0.20 mmol) was reacted with HCl in ether (10 mL) to afford the desired product (75 mg, 94%) as an off-white solid: ESI MS m/z 393 [$C_{23}H_{24}N_2O_2S + H$] $^+$.

Example 1273

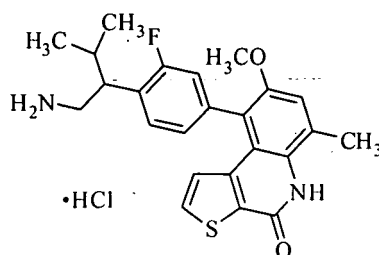
9-(4-(1-Aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



Following General Procedure D1, *tert*-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (200 mg, 0.40 mmol) was reacted with HCl in ether (20 mL) to afford the desired product (145 mg, 91%) as an off-white solid: $^1\text{H NMR}$ (500 MHz, $\text{DMSO-}d_6$) δ 10.80 (s, 1H), 8.06 (s, 3H), 7.74 (dd, $J = 14.6, 5.4$ Hz, 1H), 7.52 (t, $J = 7.9$ Hz, 1H), 7.30 (s, 1H), 7.16 – 7.02 (m, 2H), 5.87 (dd, $J = 43.2, 5.4$ Hz, 1H), 3.70 (s, 3H), 3.51 – 3.40 (m, 1H), 3.26 – 3.07 (m, 2H), 2.59 (s, 3H), 1.39 (t, $J = 7.6$ Hz, 3H); ESI MS m/z 397 [$\text{C}_{22}\text{H}_{21}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.8% (AUC), $t_R = 11.60$ min.

Example 1283

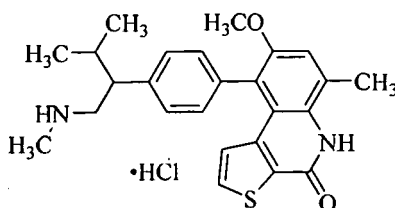
10 9-(4-(1-Amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



15 Following General Procedure D1, *tert*-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)-3-methylbutylcarbamate (52 mg, 0.10 mmol) was reacted with HCl in ether (5 mL) to afford the desired product (25 mg, 59%) as an off-white solid; $^1\text{H NMR}$ (500 MHz, $\text{DMSO-}d_6$) δ 7.69 (dd, $J = 16.1, 5.4$ Hz, 1H), 7.41 (dt, $J = 13.3, 8.0$ Hz, 1H), 7.29 (d, $J = 3.4$ Hz, 1H), 7.10 – 6.98 (m, 2H), 5.89 – 5.72 (m, 1H), 3.71 (t, $J = 6.8$ Hz, 3H), 3.19 – 2.83 (m, 3H), 2.59 (s, 3H), 2.03 (dt, $J = 13.5, 6.7$ Hz, 1H), 0.99 (t, $J = 10.0$ Hz, 3H), 0.82 (dd, $J = 9.9, 6.8$ Hz, 3H); ESI MS m/z 425 [$\text{C}_{24}\text{H}_{25}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 93.4% (AUC), $t_R = 11.20$ min.

Example 556

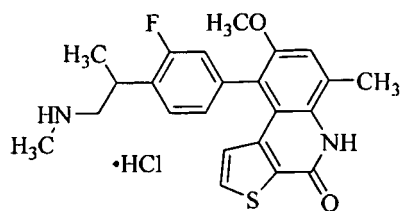
25 8-Methoxy-6-methyl-9-(4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)thieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



30 Following General Procedure D1, *tert*-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)-3-methylbutyl(methyl)carbamate (100 mg, 0.2 mmol) was reacted with HCl in ether (8 mL) to afford the desired product (40 mg, 47%) as an off-white solid: ESI MS m/z 421 [$\text{C}_{25}\text{H}_{28}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$

Example 1286

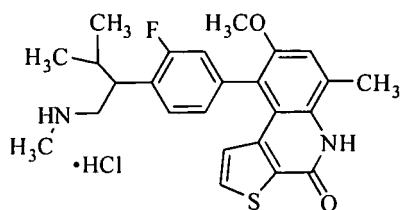
35 9-(3-Fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



Following General Procedure D1, *tert*-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (100 mg, 0.20 mmol) was
 5 reacted with HCl in ether (5 mL) to afford the desired product (75 mg, 93%) as an off-white solid: ¹H NMR (500 MHz, DMSO-*d*₆) δ 10.80 (s, 1H), 7.75 (dd, *J* = 11.7, 5.4 Hz, 1H), 7.52 (t, *J* = 7.8 Hz, 1H), 7.30 (s, 1H), 7.17 – 7.04 (m, 2H), 5.87 (dd, *J* = 35.8, 5.4 Hz, 1H), 3.71 (s, 3H), 3.55 (dd, *J* = 14.0, 6.8 Hz, 1H), 3.28 (m, 2H), 2.63 (d, *J* = 5.5 Hz, 3H), 2.59 (s, 3H), 1.39 (dt, *J* = 17.4, 7.6 Hz, 3H); ESI MS *m/z* 411 [C₂₃H₂₃FN₂O₂S + H]⁺; HPLC 98.9% (AUC), *t*_R = 10.75 min.

Example 557

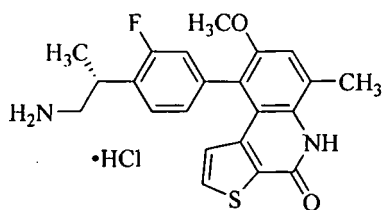
9-(3-Fluoro-4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure D1, *tert*-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-methylbutyl(methyl)carbamate (100 mg, 0.18 mmol) was reacted with HCl in ether (6 mL) to afford the desired product (55 mg, 70%) as an
 20 off-white solid: ESI MS *m/z* 439 [C₂₅H₂₇FN₂O₂S + H]⁺

Example 1317

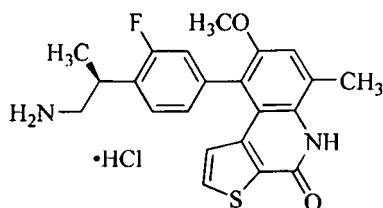
(*R*)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure D1, (*R*)-*tert*-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (510 mg, 1.1 mmol) was reacted with
 30 HCl in ether (25 mL) to afford the desired product (312 mg, 78%) as an off-white solid: ESI MS *m/z* 397 [C₂₂H₂₁FN₂O₂S + H]⁺

Example 1310

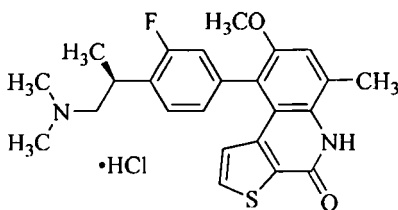
(*S*)-9-(4-(1-Aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure D1, (*S*)-*tert*-butyl 2-(2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (520 mg, 1.1 mmol) was reacted with HCl (25 ml) to afford desired product (300 mg, 74%) as an off-white solid: $^1\text{H NMR}$ (500 MHz, MeOD) δ 7.63 (d, $J = 5.4$ Hz, 1H), 7.51 (dt, $J = 23.5, 7.8$ Hz, 1H), 7.29 (s, 1H), 7.14 – 7.02 (m, 2H), 6.16 – 6.05 (m, 1H), 3.76 (s, 3H), 3.54 (ddd, $J = 46.9, 14.5, 7.3$ Hz, 1H), 3.43 – 3.20 (m, 2H), 1.51 (d, $J = 7.0$ Hz, 3H); ESI MS m/z 397 [$\text{C}_{22}\text{H}_{21}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.9% (AUC), $t_R = 10.75$ min.

Example 1387

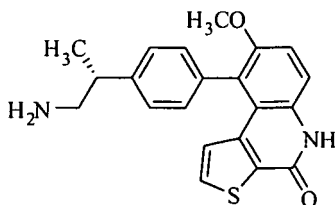
(*S*)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



To a solution of (*S*)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one hydrochloride (110 mg, 0.27 mmol) in a 1:1 mixture of MeOH/THF (3 mL) was added paraformaldehyde (7.5 mg, 0.24 mmol) followed by NaCNBH_3 (70 mg 1.2 mmol) and stirred at rt for 16 h. The reaction mixture was quenched by the addition of 2 N NaHCO_3 (1 mL), eluted through an SCX ion-exchange column and converted to HCl salt using General Procedure D-2 (Scheme II) to obtain the desired product (67 mg, 60%) as a white solid: ESI MS m/z 425 [$\text{C}_{24}\text{H}_{25}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$;

Example 558

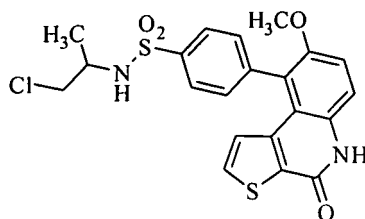
(*R*)-9-(4-(1-Aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-*c*]quinolin-4(5H)-one



Following General Procedure C (*R*)-*tert*-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (2.5 g, 5.4 mmol) was reacted with TFA (10 mL) to afford the desired product (1.6 g, 81%) as an off-white solid: ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$

Example 1205

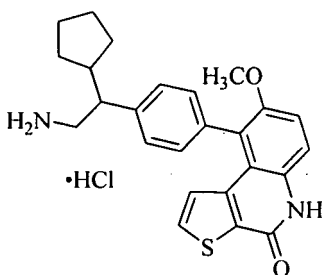
N-(1-Chloropropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide



- 5 To a mixture of N-(1-hydroxypropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno [2,3-c]quinolin-9-yl)benzenesulfonamide (140 mg, 0.30 mmol) and triphenylphosphine (160 mg, 0.62 mmol) in DMF/CCl₄ (1 mL /3 mL) was added NCS (41 mg, 0.31 mmol) and the reaction mixture was stirred at room temperature for 15 h. The reaction mixture was diluted with water (ca. 20 mL), and extracted with DCM (1 x 50 mL). The extract was washed with water (2 x 20 mL), brine (1 x 10 mL), dried over sodium sulfate, and evaporated under vacuum. The residue was purified by flash chromatography to afford the desired product (100 mg, 74%) as light yellow solid; ESI MS *m/z* 464 [C₂₁H₁₉ClN₂O₄S + H]⁺

Example 1239

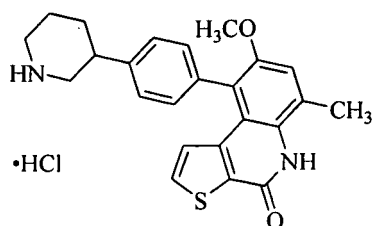
- 15 **9-(4-(2-Amino-1-cyclopentylethyl)phenyl)-8-methoxythieno [2,3-c]quinolin-4(5H)-one Hydrochloride**



- 20 Following General Procedure D1, *tert*-butyl 2-cyclopentyl-2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (50 mg, 0.10 mmol) was reacted with HCl in ether (5 mL) to afford the desired product (29 mg, 69%) as an off-white solid: ESI MS *m/z* 419 [C₂₅H₂₆N₂O₂S + H]⁺; ¹H NMR (500 MHz, DMSO-*d*₆) δ 7.59 (d, *J* = 5.4 Hz, 1H), 7.59 (d, *J* = 5.4 Hz, 1H), 7.54 (d, *J* = 9.0 Hz, 1H), 7.54 (d, *J* = 9.0 Hz, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.44 – 7.36 (m, 2H), 7.45 – 7.35 (m, 2H), 7.28 – 7.19 (m, 2H), 7.28 – 7.19 (m, 2H), 5.72 (d, *J* = 5.4 Hz, 1H), 5.72 (d, *J* = 5.4 Hz, 1H), 3.70 (s, 3H), 3.33 – 3.20 (m, 2H), 2.84 (td, *J* = 9.2, 6.0 Hz, 1H), 2.20 – 2.03 (m, 1H), 1.98 – 1.84 (m, 1H), 1.76 – 1.35 (m, 5H), 1.28 (dq, *J* = 18.0, 8.9 Hz, 1H), 1.18 – 1.02 (m, 1H). HPLC >99% (AUC), *t*_R = 12.45 min.

Example 1301

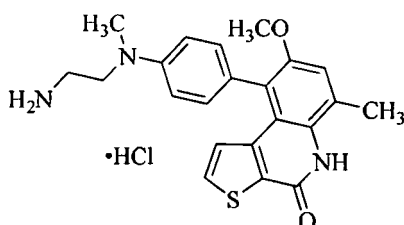
- 8-Methoxy-6-methyl-9-(4-(piperidin-3-yl)phenyl)thieno [2,3-c]quinolin-4(5H)-one Hydrochloride**



Following General Procedure D1, *tert*-butyl 3-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)piperidine-1-carboxylate (50 mg, 0.10 mmol) was reacted with HCl in ether (2.5 mL) to afford the desired product (31 mg, 77%) as an off-white solid: ESI MS m/z 405 $[C_{24}H_{24}N_2O_2S + H]^+$

Example 1396

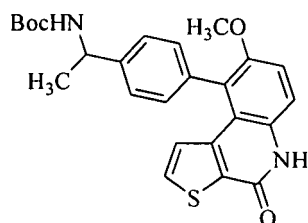
9-((2-aminoethyl)(methyl)amino)phenyl-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure D1, *tert*-butyl 2-((4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)(methyl)amino)ethylcarbamate (100 mg, 0.20 mmol) was reacted with HCl in ether (10 mL) to afford the desired product (65 mg, 83%) as an off-white solid: ESI MS m/z 394 $[C_{22}H_{23}N_3O_2S + H]^+$

Example 559

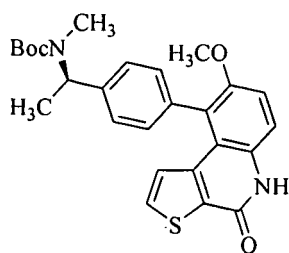
tert-Butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (600 mg, 1.9 mmol) was reacted with *tert*-butyl 1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethylcarbamate (1.34g, 3.87 mmol) to afford the desired product (340 mg, 39%) as a brown solid: ESI MS m/z 451 $[C_{25}H_{26}N_2O_4S + H]^+$.

Example 560

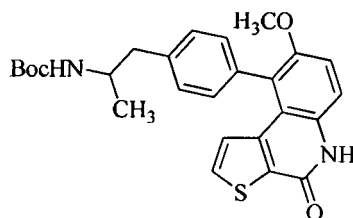
(*R*)-*tert*-Butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (300 mg, 0.97 mmol) was reacted with (R)-tert-butyl methyl(1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethyl)carbamate (520 mg, 1.45 mmol) to afford the desired product (120 mg, 27%) as a brown solid: ESI MS m/z 465 [$C_{26}H_{28}N_2O_4S + H$] $^+$.

Example 561

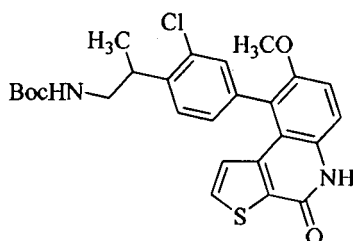
tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propan-2-ylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.5 g, 4.4 mmol) was reacted with tert-butyl 1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propan-2-ylcarbamate (2.6 g, 7.3 mmol) to afford the desired product (1.1 g, 50%) as a brown solid: ESI MS m/z 465 [$C_{26}H_{28}N_2O_4S + H$] $^+$.

Example 562

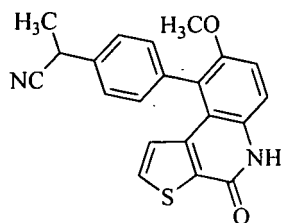
tert-butyl 2-(2-chloro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (3.0 g, 9.7 mmol) was reacted with tert-butyl 2-(2-chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (5.7 g, 14 mmol) to afford the desired product (2.7 g, 56%) as a brown solid: ESI MS m/z 499 [$C_{26}H_{27}ClN_2O_4S + H$] $^+$.

Example 563

2-(4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile

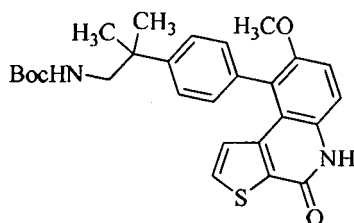


- 5 Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (500 mg, 1.6 mmol) was reacted with 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propanenitrile (600 g, 2.2 mmol) to afford the desired product (350 mg, 62%) as a brown solid: ESI MS m/z 361 $[C_{21}H_{16}N_2O_2S + H]^+$.

10

Example 564

tert-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate



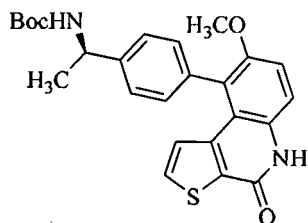
15

Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (2.0 g, 6.4 mmol) was reacted with tert-butyl 2-methyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (3.6 g, 9.7 mmol) to afford the desired product (864 mg, 28%) as a brown solid: ESI MS m/z 479 $[C_{27}H_{30}N_2O_4S + H]^+$.

20

Example 565

(R)-tert-Butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate



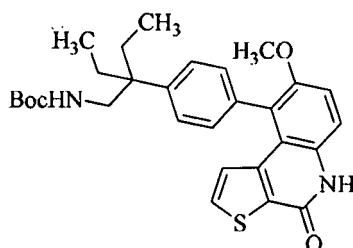
25

Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (3.0 g, 9.7 mmol) was reacted with (R)-tert-butyl 1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethylcarbamate (5.0 g, 14 mmol) to afford the desired product (2.0 g, 47%) as a brown solid: ESI MS m/z 451 $[C_{25}H_{26}N_2O_4S + H]^+$.

30

Example 566

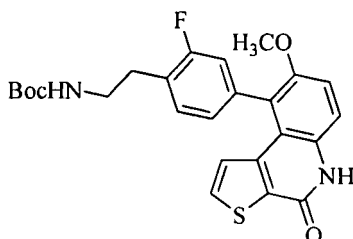
tert-Butyl 2-ethyl-2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (120 mg, 0.39 mmol) was reacted with 2-ethyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butan-1-amine (220 mg, 0.58 mmol) to afford the desired product (50 mg, 27%) as a brown solid: ESI MS m/z 507 $[C_{29}H_{34}N_2O_4S + H]^+$.

Example 567

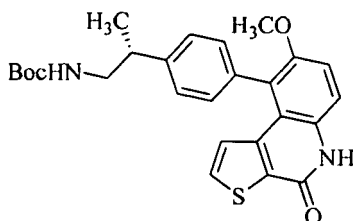
tert-Butyl 2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.5 g, 4.8 mmol) was reacted with tert-butyl 2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenethylcarbamate (2.6 g, 7.3 mmol) to afford the desired product (1.5 g, 65%) as a brown solid: ESI MS m/z 469 $[C_{25}H_{25}FN_2O_4S + H]^+$.

Example 568

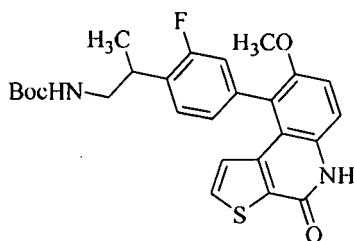
(*R*)-tert-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.5 g, 4.4 mmol) was reacted with (*R*)-tert-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (2.0 g, 6.4 mmol) to afford the desired product (1.4 g, 48%) as a brown solid: ESI MS m/z 465 $[C_{26}H_{28}N_2O_4S + H]^+$.

Example 569

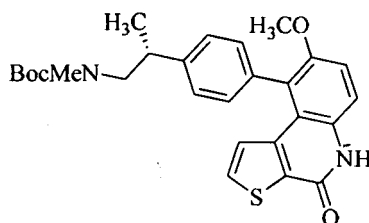
tert-Butyl 2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.2 g, 3.8 mmol) was reacted with tert-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (2.2 g, 5.8 mmol) to afford the desired product (905 mg, 51%) as a brown solid: ESI MS m/z 483 $[C_{26}H_{27}FN_2O_4S + H]^+$.

Example 570

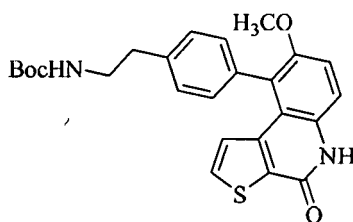
(R)-tert-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (700 mg, 2.3 mmol) was reacted with (R)-tert-butyl methyl(2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propyl)carbamate (1.3 g, 3.4 mmol) to afford the desired product (383 mg, 38%) as a yellow solid: ESI MS m/z 479 $[C_{27}H_{30}N_2O_4S + H]^+$.

Example 571

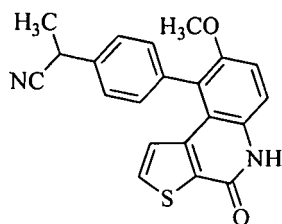
tert-Butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (2.0 g, 6.4 mmol) was reacted with tert-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenethylcarbamate (3.4 g, 9.4 mmol) to afford the desired product (1.93 g, 65%) as a brown solid: ESI MS m/z 451 $[C_{25}H_{26}N_2O_4S + H]^+$.

Example 572

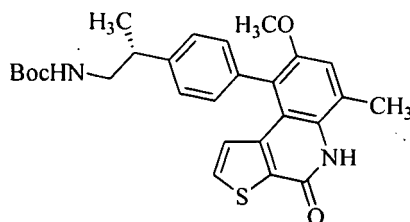
2-(4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.5 g, 4.84 mmol) was reacted with 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl) phenyl) propanenitrile (1.87 g, 7.26 mmol) to afford the desired product (1.45 g, 82%) as a brown solid: ESI MS m/z 361 [C₂₁H₁₆N₂O₂S + H]⁺.

Example 573

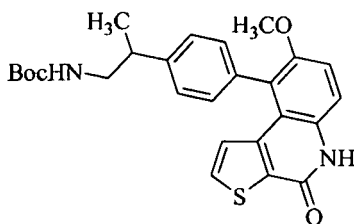
(R)-tert-Butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (3.0 g, 9.26 mmol) was reacted with (R)-tert-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (5.2 g, 13.89 mmol) to afford the desired product (1.60 g, 35%) as a brown solid: ESI MS m/z 479 [C₂₇H₃₀N₂O₄S + H]⁺.

Example 574

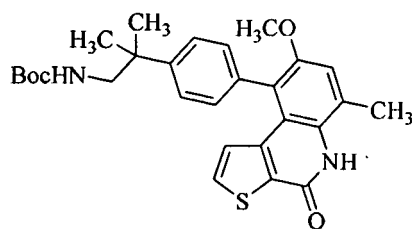
tert-Butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) phenyl)propylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (800 mg, 4.84 mmol) was reacted with tert-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl) phenyl)propylcarbamate (1.5 g, 4.16 mmol) to afford the desired product (550 mg, 46%) as a brown solid: ESI MS m/z 465 [C₂₆H₂₈N₂O₄S + H]⁺.

Example 575

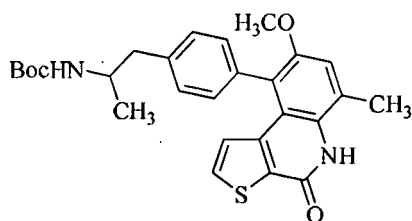
tert-Butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) phenyl)-2-methylpropylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (200 mg, 0.62 mmol) was reacted with tert-butyl 2-methyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propanecarbamate (350 mg, 0.93 mmol) to afford the desired product (95 mg, 62%) as a brown solid: ESI MS m/z 493 $[C_{28}H_{32}N_2O_4S + H]^+$.

Example 576

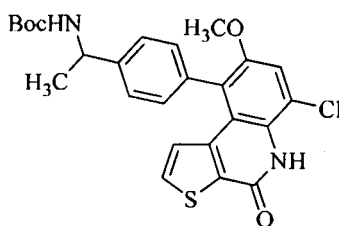
tert-Butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propan-2-ylcarbamate



Following General Procedure B, 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (260 mg, 0.80 mmol) was reacted with tert-butyl 1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propan-2-ylcarbamate (430 g, 1.2 mmol) to afford the desired product (212 mg, 55%) as a yellow oil: ESI MS m/z 479 $[C_{27}H_{30}N_2O_4S + H]^+$.

Example 577

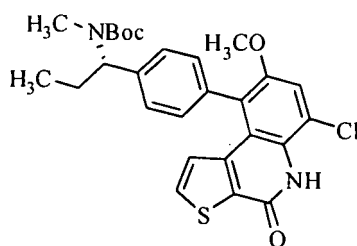
tert-Butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate



Following General Procedure H, tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenylethylcarbamate) (130 mg, 0.37 mmol) was reacted with NCS (64 mg, 0.48 mmol) to afford the desired product (58 mg, 32%) as a yellow solid. ESI MS m/z 485 $[C_{25}H_{25}ClN_2O_4S + H]^+$.

Example 578

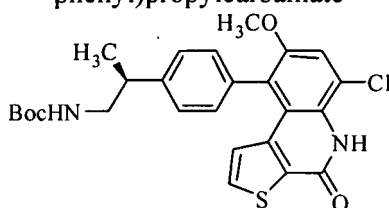
(S)-tert-Butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate



Following General Procedure H, ((*S*)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate) (200 mg, 0.41 mmol) was reacted with NCS (68 mg, 0.50 mmol) to afford the desired product (130 mg, 61%) as a yellow solid: ESI MS m/z 513 [$C_{27}H_{29}ClN_2O_4S + H$] $^+$.

Example 579

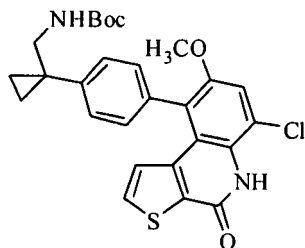
(*S*)-tert-Butyl 2-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure H, (*S*)-tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (500 mg, 1.08 mmol) was reacted with NCS (175 mg, 1.29 mmol) to afford the desired product (310 mg, 58%) as a yellow solid: ESI MS m/z 499 [$C_{26}H_{27}ClN_2O_4S + H$] $^+$.

Example 580

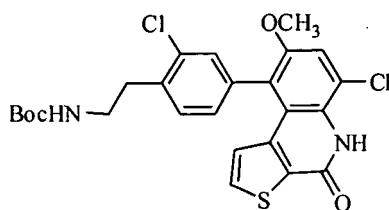
tert-Butyl (1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate



Following General Procedure H, tert-butyl (1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate (300 mg, 0.629 mmol) was reacted with NCS (85 mg, 0.629 mmol) to afford the desired product (250 mg, 78%) as a yellow solid: ESI MS m/z 511 [$C_{27}H_{27}ClN_2O_4S + H$] $^+$.

Example 581

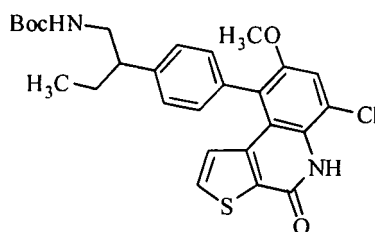
tert-Butyl 2-chloro-4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate



Following General Procedure H, tert-butyl 2-chloro-4-(8-methoxy-4-oxo-4,5-dihydrothieno
[2,3-c]quinolin-9-yl)phenethylcarbamate (127 mg, 0.26 mmol) was reacted with NCS (43 mg,
5 0.312 mmol) to afford the desired product (70 mg, 52%) as a yellow solid: ESI MS m/z 519
[C₂₅H₂₄Cl₂N₂O₄S + H]⁺.

Example 582

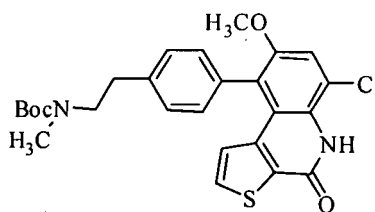
tert-Butyl 2-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)
10 phenyl)butylcarbamate



Following General Procedure H, tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno
[2,3-c]quinolin-9-yl)phenyl)butylcarbamate (100 mg, 0.21 mmol) in (DMF) was reacted with
15 NCS (34 mg, 0.25 mmol) to afford the desired product (65 mg, 61%) as a yellow solid: ESI MS
 m/z 513 [C₂₇H₂₉ClN₂O₄S + H]⁺.

Example 583

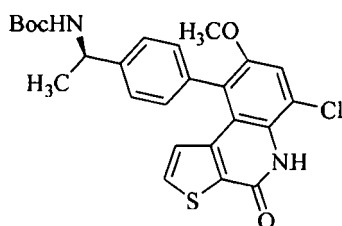
tert-Butyl 4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)
20 phenethyl(methyl)carbamate



Following General Procedure H, tert-butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno
[2,3-c]quinolin-9-yl)phenethyl(methyl)carbamate (200 mg, 0.43 mmol) in (DMF) was reacted
25 with NCS (70 mg, 0.50 mmol) to afford the desired product (120 mg, 55%) as a yellow solid:
ESI MS m/z 500 [C₂₆H₂₇ClN₂O₄S + H]⁺.

Example 584

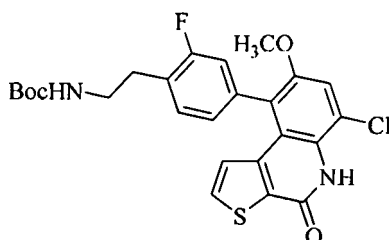
(R)-tert-Butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]
30 quinolin-9-yl)phenyl)ethylcarbamate



Following General Procedure H, (R)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno
[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (300 mg, 0.67 mmol) was reacted with NCS (110
mg, 0.87 mmol) to afford the desired product (27 mg, 11%) as a yellow solid: ESI MS m/z 485
[C₂₅H₂₅ClN₂O₄S + H]⁺.

Example 585

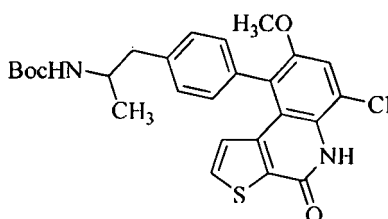
tert-Butyl 4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)
-2-fluorophenethylcarbamate



Following General Procedure H, tert-butyl 2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno
[2,3-c]quinolin-9-yl)phenethylcarbamate (300 mg, 0.64 mmol) was reacted with NCS (94 mg,
0.71 mmol) to afford the desired product (150 mg, 46%) as a yellow solid. ESI MS m/z 503
[C₂₅H₂₄ClFN₂O₄S + H]⁺.

Example 586

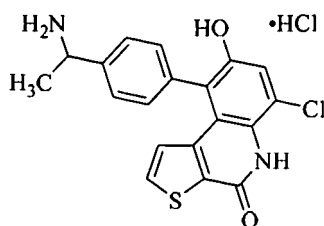
tert-Butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)
phenyl)propan-2-ylcarbamate



Following General Procedure H, tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]
quinolin-9-yl)phenyl)propan-2-ylcarbamate (220 mg, 0.47 mmol) was reacted with NCS (69 mg,
0.52 mmol) to afford the desired product (60 mg, 26%) as a brown solid. ESI MS m/z 499
[C₂₆H₂₇ClN₂O₄S + H]⁺.

Example 1041

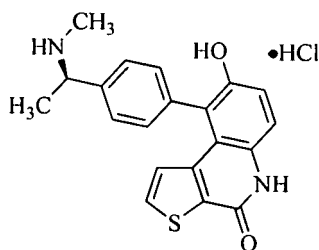
9-(4-(1-Aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
Hydrochloride



- 5 Following General Procedure F, tert-butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (50 mg, 0.10 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 2 mL, 2 mmol) to afford the desired product (21 mg, 58%) as a light yellow solid (21 mg, 58%): ¹H NMR (500 MHz, CD₃OD) δ 7.65 (dt, *J* = 5.2, 3.4 Hz, 2H), 7.59 (d, *J* = 5.4 Hz, 1H), 7.41 (dt, *J* = 4.0, 2.6 Hz, 2H), 7.30 (s, 1H), 6.07 (d, *J* = 5.4 Hz, 1H), 4.62 (q, *J* = 6.8 Hz, 1H), 1.76 (d, *J* = 6.9 Hz, 3H); ESI MS *m/z* 371 [C₁₉H₁₅ClN₂O₂S + H]⁺; HPLC 97.8% (AUC), *t_R* = 9.72 min.

Example 1052

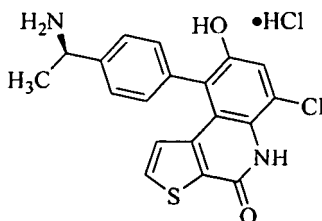
(R)-8-Hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



- 20 Following General Procedure F, (R)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (120 mg, 0.25 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 3 mL, 3 mmol) to afford the desired product (50 mg, 56%) as a light yellow solid: ¹H NMR (500 MHz, CD₃OD) δ 7.64 (ddd, *J* = 7.1, 5.6, 2.3 Hz, 2H), 7.57 (d, *J* = 5.4 Hz, 1H), 7.49 – 7.39 (m, 3H), 7.18 (d, *J* = 8.9 Hz, 1H), 6.04 (d, *J* = 5.4 Hz, 1H), 4.48 (q, *J* = 7.0 Hz, 1H), 2.72 (s, 3H), 1.80 (d, *J* = 6.9 Hz, 3H); ESI MS *m/z* 351 [C₂₀H₁₈N₂O₂S + H]⁺; HPLC 97.6% (AUC), *t_R* = 7.82 min.

Example 1081

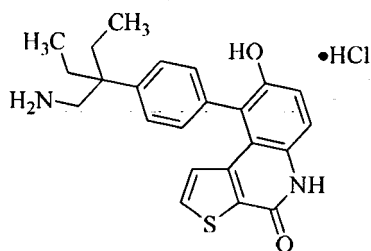
(R)-9-(4-(1-Aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (35 mg, 0.07 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 2 mL, 2 mmol) to afford the desired product (23 mg, 84%) as a light yellow solid: ¹H NMR (500 MHz, CD₃OD) δ 7.67 – 7.61 (m, 2H), 7.59 (d, *J* = 5.4 Hz, 1H), 7.44 – 7.39 (m, 2H), 7.30 (s, 1H), 6.07 (d, *J* = 5.4 Hz, 1H), 4.62 (q, *J* = 6.8 Hz, 1H), 1.76 (d, *J* = 6.9 Hz, 3H); ESI MS *m/z* 371 [C₁₉H₁₅ClN₂O₂S + H]⁺; HPLC 97.2% (AUC), *t_R* = 9.58 min.

Example 1209

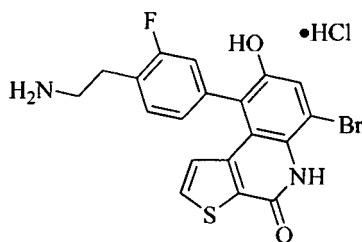
9-(4-(3-(Aminomethyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-ethyl-2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate (20 mg, 0.05 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 3 mL, 3 mmol) to afford the desired product (7.0 mg, 36%) as a light yellow solid: ¹H NMR (500 MHz, CD₃OD) δ 7.63 (d, *J* = 8.4 Hz, 2H), 7.54 (d, *J* = 5.4 Hz, 1H), 7.45 – 7.37 (m, 3H), 7.19 (dd, *J* = 8.9, 2.2 Hz, 1H), 6.08 (d, *J* = 5.4 Hz, 1H), 3.29 (s, 2H), 1.97 (dt, *J* = 14.6, 7.2 Hz, 4H), 0.93 (t, *J* = 7.4 Hz, 6H). ESI MS *m/z* 393 [C₂₃H₂₄N₂O₂S + H]⁺; HPLC 99.6% (AUC), *t_R* = 9.47 min.

Example 1213

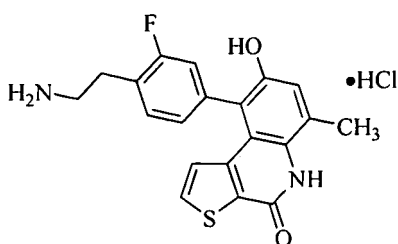
9-(4-(2-Aminoethyl)-3-fluorophenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-fluorophenethylcarbamate (100 mg, 0.18 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 5 mL, 5 mmol) to afford the desired product as an off-white solid (24 mg, 30%): ¹H NMR (500 MHz, CD₃OD) δ 7.69 (d, *J* = 5.4 Hz, 1H), 7.51 (t, *J* = 7.7 Hz, 1H), 7.48 (s, 1H), 7.14 (d, *J* = 9.0 Hz, 2H), 6.18 (d, *J* = 5.4 Hz, 1H), 3.37 – 3.20 (m, 3H), 3.14 – 3.04 (m, 1H); ESI MS *m/z* 433 [C₁₉H₁₄BrFN₂O₂S + H]⁺; HPLC 98.6% (AUC), *t_R* = 9.12 min.

Example 1217

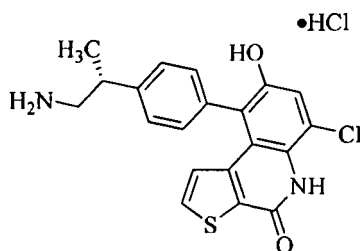
9-(4-(2-Aminoethyl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (78 mg, 0.16 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 3 mL, 3 mmol) to afford the desired product as a yellow solid (16 mg, 27%): ¹H NMR (500 MHz, CD₃OD) δ 7.62 (d, *J* = 5.4 Hz, 1H), 7.49 (t, *J* = 7.9 Hz, 1H), 7.14 – 7.05 (m, 3H), 6.21 (d, *J* = 5.4 Hz, 1H), 3.36 – 3.21 (m, 2H), 3.13 – 3.04 (m, 1H), 2.57 (s, 3H); ESI MS *m/z* 369 [C₂₀H₁₇FN₂O₂S + H]⁺; HPLC 97.3% (AUC), *t_R* = 8.47 min.

Example 1166

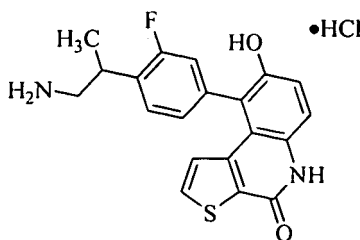
(*R*)-9-(4-(1-Aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (*R*)-tert-butyl 2-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (100 mg, 0.20 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 5 mL, 5 mmol) to afford the desired product as a white solid (23 mg, 30%): ¹H NMR (500 MHz, CD₃OD) δ 7.63 (d, *J* = 5.4 Hz, 1H), 7.56 (dd, *J* = 7.9, 1.9 Hz, 1H), 7.47 (dd, *J* = 7.8, 1.9 Hz, 1H), 7.39 – 7.28 (m, 3H), 6.12 (d, *J* = 5.4 Hz, 1H), 3.36 – 3.13 (m, 3H), 1.49 (d, *J* = 6.5 Hz, 3H); ESI MS *m/z* 385 [C₂₀H₁₇ClN₂O₂S + H]⁺; HPLC 98.4% (AUC), *t_R* = 9.19 min.

Example 1174

9-(4-(1-Aminopropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

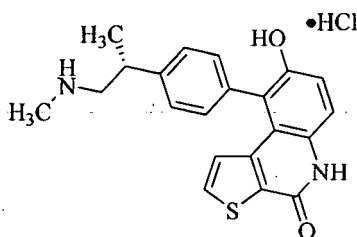


Following General Procedure F, tert-butyl 2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (120 mg, 0.25 mmol) was treated

with BBr₃ (1.0 M in CH₂Cl₂, 5 mL, 5 mmol) to afford the desired product as an off-white solid (35 mg, 37%). ¹H NMR (500 MHz, CD₃OD) δ 7.65 (dd, *J* = 5.4, 3.4 Hz, 1H), 7.59 (s, 1H), 7.51 (s, 1H), 7.43 (dd, *J* = 8.9, 2.3 Hz, 1H), 7.24 – 7.09 (m, 2H), 6.22 (dd, *J* = 9.4, 5.4 Hz, 1H), 3.62 (d, *J* = 7.2 Hz, 1H), 3.49 – 3.25 (m, 2H), 1.52 (t, *J* = 6.7 Hz, 3H); ESI MS *m/z* 369 [C₂₀H₁₇FN₂O₂S + H]⁺; HPLC 99.3% (AUC), *t_R* = 8.37 min.

Example 1187

(R)-8-Hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride

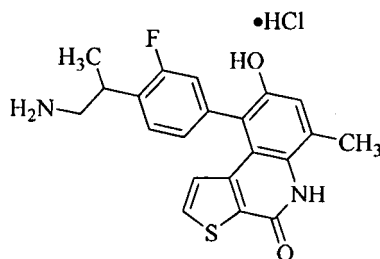


Following General Procedure F (R)-tert-butyl

2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propyl(methyl)carbamate (250 mg, 0.52 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 4 mL, 4 mmol) to afford the desired product as an light yellow solid (39 mg, 42%). ¹H NMR (500 MHz, CD₃OD) δ 7.58 (dd, *J* = 10.8, 3.6 Hz, 2H), 7.50 – 7.46 (m, 1H), 7.42 (d, *J* = 8.9 Hz, 1H), 7.38 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.32 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.18 (d, *J* = 8.9 Hz, 1H), 6.14 (d, *J* = 5.4 Hz, 1H), 3.37 – 3.28 (m, 3H), 2.75 (s, 3H), 1.50 (d, *J* = 6.7 Hz, 3H); ESI MS *m/z* 365 [C₂₁H₂₀N₂O₂S + H]⁺; HPLC 97.1% (AUC), *t_R* = 8.43 min.

Example 1190

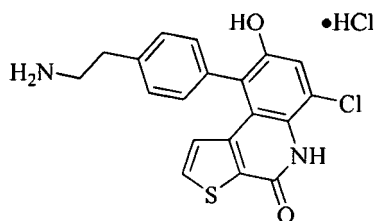
9-(4-(1-Aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (120 mg, 0.25 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 5 mL, 5 mmol) to afford the desired product as an off-white solid (39 mg, 42%). ¹H NMR (500 MHz, CD₃OD) δ 7.64 (dd, *J* = 5.4, 4.6 Hz, 1H), 7.57 (t, *J* = 7.8 Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 1H), 7.22 – 7.05 (m, 3H), 6.23 (dd, *J* = 8.4, 5.4 Hz, 1H), 3.61 (dd, *J* = 14.4, 7.2 Hz, 1H), 3.51 – 3.23 (m, 2H), 2.57 (s, 3H), 1.52 (t, *J* = 7.0 Hz, 3H); ESI MS *m/z* 383 [C₂₁H₁₉FN₂O₂S + H]⁺; HPLC 96.1% (AUC), *t_R* = 8.85 min.

Example 1133

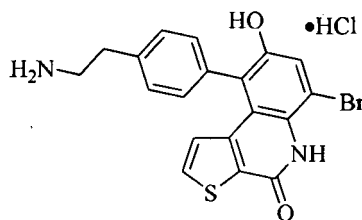
9-(4-(2-Aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride



Following General Procedure F, tert-butyl 4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (79 mg, 0.25 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 9 mL, 9 mmol) to afford the desired product as a yellow solid (12 mg, 20%). ¹H NMR (500 MHz, CD₃OD) δ 7.61 (d, *J* = 5.4 Hz, 1H); 7.49 (d, *J* = 8.1 Hz, 2H); 7.31 (d, *J* = 7.0 Hz, 3H); 6.10 (d, *J* = 5.4 Hz, 1H); 3.37–3.27 (m, 2H); 3.12 (t, *J* = 7.6 Hz, 2H); ESI MS *m/z* 371 [C₁₉H₁₅ClN₂O₂S + H]⁺; HPLC 96.9% (AUC), *t_R* = 8.83 min.

Example 1142

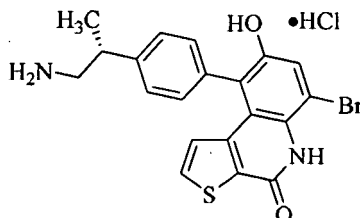
9-(4-(2-Aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (410 mg, 0.76 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 10 mL, 10 mmol) to afford the desired product as an off-white solid (58 mg, 18%). ¹H NMR (500 MHz, CD₃OD) δ 7.62 (d, *J* = 5.4 Hz, 1H); 7.53 – 7.45 (m, 3H); 7.31 (d, *J* = 8.1 Hz, 2H); 6.10 (d, *J* = 5.4 Hz, 1H); 3.31–3.28 (m, 2H); 3.11 (t, *J* = 7.6 Hz, 2H); ESI MS *m/z* 415 [C₁₉H₁₅BrN₂O₂S + H]⁺; HPLC 94.9% (AUC), *t_R* = 9.02 min.

Example 1176

(R)-9-(4-(1-Aminopropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

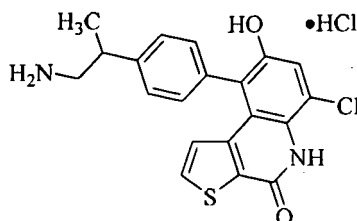


Following General Procedure F, (R)-tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (60 mg, 0.11 mmol) was reacted with BBr₃ (1.0 M in CH₂Cl₂, 6 mL, 6 mmol) to afford the desired product as an off-white solid (24 mg, 51%):

¹H NMR (500 MHz, CD₃OD) δ 7.64 (d, *J* = 5.4 Hz, 1H), 7.56 (dd, *J* = 7.9, 1.9 Hz, 1H), 7.50 – 7.45 (m, 2H), 7.37 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.32 (dd, *J* = 7.7, 1.7 Hz, 1H), 6.12 (d, *J* = 5.4 Hz, 1H), 3.36 – 3.18 (m, 3H), 1.49 (d, *J* = 6.5 Hz, 3H); ESI MS *m/z* 429 [C₂₀H₁₇BrN₂O₂S + H]⁺; HPLC >99% (AUC), *t_R* = 9.30 min.

Example 1136

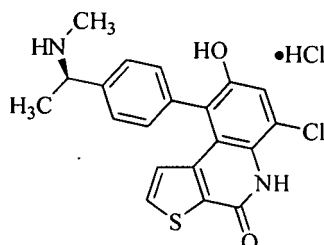
9-(4-(1-Aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (40 mg, 0.08 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 3 mL, 3 mmol) to afford the desired product as a yellow solid (13 mg, 40%): ¹H NMR (500 MHz, CD₃OD) δ 7.63 (d, *J* = 5.4 Hz, 1H), 7.56 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.48 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.40 – 7.28 (m, 3H), 6.12 (d, *J* = 5.4 Hz, 1H), 3.29 – 3.19 (m, 3H), 1.49 (d, *J* = 6.3 Hz, 3H); ESI MS *m/z* 385 [C₂₀H₁₇ClN₂O₂S + H]⁺; HPLC 99% (AUC), *t_R* = 8.12 min.

Example 1132

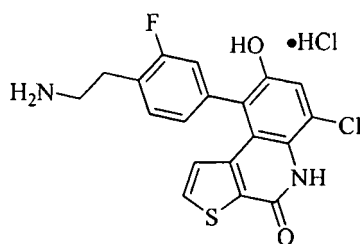
(R)-6-Chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (R)-tert-butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (43 mg, 0.09 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 4 mL, 4 mmol) to afford the desired product as a white solid (15 mg, 45%): ¹H NMR (500 MHz, CD₃OD) δ 7.69 – 7.59 (m, 3H), 7.45 (ddd, *J* = 7.0, 5.8, 2.1 Hz, 2H), 7.30 (s, 1H), 6.03 (d, *J* = 5.4 Hz, 1H), 4.48 (q, *J* = 6.9 Hz, 1H), 2.72 (s, 3H), 1.79 (d, *J* = 6.9 Hz, 3H); ESI MS *m/z* 385 [C₂₀H₁₇ClN₂O₂S + H]⁺; HPLC 97.1% (AUC), *t_R* = 8.85 min.

Example 1219

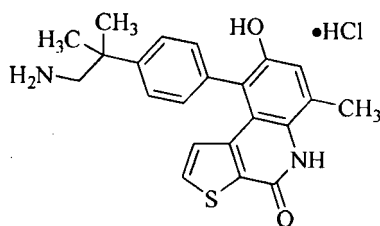
9-(4-(2-Aminoethyl)-3-fluorophenyl)-6-chloro-8-hydroxythieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno
[2,3-c]quinolin-9-yl)-2-fluorophenethylcarbamate (70 mg, 0.14 mmol) was treated with BBr₃
(1.0 M in CH₂Cl₂, 6 mL, 6 mmol) to afford the desired product as a white solid (26 mg, 48%); ¹H
NMR (500 MHz, CD₃OD) δ 7.67 (d, *J* = 5.4 Hz, 1H), 7.51 (t, *J* = 7.7 Hz, 1H), 7.30 (s, 1H), 7.17
– 7.11 (m, 2H), 6.18 (d, *J* = 5.4 Hz, 1H), 3.35 – 3.20 (m, 2H), 3.14 – 3.04 (m, 1H); ESI MS *m/z*
389 [C₁₉H₁₄ClFN₂O₂S + H]⁺; HPLC >99% (AUC), *t_R* = 8.93 min...

Example 1228

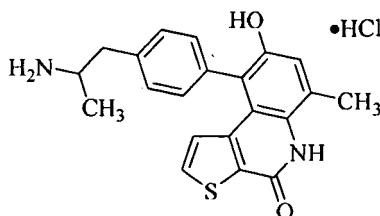
9-(4-(1-Amino-2-methylpropan-2-yl)phenyl)-8-hydroxy-6-methylthieno [2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-
dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate (40 mg, 0.08 mmol) was
treated with BBr₃ (1.0 M in CH₂Cl₂, 4 mL, 4 mmol) to afford the desired product as a brown
solid (21 mg, 67%); ¹H NMR (500 MHz, CD₃OD) δ 7.64 (d, *J* = 8.4 Hz, 2H), 7.58 (d, *J* = 5.4 Hz,
1H), 7.36 (d, *J* = 8.3 Hz, 2H), 7.09 (s, 1H), 6.18 (d, *J* = 5.4 Hz, 1H), 3.28 (s, 2H), 2.58 (s, 3H),
1.58 (s, 6H); ESI MS *m/z* 379 [C₂₂H₂₂N₂O₂S + H]⁺; HPLC 96.5% (AUC), *t_R* = 9.04 min.

Example 1242

9-(4-(2-Aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride

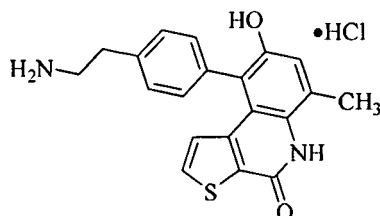


Following General Procedure F, tert-butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-
dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propan-2-ylcarbamate (90 mg, 0.19 mmol) was treated
with BBr₃ (1.0 M in CH₂Cl₂, 8 mL, 8 mmol) to afford the desired product as a light brown solid
(25 mg, 53%); ¹H NMR (500 MHz, CD₃OD) δ 7.57 (d, *J* = 5.4 Hz, 1H), 7.45 (dd, *J* = 20.6, 7.8
Hz, 2H), 7.32 – 7.26 (m, 2H), 7.09 (s, 1H), 6.12 (d, *J* = 5.4 Hz, 1H), 3.65 (dd, *J* = 13.7, 6.9 Hz,

1H), 3.14 – 2.99 (m, 2H), 2.57 (s, 3H), 1.41 (d, $J = 6.6$ Hz, 3H); ESI MS m/z 365 [$C_{21}H_{20}N_2O_2S + H$] $^+$; HPLC 98.6% (AUC), $t_R = 8.68$ min.

Example 1191

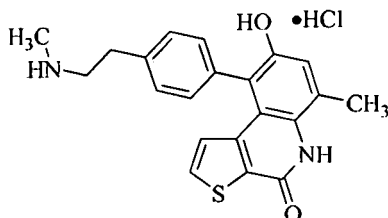
9-(4-(2-Aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (30 mg, 0.06 mmol) was treated with BBr_3 (1.0 M in CH_2Cl_2 , 4 mL, 4 mmol) to afford the desired product as a light yellow solid (20 mg, 90%): ^1H NMR (500 MHz, CD_3OD) δ 7.55 (d, $J = 5.4$ Hz, 1H), 7.47 (d, $J = 8.1$ Hz, 2H), 7.30 (d, $J = 8.1$ Hz, 2H), 7.07 (d, $J = 0.8$ Hz, 1H), 6.14 (d, $J = 5.4$ Hz, 1H), 3.34 – 3.27 (m, 2H), 3.11 (t, $J = 7.5$ Hz, 2H), 2.57 (s, 3H); ESI MS m/z 351 [$C_{20}H_{18}N_2O_2S + H$] $^+$; HPLC 98.4% (AUC), $t_R = 8.32$ min.

Example 1364

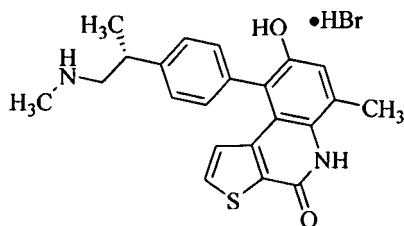
8-Hydroxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, 8-methoxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one (32 mg, 0.06 mmol) was treated with BBr_3 (1.0 M in CH_2Cl_2 , 3 mL, 3 mmol) to afford the desired product as a light yellow solid (15 mg, 62%): ^1H NMR (500 MHz, CD_3OD) δ 7.55 (d, $J = 5.4$ Hz, 1H), 7.47 (d, $J = 8.1$ Hz, 2H), 7.34 – 7.27 (m, 2H), 7.07 (d, $J = 0.7$ Hz, 1H), 6.12 (d, $J = 5.4$ Hz, 1H), 3.39 (t, $J = 7.6$ Hz, 2H), 3.18 – 3.10 (m, 2H), 2.79 (s, 3H), 2.57 (s, 3H); ESI MS m/z 365 [$C_{21}H_{20}N_2O_2S + H$] $^+$; HPLC >99% (AUC), $t_R = 8.41$ min.

Example 1307

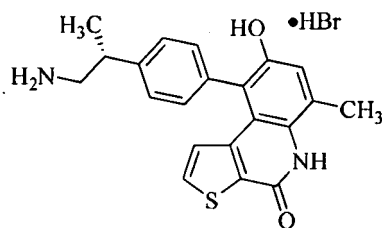
(R)-8-Hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrobromide



Following General Procedure F, (R)-tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (2.08 g, 4.23 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 40 mL, 40 mmol) to afford the desired product as a yellow solid (1.05 g, 65%); ¹H NMR (500 MHz, CD₃OD) δ 7.60 – 7.54 (m, 2H), 7.46 (dd, *J* = 7.8, 1.9 Hz, 1H), 7.37 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.31 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.08 (d, *J* = 0.8 Hz, 1H), 6.16 (d, *J* = 5.4 Hz, 1H), 3.37 – 3.24 (m, 3H), 2.74 (s, 3H), 2.57 (s, 3H), 1.50 (d, *J* = 6.8 Hz, 3H); ESI MS *m/z* 379 [C₂₂H₂₂N₂O₂S + H]⁺; HPLC >99% (AUC), *t*_R = 8.74 min.

Example 1169

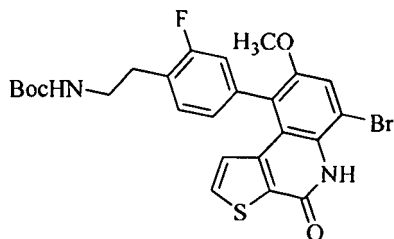
(R)-9-(4-(1-Aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrobromide



Following General Procedure F, (R)-tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (2.20 g, 4.60 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 50 mL, 50 mmol) to afford the desired product as a yellow solid (1.50 g, 73%); ¹H NMR (500 MHz, CD₃OD) δ 7.58 (d, *J* = 5.4 Hz, 1H), 7.55 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.45 (dd, *J* = 7.8, 1.9 Hz, 1H), 7.35 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.30 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.08 (d, *J* = 0.8 Hz, 1H), 6.17 (d, *J* = 5.4 Hz, 1H), 3.36 – 3.19 (m, 3H), 2.57 (d, *J* = 0.6 Hz, 3H), 1.50 (d, *J* = 6.4 Hz, 3H); ESI MS *m/z* 365 [C₂₁H₂₀N₂O₂S + H]⁺; HPLC 98.3% (AUC), *t*_R = 8.64 min.

Example 587

tert-Butyl 4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-fluorophenethylcarbamate

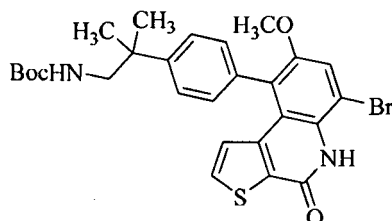


Following General Procedure I, tert-butyl 2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (1.1 g, 2.3 mmol) was reacted with NBS (540 mg, 3.1 mmol)

to afford the desired product (920 mg, 70%) as a brown solid. ESI MS m/z 547 $[C_{25}H_{24}BrFN_2O_4S + H]^+$.

Example 588

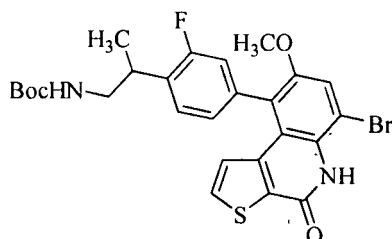
tert-Butyl 2-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate



Following General Procedure I, tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate (600 mg, 1.3 mmol) was reacted with NBS (330 mg, 1.9 mmol) to afford the desired product (350 mg, 51%) as a yellow solid: ESI MS m/z 557 $[C_{27}H_{29}BrN_2O_4S + H]^+$.

Example 589

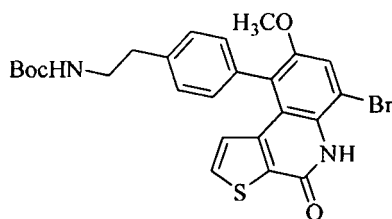
tert-Butyl 2-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-fluorophenyl)propylcarbamate



Following General Procedure I, tert-butyl 2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (500 mg, 1.0 mmol) was reacted with NBS (220 mg, 1.2 mmol) to afford the desired product (280 mg, 48%) as a brown oil. ESI MS m/z 561 $[C_{26}H_{26}BrFN_2O_4S + H]^+$.

Example 590

tert-Butyl 4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate

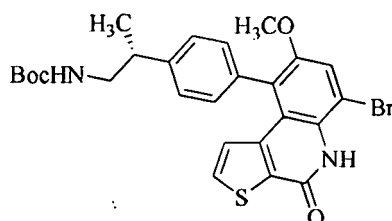


Following General Procedure I, tert-butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (600 mg, 1.3 mmol) was reacted with NBS (280 mg, 1.5

mmol) to afford the desired product (410 mg, 60%) as a reddish brown solid. ESI MS m/z 529 $[C_{25}H_{25}BrN_2O_4S + H]^+$.

Example 591

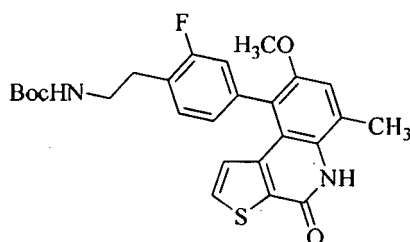
(R)-tert-Butyl 2-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure I, (R)-tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (220 mg, 0.39 mmol) was reacted with NBS (90 mg, 0.51 mmol) to afford the desired product (60 mg, 28%) as a reddish oil: ESI MS m/z 543 $[C_{26}H_{27}BrN_2O_4S + H]^+$.

Example 592

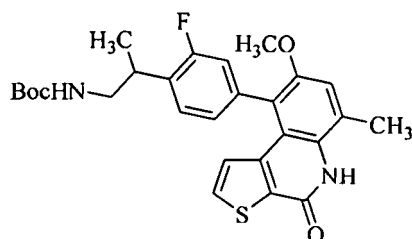
tert-Butyl 2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate



Following General Procedure I, tert-butyl 4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-fluorophenethylcarbamate (300 mg, 0.55 mmol) was reacted with trimethylboroxine (207 mg, 1.65 mmol) and $Pd(pph_3)_4$ (63 mg, 0.05 mmol) to afford the desired product (155 mg, 58%) as a brown solid. ESI MS m/z 483 $[C_{26}H_{27}FN_2O_4S + H]^+$.

Example 593

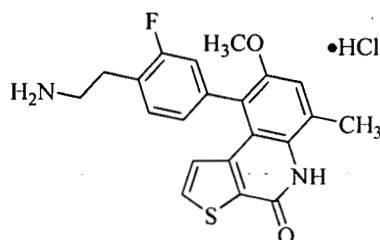
tert-Butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure I, tert-butyl 2-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno [2,3-c]quinolin-9-yl)-2-fluorophenyl)propylcarbamate (282 mg, 0.50 mmol) was reacted with trimethylboroxine (170 mg, 1.35 mmol) and Pd(pph₃)₄ (50 mg, 0.04 mmol) to afford the desired product (130 mg, 52%) as a yellow solid. ESI MS m/z 497 [C₂₇H₂₉FN₂O₄S + H]⁺.

Example 1216

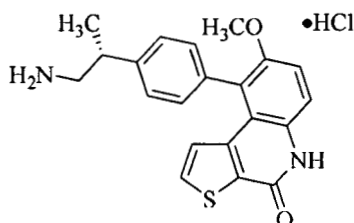
9-(4-(2-Aminoethyl)-3-fluorophenyl)-8-methoxy-6-methylthieno [2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure C, tert-butyl 2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (50 mg, 0.10 mmol) was reacted with TFA (2 mL) to afford the desired product (32 mg, 80%) as a yellow solid: ¹H NMR (500 MHz, CD₃OD) δ 7.62 (d, J = 5.4 Hz, 1H), 7.48 (dd, J = 9.7, 6.1 Hz, 1H), 7.29 (s, 1H), 7.08 (ddd, J = 9.0, 6.2, 1.6 Hz, 2H), 6.12 (d, J = 5.4 Hz, 1H), 3.75 (s, 3H), 3.34 – 3.27 (m, 1H), 3.27 – 3.06 (m, 3H), 2.64 (s, 3H); ESI MS m/z 383 [C₂₁H₁₉FN₂O₂S + H]⁺; HPLC 97.6% (AUC), t_R = 9.22 min.

Example 1161

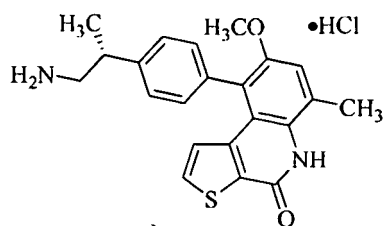
(R)-9-(4-(1-Aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure C, (R)-tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (200 mg, 0.55 mmol) was reacted with TFA (10 mL) to afford the desired product (51 mg, 26%) as a white solid: ¹H NMR (500 MHz, CD₃OD) δ 7.61 – 7.44 (m, 4H), 7.39 (d, J = 9.1 Hz, 1H), 7.30 (ddd, J = 13.2, 7.9, 1.7 Hz, 2H), 6.00 (d, J = 5.4 Hz, 1H), 3.33 – 3.18 (m, 3H), 1.49 (d, J = 6.6 Hz, 3H); ESI MS m/z 365 [C₂₁H₂₀N₂O₂S + H]⁺; HPLC 97.6% (AUC), t_R = 8.88 min

Example 1305

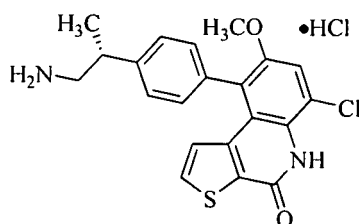
(R)-9-(4-(1-Aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno [2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure C, (R)-tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (1.5 g, 3.1 mmol) was reacted with TFA (30 mL) to afford the desired product (520 mg, 47%) as a yellow solid: $^1\text{H NMR}$ (500 MHz, CD_3OD) δ 7.56 (d, $J = 5.4$ Hz, 1H), 7.50 (dd, $J = 7.8, 1.8$ Hz, 1H), 7.45 (dd, $J = 7.7, 1.9$ Hz, 1H), 7.28 (ddd, $J = 9.4, 7.0, 1.7$ Hz, 3H), 6.01 (d, $J = 5.4$ Hz, 1H), 3.75 (s, 3H), 3.36 – 3.18 (m, 3H), 2.64 (s, 3H), 1.48 (d, $J = 6.6$ Hz, 3H); ESI MS m/z 379 [$\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 99% (AUC), $t_R = 8.81$ min.

Example 1201

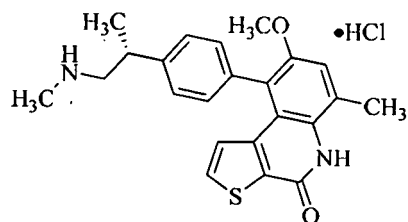
(R)-9-(4-(1-Aminopropan-2-yl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure C, (R)-tert-butyl 2-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (60 mg, 0.12 mmol) was reacted with TFA (4 mL) to afford the desired product (28 mg, 52%) as a yellow solid: $^1\text{H NMR}$ (500 MHz, CD_3OD) δ 7.61 (d, $J = 5.4$ Hz, 1H), 7.54 – 7.50 (m, 2H), 7.48 (dd, $J = 7.8, 1.7$ Hz, 1H), 7.32 – 7.25 (m, 2H), 5.97 (d, $J = 5.4$ Hz, 1H), 3.76 (s, 1H), 3.29 – 3.17 (m, 3H), 1.48 (d, $J = 6.5$ Hz, 2H); ESI MS m/z 399 [$\text{C}_{21}\text{H}_{19}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 9.65$ min.

Example 1298

(R)-8-Methoxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



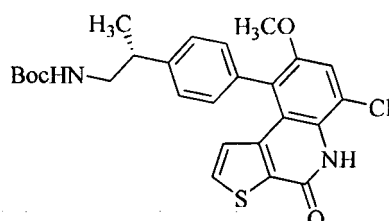
Following General Procedure C, (R)-tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (600 mg, 1.2 mmol) was reacted with TFA (20 mL) to afford the desired product (330 mg, 69%) as a light yellow solid: $^1\text{H NMR}$ (500 MHz, CD_3OD) δ 7.56 (d, $J = 5.4$ Hz, 1H), 7.52 (dd, $J = 7.9, 1.9$ Hz, 1H), 7.47 (dd,

$J = 7.7, 1.9$ Hz, 1H), 7.28 (ddd, $J = 14.7, 7.9, 1.7$ Hz, 3H), 6.00 (d, $J = 5.4$ Hz, 1H), 3.36 – 3.26 (m, 3H), 2.76 (s, 3H), 2.64 (s, 3H), 1.48 (d, $J = 6.7$ Hz, 3H); ESI MS m/z 393 [$C_{23}H_{24}N_2O_2S + H$] $^+$; HPLC 98.6% (AUC), $t_R = 8.96$ min.

5

Example 594

(*R*)-tert-Butyl 2-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate



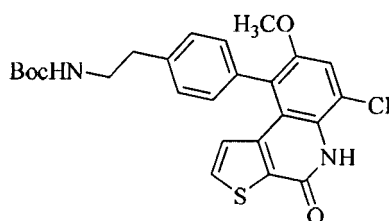
10

Following General Procedure H, (*R*)-tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (400 mg, 0.86 mmol) was reacted with NCS (138 mg, 1.03 mmol) to afford the desired product (210 mg, 49%) as a brown solid. ESI MS m/z 499 [$C_{26}H_{27}ClN_2O_4S + H$] $^+$.

15

Example 595

tert-Butyl 4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenethylcarbamate



20

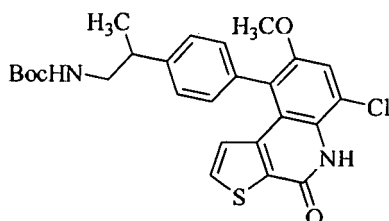
Following General Procedure H, tert-butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenethylcarbamate (200 mg, 0.43 mmol) was reacted with NCS (68 mg, 0.52 mmol) to afford the desired product (79 mg, 38%) as a brown solid. ESI MS m/z 485 [$C_{25}H_{25}ClN_2O_4S + H$] $^+$.

25

Example 596

tert-Butyl 2-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate

30

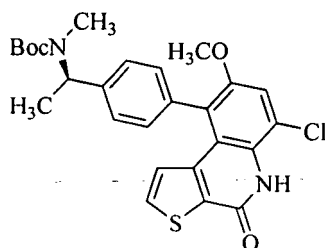


Following General Procedure H, tert-butyl

2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (180 mg, 0.39 mmol) was reacted with NCS (57 mg, 0.42 mmol) to afford the desired product (110 mg, 56%) as a yellowish solid. ESI MS m/z 499 $[C_{26}H_{27}ClN_2O_4S + H]^+$.

Example 597

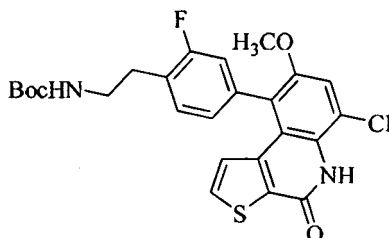
(R)-tert-Butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate



Following General Procedure H, (R)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (200 mg, 0.43 mmol) was reacted with NCS (69 mg, 0.52 mmol) to afford the desired product (43 mg, 20%) as a yellowish solid. ESI MS m/z 499 $[C_{26}H_{27}ClN_2O_4S + H]^+$.

Example 598

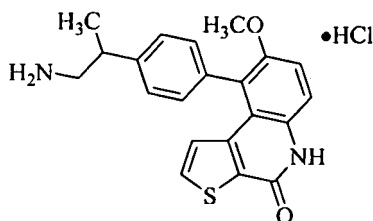
tert-Butyl 4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-fluorophenethylcarbamate



Following General Procedure H, tert-butyl 2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (300 mg, 0.64 mmol) was reacted with NCS (94 mg, 0.71 mmol) to afford the desired product (150 mg, 46%) as a yellow solid. ESI MS m/z 503 $[C_{25}H_{24}ClFN_2O_4S + H]^+$.

Example 373

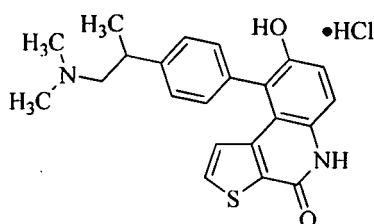
9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



To a solution of 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile (1.4 g, 4.0 mmol) in toluene (10 mL) at 0 °C was added $\text{BH}_3 \cdot \text{THF}$ (1.0 M in THF, 10 mL, 10 mmol) and the reaction was warmed to room temperature and heated at reflux for 4 h. The reaction was quenched by adding methanol (1 mL) at 0 °C. The resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product (352 mg, 24%) as a brown solid: ESI MS m/z 365 $[\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$.

Example 1112

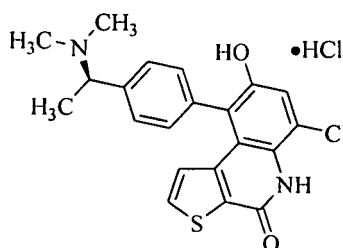
9-(4-(1-(Dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno [2,3-c]quinolin-4(5H)-one Hydrochloride



Following the procedure outlined for Example 1387, 9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride (15 mg, 0.040 mmol) was reacted with paraformaldehyde (4.0 mg, 0.13 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (12 mg, 75%) as a light yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.66 – 7.51 (m, 3H), 7.47 – 7.30 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.12 (d, J = 5.4 Hz, 1H), 3.67 – 3.57 (m, 1H), 3.51 – 3.38 (m, 2H), 2.95 (d, J = 16.0 Hz, 6H), 1.49 (d, J = 6.5 Hz, 3H); ESI MS m/z 379 $[\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC >99% (AUC), t_R = 8.46 min.

Example 1126

(R)-6-Chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno [2,3-c]quinolin-4(5H)-one Hydrochloride

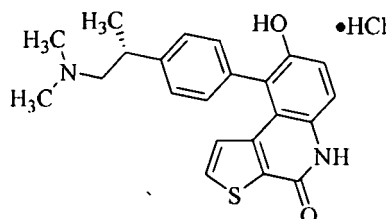


Following the procedure outlined for Example 1387, (R)-9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride (120 mg, 0.32 mmol) was reacted with paraformaldehyde (29 mg, 0.97 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (21 mg, 16%) as a light yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.71 (dd, J = 10.5, 7.8 Hz, 2H), 7.64 (d, J = 5.4 Hz, 1H), 7.47 (t, J = 7.1 Hz, 2H), 7.31 (s, 1H), 6.01 (d, J = 5.4 Hz, 1H), 4.66 (q, J = 6.9 Hz, 1H), 2.97 (s, 3H), 2.86 (s,

3H), 1.86 (d, $J = 7.0$ Hz, 3H). ESI MS m/z 399 [$C_{21}H_{19}ClN_2O_2S + H$] $^+$; HPLC 97.5% (AUC), $t_R = 9.96$ min.

Example 1188

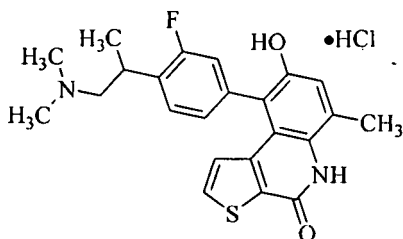
(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following the procedure outlined for Example 1387, (R)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride (40 mg, 0.11 mmol) was reacted with paraformaldehyde (7 mg, 0.21 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (28 mg, 67%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.65 – 7.52 (m, 3H), 7.44 – 7.32 (m, 3H), 7.18 (d, $J = 8.9$ Hz, 1H), 6.12 (d, $J = 5.4$ Hz, 1H), 3.62 (d, $J = 3.1$ Hz, 1H), 3.46 (dd, $J = 13.2, 4.7$ Hz, 2H), 2.97 (s, 3H), 2.94 (s, 3H), 1.49 (d, $J = 6.6$ Hz, 3H); ESI MS m/z 379 [$C_{22}H_{22}N_2O_2S + H$] $^+$; HPLC >99% (AUC), $t_R = 8.57$ min.

Example 1193

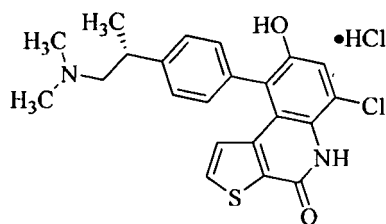
9-(4-(1-(Dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following the procedure outlined for Example 1387, 9-(4-(1-Aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride (30 mg, 0.08 mmol) was reacted with paraformaldehyde (9 mg, 0.31 mmol) and after purification the resulting material was converted to the Hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (25 mg, 75%) as a light yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.67 – 7.51 (m, 2H), 7.26 – 7.10 (m, 2H), 7.08 (dd, $J = 1.6, 0.8$ Hz, 1H), 6.20 (dd, $J = 8.1, 5.4$ Hz, 1H), 3.88 – 3.40 (m, 3H), 3.0 – 2.96 (m, 6H), 2.57 (s, 3H), 1.57 – 1.46 (m, 3H); ESI MS m/z 411 [$C_{23}H_{23}FN_2O_2S + H$] $^+$; HPLC >99% (AUC), $t_R = 9.14$ min.

Example 1347

(R)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

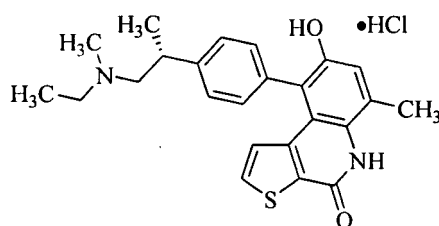


Following the procedure outlined for Example 1387,

(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride (30 mg, 0.10 mmol) was reacted with paraformaldehyde (6 mg, 0.20 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (12 mg, 29%) as a yellow solid: $^1\text{H NMR}$ (500 MHz, CD_3OD) δ 7.66 – 7.57 (m, 2H), 7.55 (d, $J = 7.8$ Hz, 1H), 7.40 – 7.27 (m, 3H), 6.07 (d, $J = 5.4$ Hz, 1H), 3.62 (dd, $J = 12.2, 8.9$ Hz, 1H), 3.46 (ddd, $J = 11.7, 9.3, 6.3$ Hz, 2H), 2.98 (s, 3H), 2.94 (s, 3H), 1.48 (d, $J = 6.5$ Hz, 3H); ESI MS m/z 413 [$\text{C}_{22}\text{H}_{21}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 9.46$ min.

Example 1379

(R)-9-(4-(1-(ethyl(methyl)amino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride

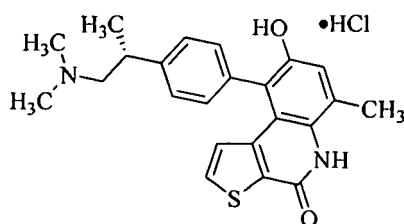


Following the procedure outlined for Example 1387,

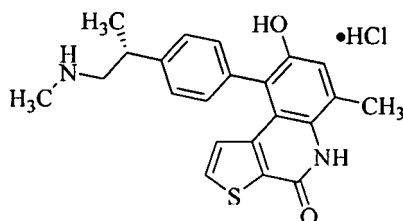
((R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride (15 mg, 0.04 mmol) was reacted with acetaldehyde (5 μL , 0.08 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (8 mg, 50%) as a yellow solid: $^1\text{H NMR}$ (500 MHz, CD_3OD) δ 7.66 – 7.49 (m, 3H), 7.42 – 7.27 (m, 2H), 7.08 (s, 1H), 6.13 (dd, $J = 19.9, 5.4$ Hz, 1H), 3.70 (dd, $J = 12.8, 10.3$ Hz, 1H), 3.58 – 3.14 (m, 4H), 2.91 (d, $J = 23.4$ Hz, 3H), 2.57 (s, 3H), 1.49 (dd, $J = 6.8, 3.3$ Hz, 3H), 1.36 (dt, $J = 12.8, 7.3$ Hz, 3H); ESI MS m/z 407 [$\text{C}_{24}\text{H}_{26}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 9.16$ min.

Example 1324

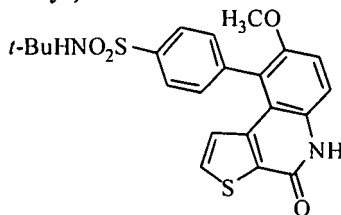
(R)-9-(4-(1-(Dimethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following the procedure outlined for Example 1387, (R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrobromide (100 mg, 0.26 mmol) was reacted with paraformaldehyde (24 mg, 0.80 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (34 mg, 34%) as a white solid: ¹H NMR (500 MHz, CD₃OD) δ 7.61 – 7.55 (m, 1H), 7.53 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.36 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.31 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.08 (s, 1H), 6.12 (d, *J* = 5.4 Hz, 1H), 3.61 (dd, *J* = 12.3, 9.3 Hz, 1H), 3.45 (dt, *J* = 9.1, 6.2 Hz, 2H), 2.97 (s, 1H), 2.94 (s, 1H), 2.57 (s, 1H), 1.48 (d, *J* = 6.6 Hz, 1H); ESI MS *m/z* 393 [C₂₃H₂₄N₂O₂S + H]⁺; HPLC >99% (AUC), *t_R* = 8.90 min.

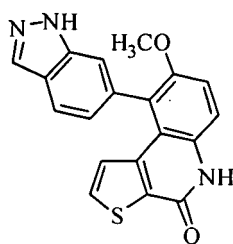
Example 1306**(R)-8-Hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure D-3, (R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrobromide (120 mg, 0.26 mmol) was dissolved in aqueous HCl (100 mmol) and stirred concentrated at room temperature for 2 h, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt. The desired product was dried under high vacuum to afford the desired product as a light yellow solid (27 mg, 28%): ¹H NMR (500 MHz, CD₃OD) δ 7.61 – 7.53 (m, 2H), 7.46 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.36 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.31 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.08 (s, 1H), 6.16 (d, *J* = 5.4 Hz, 1H), 3.41 – 3.24 (m, 3H), 2.74 (s, 3H), 2.57 (s, 3H), 1.50 (d, *J* = 6.8 Hz, 3H); ESI MS *m/z* 379 [C₂₂H₂₂N₂O₂S + H]⁺; HPLC 98.7% (AUC), *t_R* = 8.82 min.

Example 408**N-tert-Butyl-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide**

Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (5.0 g, 16 mmol) was reacted with 4-(N-tert-butylsulfamoyl)phenylboronic acid (5.4 g, 21 mmol) to afford the desired product (4.3 g, 60%) as a yellow solid: ESI MS *m/z* [C₂₂H₂₂N₂O₄S₂ + H]⁺.

Example 409**9-(1H-Indazol-6-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one**

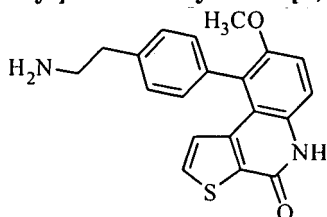


Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.32 mmol) was reacted with 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-indazole (120 mg, 0.48 mmol) to afford the desired product (35 mg, 31%) as brown solid: ESI MS m/z 348

[C₁₈H₁₁N₃O₂S + H]⁺.

Example 169

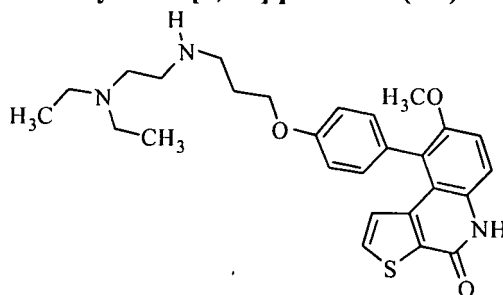
9-[4-(2-Aminoethyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following General Procedure C, tert-butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (310 mg, 0.69 mmol) was reacted with TFA (2 mL) to afford the desired product (220 mg, 90%) as an off-white solid: ¹H NMR (500 MHz, DMSO-d₆) δ 7.91 (s, 1H), 7.91 (br s, 2H), 7.71 (d, J = 5.4 Hz, 1H), 7.52 (d, J = 9.1 Hz, 1H), 7.40 (m, 3H), 7.22 (d, J = 8.1 Hz, 2H), 5.80 (d, J = 5.4 Hz, 1H), 3.68 (s, 3H), 3.20–3.17 (m, 2H), 3.02–2.99 (m, 2H); ESI MS m/z 351 [C₂₀H₁₈N₂O₂S + H]⁺; HPLC 98.8% (AUC), t_R = 8.32 min.

Example 145

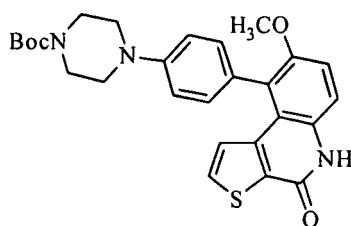
9-(4-{3-[2-(Diethylamino)ethylamino]propoxy}phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.33 mmol) was reacted with N¹,N¹-diethyl-N²-{3-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenoxy]propyl}ethane-1,2-diamine (250 mg, 0.67 mmol) to afford the desired product (58 mg, 37%) as a brown solid: ¹H NMR (500 MHz, CD₃OD) δ 7.56 (d, J = 5.4 Hz, 1H), 7.52 (d, J = 9.1 Hz, 1H), 7.36 (d, J = 9.1 Hz, 1H), 7.18 (d, J = 8.6 Hz, 2H), 7.12 (d, J = 8.6 Hz, 2H), 6.11 (d, J = 5.4 Hz, 1H), 4.25 (t, J = 5.7 Hz, 2H), 3.74 (s, 3H), 3.74–3.43 (m, 4H), 3.42 (t, J = 7.4 Hz, 2H), 3.34–3.33 (m, 4H), 2.35–2.25 (m, 2H), 1.37 (t, J = 7.3 Hz, 6H); ESI MS m/z 480 [C₂₇H₃₃N₃O₃S + H]⁺; HPLC 98.6%, t_R = 8.42 min.

Example 410

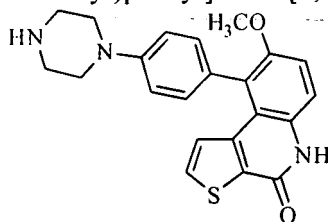
tert-Butyl 4-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]piperazine-1-carboxylate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (80 mg, 0.26 mmol) was reacted with tert-butyl 4-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]piperazine-1-carboxylate (170 mg, 0.44 mmol) to afford the desired product (68 mg, 32%) as a yellow solid: ESI MS m/z 492 $[C_{27}H_{29}N_3O_4S + H]^+$.

Example 411

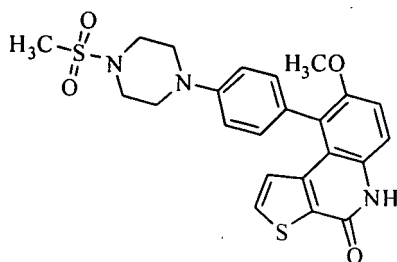
8-Methoxy-9-[4-(piperazin-1-yl)phenyl]thieno[2,3-c]quinolin-4(5H)-one



Following General Procedure C, tert-butyl 4-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]piperazine-1-carboxylate (160 mg, 0.33 mmol) was reacted with TFA (4 mL) to afford the desired product (23 mg, 22%) as a light yellow solid: ^1H NMR (500 MHz, DMSO- d_6) δ 11.84 (s, 1H), 8.72 (s, 2H), 7.76 (d, J = 5.4 Hz, 1H), 7.49 (d, J = 9.0 Hz, 1H), 7.37 (d, J = 9.1 Hz, 1H), 7.20–7.03 (m, 4H), 5.95 (d, J = 5.4 Hz, 1H), 3.68 (s, 3H), 3.52–3.41 (m, 4H), 3.31 (s, 4H).

Example 412

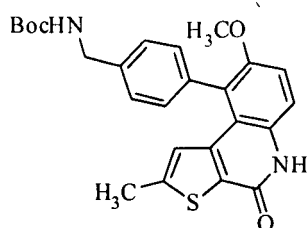
8-Methoxy-9-[4-[4-(methanesulfonyl)piperazin-1-yl]phenyl]thieno[2,3-c]quinolin-4(5H)-one



To a solution of 8-methoxy-9-[4-(piperazin-1-yl)phenyl]thieno[2,3-c]quinolin-4(5H)-one (89 mg, 0.23 mmol) in methylene chloride (2 mL) was added N, N-diisopropylethylamine (0.42 mL, 0.68 mmol) and methanesulfonyl chloride (45 μ L, 0.27 mmol) and the reaction mixture was stirred for 1 h. The reaction mixture was quenched with water and the layers were separated. The aqueous layer was extracted with ethyl acetate and the combined organic layers were dried over Na_2SO_4 , filtered, concentrated and the residue was purified by preparatory HPLC (C18 silica, acetonitrile/water w/0.05% TFA gradient) to afford the desired product (72 mg, 68%) as a brown solid: ESI MS m/z 470 $[C_{23}H_{23}N_3O_4S_2 + H]^+$.

Example 413

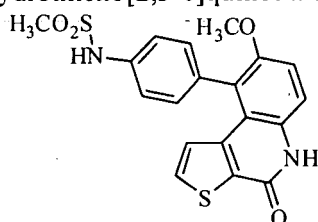
tert-Butyl 4-(8-Methoxy-2-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate



Following General Procedure B A, 9-bromo-8-methoxy-2-methylthieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.31 mmol) was reacted with 4-[(tert-butoxycarbonylamino)methyl]phenylboronic acid (120 mg, 0.40 mmol) to afford desired product (80 mg, 55%) as a brown solid: ESI MS m/z 451 [C₂₅H₂₆N₂O₄S + H]⁺.

Example 414

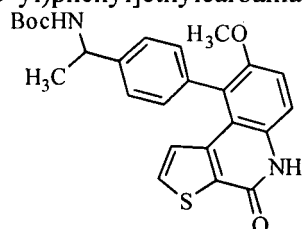
N-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]methanesulfonamide



Following Step 1 from General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (50 mg, 0.10 mmol) was reacted with 4-(methylsulfonamido)phenylboronic acid (52 mg, 0.24 mmol) to afford the desired product (40 mg, 62%) as a brown solid: ESI MS m/z 400 [C₁₉H₁₆N₂O₄S₂ + H]⁺.

Example 415

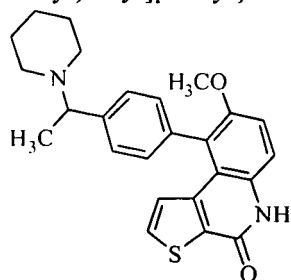
tert-Butyl 1-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (600 mg, 2.0 mmol) was reacted with tert-butyl 1-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethylcarbamate (340 mg, 39%) as a brown solid: ESI MS m/z 451 [C₂₅H₂₆N₂O₄S + H]⁺.

Example 416

8-Methoxy-9-{4-[1-(piperidin-1-yl)ethyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one

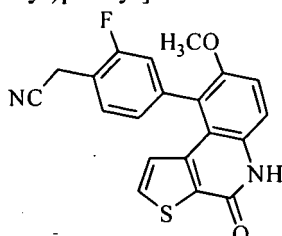


Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.48 mmol) was reacted with 4-[1-(piperidin-1-yl)ethyl]phenylboronic acid (170 mg, 0.73 mmol)

to afford the desired product (10 mg, 5%) as a yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.74–7.66 (m, 2H), 7.62–7.53 (m, 2H), 7.49–7.34 (m, 3H), 5.94 (d, J = 5.4 Hz, 1H), 4.61 (q, J = 6.9 Hz, 1H), 3.86–3.78 (m, 1H), 3.76 (s, 1H), 3.47 (d, J = 12.6 Hz, 1H), 3.10–2.98 (m, 1H), 2.96–2.82 (m, 1H), 2.11–1.91 (m, 2H), 1.89 (d, J = 7.0 Hz, 2H), 1.85–1.70 (m, 1H).

Example 417

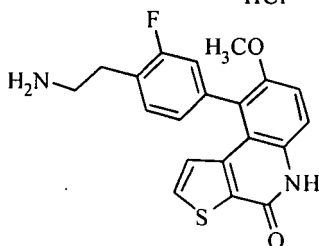
2-[2-Fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]acetonitrile



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (350 mg, 1.1 mmol) was reacted with 2-[2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]acetonitrile (440 mg, 1.7 mmol) to afford the desired product (400 mg, >99%) as a brown solid: ESI MS m/z 365 [$\text{C}_{20}\text{H}_{13}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$.

Example 265

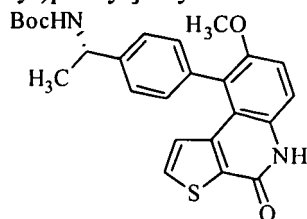
9-[4-(2-Aminoethyl)-3-fluorophenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride
•HCl



To a solution of 2-[2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]acetonitrile (49 mg, 0.14 mmol) in toluene (3 mL) was added borane (1.0 M in THF, 3.0 mL, 0.30 mmol) and the reaction was stirred at reflux for 3 h. The reaction mixture was cooled to room temperature, concentrated and the residue was purified by preparatory HPLC. The residue was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the hydrochloride salt (4.5 mg, 9%) as a brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.63 (d, J = 5.4 Hz, 1H), 7.56 (d, J = 9.0 Hz, 1H), 7.50 (t, J = 7.8 Hz, 1H), 7.40 (d, J = 9.1 Hz, 1H), 7.11–7.05 (m, 2H), 6.11 (d, J = 5.4 Hz, 1H), 3.76 (s, 3H), 3.25–3.08 (m, 4H), 2.75 (br s, 3H); ESI MS m/z 369 [$\text{C}_{20}\text{H}_{17}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 95.0% (AUC), t_R = 7.89 min.

Example 418

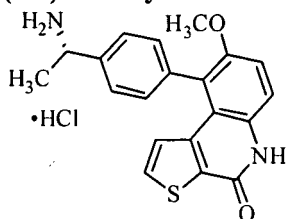
(S)-tert-Butyl 1-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (280 mg, 0.89 mmol) was reacted with (S)-tert-butyl 1-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethylcarbamate (320 mg, 1.1 mmol) to afford the desired product (220 mg, 55%) as a white solid: ESI MS m/z 351 [$C_{25}H_{26}N_2O_4S - Boc$] $^+$.

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Example 232
(S)-9-[4-(1-Aminoethyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

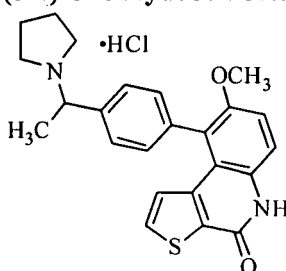


10

Following General Procedure C, (S)-tert-butyl 1-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate (90 mg, 0.12 mmol) was reacted with TFA (3 mL) to afford the desired product (11 mg, 15%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.65–7.62 (m, 2H), 7.56–7.54 (m, 2H), 7.40–7.38 (m, 3H), 6.04 (d, $J = 5.5$ Hz, 1H), 4.62 (q, $J = 7.0$ Hz, 1H), 7.73 (s, 3H), 1.77 (d, $J = 6.9$ Hz, 3H); ESI MS m/z 351 [$C_{20}H_{18}N_2O_2S + H$] $^+$; HPLC 97.6% (AUC), $t_R = 8.33$ min.

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Example 216
8-Methoxy-9-[4-[1-(pyrrolidin-1-yl)ethyl]phenyl]thieno[2,3-c]quinolin-4(5H)-one Hydrochloride

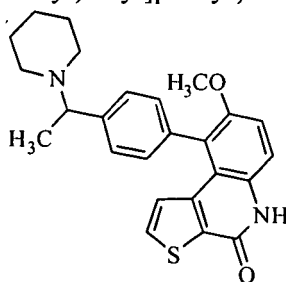


20

Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (120 mg, 0.39 mmol) was reacted with 4-[1-(pyrrolidin-1-yl)ethyl]phenylboronic acid (170 mg, 0.77 mmol) to afford the desired product (70 mg, 45%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.69–7.67 (m, 2H), 7.60 (d, $J = 5.4$ Hz, 1H), 7.56 (d, $J = 9.0$ Hz, 1H), 7.44–7.40 (m, 3H), 5.96 (d, $J = 5.4$ Hz, 1H), 4.54 (q, $J = 6.8$ Hz, 1H), 3.89–3.84 (m, 1H), 3.76 (s, 3H), 3.38–3.16 (m, 3H), 2.29–2.00 (m, 4H), 1.87 (d, $J = 6.9$ Hz, 3H); ESI MS m/z 405 [$C_{24}H_{24}N_2O_2S + H$] $^+$; HPLC >99%, $t_R = 8.98$ min.

25

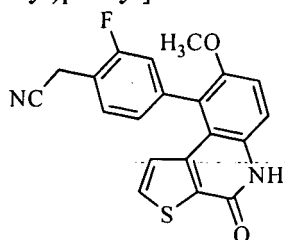
Example 419
8-Methoxy-9-[4-[1-(piperidin-1-yl)ethyl]phenyl]thieno[2,3-c]quinolin-4(5H)-one



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.48 mmol) was reacted with 4-[1-(piperidin-1-yl)ethyl]phenylboronic acid (170 mg, 0.73 mmol) to afford the desired product (10 mg, 5%) as a yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.74–7.66 (m, 2H), 7.62–7.53 (m, 2H), 7.49–7.34 (m, 3H), 5.94 (d, J = 5.4 Hz, 1H), 4.61 (q, J = 6.9 Hz, 1H), 3.86–3.78 (m, 1H), 3.76 (s, 1H), 3.47 (d, J = 12.6 Hz, 1H), 3.10–2.98 (m, 1H), 2.96–2.82 (m, 1H), 2.11–1.91 (m, 2H), 1.89 (d, J = 7.0 Hz, 2H), 1.85–1.70 (m, 1H).

Example 420

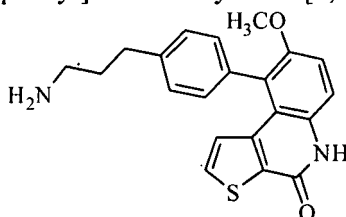
2-[2-Fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]acetonitrile



Following Step 1 from General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (350 mg, 1.1 mmol) was reacted with 2-[2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]acetonitrile (440 mg, 1.7 mmol) to afford the desired product (400 mg, >99%) as a brown solid: ESI MS m/z 365 [$\text{C}_{20}\text{H}_{13}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$.

Example 421

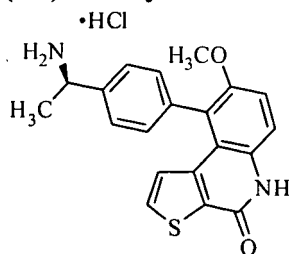
9-[4-(3-Aminopropyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following the procedure outlined for Example 265, 3-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propanenitrile (250 mg, 0.69 mmol) was reacted with borane (1.0 M in THF, 10 mL, 10 mmol) to afford the desired product (150 mg, 60%) as a brown oil: ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$.

Example 274

(R)-9-[4-(1-Aminoethyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

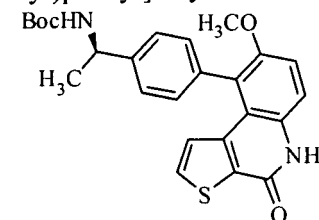


Following General Procedure C, (R)-tert-butyl 1-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate (50 mg, 0.11 mmol) was reacted with TFA (3 mL) to afford the desired product (11 mg, 26%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.63–7.62 (m, 2H), 7.56–7.55 (m, 2H), 7.40–7.37 (m, 3H), 6.04 (d, J = 5.4 Hz, 1H), 4.62 (q, J =

6.9 Hz, 1H), 3.79 (s, 3H), 2.77 (br s, 3H), 1.76 (d, J = 6.9 Hz, 3H); ESI MS m/z 351 [C₂₀H₁₈N₂O₂S + H]⁺; HPLC 98.7% (AUC), t_R = 8.24 min.

Example 422

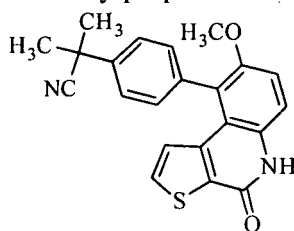
(R)-tert-Butyl 1-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate



Following Step 1 from General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (480 mg, 1.5 mmol) was reacted with (R)-tert-butyl 1-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethylcarbamate (800 mg, 2.3 mmol) to afford the desired product (410 mg, 59%) as a brown solid: ESI MS m/z 451 [C₂₅H₂₆N₂O₄S + H]⁺.

Example 423

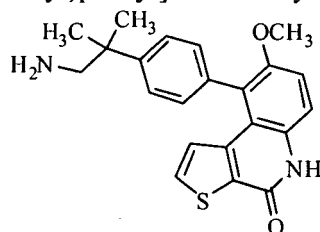
2-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]-2-methylpropanenitrile



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (380 mg, 1.2 mmol) was reacted with 2-methyl-2-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]propanenitrile (500 mg, 1.9 mmol) to afford the desired product (260 mg, 56%) as a brown solid: ESI MS m/z 375 [C₂₂H₁₈N₂O₂S + H]⁺.

Example 424

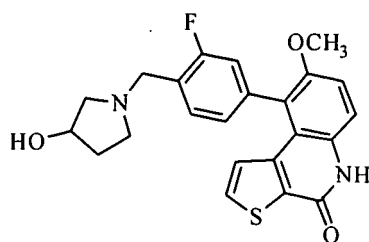
9-[4-(1-Amino-2-methylpropan-2-yl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following the procedure outlined for Example 265, 2-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]-2-methylpropanenitrile (250 mg, 0.67 mmol) was reacted with borane (1.0 M in THF, 10 mL, 10.0 mmol) to afford the desired product (100 mg, 40%) as a yellow solid: ESI MS m/z 379 [C₂₂H₂₂N₂O₂S + H]⁺.

Example 425

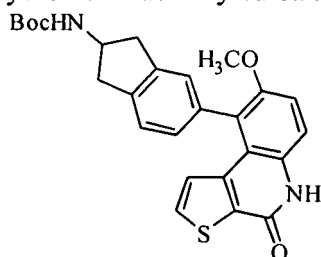
9-{3-Fluoro-4-[(3-hydroxypyrrolidin-1-yl)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (180 mg, 0.58 mmol) was reacted with 1-[2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzyl]pyrrolidin-3-ol (280 mg, 0.87 mmol) to afford the desired product (130 mg, 48%) as a brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.79–7.72 (m, 1H), 7.66 (d, J = 5.4 Hz, 1H), 7.58 (d, J = 9.1 Hz, 1H), 7.42 (d, J = 9.1 Hz, 1H), 7.33–7.21 (m, 2H), 6.09 (td, J = 5.3, 2.4 Hz, 1H), 4.75–4.53 (m, 3H), 3.91–3.66 (m, 4H), 3.66–3.34 (m, 3H), 2.55–2.44 (m, 1H), 2.28–2.15 (m, 1H), 2.14–2.04 (m, 1H).

Example 426

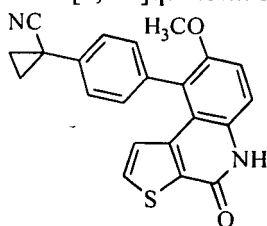
tert-Butyl 5-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2,3-dihydro-1H-inden-2-ylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.1 g, 3.6 mmol) was reacted with tert-butyl 5-bromo-2,3-dihydro-1H-inden-2-ylcarbamate (2.0 g, 5.6 mmol) to afford the desired product (250 mg, 15%) as a brown solid: ESI MS m/z 363 $[\text{C}_{26}\text{H}_{26}\text{N}_2\text{O}_4\text{S} + \text{H} - 100]^+$.

Example 427

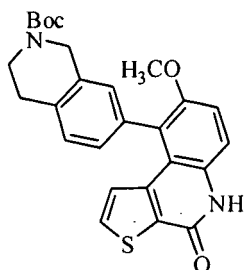
1-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]cyclopropanecarbonitrile



Following General Procedure B, 1-(4-bromophenyl)cyclopropanecarbonitrile (1.5 g, 7.1 mmol) was reacted with bis(pinacolato)diboron (2.7 g, 10 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.3 g, 4.2 mmol) to afford the desired product (378 mg, 29%) as a white solid: ESI MS m/z 373 $[\text{C}_{22}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$.

Example 428

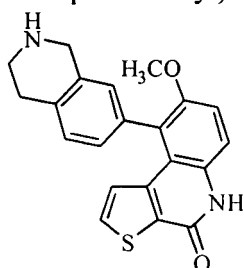
tert-Butyl 7-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-3,4-dihydroisoquinoline-2(1H)-carboxylate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1 g, 3.3 mmol) was reacted with tert-butyl 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroisoquinoline-2(1H)-carboxylate (1.8 g, 5.0 mmol) to afford the desired product (720 mg, 48%) as a brown solid: ESI MS m/z 463 $[C_{26}H_{26}N_2O_4S + H]^+$.

Example 429

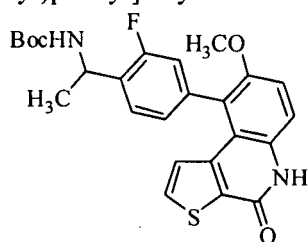
8-Methoxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one



Following General Procedure C, tert-Butyl 7-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-3,4-dihydroisoquinoline-2(1H)-carboxylate (260 mg, 0.53 mmol) was reacted with TFA (5 mL) afford the desired product (180 mg, 20%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.61–7.56 (m, 1H), 7.55 (dd, $J = 9.0, 3.2$ Hz, 1H), 7.44 (d, $J = 7.8$ Hz, 1H), 7.41–7.36 (m, 1H), 7.22 (d, $J = 7.8$ Hz, 1H), 7.16 (s, 1H), 6.13 (d, $J = 5.4$ Hz, 1H), 4.42 (s, 2H), 3.73 (s, 3H), 3.68–3.54 (m, 2H), 3.29–3.20 (m, 2H).

Example 430

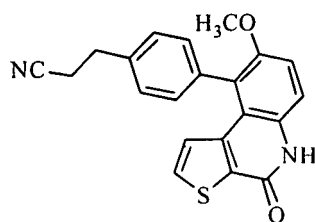
tert-Butyl 1-[2-Fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (800 mg, 2.6 mmol) was reacted with tert-butyl 1-[2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethylcarbamate (1.4 g, 3.9 mmol) to afford the desired product (480 mg, 40%) as a brown solid: ESI MS m/z 469 $[C_{25}H_{25}FN_2O_4S + H]^+$.

Example 431

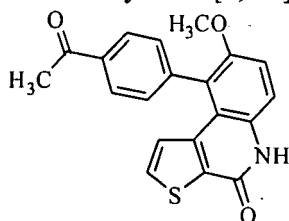
3-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propanenitrile



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (400 mg, 1.3 mmol) was reacted with 3-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]propanenitrile (600 mg, 1.9 mmol) to afford the desired product (320 mg, 69%) as a brown solid: ESI MS m/z 361 $[C_{21}H_{16}N_2O_2S + H]^+$.

Example 432

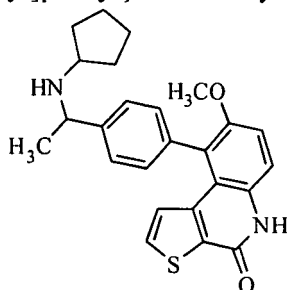
9-(4-Acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.0 g, 3.2 mmol) was reacted with 1-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethanone (1.2 g, 4.8 mmol) to afford the desired product (520 mg, 46%) as a brown solid: ^1H NMR (500 MHz, CD_3OD) δ 8.16 (d, J = 8.1 Hz, 2H), 7.61–7.54 (m, 2H), 7.45–7.39 (m, 3H), 6.05 (d, J = 5.4 Hz, 1H), 3.75 (s, 3H), 2.71 (s, 3H).

Example 433

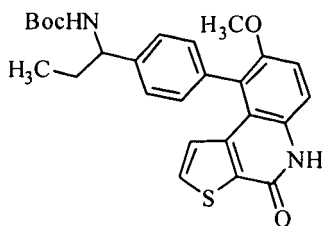
9-{4-[1-(Cyclopentylamino)ethyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following General Procedure E, N-[1-(4-bromophenyl)ethyl]cyclopentanamine (600 mg, 2.3 mmol) was reacted with bis(pinacolato)diboron (410 mg, 1.6 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (250 mg, 0.81 mmol) to afford the desired product (330 mg, 97%) as a brown solid: ^1H NMR (300 MHz, CD_3OD) δ 7.73–7.64 (m, 2H), 7.60–7.50 (m, 2H), 7.47–7.34 (m, 3H), 6.01 (d, J = 5.4 Hz, 1H), 4.57 (q, J = 6.8 Hz, 1H), 3.74 (s, 3H), 3.62–3.45 (m, 1H), 2.30–2.02 (m, 2H), 1.93–1.85 (m, 2H), 1.81 (d, J = 6.7 Hz, 3H), 1.77–1.51 (m, 4H).

Example 434

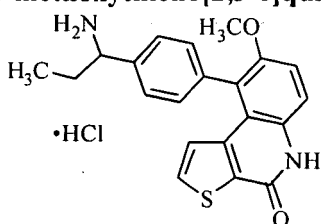
tert-Butyl 1-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.1 g, 3.7 mmol) was reacted with tert-butyl 1-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]propylcarbamate (2.0 g, 5.5 mmol) to afford the desired product (1.2 g, 68%) as a white solid: ESI MS m/z 465 [$C_{26}H_{28}N_2O_4S + H$] $^+$.

Example 337

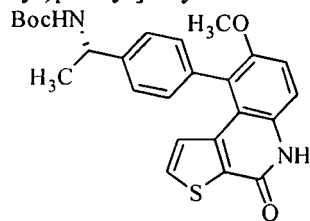
9-[4-(1-Aminopropyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure C, tert-butyl 1-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propylcarbamate (30 mg, 0.064 mmol) was reacted with TFA (2 mL) to afford the desired product (17 mg, 72%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.64–7.57 (m, 2H), 7.54 (d, $J = 2.0$ Hz, 1H), 7.53 (d, $J = 1.6$ Hz, 1H), 7.39–7.35 (m, 3H), 5.98 (d, $J = 5.4$ Hz, 1H), 4.32 (q, $J = 5.1$ Hz, 1H), 5.53 (s, 3H), 2.17–2.07 (m, 2H), 1.03 (t, $J = 7.4$ Hz, 3H); ESI MS m/z 365 [$C_{21}H_{20}N_2O_2S + H$] $^+$; HPLC >99% (AUC), $t_R = 9.47$ min.

Example 435

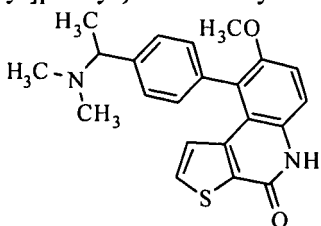
(S)-tert-Butyl 1-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (760 mg, 2.4 mmol) was reacted with (S)-tert-butyl 1-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethylcarbamate (1.3 g, 3.7 mmol) to afford the desired product (730 mg, 66%) as a light yellow solid: ESI MS m/z 451 [$C_{25}H_{26}N_2O_4S + H$] $^+$.

Example 436

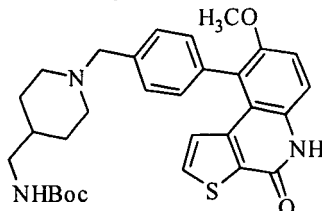
9-[4-[1-(Dimethylamino)ethyl]phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (1.5 g, 4.8 mmol) was reacted with 4-[1-(dimethylamino)ethyl]phenylboronic acid (1.5 g, 6.3 mmol) to afford the desired product (1.1 g, 58%) as a white solid: ESI MS m/z 379 [$C_{22}H_{22}N_2O_2S + H$] $^+$.

Example 139

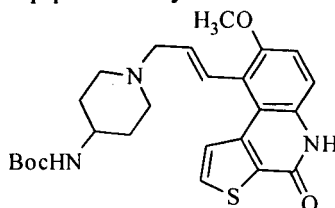
tert-Butyl {1-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl]piperidin-4-yl}methylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (110 mg, 0.31 mmol) was reacted with 4-({4-[(tert-butoxycarbonylamino)methyl]piperidin-1-yl}methyl)phenylboronic acid (80 mg, 0.26 mol) to afford the desired product (25 mg, 20%) as a yellow glass: ^1H NMR (500 MHz, CD_3OD) δ 7.69–7.66 (m, 2H), 7.57–7.54 (m, 2H), 7.41–7.38 (m, 3H), 5.96–5.95 (m, 1H), 4.48–4.44 (m, 2H), 3.75 (s, 3H), 3.70–3.64 (m, 2H), 3.27–2.91 (m, 4H), 2.25–1.95 (m, 2H), 1.57 (s, 1H), 1.52–1.42 (m, 10 H); ESI MS m/z 534 [$C_{30}H_{35}N_3O_4S + H$] $^+$; HPLC 97.6% (AUC), t_R = 14.10 min.

Example 437

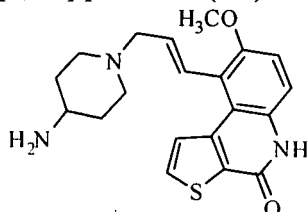
(E)-tert-Butyl 1-[3-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)allyl]piperidin-4-ylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (180 mg, 0.48 mmol) was reacted with (E)-tert-butyl 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)allyl]piperidin-4-ylcarbamate (100 mg, 0.32 mmol) to afford the desired product (86 mg, 57%) as a brown solid: ESI MS m/z 470 [$C_{25}H_{31}N_3O_4S + H$] $^+$.

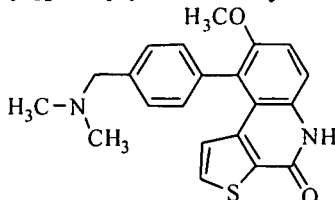
Example 152

(E)-9-[3-(4-Aminopiperidin-1-yl)prop-1-enyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one



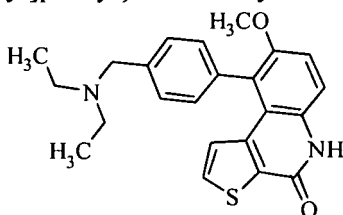
Following General Procedure C, (E)-tert-butyl 1-[3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)allyl]piperidin-4-ylcarbamate (40 mg, 0.085 mmol) was reacted with TFA (1 mL) to afford the desired product (15 mg, 86%) as a yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.94 (d, J = 5.3 Hz, 1H), 7.86 (d, J = 5.3 Hz, 1H), 7.34 (d, J = 9.1 Hz, 1H), 7.24 (d, J = 9.1 Hz, 1H), 7.06 (d, J = 16.0 Hz, 1H), 6.14–6.08 (m, 1H), 4.12 (d, J = 7.1 Hz, 2H), 3.84 (br s, 2H), 3.55

(br s, 1H), 3.26 (br s, 3H), 2.38 (d, $J = 13.3$ Hz, 2H), 2.12–2.07 (m, 2H), 1.35–1.31 (m, 1H), 0.96–0.90 (m, 1H); ESI MS m/z 370 [$C_{20}H_{23}N_3O_2S + H$] $^+$; HPLC 95.6% (AUC), $t_R = 6.78$ min.

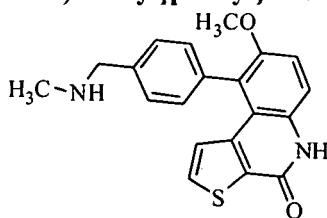
Example 164**9-{4-[(Dimethylamino)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one**

Following the procedure outlined for Example 460,

9-[4-(aminomethyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.27 mmol) was reacted with formaldehyde (37% in water, 20 mg, 0.67 mmol) to afford the desired product (45 mg, 47%): ^1H NMR (500 MHz, CD_3OD) δ 7.67 (d, $J = 8.2$ Hz, 2H), 7.58–7.54 (m, 2H), 7.42–7.38 (m, 3H), 5.96 (d, $J = 5.5$ Hz, 1H), 4.47 (s, 2H), 3.75 (s, 3H), 2.98 (s, 6H); ESI MS m/z 365 [$C_{21}H_{20}N_2O_2S + H$] $^+$; HPLC >99% (AUC), $t_R = 8.50$ min.

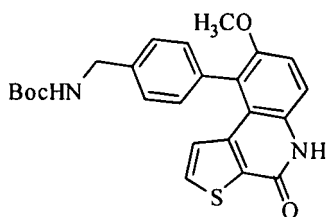
Example 438**9-{4-[(Diethylamino)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one**

Following General Procedure E, N-(4-bromobenzyl)-N-ethylethanamine (200 mg, 0.83 mmol) was reacted with bis(pinacolato)diboron (230 mg, 0.91 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (260 mg, 0.83 mmol) to afford the desired product (58 mg, 25%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.69 (d, $J = 8.2$ Hz, 2H), 7.60–7.54 (m, 2H), 7.46–7.43 (m, 2H), 7.41 (d, $J = 9.1$ Hz, 1H), 5.99 (d, $J = 5.4$ Hz, 1H), 4.50 (s, 2H), 3.75 (s, 3H), 3.41–3.32 (m, 4H), 1.44 (t, $J = 7.3$ Hz, 6H).

Example 188**8-Methoxy-9-{4-[(methylamino)methyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one**

Following General Procedure E, 1-(4-bromophenyl)-N-methylmethanamine (200 mg, 1.0 mmol) was reacted to bis(pinacolato)diboron (280 mg, 1.1 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (310 mg, 1.0 mmol) to afford the desired product (145 mg, 42%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.65 (d, $J = 8.1$ Hz, 2H), 7.58–7.52 (m, 2H), 7.42–7.35 (m, 3H), 6.02 (d, $J = 5.4$ Hz, 1H), 4.33 (s, 2H), 3.73 (s, 3H), 2.83 (s, 3H).

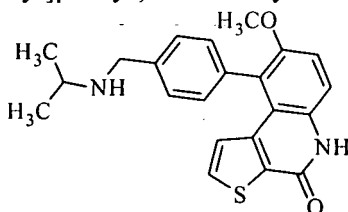
Example 439**tert-Butyl 4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate**



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.48 mmol) was reacted with 4-[(tert-butoxycarbonylamino)methyl]phenylboronic acid (180 mg, 0.73 mmol) to afford the desired product (180 mg, 83%) as a brown solid: ESI MS m/z 437 $[C_{24}H_{24}N_2O_4S + H]^+$.

Example 440

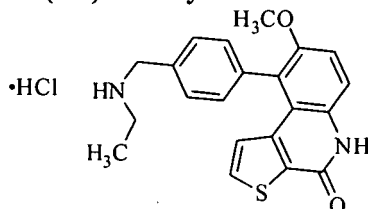
9-{4-[(Isopropylamino)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following General Procedure E, N-(4-bromobenzyl)propan-2-amine (200 mg, 0.88 mmol) was reacted with bis(pinacolato)diboron (240 mg, 0.96 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (270 mg, 0.88 mmol) to afford the desired product (190 mg, 57%) as a light brown solid: ^1H NMR (500 MHz, CD_3CD_2OD) δ 7.68–7.63 (m, 2H), 7.56–7.49 (m, 2H), 7.41–7.34 (m, 3H), 6.04 (dd, J = 5.4, 2.4 Hz, 1H), 4.33 (s, 2H), 3.72–3.67 (m, 3H), 3.56–3.50 (m, 1H), 1.45 (dd, J = 6.6, 2.2 Hz, 6H).

Example 269

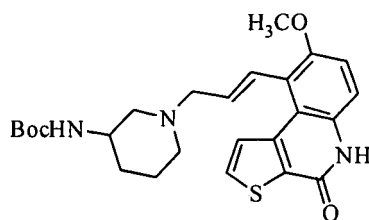
9-{4-[(Ethylamino)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure E, N-(4-bromobenzyl)ethanamine (300 mg, 1.4 mmol) was reacted with bis(pinacolato)diboron (390 mg, 1.5 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (430 mg, 1.4 mmol) to afford the desired product (160 mg, 31%) as a brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.67 (d, J = 8.1 Hz, 2H), 7.57–7.54 (m, 2H), 7.39–7.36 (m, 3H), 6.03 (d, J = 5.5 Hz, 1H), 4.34 (s, 2H), 3.72 (s, 3H), 3.23 (q, J = 7.3 Hz, 2H), 1.97 (s, 2H), 1.42 (t, J = 7.3 Hz, 3H); ESI MS m/z 365 $[C_{21}H_{20}N_2O_2S + H]^+$; HPLC >99% (AUC), t_R = 8.61 min.

Example 441

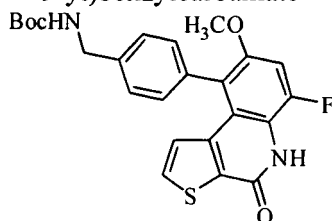
(E)-tert-Butyl 1-[3-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)allyl]piperidin-3-ylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (530 mg, 1.7 mmol) was reacted with (E)-tert-Butyl 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)allyl]piperidin-3-ylcarbamate (320 mg, 0.88 mmol) to afford the desired product (190 mg, 47%) as a light brown solid: ESI MS m/z 456 [C₂₄H₂₉N₃O₄S + H]⁺.

Example 442

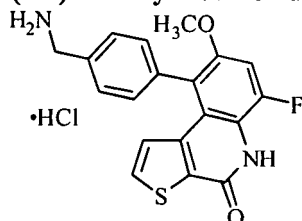
tert-Butyl 4-(6-Fluoro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate



Following General Procedure B, 9-bromo-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.50 mmol) was reacted with tert-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzylcarbamate (200 mg, 0.60 mmol) to afford the desired product (100 mg, 48%) as a brown solid: ESI MS m/z 455 [C₂₄H₂₃FN₂O₄S + H]⁺.

Example 257

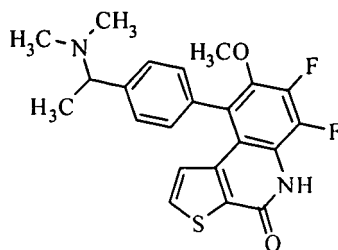
9-[4-(Aminomethyl)phenyl]-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure D-1, tert-butyl 4-(6-fluoro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (15 mg, 0.030 mmol) was reacted with HCl (2 N in diethyl ether, 1.5 mL) to afford the desired product (10 mg, 90%) as a white solid: ¹H NMR (500 MHz, CD₃OD) δ 7.63 (d, J = 8.1 Hz, 2H), 7.58 (d, J = 5.4 Hz, 1H), 7.36 (d, J = 8.1 Hz, 2H), 7.32 (d, J = 12.7 Hz, 1H), 6.04 (d, J = 5.4 Hz, 1H), 4.27 (s, 2H), 3.72 (s, 3H); ESI MS m/z 355 [C₁₉H₁₅FN₂O₂S + H]⁺; HPLC 99% (AUC), t_R = 10.64 min.

Example 443

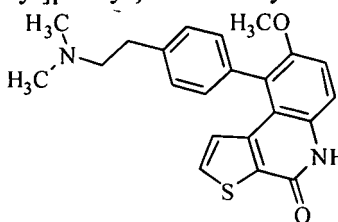
9-{4-[1-(Dimethylamino)ethyl]phenyl}-6,7-difluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following General Procedure B, 9-bromo-6,7-difluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.40 mmol) was reacted with 4-[1-(dimethylamino)ethyl]phenylboronic acid (120 mg, 0.50 mmol) to afford the desired product (55 mg, 35%) as an off-white solid: ESI MS m/z 415 $[C_{22}H_{20}F_2N_2O_2S + H]^+$.

Example 444

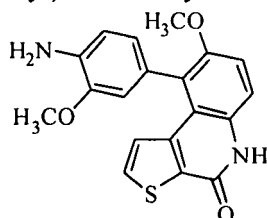
9-{4-[2-(Dimethylamino)ethyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following the procedure outlined for Example 460, 9-[4-(2-aminoethyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.30 mmol) was reacted with formaldehyde (100 mg, 1.0 mmol) to afford the desired product (85 mg, 84%) as a white solid: ^1H NMR (500 MHz, CD_3CN+D_2O) δ 7.54–7.50 (m, 2H), 7.42 (d, J = 7.8 Hz, 2H), 7.32 (d, J = 9.1 Hz, 1H), 7.13 (d, 7.8 Hz, 2H), 5.83 (d, J = 5.3 Hz, 1H), 3.69 (s, 3H), 3.43–3.40 (m, 2H), 3.16–3.13 (m, 2H), 2.92 (s, 6H).

Example 445

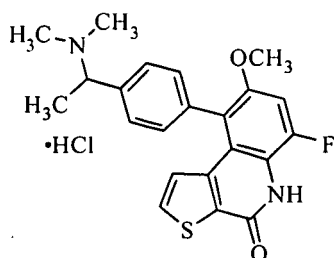
9-(4-Amino-3-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.30 mmol) was reacted with 2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)aniline (150 mg, 0.50 mmol) to afford the desired product (64 mg, 60%) as a brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.61 (d, J = 5.3 Hz, 1H), 7.58–7.53 (m, 2H), 7.41 (d, J = 5.3 Hz, 1H), 7.16 (s, 1H), 7.01 (d, J = 5.3 Hz, 1H), 6.09 (d, J = 5.1 Hz, 1H), 3.93 (s, 3H), 3.77 (s, 3H).

Example 222

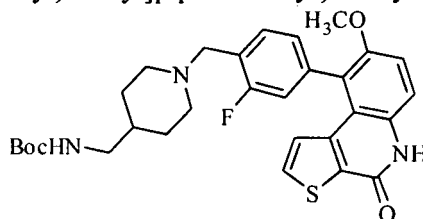
9-{4-[1-(Dimethylamino)ethyl]phenyl}-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure B, 9-bromo-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.30 mmol) was reacted with 4-[1-(dimethylamino)ethyl]phenylboronic acid (100 mg, 0.45 mmol) to afford the desired product (49 mg, 41%) as a white solid: ^1H NMR (500 MHz, DMSO- d_6) δ 10.28 (s, 1H), 7.77 (d, J = 5.4 Hz, 1H), 7.71 (q, J = 8.0 Hz, 2H), 7.46 (d, J = 12.8 Hz, 1H), 7.38 (d, J = 8.2 Hz, 2H), 5.69 (d, J = 5.4 Hz, 1H), 4.64 (t, J = 6.0 Hz, 1H), 3.71 (s, 3H), 2.82 (d, J = 4.2 Hz, 3H), 2.70 (d, J = 4.4 Hz, 3H), 1.74 (d, J = 6.8 Hz, 3H); ESI MS m/z 397 $[\text{C}_{22}\text{H}_{21}\text{FN}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC >99% (AUC), t_R = 9.85 min.

Example 446

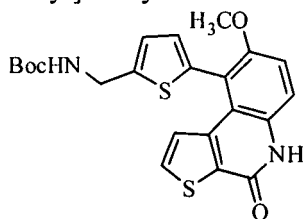
tert-Butyl {1-[2-Fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl]piperidin-4-yl} methylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.30 mmol) was reacted with tert-butyl {1-[2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzyl]piperidin-4-yl} methylcarbamate (150 mg, 0.36 mmol) to afford the desired product (81 mg, 49%) as a yellow solid: ESI MS m/z 552 $[\text{C}_{30}\text{H}_{34}\text{FN}_3\text{O}_4\text{S} + \text{H}]^+$.

Example 447

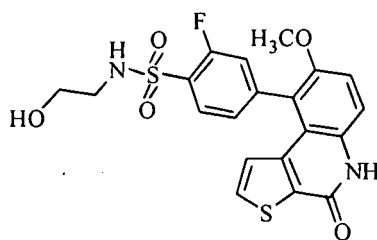
tert-Butyl [5-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)thiophen-2-yl]methylcarbamate



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (150 mg, 0.48 mmol) was reacted with 5-[(tert-butoxycarbonylamino)methyl]thiophen-2-ylboronic acid (130 mg, 0.53 mmol) to afford the desired product (30 mg, 18%) as a off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.74 (d, J = 5.4 Hz, 1H), 7.56 (d, J = 9.1 Hz, 1H), 7.36 (d, J = 9.1 Hz, 1H), 6.49 (d, J = 3.1 Hz, 1H), 6.40 (br s, 1H), 6.01 (d, J = 5.4 Hz, 1H), 4.27 (s, 2H), 3.82 (s, 3H), 1.39 (s, 9H).

Example 448

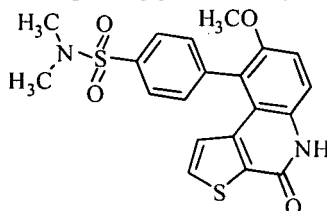
2-Fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide



Following General Procedure E, 4-bromo-2-fluoro-N-(2-hydroxyethyl)benzenesulfonamide (3.30 mg, 1.1 mmol) was reacted with bis(pinacolato)diborane (300 mg, 1.2 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (310 mg, 1.0 mmol) to afford the desired product (68 mg, 13%) as an off-white solid: ^1H NMR (300 MHz, DMSO- d_6) δ 11.95 (s, 1H), 8.04 (t, J = 5.8 Hz, 1H), 7.93 (t, J = 7.8 Hz, 1H), 7.93 (d, J = 5.4 Hz, 1H), 7.57 (d, J = 9.1 Hz, 1H), 7.49–7.43 (m, 2H), 7.30 (dd, J = 8.0, 1.5 Hz, 1H), 5.89 (d, J = 5.4 Hz, 1H), 4.78 (t, J = 5.6 Hz, 1H), 3.72 (s, 3H), 3.46 (q, J = 6.2 Hz, 2H), 3.05 (m, 2H).

Example 449

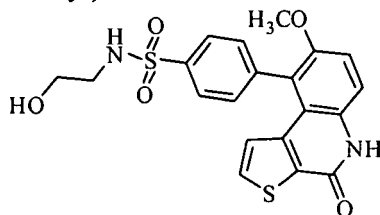
4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.32 mmol) was reacted with N,N-dimethyl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzenesulfonamide (110 mg, 0.35 mmol) to afford the desired product (33 mg, crude) as a brown solid: ESI MS m/z 415 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$.

Example 450

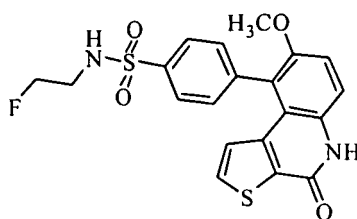
N-(2-Hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide



Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (500 mg, 1.5 mmol) was reacted with N-(2-hydroxyethyl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzenesulfonamide (450 mg, 1.5 mmol) to afford the desired product (130 mg, 20%) as an off-white solid: ^1H NMR (300 MHz, DMSO- d_6) δ 11.93 (s, 1H), 7.94 (d, J = 8.4 Hz, 2H), 7.78–7.74 (m, 2H), 7.58–7.42 (m, 4H), 5.74 (d, J = 5.4 Hz, 1H), 4.78 (t, J = 5.6 Hz, 1H), 3.71 (s, 3H), 3.45 (q, J = 6.1 Hz, 2H), 2.93 (q, J = 6.2 Hz, 2H).

Example 333

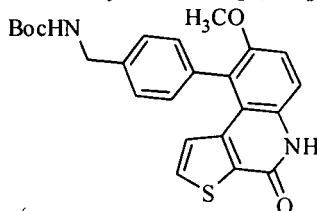
N-(2-Fluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide



To a solution of N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide (120 mg, 0.28 mmol) in methylene chloride (10 mL) and THF (6 mL) under nitrogen at -78°C was added DAST (89 mg, 0.56 mmol) and the reaction mixture was stirred at -78°C for 2 h and warmed to room temperature and stirred for 16 h. The reaction mixture was concentrated and the residue was purified by column chromatography (silica gel, ethyl acetate/hexanes gradient). The resulting crude residue was triturated in methylene chloride and filtered to afford the desired product (90 mg, 75%) as a off-white solid: ^1H NMR (500 MHz, DMSO- d_6) δ 11.92 (s, 1H), 8.10 (t, $J = 5.9$ Hz, 1H), 7.95 (d, $J = 8.4$ Hz, 2H), 7.76 (d, $J = 5.4$ Hz, 1H), 7.56 (d, $J = 9.1$ Hz, 1H), 7.51 (d, $J = 8.4$ Hz, 2H), 7.43 (d, $J = 9.2$ Hz, 1H), 5.75 (d, $J = 5.4$ Hz, 1H), 4.51 (t, $J = 4.9$ Hz, 1H), 4.42 (t, $J = 4.9$ Hz, 1H), 3.71 (s, 3H), 3.24 (q, $J = 5.2$ Hz, 1H), 3.19 (q, $J = 5.2$ Hz, 1H); ESI MS m/z 433 [$\text{C}_{20}\text{H}_{17}\text{FN}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC 93.4% (AUC), $t_R = 15.64$ min.

Example 451

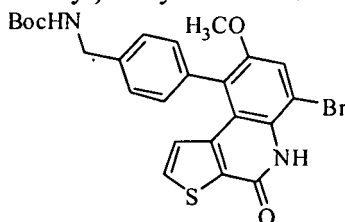
tert-Butyl 4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate



Following General Procedure E, tert-butyl 4-bromobenzylcarbamate (2.9 g, 10 mmol) was reacted with bis(pinacolato)diborane (2.8 g, 11 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (2.8 g, 9.0 mmol) to afford the desired product (2.7 g, 68%) as a brown solid: ESI MS m/z 437 [$\text{C}_{24}\text{H}_{24}\text{N}_2\text{O}_4\text{S} + \text{H}$] $^+$.

Example 452

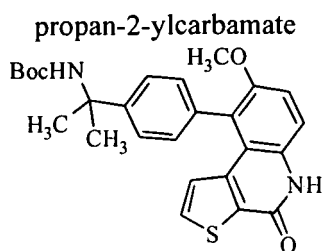
tert-Butyl 4-(6-Bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate



To a solution of tert-butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (29 mg, 0.055 mmol) in DMF (1 mL) was added N-bromosuccinimide (12 mg, 0.066 mmol) and the reaction was stirred at room temperature for 1 h and heated at 50°C for 2 h. The reaction mixture was concentrated and the residue was purified by preparatory TLC (silica, methanol/methylene chloride gradient) to afford the desired product (10 mg, 35%): ESI MS m/z 516 [$\text{C}_{24}\text{H}_{23}\text{BrN}_2\text{O}_4\text{S} + \text{H}$] $^+$.

Example 453

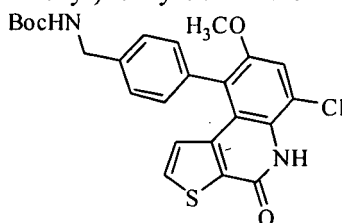
tert-Butyl 2-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]



Following General Procedure E, tert-butyl 2-(4-bromophenyl)propan-2-ylcarbamate (160 mg, 0.50 mmol) was reacted with bis(pinacolato)diboron (140 mg, 0.55 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (140 mg, 0.45 mmol) to afford the desired product (110 mg, 47%) as a brown solid: ESI MS m/z 465 $[C_{26}H_{28}N_2O_4S + H]^+$.

Example 454

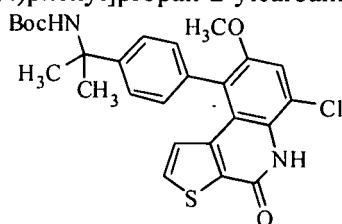
tert-Butyl 4-(6-Chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate



A solution of tert-butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (45 mg, 0.10 mmol) and N-chlorosuccinimide (17 mg, 0.13 mmol) in DMF (1 mL) was heated at 50 °C for 3 h. The reaction mixture was concentrated under reduced pressure. The residue was purified by preparatory HPLC (water/acetonitrile w 0.05% TFA gradient) to afford the desired product (15 mg, 32%) as a brown solid: ESI MS m/z 471 $[C_{24}H_{23}ClN_2O_4S + H]^+$.

Example 455

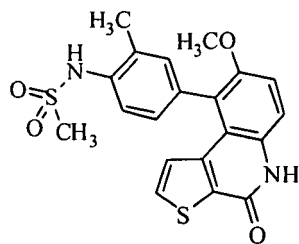
tert-Butyl 2-[4-(6-Chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propan-2-ylcarbamate



A solution of tert-butyl 2-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propan-2-ylcarbamate (130 mg, 0.27 mmol) and N-chlorosuccinimide (47 mg, 0.35 mmol) in DMF (3 mL) was heated at 70 °C for 2 h. The reaction mixture was cooled to room temperature, quenched with water and the aqueous layer was extracted with methylene chloride/methanol (9:1). The combined organic layers were dried over sodium sulfate, filtered, concentrated and the residue was purified by column chromatography (silica, methanol/methylene chloride gradient) to afford the desired product (42 mg, 31%) as a brown solid: ESI MS m/z 500 $[C_{26}H_{27}ClN_2O_4S + H]^+$.

Example 456

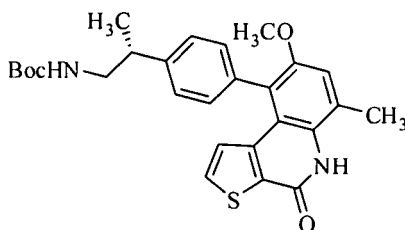
N-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl]methanesulfonamide



Following General Procedure E, N-(4-bromo-2-methylphenyl)methanesulfonamide (130 mg, 0.50 mmol) was reacted with bis(pinacolato)diboron (140 mg, 0.55 mmol) to afford the crude boronic ester which was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (140 mg, 0.45 mmol) to afford the desired product (51 mg, 27%) as a brown solid: ESI MS m/z 415 $[C_{20}H_{18}N_2O_4S_2 + H]^+$.

Example 599

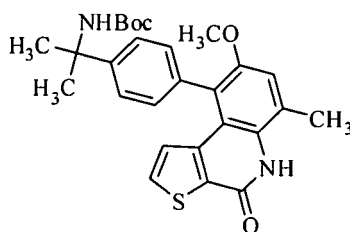
(R)-tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure E, (R)-tert-butyl 2-(4-bromophenyl)propylcarbamate (60 mg, 0.20 mmol) was reacted with 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (60 mg, 0.20 mmol) to afford the desired product (52 mg, 62%) as a brown solid: ESI MS m/z 479 $[C_{27}H_{30}N_2O_4S]^+$.

Example 600

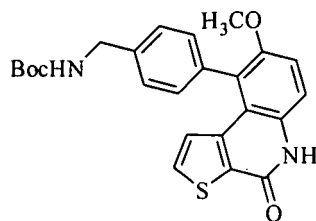
tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propan-2-ylcarbamate



Following General Procedure E, tert-butyl 2-(4-bromophenyl)propan-2-ylcarbamate (0.44 g, 1.4 mmol) was reacted with 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (0.45 g, 1.4 mmol) to afford the desired product (0.53 g, 79%) as a brown solid: ESI MS m/z 479 $[C_{27}H_{30}N_2O_4S + H]^+$.

Example 601

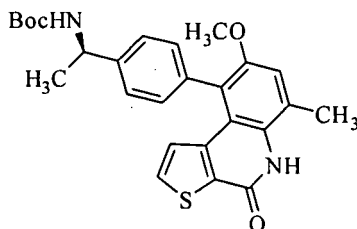
tert-butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate



Following General Procedure E, *tert*-butyl 4-bromobenzylcarbamate (0.78 g, 2.7 mmol) was reacted with 9-bromo-8-methoxythieno[2,3-*c*]quinolin-4(5H)-one (0.76 g, 2.5 mmol) to afford the desired product (0.66 g, 62%) as a brown solid: ESI MS *m/z* 437 [C₂₄H₂₄N₂O₄S + H]⁺.

Example 602

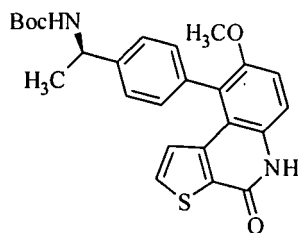
(*R*)-*tert*-Butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)ethylcarbamate



Following General Procedure E, (*R*)-*tert*-butyl 1-(4-bromophenyl)ethylcarbamate (60 mg, 0.20 mmol) was reacted with 9-bromo-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one (60 mg, 0.20 mmol) to afford the desired product (52 mg, 62%) as a brown solid:

Example 603

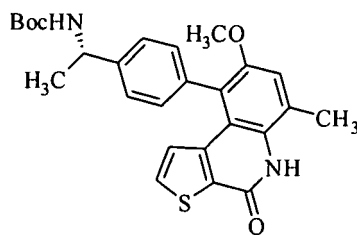
(*R*)-*tert*-Butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)ethylcarbamate



Following General Procedure E, (*R*)-*tert*-butyl 1-(4-bromophenyl)ethylcarbamate (1.5 g, 5 mmol) was reacted with 9-bromo-8-methoxythieno[2,3-*c*]quinolin-4(5H)-one (1.4 g, 4.6 mmol) to afford the desired product (0.90 g, 43%) as a brown solid: ESI MS *m/z* 451 [C₂₅H₂₆N₂O₄S + H]⁺.

Example 604

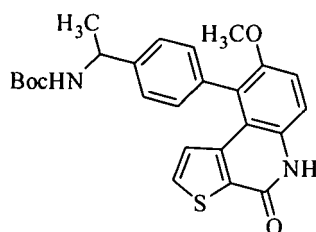
(*S*)-*tert*-Butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)ethylcarbamate



Following General Procedure E, (*S*)-*tert*-butyl 1-(4-bromophenyl)ethylcarbamate (60 mg, 0.20 mmol) was reacted with 9-bromo-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one (60 mg, 0.20 mmol) to afford the desired product (52 mg, 62%) as a brown solid: ESI MS *m/z* 465 [C₂₆H₂₈N₂O₄S + H]⁺.

Example 605

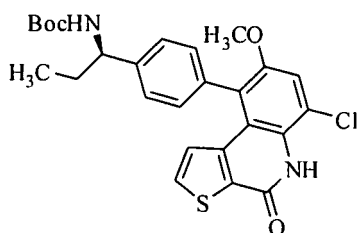
tert-Butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl) phenyl)ethylcarbamate



Following General Procedure E, *tert*-butyl 1-(4-bromophenyl)ethylcarbamate (3.0 g, 10 mmol) was reacted with 9-bromo-8-methoxythieno[2,3-*c*]quinolin-4(5H)-one (2.8 g, 9.0 mmol) to afford the desired product (2.0 g, 50%) as a brown solid: ESI MS *m/z* 451 [C₂₅H₂₆N₂O₄S + H]⁺.

Example 606

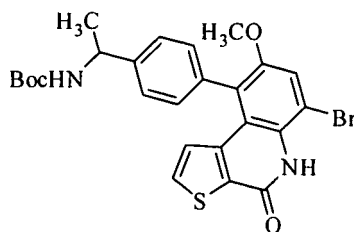
(*R*)-*tert*-Butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl) phenyl)propylcarbamate



Following General Procedure H, (*R*)-*tert*-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (0.67 g, 1.5 mmol) was reacted with *N*-chlorosuccinimide (0.29 g, 1.6 mmol) in DMF (10 mL) to afford the desired product (0.28 g, 35%) as a brown solid: ESI MS *m/z* 499 [C₂₆H₂₇ClN₂O₄S + H]⁺.

Example 607

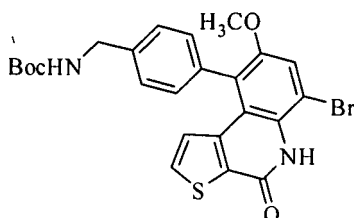
tert-Butyl 1-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl) phenyl)ethylcarbamate



Following General Procedure I, *tert*-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (1.0 g, 2.2 mmol) was reacted with *N*-bromosuccinimide (0.45 g, 2.5 mmol) in DMF (10 mL) to afford the desired product (0.35 g, 29%) as a brown solid: ESI MS *m/z* 529 [C₂₅H₂₅BrN₂O₄S + H]⁺.

Example 608

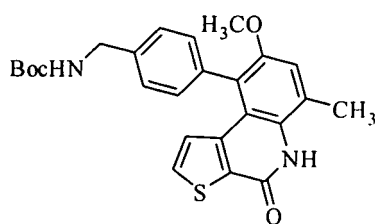
tert-Butyl 4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) benzylcarbamate



Following General Procedure I, *tert*-butyl 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (0.66 g, 1.5 mmol) was reacted with *N*-bromosuccinimide (0.30 g, 1.7 mmol) in DMF (10 mL) to afford the desired product (0.39 g, 51%) as a brown solid: ESI MS *m/z* 515 [C₂₄H₂₃BrN₂O₄S + H]⁺.

Example 609

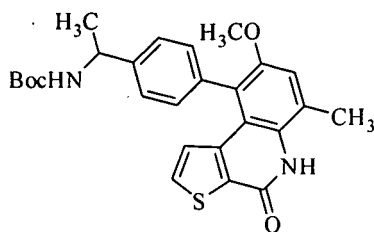
tert-Butyl 4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) benzylcarbamate



Following General Procedure J, *tert*-butyl 4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (52 mg, 0.10 mmol) was reacted with trimethylboroxine (13 mg, 0.10 mmol) to afford the desired product (43 mg, 95%) as a grey solid: ESI MS *m/z* 451 [C₂₅H₂₆N₂O₄S + H]⁺.

Example 610

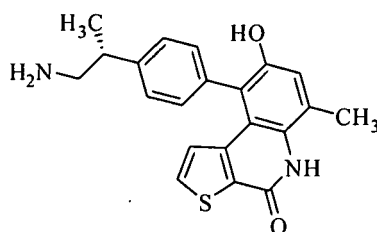
tert-Butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate



Following General Procedure J, *tert*-butyl 1-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)ethylcarbamate (32 mg, 0.060 mmol) was reacted with trimethylboroxine (8 mg, 0.060 mmol) to afford the desired product (20 mg, 61%) as a grey solid: ESI MS *m/z* 465 [$C_{26}H_{28}N_2O_4S + H$]⁺.

Example 1168

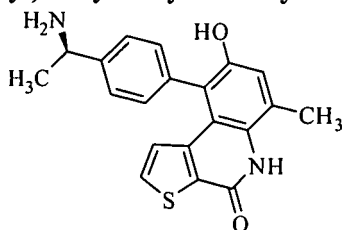
(*R*)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-*c*]quinolin-4(5*H*)-one



Following General Procedure F, (*R*)-*tert*-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (43 mg, 0.095 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (18 mg, 51%) as a grey solid: ¹H NMR (300 MHz, DMSO-*d*₆) δ 10.75 – 10.65 (m, 1H), 9.14 (s, 1H), 8.09 (s, 3H), 7.68 (d, *J* = 5.4 Hz, 1H), 7.43 (d, *J* = 8.4 Hz, 2H), 7.21 (d, *J* = 8.3 Hz, 2H), 7.05 (s, 1H), 5.86 (d, *J* = 5.4 Hz, 1H), 3.27 – 2.95 (m, 3H), 1.38 (d, *J* = 6.6 Hz, 3H); ESI MS *m/z* 365 [$C_{21}H_{20}N_2O_2S + H$]⁺; HPLC 98.6%, *t*_R = 8.42 min.

Example 1122

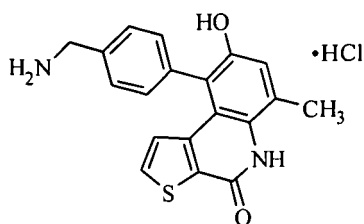
(*R*)-9-(4-(1-Aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-*c*]quinolin-4(5*H*)-one



Following General Procedure F, (*R*)-*tert*-butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)ethylcarbamate (43 mg, 0.095 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (18 mg, 51%) as a grey solid: ¹H NMR (300 MHz, DMSO-*d*₆) δ 10.72 (s, 1H), 8.52 (s, 3H), 7.65 (dd, *J* = 13.3, 6.8 Hz, 3H), 7.30 (d, *J* = 8.2 Hz, 2H), 7.06 (s, 1H), 5.87 (d, *J* = 5.4 Hz, 1H), 4.64 – 4.45 (m, 1H), 2.50 (s, 3H), 1.63 (d, *J* = 6.8 Hz, 3H); ESI MS *m/z* 480 [$C_{27}H_{33}N_3O_3S + H$]⁺; HPLC 98.6%, *t*_R = 8.42 min.

Example 1212

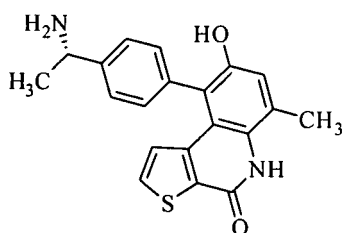
9-(4-(Aminomethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (43 mg, 0.095 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (18 mg, 51%) as a grey solid: ^1H NMR (500 MHz, CD_3OD) δ 7.62 (d, J = 8.1 Hz, 2H), 7.53 (d, J = 5.4 Hz, 1H), 7.39 (d, J = 8.0 Hz, 2H), 7.07 (s, 1H), 6.10 (d, J = 5.4 Hz, 1H), 4.26 (s, 1H), 2.57 (s, 3H); ESI MS m/z 335 [$\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}_2\text{S} - \text{H}$] $^-$; HPLC 96.7%, t_R = 7.99 min.

Example 1225

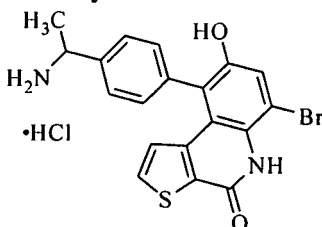
(S)-9-(4-(1-Aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one



Following General Procedure F, (S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (52 mg, 0.11 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (20 mg, 46%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.62 (d, J = 7.4 Hz, 2H), 7.54 (d, J = 5.4 Hz, 1H), 7.39 (d, J = 7.4 Hz, 2H), 7.07 (s, 1H), 6.09 (d, J = 5.4 Hz, 1H), 4.61 (q, J = 6.9 Hz, 1H), 2.57 (s, 3H), 1.76 (d, J = 6.9 Hz, 3H); ESI MS m/z 351 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC > 99%, t_R = 8.40 min.

Example 1032

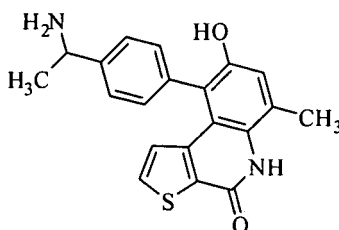
9-(4-(1-Aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride



Following General Procedure F, tert-butyl 1-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (17 mg, 0.032 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (8 mg, 55%) as a light yellow solid: ^1H NMR (500 MHz, MeOD) δ 7.62 (d, J = 7.4 Hz, 2H),

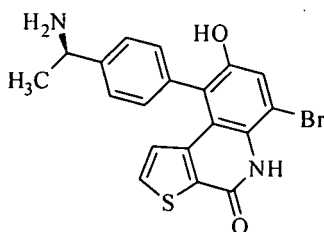
7.54 (d, $J = 5.4$ Hz, 1H), 7.42 – 7.37 (m, 2H), 7.07 (d, $J = 0.7$ Hz, 1H), 6.09 (d, $J = 5.4$ Hz, 1H), 4.67 – 4.56 (m, 1H), 2.57 (s, 3H), 1.76 (d, $J = 6.9$ Hz, 3H); ESI MS m/z 415 [$C_{19}H_{15}BrN_2O_2S + H$] $^+$; HPLC 95.0%, $t_R = 12.16$ min.

5

Example 1066**9-(4-(1-Aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one**

10 Following General Procedure F,
9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (20 mg, 0.043 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (10 mg, 60%) as a light grey solid: ^1H NMR (500 MHz, MeOD) δ 7.62 (d, $J = 7.4$ Hz, 2H), 7.54 (d, $J = 5.4$ Hz, 1H), 7.42 – 7.37 (m, 2H), 7.07 (d, $J = 0.7$ Hz, 1H),
15 6.09 (d, $J = 5.4$ Hz, 1H), 4.67 – 4.56 (m, 1H), 2.57 (s, 3H), 1.76 (d, $J = 6.9$ Hz, 3H); ESI MS m/z 351 [$C_{20}H_{18}N_2O_2S + H$] $^+$; HPLC > 99%, $t_R = 8.40$ min.

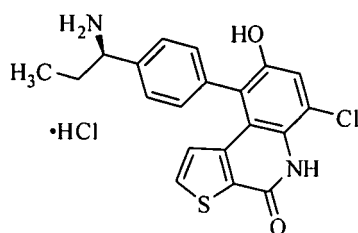
20

Example 1123**(R)-9-(4-(1-Aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one**

25 Following General Procedure F, (R)-tert-butyl 1-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (24 mg, 0.045 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (18 mg, 88%) as a light grey solid: ^1H NMR (500 MHz, MeOD) δ 7.64 (dd, $J = 10.4, 3.5$ Hz, 3H), 7.61 (d, $J = 5.4$ Hz, 1H), 7.47 (s, 1H), 7.42 (d, $J = 7.5$ Hz, 2H), 6.07 (d, $J = 5.4$ Hz, 1H),
30 4.65 – 4.59 (m, 1H), 1.76 (d, $J = 6.9$ Hz, 3H); ESI MS m/z 415 [$C_{19}H_{15}BrN_2O_2S + H$] $^+$; HPLC 97.0%, $t_R = 8.74$ min.

Example 1159**(R)-9-(4-(1-Aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride**

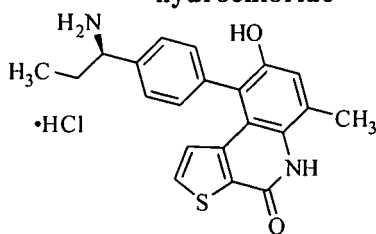
35



Following General Procedure F, (R)-tert-butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (30 mg, 0.063 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (22 mg, 87%) as a light yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.63 – 7.56 (m, 2H), 7.53 (d, J = 5.4 Hz, 1H), 7.40 (t, J = 6.6 Hz, 3H), 7.08 (s, 1H), 6.04 (d, J = 5.4 Hz, 1H), 4.31 (dd, J = 9.2, 5.9 Hz, 1H), 2.57 (s, 3H), 2.21 – 2.01 (m, 2H), 1.03 (t, J = 7.4 Hz, 3H); ESI MS m/z 383 [$\text{C}_{20}\text{H}_{17}\text{ClN}_2\text{O}_2\text{S} - \text{H}$] $^-$; HPLC 96.9%, t_R = 8.69 min.

Example 1157

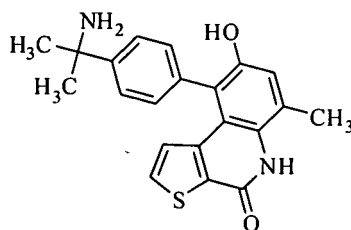
(R)-9-(4-(1-Aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride



Following General Procedure F, (R)-tert-butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (30 mg, 0.063 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (22 mg, 87%) as a light grey solid: ^1H NMR (500 MHz, CD_3OD) δ 7.63 – 7.56 (m, 2H), 7.53 (d, J = 5.4 Hz, 1H), 7.40 (t, J = 6.6 Hz, 3H), 7.08 (s, 1H), 6.04 (d, J = 5.4 Hz, 1H), 4.31 (dd, J = 9.2, 5.9 Hz, 1H), 2.57 (s, 3H), 2.21 – 2.01 (m, 2H), 1.03 (t, J = 7.4 Hz, 3H); ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC > 99%, t_R = 8.69 min.

Example 1330

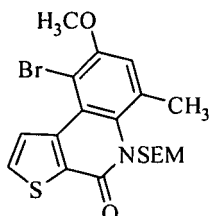
9-(4-(2-Aminoethan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one



Following General Procedure F, (S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (52 mg, 0.11 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (20 mg, 46%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.68 (d, J = 8.4 Hz, 2H), 7.54 (d, J = 5.4 Hz, 1H), 7.41 (d, J = 8.4 Hz, 2H), 7.07 (s, 1H), 6.08 (d, J = 5.4 Hz, 1H), 2.57 (s, 3H), 1.86 (s, 6H); ESI MS m/z 363 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} - \text{H}$] $^-$; HPLC 98.7%, t_R = 8.51 min.

Example 611 982

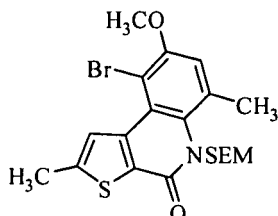
9-bromo-8-methoxy-6-methyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-c]quinolin-4(5H)-one



- To a suspension of 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (2.2 g, 6.8 mmol) in a mixture of DMF (15 mL) and THF (15 mL) at 0 °C was added sodium hydride (60%, 0.54 g, 13.6 mmol). The reaction mixture was stirred at 0 °C for 30 min before (2-(chloromethoxy)ethyl)trimethylsilane (3.4 g, 20 mmol) was added. The resulting mixture was stirred at rt overnight and then poured into ice-water (50 mL). The resulting precipitate was filtered and purified by column chromatography (silica, heptane/ethyl acetate) to afford the desired product (2.7 g, 87%) as a light yellow solid: ESI MS m/z 454 [C₁₉H₂₄BrNO₃SSi + H]⁺.

Example 612

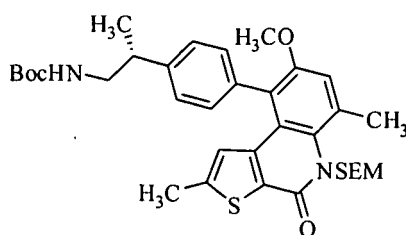
9-Bromo-8-methoxy-2,6-dimethyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-c]quinolin-4(5H)-one



- To a stirred solution of diisopropylamine (85 µL, 0.6 mmol) in THF (2.5 mL) at -78 °C was added n-BuLi (2.5 M, 0.24 mL, 0.60 mmol) and the reaction mixture was stirred at 0 °C for 10 min then cooled to -78 °C. A solution of 9-bromo-8-methoxy-6-methyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-c]quinolin-4(5H)-one (0.23 g, 0.50 mmol) in THF (1 mL) was added dropwise and the reaction mixture was stirred at -78 °C for 30 min. Iodomethane (93 µL, 1.5 mmol) was added and the reaction mixture was stirred at -78 °C for 2 h and quenched by the addition of satd. aq. ammonium chloride and extracted with dichloromethane. The organics were dried over Na₂SO₄, filtered, concentrated in vacuo and the residue was purified by column chromatography (silica, heptane/ethyl acetate) to afford the desired product (0.13 g, 55%) as a white solid: ESI MS m/z 468 [C₂₀H₂₆BrNO₃SSi + H]⁺.

Example 613

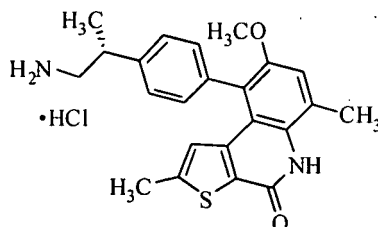
(R)-tert-Butyl 2-(4-(8-methoxy-2,6-dimethyl-4-oxo-5-((2-(trimethylsilyl)ethoxy)methyl)-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure B, (*R*)-*tert*-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (0.12 g, 0.33 mmol) was reacted with 9-bromo-8-methoxy-2,6-dimethyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-*c*]quinolin-4(5*H*)-one (0.12 g, 0.33 mmol) to afford the desired product (78 mg, 45%) as a solid: ESI MS *m/z* 623 [$C_{34}H_{46}N_2O_5SSi + H$]⁺.

Example 1341

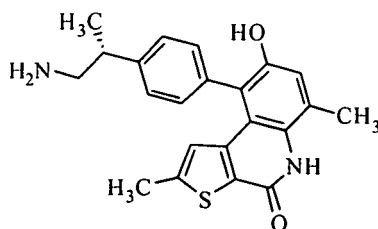
(*R*)-9-(4-(1-Aminopropan-2-yl)phenyl)-8-methoxy-2,6-dimethylthieno[2,3-*c*]quinolin-4(5*H*)-one hydrochloride



To a solution of (*R*)-*tert*-butyl 2-(4-(8-methoxy-2,6-dimethyl-4-oxo-5-((2-(trimethylsilyl)ethoxy)methyl)-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (24 mg, 0.039 mmol) in CH_2Cl_2 (1 mL) at rt was added trifluoroacetic acid (1.0 mL) and the reaction was stirred at that temperature for 2 h. The mixture was concentrated and the residue was dissolved in methanol (2 mL) and treated with NH_4OH (2 mL). The resulting mixture was stirred at rt for 2 h and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired fractions were combined, concentrated and the residue was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product (5 mg, 30%) as a hydrochloride salt: ¹H NMR (500 MHz, CD_3OD) δ 7.52 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.47 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.32 (s, 1H), 7.31 – 7.22 (m, 2H), 5.30 (d, *J* = 2.7 Hz, 1H), 3.29 – 3.18 (m, 3H), 2.63 (s, 3H), 1.47 (d, *J* = 6.1 Hz, 3H); ESI MS *m/z* 392 [$C_{23}H_{24}N_2O_2S + H$]⁺.

Example 1340

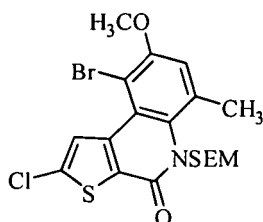
(*R*)-9-(4-(1-Aminopropan-2-yl)phenyl)-8-hydroxy-2,6-dimethylthieno[2,3-*c*]quinolin-4(5*H*)-one



To a solution of (R)-tert-butyl 2-(4-(8-methoxy-2,6-dimethyl-4-oxo-5-((2-(trimethylsilyl)ethoxy)methyl)-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (40 mg, 0.064 mmol) in CH₂Cl₂ (1 mL) at 0 °C was added BBr₃ (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) and the reaction was stirred at that temperature for 1 h and quenched by pouring onto water or ice-water. The resulting mixture was concentrated and the residue was dissolved in methanol (2 mL) and treated with NH₄OH (2 mL). The resulting mixture was stirred at rt for 2 h and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired fractions were combined, concentrated and the residue was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt: ¹H NMR (500 MHz, CD₃OD) δ 7.55 (dd, *J* = 7.9, 1.9 Hz, 1H), 7.45 (dd, *J* = 7.7, 1.9 Hz, 1H), 7.34 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.28 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.06 (d, *J* = 0.7 Hz, 1H), 5.70 (d, *J* = 1.1 Hz, 1H), 3.29 – 3.13 (m, 3H), 2.55 (s, 3H), 2.30 (d, *J* = 1.0 Hz, 3H), 1.50 (d, *J* = 6.5 Hz, 3H); ESI MS *m/z* 378 [C₂₂H₂₂N₂O₂S + H]⁺.

Example 614

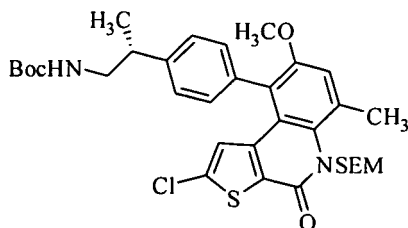
9-Bromo-2-chloro-8-methoxy-6-methyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-c]quinolin-4(5H)-one



To a stirred solution of diisopropylamine (85 μL, 0.6 mmol) in THF (2.5 mL) at –78 °C was added *n*-BuLi (2.5M, 0.24 mL, 0.6 mmol). The resulting mixture was stirred at 0 °C for 10 min and then cooled at –78 °C. A solution of 9-bromo-8-methoxy-6-methyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-c]quinolin-4(5H)-one (0.23 g, 0.50 mmol) in THF (1 mL) was added dropwise and the resulting mixture was stirred at –78 °C for 30 min. Hexachloroethane (0.24 g, 1.0 mmol) was added dropwise and the mixture was stirred at –78 °C for 2 h and allowed to warm to rt. The reaction was quenched by adding saturated ammonium chloride and extracted with dichloromethane (2×). The combined extracts were dried over Na₂SO₄, filtered and concentrated in vacuo. The residue was purified by column chromatography (heptane/ethyl acetate) to afford the desired product (0.13 g, 52%) as a white solid: ESI MS *m/z* 488 [C₁₉H₂₃BrClNO₃SSi + H]⁺.

Example 615

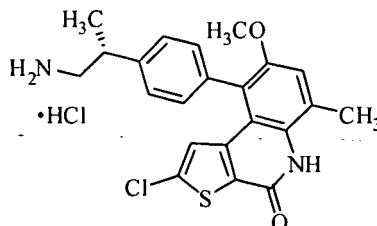
(R)-tert-Butyl 2-(4-(2-chloro-8-methoxy-6-methyl-4-oxo-5-((2-(trimethylsilyl)ethoxy)methyl)-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



Following General Procedure E, (*R*)-*tert*-butyl 2-(4-bromophenyl)propylcarbamate (97 mg, 0.31 mmol) was reacted with 9-bromo-8-methoxy-2,6-dimethyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-*c*]quinolin-4(5*H*)-one (0.10 g, 0.21 mmol) to afford the desired product (62 mg, 46%) as a solid: ESI MS *m/z* 643 [$C_{33}H_{43}ClN_2O_5SSi + H$]⁺.

Example 1354

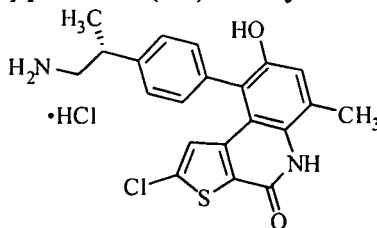
(*R*)-9-(4-(1-Aminopropan-2-yl)phenyl)-2-chloro-8-methoxy-6-methylthieno[2,3-*c*]quinolin-4(5*H*)-one hydrochloride



To a solution of (*R*)-*tert*-butyl 2-(4-(2-chloro-8-methoxy-6-methyl-4-oxo-5-((2-(trimethylsilyl)ethoxy)methyl)-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (11 mg, 0.017 mmol) in CH_2Cl_2 (1 mL) at rt was added trifluoroacetic acid (1.0 mL) and the reaction was stirred at that temperature for 2 h. The mixture was concentrated and the residue was dissolved in methanol (2 mL) and treated with NH_4OH (2 mL). The resulting mixture was stirred at rt for 2 h and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired fractions were combined, concentrated and the residue was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product (7 mg, 92%) as a hydrochloride salt: ¹H NMR (500 MHz, CD_3OD) δ 7.52 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.47 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.32 (s, 1H), 7.31 – 7.22 (m, 2H), 5.30 (d, *J* = 2.7 Hz, 1H), 3.29 – 3.18 (m, 3H), 2.63 (s, 3H), 1.47 (d, *J* = 6.1 Hz, 3H); ESI MS *m/z* 413 [$C_{22}H_{21}ClN_2O_2S + H$]⁺.

Example 1353

(*R*)-9-(4-(1-Aminopropan-2-yl)phenyl)-2-chloro-8-hydroxy-6-methylthieno[2,3-*c*]quinolin-4(5*H*)-one hydrochloride



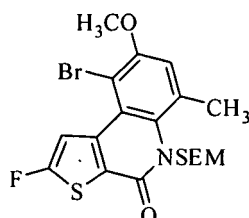
To a solution of (*R*)-*tert*-butyl 2-(4-(2-chloro-8-methoxy-6-methyl-4-oxo-5-((2-(trimethylsilyl)ethoxy)methyl)-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)propylcarbamate (32 mg, 0.050 mmol) in CH_2Cl_2 at 0 °C was added BBr_3 (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) and the reaction was stirred at that temperature for 1 h and quenched by pouring onto water or ice-water. The resulting mixture was concentrated and the residue was dissolved in methanol (2 mL) and treated with NH_4OH (2 mL). The resulting mixture was stirred at rt for 2 h and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired fractions were combined, concentrated and the residue was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt: ¹H NMR (500 MHz, MeOD) δ 7.57 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.48 (dd, *J* = 7.8, 1.8 Hz, 1H),

7.35 (dd, $J = 7.9, 1.7$ Hz, 1H), 7.29 (dd, $J = 7.8, 1.6$ Hz, 1H), 7.10 (d, $J = 0.7$ Hz, 1H), 5.75 (s, 1H), 3.28 – 3.19 (m, 3H), 2.55 (s, 3H), 1.50 (d, $J = 6.4$ Hz, 3H). ESI MS m/z 399 $[C_{21}H_{19}ClN_2O_2S + H]^+$.

5

Example 616

9-Bromo-2-fluoro-8-methoxy-6-methyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-c]quinolin-4(5H)-one



10

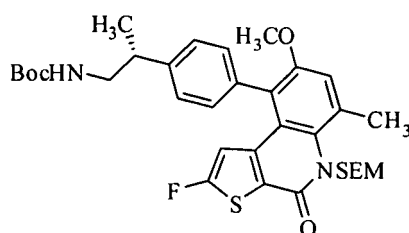
To a stirred solution of diisopropylamine (84 μ L 0.6 mmol) in THF (2.5 mL) at -78 °C was added *n*-BuLi (2.5M, 0.24 mL, 0.6 mmol). The resulting mixture was stirred at 0 °C for 10 min and then cooled at -78 °C. A solution of 9-bromo-8-methoxy-6-methyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-c]quinolin-4(5H)-one (0.23 g, 0.50 mmol) in THF (1 mL) was added dropwise and the resulting mixture was stirred at -78 °C for 30 min. A solution of *N*-fluorobenzenesulfonimide (0.32, 1.0 mmol) in THF (1 mL) was added and the mixture was stirred at -78 °C for 2h. The reaction was quenched by adding saturated ammonium chloride and extracted with dichloromethane (2 $\times$). The combined extracts were dried over Na_2SO_4 , filtered and concentrated in vacuo. The residue was purified by column chromatography (heptane/ethyl acetate) to afford the desired product (98 mg, 41%) as a white solid: ESI MS m/z 472 $[C_{19}H_{23}BrFNO_3SSi + H]^+$.

15

20

Example 617

(*R*)-*tert*-butyl 2-(4-(2-fluoro-8-methoxy-6-methyl-4-oxo-5-((2-(trimethylsilyl)ethoxy)methyl)-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate



25

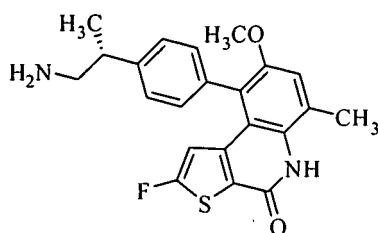
30

Following General Procedure B, (*R*)-*tert*-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (0.11 g, 0.31 mmol) was reacted with 9-bromo-2-fluoro-8-methoxy-6-methyl-5-((2-(trimethylsilyl)ethoxy)methyl)thieno[2,3-c]quinolin-4(5H)-one (98 mg, 0.21 mmol) to afford the desired product (0.11 g, 85%) as a solid: ESI MS m/z 627 $[C_{33}H_{43}FN_2O_5SSi + H]^+$.

35

Example 1375

(*R*)-9-(4-(1-Aminopropan-2-yl)phenyl)-2-fluoro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one

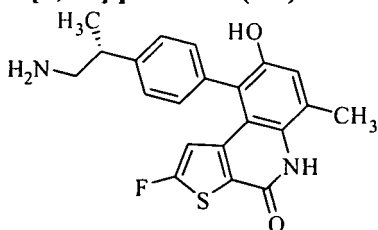


To a solution (R)-tert-butyl

2-(4-(2-fluoro-8-methoxy-6-methyl-4-oxo-5-((2-(trimethylsilyl)ethoxy)methyl)-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (17 mg, 0.027 mmol) in CH_2Cl_2 (1 mL) at rt was added trifluoroacetic acid (1.0 mL) and the reaction was stirred at that temperature for 2 h. The mixture was concentrated and the residue was dissolved methanol (2 mL) and treated with NH_4OH (2 mL). The resulting mixture was stirred at rt for 2h and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired fractions were combined, concentrated and the residue was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product (5 mg, 43%) as a hydrochloride salt: ^1H NMR (500 MHz, CD_3OD) δ 7.52 (dd, $J = 7.8, 1.5$ Hz, 1H), 7.47 (dd, $J = 7.7, 1.5$ Hz, 1H), 7.32 (s, 1H), 7.31 – 7.22 (m, 2H), 5.30 (d, $J = 2.7$ Hz, 1H), 3.29 – 3.18 (m, 3H), 2.63 (s, 3H), 1.47 (d, $J = 6.1$ Hz, 3H); ESI MS m/z 397 [$\text{C}_{22}\text{H}_{21}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$.

Example 1383

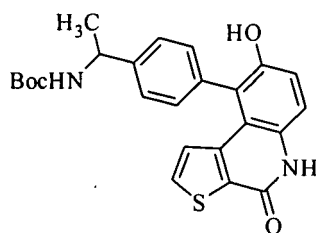
(R)-9-(4-(1-Aminopropan-2-yl)phenyl)-2-fluoro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one



To a solution of (R)-tert-butyl 2-(4-(2-fluoro-8-methoxy-6-methyl-4-oxo-5-((2-(trimethylsilyl)ethoxy)methyl)-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (60 mg, 0.096 mmol) in CH_2Cl_2 (1 mL) at 0 °C was added BBR_3 (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) and the reaction was stirred at that temperature for 1 h and quenched by pouring onto water or ice-water. The resulting mixture was concentrated and the residue was dissolved methanol (2 mL) and treated with NH_4OH (2 mL). The resulting mixture was stirred at rt for 2h and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired fractions were combined, concentrated and the residue was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a hydrochloride salt: ^1H NMR (500 MHz, CD_3OD) δ 7.55 (dd, $J = 7.9, 1.7$ Hz, 1H), 7.46 (dd, $J = 7.8, 1.8$ Hz, 1H), 7.32 (dd, $J = 23.9, 7.9$ Hz, 2H), 7.10 (s, 1H), 5.46 (d, $J = 2.9$ Hz, 1H), 3.28 – 3.15 (m, 3H), 2.55 (s, 3H), 1.49 (d, $J = 6.3$ Hz, 3H); ESI MS m/z 383 [$\text{C}_{21}\text{H}_{19}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$.

Example 618

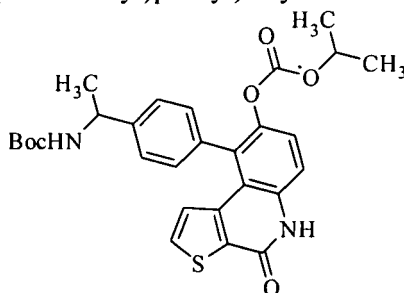
tert-butyl 1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate



To a solution of tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (0.96 g, 2.1 mmol) in dichloromethane (15 mL) at 0 °C was added BBr₃ (1.0 M in methylene chloride, 15 mL, 15 mmol) and the reaction was stirred at that temperature for 1 h and quenched by pouring onto water or ice-water. The precipitate was filtered and suspended in DMF (8 mL). Di-tert-butyl dicarbonate (0.85 g, 3.9 mmol) and triethylamine (1.1 mL, 7.8 mmol) were added and the mixture was stirred at rt for 2h. Water was added and the precipitate was filtered and purified by column chromatography to afford the desired product as a solid: ESI MS m/z 437 [C₂₄H₂₄N₂O₄S + H]⁺.

Example 619

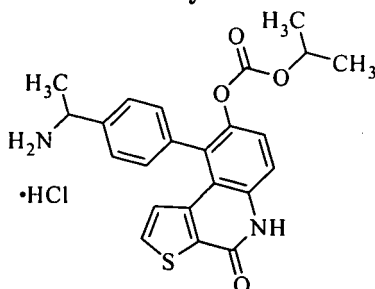
tert-butyl 1-(4-(8-(isopropoxycarbonyloxy)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate



To a solution of tert-butyl 1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (44 mg, 0.10 mmol) in THF (2 mL) at 0 °C was added NaH (60%, 6 mg, 0.15 mmol) and the reaction was stirred at that temperature for 1 h. Isopropyl chloroformate (21 μL, 0.15 mmol) was added and the resulting mixture was stirred at rt for 3 h. Water was added and the mixture was extracted with dichloromethane (2 × 15 mL). The combined extracts were dried over Na₂SO₄, filtered and concentrated in vacuo. The residue was purified by column chromatography (heptane/ethyl acetate) to afford the desired product (26 mg, 50%) as a solid: ESI MS m/z 523 [C₂₈H₃₀N₂O₆S + H]⁺.

Exmaple 1077

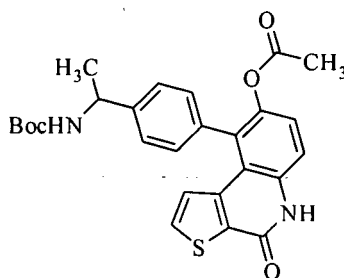
9-(4-(1-Aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl isopropyl carbonate Hydrochloride



Following General Procedure C, tert-butyl 1-(4-(8-(isopropoxycarbonyloxy)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate (20 mg, 0.038 mmol) was reacted with trifluoroacetic acid (3 mL) to afford the desired product (18 mg, quant.) as a light yellow solid: ESI MS m/z 423 [C₂₃H₂₂N₂O₄S + H]⁺.

Example 620

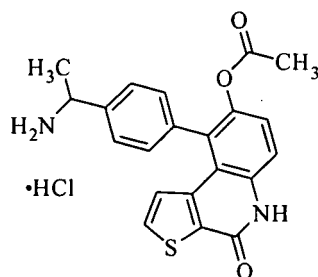
9-(4-(1-(tert-Butoxycarbonylamino)ethyl)phenyl)-4-oxo-4,5-dihydrothieno [2,3-c]quinolin-8-yl acetate



Following Procedure Preparing tert-butyl 1-(4-(8-(isopropoxycarbonyloxy)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethylcarbamate, (44 mg, 0.10 mmol) was reacted with acetic anhydride (11 μL, 0.12 mmol) to afford the desired product (30 mg, 63%) as a solid: ESI MS m/z 479 [C₂₆H₂₆N₂O₅S + H]⁺.

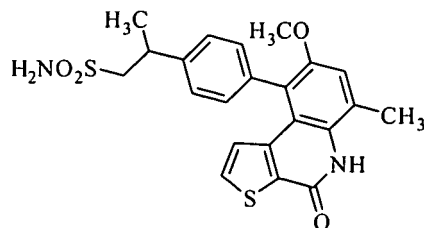
Example 1099

9-(4-(1-Aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl acetate Hydrochloride



Example 621

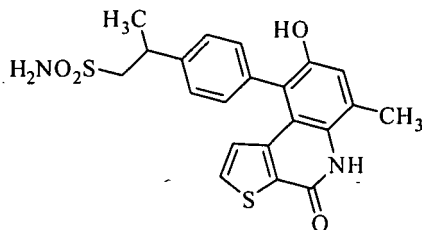
2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide



Following General Procedure E, 2-(4-bromophenyl)propane-1-sulfonamide (0.12 g, 0.43 mmol) was reacted with 9-bromo-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one (0.14 g, 0.44 mmol) to afford the desired product (16 mg, 8%) as a brown solid: ESI MS m/z 443 $[C_{22}H_{22}N_2O_4S_2 + H]^+$.

Example 1419

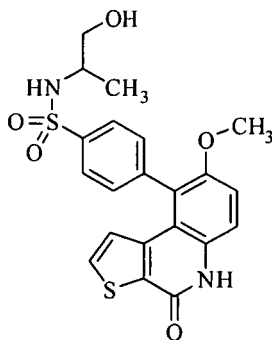
2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide



Following General Procedure F, 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide (16 mg, 0.036 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (7.0 mg, 44%) as a light brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.68 (d, $J = 8.4$ Hz, 2H), 7.54 (d, $J = 5.4$ Hz, 1H), 7.41 (d, $J = 8.4$ Hz, 2H), 7.07 (s, 1H), 6.08 (d, $J = 5.4$ Hz, 1H), 2.57 (s, 3H), 1.86 (s, 6H); ESI MS m/z 429 $[C_{21}H_{20}N_2O_4S_2 + H]^+$; HPLC 98.7%, $t_R = 8.51$ min.

Example 1057

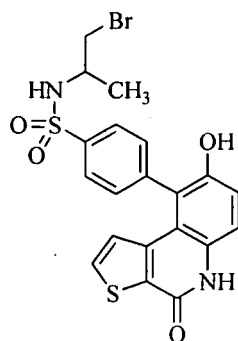
N-(1-hydroxypropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide



Following General Procedure B, N-(1-hydroxypropan-2-yl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzenesulfonamide (570 mg, 1.7 mmol) was reacted with 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one, (471 mg, 1.5 mmol) to afford the desired product (109 mg, 16%) as an off-white powder. ESI MS m/z 445 $[C_{21}H_{20}N_2O_5S_2 + H]^+$;

Example 1062

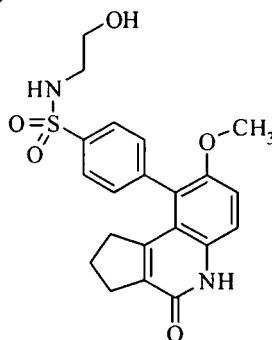
N-(1-bromopropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide



Following General Procedure F, N-(1-hydroxypropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide (55 mg, 0.12 mmol) was reacted with tribromoborane (0.2 mL) to afford the desired product (48 mg, 79%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD); ESI MS m/z 494 [$\text{C}_{20}\text{H}_{17}\text{BrN}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC 99.0% (AUC), $t_R = 11.39$ min;

Example 1090

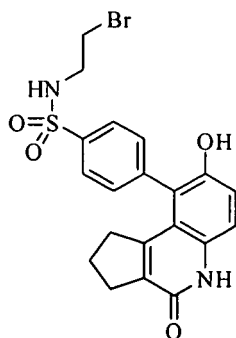
N-(2-Hydroxyethyl)-4-(8-methoxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide



Following General Procedure B, N-(2-hydroxyethyl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzenesulfonamide (268 mg, 0.82 mmol) was reacted with 9-bromo-8-methoxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one, (268 mg, 0.68 mmol) to afford the desired product (68 mg, 16%) as an off-white solid: ^1H NMR: (300 MHz, $\text{DMSO}-d_6$) ESI MS m/z 415 [$\text{C}_{21}\text{H}_{22}\text{N}_2\text{O}_5\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 11.73$ min;

Example 1094

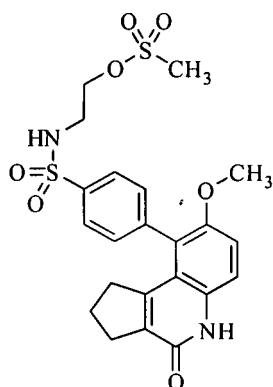
N-(2-Bromoethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide



Following Genreal Procedure F, N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide (55 mg, 0.13 mmol) was reacted with tribromoborane (0.2 mL) to afford the desired product (11 mg, 18%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) ESI MS m/z 464 [$\text{C}_{20}\text{H}_{19}\text{BrN}_2\text{O}_4\text{S} + \text{H}$] $^+$; HPLC 94.9% (AUC), $t_R = 14.88$ min;

Example 622

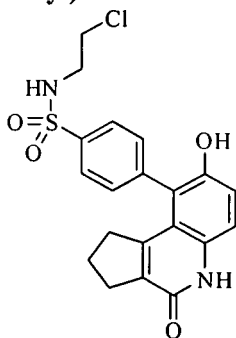
2-(4-(8-Methoxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)phenylsulfonamido)ethyl methanesulfonate



To a stirred solution of N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide (230 mg, 0.555 mmol) and triethylamine (168 mg, 1.66 mmol) in anhydrous tetrahydrofuran (10 mL) was added methane sulfonyl chloride (88 mg, 0.666 mmol). The reaction mixture was stirred for 20 h at room temperature. After this time the reaction mixture was filtered to remove a white precipitate, which was washed with tetrahydrofuran (30 mL). The filtrate was concentrated under reduced pressure to an orange solid. The residue was purified by flash chromatography to afford the desired product as a brown solid (141 mg, 51%). ESI MS m/z 493 [$\text{C}_{22}\text{H}_{24}\text{N}_2\text{O}_7\text{S}_2 + \text{H}$] $^+$

Example 1145

N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide

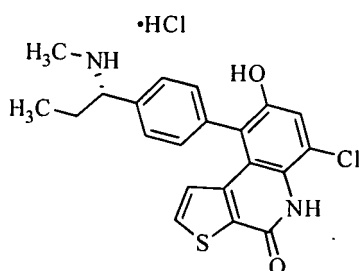


To a stirred solution of 2-(4-(8-methoxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)phenylsulfonamido)ethyl methanesulfonate (141 mg, 0.286 mmol) in anhydrous dichloroethane (10 mL) was added aluminum chloride (190 mg, 1.43 mmol). The reaction mixture was stirred at reflux for 20 h. After this time the reaction was cooled to room temperature and concentrated under reduced pressure. The residue was treated with methanol

(10 mL) and allowed to stand at room temperature for 1 h. Upon standing a precipitate formed and was subsequently filtered from the mother liquor. The precipitate was purified by preparatory HPLC (C18 silica, acetonitrile/water with 0.05% TFA gradient) to obtain the desired product (9 mg, 7.5%) as an off-white solid: ^1H NMR (500 MHz, DMSO- d_6) ESI MS m/z 419 $[\text{C}_{20}\text{H}_{19}\text{ClN}_2\text{O}_4\text{S} + \text{H}]^+$; HPLC 97.6% (AUC), $t_R = 15.94$ min.

Example 1154

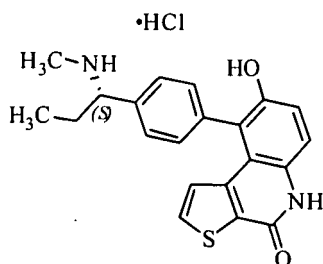
(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



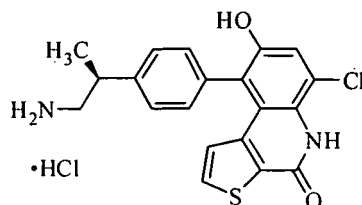
Following General Procedure F, (S)-tert-butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) phenyl)propyl(methyl)carbamate (100 mg, 0.194 mmol) was reacted with tribromoborane (1.0 M in methylene chloride 1.16 mL, 1.16 mmol) to afford the desired product (35 mg, 45%) as a white solid: ^1H NMR (500 MHz, DMSO) δ 10.81 (d, $J = 10.5$ Hz, 1H), 9.83 (s, 1H), 9.70 – 9.45 (m, 1H), 9.28 (s, 1H), 7.73 (d, $J = 5.4$ Hz, 1H), 7.70 – 7.60 (m, 2H), 7.38 (dd, $J = 12.4, 4.7$ Hz, 3H), 5.70 (d, $J = 5.4$ Hz, 1H), 4.19 (dt, $J = 12.1, 6.0$ Hz, 1H), 2.51 (s, 3H), 2.20 (ddd, $J = 14.4, 9.5, 5.9$ Hz, 1H), 2.02 – 1.89 (m, 1H), 0.84 (t, $J = 7.4$ Hz, 3H); ESI MS m/z 399 $[\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_4\text{S} + \text{H}]^+$; HPLC 96.9% (AUC), $t_R = 9.36$ min.

Example 1148

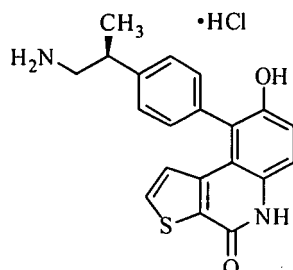
(S)-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (S)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) phenyl) propyl(methyl)carbamate (135 mg, 0.282 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.69 mL, 1.69 mmol) to afford the desired product (48 mg, 47%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.62 (ddd, $J = 11.7, 8.0, 1.7$ Hz, 2H), 7.56 (d, $J = 5.4$ Hz, 1H), 7.51 – 7.40 (m, 3H), 7.19 (d, $J = 8.9$ Hz, 1H), 5.97 (d, $J = 5.4$ Hz, 1H), 4.22 (dd, $J = 10.7, 4.6$ Hz, 1H), 2.70 (s, 3H), 2.34 – 2.02 (m, 2H), 1.04 – 0.96 (m, 3H); ESI MS m/z 365 $[\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_4\text{S} + \text{H}]^+$; HPLC 95.9% (AUC), $t_R = 8.38$ min.

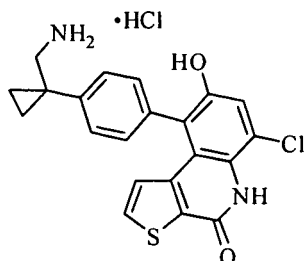
Example 1181**(S)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F, (*S*)-*tert*-butyl 2-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (100 mg, 0.20 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.2 mL, 1.2 mmol) to afford the desired product (35 mg, 46%) as a white solid: ¹H NMR (500 MHz, MeOD) δ 7.62 (d, *J* = 5.4 Hz, 1H), 7.56 (dd, *J* = 7.9, 1.9 Hz, 1H), 7.48 (dd, *J* = 7.8, 1.9 Hz, 1H), 7.36 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.34 – 7.29 (m, 2H), 6.12 (d, *J* = 5.4 Hz, 1H), 3.29 – 3.15 (m, 3H), 1.49 (d, *J* = 6.5 Hz, 3H); ESI MS *m/z* 385 [C₂₀H₁₇ClN₂O₂S + H]⁺; HPLC >99% (AUC), *t_R* = 8.74 min.

Example 1163**(S)-9-(4-(1-Aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F, (*S*)-*tert*-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (110 mg, 0.236 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.42 mL, 1.42 mmol) to afford the desired product (38 mg, 47%) as a white solid: ¹H NMR (500 MHz, MeOD) δ 7.61 – 7.53 (m, 2H), 7.47 (dd, *J* = 7.8, 1.9 Hz, 1H), 7.41 (dd, *J* = 10.9, 6.1 Hz, 1H), 7.37 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.32 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.18 (d, *J* = 8.9 Hz, 1H), 6.15 (d, *J* = 5.4 Hz, 1H), 3.29 – 3.17 (m, 3H), 1.50 (d, *J* = 6.4 Hz, 3H); ESI MS *m/z* 351 [C₂₀H₁₈N₂O₂S + H]⁺; HPLC >99% (AUC), *t_R* = 8.58 min.

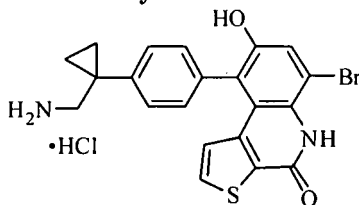
Example 1116**9-(4-(1-(Aminomethyl)cyclopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**



Following General Procedure F, tert-butyl (1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate (50 mg, 0.09 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.58 mL, 0.58 mmol) to afford the desired product (19 mg, 48%) as a white solid: ^1H NMR; (500 MHz, MeOD) δ 7.66 – 7.58 (m, 3H), 7.38 – 7.27 (m, 3H), 6.14 (d, J = 5.4 Hz, 1H), 3.26 (s, 2H), 1.19 (t, J = 5.5 Hz, 2H), 1.11 (t, J = 5.5 Hz, 2H); ESI MS m/z 397 [$\text{C}_{21}\text{H}_{17}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.4% (AUC), t_R = 9.24 min.

Example 1401

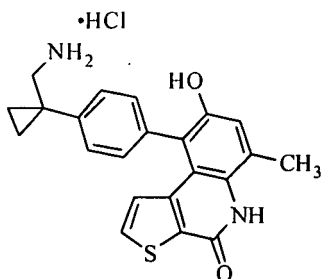
10 9-(4-(1-(Aminomethyl)cyclopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



15 Following General Procedure F, 9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride (100 mg, 0.22 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.32 mL, 1.32 mmol) to afford the desired product (52 mg, 54%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.63 (t, J = 6.8 Hz, 3H), 7.48 (s, 1H), 7.33 (d, J = 8.2 Hz, 2H), 6.14 (d, J = 5.4 Hz, 1H), 3.26 (s, 2H), 1.19 (t, J = 5.6 Hz, 2H), 1.12 (t, J = 5.5 Hz, 2H); ESI MS m/z 442 [$\text{C}_{21}\text{H}_{17}\text{BrN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 9.25 min.

Example 1254

25 9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride

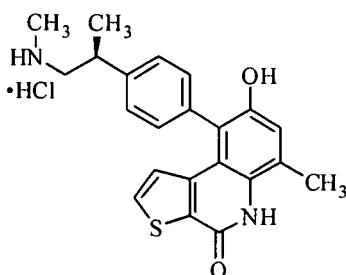


30 Following General Procedure F, tert-butyl (1-(4-(8-methoxy-6-methyl-4-oxo-4,5-

dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate (120 mg, 0.24 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.46 mL, 1.46 mmol) to afford the desired product (38 mg, 42%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.60 (ddd, J = 12.3, 7.1, 3.6 Hz, 3H), 7.34 – 7.29 (m, 2H), 7.08 (d, J = 0.6 Hz, 1H), 6.19 (d, J = 5.4 Hz, 1H), 3.25 (s, 2H), 2.57 (s, 3H), 1.22 – 1.06 (m, 4H); ESI MS m/z 377 [$\text{C}_{23}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.6% (AUC), t_R = 8.97 min.

Example 1215

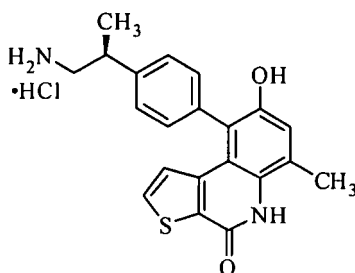
(S)-8-Hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (S)-tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (50 mg, 0.10 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.61 mL, 0.61 mmol) to afford the desired product (12 mg, 32%) as a light yellow solid: ^1H NMR (500 MHz, MeOD) δ 7.60 – 7.53 (m, 2H), 7.47 (dd, J = 7.8, 1.8 Hz, 1H), 7.35 (dd, J = 7.9, 1.7 Hz, 1H), 7.30 (dd, J = 7.7, 1.7 Hz, 1H), 7.08 (s, 1H), 6.15 (d, J = 5.4 Hz, 1H), 3.40 – 3.27 (m, 3H), 2.74 (s, 3H), 2.57 (s, 3H), 1.50 (d, J = 6.6 Hz, 3H); ESI MS m/z 379 [$\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 8.88 min.

Example 1232

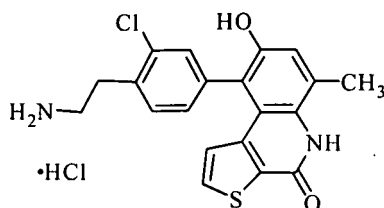
(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (S)-tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (100 mg, 0.209 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.25 mL, 1.25 mmol) to afford the desired product (38 mg, 52%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.60 – 7.52 (m, 2H), 7.45 (dd, J = 7.8, 1.9 Hz, 1H), 7.35 (dd, J = 7.9, 1.7 Hz, 1H), 7.29 (dd, J = 7.7, 1.7 Hz, 1H), 7.08 (s, 1H), 6.16 (d, J = 5.4 Hz, 1H), 3.29 – 3.17 (m, 3H), 2.57 (s, 3H), 1.50 (d, J = 6.4 Hz, 3H); ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.0% (AUC), t_R = 8.63 min.

Example 1264

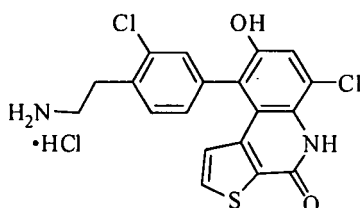
9-(4-(2-aminoethyl)-3-chlorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



5 Following General Procedure F, tert-butyl 2-chloro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (120 mg, 0.24 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.45 mL, 1.45 mmol) to afford the desired product (52 mg, 57%) as a white solid: ¹H NMR (500 MHz, MeOD) δ 7.64 (d, *J* = 5.4 Hz, 1H), 7.54 (d, *J* = 7.8 Hz, 1H), 7.37 (d, *J* = 1.4 Hz, 1H), 7.23 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.08 (s, 1H), 6.19 (d, *J* = 5.4 Hz, 1H), 3.42 – 3.14 (m, 4H), 2.56 (s, 3H); ESI MS *m/z* 385 [C₂₀H₁₇ClN₂O₂S + H]⁺; HPLC 98.2% (AUC), *t_R* = 8.55 min.

Example 1268

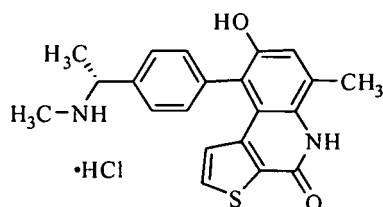
9-(4-(2-aminoethyl)-3-chlorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



20 Following General Procedure F, tert-butyl 2-chloro-4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (80 mg, 0.154 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.92 mL, 0.92 mmol) to afford the desired product (37 mg, 59%) as a white solid: ¹H NMR (500 MHz, MeOD) δ 7.68 (d, *J* = 5.4 Hz, 1H), 7.56 (d, *J* = 7.8 Hz, 1H), 7.42 (d, *J* = 1.7 Hz, 1H), 7.30 (s, 1H), 7.27 (dd, *J* = 7.8, 1.7 Hz, 1H), 6.17 (d, *J* = 5.4 Hz, 1H), 3.39 – 3.25 (m, 4H); ESI MS *m/z* 405 [C₁₉H₁₄Cl₂N₂O₂S + H]⁺; HPLC 98.1% (AUC), *t_R* = 9.17 min.

Example 1262

(*R*)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride

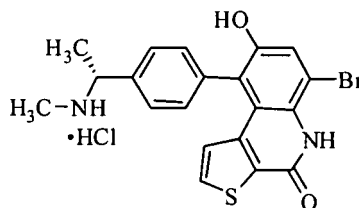


35 Following General Procedure F, (*R*)-tert-butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (150 mg, 0.313 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.9 mL, 1.9 mmol) to afford the

desired product (85 mg, 74%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.63 (ddd, $J = 7.0, 5.5, 2.2$ Hz, 2H), 7.57 (d, $J = 5.4$ Hz, 1H), 7.43 (ddd, $J = 7.4, 6.1, 2.1$ Hz, 2H), 7.08 (s, 1H), 6.05 (d, $J = 5.4$ Hz, 1H), 4.47 (q, $J = 6.9$ Hz, 1H), 2.71 (s, 3H), 2.57 (s, 3H), 1.79 (d, $J = 6.9$ Hz, 3H); ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 8.40$ min.

Example 1135

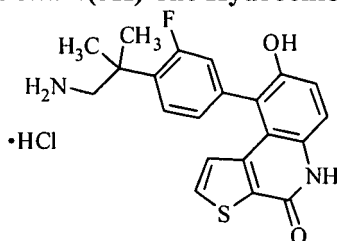
(*R*)-6-bromo-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (*R*)-*tert*-butyl 1-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (100 mg, 0.18 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.1 mL, 1.1 mmol) to afford the desired product (38 mg, 48%) as a white solid: ^1H NMR (500 MHz, DMSO) δ 10.20 (s, 1H), 9.88 (s, 1H), 9.76 – 9.59 (m, 1H), 9.37 – 9.21 (m, 1H), 7.78 (d, $J = 5.4$ Hz, 1H), 7.74 – 7.64 (m, 2H), 7.54 (s, 1H), 7.40 – 7.33 (m, 2H), 5.77 (d, $J = 5.4$ Hz, 1H), 4.44 (dd, $J = 12.5, 6.4$ Hz, 1H), 2.50 (s, 3H), 1.68 (d, $J = 6.8$ Hz, 3H); ESI MS m/z 429 [$\text{C}_{20}\text{H}_{17}\text{BrN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 9.00$ min.

Example 1271

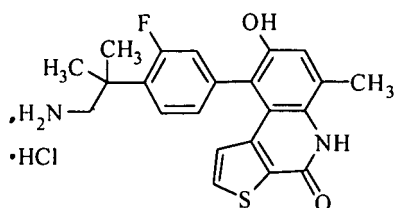
9-(4-(1-Amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, *tert*-butyl 2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-*c*]quinolin-9-yl)phenyl)-2-methylpropylcarbamate (130 mg, 0.26 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.57 mL, 1.57 mmol) to afford the desired product (35 mg, 35%) as a white solid: ^1H NMR (500 MHz, DMSO) δ 11.81 (s, 1H), 9.34 (s, 1H), 7.98 (s, 3H), 7.72 (d, $J = 5.4$ Hz, 1H), 7.49 (t, $J = 8.5$ Hz, 1H), 7.40 (d, $J = 8.9$ Hz, 1H), 7.17 (t, $J = 9.0$ Hz, 1H), 7.12 (ddd, $J = 10.7, 9.7, 1.7$ Hz, 2H), 6.02 (d, $J = 5.4$ Hz, 1H), 3.25 (s, 2H), 1.51 (d, $J = 9.1$ Hz, 6H); ESI MS m/z 383 [$\text{C}_{21}\text{H}_{19}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 8.77$ min.

Example 1278

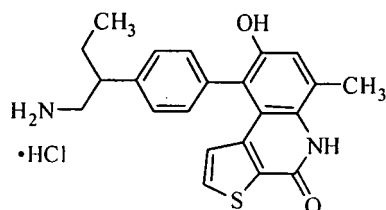
9-(4-(1-Amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-*c*]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate (50 mg, 0.10 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.58 mL, 0.58 mmol) to afford the desired product (22 mg, 58%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.64 (d, J = 5.4 Hz, 1H), 7.57 (t, J = 8.4 Hz, 1H), 7.15 (ddd, J = 15.1, 10.7, 1.7 Hz, 2H), 7.08 (d, J = 0.7 Hz, 1H), 6.26 (d, J = 5.4 Hz, 1H), 3.31 (s, 2H), 2.57 (s, 3H), 1.62 (d, J = 5.6 Hz, 6H); ESI MS m/z 397 $[\text{C}_{22}\text{H}_{21}\text{FN}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC >99% (AUC), t_R = 9.07 min.

Example 1291

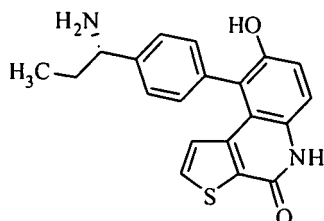
9-(4-(1-Aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate (100 mg, 0.23 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.4 mL, 1.4 mmol) to afford the desired product (42 mg, 55%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.57 – 7.50 (m, 2H), 7.40 (ddd, J = 18.8, 7.8, 1.8 Hz, 2H), 7.31 (dd, J = 7.7, 1.7 Hz, 1H), 7.09 (d, J = 0.8 Hz, 1H), 6.13 (d, J = 5.4 Hz, 1H), 3.25 (ddd, J = 26.6, 13.1, 7.1 Hz, 2H), 2.93 (dq, J = 15.3, 5.2 Hz, 1H), 2.57 (d, J = 0.6 Hz, 3H), 1.97 – 1.74 (m, 2H), 0.99 (t, J = 7.4 Hz, 3H); ESI MS m/z 379 $[\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC >99% (AUC), t_R = 9.21 min.

Example 1120

(S)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

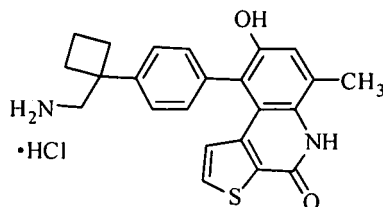


Following General Procedure F, (S)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (100 mg, 0.22 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.3 mL, 1.3 mmol) to afford the desired product (28 mg, 38%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.65 – 7.58 (m, 2H), 7.54 (d, J = 5.4 Hz, 1H), 7.46 – 7.40 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.03 (d, J = 5.4 Hz, 1H), 4.32 (dd, J = 9.2, 5.9 Hz, 1H), 2.21 – 2.03 (m, 2H), 1.04 (t, J = 7.4 Hz, 3H); ESI MS m/z 351 $[\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$.

HJ⁺; HPLC >99% (AUC), t_R = 8.24 min.

Example 1290

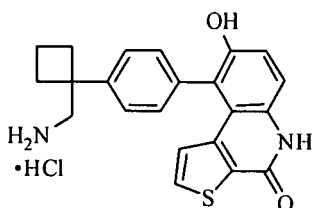
9-(4-(1-(Aminomethyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl (1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclobutyl)methylcarbamate (130 mg, 0.32 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.9 mL, 1.9 mmol) to afford the desired product (82 mg, 65%) as a white solid: ¹H NMR (500 MHz, MeOD) δ 7.63 (d, *J* = 5.4 Hz, 1H), 7.46 – 7.41 (m, 2H), 7.38 – 7.32 (m, 2H), 7.09 (d, *J* = 0.7 Hz, 1H), 6.26 (d, *J* = 5.4 Hz, 1H), 3.36 – 3.33 (m, 2H), 2.65 (dd, *J* = 21.2, 9.3 Hz, 2H), 2.58 (s, 3H), 2.45 – 2.37 (m, 2H), 2.27 (ddd, *J* = 17.7, 11.5, 8.6 Hz, 1H), 2.12 – 2.01 (m, 1H); ESI MS *m/z* 391 [C₂₃H₂₂N₂O₂S + H]⁺; HPLC >99% (AUC), t_R = 9.37 min.

Example 1300

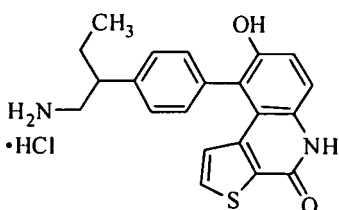
9-(4-(1-(Aminomethyl)cyclobutyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl (1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclobutyl)methylcarbamate (100 mg, 0.20 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.23 mL, 1.23 mmol) to afford the desired product (52 mg, 68%) as a white solid: ¹H NMR (500 MHz, MeOD) δ 7.64 (d, *J* = 5.4 Hz, 1H), 7.44 (ddd, *J* = 17.8, 10.5, 3.8 Hz, 3H), 7.39 – 7.35 (m, 2H), 7.19 (d, *J* = 8.9 Hz, 1H), 6.25 (d, *J* = 5.4 Hz, 1H), 3.44 (s, 2H), 2.65 (dd, *J* = 21.3, 9.4 Hz, 2H), 2.46 – 2.36 (m, 2H), 2.33 – 2.20 (m, 1H), 2.14 – 2.00 (m, 1H); ESI MS *m/z* 377 [C₂₂H₂₀N₂O₂S + H]⁺; HPLC >99% (AUC), t_R = 9.00 min.

Example 1309

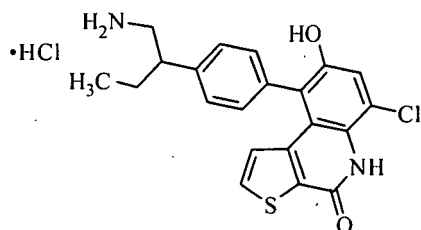
9-(4-(1-(Aminobutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate (100 mg, 0.21 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.25 mL, 1.25 mmol) to afford the desired product (45 mg, 60%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.58 – 7.50 (m, 2H), 7.46 – 7.36 (m, 3H), 7.32 (dt, J = 12.4, 6.2 Hz, 1H), 7.19 (d, J = 8.9 Hz, 1H), 6.11 (d, J = 5.4 Hz, 1H), 3.40 – 3.19 (m, 2H), 2.95 (dq, J = 15.3, 5.2 Hz, 1H), 1.98 – 1.85 (m, 1H), 1.86 – 1.71 (m, 1H), 1.06 – 0.91 (m, 3H); ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 8.15 min.

Example 1312

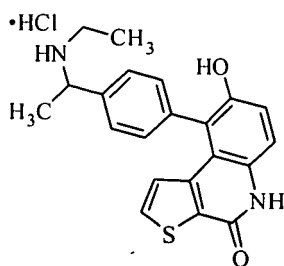
9-(4-(1-Aminobutan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c] quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate (65 mg, 0.13 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.76 mL, 0.76 mmol) to afford the desired product (28 mg, 56%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.60 (d, J = 5.4 Hz, 1H), 7.54 (dd, J = 7.9, 1.8 Hz, 1H), 7.44 (dd, J = 7.7, 1.8 Hz, 1H), 7.39 (dd, J = 7.9, 1.8 Hz, 1H), 7.35 – 7.30 (m, 2H), 6.08 (d, J = 5.4 Hz, 1H), 3.38 – 3.22 (m, 2H), 2.98 – 2.89 (m, 1H), 1.97 – 1.85 (m, 1H), 1.85 – 1.72 (m, 1H), 0.98 (t, J = 7.4 Hz, 3H); ESI MS m/z 399 [$\text{C}_{21}\text{H}_{19}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 10.29 min.

Example 385

9-(4-(1-(Ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c] quinolin-4(5H)-one Hydrochloride

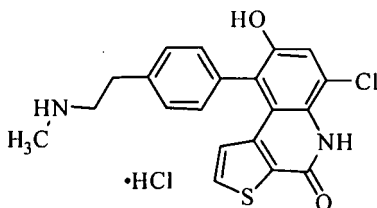


Following General Procedure F, tert-butyl ethyl(1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)carbamate (150 mg, 0.31 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.9 mL, 1.9 mmol) to afford the desired product (37 mg, 33%) as a white glass: ^1H NMR (500 MHz,

MeOD); δ 7.65 (dd, J = 13.2, 4.9 Hz, 2H), 7.57 (d, J = 5.4 Hz, 1H), 7.48 – 7.39 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.04 (d, J = 5.4 Hz, 1H), 4.53 (q, J = 6.8 Hz, 1H), 3.20 – 3.08 (m, 1H), 3.08 – 2.96 (m, 1H), 1.79 (d, J = 6.9 Hz, 3H), 1.36 (t, J = 7.3 Hz, 3H). ESI MS m/z 365 [$+H$] $^+$; HPLC >99% (AUC), t_R = 12.05 min.

Example 1165

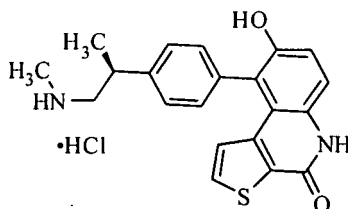
6-chloro-8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c] quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl(methyl)carbamate (60 mg, 0.12 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.72 mL, 0.72 mmol) to afford the desired product (22 mg, 50%) as a white solid: ^1H NMR(500 MHz, DMSO- d_6) δ 10.77 (s, 1H), 9.72 (s, 1H), 8.72 (s, 1H), 7.76 (d, J = 5.4 Hz, 1H), 7.42 (d, J = 8.1 Hz, 2H), 7.31 (s, 1H), 7.24 (d, J = 8.1 Hz, 2H), 5.85 (d, J = 5.4 Hz, 1H), 3.30 – 3.23 (m, 2H), 3.09 – 3.02 (m, 2H), 2.65 (s, 3H); ESI MS m/z 385 [$C_{20}H_{17}ClN_2O_2S + H$] $^+$; HPLC >99% (AUC), t_R = 9.03 min.

Example 1197

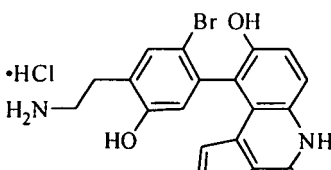
(S)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c] quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (S)-tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (100 mg, 0.21 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.25 mL, 1.25 mmol) to afford the desired product (31 mg, 41%) as a white solid: ^1H NMR(500 MHz, MeOD) δ 7.61 – 7.53 (m, 2H), 7.48 (dd, J = 7.8, 1.9 Hz, 1H), 7.44 – 7.37 (m, 2H), 7.33 (dd, J = 7.7, 1.7 Hz, 1H), 7.18 (d, J = 8.9 Hz, 1H), 6.14 (d, J = 5.4 Hz, 1H), 3.41 – 3.25 (m, 3H), 2.75 (s, 3H), 1.50 (d, J = 6.8 Hz, 3H); ESI MS m/z 365 [$C_{21}H_{20}N_2O_2S + H$] $^+$; HPLC >99% (AUC), t_R = 8.36 min.

Example 1224

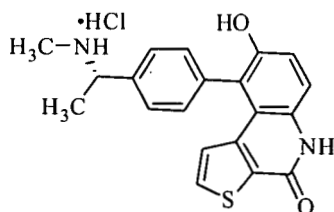
9-(4-(2-aminoethyl)-2-bromo-5-hydroxyphenyl)-8-hydroxythieno[2,3-c] quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (tert-butyl 5-bromo-2-hydroxy-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (50 mg, 0.90 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.54 mL, 0.54 mmol) to afford the desired product (18 mg, 48%) as a white solid: ^1H NMR(500 MHz, MeOD) δ 7.68 (d, J = 5.5 Hz, 1H), 7.58 (s, 1H), 7.44 (d, J = 9.0 Hz, 1H), 7.18 (d, J = 9.0 Hz, 1H), 6.82 (s, 1H), 6.33 (d, J = 5.5 Hz, 1H), 3.25 (m, 2H), 2.95 (m, 2H); ESI MS m/z 432 [$\text{C}_{19}\text{H}_{15}\text{BrN}_2\text{O}_3\text{S} + \text{H}$] $^+$; HPLC 96.9% (AUC), t_R = 8.10 min.

Example 1082

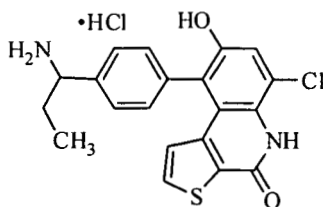
(S)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



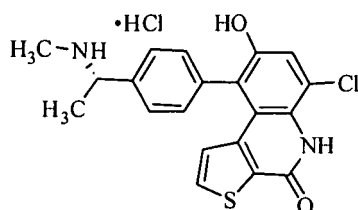
Following General Procedure F, (S)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (100 mg, 0.22 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.30 mL, 13.0 mmol) to afford the desired product (50 mg, 66%) as a white solid: ^1H NMR(500 MHz, MeOD) δ 7.68 – 7.61 (m, 2H), 7.57 (d, J = 5.4 Hz, 1H), 7.49 – 7.39 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.04 (d, J = 5.4 Hz, 1H), 4.48 (q, J = 6.8 Hz, 1H), 2.72 (s, 3H), 1.80 (d, J = 6.9 Hz, 3H); ESI MS m/z 351 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 96.9% (AUC), t_R = 7.68 min.

Example 1088

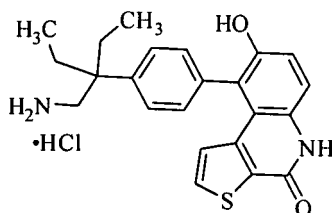
9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



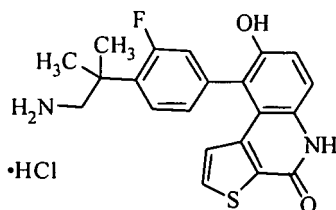
Following General Procedure F, tert-butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (40 mg, 0.08 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.48 mL, 0.48 mmol) to afford the desired product (12 mg, 40%) as a white solid: ^1H NMR(500 MHz, MeOD) δ 7.65 – 7.56 (m, 3H), 7.46 – 7.39 (m, 2H), 7.30 (s, 1H), 6.02 (d, J = 5.4 Hz, 1H), 4.32 (dd, J = 9.1, 6.0 Hz, 1H), 2.21 – 2.02 (m, 2H), 1.03 (t, J = 7.4 Hz, 3H); ESI MS m/z 385 [$\text{C}_{20}\text{H}_{17}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 95.9% (AUC), t_R = 9.15 min.

Example 1087**(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F, (S)-tert-butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (40 mg, 0.08 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.48 mL, 0.48 mmol) to afford the desired product (18 mg, 60%) as a white solid: ^1H NMR(500 MHz, MeOD) δ 7.72 – 7.60 (m, 3H), 7.49 – 7.39 (m, 2H), 7.30 (s, 1H), 6.03 (d, J = 5.4 Hz, 1H), 4.49 (q, J = 6.9 Hz, 1H), 2.73 (d, J = 4.3 Hz, 3H), 1.80 (d, J = 6.9 Hz, 3H); ESI MS m/z 385 [$\text{C}_{20}\text{H}_{17}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 13.60 min.

Example 1209**9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

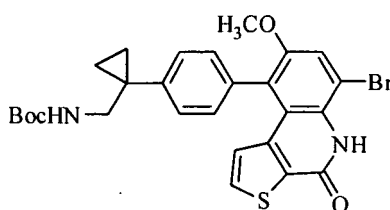
Following General Procedure F, tert-butyl 2-ethyl-2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate (200 mg, 0.40 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 2.37 mL, 2.37 mmol) to afford the desired product (120 mg, 78%) as a white solid: ^1H NMR(500 MHz, MeOD) δ 7.62 (d, J = 8.4 Hz, 2H), 7.54 (d, J = 5.4 Hz, 1H), 7.41 (dd, J = 15.2, 8.6 Hz, 3H), 7.19 (d, J = 8.9 Hz, 1H), 6.08 (d, J = 5.4 Hz, 1H), 3.34 (s, 2H), 2.05 – 1.88 (m, 4H), 0.93 (t, J = 7.4 Hz, 6H); ESI MS m/z 393 [$\text{C}_{23}\text{H}_{24}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 9.38 min.

Example 1271**9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F, tert-butyl 2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate (80 mg, 0.16 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (35 mg, 56%) as a yellow glass: ^1H NMR(500 MHz, MeOD) δ 7.67 (d, J = 5.4 Hz, 1H), 7.59 (t, J = 8.4 Hz, 1H), 7.44 (d, J = 8.9 Hz, 1H), 7.20 (d, J = 8.9 Hz, 3H), 6.25 (d, J = 5.4 Hz, 1H), 3.54 (d, J = 13.0 Hz, 2H), 3.28 (s, 1H), 1.63 (d, J = 4.6 Hz, 6H); ESI MS m/z 383 $[\text{C}_{21}\text{H}_{19}\text{FN}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC >99% (AUC), t_R = 8.89 min.

Example 623

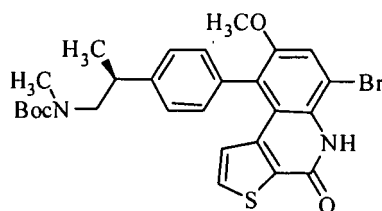
tert-butyl (1-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate



Following General Procedure I, tert-butyl (1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate (750 mg, 1.57 mmol) was reacted with NBS (280 mg, 1.57 mmol) to afford the desired product (473 mg, 54%) as a yellow solid: ESI MS m/z 555 $[\text{C}_{27}\text{H}_{27}\text{BrN}_2\text{O}_4\text{S} + \text{H}]^+$.

Example 624

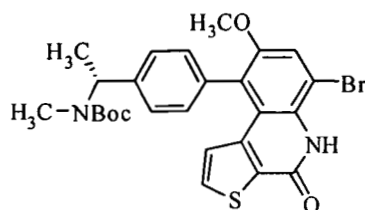
(S)-tert-butyl 2-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate



Following General Procedure I, (S)-tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (1.0 g, 2.0 mmol) was reacted with NBS (446 mg, 2.5 mmol) to afford the desired product (500 mg, 43%) as a yellow solid: ESI MS m/z 557 $[\text{C}_{27}\text{H}_{29}\text{BrN}_2\text{O}_4\text{S} + \text{H}]^+$.

Example 625

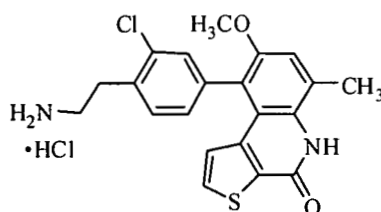
(R)-tert-butyl 1-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate



Following General Procedure I, (R)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (400 mg, 0.86 mmol) was reacted with NBS (184 mg, 1.03 mmol) to afford the desired product (285 mg, 61%) as a yellow solid: ESI MS m/z 543 $[C_{26}H_{30}BrN_2O_4S + H]^+$.

Example 1263

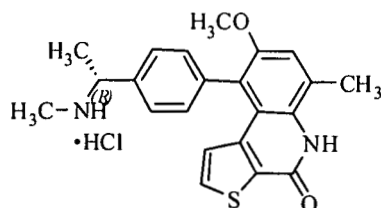
9-(4-(2-aminoethyl)-3-chlorophenyl)-8-methoxy-6-methylthieno[2,3-c] quinolin-4(5H)-one Hydrochloride



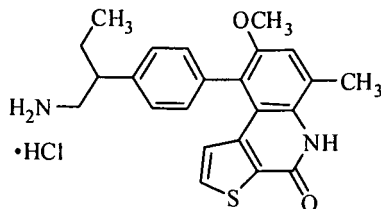
Following General Procedure C, tert-butyl 2-chloro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (50 mg, 0.10 mmol) was reacted with TFA (3.0 mL) to afford the desired product as a light yellow solid (27 mg, 68%): ^1H NMR (500 MHz, MeOD) δ 7.62 (t, $J = 5.8$ Hz, 1H), 7.53 (d, $J = 7.8$ Hz, 1H), 7.35 (d, $J = 1.6$ Hz, 1H), 7.29 (s, 1H), 7.21 (dd, $J = 7.7, 1.7$ Hz, 1H), 6.11 (d, $J = 5.4$ Hz, 1H), 3.75 (d, $J = 7.4$ Hz, 3H), 3.38 – 3.16 (m, 4H), 2.64 (s, 3H); ESI MS m/z 399 $[C_{21}H_{19}ClN_2O_2S + H]^+$; HPLC 98.8% (AUC), $t_R = 9.50$ min.

Example 1265

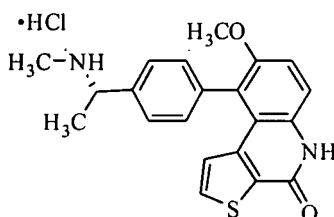
(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c] quinolin-4(5H)-one Hydrochloride



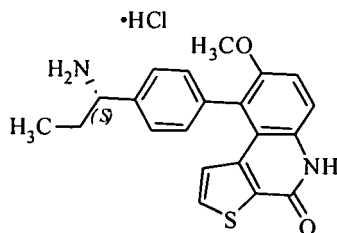
Following General Procedure C, (R)-tert-butyl 1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (50 mg, 0.10 mmol) was reacted with TFA (3.0 mL) to afford the desired product as a yellow solid 25 mg, 63%): ^1H NMR (500 MHz, MeOD) δ 7.64 (d, $J = 8.4$ Hz, 2H), 7.57 (d, $J = 5.4$ Hz, 1H), 7.38 (d, $J = 8.6$ Hz, 2H), 7.29 (s, 1H), 5.99 (d, $J = 5.4$ Hz, 1H), 4.48 (q, $J = 6.8$ Hz, 1H), 3.73 (s, 3H), 2.72 (s, 3H), 2.64 (s, 3H), 1.80 (d, $J = 6.9$ Hz, 3H). ESI MS m/z 379 $[C_{22}H_{22}N_2O_2S + H]^+$; HPLC 98.0% (AUC), $t_R = 9.51$ min.

Example 1277**9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c] quinolin-4(5H)-one Hydrochloride**

Following General Procedure C, tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butylcarbamate (40 mg, 0.08 mmol) was reacted with TFA (3.0 mL) to afford the desired product as a white solid 14 mg, 44%: ^1H NMR (500 MHz, MeOD) δ 7.54 – 7.47 (m, 2H), 7.42 (dd, J = 7.7, 1.8 Hz, 1H), 7.35 – 7.25 (m, 3H), 5.96 (d, J = 5.4 Hz, 1H), 3.75 (s, 3H), 3.37 – 3.26 (m, 2H), 3.02 – 2.89 (m, 1H), 2.64 (s, 3H), 1.97 – 1.85 (m, 1H), 1.81 – 1.67 (m, 1H), 0.96 (t, J = 7.3 Hz, 3H); ESI MS m/z 393 [$\text{C}_{23}\text{H}_{24}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 9.74 min.

Example 1064**(S)-8-methoxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c] quinolin-4(5H)-one Hydrochloride**

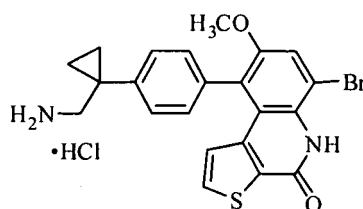
Following General Procedure C, (S)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl(methyl)carbamate (30 mg, 0.06 mmol) was reacted with TFA (1.5 mL) to afford the desired product (15 mg, 65%) as a white solid: ^1H NMR (500 MHz, MeOD); δ 7.64 (d, J = 8.5 Hz, 2H), 7.61 – 7.52 (m, 2H), 7.45 – 7.36 (m, 3H), 5.98 (d, J = 5.4 Hz, 1H), 4.48 (q, J = 6.8 Hz, 1H), 3.74 (s, 3H), 2.73 (s, 3H), 1.79 (t, J = 8.0 Hz, 3H). ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 13.69 min.

Example 1121**(S)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c] quinolin-4(5H)-one Hydrochloride**

Following General Procedure C, (S)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (40 mg, 0.09 mmol) was reacted with TFA (2.0 mL) to afford the desired product (15 mg, 49%) as a light yellow glass: ^1H NMR (500 MHz, MeOD) δ 7.60 (tt, $J = 7.1, 3.6$ Hz, 2H), 7.57 – 7.51 (m, 2H), 7.42 – 7.36 (m, 3H), 6.00 (d, $J = 5.4$ Hz, 1H), 4.32 (dd, $J = 9.2, 6.0$ Hz, 1H), 3.73 (s, 3H), 2.11 (qdd, $J = 13.6, 8.3, 6.7$ Hz, 3H), 1.08 – 0.98 (m, 3H); ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 8.74$ min.

Example 1391

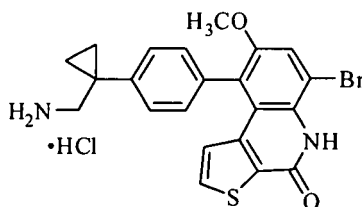
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure C, tert-butyl (1-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate (50 mg, 0.09 mmol) was reacted with TFA (5.0 mL) to afford the desired product (19 mg, 47%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.71 – 7.65 (m, 1H), 7.65 – 7.57 (m, 3H), 7.32 – 7.27 (m, 2H), 5.99 (t, $J = 6.4$ Hz, 1H), 3.75 (s, 3H), 3.29 (s, 2H), 1.21 – 1.10 (m, 4H); ESI MS m/z 456 [$\text{C}_{22}\text{H}_{19}\text{BrN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 10.83$ min.

Example 1251

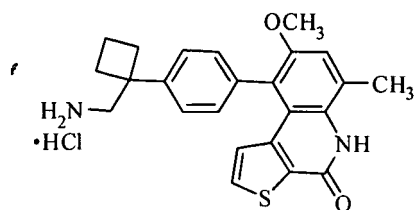
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure C, tert-butyl (1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropyl)methylcarbamate (70 mg, 0.14 mmol) was reacted with TFA (4.0 mL) to afford the desired product (32 mg, 56%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.63 – 7.51 (m, 3H), 7.32 – 7.23 (m, 3H), 6.02 (d, $J = 5.4$ Hz, 1H), 3.74 (s, 3H), 3.28 (s, 2H), 2.64 (s, 3H), 1.21 – 1.08 (m, 4H); ESI MS m/z 391 [$\text{C}_{23}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 95.7% (AUC), $t_R = 9.15$ min.

Example 1297

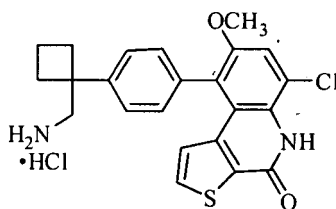
9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



5 Following General Procedure C, tert-butyl (1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclobutyl)methylcarbamate (200 mg, 0.42 mmol) was reacted with TFA (5.0 mL) to afford the desired product (150 mg, 89%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.61 (d, $J = 5.4$ Hz, 1H), 7.47 – 7.41 (m, 2H), 7.35 – 7.30 (m, 3H), 6.07 (d, $J = 5.4$ Hz, 1H), 3.76 (s, 3H), 3.46 (s, 2H), 2.65 (s, 3H), 2.64 – 2.57 (m, 2H), 2.47 – 2.37 (m, 2H), 2.32 – 2.15 (m, 1H), 2.12 – 1.97 (m, 1H); ESI MS m/z 405 [$\text{C}_{24}\text{H}_{24}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 12.27$ min.

Example 1321

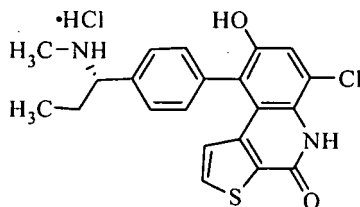
15 **9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**



20 Following General Procedure C, tert-butyl (1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclobutyl)methylcarbamate (25 mg, 0.05 mmol) was reacted with TFA (2.5 mL) to afford the desired product (16 mg, 80%) as a white solid: ^1H NMR (500 MHz, MeOD) δ 7.66 (s, 1H), 7.55 (s, 1H), 7.47 (s, 2H), 7.34 (s, 2H), 6.04 (s, 1H), 3.77 (s, 3H), 3.47 (s, 2H), 2.68 – 2.54 (m, 2H), 2.47 – 2.35 (m, 2H), 2.31 – 2.20 (m, 1H), 2.12 – 2.02 (m, 1H); ESI MS m/z 426 [$\text{C}_{23}\text{H}_{21}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 9.87$ min.

Example 1154

30 **(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride**



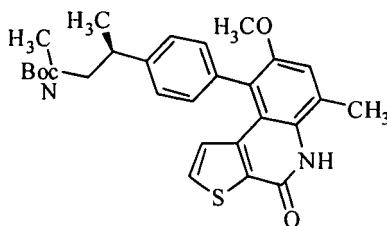
35 Following General Procedure F, (S)-tert-butyl 1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (100 mg, 0.194 mmol) was reacted with tribromoborane (1.0 M in methylene chloride 1.2 mL, 1.16 mmol) to afford the

desired product (35 mg, 45%) as a white solid: ^1H NMR (500 MHz, DMSO) δ 10.81 (d, J = 10.5 Hz, 1H), 9.83 (s, 1H), 9.70 – 9.45 (m, 1H), 9.28 (s, 1H), 7.73 (d, J = 5.4 Hz, 1H), 7.70 – 7.60 (m, 2H), 7.38 (dd, J = 12.4, 4.7 Hz, 3H), 5.70 (d, J = 5.4 Hz, 1H), 4.19 (dt, J = 12.1, 6.0 Hz, 1H), 2.51 (s, 3H), 2.20 (ddd, J = 14.4, Z

5

Example 626

(S)-tert-butyl 2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate



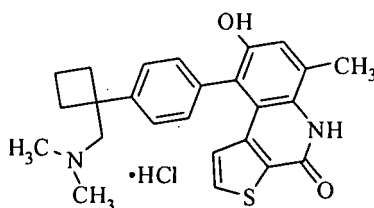
10

Following General Procedure J, (S)-tert-butyl 2-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (150 mg, 0.269 mmol) was reacted with trimethyl boroxine (102 mg, 0.8 mmol) to afford the desired product (95 mg, 75%) as a brown solid: ESI MS m/z 493 [$\text{C}_{28}\text{H}_{32}\text{BrN}_2\text{O}_4\text{S} + \text{H}$] $^+$.

15

Example 1372

9-(4-(1-((dimethylamino)methyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



20

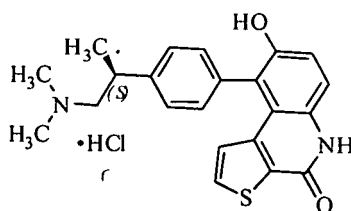
Following the procedure outlined for Example 460, 9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride (110 mg, 0.28 mmol) was reacted with formaldehyde (37% in water, 22 mg, 0.70 mmol) to afford the desired product as a white solid (28 mg, 25%): ^1H NMR (500 MHz, MeOD) δ 7.65 (t, J = 7.4 Hz, 3H), 7.43 (d, J = 8.1 Hz, 2H), 7.12 (s, 1H), 6.24 (d, J = 5.3 Hz, 1H), 3.80 (s, 2H), 2.86 (s, 6H), 2.77 – 2.67 (m, 2H), 2.60 (s, 3H), 2.52 (dt, J = 11.9, 8.8 Hz, 2H), 2.30 – 2.17 (m, 1H), 2.17 – 2.05 (m, 1H); ESI MS m/z 419 [$\text{C}_{25}\text{H}_{26}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 9.51 min.

25

30

Example 1172

(S)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

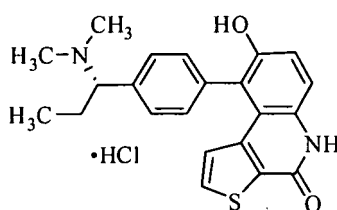


35

Following the procedure outlined for Example 460, (S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride (20 mg, 0.06 mmol)) was reacted with formaldehyde (37% in water, 5.0 mg, 0.15 mmol) to afford the desired product as a white solid (15 mg, 70%): ^1H NMR (500 MHz, MeOD) δ 7.64 – 7.51 (m, 3H), 7.45 – 7.37 (m, 2H), 7.34 (dd, J = 7.7, 1.7 Hz, 1H), 7.19 (t, J = 7.7 Hz, 1H), 6.12 (d, J = 5.4 Hz, 1H), 3.62 (td, J = 11.5, 2.6 Hz, 1H), 3.50 – 3.40 (m, 2H), 2.97 (s, 3H), 2.94 (s, 3H), 1.48 (d, J = 6.6 Hz, 3H); ESI MS m/z 379 [$\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 8.63 min.

Example 1128

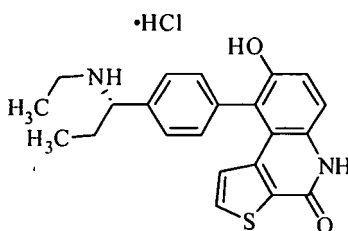
(S)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c] quinolin-4(5H)-one Hydrochloride



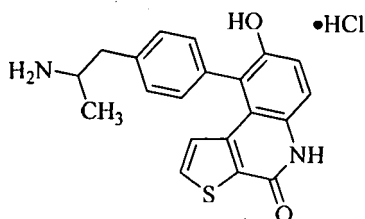
Following the procedure outlined for Example 460, (S)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride (25 mg, 0.07 mmol)) was reacted with formaldehyde (37% in water, 5.5 mg, 0.18 mmol) to afford the desired product as a white solid (12 mg, 45%): ^1H NMR (500 MHz, MeOD) δ 7.66 (ddd, J = 19.7, 7.7, 1.6 Hz, 2H), 7.55 (d, J = 5.4 Hz, 1H), 7.52 – 7.45 (m, 2H), 7.43 (d, J = 8.9 Hz, 1H), 7.20 (d, J = 8.9 Hz, 1H), 5.95 (d, J = 5.4 Hz, 1H), 4.38 (dd, J = 11.3, 4.4 Hz, 1H), 2.99 (d, J = 2.1 Hz, 3H), 2.85 (d, J = 3.2 Hz, 3H), 2.40 – 2.18 (m, 2H), 1.03 – 0.93 (m, 3H); ESI MS m/z 379 [$\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.4% (AUC), t_R = 8.14 min.

Example 1127

(S)-9-(4-(1-(ethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c] quinolin-4(5H)-one Hydrochloride

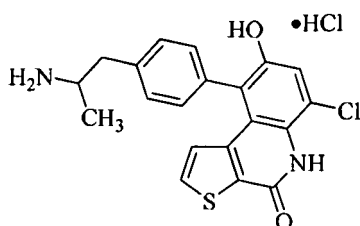


Following the procedure outlined for Example 460, (S)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride (30 mg, 0.09 mmol)) was reacted with formaldehyde (37% in water, 9.5 mg, 0.21 mmol) to afford the desired product as a white solid (15 mg, 46%): ^1H NMR (500 MHz, MeOD) δ 7.68 – 7.57 (m, 2H), 7.54 (d, J = 5.4 Hz, 1H), 7.45 (ddd, J = 17.0, 10.1, 5.3 Hz, 3H), 7.19 (d, J = 8.9 Hz, 1H), 5.97 (d, J = 5.4 Hz, 1H), 4.26 (dd, J = 11.1, 4.3 Hz, 1H), 3.11 (tt, J = 14.6, 7.3 Hz, 1H), 3.05 – 2.95 (m, 1H), 2.25 (ddd, J = 13.0, 7.4, 4.4 Hz, 1H), 2.09 (ddd, J = 13.1, 11.2, 7.4 Hz, 1H), 1.35 (t, J = 7.3 Hz, 3H); ESI MS m/z 379 [$\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.4% (AUC), t_R = 8.51 min.

Example 1095**9-(4-(2-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

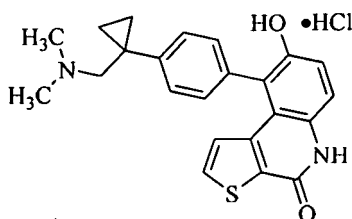
Following General Procedure F, tert-butyl

1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propan-2-ylcarbamate (650 mg, 1.40 mmol) was reacted with BBr_3 (1.0 M in CH_2Cl_2 , 10 mL, 10 mmol) to afford the desired product (152 mg, 31%) as a light yellow solid: $^1\text{H NMR}$ (500 MHz, CD_3OD) δ 7.56 (d, J = 5.4 Hz, 1H), 7.49 (d, J = 7.9 Hz, 1H), 7.43 (dd, J = 13.3, 8.3 Hz, 2H), 7.34 (d, J = 7.9 Hz, 2H), 7.18 (d, J = 8.9 Hz, 1H), 6.11 (d, J = 5.4 Hz, 1H), 3.71 – 3.60 (m, 1H), 3.05 (dd, J = 7.2, 2.2 Hz, 2H), 1.40 (d, J = 6.6 Hz, 3H); ESI MS m/z 351 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.6% (AUC), t_R = 8.08 min.

Example 1106**9-(4-(2-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F, a tert-butyl

1-(4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propan-2-ylcarbamate (55 mg, 0.11 mmol) was reacted with BBr_3 (1.0 M in CH_2Cl_2 , 2 mL, 2 mmol) to afford the desired product (28 mg, 66%) as a white solid: $^1\text{H NMR}$ (500 MHz, CD_3OD) δ 7.61 (d, J = 5.4 Hz, 1H), 7.47 (dd, J = 22.8, 7.8 Hz, 2H), 7.36 – 7.29 (m, 3H), 6.08 (d, J = 5.4 Hz, 1H), 3.65 (dd, J = 13.7, 6.9 Hz, 1H), 3.05 (ddd, J = 34.9, 13.6, 7.3 Hz, 2H), 1.39 (d, J = 6.6 Hz, 3H); ESI MS m/z 385 [$\text{C}_{20}\text{H}_{17}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 8.77 min.

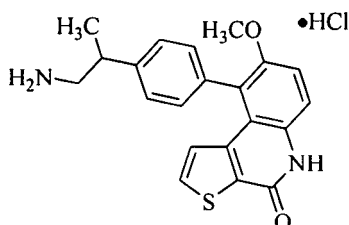
Example 379**9-(4-(1-((dimethylamino)methyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following the procedure outlined for Example 1387,

9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride (10 mg, 0.03 mmol) was reacted with paraformaldehyde (8 mg, 0.11 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (7.0 mg, 87%) as a light yellow solid: ¹H NMR (500 MHz, CD₃OD) δ 7.70 (d, *J* = 7.9 Hz, 2H), 7.58 (d, *J* = 5.4 Hz, 1H), 7.39 (dd, *J* = 25.8, 8.4 Hz, 3H), 7.18 (d, *J* = 8.9 Hz, 1H), 6.12 (d, *J* = 5.4 Hz, 1H), 2.94 (s, 6H), 1.34 – 1.25 (m, 2H), 1.23 – 1.14 (m, 2H); ESI MS *m/z* 391 [C₂₃H₂₂N₂O₂S + H]⁺; HPLC 96.7% (AUC), *t_R* = 8.72 min.

Example 373

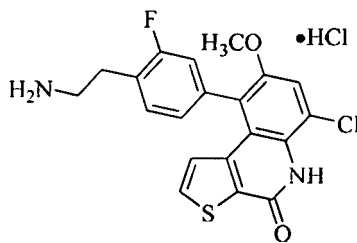
9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



To a solution of 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile (50 mg, 0.14 mmol) in toluene (13 mL) at 0 °C was added BH₃•THF (1.0 M, 13 mL, 13 mmol) and the reaction was warmed to room temperature and heated at reflux for 4 h. The reaction was quenched by pouring onto water or ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a light yellow solid (5.4 mg, 10%): ¹H NMR (500 MHz, CD₃OD) δ 7.60 – 7.49 (m, 3H), 7.47 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.39 (d, *J* = 9.1 Hz, 1H), 7.34 – 7.25 (m, 2H), 6.00 (d, *J* = 5.4 Hz, 1H), 3.75 (s, 3H), 3.30 – 3.18 (m, 3H), 1.49 (d, *J* = 6.5 Hz, 3H); ESI MS *m/z* 365 [C₂₁H₂₀N₂O₂S + H]⁺; HPLC 99% (AUC), *t_R* = 8.76 min.

Example 1218

9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

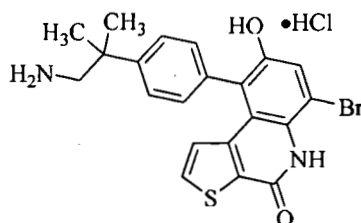


Following General Procedure F, tert-butyl 2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate (70 mg, 0.14 mmol) was treated with BBr₃ (1.0 M in CH₂Cl₂, 5 mL, 5 mmol) to afford the desired product as a white solid (17 mg, 30%): ¹H NMR (500 MHz, CD₃OD) δ 7.66 (d, *J* = 5.4 Hz, 1H), 7.54 (s, 1H), 7.50 (t, *J* = 7.9 Hz, 1H), 7.10 (d, *J* = 7.9 Hz, 2H), 6.09 (d, *J* = 5.4 Hz, 1H), 3.76 (s, 3H), 3.25 – 3.06 (m, 4H); ESI MS *m/z* 403

$[\text{C}_{20}\text{H}_{16}\text{ClFN}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC 97.9% (AUC), $t_R = 9.63$ min.

Example 1247

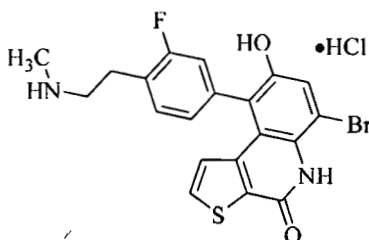
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate (110 mg, 0.20 mmol) was treated with BBr_3 (1.0 M in CH_2Cl_2 , 10 mL, 10 mmol) to afford the desired product as a brown solid (39 mg, 45%); ESI MS m/z 443 $[\text{C}_{21}\text{H}_{19}\text{BrN}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC 96.3% (AUC), $t_R = 9.56$ min.

Example 1245

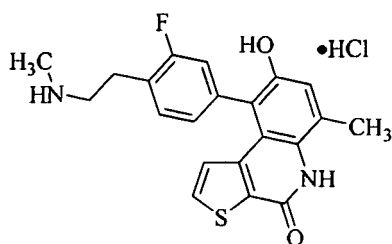
6-bromo-9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-fluorophenethyl(methyl)carbamate (90 mg, 0.16 mmol) in CH_2Cl_2 at 0°C was added BBr_3 (1.0 M in CH_2Cl_2 , 6 mL, 6 mmol) and the reaction was warmed to room temperature for 4 h. The reaction was quenched by pouring onto water or ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a white solid (15 mg, 21%); ESI MS m/z 447 $[\text{C}_{20}\text{H}_{16}\text{BrFN}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC >99% (AUC), $t_R = 9.26$ min.

Example 1258

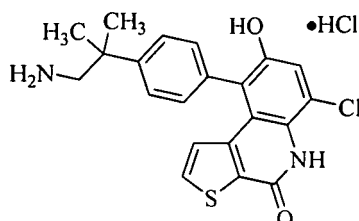
9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl(methyl)carbamate (60 mg, 0.12 mmol) in CH₂Cl₂ at 0 °C was added BBr₃ (1.0 M in CH₂Cl₂, 6 mL, 6 mmol) and the reaction was warmed to room temperature for 4 h. The reaction was quenched by pouring onto water or ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a off-white solid (31 mg, 67%): ¹H NMR (500 MHz, CD₃OD) δ 7.63 (d, *J* = 5.4 Hz, 1H), 7.51 (t, *J* = 7.9 Hz, 1H), 7.15 – 7.06 (m, 3H), 6.20 (d, *J* = 5.4 Hz, 1H), 3.42 – 3.35 (m, 2H), 3.30 – 3.10 (m, 2H), 2.80 (s, 3H), 2.57 (s, 3H); ESI MS *m/z* 383 [C₂₁H₁₉FN₂O₂S + H]⁺; HPLC >99% (AUC), *t_R* = 8.65 min.

Example 1260

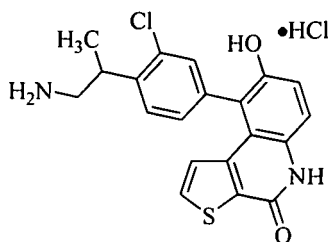
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropylcarbamate (70 mg, 0.14 mmol) in CH₂Cl₂ at 0 °C was added BBr₃ (1.0 M in CH₂Cl₂, 5 mL, 5 mmol) and the reaction was warmed to room temperature for 4 h. The reaction was quenched by pouring onto water or ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a brown solid (12 mg, 38%): ¹H NMR (500 MHz, CD₃OD) δ 7.66 (d, *J* = 8.4 Hz, 2H), 7.63 (d, *J* = 5.4 Hz, 1H), 7.36 (d, *J* = 8.4 Hz, 2H), 7.32 (s, 1H), 6.13 (d, *J* = 5.4 Hz, 1H), 3.29 (s, 2H), 1.58 (s, 6H); ESI MS *m/z* 399 [C₂₁H₁₉ClN₂O₂S + H]⁺; HPLC 98.8% (AUC), *t_R* = 9.26 min.

Example 1280

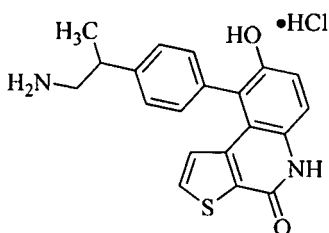
9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, tert-butyl 2-(2-chloro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (120 mg, 0.24 mmol) in CH₂Cl₂ at 0 °C was added BBr₃ (1.0 M in CH₂Cl₂, 15 mL, 15 mmol) and the reaction was warmed to room temperature for 4 h. The reaction was quenched by pouring onto water or ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a brown solid (40 mg, 43%): ¹H NMR (500 MHz, CD₃OD) δ 7.65 (ddd, *J* = 9.9, 9.3, 6.1 Hz, 2H), 7.46 – 7.36 (m, 2H), 7.33 – 7.27 (m, 1H), 7.18 (t, *J* = 9.0 Hz, 1H), 6.10 (dd, *J* = 28.8, 5.4 Hz, 1H), 3.86 – 3.66 (m, 1H), 3.29 – 3.12 (m, 2H), 1.44 – 1.28 (m, 3H); ESI MS *m/z* 385 [C₂₀H₁₇ClN₂O₂S + H]⁺; HPLC >99% (AUC), *t_R* = 9.07 min.

Example 1111

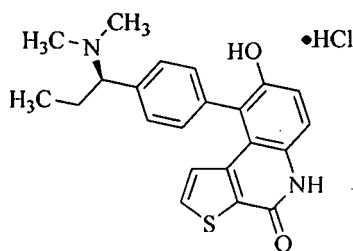
9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, 9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one (120 mg, 0.33 mmol) in CH₂Cl₂ at 0 °C was added BBr₃ (1.0 M in CH₂Cl₂, 5 mL, 5 mmol) and the reaction was warmed to room temperature for 4 h. The reaction was quenched by pouring onto water or ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a light yellow solid (48 mg, 42%): ¹H NMR (500 MHz, CD₃OD) δ 7.57 (dd, *J* = 12.7, 6.7 Hz, 2H), 7.47 (d, *J* = 6.9 Hz, 1H), 7.42 (d, *J* = 8.9 Hz, 1H), 7.37 (d, *J* = 7.9 Hz, 1H), 7.32 (d, *J* = 7.7 Hz, 1H), 7.18 (d, *J* = 8.9 Hz, 1H), 6.15 (d, *J* = 5.4 Hz, 1H), 3.28 – 3.17 (m, 3H), 1.50 (d, *J* = 6.1 Hz, 3H); ESI MS *m/z* 351 [C₂₀H₁₈N₂O₂S + H]⁺; HPLC >99% (AUC), *t_R* = 8.25 min.

Example 1151

(R)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

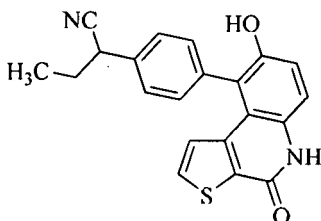


Following the procedure outlined for Example 1387,

(R)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride (20 mg, 0.06 mmol) was reacted with paraformaldehyde (10 mg, 0.17 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (5 mg, 24%) as a light yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.71 (dd, $J = 10.5, 7.8$ Hz, 2H), 7.64 (d, $J = 5.4$ Hz, 1H), 7.47 (t, $J = 7.1$ Hz, 2H), 7.31 (d, $J = 8.9$ Hz, 2H), 6.01 (d, $J = 5.4$ Hz, 1H), 4.66 (q, $J = 6.9$ Hz, 1H), 2.97 (s, 3H), 2.86 (s, 3H), 2.20 – 2.00 (m, 2H), 1.86 (d, $J = 7.0$ Hz, 3H); ESI MS m/z 379 [$\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 95.6% (AUC), $t_R = 8.92$ min.

Example 1162

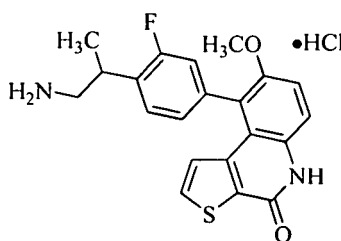
2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butanenitrile



Following General Procedure F, 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butanenitrile (80 mg, 0.21 mmol) in CH_2Cl_2 at 0°C was added BBr_3 (1.0 M in CH_2Cl_2 , 3 mL, 3 mmol) and the reaction was warmed to room temperature for 4 h. The reaction was quenched by pouring onto water or ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a light yellow solid (4.3 mg, 6%): ^1H NMR (500 MHz, CD_3OD) δ 7.56 (dd, $J = 10.8, 4.6$ Hz, 3H), 7.43 – 7.31 (m, 3H), 7.17 (d, $J = 8.9$ Hz, 1H), 6.00 (d, $J = 5.4$ Hz, 1H), 4.15 (t, $J = 7.2$ Hz, 1H), 2.07 (p, $J = 7.3$ Hz, 2H), 1.16 (t, $J = 7.4$ Hz, 3H); ESI MS m/z 361 [$\text{C}_{21}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.5% (AUC), $t_R = 12.2$ min.

Example 1174

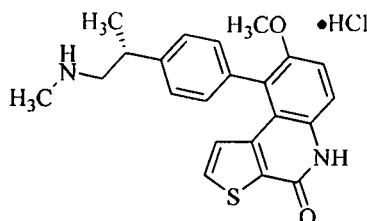
9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure C tert-butyl 2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (120 mg, 0.25 mmol) was reacted with TFA (10 mL) to afford the desired product (35 mg, 37%) as a off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.64 (dd, $J = 5.4, 3.1$ Hz, 1H), 7.54 (ddd, $J = 25.0, 11.7, 5.2$ Hz, 2H), 7.40 (dd, $J = 9.1, 1.1$ Hz, 1H), 7.18 – 7.06 (m, 2H), 6.10 (dd, $J = 27.5, 5.4$ Hz, 1H), 3.77 (s, 3H), 3.64 – 3.43 (m, 1H), 3.42 – 3.22 (m, 2H), 1.51 (d, $J = 7.0$ Hz, 3H); ESI MS m/z 383 [$\text{C}_{21}\text{H}_{19}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 96.5% (AUC), $t_R = 9.07$ min.

Example 1189

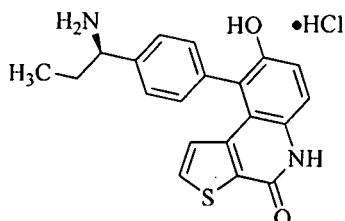
(R)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



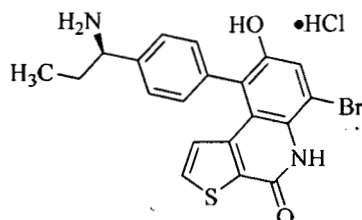
Following General Procedure C (R)-tert-butyl 2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl(methyl)carbamate (120 mg, 0.25 mmol) was reacted with TFA (8 mL) to afford the desired product (56 mg, 59%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.60 – 7.51 (m, 3H), 7.48 (dd, $J = 7.8, 1.9$ Hz, 1H), 7.39 (d, $J = 9.1$ Hz, 1H), 7.31 (ddd, $J = 15.8, 7.8, 1.8$ Hz, 2H), 5.99 (d, $J = 5.4$ Hz, 1H), 3.75 (s, 3H), 3.46 – 3.36 (m, 1H), 3.37 – 3.24 (m, 2H), 2.76 (s, 3H), 1.49 (d, $J = 6.7$ Hz, 3H); ESI MS m/z 379 [$\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 8.96$ min.

Example 1131

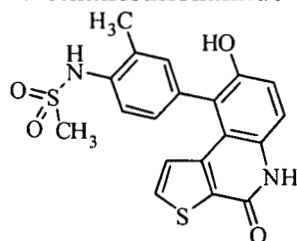
(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (R)-tert-butyl 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (70 mg, 0.15 mmol) in CH_2Cl_2 at 0 °C was added BBr_3 (1.0 M in CH_2Cl_2 , 3 mL, 3 mmol) and the reaction was warmed to room temperature for 4 h. The reaction was quenched by pouring onto water or ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a light yellow solid (35 mg, 67%): ^1H NMR (500 MHz, CD_3OD) δ 7.61 (s, 2H), 7.55 (d, $J = 5.4$ Hz, 1H), 7.45 – 7.40 (m, 3H), 7.18 (d, $J = 8.9$ Hz, 1H), 6.03 (d, $J = 5.4$ Hz, 1H), 4.32 (dd, $J = 9.2, 5.9$ Hz, 1H), 2.23 – 2.01 (m, 2H), 1.04 (t, $J = 7.4$ Hz, 3H); ESI MS m/z 351 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 8.06$ min.

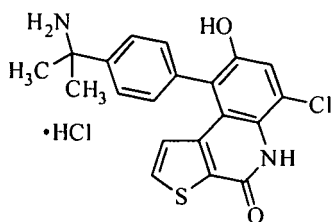
Example 1150**(R)-9-(4-(1-aminopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F, (R)-tert-butyl 1-(4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propylcarbamate (50 mg, 0.09 mmol) in CH₂Cl₂ at 0 °C was added BBr₃ (1.0 M in CH₂Cl₂, 5 mL, 5 mmol) and the reaction was warmed to room temperature for 4 h. The reaction was quenched by pouring onto water or ice-water and the resulting mixture was concentrated and purified by preparatory HPLC (C18 silica, acetonitrile/water (with 0.05% TFA) gradient). The desired product was dissolved in aqueous HCl, concentrated and dried under high vacuum to afford the desired product as a light yellow solid (36 mg, 92%): ¹H NMR (500 MHz, CD₃OD) δ 7.65 – 7.57 (m, 3H), 7.50 – 7.46 (m, 1H), 7.45 – 7.39 (m, 2H), 6.02 (d, *J* = 5.4 Hz, 1H), 4.32 (dd, *J* = 9.2, 6.0 Hz, 1H), 2.20 – 2.00 (m, 2H), 1.03 (t, *J* = 7.4 Hz, 3H); ESI MS *m/z* 429 [C₂₀H₁₇BrN₂O₂S + H]⁺; HPLC >99% (AUC), *t_R* = 9.17 min.

Example 254**N-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl]methanesulfonamide**

Following General Procedure F, N-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl]methanesulfonamide (47 mg, 0.11 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 3.0 mL, 3.0 mmol) to afford the desired product (17 mg, 39%) as an off-white solid: ¹H NMR (500 MHz, CD₃OD) δ 7.58 (d, *J* = 5.4 Hz, 1H), 7.55 (d, *J* = 8.1 Hz, 1H), 7.39 (d, *J* = 8.9 Hz, 1H), 7.21 (s, 1H), 7.17–7.14 (m, 2H), 6.10 (d, *J* = 5.4 Hz, 1H), 3.40 (s, 3H), 2.43 (s, 3H); ESI MS *m/z* 401 [C₁₉H₁₆N₂O₄S₂ + H]⁺; HPLC 96.4% (AUC), *t_R* = 10.23 min.

Example 334**9-[4-(2-Aminopropan-2-yl)phenyl]-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

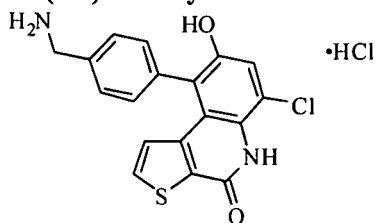


Following General Procedure F, tert-butyl

2-[4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propan-2-ylcarbamate (10 mg, 0.020 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (9.7 mg, 97%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.71 (d, J = 8.4 Hz, 2H), 7.60 (d, J = 5.4 Hz, 1H), 7.42 (d, J = 8.4 Hz, 2H), 7.30 (s, 1H), 6.06 (d, J = 5.4 Hz, 1H), 1.86 (s, 6H); ESI MS m/z 385 [$\text{C}_{20}\text{H}_{17}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 10.89 min

Example 329

9-[4-(Aminomethyl)phenyl]-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

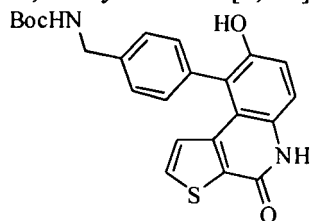


Following General Procedure F, tert-butyl

4-(6-chloro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (15 mg, 0.032 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1 mL, 1 mmol) to afford the desired product (10 mg, 80%) as a brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.64 (d, J = 8.2 Hz, 2H), 7.59 (d, J = 5.4 Hz, 1H), 7.43–7.38 (m, 2H), 7.30 (s, 1H), 6.08 (d, J = 5.4 Hz, 1H), 4.27 (s, 2H); ESI MS m/z 357 [$\text{C}_{18}\text{H}_{13}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; ESI MS m/z 357 [$\text{C}_{18}\text{H}_{13}\text{ClN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.4% (AUC), t_R = 8.62 min.

Example 457

tert-Butyl 4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate

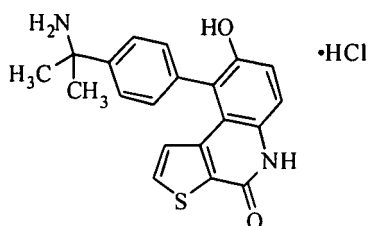


Following the procedure from Example 463,

9-[4-(aminomethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (320 mg, 1.0 mmol) was reacted with di-tert-butyl dicarbonate (260 mg, 1.2 mmol) to afford the desired product (150 mg, 36%); ESI MS m/z 323 [$\text{C}_{23}\text{H}_{22}\text{N}_2\text{O}_4\text{S} + \text{H}$] $^+$.

Example 319

9-[4-(2-Aminopropan-2-yl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

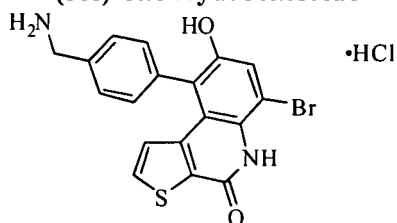


Following General Procedure F, tert-butyl

2-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propan-2-ylcarbamate (29 mg, 0.063 mmol) was reacted with tribromoborane (1.0 M, 1.0 mL, 0.10 mmol) to afford the desired product (12 mg, 52%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.70 (d, J = 8.4 Hz, 2H), 7.55 (d, J = 5.4 Hz, 1H), 7.46 – 7.37 (m, J = 8.6, 7.7 Hz, 3H), 7.17 (d, J = 8.9 Hz, 1H), 6.07 (d, J = 5.4 Hz, 1H), 1.86 (s, 6H); ESI MS m/z 351 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.3% (AUC), t_R = 10.48 min.

Example 270

9-[4-(Aminomethyl)phenyl]-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

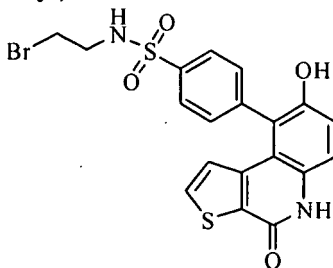


Following General Procedure F, tert-butyl

4-(6-bromo-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (10 mg, 0.019 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.0 mL, 1.0 mmol) to afford the desired product (3.9 mg, 47%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.64 (d, J = 8.1 Hz, 2H), 7.60 (d, J = 5.4 Hz, 1H), 7.47 (s, 1H), 7.42–7.40 (m, 2H), 6.08 (d, J = 5.5 Hz, 1H), 4.27 (s, 2H); ESI MS m/z 403 [($\text{C}_{18}\text{H}_{13}\text{BrN}_2\text{O}_2\text{S} + 2$) + H] $^+$; HPLC 97.1% (AUC), t_R = 7.90 min.

Example 210

N-(2-Bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide

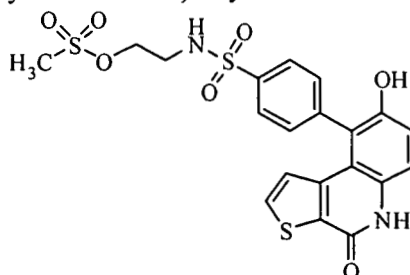


Following General Procedure F,

N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide (1.7 g, 3.9 mmol) was reacted with tribromoborane (3.7 mL, 24 mmol) to afford the desired product (1.7 g, 91%) as an off-white solid: ^1H NMR (500 MHz, $\text{DMSO}-d_6$) δ 11.84 (s, 1H), 9.43 (s, 1H), 8.16 (t, J = 5.9 Hz, 1H), 7.94 (d, J = 8.3 Hz, 2H), 7.75 (d, J = 5.4 Hz, 1H), 7.52 (d, J = 8.3 Hz, 2H), 7.41 (d, J = 8.9 Hz, 1H), 7.19 (d, J = 8.9 Hz, 1H), 5.83 (d, J = 5.4 Hz, 1H), 3.51 (t, J = 6.4 Hz, 2H), 3.28 (q, J = 6.2 Hz, 2H); ESI MS m/z 478 [$\text{C}_{19}\text{H}_{15}\text{BrN}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC 98.5% (AUC), t_R = 15.23 min.

Example 458

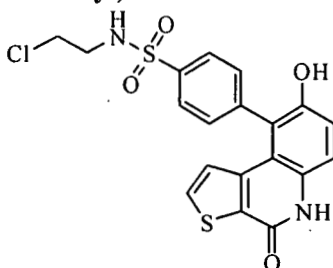
2-{4-[8-Hydroxy-4-oxo-4,5-dihydrothieno(2,3-c)quinolin-9-yl]
phenylsulfonamido}ethyl methanesulfonate



- 5 To a solution of
N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfona
mide (390 mg, 0.90 mmol) and triethylamine (450 mg, 4.5 mmol) in anhydrous THF (20 mL)
was added methane sulfonyl chloride (0.21 mL, 2.7 mmol) and the reaction mixture was stirred
for 20 h at room temperature. The resulting precipitate was filtered and the filter cake was
10 washed with THF (50 mL). The filtrate was concentrated and the residue was purified by flash
chromatography (silica, ethyl acetate/hexanes gradient) to afford the desired product as a brown
solid (250 mg, 55%). ¹H NMR (300 MHz, DMSO-d₆) δ 11.93 (s, 1H), 8.14 (t, J = 11.7 Hz,
1H), 7.95 (d, J = 8.4 Hz, 2H), 7.79 (d, J = 5.4 Hz, 1H), 7.56 (d, J = 9.0 Hz, 1H), 7.53 (d, J = 8.4
Hz, 2H), 7.43 (d, J = 9.0 Hz, 1H), 5.74 (d, J = 5.4 Hz, 1H), 4.24 (t, J = 10.5 Hz, 2H), 3.71 (s, 3H),
15 3.20 (q, J = 11.7 Hz, 2H) ESI MS m/z 509 [C₂₁H₂₀N₂O₇S₃ + H]⁺

Example 332

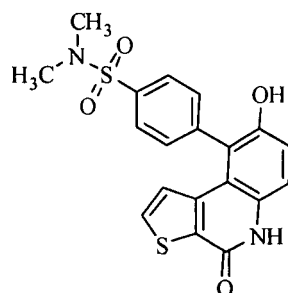
N-(2-Chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]
quinolin-9-yl)benzenesulfonamide



- 20 To a solution of
2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenylsulfonamido)ethyl
methanesulfonate (250 mg, 0.49 mmol) in anhydrous dichloroethane was added aluminum
chloride (330 mg, 2.5 mmol) and the reaction mixture was heated at reflux for 20 h. The
reaction was cooled to room temperature, concentrated and quenched with methanol (10 mL).
25 The resulting mixture was allowed to stand at room temperature for 1 h and the resulting
precipitate was filtered and dried to afford the desired product (88 mg, 41%) as an off-white
solid: ¹H NMR (500 MHz, DMSO-d₆) δ 11.83 (s, 1H), 9.42 (s, 1H), 8.13 (t, J = 7.2 Hz, 1H),
7.94 (d, J = 5.1 Hz, 2H), 7.74 (d, J = 3.3 Hz, 1H), 7.51 (d, J = 5.1 Hz, 2H), 7.41 (d, J = 5.4 Hz,
1H), 7.18 (d, J = 5.4 Hz, 1H), 5.82 (d, J = 3.3 Hz, 1H), 3.65 (t, J = 3.9 Hz, 2H), 3.22 (q, J = 3.6
30 Hz, 2H); ESI MS m/z 435 [C₁₉H₁₅ClN₂O₄S₂ + H]⁺; HPLC 97.2% (AUC), t_R = 14.98 min,

Example 304

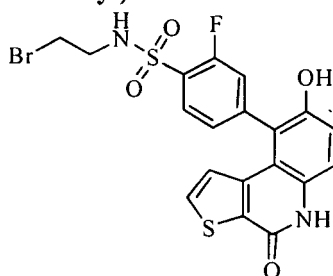
4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonami
de



Following General Procedure F, the crude material from Example 74, 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide (33 mg, 0.080 mmol), was reacted with tribromoborane (0.2 mL) to afford the desired product (9 mg, 7% over 2 steps) as a brown solid: ^1H NMR (500 MHz, DMSO- d_6) δ 11.85 (s, 1H), 9.44 (s, 1H), 7.88 (dd, J = 6.8, 1.6 Hz, 2H), 7.79 (d, J = 5.4 Hz, 1H), 7.57 (dd, J = 6.6, 1.7 Hz, 2H), 7.42 (d, J = 8.9 Hz, 1H), 7.20 (d, J = 8.9 Hz, 1H), 5.69 (d, J = 5.4 Hz, 1H), 2.71 (s, 6H); ESI MS m/z 401 [$\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC 94.5% (AUC), t_R = 14.84 min.

Example 297

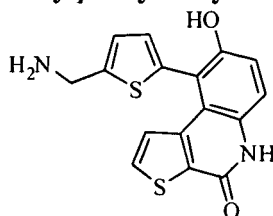
N-(2-Bromoethyl)-2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide



Following General Procedure F, 2-fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide (52 mg, 0.12 mmol) was reacted with tribromoborane (0.80 mL, 0.23 mmol) to afford the desired product (47 mg, 81%) as a white solid: ^1H NMR (500 MHz, DMSO- d_6) δ 11.86 (s, 1H), 9.52 (s, 1H), 8.45 (t, J = 5.7 Hz, 1H), 7.92 (t, J = 7.8 Hz, 1H), 7.80 (d, J = 5.5 Hz, 1H), 7.46 (d, J = 10.9 Hz, 1H), 7.42 (d, J = 8.9 Hz, 1H), 7.30 (dd, J = 8.0, 1.4 Hz, 1H), 7.19 (d, J = 9.0 Hz, 1H), 6.00 (d, J = 5.4 Hz, 1H), 3.53 (t, J = 6.3 Hz, 2H), 3.42 (q, J = 6.0 Hz, 2H); ESI MS m/z 499 [$\text{C}_{19}\text{H}_{14}\text{BrFN}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC 98.3% (AUC), t_R = 15.61 min.

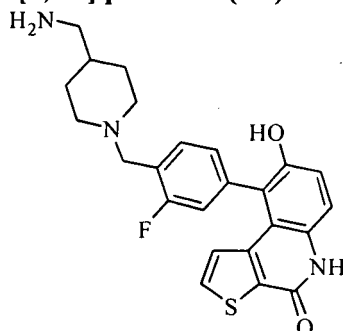
Example 266

9-[5-(Aminomethyl)thiophen-2-yl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one



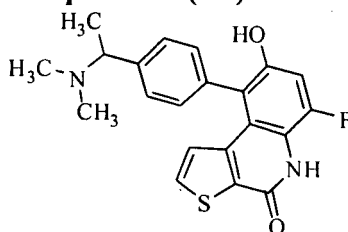
Following General Procedure F, tert-butyl [5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)thiophen-2-yl]methylcarbamate (30 mg, 0.067 mmol) was reacted with tribromoborane (0.50 mL) to afford the desired product (25 mg, 91%) as a off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.67 (d, J = 5.4 Hz, 1H), 7.43 (d,

$J = 9.0$ Hz, 1H), 7.16–7.14 (m, 2H), 6.86 (d, $J = 3.5$ Hz, 1H), 6.35 (d, $J = 5.4$ Hz, 1H), 4.12 (s, 2H); ESI MS m/z 329 [$C_{16}H_{12}N_2O_2S_2 + H$] $^+$; HPLC 95.6% (AUC), $t_R = 9.59$ min.

Example 235**9-{4-[(4-(Aminomethyl)piperidin-1-yl)methyl]-3-fluorophenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one**

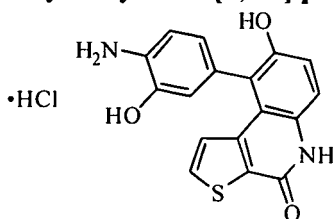
Following General Procedure F, tert-Butyl

{1-[2-Fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl]piperidin-4-yl} methylcarbamate (10 mg, 0.020 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.20 mL, 0.20 mmol) to afford the desired product (6.0 mg, 65%) as a light yellow solid: ^1H NMR (500 MHz, $CD_3CN + D_2O$) δ 7.73 (t, $J = 7.8$ Hz, 1H), 7.63 (d, $J = 5.4$ Hz, 1H), 7.46 (d, $J = 8.9$ Hz, 1H), 7.24 (d, $J = 8.9$ Hz, 1H), 7.21–7.18 (m, 2H), 6.07 (d, $J = 5.4$ Hz, 1H), 4.45 (q, 14.0 Hz, 2H), 3.15–3.11 (m, 2H), 2.94–2.90 (m, 2H), 2.51 (s, 2H), 2.09–2.06 (m, 3H), 2.00–1.96 (m, 4H); ESI MS m/z 438 [$C_{24}H_{24}FN_3O_2S + H$] $^+$; HPLC 94.6% (AUC), $t_R = 7.26$ min.

Example 225**9-{4-[2-(Dimethylamino)ethyl]phenyl}-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one**

Following General Procedure F,

9-{4-[1-(dimethylamino)ethyl]phenyl}-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one (6.0 mg, 0.015 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.10 mL, 0.075 mmol) to afford the desired product (5.2 mg, 90%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.72 (t, $J = 9.4$ Hz, 2H), 7.63 (d, $J = 5.4$ Hz, 1H), 7.46 (t, $J = 7.6$ Hz, 2H), 7.04 (d, $J = 12.0$ Hz, 1H), 6.02 (d, $J = 5.4$ Hz, 1H), 4.68 (q, $J = 4.3$ Hz, 1H), 2.98 (s, 3H), 2.87 (s, 3H), 1.87 (d, $J = 7.0$ Hz, 3H); ESI MS m/z 383 [$C_{21}H_{19}FN_2O_2S + H$] $^+$; HPLC 96.0 % (AUC), $t_R = 9.97$ min.

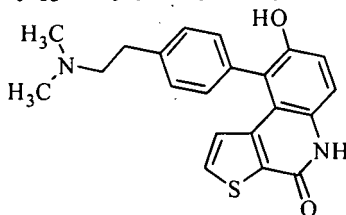
Example 217**9-(4-Amino-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F,

9-(4-Amino-3-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one (20 mg, 0.060 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.20 mL, 0.18 mmol) to afford the desired product (16 mg, 84%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.62 (d, J = 5.4 Hz, 1H), 7.48 (d, J = 8.0 Hz, 1H), 7.42 (d, J = 8.9 Hz, 1H), 7.18 (d, J = 8.9 Hz, 1H), 6.97 (d, J = 1.7 Hz, 1H), 6.91 (dd, J = 8.0, 1.7 Hz, 1H), 6.21 (d, J = 5.4 Hz, 1H); ESI MS m/z 325 $[\text{C}_{17}\text{H}_{12}\text{N}_2\text{O}_3\text{S} + \text{H}]^+$; HPLC 94.3% (AUC), t_R = 8.17 min.

Example 93

9-{4-[2-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

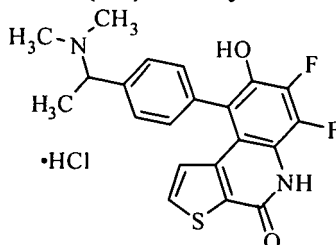


Following General Procedure F,

9-{4-[2-(dimethylamino)ethyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one (25 mg, 0.060 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.20 mL, 0.18 mmol) to afford the desired product (20 mg, 89%) as a yellow solid: ^1H NMR (500 MHz, $\text{CD}_3\text{CN} + \text{D}_2\text{O}$) δ 7.55 (d, J = 5.4 Hz, 1H), 7.43–7.41 (m, 3H), 7.22–7.18 (m, 3H), 5.99 (d, J = 5.4 Hz, 1H), 3.00 (s, 4H), 2.56 (s, 6H); ESI MS m/z 365 $[\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC 98.3% (AUC), t_R = 9.24 min.

Example 341

9-{4-[1-(Dimethylamino)ethyl]phenyl}-6,7-difluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

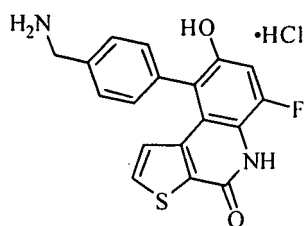


Following General Procedure F,

9-{4-[1-(dimethylamino)ethyl]phenyl}-6,7-difluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one (20 mg, 0.050 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.50 mL, 0.50 mmol) to afford the desired product (15 mg, 72%) as an off-white solid: ^1H NMR (500 MHz, $\text{CD}_3\text{CN} + \text{D}_2\text{O}$) δ 7.68–7.67 (m, 2H), 7.61–7.59 (m, 1H), 7.43–7.41 (m, 2H), 5.86–5.84 (m, 1H), 4.58–4.54 (m, 1H), 2.86 (s, 3H), 2.76 (s, 3H), 1.79–1.77 (m, 3H); ESI MS m/z 401 $[\text{C}_{21}\text{H}_{18}\text{F}_2\text{N}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC 97.8% (AUC), t_R = 9.35 min.

Example 256

9-[4-(Aminomethyl)phenyl]-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

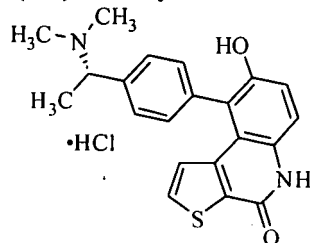


Following General Procedure F, tert-butyl

4-(6-fluoro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (30 mg, 0.075 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.75 mL, 0.75 mmol) to afford the desired product (22 mg, 88%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.64 (d, J = 8.0 Hz, 2H), 7.58 (d, J = 5.4 Hz, 1H), 7.39 (d, J = 8.0 Hz, 2H), 7.02 (d, J = 12.0 Hz, 1H), 6.07 (d, J = 5.4 Hz, 1H), 4.28 (s, 2H); ESI MS m/z 341 [$\text{C}_{18}\text{H}_{13}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 8.34 min.

Example 335

(S)-9-[4-[1-(Dimethylamino)ethyl]phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

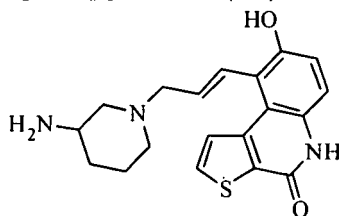


Following the procedure outlined for Example 460,

(S)-9-[4-(1-aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.30 mmol) was reacted with formaldehyde (37% in water, 27 mg, 0.89 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (43 mg, 40%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.69 (q, J = 7.8 Hz, 2H), 7.58 (d, J = 5.4 Hz, 1H), 7.48–7.42 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.01 (d, J = 5.4 Hz, 1H), 4.65 (q, J = 6.6 Hz, 1H), 2.97 (s, 3H), 2.87 (s, 3H), 1.86 (d, J = 7.0 Hz, 3H); ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 9.30 min.

Example 459

(E)-9-[3-(3-Aminopiperidin-1-yl)prop-1-enyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one



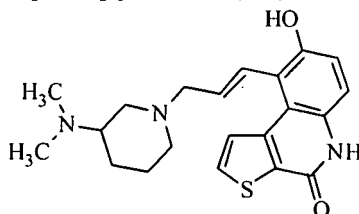
Following General Procedure F, (E)-tert-Butyl

1-[3-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)allyl]piperidin-3-ylcarbamate (320 mg, 0.88 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 4.0 mL, 4.0 mmol) to afford the desired product (100 mg, 41%) as a yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 8.00 (dd, J = 19.3, 5.4 Hz, 2H), 7.32 (d, J = 8.9 Hz, 1H), 7.24 (d, J = 16.0 Hz, 1H), 7.12 (d, J = 8.9 Hz, 1H), 6.39–6.25 (m, 1H), 4.25 (d, J = 6.8 Hz, 1H), 3.94 (d, J = 11.4 Hz, 1H),

3.83 (d, $J = 11.9$ Hz, 1H), 3.76–3.65 (m, 1H), 3.28–3.10 (m, 2H), 2.24 (dd, $J = 35.1, 13.6$ Hz, 2H), 2.06–1.98 (m, 1H), 1.81–1.68 (m, 1H).

Example 460

(E)-9-{3-[3-(Dimethylamino)piperidin-1-yl]prop-1-enyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

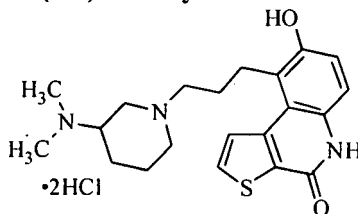


A solution of

(E)-9-[3-(3-aminopiperidin-1-yl)prop-1-enyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (60 mg, 0.15 mmol) and formaldehyde (37% in water, 13 mg, 0.44 mmol) in methanol (1 mL) was stirred at room temperature for 30 min followed by the addition of sodium cyanoborohydride (28 mg, 0.44 mmol). The reaction mixture was stirred at room temperature overnight, concentrated and partitioned between water and ethyl acetate. The layers were separated and the aqueous layer was extracted with methylene chloride. The combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated. The residue was purified by preparatory HPLC (C18 silica, water/acetonitrile w/0.05% TFA gradient) to afford the desired product (30 mg, 53%) as a yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.97 (dd, $J = 19.4, 5.3$ Hz, 2H), 7.30 (d, $J = 8.9$ Hz, 1H), 7.23 (d, $J = 15.9$ Hz, 1H), 7.07 (d, $J = 8.9$ Hz, 1H), 6.33–6.22 (m, 1H), 4.32–4.18 (m, 3H), 3.90–3.77 (m, 2H), 3.47 (t, $J = 11.6$ Hz, 1H), 3.18 (t, $J = 11.3$ Hz, 1H), 3.01 (s, 6H), 2.40–2.23 (m, 2H), 2.10–1.84 (m, 2H).

Example 298

9-{3-[3-(Dimethylamino)piperidin-1-yl]propyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

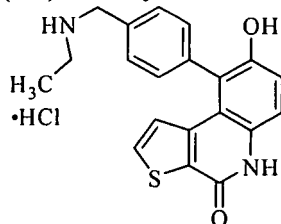


To a solution of

(E)-9-{3-[3-(dimethylamino)piperidin-1-yl]prop-1-enyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (20 mg, 0.052 mmol) in methanol (10 mL) under nitrogen was added Pd on carbon (10 wt %, 12 mg) and the reaction mixture was placed in a Parr shaker for 18 h under an atmosphere of hydrogen (40 psi). The reaction mixture was filtered over diatomaceous earth and the filtrate was concentrated. The residue was purified by preparatory HPLC (C18 Silica, water/acetonitrile w/0.05% TFA gradient) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (5.6 mg, 40%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 8.08 (d, $J = 5.4$ Hz, 1H), 8.03 (d, $J = 5.4$ Hz, 1H), 7.27 (d, $J = 8.8$ Hz, 1H), 7.11 (d, $J = 8.8$ Hz, 1H), 3.94–3.87 (m, 1H), 3.72–3.61 (m, 2H), 3.45–3.35 (m, 4H), 2.99–2.94 (m, 1H), 2.94 (s, 6H), 2.26–2.14 (m, 4H), 1.90–1.72 (m, 2H), 1.24 (s, 2H), 1.20 (s, 1H); ESI MS m/z 386 [$\text{C}_{21}\text{H}_{27}\text{N}_3\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), $t_R = 6.71$ min.

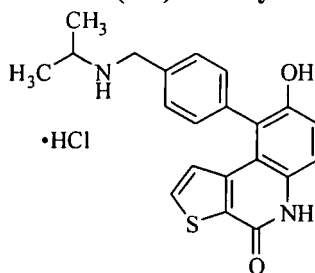
Example 267

9-{4-[(Ethylamino)methyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-

4(5H)-one Hydrochloride

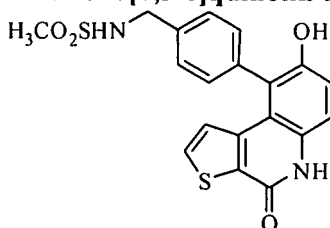
Following General Procedure F,

9-{4-[(ethylamino)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one (70 mg, 0.19 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.2 mL, 1.2 mmol) to afford the desired product (42 mg, 63%) as a yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.66 (d, J = 8.2 Hz, 2H), 7.55 (d, J = 5.4 Hz, 1H), 7.43–7.41 (m, 3H), 7.17 (d, J = 8.9 Hz, 1H), 6.08 (d, J = 5.4 Hz, 1H), 4.34 (s, 2H), 3.22 (q, J = 7.3 Hz, 2H), 1.41 (t, J = 7.3 Hz, 3H); ESI MS m/z 351 $[\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC >99% (AUC), t_R = 8.01 min.

Example 229**8-Hydroxy-9-{4-[(isopropylamino)methyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

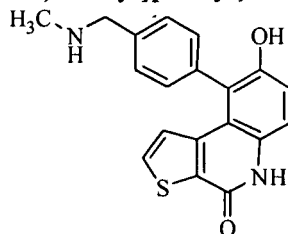
Following General Procedure F,

9-{4-[(isopropylamino)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one was reacted with tribromoborane (1.0 M in methylene chloride, 1.6 mL, 1.6 mmol) to afford the desired product (48 mg, 50%) as a light brown glass: ^1H NMR (500 MHz, CD_3OD) δ 7.70 (d, J = 7.6 Hz, 2H), 7.58 (d, J = 9.7 Hz, 1H), 7.44–7.41 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.12 (d, J = 5.1 Hz, 1H), 4.37 (s, 2H), 3.59–3.55 (m, 1H), 1.48 (d, J = 6.5 Hz, 6H); ESI MS m/z 365 $[\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC >97.8% (AUC), t_R = 7.93 min.

Example 212**N-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl]methanesulfonamide**

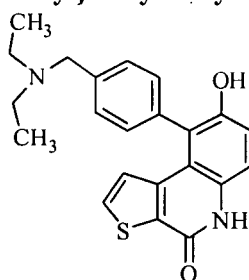
A solution of 9-[4-(aminomethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (50 mg, 0.16 mmol) and methanesulfonyl chloride (43 mg, 0.37 mmol) in methylene chloride (5 mL) was stirred at room temperature for 10 min. N,N -diisopropylethylamine (48 mg, 0.37 mmol) was added and the reaction mixture was stirred for 1.5 h, concentrated under reduced pressure and the residue was purified by preparatory HPLC (C18 silica, water/acetonitrile w/ 0.05% TFA gradient) to afford the desired product (28 mg, 45%) as a white solid: ^1H NMR (500 MHz, $\text{DMSO}-d_6$) δ 11.77 (s, 1H), 9.22 (s, 1H), 7.70–7.67 (m, 2H), 7.49 (d, J = 8.0 Hz, 2H), 7.36 (d, J

= 8.9 Hz, 1H), 7.23 (d, J = 8.0 Hz, 2H), 7.15 (d, J = 8.9 Hz, 1H), 5.89 (d, J = 5.4 Hz, 1H), 4.29 (d, J = 6.4 Hz, 2H), 2.94 (s, 3H); ESI MS m/z 401 [C₁₉H₁₆N₂O₄S + H]⁺; HPLC >99% (AUC), t_R = 12.12 min.

Example 187**8-Hydroxy-9-{4-[(methylamino)methyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one**

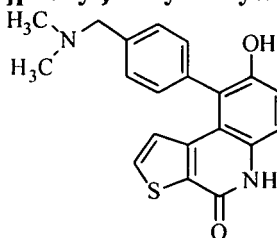
Following General Procedure F,

8-methoxy-9-{4-[(methylamino)methyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.29 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 1.7 mL, 1.7 mmol) to afford the desired product (28 mg, 30%) as a white solid: ¹H NMR (500 MHz, CD₃OD) δ 7.65 (d, J = 8.1 Hz, 2H), 7.55 (d, J = 5.4 Hz, 1H), 7.44–7.41 (m, 3H), 7.17 (d, J = 8.9 Hz, 1H), 6.05 (d, J = 5.4 Hz, 1H), 4.33 (s, 2H), 2.83 (s, 3H); ESI MS m/z 337 [C₁₉H₁₆N₂O₂S + H]⁺; HPLC > 99% (AUC), t_R = 10.02 min.

Example 184**9-{4-[(Diethylamino)methyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one**

Following General Procedure F,

9-{4-[(diethylamino)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one (30 mg, 0.076 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.46 mL, 0.46 mmol) to afford the desired product (12 mg, 42%) as a white solid: ¹H NMR (500 MHz, CD₃OD) δ 7.69 (d, J = 8.1 Hz, 2H), 7.56 (d, J = 5.4 Hz, 1H), 7.48–7.42 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.03 (d, J = 5.4 Hz, 1H), 4.50 (s, 2H), 3.36–3.31 (m, 4H), 1.43 (t, J = 7.3 Hz, 6H); ESI MS m/z 379 [C₂₂H₂₂N₂O₂S + H]⁺; HPLC 97.2 % (AUC), t_R = 8.27 min.

Example 165**9-{4-[(Dimethylamino)methyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one**

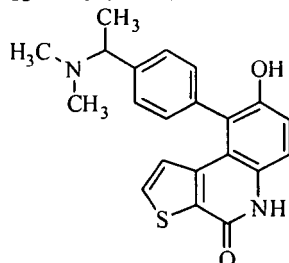
Following General Procedure F,

9-{4-[(dimethylamino)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one (30 mg, 0.082 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.49 mL, 0.49

mmol) to afford the desired product (11 mg, 40%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.54–7.50 (m, 3H), 7.39 (d, J = 8.9 Hz, 1H), 7.29 (d, J = 8.0 Hz, 2H), 7.18 (d, J = 8.9 Hz, 1H), 5.99 (d, J = 5.5 Hz, 1H), 3.64 (s, 2H), 2.36 (s, 6H); ESI MS m/z 351 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.5% (AUC), t_R = 7.71 min.

Example 191

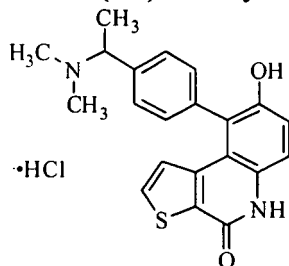
9-{4-[1-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one



Following the procedure outlined for Example 460, 9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (40 mg, 0.12 mmol) was reacted with formaldehyde (37% in water, 14 mg, 0.50 mmol) and after purification the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (20 mg, 42%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.71–7.67 (m, 2H), 7.58 (d, J = 4.4 Hz, 1H), 7.48–7.41 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.01 (d, J = 5.4 Hz, 1H), 4.65 (q, J = 7.0 Hz, 1H), 2.97 (s, 3H), 2.87 (s, 3H), 1.86 (d, J = 7.0 Hz, 3H); ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 7.86 min.

Example 192

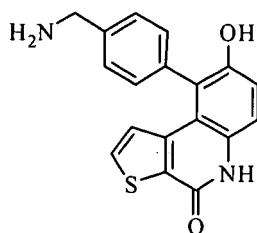
9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, 9-{4-[1-(dimethylamino)ethyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one (3.2 g, 7.1 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 43 mL, 43 mmol) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (1.3 g, 92%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.71–7.67 (m, 2H), 7.58 (d, J = 4.4 Hz, 1H), 7.48–7.41 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.01 (d, J = 5.4 Hz, 1H), 4.65 (q, J = 7.0 Hz, 1H), 2.97 (s, 3H), 2.87 (s, 3H), 1.86 (d, J = 7.0 Hz, 3H); ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 7.86 min.

Example 72

9-[4-(Aminomethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

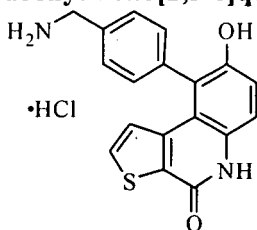


Following General Procedure F, tert-Butyl

4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (70 mg, 0.16 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.96 mL, 0.96 mmol) to afford the desired product (37 mg, 60%) as a white solid: ^1H NMR (500 MHz, DMSO- d_6) δ 11.80 (s, 1H), 9.28 (s, 1H), 8.25 (br s, 2H), 7.68 (d, J = 5.4 Hz, 1H), 7.60 (d, J = 8.0 Hz, 2H), 7.39 (d, J = 8.9 Hz, 1H), 7.33 (d, J = 8.1 Hz, 2H), 7.17 (d, J = 8.8 Hz, 1H), 5.92 (d, J = 5.4 Hz, 1H), 4.18 (m, 2H); ESI MS m/z 323 [$\text{C}_{18}\text{H}_{14}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.3% (AUC), t_R = 10.74 min.

Example 73

9-[4-(Aminomethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

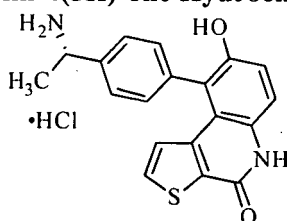


Following General Procedure F, tert-butyl

4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (260 mg, 0.60 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 3.6 mL, 3.6 mmol) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (120 mg, 50%) as a off-white solid: ^1H NMR (500 MHz, DMSO- d_6) δ 11.80 (s, 1H), 9.28 (s, 1H), 8.25 (br s, 2H), 7.68 (d, J = 5.4 Hz, 1H), 7.60 (d, J = 8.0 Hz, 2H), 7.39 (d, J = 8.9 Hz, 1H), 7.33 (d, J = 8.1 Hz, 2H), 7.17 (d, J = 8.8 Hz, 1H), 5.92 (d, J = 5.4 Hz, 1H), 4.18 (m, 2H); ESI MS m/z 323 [$\text{C}_{18}\text{H}_{14}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.3% (AUC), t_R = 10.74 min.

Example 233

(S)-9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

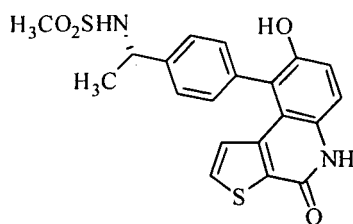


Following General Procedure F,

(S)-9-[4-(1-aminoethyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.22 mmol) was reacted with tribromoborane (3.0 mL) to afford the desired product (16 mg, 22%) as a yellow solid: ^1H NMR (500 MHz, CD $_3$ OD) δ 7.63–7.61 (m, 2H), 7.56–7.55 (m, 1H), 7.43–7.41 (m, 3H), 7.17 (d, J = 8.9 Hz, 1H), 6.08 (d, J = 5.4 Hz, 1H), 4.61 (q, J = 4.7 Hz, 1H), 1.76 (d, J = 6.9 Hz, 3H); ESI MS m/z 337 [$\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 98.6% (AUC), t_R = 7.62 min.

Example 347

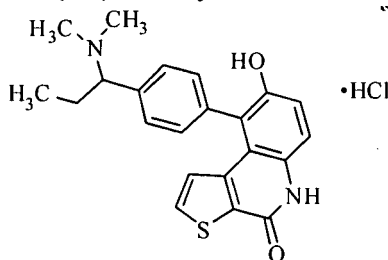
(S)-N-{1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethyl}methanesulfonamide



- 5 Following the procedure outlined for Example 301, (S)-9-[4-(1-aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.30 mmol) was reacted with methanesulfonyl chloride (100 mg, 0.89 mmol) to afford the desired product (70 mg, 56%) as a light brown solid: ^1H NMR (500 MHz, CD_3OD) δ 5.89–7.55 (m, 2H), 7.52 (d, J = 5.4 Hz, 1H), 7.38 (d J = 8.9 Hz, 1H), 7.30–7.28 (m, 2H), 7.15 (d, J = 8.9 Hz, 1H), 6.00 (d, J = 5.4 Hz, 1H), 4.71 (q, J = 7.1 Hz, 1H), 2.82 (s, 3H), 1.61 (d, J = 7.0 Hz, 3H); ESI MS m/z 415 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 12.43 min.

Example 339

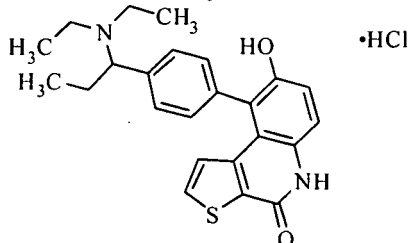
9-[4-[1-(Dimethylamino)propyl]phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



- 15 Following the procedure outlined for Example 460, 9-[4-(1-aminopropyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.29 mmol) was reacted with formaldehyde (26 mg, 0.86 mmol) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (62 mg, 58%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.69–7.64 (m, 2H), 7.56 (d, J = 5.4 Hz, 1H), 7.51–7.47 (m, 2H), 7.43 (d, J = 8.9 Hz, 1H), 7.19 (d, J = 8.0 Hz, 1H), 5.95 (d, J = 5.4 Hz, 1H), 4.38 (dd, J = 11.3, 4.3 Hz, 1H), 2.99 (s, 3H), 2.85 (s, 3H), 2.32–2.25 (m, 2H), 0.98 (t, J = 7.3 Hz, 3H); ESI MS m/z 379 [$\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 97.2% (AUC), t_R = 9.45 min.

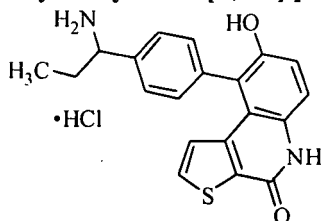
Example 338

9-[4-[1-(Diethylamino)propyl]phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



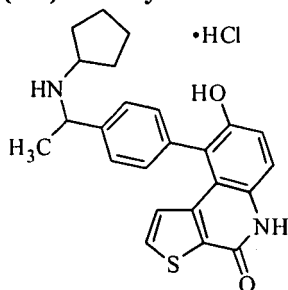
- 25 Following the procedure outlined for Example 460, 9-[4-(1-aminopropyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.29 mmol) was reacted with formaldehyde (38 mg, 0.86 mmol) and the resulting material was converted to

the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (52 mg, 45%) as a light brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.71 (dd, J = 7.7, 1.8 Hz, 1H), 7.66 (dd, J = 7.9 Hz, 1.9 Hz, 1H), 7.54 (d, J = 5.5 Hz, 1H), 7.49–7.45 (m, 2H), 7.42 (d, J = 5.5 Hz, 1H), 7.19 (d, J = 4.2 Hz, 1H), 5.95 (d, J = 5.4 Hz, 1H), 4.48 (dd, J = 11.7, 3.8 Hz, 1H), 3.47–3.40 (m, 3H), 3.12–3.08 (m, 1H), 2.35–2.21 (m, 2H), 1.45 (t, J = 7.3 Hz, 3H), 1.35 (t, J = 7.3 Hz, 3H), 0.95 (t, J = 7.3 Hz, 3H); ESI MS m/z 407 [$\text{C}_{24}\text{H}_{26}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 96.3% (AUC), t_R = 10.74 min.

Example 336**9-[4-(1-Aminopropyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F, tert-butyl

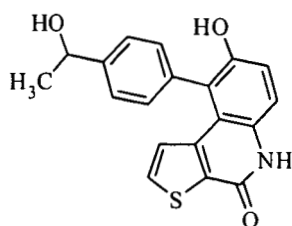
1-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propylcarbamate (70 mg, 0.15 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 0.90 mL, 0.90 mmol) to afford the desired product (35 mg, 52%) as a light yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.63–7.58 (m, 2H), 7.53 (d, J = 5.4 Hz, 1H), 7.42–7.39 (m, 3H), 7.17 (d, J = 8.9 Hz, 1H), 6.02 (d, J = 5.4 Hz, 1H), 4.32 (q, J = 5.9 Hz, 1H), 2.17–2.08 (m, 2H), 1.03 (t, J = 7.4 Hz, 3H); ESI MS m/z 351 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 9.39 min.

Example 314**9-[4-[1-(Cyclopentylamino)ethyl]phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F,

9-[4-[1-(cyclopentylamino)ethyl]phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one (200 mg, 0.48 mmol) was reacted with tribromoborane (15 mL) to afford the desired product (25 mg, 13%) as a brown solid: ^1H NMR (300 MHz, CD_3OD) δ 7.71–7.64 (m, 2H), 7.56 (d, J = 5.4 Hz, 1H), 7.48–7.41 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 6.06 (d, J = 5.4 Hz, 1H), 4.57 (q, J = 6.8 Hz, 1H), 3.59–3.49 (m, 1H), 2.26–2.08 (m, 2H), 1.87–1.62 (m, 9H); ESI MS m/z 405 [$\text{C}_{24}\text{H}_{24}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 8.84 min.

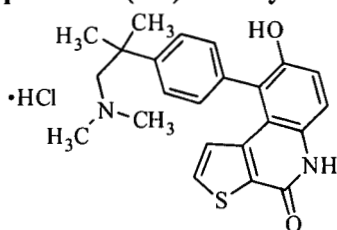
Example 313**8-Hydroxy-9-[4-(1-hydroxyethyl)phenyl]thieno[2,3-c]quinolin-4(5H)-one**



A solution of 9-(4-(1-hydroxyethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (200 mg, 0.59 mmol) in ethanol (4 mL) was cooled to 0 °C and sodium borohydride (45 mg, 1.2 mmol) was added. The reaction mixture was stirred at room temperature for 18 h however starting material was present. The reaction was cooled to 0 °C and lithium aluminum hydride (1.0 M in THF, 1.2 mL, 1.2 mmol) was added and the reaction mixture was stirred at room temperature for 2 h. The reaction was cooled to 0 °C, quenched with methanol and concentrated. The residue was purified by preparatory HPLC (C18 silica, water/acetonitrile w/ 0.05% TFA gradient) to afford the desired product (2.6 mg, 1%) as a light brown solid: ¹H-NMR (300 MHz, CD₃OD) δ 7.59–7.52 (m, 3H), 7.39 (d, J = 8.9 Hz, 1H), 7.29–7.25 (m, 2H), 7.16 (d, J = 8.9 Hz, 1H), 6.03 (d, J = 5.4 Hz, 1H), 4.97 (q, J = 6.4 Hz, 1H), 1.56 (d, J = 6.5 Hz, 3H); ESI MS m/z 338 [C₁₉H₁₅NO₃S + H]⁺; HPLC 98.6% (AUC), t_R = 9.78 min.

Example 308

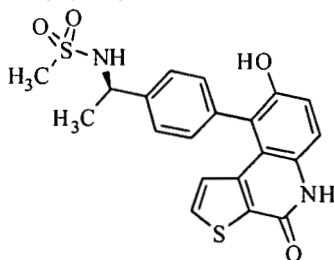
9-[4-[1-(Dimethylamino)-2-methylpropan-2-yl]phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following the procedure outlined for Example 460, 9-[4-(1-amino-2-methylpropan-2-yl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (30 mg, 0.082 mmol) was reacted with formaldehyde (7.4 mL, 0.25 mmol) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (7 mg, 22%) as a light yellow solid: ¹H NMR (500 MHz, CD₃OD) δ 7.71 (d, J = 8.3 Hz, 2H), 7.56 (d, J = 5.4 Hz, 1H), 7.40 (q, J = 6.6 Hz, 3H), 7.17 (d, J = 8.9 Hz, 1H), 6.07 (d, J = 5.4 Hz, 1H), 3.68 (s, 2H), 2.81 (s, 6H), 1.62 (s, 6H); ESI MS m/z 393 [C₂₃H₂₄N₂O₂S + H]⁺; HPLC 97.5% (AUC), t_R = 8.46 min.

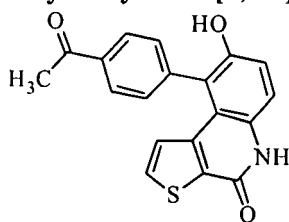
Example 301

(R)-N-{1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethyl}methanesulfonamide

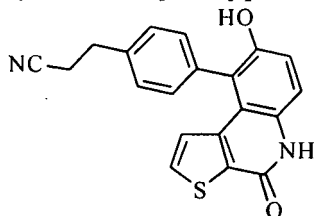


A solution of (R)-9-[4-(1-aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

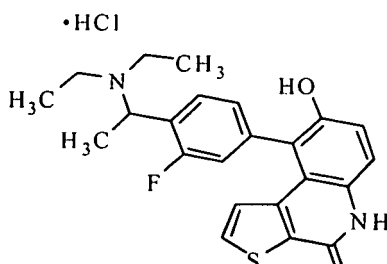
(28 mg, 0.83 mmol) and methanesulfonyl chloride (82 μ L, 1.0 mmol) in 1:1 methylene chloride/THF (6 mL) and DMF (1.5 mL) was stirred at room temperature for 10 min followed by the addition of N,N-diisopropylethylamine (170 μ L, 1.0 mmol). The reaction mixture was stirred for 1.5 h, concentrated and purified by preparatory HPLC (C18 silica, water/acetonitrile w/ 0.05% TFA gradient) to afford the desired product (8.9 mg, 2%) as a light brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.59–7.55 (m, 2H), 7.53 (d, J = 5.5 Hz, 1H), 7.39 (d, J = 8.9 Hz, 1H), 7.31–7.30 (m, 2H), 7.16 (d, J = 8.9 Hz, 1H), 6.02 (d, J = 5.4 Hz, 1H), 4.71 (q, J = 4.6 Hz, 1H), 2.82 (s, 3H), 1.62 (d, J = 7.0 Hz, 3H); ESI MS m/z 415 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC 96.4% (AUC), t_R = 10.14 min.

Example 296**9-(4-Acetylphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one**

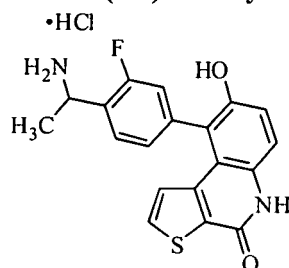
Following General Procedure F, 9-(4-acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one (450 mg, 1.3 mmol) was reacted with tribromoborane (15 mL) to afford the desired product (320 mg, 74%) as a light brown solid: ^1H NMR (500 MHz, $\text{DMSO}-d_6$) δ 11.82 (s, 1H), 9.38 (s, 1H), 8.10 (d, J = 8.3 Hz, 2H), 7.74 (d, J = 5.4 Hz, 1H), 7.44–7.39 (m, 3H), 7.18 (d, J = 8.9 Hz, 1H), 5.90 (d, J = 5.4 Hz, 1H), 2.68 (s, 3H); ESI MS m/z 336 [$\text{C}_{19}\text{H}_{13}\text{NO}_3\text{S} + \text{H}$] $^+$; HPLC 98.3 % (AUC), t_R = 10.59 min.

Example 290**3-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propanenitrile**

Following General Procedure F, 3-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]propanenitrile (45 mg, 0.13 mmol) was reacted with tribromoborane (3 mL) to afford the desired product (5.6 mg, 13%) as a white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.53 (d, J = 5.4 Hz, 1H), 7.48 (d, J = 7.9 Hz, 2H), 7.39 (d, J = 8.9 Hz, 1H), 7.28 (d, J = 7.9 Hz, 2H), 7.16 (d, J = 8.9 Hz, 1H), 5.96 (d, J = 5.4 Hz, 1H), 3.10 (t, J = 3.8 Hz, 2H), 2.89 (t, J = 7.1 Hz, 2H); ESI MS m/z 347 [$\text{C}_{20}\text{H}_{14}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 10.99 min.

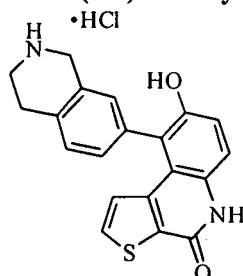
Example 356**9-{4-[1-(Diethylamino)ethyl]-3-fluorophenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

A solution of 9-(4-(1-aminoethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (40 mg, 0.11 mmol) and acetaldehyde (25 mg, 0.45 mmol) in methanol (2 mL) was stirred at room temperature for 30 min followed by the addition of sodium cyanoborohydride (28 mg, 0.452 mmol) and the reaction mixture was stirred at room temperature for 18 h. The reaction mixture was concentrated, partitioned between water and ethyl acetate and the layers were separated. The aqueous layer was extracted with methylene chloride and the combined organic layers were washed with brine, dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by preparatory HPLC (C18 silica, water/acetonitrile w/ 0.05% TFA gradient) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (30 mg, 65%) as a yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.84–7.82 (m, 1H), 7.67–7.65 (m, 1H), 7.44 (dd, J = 9.1, 1.5 Hz, 1H), 7.34–7.28 (m, 2H), 7.19 (dd, J = 8.9, 2.5 Hz, 1H), 6.14 (t, J = 5.1 Hz, 1H), 5.16–5.06 (m, 1H), 3.46–3.35 (m, 3H), 3.30–3.15 (m, 1H), 2.79 (s, 1H), 1.88 (t, J = 6.2 Hz, 3H), 1.48–1.37 (m, 6H); ESI MS m/z 411 [$\text{C}_{23}\text{H}_{23}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 8.57 min.

Example 359**9-[4-(1-Aminoethyl)-3-fluorophenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F, tert-butyl

1-[2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate (400 mg, 0.856 mmol) was reacted with tribromoborane (4 mL) to afford the desired product (99 mg, 33%) as a white solid: ^1H NMR (300 MHz, CD_3OD) δ 7.70–7.60 (m, 2H), 7.43 (d, J = 8.9 Hz, 1H), 7.27–7.15 (m, 3H), 6.18 (q, J = 3.0 Hz, 1H), 1.78 (t, J = 6.5 Hz, 3H); ESI MS m/z 355 [$\text{C}_{19}\text{H}_{15}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 7.84 min.

Example 353**8-Hydroxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

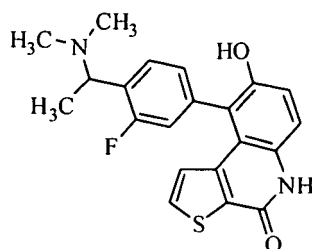
Following General Procedure F,

8-methoxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one (40 mL, 0.11 mmol) was reacted with tribromoborane (2 mL) to afford the desired product (26 mg, 68%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.56 (d, J = 5.5 Hz, 1H), 7.45 (d, J = 8.0 Hz,

1H), 7.40 (d, J = 8.9 Hz, 1H), 7.27–7.25 (m, 1H), 7.19 (br s, 1H), 7.16 (d, J = 8.9 Hz, 1H), 6.17 (d, J = 5.4 Hz, 1H), 4.12 (s, 2H), 3.67–3.57 (m, 2H), 3.29–3.22 (m, 2H); ESI MS m/z 349 [C₂₀H₁₆N₂O₂S + H]⁺; HPLC >99% (AUC), t_R = 7.82 min.

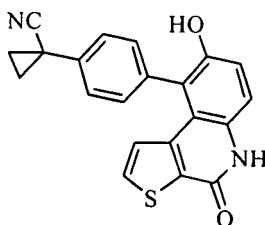
Example 349**9-{4-[1-(Dimethylamino)ethyl]-3-fluorophenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

•HCl



Following the procedure outlined for Example 460,

9-[4-(1-aminoethyl)-3-fluorophenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (40 mg, 0.11 mmol) was reacted with formaldehyde (14 mg, 0.45 mmol) to afford the desired product (23 mg, 53%) as a yellow solid: ¹H NMR (500 MHz, CD₃OD) δ 7.81–7.73 (m, 1H), 7.66 (q, J = 4.3 Hz, 1H), 7.44 (dd, J = 8.9, 2.3 Hz, 1H), 7.34–7.29 (m, 2H), 7.20–7.18 (m, 1H), 6.14 (t, J = 6.0 Hz, 1H), 5.03–4.92 (m, 1H), 3.03–2.86 (m, 6H), 2.78 (br s, 1H), 1.88 (dd, J = 7.0, 2.4 Hz, 3H); ESI MS m/z 383 [C₂₁H₁₉FN₂O₂S + H]⁺; HPLC >99% (AUC), t_R = 8.04 min.

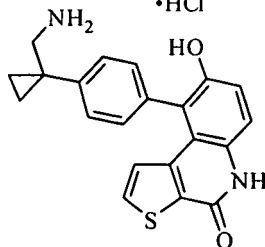
Example 361**1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]cyclopropanecarbonitrile**

Following General Procedure F,

1-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]cyclopropanecarbonitrile (340 mg, 0.91 mmol) was reacted with tribromoborane (1.3 mL) to afford the desired product (90 mg, 28%) as a light brown solid: ESI MS m/z 359 [C₂₁H₁₄N₂O₂S + H]⁺.

Example 348**9-{4-[1-(Aminomethyl)cyclopropyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

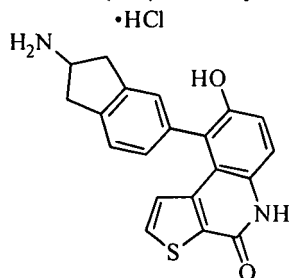
•HCl



Following the procedure outlined for Example 265,

1-[4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]cyclopropanecarbonitrile

(80 mg, 0.11 mmol) was reacted with borane (3 mL) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (20 mg, 28%) as a brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.62 (d, J = 8.1 Hz, 2H), 7.58 (d, J = 5.4 Hz, 1H), 7.41 (d, J = 8.9 Hz, 1H), 7.34 (d, J = 8.0 Hz, 2H), 7.18 (d, J = 8.9 Hz, 1H), 6.17 (d, J = 5.4 Hz, 1H), 3.25 (s, 2H), 1.21–1.12 (m, 4H); ESI MS m/z 363 [$\text{C}_{21}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 97.3% (AUC), t_R = 8.38 min.

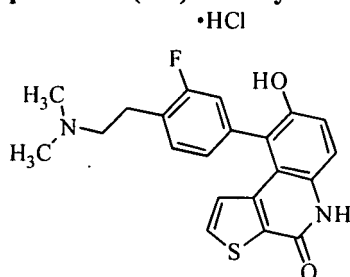
Example 345**9-(2-Amino-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F, tert-butyl

5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2,3-dihydro-1H-inden-2-ylcarbamate (210 mg, 0.59 mmol) was reacted with tribromoborane (10 mL) to afford the desired product (55 mg, 27%) as a yellow solid:

Major Isomer: ^1H NMR (500 MHz, CD_3OD) δ 7.56 (d, J = 2.4 Hz, 1H), 7.49 (d, J = 7.7 Hz, 1H), 7.39 (d, J = 1.3 Hz, 1H), 7.26 (s, 1H), 7.19–7.15 (m, 2H), 6.14 (d, J = 5.4 Hz, 1H), 4.24–4.20 (m, 1H), 3.62–3.49 (m, 2H), 3.22–3.07 (m, 2H); ESI MS m/z 349 [$\text{C}_{20}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 60.4% (AUC), t_R = 7.89 min;

Minor Isomer: ^1H NMR (500 MHz, CD_3OD) δ 7.55 (d, J = 2.4 Hz, 1H), 7.46 (d, J = 7.7 Hz, 1H), 7.41 (d, J = 1.3 Hz, 1H), 7.24 (s, 1H), 7.19–7.15 (m, 2H), 6.26 (d, J = 5.4 Hz, 1H), 4.24–4.20 (m, 1H), 3.62–3.49 (m, 2H), 3.22–3.07 (m, 2H); ESI MS m/z 349 [$\text{C}_{20}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 39.5% (AUC), t_R = 7.65 min.

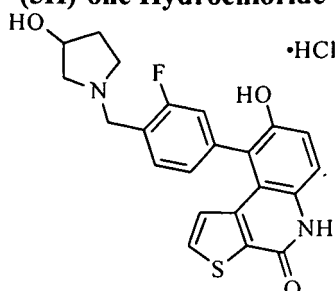
Example 327**9-{4-[2-(Dimethylamino)ethyl]-3-fluorophenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F,

9-[4-(2-aminoethyl)-3-fluorophenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (25 mg, 0.063 mmol) was reacted with tribromoborane (3 mL) to afford the desired product (3.5 mg, 13%) as a brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.62 (d, J = 5.4 Hz, 1H), 7.55 (t, J = 7.9 Hz, 1H), 7.42 (d, J = 8.9 Hz, 1H), 7.18–7.11 (m, 3H), 6.15 (d, J = 5.4 Hz, 1H), 3.52 (t, J = 8.2 Hz, 2H), 3.26–3.19 (m, 1H), 3.04 (s, 6H); ESI MS m/z 383 [$\text{C}_{21}\text{H}_{19}\text{FN}_2\text{O}_2\text{S} + \text{H}$]; HPLC 96.2% (AUC), t_R = 8.06 min.

Example 278

9-{3-Fluoro-4-[(3-hydroxypyrrolidin-1-yl)methyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

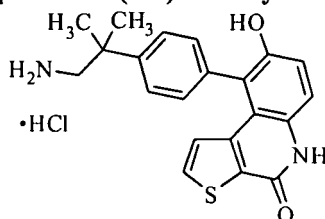


Following General Procedure F,

- 5 9-{3-fluoro-4-[(3-hydroxypyrrolidin-1-yl)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one (90 mg, 0.21 mmol) was reacted with tribromoborane (2 mL) to afford the desired product (32 mg, 34%) as a light yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.78–7.75 (m, 1H), 7.65 (d, J = 5.3 Hz, 1H), 7.44 (d, J = 8.9 Hz, 1H), 7.30–7.27 (m, 2H), 7.19 (d, J = 8.9 Hz, 1H), 6.15–6.13 (m, 1H), 4.76–4.57 (m, 3H), 3.86–3.72 (m, 1H), 3.62–3.53 (m, 1H), 3.49–3.40 (m, 1H), 2.78 (br s, 1H), 2.54–2.46 (m, 1H), 2.22–2.20 (m, 1H), 2.15–2.05 (m, 1H); ESI MS m/z 411 [$\text{C}_{22}\text{H}_{19}\text{FN}_2\text{O}_3\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 7.58 min.

Example 277

9-[4-(1-Amino-2-methylpropan-2-yl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

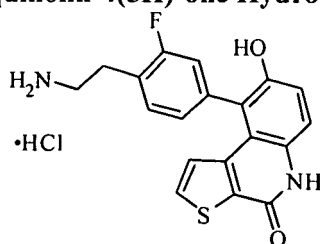


Following General Procedure F,

- 20 9-[4-(1-amino-2-methylpropan-2-yl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one (100 mg, 0.27 mmol) was reacted with tribromoborane (3 mL) to afford the desired product (25 mg, 22%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.66 (d, J = 8.4 Hz, 2H), 7.59 (d, J = 5.4 Hz, 1H), 7.42 (d, J = 8.9 Hz, 1H), 7.37 (d, J = 8.3 Hz, 2H), 7.19 (d, J = 8.9 Hz, 1H), 6.16 (d, J = 5.4 Hz, 1H), 3.28 (s, 2H), 1.59 (s, 6H); ESI MS m/z 365 [$\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 96.9 % (AUC), t_R = 9.47 min.

Example 276

9-[4-(2-Aminoethyl)-3-fluorophenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

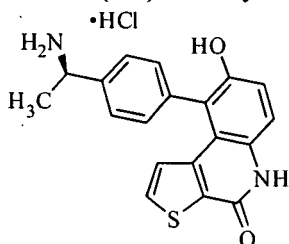


Following General Procedure F,

- 30 9-[4-(2-aminoethyl)-3-fluorophenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one (260 mg, 0.71 mmol) was reacted with tribromoborane (6 mL) to afford the desired product (12 mg, 12%) as a

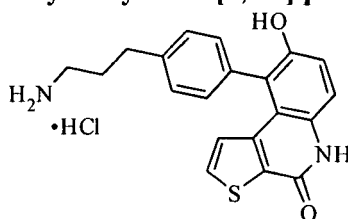
light yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.63 (d, J = 5.4 Hz, 1H), 7.52–7.49 (m, 1H), 7.42 (d, J = 8.9 Hz, 1H), 7.17 (d, J = 8.9 Hz, 1H), 7.15–7.12 (m, 2H), 6.20 (d, J = 5.4 Hz, 1H), 3.30–3.23 (m, 2H), 3.12–3.06 (m, 2H), 2.79 (br s, 3H); ESI MS m/z 355 [$\text{C}_{19}\text{H}_{15}\text{FN}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 94.9% (AUC), t_R = 7.80 min.

5

Example 275**(R)-9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

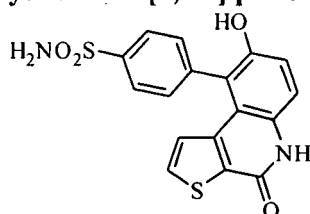
Following General Procedure F, (R)-tert-butyl

10 1-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate (350 mg, 0.78 mmol) was reacted with tribromoborane (15 mL) to afford the desired product (120 mg, 42%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.65–7.62 (m, 2H), 7.55 (d, J = 5.4 Hz, 1H), 7.42–7.41 (m, 3H), 7.17 (d, J = 8.9 Hz, 1H), 6.08 (d, J = 5.4 Hz, 1H), 4.61 (q, J = 4.5 Hz, 1H), 2.78 (br s, 3H), 1.76 (d, J = 6.9 Hz, 3H); ESI MS m/z 337 [$\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC
15 >99% (AUC), t_R = 7.49 min.

Example 273**9-[4-(3-Aminopropyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride**

Following General Procedure F,

20 9-[4-(3-aminopropyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one (140 mg, 0.39 mmol) was reacted with tribromoborane (6 mL) to afford the desired product (18 mg, 12%) as a light yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.53 (d, J = 5.5 Hz, 1H), 7.43 (d, J = 8.0 Hz, 2H), 7.39 (d, J = 8.9 Hz, 1H), 7.26 (d, J = 8.1 Hz, 2H), 7.16 (d, J = 8.9 Hz, 1H), 6.02 (d, J = 5.5 Hz, 1H), 3.04 (t, J = 7.7 Hz, 2H), 2.88 (t, J = 7.7 Hz, 2H), 2.11–2.08 (m, 2H); ESI MS m/z 351
25 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 96.2%, t_R = 8.91 min.

Example 65**4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide**

Following General Procedure F,

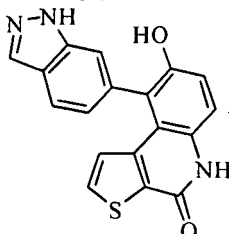
30 N-tert-butyl-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide (4.3 g, 9.9 mmol) was reacted with tribromoborane (1.0 M in methylene chloride, 48 mL, 48

mmol) to afford the desired product (3.4 g, 94%) as a light red solid: ^1H NMR (300 MHz, DMSO- d_6) δ 11.83 (s, 1H), 9.12 (s, 1H), 7.96–7.95 (m, 2H), 7.76 (d, J = 5.4 Hz, 1H), 7.48–7.47 (m, 4H), 7.41 (d, J = 8.9 Hz, 1H), 7.18 (d, J = 8.9 Hz, 1H), 5.91 (d, J = 5.4 Hz, 1H); ESI MS m/z 373 [$\text{C}_{17}\text{H}_{12}\text{N}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC 98.1% (AUC),

5 t_R = 10.29 min.

Example 61

8-Hydroxy-9-(1H-indazol-6-yl)thieno[2,3-c]quinolin-4(5H)-one

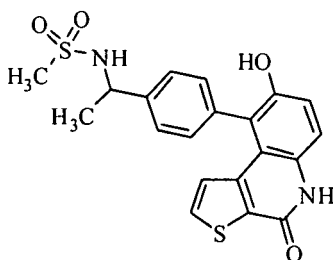


10 Following General Procedure F, 9-(1H-indazol-6-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one (35 mg, 0.10 mmol) was reacted with tribromoborane (1.5 mL, 0.15 mmol) to afford the desired product (3.6 mg, 11%) as a light brown solid: ^1H NMR (500 MHz, CD_3OD) δ 8.17 (s, 1H), 7.75–7.73 (m, 2H), 7.48 (d, J = 5.5 Hz, 1H), 7.42 (d, J = 8.9 Hz, 1H), 7.34 (d, J = 9.2 Hz, 1H), 7.19 (d, J = 8.9 Hz, 1H), 5.91 (d, J = 5.5 Hz, 1H); ESI MS m/z 334 [$\text{C}_{18}\text{H}_{11}\text{N}_3\text{O}_2\text{S} + \text{H}$] $^+$; HPLC 96.3% (AUC), t_R = 9.20 min.

15

Example 193

N-{1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethyl}methanesulfonamide

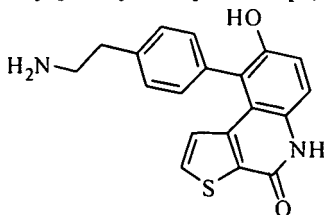


20 A solution of 9-[4-(1-aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (30 mg, 0.089 mmol) and methanesulfonyl chloride (9.0 μL , 0.11 mmol) in 2:1 methylene chloride/THF (3 mL) was stirred at room temperature for 10 min followed by the addition of N,N-diisopropylethylamine (19 μL , 0.11 mmol). The reaction mixture was stirred for 1.5 h, concentrated and purified by preparatory HPLC (C18 silica, water/acetonitrile w/ 0.05% TFA gradient) to afford the desired product (7.2 mg, 20%) as an amorphous brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.57–7.56 (m, 2H), 7.53 (d, J = 5.4 Hz, 1H), 7.39 (d, J = 8.9 Hz, 1H), 7.32–7.30 (m, 2H), 7.16 (d, J = 8.9 Hz, 1H), 6.02 (d, J = 5.4 Hz, 1H), 4.71 (q, J = 4.6 Hz, 1H), 2.82 (s, 3H), 1.62 (d, J = 7.0 Hz, 3H); ESI MS m/z 415 [$\text{C}_{20}\text{H}_{18}\text{N}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC >99%, t_R = 10.18 min.

30

Example 175

9-[4-(2-Aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one

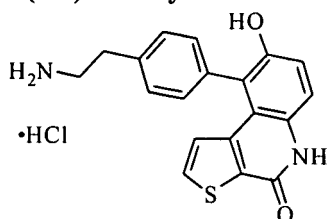


Following General Procedure F,

9-[4-(2-aminoethyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one (800 mg, 1.8 mmol) was reacted with tribromoborane (10 mL) to afford the desired product (520 mg, 88%) as an off-white solid: ^1H NMR (500 MHz, DMSO- d_6) δ 11.78 (s, 1H), 9.20 (s, 1H), 7.88 (br s, 2H), 7.69 (d, J = 5.4 Hz, 1H), 7.41–7.36 (m, 3H), 7.22 (d, J = 8.1 Hz, 2H), 7.15 (d, J = 8.9 Hz, 1H), 5.88 (d, J = 5.4 Hz, 1H), 3.21–3.14 (m, 2H), 3.01–2.98 (m, 2H); ESI MS m/z 337 [$\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 7.72 min.

Example 176

9-[4-(2-Aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

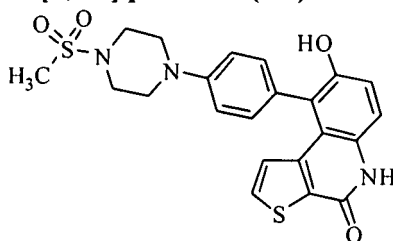


Following General Procedure F,

9-[4-(2-aminoethyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one (800 mg, 1.8 mmol) was reacted with tribromoborane (10 mL) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (520 mg, 88%) as an off-white solid: ^1H NMR (500 MHz, DMSO- d_6) δ 11.78 (s, 1H), 9.20 (s, 1H), 7.88 (br s, 2H), 7.69 (d, J = 5.4 Hz, 1H), 7.41–7.36 (m, 3H), 7.22 (d, J = 8.1 Hz, 2H), 7.15 (d, J = 8.9 Hz, 1H), 5.88 (d, J = 5.4 Hz, 1H), 3.21–3.14 (m, 2H), 3.01–2.98 (m, 2H); ESI MS m/z 337 [$\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 7.72 min.

Example 112

8-Hydroxy-9-{4-[4-(methylsulfonyl)piperazin-1-yl]phenyl}thieno[2,3-c]quinolin-4(5H)-one

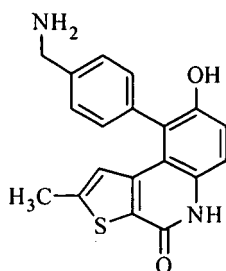


Following General Procedure F,

8-methoxy-9-{4-[4-(methylsulfonyl)piperazin-1-yl]phenyl}thieno[2,3-c]quinolin-4(5H)-one (32 mg, 0.068 mmol) was reacted with tribromoborane (1.0 mL) to afford the desired product (5.2 mg, 17%) as an off-white solid: ^1H NMR (500 MHz, CD $_3$ OD) δ 7.58 (d, J = 5.4 Hz, 1H), 7.37 (d, J = 8.9 Hz, 1H), 7.20 (s, 4H), 7.15 (d, J = 8.9 Hz, 1H), 6.16 (d, J = 5.4 Hz, 1H), 3.44–3.43 (m, 8H), 2.92 (s, 3H); ESI MS m/z 456 [$\text{C}_{22}\text{H}_{21}\text{N}_3\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC >99%, t_R = 10.47 min.

Example 95

9-[4-(Aminomethyl)phenyl]-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one

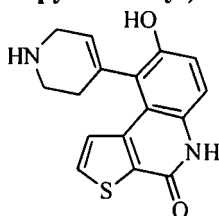


Following General Procedure F, tert-butyl

4-(8-methoxy-2-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (80 mg, 0.17 mmol) was reacted with tribromoborane (2.0 mL) to afford the desired product (20 mg, 37%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.63 (d, J = 8.9 Hz, 2H), 7.40–7.37 (m, 3H), 7.15 (d, J = 8.1 Hz, 1H), 5.76 (s, 1H), 4.28 (s, 2H), 2.33 (s, 3H); ESI MS m/z 337 [$\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99%, t_R = 5.91 min.

Example 84

8-Hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one

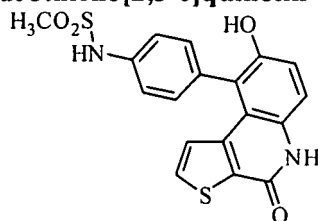


Following General Procedure B,

9-bromo-8-(tert-butyldimethylsilyloxy)thieno[2,3-c]quinolin-4(5H)-one (80 mg, 0.20 mmol) was reacted with tert-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)cyclohex-3-enylcarbamate (90 mg, 0.29 mmol) to afford the desired product (140 mg, 23%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 8.01–7.98 (m, 2H), 7.35 (d, J = 8.9 Hz, 1H), 7.12 (d, J = 8.9 Hz, 1H), 5.80 (s, 1H), 4.01–3.91 (m, 2H), 3.63–3.60 (m, 2H), 2.88–2.84 (m, 1H), 2.57–2.53 (m, 1H); ESI MS m/z 299 [$\text{C}_{16}\text{H}_{14}\text{N}_2\text{O}_2\text{S} + \text{H}$] $^+$; HPLC >99% (AUC), t_R = 6.70 min.

Example 77

N-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]methanesulfonamide

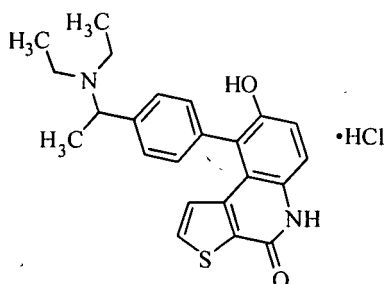


Following General Procedure F,

N-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]methanesulfonamide (40 mg, 0.10 mmol) was reacted with tribromoborane (3.0 mL) to afford the desired product (3.8 mg, 10%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.61 (d, J = 5.4 Hz, 1H), 7.44–7.43 (m, 2H), 7.39 (d, J = 5.4 Hz, 1H), 7.29–7.27 (m, 2H), 7.16 (d, J = 8.4 Hz, 1H), 6.12 (d, J = 5.0 Hz, 1H), 3.09 (s, 3); ESI MS m/z 387 [$\text{C}_{18}\text{H}_{14}\text{N}_2\text{O}_4\text{S}_2 + \text{H}$] $^+$; HPLC >99%, t_R = 9.69 min.

Example 196

9-{4-[1-(Diethylamino)ethyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

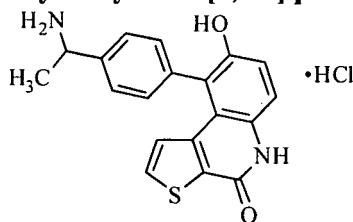


Following the procedure outlined for Example 460,

9-[4-(1-aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (30 mg, 0.081 mmol) was reacted with formaldehyde (37% in water, 15 mg, 0.26 mmol) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (7.2 mg, 21%) as a light brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.73 (q, J = 6.9 Hz, 2H), 7.58 (d, J = 5.4 Hz, 1H), 7.48–7.45 (m, 2H), 7.43 (d, J = 8.9 Hz, 1H), 7.19 (d, J = 8.9 Hz, 1H), 6.02 (d, J = 5.4 Hz, 1H), 4.78 (q, J = 4.7 Hz, 1H), 3.51–3.34 (m, 3H), 3.19–3.12 (m, 1H), 1.86 (d, J = 6.9 Hz, 3H), 1.43 (t, J = 7.3 Hz, 3H), 1.37 (t, J = 7.3 Hz, 3H); ESI MS m/z 393 $[\text{C}_{23}\text{H}_{24}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC >99% (AUC), t_R = 8.29 min.

Example 195

9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride

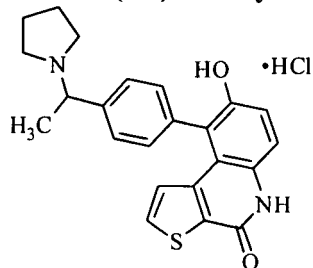


Following General Procedure F, tert-butyl

1-[4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethylcarbamate (320 mg, 0.71 mmol) was reacted with tribromoborane (10 mL) to afford the desired product (160 mg, 59%) as a light brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.64–7.63 (m, 2H), 7.55 (d, J = 5.4 Hz, 1H), 7.43–7.40 (m, 3H), 7.17 (d, J = 8.9 Hz, 1H), 6.08 (d, J = 5.4 Hz, 1H), 4.61 (q, J = 6.9 Hz, 1H), 1.76 (d, J = 6.9 Hz, 3H); ESI MS m/z 337 $[\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC 98.1% (AUC), t_R = 7.63 min.

Example 194

8-Hydroxy-9-[4-[1-(pyrrolidin-1-yl)ethyl]phenyl]thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



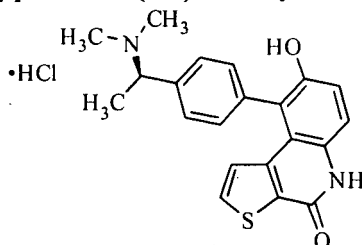
Following General Procedure F,

8-methoxy-9-[4-[1-(pyrrolidin-1-yl)ethyl]phenyl]thieno[2,3-c]quinolin-4(5H)-one (70 mg, 0.17 mmol) was reacted with tribromoborane (2.0 mL) to afford the desired product (43 mg, 58%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.70–7.66 (m, 2H), 7.59 (d, J = 5.4 Hz, 1H), 7.48–7.44 (m, 2H), 7.42 (d, J = 8.9 Hz, 1H), 7.18 (d, J = 8.9 Hz, 1H), 6.01 (d, J = 5.4 Hz, 1H),

4.53 (q, $J = 6.8$ Hz, 1H), 3.45 (br s, 1H), 3.20–3.10 (m, 1H), 2.20–2.00 (m, 4H), 1.87 (d, $J = 6.9$ Hz, 3H); ESI MS m/z 391 $[C_{23}H_{22}N_2O_2S + H]^+$; HPLC >99%, $t_R = 8.21$ min.

Example 272

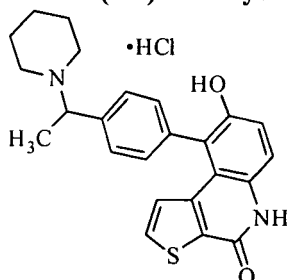
(R)-9-{4-[1-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following the procedure outlined for Example 460, (R)-9-[4-(1-aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (50 mg, 0.15 mmol) was reacted with formaldehyde (14 mL, 0.45 mmol) and the resulting material was converted to the hydrochloride salt as outlined in General Procedure D-2 to afford the desired product (12 mg, 20%) as an off-white solid: ^1H NMR (500 MHz, CD_3OD) δ 7.71 (q, $J = 2.6$ Hz, 2H), 7.59 (d, $J = 5.4$ Hz, 1H), 7.48 (t, $J = 7.5$ Hz, 2H), 7.43 (d, $J = 8.9$ Hz, 1H), 7.19 (d, $J = 8.9$ Hz, 1H), 6.02 (d, $J = 5.4$ Hz, 1H), 4.66 (q, $J = 7.1$ Hz, 1H), 2.97 (s, 3H), 2.87 (s, 3H), 1.86 (d, $J = 7.0$ Hz, 3H); ESI MS m/z 365 $[C_{21}H_{20}N_2O_2S + H]^+$; HPLC 97.1% (AUC), $t_R = 8.57$ min.

Example 262

8-Hydroxy-9-{4-[1-(piperidin-1-yl)ethyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one Hydrochloride

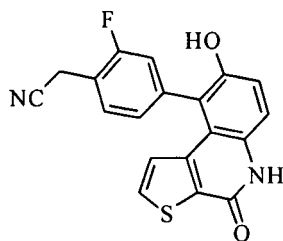


Following General Procedure F,

8-methoxy-9-{4-[1-(piperidin-1-yl)ethyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one (40 mg, 0.096 mmol) was reacted with tribromoborane (1.0 mL) to afford the desired product (4.9 mg, 13%) as a yellow solid: ^1H NMR (500 MHz, CD_3OD) δ 7.73 (d, $J = 8.4$ Hz, 1H), 7.68 (d, $J = 7.8$ Hz, 1H), 7.58 (d, $J = 5.5$ Hz, 1H), 7.48–7.42 (m, 3H), 7.19 (d, $J = 8.9$ Hz, 1H), 6.01 (d, $J = 5.4$ Hz, 1H), 4.60 (q, $J = 4.5$ Hz, 1H), 3.79 (d, $J = 12.0$ Hz, 1H), 3.50 (d, $J = 11.7$ Hz, 1H), 3.02 (t, $J = 11.3$ Hz, 1H), 2.89 (t, $J = 6.0$ Hz, 1H), 2.09–1.72 (m, 8H), 1.55–1.45 (m, 1H); ESI MS m/z 405 $[C_{24}H_{24}N_2O_2S + H]^+$; HPLC 95.0% (AUC), $t_R = 7.83$ min.

Example 261

2-[2-Fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]acetonitrile

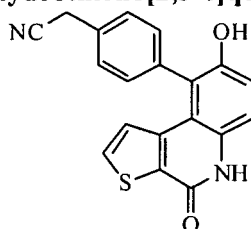


Following General Procedure F,

2-[2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]acetonitrile (42 mg, 1.2 mmol) was reacted with tribromoborane (12 mL, 12 mmol) to afford the desired product (22 mg, 55%) as a light brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.65 (m, 2H), 7.42 (d, J = 8.9 Hz, 1H), 7.19–7.14 (m, 3H), 6.16 (d, J = 5.5 Hz, 1H), 4.07 (s, 2H); ESI MS m/z 351 $[\text{C}_{19}\text{H}_{11}\text{FN}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC 97.1% (AUC), t_R = 13.67 min.

Example 81

2-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]acetonitrile

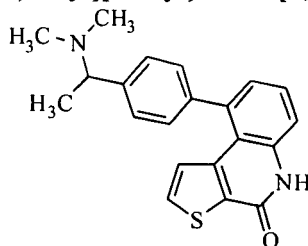


Following General Procedure B,

9-bromo-8-(tert-butyldimethylsilyloxy)thieno[2,3-c]quinolin-4(5H)-one (50 mg, 0.12 mmol) was reacted with 4-(cyanomethyl)phenylboronic acid (26 mg, 0.18 mmol) to afford the TBS protected intermediate which was treated with aqueous lithium hydroxide to afford the desired product (20 mg, 50%) as an off-white solid: ^1H NMR (500 MHz, $\text{DMSO}-d_6$) δ 9.28 (s, 1H), 7.73 (d, J = 5.4 Hz, 1H), 7.50 (d, J = 8.1 Hz, 2H), 7.38 (d, J = 8.9 Hz, 1H), 7.29 (d, J = 8.1 Hz, 2H), 7.18 (m, 1H), 5.90 (d, J = 5.4 Hz, 1H), 4.19 (s, 2H); ESI MS m/z 333 $[\text{C}_{19}\text{H}_{12}\text{N}_2\text{O}_2\text{S} + \text{H}]^+$; HPLC 96.2% (AUC), t_R = 12.07 min.

Example 346

9-{4-[1-(Dimethylamino)ethyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one



To a solution of

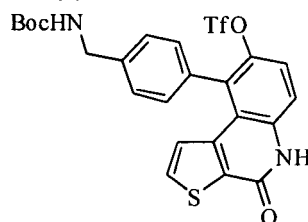
9-{4-[1-(dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one (350 mg, 1.0 mmol) in anhydrous THF (20 mL) at 0 °C was added sodium hydride (60 wt %, 160 mg, 5.0 mmol) and the reaction mixture was heated to 60 °C for 1 h. The reaction mixture was cooled to 0 °C and trifluoro-N-phenyl-N-[(trifluoromethyl)sulfonyl]methanesulfonamide (450 mg, 1.1 mmol) was added and the reaction mixture was warmed to room temperature and stirred for 1 h. The reaction mixture was quenched with satd. aq. ammonium chloride and the layers were separated. The aqueous layer was extracted with 3:1 chloroform/isopropanol and the combined organic layers were dried over anhydrous sodium sulfate, filtered, and concentrated to afford the crude triflate (400 mg) as a brown solid. The crude triflate was dissolved in anhydrous DMF

(30 mL) was degassed for 10 min followed by the addition of triethylamine (1.7 mL, 12 mmol), 1,1'-bis(diphenylphosphino)ferrocene dichloropalladium(II) (70 mg, 0.080 mmol), and formic acid (0.3 mL, 8.00 mmol). The reaction mixture was heated at 100 °C for 24 h, cooled, concentrated, and the residue was purified by preparatory HPLC (C18 silica, water/acetonitrile w/ 0.05% TFA gradient) to afford the desired product (60 mg, 21% for two steps) as a white solid: ¹H NMR (500 MHz, CDCl₃) δ 12.00 (s, 1H), 7.61 (d, J = 8.0 Hz, 1H), 7.51 (t, J = 7.7 Hz, 1H), 7.45–7.42 (m, 3H), 7.35–7.34 (m, 2H), 7.16 (d, J = 7.2 Hz, 1H), 6.30 (d, J = 5.4 Hz, 1H), 3.45 (d, J = 6.3 Hz, 1H), 2.32 (s, 6H), 1.50 (d, J = 6.6 Hz, 3H); ESI MS m/z 349 [C₂₁H₂₀N₂OS + H]⁺; HPLC 98.5% (AUC), t_R = 10.86 min.

Example 461

9-{4-[(tert-Butoxycarbonylamino)methyl]phenyl}-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl

Trifluoromethanesulfonate

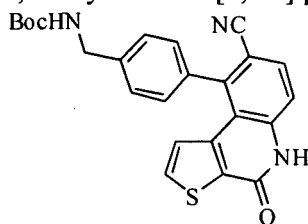


To a solution of tert-butyl

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (150 mg, 0.36 mmol) in THF (3 mL) at 0 °C was added sodium hydride (60 wt %, 18 mg, 0.44 mmol) and the reaction mixture was stirred at 0 °C for 1 h. N-Phenyl-bis(trifluoromethanesulfonimide) (160 mg, 0.44 mmol) was added and the reaction mixture was warmed to room temperature and stirred for 18 h. The reaction mixture was quenched with water and the aqueous layer was extracted with methylene chloride. The combined organic layers were dried over anhydrous sodium sulfate, filtered, concentrated and the residue was purified by column chromatography (silica, methanol/methylene chloride gradient) to afford the desired product (200 mg, 98%); ESI MS m/z 555 [C₂₄H₂₁F₃N₂O₆S₂ + H]⁺.

Example 462

tert-Butyl 4-(8-Cyano-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate

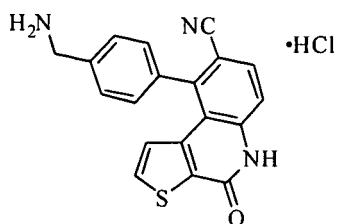


A solution of

9-{4-[(tert-butoxycarbonylamino)methyl]phenyl}-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl trifluoromethanesulfonate (176 mg, 0.320 mmol), zinc chloride (75 mg, 0.640 mmol), 1,1'-bis(diphenylphosphino)ferrocene (18 mg, 0.032 mmol), and tris(dibenzylideneacetone)dipalladium(0) (15 mg, 0.016 mmol) in anhydrous DMF (4 mL) was heated at 130 °C for 3 h. The reaction mixture was cooled, quenched with water and the resulting precipitate was filtered and purified by column chromatography (silica, methanol/methylene chloride gradient) to afford the desired product (120 mg, 87%) as a brown solid: ESI MS m/z 432 [C₂₄H₂₁N₃O₃S + H]⁺.

Example 326

9-[4-(Aminomethyl)phenyl]-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile Hydrochloride

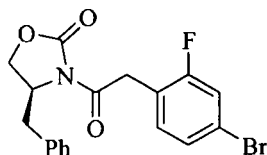


Following General Procedure D-3, tert-butyl

4-(8-cyano-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylcarbamate (10 mg, 0.023 mmol) was reacted with 4 N HCl (3 mL) to afford the desired product (7.4 mg, 74%) as a dark brown solid: ^1H NMR (500 MHz, CD_3OD) δ 7.89 (d, J = 8.6 Hz, 1H), 7.74 (t, J = 9.5 Hz, 2H), 7.65 (dd, J = 14.4, 7.0 Hz, 2H), 7.55–7.53 (m, 2H), 6.08 (d, J = 5.4 Hz, 1H), 4.32 (s, 2H); ESI MS m/z 330 [$\text{C}_{19}\text{H}_{13}\text{N}_3\text{OS} - \text{H}$] $^-$; HPLC 98.3% (AUC), t_R = 9.36 min.

Example 627

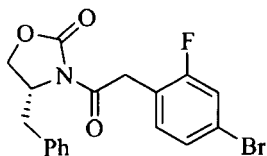
(*S*)-4-benzyl-3-(2-(4-bromo-2-fluorophenyl)acetyl)oxazolidin-2-one



To a solution of (2-(4-bromo-2-fluorophenyl)acetic acid) (3 g, 13 mmol) and triethylamine (2.5 mL, 14 mmol) in toluene (50 mL) at 0 °C was added trimethylacetyl chloride (6.1 mL, 65 mmol) dropwise. After 10 mins the reaction mixture was cooled to -78 °C. In a separate flask, to a solution of (*S*)-(+)-4-benzyl-2-oxazolidinone (2.5 g, 14 mmol) in tetrahydrofuran (50 mL) at -78 °C was added LiHMDS (1 M in hexane, 17 mL, 17 mmol) until yellowish color persisted. After 10 mins the resulting solution was transferred through a cannula into the suspension of mixed anhydride prepared as described above. The reaction mixture was allowed to reach rt over the period of 4 h, then diluted with saturated aqueous sodium bisulfate (ca. 20 mL), and extracted with ethyl acetate (ca. 100 mL). The extract was washed with brine (2 x 50 mL), dried over sodium sulfate, and evaporated under vacuum. Flash chromatography of the residue followed by trituration (hexanes) afforded the desired product (2.1 g, 42 %) as white solid. ESI MS m/z 393 [$\text{C}_{18}\text{H}_{15}\text{BrFNO}_3 + \text{H}$] $^+$

Example 628

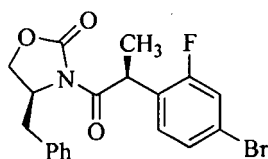
(*R*)-4-benzyl-3-(2-(4-bromo-2-fluorophenyl)acetyl)oxazolidin-2-one



Following the procedure outlined for Example 627, (2-(4-bromo-2-fluorophenyl)acetic acid) (10.8 g, 30.7 mmol) reacted with (*S*)-(+)-4-benzyl-2-oxazolidinone (5.44 g, 30.7 mmol) to obtain the desired product (4.5 g, 36%) as white solid. ESI MS m/z 393 [$\text{C}_{18}\text{H}_{15}\text{BrFNO}_3 + \text{H}$] $^+$

Example 629

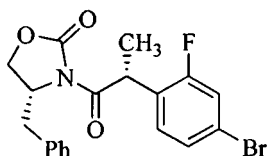
(*S*)-4-benzyl-3-((*S*)-2-(4-bromo-2-fluorophenyl)propanoyl)oxazolidin-2-one



To a solution of (*S*)-4-benzyl-3-(2-(4-bromo-2-fluorophenyl)acetyl)oxazolidin-2-one (3.6 g, 9.2 mmol) in THF (40 mL) was added a solution of methyl iodide (1 M solution in toluene, 9.6 mL, 9.6 mmol). The mixture was cooled to -78 °C and a solution of sodium bis(trimethylsilyl)amide (1 M in THF, 9.6 mL, 9.6 mmol) was added dropwise. The resultant dark red mixture was stirred for 15 min at ca. -78 °C and allowed to warm up to room temperature. After 3.5 h the reaction mixture was diluted with saturated aqueous sodium bisulfate (ca. 20 mL), and extracted with ethyl acetate (1 x 50 mL). The extract was washed with brine (2 x 50 mL), dried over sodium sulfate, and evaporated under vacuum. Flash chromatography of the residue afforded the desired product (1.7 g, 45%) as light yellow solid: ESI MS *m/z* 407 [C₁₉H₁₇BrFNO₃ + H]⁺

Example 630

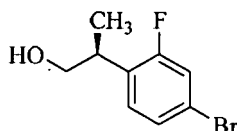
(*R*)-4-benzyl-3-((*R*)-2-(4-bromo-2-fluorophenyl)propanoyl)oxazolidin-2-one



Following the procedure outlined for Example 628, (*R*)-4-benzyl-3-(2-(4-bromo-2-fluorophenyl)acetyl)oxazolidin-2-one (4.6 g, 12 mmol) was reacted with methyl iodide (1M solution in toluene, 12.3 mL, 12.3 mmol) to obtain the desired product (3.0 g, 60%) as light yellow solid: ESI MS *m/z* 407 [C₁₉H₁₇BrFNO₃ + H]⁺

Example 631

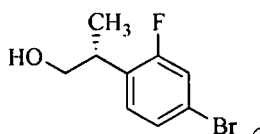
(*S*)-2-(4-bromo-2-fluorophenyl)propan-1-ol



To a solution of ((*S*)-4-benzyl-3-((*S*)-2-(4-bromo-2-fluorophenyl)propanoyl)oxazolidin-2-one) (1.7 g, 4.2 mmol) in THF (12 mL) was added a solution of sodium borohydride (700 mg, 21 mmol) in water (2 mL). The reaction mixture was stirred for 3 h at room temperature. The excess hydride was quenched by slow addition of aqueous hydrochloric acid (1 N, ca. 2.9 mL). The mixture was further diluted with water (10 mL) and extracted with ethyl acetate (1 x 30 mL). The combined organics were washed with brine (2 x 10 mL), dried over sodium sulfate, and evaporated under vacuum. The residue was purified by flash chromatography of the residue afforded the desired product (0.8 g, 82%) as light yellow oil: ESI MS *m/z* 234 [C₉H₁₀BrFO + H]⁺

Example 632

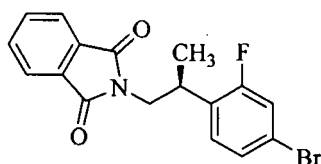
(*R*)-2-(4-bromo-2-fluorophenyl)propan-1-ol



Following the procedure outlined for Example 630, (*R*)-4-benzyl-3-((*R*)-2-(4-bromo-2-fluorophenyl) propanoyl)oxazolidin-2-one (3 g, 7.4 mmol) was reacted with sodium borohydride (1.2 g, 37 mmol) to obtain the desired product (1.5 g, 87%) as light yellow oil; ESI MS m/z 234 [$C_9H_{10}BrFO + H$]⁺

Example 633

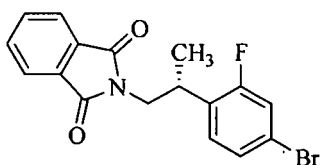
(*S*)-2-(2-(4-bromo-2-fluorophenyl)propyl)isoindoline-1,3-dione



To a solution of (*S*)-2-(4-bromo-2-fluorophenyl)propan-1-ol (800 mg, 3.43 mmol), phthalimide (554 mg, 3.77 mmol), and triphenylphosphine (1.34 g, 5.14 mmol) in THF (2 mL) was added DIAD (1 mL, 5.14 mmol) dropwise. The reaction mixture was stirred at room temperature for 18 h and evaporated under vacuum. Flash chromatography of the residue afforded the desired product (1 g, 81%) as a white solid ESI MS m/z 363 [$C_{17}H_{13}BrFNO_2 + H$]⁺

Example 634

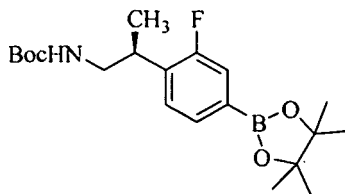
(*R*)-2-(2-(4-bromo-2-fluorophenyl)propyl)isoindoline-1,3-dione



Following the procedure described for Example 633, (*R*)-2-(4-bromo-2-fluorophenyl)propan-1-ol (1.5 mg, 6.4 mmol) was reacted with phthalimide (1.0 mg, 7.008 mmol) to obtain the desired product (1.6 g, 69%) as a white solid: ESI MS m/z 363 [$C_{17}H_{13}BrFNO_2 + H$]⁺

Example 635

(*S*)-*tert*-butyl 2-(2-(4-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propyl)carbamate



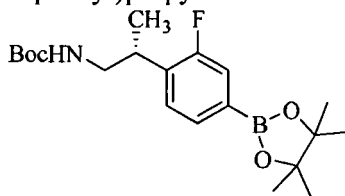
To a solution of ((*S*)-2-(2-(4-bromo-2-fluorophenyl)propyl)isoindoline-1,3-dione) (1.0 g, 2.8 mmol) in toluene (10 mL) was added hydrazine (1.3 mL, 41.5 mmol) dropwise. The reaction

mixture was heated at 80–90 °C for 1 h and cooled to room temperature. The supernatant was decanted, and the residual solid was washed with toluene. The combined solution was evaporated under vacuum, dissolved in DCM (10 mL) and cooled to 0 °C. Next, Boc₂O (870 mg, 4 mmol) and Et₃N (0.5 mL, 4 mmol) were added and the reaction mixture stirred for 30 min at room temperature. The reaction mixture diluted with DCM (20 mL) and washed with 1N HCl (1 x 10 mL), water (1 x 20 mL) followed by brine (1 x 10 mL), dried over sodium sulfate, and evaporated under vacuum to afford the desired product (860 mg, 99%) which was taken to next step without further purification. ESI MS *m/z* 333 [C₁₄H₁₉BrFNO₂ + H]⁺

The next step carried out following the procedure G (Scheme II): (*S*)-*tert*-butyl 2-(4-bromo-2-fluorophenyl)propylcarbamate (860 mg, 2.66) was reacted with KOAc (833 mg, 8.5 mmol), Pd(dppf)Cl₂ (200 mg, 0.26 mmol) and bis(pinacolato)diboron (863 mg, 3.4 mmol) to afford (*S*)-*tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate as a colourless paste (900 mg, 89%): ESI MS *m/z* 380 [C₂₀H₃₁BFNO₄ + H]⁺

Example 636

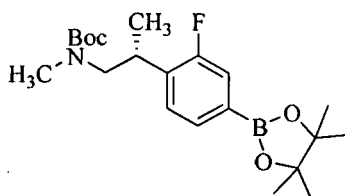
(*R*)-*tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate



Followed the procedure outlined for Example 635 (*R*)-2-(2-(4-bromo-2-fluorophenyl)propyl)isoindoline-1,3-dione (1.6 g, 4.42 mmol) was reacted with hydrazine (2.1 g, 66 mmol), Boc₂O (2.0 g, 8.8 mmol) followed by bis(pinacolato)diboron (1.0 g, 4.0 mmol) to obtain the desired product (1.1 g, 80%) as a colourless paste: ESI MS *m/z* 380 [C₂₀H₃₁BFNO₄ + H]⁺

Example 637

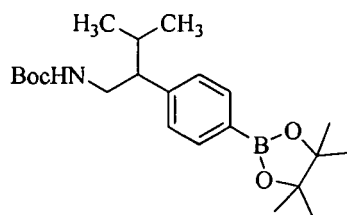
(*R*)-*tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propyl(methyl)carbamate



Following the procedure described for Example 647, (*R*)-*tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (400 mg, 1 mmol) was reacted with methyl iodide (1M solution in toluene, 2 mL, 2 mmol) and NaHMDS (1 M solution, 2 mL, 2 mmol) to afford the desired product (330 mg, 82%) as viscous mass. ESI MS *m/z* 394 [C₂₁H₃₈BFNO₄ + H]⁺

Example 638

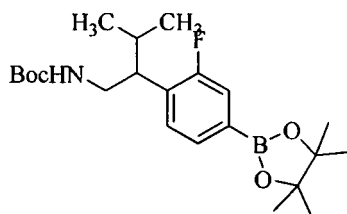
tert-butyl 3-methyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butylcarbamate



Following the procedure described for Example 647, 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)acetonitrile (5.6 g, 23 mmol) was reacted with isopropyl iodide (4 g, 24 mmol) NaHMDS (1 M solution, 24 mL, 24 mmol) to afford the desired product (2.1 g, 23%) as viscous mass. ESI MS m/z 390 $[C_{22}H_{36}BNO_4 + H]^+$.

Example 639

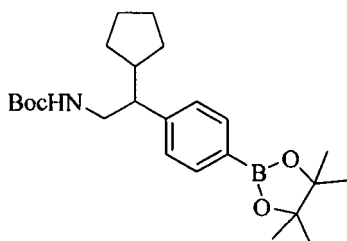
tert-butyl 2-(2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-3-methylbutylcarbamate



Following the procedure described for Example 647, 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)acetonitrile (1.7 g, 6.5 mmol) was reacted with isopropyl iodide (1.1 g, 6.8 mmol) NaHMDS (1 M solution, 7.1 mL, 7.1 mmol) to afford the desired product (0.65 g, 24%) as viscous mass. ESI MS m/z 408 $[C_{22}H_{36}FBNO_4 + H]^+$

Example 640

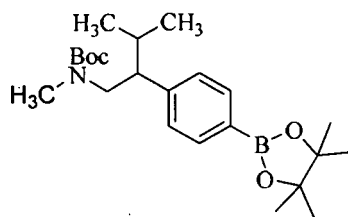
tert-butyl 2-cyclopentyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethylcarbamate



Following the procedure described for Example 647, 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)acetonitrile (1.7 g, 7.16 mmol) was reacted with cyclopentyl iodide (1 M solution in toluene, 7.16 mL, 7.16 mmol) and NaHMDS (1 M solution, 7.16 mL, 7.16 mmol) to afford the desired product (1.6 g, 53%) as viscous mass. ESI MS m/z 415 $[C_{24}H_{38}BNO_4 + H]^+$.

Example 641

tert-butyl methyl(3-methyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butylcarbamate



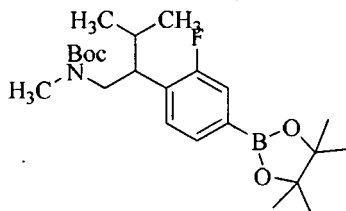
Example 642

Following the procedure described for Example 647,

- 5 *tert*-butyl 3-methyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butylcarbamate (400 mg, 1 mmol) was reacted with methyl iodide (1M solution in toluene, 2 mL, 2 mmol) and NaHMDS (1 M solution, 2 mL, 2 mmol) to afford the desired product (350 mg, 84%) as viscous mass. ESI MS m/z 403 [$C_{23}H_{38}BNO_4 + H$] $^+$.

Example 643

10 *tert*-butyl 2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-3-methylbutyl (methyl)carbamate

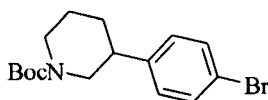


15 Following the procedure described for Example 647, *tert*-butyl

2-(2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-3-methylbutylcarbamate (650 mg, 1.6 mmol) was reacted with methyl iodide (1M solution in toluene, 3.2 mL, 3.2 mmol) and NaHMDS (1 M solution, 4.8 mL, 4.8 mmol) to afford the desired product (650 mg, 94%) as viscous mass.. ESI MS m/z 421 [$C_{23}H_{38}FBNO_4 + H$] $^+$

Example 644

tert-butyl 3-(4-bromophenyl)piperidine-1-carboxylate

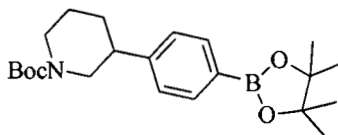


25 To a solution of 3-(4-bromophenyl)pyridine (0.4 g, 1.68 mmol) and HCl (1.0 N solution in water, 1.7 mL, 1.7 mmol) in MeOH (20 mL) was added PtO₂ (0.5 g) and stirred for 24 h at room temperature under H₂ atmosphere (50 psi) in a Parr hydrogenation apparatus. The mixture was filtered through Celite, and the filtrate was evaporated under reduced pressure. The resulting white solid was dissolved in EtOAc (50 mL) and washed with 1 N NaOH (10 mL). The aqueous phase was extracted with EtOAc (3 x 50 mL), and the combined organic phase was dried (Na₂SO₄). Evaporation of the solvent gave a white solid which was redissolved in DCM and added Boc₂O followed by Et₃N at 0 °C. After stirring the reaction mixture for 1 h at room temperature diluted with DCM and washed sequentially with 1 N HCl, water and brine, dried over sodium sulfate, and evaporated under vacuum. Flash chromatography of the residue

afforded the desired product as viscous mass (350 mg, 61%) ESI MS m/z 341 [$C_{16}H_{22}BrNO_2 + H$] $^+$.

Example 645

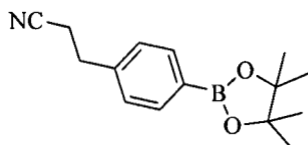
5 *tert*-butyl 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)piperidine-1-carboxylate



10 General Procedure G, *tert*-butyl 3-(4-bromophenyl)piperidine-1-carboxylate (350 mg, 1) was reacted with bis(pinacolato)diboron (275, 1.2 mmol) to afford the desired product (190 mg, 48%) as a viscous mass: ESI MS m/z 388 [$C_{22}H_{34}BNO_4 + H$] $^+$.

Example 646

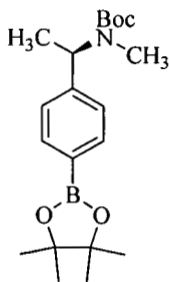
15 3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propanenitrile



20 General Procedure G, *tert*-butyl 3-(4-bromophenyl)piperidine-1-carboxylate (350 mg, 1) was reacted with bis(pinacolato)diboron (275, 1.2 mmol) to afford the desired product (190 mg, 48%) as a viscous mass: ESI MS m/z 388 [$C_{22}H_{34}BNO_4 + H$] $^+$.

Example 647

25 N-methyl(R)-*tert*-butyl methyl(1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethyl)carbamate

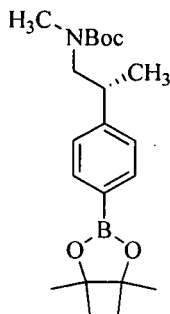


30 To a solution of (R)-*tert*-butyl 1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethylcarbamate (1.0 g, 2.88 mmol) in anhydrous THF (20 mL) was cooled to 0 °C and sodium hydride (60 wt %, 330 mg, 8.64 mmol) added portion wise. The mixture was stirred for 10 min and then heated at 60 °C for 1 h. The flask was then cooled down to room temperature and methyl iodide (277 mL, 4.32 mmol) was added. The mixture was heated again at 60 °C for 12 h. LCMS showed completion of reaction. The reaction mixture was quenched with water and
35 diluted with ethyl acetate (200 mL). The layers were separated and the organic layer was dried over anhydrous sodium sulfate, filtered, concentrated and the residue purified by column

chromatography (silica, 0–30% ethyl acetate/heptane) to afford the desired product (520 mg, 43%) as a white solid: ESI MS m/z 306 [$C_{20}H_{32}BNO_4 - 56$] $^+$.

Example 648

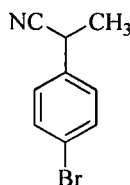
(R)-tert-butyl methyl(2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propyl)carbamate



A solution of (R)-tert-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate (9.0 g, 24.93 mmol) in anhydrous THF (120 mL) was cooled to 0 °C and NaHMDS (30 mL, 29.9 mmol) was added. The mixture was stirred for 1 h, methyl iodide (1.9 mL, 29.9) in THF (40 mL) added and stirred for 14 h.. The reaction mixture was quenched with water (20 mL) and diluted with ethyl acetate (250 mL). The layers were separated and the organic layer was dried over anhydrous sodium sulfate, filtered, concentrated and the residue purified by column chromatography (silica, 0–30% ethyl acetate/heptane) to afford the desired product (6.2 g, 66%) as light yellow oil: ESI MS m/z 376 [$C_{21}H_{34}BNO_4 + H$] $^+$.

Example 649

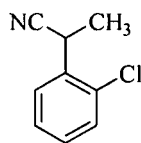
2-(4-bromophenyl)propanenitrile



To a solution of 2-(4-bromophenyl)acetonitrile (5.0 g, 25.5 mmol) in anhydrous THF (70 mL) was cooled to 0 °C and sodium hydride (60 wt %, 1.5 g, 38.3 mmol) added portion wise. The mixture was stirred at room temperature for 1 h. After which methyl iodide (1.8 mL, 28.1 mmol) was added and the mixture stirred for 14 h. The reaction mixture was carefully quenched with water at 0 °C and diluted with ethyl acetate (200 mL). The layers were separated and the organic layer was dried over anhydrous sodium sulfate, filtered, concentrated and the residue purified by column chromatography (silica, 0–30% ethyl acetate/heptane) to afford the desired product (3.8 g, 72%) as a yellow oil: ESI MS m/z 210 [$C_9H_8BrN + H$] $^+$.

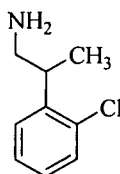
Example 650

2-(2-chlorophenyl)propanenitrile



Following the procedure outlined for Example 649, 2-(2-chlorophenyl)acetonitrile (15 g, 98.9 mmol) was reacted with NaHMDS (118 mL, 118 mmol), and methyl iodide (7.0 mL, 108 mmol) to afford the desired product (14 g, 87%) as a brown oil: ESI MS m/z 166 [C₉H₈ClN + H]⁺.

Example 651
2-(2-chlorophenyl)propan-1-amine



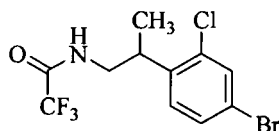
10

To a solution of 2-(2-chlorophenyl) propanenitrile (14 g, 84.8 mmol) in toluene at 0 °C was added BH₃•THF (127, 255 mmol) and the reaction was warmed to room temperature and heated at reflux for 4 h. The reaction mixture was cooled; quenched with water, concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to obtain the desired product (13.9 g, 97%) as a reddish oil: ESI MS m/z 170 [C₉H₁₂ClN + H]⁺.

15

Example 652
N-(2-(4-bromo-2-chlorophenyl)propyl)-2,2,2-trifluoroacetamide

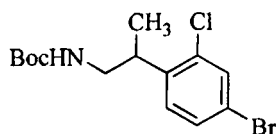
20



A solution of trifluoro acetic anhydride (12.8 mL, 90.1 mmol) in anhydrous methylene chloride (82 mL) was cooled to 0 °C and 2-(2-chlorophenyl)propan-1-amine (14 g, 82.8 mmol) in anhydrous methylene chloride (30 mL) was added dropwise. The mixture was stirred at room temperature for 1.5 h. The flask was again cooled to 0 °C and methanesulfonic acid (13 mL) followed by 1,3-Dibromo-5,5-Dimethylhydantoin (11.8 g, 41.4 mmol) was added in one portion. The mixture was stirred for 14 h and quenched with water (30 mL) and diluted with methylene chloride (150 mL). The layers were separated and the organic layer was dried over anhydrous sodium sulfate, filtered, concentrated and the residue purified by column chromatography (silica, 0–30% ethyl acetate/heptane) to afford the desired product (14 g, 50%) as a yellowish oil: ESI MS m/z 344 [C₁₁H₁₀BrClF₃NO + H]⁺.

35

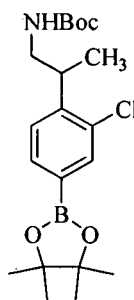
Example 653
tert-butyl 2-(2-chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl) propylcarbamate



A mixture of N-(2-(4-bromo-2-chlorophenyl)propyl)-2,2,2-trifluoroacetamide (14 g, 40.6 mmol), methanol (200 mL) and sodium hydroxide (2M, 200 mL, 81.2 mmol) was stirred at room temperature for 14 h. LCMS showed completion of the reaction. The solvent was removed, extraction with methylene chloride (200 mL) and concentrated to give an oil. The residue was dissolved in methylene chloride (100 mL) and cooled to 0 °C. Triethylamine (8.3 mL, 61.0 mmol) and di-tert-butyl dicarbonate (13.3 g, 61.0 mmol) and the reaction mixture stirred at room temperature for 18 h. The reaction mixture concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to obtain the desired product (13.8 g, 90%) as white solid: ESI MS m/z 293 [C₁₄H₁₉BrClNO₂ - 56]⁺.

Example 654

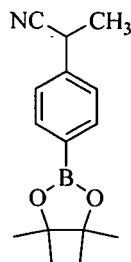
tert-butyl 2-(2-chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate



Following General Procedure G, tert-butyl 2-(4-bromo-2-chlorophenyl)propylcarbamate (12.0 g, 34.5 mmol) was reacted with bis(pinacolata)diboron (13.2 g, 51.7 mmol) to afford the desired product (8.0 g, 58%) as a amorphous reddish oil: ESI MS m/z 396 [C₂₀H₃₁BClNO₄ + H]⁺.

Example 655

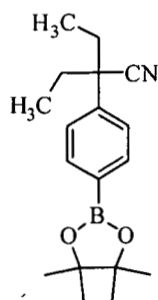
2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propanenitrile



Following General Procedure G, 2-(4-bromophenyl)propanenitrile (3.5 g, 18.2 mmol) was reacted with bis(pinacolata)diboron (4.6 g, 27.1 mmol) to afford the desired product (2.5 g, 53%) as a brown solid: ESI MS m/z 258 [C₁₅H₂₀BNO₂ + H]⁺.

Example 656

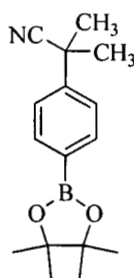
2-ethyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butanenitrile



To a solution of 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)acetonitrile (2.0 g, 8.23 mmol) in DMF (40 mL) was cooled to 0 °C and sodium hydride (60 wt %, 1.2 g, 32.9 mmol) added portion wise. The mixture was stirred for 10 min and ethyl iodide (0.74 mL, 9.05 mmol) in THF (10 mL) was added. The mixture was stirred at room temperature for 12 h. The reaction mixture was quenched with water and diluted with ethyl acetate. The layers were separated and the organic layer was dried over anhydrous sodium sulfate, filtered, concentrated and the residue purified by column chromatography (silica, 0–30% ethyl acetate/heptane) to afford the desired product (950 mg, 38%) as a brown solid: ESI MS m/z 300 $[C_{18}H_{26}BNO_2 + H]^+$.

Example 657

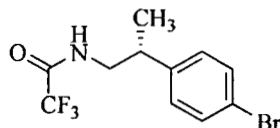
2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propanenitrile



Following General Procedure G, 2-(4-bromophenyl)propanenitrile (5.0 g, 22.3 mmol) was reacted with bis(pinacolato)diboron (8.7 g, 33.5 mmol) to afford the desired product (5.8 g, 95%) as a white solid: ESI MS m/z 272 $[C_{16}H_{22}BNO_2 + H]^+$.

Example 658

(R)-N-(2-(4-bromophenyl)propyl)-2,2,2-trifluoroacetamide

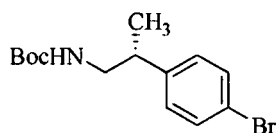


A solution of trifluoro acetic anhydride (11.4 mL, 81.4 mmol) in anhydrous methylene chloride (73 mL) was cooled to 0 °C and (R)-2-phenylpropan-1-amine (10 g, 73.9 mmol) in anhydrous methylene chloride (20 mL) was added dropwise. The mixture was stirred at room temperature for 1.5 h. The flask was again cooled to 0 °C and methane sulfonic acid (12 mL) followed by 1,3-Dibromo-5,5-Dimethylhydantoin (11 g, 36.9 mmol) was added in one portion.. The mixture was stirred for 14 h and quenched with water (30 mL) and diluted with methylene chloride (100 mL). The layers were separated and the organic layer was dried over anhydrous sodium sulfate, filtered, concentrated and the residue purified by column chromatography (silica,

0–30% ethyl acetate/heptane) to afford the desired product (21 g, 91%) as a yellow solid: ESI MS m/z 310 $[C_{11}H_{11}BrF_3NO + H]^+$.

Example 659

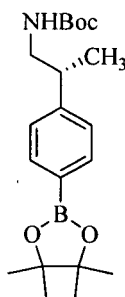
(R)-tert-butyl 2-(4-bromophenyl)propylcarbamate



A mixture of (R)-N-(2-(4-bromophenyl)propyl)-2,2,2-trifluoroacetamide (21 g, 68.3 mmol), methanol (40 mL) and sodium hydroxide (2M, 68 mL, 136 mmol) was stirred at room temperature for 14 h. LCMS showed completion of the reaction. The solvent was removed, extraction with methylene chloride (250 mL) and concentrated to give an oil. The residue was dissolved in methylene chloride (100 mL) and cooled to 0 °C. Triethylamine (14 mL, 102 mmol) and di-tert-butyl dicarbonate (22 g, 102 mmol) and the reaction mixture stirred at room temperature for 18 h. The reaction mixture concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to obtain the desired product (19 g, 91%) as yellow oil: ESI MS m/z 257 $[C_{14}H_{20}BrNO_2 - 56]^+$.

Example 660

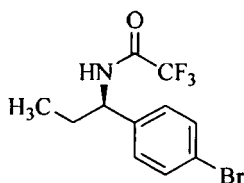
(R)-tert-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate



Following General Procedure G, (R)-tert-butyl 2-(4-bromophenyl)propylcarbamate (19 g, 60.5 mmol) was reacted with bis(pinacolata)diboron (24 g, 94.4 mmol) to afford the desired product (22 g, 99%) as a light yellow solid: ESI MS m/z 362 $[C_{20}H_{32}BNO_4 + H]^+$.

Example 661

(R)-N-(1-(4-bromophenyl)propyl)-2,2,2-trifluoroacetamide

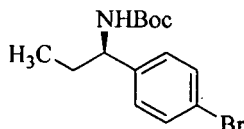


A solution of trifluoro acetic anhydride (5.7 mL, 40.7 mmol) in anhydrous methylene chloride (40 mL) was cooled to 0 °C and (R)-1-phenylpropan-1-amine (5 g, 36.9 mmol) in anhydrous methylene chloride (10 mL) was added dropwise. The mixture was stirred at room temperature for 1.5 h. The flask was again cooled to 0 °C and methane sulfonic acid (6.3 mL)

followed by 1,3-dibromo-5,5-dimethylhydantoin (5.3 g, 18.5 mmol) was added in one portion.. The mixture was stirred for 14 h and quenched with water (30 mL) and diluted with methylene chloride (50 mL). The layers were separated and the organic layer was dried over anhydrous sodium sulfate, filtered, concentrated and the residue purified by column chromatography (silica, 0–30% ethyl acetate/heptane) to afford the desired product (8.1 g, 73%) as a white solid: ESI MS m/z 310 $[C_{11}H_{11}BrF_3NO + H]^+$.

Example 662

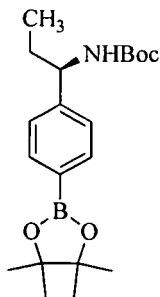
(R)-tert-butyl 1-(4-bromophenyl)propylcarbamate



A mixture of (R)-N-(1-(4-bromophenyl)propyl)-2,2,2-trifluoroacetamide (8.1 g, 68.3 mmol), methanol (20 mL) and sodium hydroxide (2M, 15 mL, 30.6 mmol) was stirred at room temperature for 14 h. LCMS showed completion of the reaction. The solvent was removed, extraction with methylene chloride (150 mL) and concentrated to give an oil. The residue was dissolved in methylene chloride (100 mL) and cooled to 0 °C. Triethylamine (2.2 mL, 15.3 mmol) and di-tert-butyl dicarbonate (3.3 g, 15.3 mmol) and the reaction mixture stirred at room temperature for 18 h. The reaction mixture concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to obtain the desired product (5.8 g, 70%) as off-white solid: ESI MS m/z 257 $[C_{14}H_{20}BrNO_2 - 56]^+$.

Example 663

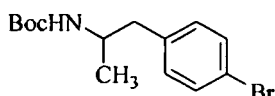
(R)-tert-butyl 1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propylcarbamate



Following General Procedure G, (R)-tert-butyl 1-(4-bromophenyl)propylcarbamate (5.8 g, 18.4 mmol) was reacted with bis(pinacolata)diboron (7.03 g, 27.7 mmol) to afford the desired product (6.18 g, 92%) as yellow oil: ESI MS m/z 362 $[C_{20}H_{32}BNO_4 + H]^+$.

Example 664

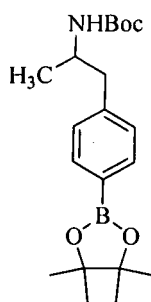
tert-butyl 1-(4-bromophenyl)propan-2-ylcarbamate



To a solution of 1-(4-bromophenyl)propan-2-one (5.0 g, 23.4 mmol) in ethanol (115 mL) was added ammonia in methanol (9 N, 20.2 mL, 140 mmol) followed by Titanium isopropoxide (13.3 mL, 46.9 mmol). The mixture was heated at 50 °C overnight. The flask was cooled to 0 °C and NaBH₄ (142 mg, 3.76 mmol) was added in portions. After stirring for 1 h, NH₄OH (2 N, 4.0 mL) was added and the mixture stirred for 1 h. The white solid was filtered off and the filtrate extracted with methylene chloride. Solvent was removed and oil obtained was dissolved in methylene chloride (100 mL) and cooled to 0 °C. Triethylamine (4.8 mL, 35.2 mmol) and di-tert-butyl dicarbonate (10.2 g, 46.9 mmol) and the reaction mixture stirred at room temperature for 18 h. The reaction mixture concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to obtain the desired product (4.2 g, 57%) as white solid: ESI MS m/z 257 [C₁₄H₂₀BrNO₂ - 56]⁺.

Example 665

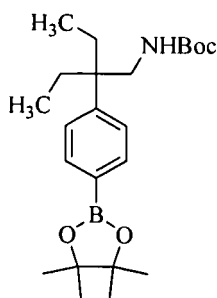
tert-butyl 1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propan-2-ylcarbamate



Following General Procedure G, tert-butyl 1-(4-bromophenyl)propan-2-ylcarbamate (8.8 g, 28.03 mmol) was reacted with bis(pinacolata)diboron (10.7 g, 42.0 mmol) to afford the desired product (10.5 g, 99%) as a brown oil: ESI MS m/z 362 [C₂₀H₃₂BNO₄ + H]⁺.

Example 666

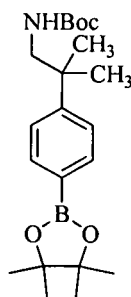
tert-butyl 2-ethyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butylcarbamate



To a solution of cyano compound (500 mg, 1.67 mmol) in toluene (10 mL) at 0 °C was added BH₃·THF (1.0 M in THF, 16 mL, 10 mmol) and the reaction was warmed to room temperature and heated at reflux for 4 h. The reaction mixture was cooled; quenched with water, concentrated. The residue was dissolved in methylene chloride (30 mL) and cooled to 0 °C. Triethylamine (0.36 mL, 2.51 mmol) and di-tert-butyl dicarbonate (547 mg, 2.51 mmol) and the reaction mixture stirred at room temperature for 18 h. The reaction mixture concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to obtain the desired product (480 mg, 71%) as a brown solid: ESI MS m/z 404 [C₂₃H₃₈BNO₄ + H]⁺.

Example 667

tert-butyl 2-methyl-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl) phenyl)propylcarbamate

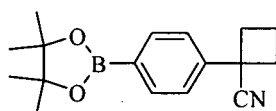


Following the procedure outlined for Example 666,

2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)propanenitrile (5.8 g, 21.4 mmol) was reacted with $\text{BH}_3 \cdot \text{THF}$ (1.0 M in THF, 64 mL, 64 mmol) and di-tert-butyl dicarbonate (7.0 g, 32.1 mmol) to give the desired product (6.9 g, 86%) as a white solid: ESI MS m/z 310 $[\text{C}_{21}\text{H}_{34}\text{BNO}_4 - 56]^+$.

Example 668

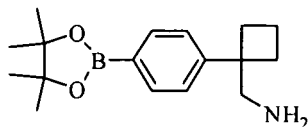
1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)cyclobutanecarbonitrile



To a solution of 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl) acetonitrile (7.0 g, 29 mmol) in THF at 0 °C was added NaHMDS (1.0 M, 120 mL, 120mmol). After stirring for 20 min 1,3-diiodopropane (26 g, 86 mmol) was added and the reaction mixture was stirred at room temperature for 2 h. The reaction mixture was cooled to 0 °C, quenched with MeOH (5.0 mL) and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to afford the desired product (4.0 g, 47%) as a yellow oil: ESI MS m/z 286 $[\text{C}_{17}\text{H}_{22}\text{BNO}_2 + \text{H}]^+$.

Example 669

(1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)cyclobutyl)methanamine

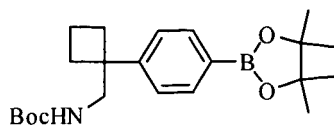


Following the procedure outlined for Example 666,

1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl) cyclobutane carbonitrile (4.0 g, 14 mmol) was reacted with $\text{BH}_3 \cdot \text{THF}$ (1.0 M in THF, 60 mL, 60 mmol) to afford the desired product (3.7 g, 91%) as a yellow oil: ESI MS m/z 288 $[\text{C}_{17}\text{H}_{26}\text{BNO}_2 + \text{H}]^+$.

Example 670

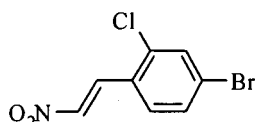
tert-butyl (1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl) cyclobutyl)methylcarbamate



5 Following the procedure outlined for Example 463, (1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)cyclobutyl) methanamine (3.7 g, 13 mmol)) was reacted with di-tert-butyl dicarbonate (3.4 g, 16 mmol) to afford the desired product (3.5 g, 71%) as a yellow oil: ESI MS m/z 388 $[C_{22}H_{34}BNO_4 + H]^+$.

10

Example 671
4-bromo-2-chloro-1-(2-nitrovinyl)benzene



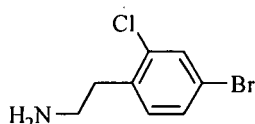
15

To a solution of 4-bromo-2-chlorobenzaldehyde (7.5 g, 34 mmol) in nitromethane was added methylamine hydrochloride (1.3 g, 22 mmol), NaOAc (1.8 g, 22 mmol). The mixture was vigorously stirred for 18 h at room temperature. The reaction mixture was diluted with water (60 mL) and extracted with CH_2Cl_2 (3x100 mL), organic phases dried (Na_2SO_4), evaporated to afford the desired product (8.5 g, 95%) as a light yellow oil: ESI MS m/z 262 $[C_8H_5BrClNO_2 + H]^+$.

20

Example 672
2-(4-bromo-2-chlorophenyl)ethanamine

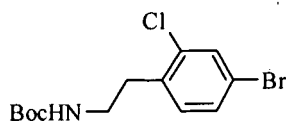
25



To a stirred suspension of $LiBH_4$ (2.0 M, 73 mL, 145 mmol) in THF (60 mL) at room temperature was added chlorotrimethylsilane (32 g, 290 mmol), dropwise over 10 min. After stirring at room temperature for 20 min, nitrogen gas was bubbled through the mixture for 5 min to remove the remaining trimethylsilane that had formed. A solution of 4-bromo-2-chloro-1-(2-nitrovinyl)benzene (9.5 g, 36.2 mmol) in THF (60 mL) was added dropwise over 10 min with stirring at room temperature. The resulting mixture was heated at reflux for 1 h. The reaction mixture was cooled in an ice bath and carefully quenched with MeOH (100 mL). The solvent was evaporated and the residue was partitioned between 20 % KOH (120 mL) and CH_2Cl_2 (60 mL). The organic layer was dried, concentrated, purified by column chromatography (silica, ethyl acetate/hexanes gradient) to obtain the desired product (8.5 g, 95%) as a light yellow oil: ESI MS m/z 234 $[C_8H_5BrClN + H]^+$.

40

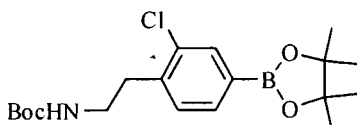
Example 673
tert-butyl 4-bromo-2-chlorophenethylcarbamate



Following the procedure outlined for Example 463, 2-(4-bromo-2-chlorophenyl)ethanamine (7.5 g, 32 mmol) was reacted with di-tert-butyl dicarbonate (8.3 g, 38 mmol) to afford the desired product (9.7 g, 90%) as a white solid: ESI MS m/z 334 [$C_{13}H_{17}BrClNO_2 + H$] $^+$.

Example 674

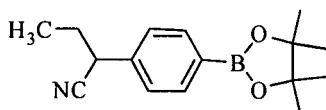
tert-butyl 2-chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenethylcarbamate



Following General Procedure G, tert-butyl 4-bromo-2-chlorophenethylcarbamate (9.6 g, 30 mmol) was reacted with bis(pinacolato)diboron (11 g, 45 mmol) to afford the desired product (8.2 g, 73%) as a colorless oil: ESI MS m/z 382 [$C_{19}H_{29}BCLNO_2 + H$] $^+$.

Example 675

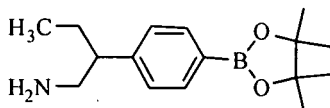
2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butanenitrile



Following the procedure outlined for Example 649, 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)acetonitrile (5.2 g, 21 mmol) was reacted with ethyl bromide (2.6 g, 24 mmol) to afford the desired product (3.4 g, 59%) as colorless oil: ESI MS m/z 272 [$C_{16}H_{22}BNO_2 + H$] $^+$.

Example 676

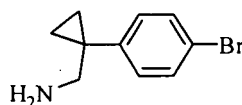
2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butan-1-amine



Following the procedure outlined for Example 666, 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butanenitrile (3.4 g, 12.5 mmol) was reacted with $BH_3 \cdot THF$ (1.0 M in THF, 64 mL, 64 mmol) to afford the desired product (3.2 g, 93%) as light yellow oil: ESI MS m/z 276 [$C_{16}H_{26}BNO_2 + H$] $^+$.

Example 677

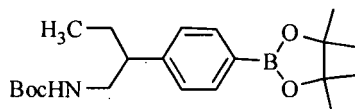
(1-(4-bromophenyl)cyclopropyl)methanamine



Following the procedure outlined for Example 666, 1-(4-bromophenyl)cyclopropane carbonitrile (2.0 g, 9.0 mmol) was reacted with $\text{BH}_3 \cdot \text{THF}$ (1.0 M in THF, 50 mL, 50 mmol) to afford the desired product (1.9 g, 94%) as a yellow oil: ESI MS m/z 226 $[\text{C}_{10}\text{H}_{12}\text{BrN} + \text{H}]^+$.

Example 678

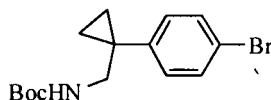
tert-butyl 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butylcarbamate



Following the procedure outlined for Example 463, 2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)butan-1-amine (2.9 g, 10.5 mmol) was reacted with di-tert-butyl dicarbonate (2.8 g, 12.6 mmol) to afford the desired product (2.4 g, 62%) as a light yellow oil: ESI MS m/z 376 $[\text{C}_{21}\text{H}_{34}\text{BNO}_4 + \text{H}]^+$.

Example 679

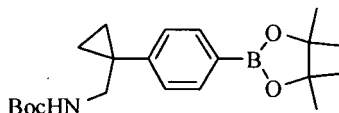
tert-butyl (1-(4-bromophenyl)cyclopropyl)methylcarbamate



Following the procedure outlined for Example 463, (1-(4-bromophenyl)cyclopropyl)methanamine (2.2 g, 9.5 mmol) was reacted with di-tert-butyl dicarbonate (2.5 g, 12 mmol) to afford the desired product (1.5 g, 52%) as a yellow oil: ESI MS m/z 326 $[\text{C}_{15}\text{H}_{20}\text{BrNO}_2 + \text{H}]^+$.

Example 680

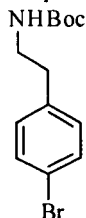
tert-butyl (1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)cyclopropyl)methylcarbamate



Following General Procedure G, tert-butyl (1-(4-bromophenyl)cyclopropyl) methyl carbamate (1.3 g, 4.0 mmol) was reacted with bis(pinacolato)diboron (1.55 g, 6.1 mmol) to afford the desired product (1.8 g, 60%) as a colorless oil: ESI MS m/z 374 [C₂₁H₃₂BNO₄ + H]⁺.

5

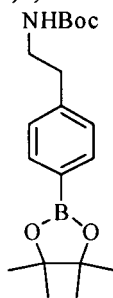
Example 463
tert-Butyl 4-Bromophenethylcarbamate



10

To a solution of 2-(4-bromophenyl)ethanamine (3.0 g, 15 mmol) in methylene chloride (75 mL) at 0 °C was added triethylamine (2.5 mL, 18 mmol) and di-tert-butyl dicarbonate (3.9 g, 18 mmol) and the reaction mixture was stirred at room temperature for 18 h. The reaction mixture was concentrated and the residue was triturated with acetonitrile and filtered to afford the desired product (3.5 g, 75%) as a yellow solid: ESI MS m/z 301 [C₁₃H₁₈BrNO₂ + H]⁺.

Example 464
tert-Butyl 4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenethylcarbamate

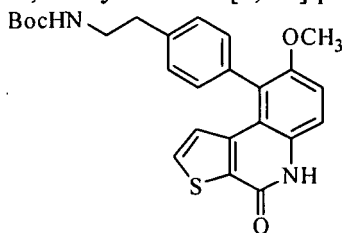


15

Following General Procedure G, tert-butyl 4-bromophenethylcarbamate (1.3 g, 4.3 mmol) was reacted with bis(pinacolato)diboron (1.3 g, 5.1 mmol) to afford the desired product (1.1 g, 70%) as a light brown solid: ESI MS m/z 348 [C₁₉H₃₀BNO₄ + H]⁺.

20

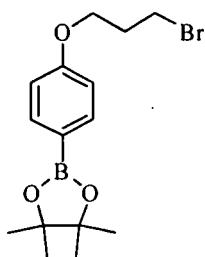
Example 465
tert-Butyl 4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylcarbamate



25

Following General Procedure B, 9-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one (780 mg, 2.5 mmol) was reacted with tert-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenethylcarbamate (1.5g, 4.3 mmol) to afford the desired product (1.0 g, 90%) as a brown solid: ESI MS m/z 451 [C₂₅H₂₆N₂O₄S + H]⁺.

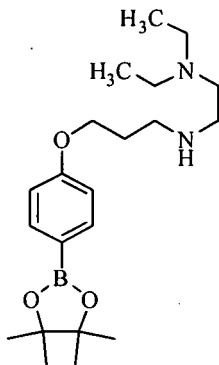
Example 466
2-[4-(3-Bromopropoxy)phenyl]-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



- 5 To a solution of 4-(3-bromopropoxy)phenylboronic acid (1.0 g, 3.9 mmol) in diethyl ether (40 mL) was added pinacol (1.4 g, 12 mmol) and the reaction mixture was stirred for 18 h and concentrated to afford the desired product (1.5 g, crude) as a light brown oil which carried onto the next step without further purification: ESI MS m/z 247 $[C_{15}H_{22}BBrO_3 - 94]^+$.

Example 467

N^1,N^1 -Diethyl- N^2 -{3-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenoxy]propyl}ethane-1,2-diamine

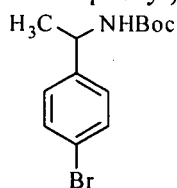


- 10 A solution of 2-[4-(3-bromopropoxy)phenyl]-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (500 mL, 2.02 mmol), N^1,N^1 -diethylethane-1,2-diamine (0.87 mL, 6.1 mmol), and potassium carbonate (550 mg, 4.0 mmol) in acetonitrile (15 mL) was heated to 50 °C for 3 h. The reaction mixture was cooled, filtered and the filtrate was concentrated to afford the desired product (400 mg, 53%) as a yellow oil: ESI MS m/z 377 $[C_{21}H_{37}BN_2O_3 + H]^+$.

15

Example 468

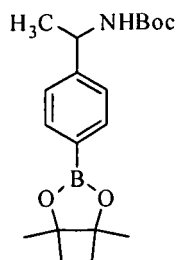
tert-Butyl 1-(4-Bromophenyl)ethylcarbamate



- 20 Following the procedure outlined for Example 463, 1-(4-bromophenyl)ethanamine (3.0 g, 15 mmol) was reacted with di-tert-butyl dicarbonate (3.9 g, 18 mmol) to afford the desired product (4.2 g, 93%) as a white solid: ESI MS m/z 301 $[C_{13}H_{18}BrNO_2 + H]^+$.

Example 469

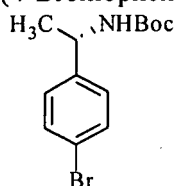
tert-Butyl 1-[4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethylcarbamate



Following General Procedure G, tert-butyl 1-(4-bromophenyl)ethan-1-ylcarbamate (2.2 g, 7.3 mmol) was reacted with bis(pinacolato)diboron (3.9 g, 11 mmol) to afford the desired product (1.3 g, 53%) as an off-white solid: ESI MS m/z 247 [$C_{19}H_{30}BNO_4 + H$] $^+$.

5

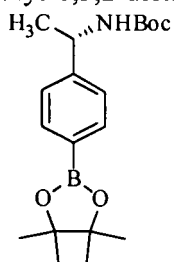
Example 470
(S)-tert-Butyl 1-(4-Bromophenyl)ethan-1-ylcarbamate



Following the procedure outlined for Example 463, (S)-1-(4-bromophenyl)ethan-1-amine (500 mg, 2.5 mmol) was reacted with di-tert-butyl dicarbonate (650 mg, 3.0 mmol) to afford the desired product (640 mg, 82%) as a white solid: ESI MS m/z 247 [$C_{13}H_{18}BrNO_2 + H$] $^+$.

10

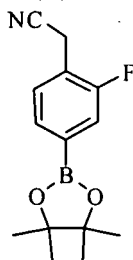
Example 471
(S)-tert-Butyl 1-[4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethan-1-ylcarbamate



Following General Procedure G, (S)-tert-butyl 1-(4-bromophenyl)ethan-1-ylcarbamate (630 mg, 2.1 mmol) was reacted with bis(pinacolato)diboron (1.1 g, 3.1 mmol) to afford the desired product (320 mg, 44%) as a brown solid: ESI MS m/z 347 [$C_{19}H_{30}BNO_4 - Boc$] $^+$.

15

Example 472
2-[2-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]acetonitrile

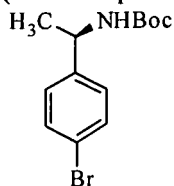


Following General Procedure G, 2-(4-bromo-2-fluorophenyl)acetonitrile (4.0 g, 19 mmol) was reacted with bis(pinacolato)diboron (7.1 g, 28 mmol) to afford the desired product (2.5 g, 57%) as a brown solid: ESI MS m/z 232 [$C_{14}H_{17}BFNO_2 + H$] $^+$.

20

Example 473

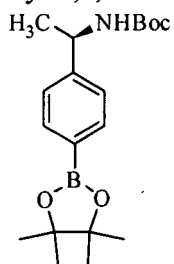
(R)-tert-Butyl 1-(4-Bromophenyl)ethylcarbamate



Following the procedure outlined for Example 463, (R)-1-(4-bromophenyl)ethanamine (1.0 g, 5.0 mmol) was reacted with di-tert-butyl dicarbonate (1.3 g, 5.9 mmol) to afford the desired product (1.2 g, 86%) as an off-white solid: ESI MS m/z 301 $[C_{13}H_{18}BrNO_2 + H]^+$.

Example 474

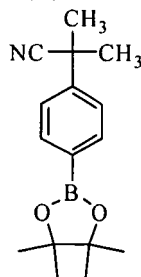
(R)-tert-Butyl 1-[4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethylcarbamate



Following General Procedure G, (R)-tert-butyl 1-(4-bromophenyl)ethylcarbamate (1.2 g, 4.0 mmol) was reacted with bis(pinacolato)diboron (1.5 g, 6.0 mmol) to afford the desired product (1.0 g, 77%) as a colorless oil: ESI MS m/z 292 $[C_{19}H_{30}BNO_4 - 55]^+$.

Example 475

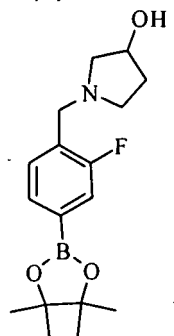
2-Methyl-2-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]propanenitrile



Following General Procedure G, 2-(4-bromophenyl)-2-methylpropanenitrile (1.0 g, 4.5 mmol) was reacted with bis(pinacolato)diboron (1.7 g, 6.7 mmol) to afford the desired product (980 mg, 81%) as an off-white solid: ESI MS m/z 272 $[C_{16}H_{22}BNO_2 + H]^+$.

Example 476

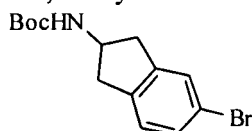
1-[2-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzyl]pyrrolidin-3-ol



A solution of 2-[4-(bromomethyl)-3-fluorophenyl]-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (300 mg, 0.95 mmol), pyrrolidin-3-ol (99 mg, 1.1 mmol), and potassium carbonate (160 mg, 1.1 mmol) in acetonitrile (5 mL) was heated to 50 °C for 3 h. The reaction mixture was cooled, filtered and the filtrate was concentrated to afford the desired product (280 mg, 92%) as a red oil:
 5 ESI MS m/z 322 [C₁₇H₂₅BFNO₃ + H]⁺.

Example 477

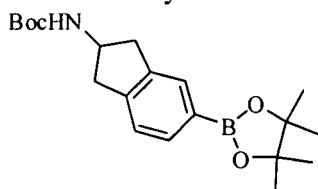
tert-Butyl 5-Bromo-2,3-dihydro-1H-inden-2-ylcarbamate



Following the procedure outlined for Example 463, 5-bromo-2,3-dihydro-1H-inden-2-amine (2.5 g, 8.5 mmol) was reacted with di-tert-butyl dicarbonate (2.8 g, 13 mmol) to afford the desired
 10 product (2.5 g, 96 %) as a white solid: ESI MS m/z 313 [C₁₄H₁₈BrNO₂ + H]⁺.

Example 478

tert-Butyl 5-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)-2,3-dihydro-1H-inden-2-ylcarbamate

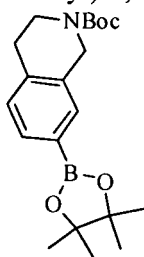


Following General Procedure G, tert-butyl 5-bromo-2,3-dihydro-1H-inden-2-ylcarbamate (2.5 g, 8.0 mmol) was reacted with bis(pinacolato)diboron (3.0 g, 12 mmol) to afford the desired
 15 product (2.1 g, 72%) as a colorless oil: ESI MS m/z 360 [C₂₀H₃₀BNO₄ + H]⁺.

Example 479

tert-Butyl

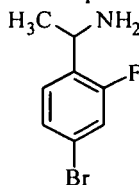
7-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)-3,4-dihydroisoquinoline-2(1H)-carboxylate



Following General Procedure G, tert-butyl 7-bromo-3,4-dihydroisoquinoline-2(1H)-carboxylate (1.3 g, 4.4 mmol) was reacted with bis(pinacolato)diboron (1.7 g, 6.6 mmol) to afford the desired
 25 product (1.1 g, 72%) as a colorless oil: ESI MS m/z 360 [C₂₀H₃₀BNO₄ + H]⁺.

Example 480

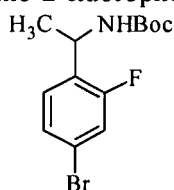
1-(4-Bromo-2-fluorophenyl)ethanamine



To a solution of 1-(4-bromo-2-fluorophenyl)ethanone (2.0 g, 9.2 mmol) in methanol (50 mL) was added ammonia (7 N in methanol, 8.0 mL, 55 mmol) and titanium(IV) isopropoxide (5.4 mL, 18 mmol). The reaction mixture was stirred at room temperature for 18 h, cooled to 0 °C and sodium borohydride (520 mg, 14 mmol) was added. The reaction mixture was warmed to room temperature, stirred for 20 min, quenched with 2 M ammonium hydroxide and filtered. The reaction mixture was extracted with methylene chloride and the combined organic layers were dried over sodium sulfate, filtered, and concentrated to afford the desired product (1.2 g, 63%) as an oil: ESI MS m/z 219 $[C_8H_9BrFN + H]^+$.

Example 481

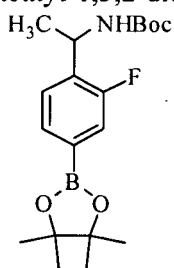
tert-Butyl 1-(4-Bromo-2-fluorophenyl)ethylcarbamate



Following the procedure outlined for Example 463, 1-(4-bromo-2-fluorophenyl)ethanamine (1.2 g, 5.6 mmol) was reacted with di-tert-butyl dicarbonate (1.4 g, 6.7 mmol) to afford the desired product (1.3 g, 73%) as a white solid: ESI MS m/z 219 $[C_{13}H_{17}BrFNO_2 + H - 100]^+$.

Example 482

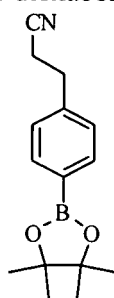
tert-Butyl 1-[2-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethylcarbamate



Following General Procedure G, tert-butyl 1-(4-bromo-2-fluorophenyl)ethylcarbamate (1.3 g, 4.4 mmol) was reacted with bis(pinacolato)diboron (1.7 g, 6.6 mmol) to afford the desired product (1.5 g, 93%) as a white solid: ESI MS m/z 266 $[C_{19}H_{29}BFNO_4 + H - 100]^+$.

Example 483

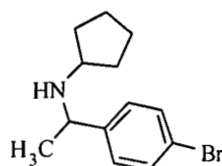
3-[4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]propanenitrile



Following General Procedure G, 3-(4-bromophenyl)propanenitrile (1.0 g, 4.8 mmol) was reacted with bis(pinacolato)diboron (1.8 g, 7.1 mmol) to afford the desired product (1.1 g, 97%) as a light brown solid: ESI MS m/z 258 $[C_{15}H_{20}BNO_2 + H]^+$.

Example 484

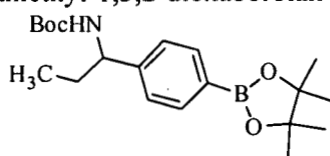
N-(1-[4-Bromophenyl]ethyl)cyclopentanamine



To a solution of 1-(4-bromophenyl)ethanone (500 mg, 2.5 mmol) in ethanol (16 mL) was added cyclopentanamine (320 mg, 3.8 mmol) and the reaction mixture was heated at 50 °C for 18 h. The reaction mixture was cooled to 0 °C and sodium borohydride (140 mg, 3.8 mmol) was added and the reaction mixture was warmed to room temperature and stirred for 30 min. The reaction mixture was quenched with 2 M aqueous ammonium hydroxide and extracted with methylene chloride. The combined organic layers were dried over sodium sulfate, filtered and concentrated to afford the desired product (600 mg, 90%) as a red oil: ESI MS m/z 269 $[C_{13}H_{18}BrN + H]^+$.

Example 485

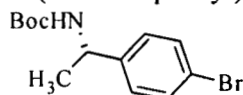
tert-Butyl 1-[4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]propylcarbamate



Following General Procedure G, tert-butyl 1-(4-bromophenyl)propylcarbamate (2.0 g, 6.4 mmol) was reacted with bis(pinacolato)diboron (2.4 g, 9.6 mmol) to afford the desired product (2.1 g, 93%) as a yellow solid: ESI MS m/z 305 $[C_{20}H_{32}BNO_4 - 56]^+$.

Example 486

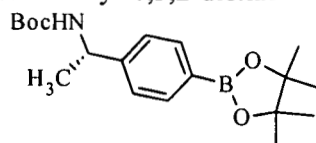
(S)-tert-Butyl 1-(4-Bromophenyl)ethylcarbamate



Following the procedure outlined for Example 463, (S)-1-(4-bromophenyl)ethanamine (1.0 g, 5.0 mmol) was reacted with di-tert-butyl dicarbonate (1.3 g, 6.0 mmol) to afford the desired product (1.3 g, 88%) as a white solid: ESI MS m/z 300 $[C_{13}H_{18}BrNO_2 + H]^+$.

Example 487

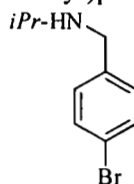
(S)-tert-Butyl 1-[4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethylcarbamate



Following General Procedure G, (S)-tert-butyl 1-(4-bromophenyl)ethylcarbamate (1.3 g, 4.4 mmol) was reacted with bis(pinacolato)diboron (1.7 g, 6.6 mmol) to afford the desired product (1.4 g, 96%) as a brown solid: ESI MS m/z 348 $[C_{19}H_{30}BNO_4 + H]^+$.

Example 488

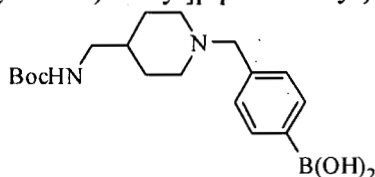
N-(4-Bromobenzyl)propan-2-amine



A solution of 1-bromo-4-(bromomethyl)benzene (2.0 g, 8.0 mmol), isopropylamine (950 mg, 16 mmol) and potassium carbonate (2.2 g, 16 mmol) in acetonitrile (40 mL) was stirred at room temperature for 18 h. The reaction mixture was quenched with water and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by column chromatography (silica, 0–100% methylene chloride/methanol) to afford the desired product (1.4 g, 78%) as a brown solid: ESI MS m/z 228 $[C_{10}H_{14}BrN + H]^+$.

Example 489

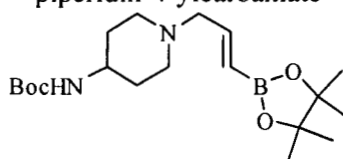
4-({4-[(tert-Butoxycarbonylamino)methyl]piperidin-1-yl}methyl)phenylboronic acid



Following the procedure outlined for Example 488, 4-formylphenylboronic acid (100 mg, 0.47 mmol) was reacted with tert-butyl piperidin-4-ylmethylcarbamate (70 mg, 0.47 mmol) to afford the desired product (120 mg, 77%) as a brown solid: ESI MS m/z 349 $[C_{18}H_{29}BN_2O_4 + H]^+$.

Example 490

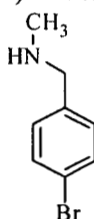
(E)-tert-Butyl 1-[3-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)allyl]piperidin-4-ylcarbamate



Following the procedure outlined for Example 488, (Z)-2-(3-chloroprop-1-enyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (210 mg, 1.1 mmol) was reacted with tert-butyl piperidin-4-ylcarbamate (640 mg, 3.2 mmol) to afford the desired product (100 mg, 30%) as a brown solid: ESI MS m/z 367 $[C_{14}H_{27}BN_2O_2 + H]^+$.

Example 491

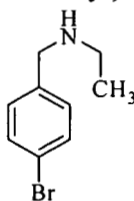
1-(4-Bromophenyl)-N-methylmethanamine



Following the procedure outlined for Example 488, 1-bromo-4-(bromomethyl)benzene (1.0 g, 4.0 mmol) was reacted with methanamine (620 mg, 20 mmol) to afford the desired product (750 mg, 93%) as a brown solid: ESI MS m/z 201 $[C_8H_{10}BrN + H]^+$.

Example 492

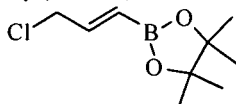
N-(4-Bromobenzyl)ethanamine



Following the procedure outlined for Example 488, 1-bromo-4-(bromomethyl)benzene (2.0 g, 8.0 mmol) was reacted with ethanamine (720 mg, 16 mmol) to afford the desired product (1.3 g, 75%) as a brown solid: ESI MS m/z 215 [$C_9H_{12}BrN + H$] $^+$.

Example 493

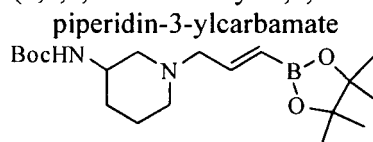
(E)-2-(3-Chloroprop-1-enyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



A solution of (E)-3-chloroprop-1-enylboronic acid (5 g, 41 mmol), pinacol (4.9 g, 41 mmol), and magnesium sulfate (15 g, 120 mmol) in methylene chloride (100 mL) was stirred at room temperature for 18 h. The reaction mixture was filtered through silica gel and the filter cake was washed with methylene chloride. The filtrate was concentrated to afford the desired product (4.8 g, 60%) as a colorless oil: ESI MS m/z 203 [$C_9H_{16}BClO_2 + H$] $^+$.

Example 494

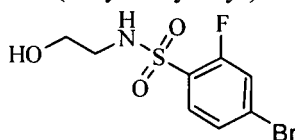
(E)-tert-Butyl 1-[3-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)allyl]



Following the procedure outlined for Example 488, (E)-2-(3-chloroprop-1-enyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (500 mg, 2.5 mmol) was reacted with tert-butyl piperidin-3-ylcarbamate (740 mg, 3.7 mmol) to afford the desired product (320 mg, 24%) as a yellow oil: ESI MS m/z 367 [$C_{19}H_{35}BN_2O_4 + H$] $^+$.

Example 495

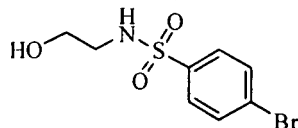
4-Bromo-2-fluoro-N-(2-hydroxyethyl)benzenesulfonamide



To a solution of 2-aminoethanol (0.24 mL, 4.0 mmol), and triethylamine (1.5 mL, 11 mmol) in anhydrous THF (15 mL) was added 4-bromo-2-fluorobenzene-1-sulfonyl chloride (1.0 g, 3.7 mmol) portion wise and the reaction mixture stirred at room temperature for 16 h. The reaction was filtered, the filtrate was concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to afford the desired product (850 mg, 77%): ESI MS m/z 298 [$C_8H_9BrFNO_3S + H$] $^+$.

Example 496

4-Bromo-N-(2-hydroxyethyl)benzenesulfonamide

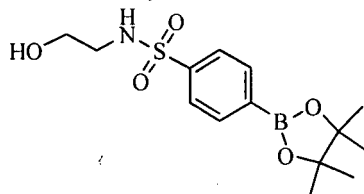


To a solution of 2-aminoethanol (2.3 mL, 39 mmol), and triethylamine (16 mL, 120 mmol) in anhydrous THF (100 mL) was added 4-bromobenzene-1-sulfonyl chloride (10 g, 39 mmol) portion wise and the reaction mixture stirred at room temperature for 16 h. The reaction was filtered, the filtrate was concentrated and the residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to afford the desired product (5.5 g, 50%): ^1H NMR (500

MHz, CDCl₃) δ 7.74 (td, J = 9.0, 2.1 Hz, 2H), 7.67 (td, J = 9.0, 2.1 Hz, 2H), 5.08 (s, 1H), 3.72 (t, J = 5.1 Hz, 2H), 3.12 (t, J = 4.8 Hz, 2H), 1.83 (s, 1H).

Example 497

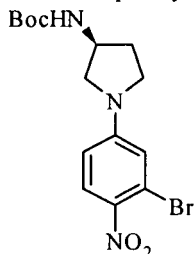
N-(2-Hydroxyethyl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzenesulfonamide



Following General Procedure G, 4-bromo-N-(2-hydroxyethyl)benzenesulfonamide (5.0 g, 18 mmol) was reacted with bis(pinacolato)diboron (4.9 g, 20 mmol) to afford the desired product (4.2 g, 40%) as a yellow solid: ¹H NMR (300 MHz, CDCl₃) δ 7.95 (d, J = 8.3 Hz, 2H), 7.85 (d, J = 8.3 Hz, 2H), 5.14 (t, J = 6.1 Hz, 1H), 3.68 (t, J = 5.0 Hz, 2H), 3.09 (q, J = 5.4 Hz, 2H), 1.36 (s, 12H).

Example 498

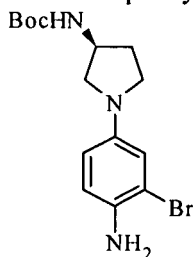
(S)-tert-Butyl 1-(3-Bromo-4-nitrophenyl)pyrrolidin-3-ylcarbamate



A solution of 2-bromo-4-fluoro-1-nitrobenzene (1.5 g, 6.8 mmol), (S)-tert-butyl pyrrolidin-3-ylcarbamate (1.9 g, 10 mmol), and sodium bicarbonate (1.7 g, 20 mmol) in DMSO (40 mL) was heated at 80 °C for 1 h. The reaction mixture was cooled to room temperature, poured into excess water and the resulting precipitate was filtered. The solids were washed with aqueous ammonium chloride, brine and water to afford the desired product (2.5 g, 96%) as a yellow solid: 387 [C₁₅H₂₀BrN₃O₄ + H]⁺.

Example 499

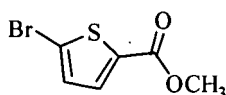
(S)-tert-Butyl 1-(4-Amino-3-bromophenyl)pyrrolidin-3-ylcarbamate



A solution of (S)-tert-butyl 1-(3-bromo-4-nitrophenyl)pyrrolidin-3-ylcarbamate (2.5 g, 6.5 mmol), ammonium chloride (380 mg, 7.1 mmol), and iron (1.8 g, 32 mmol) in ethanol (20 mL) and water (10 mL) was heated to reflux for 1 h. The reaction mixture was cooled to room temperature and filtered through diatomaceous earth. The filtrate was concentrated to afford the desired product (2.3 g, >99%) as a blue solid: ESI MS m/z 357 [C₁₅H₂₂BrN₃O₂ + H]⁺.

Example 500

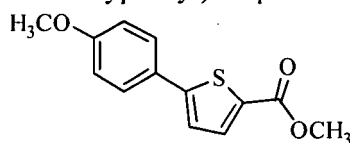
Methyl 5-Bromothiophene-2-carboxylate



A solution of 5-bromothiophene-2-carboxylic acid (5.0 g, 24 mmol), methyl iodide (5.1 g, 30 mmol), and potassium carbonate (6.7 g, 48 mmol) in DMF (50 mL) was stirred at room temperature for 64 h. The reaction was quenched with water and the aqueous layer was extracted multiple times with ethyl acetate. The combined organic layers were washed with aqueous lithium chloride and brine, dried over anhydrous sodium sulfate, filtered, and concentrated. The residue was purified by column chromatography (silica, ethyl acetate/hexanes gradient) to afford the desired product (4.2 g, 79%): ^1H NMR (500 MHz, CDCl_3) δ 7.54 (d, J = 4.0 Hz, 1H), 7.07 (d, J = 4.0 Hz, 1H), 3.87 (s, 3H).

Example 501

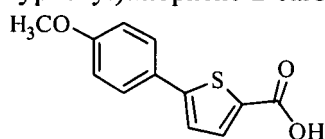
Methyl 5-(4-Methoxyphenyl)thiophene-2-carboxylate



Following General Procedure B, 4-methoxyphenylboronic acid (2.7 g, 18 mmol) was reacted with methyl 5-bromothiophene-2-carboxylate (2.0 g, 9.0 mmol) to afford the desired product (1.4 g, 61%): ^1H NMR (300 MHz, CDCl_3) δ 7.71 (d, J = 3.9 Hz, 1H), 7.53 (td, J = 9.7, 2.5 Hz, 2H), 7.14 (d, J = 3.9 Hz, 1H), 6.89 (td, J = 9.7, 2.5 Hz, 2H), 3.87 (s, 3H), 3.80 (s, 3H).

Example 502

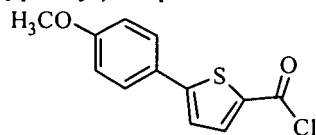
5-(4-Methoxyphenyl)thiophene-2-carboxylic Acid



A solution of methyl 5-(4-methoxyphenyl)thiophene-2-carboxylate (1.4 g, 5.6 mmol), and 1 M sodium hydroxide (55 mL) in methanol (55 mL) was heated at 80 °C for 18 h. The reaction mixture was cooled to room temperature and diluted with ethyl acetate. The organic layer was washed with 1 N hydrochloric acid and aqueous sodium chloride, dried over anhydrous sodium sulfate, filtered, and concentrated to afford the desired product (1.2 g, 93%) as an off-white solid: ESI MS m/z 325 [$\text{C}_{12}\text{H}_{10}\text{O}_3\text{S} + \text{H}$] $^+$.

Example 503

5-(4-Methoxyphenyl)thiophene-2-carbonyl chloride

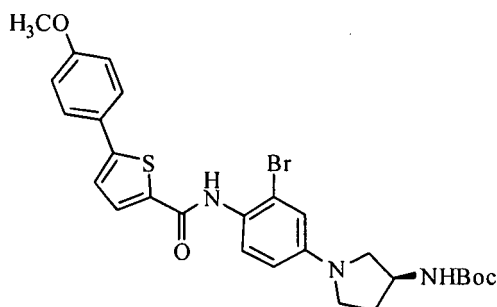


To a solution of 5-(4-methoxyphenyl)thiophene-2-carboxylic acid (0.60 g, 2.5 mmol) in toluene (4 mL) was added thionylchloride (560 mL, 7.7 mmol) and the reaction mixture was heated at 100 °C for 18 h. The reaction mixture was cooled to room temperature and concentrated to afford the desired product (642 mg, crude): ESI MS m/z 253 [$\text{C}_{12}\text{H}_9\text{ClO}_2\text{S} + \text{H}$] $^+$.

Example 504

(S)-tert-Butyl

1-{3-Bromo-4-[5-(4-methoxyphenyl)thiophene-2-carboxamido]phenyl}pyrrolidin-3-ylcarbamate



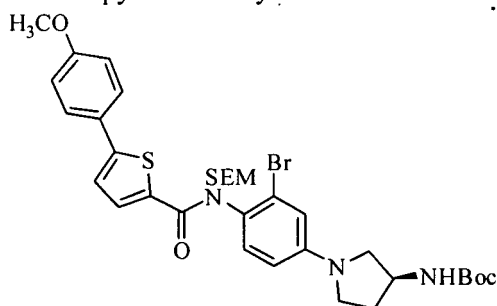
Following Step 1 from General Procedure A, 5-(4-methoxyphenyl)thiophene-2-carbonyl chloride (640 mg, 2.5 mmol) was reacted with (S)-tert-butyl

1-(4-amino-3-bromophenyl)pyrrolidin-3-ylcarbamate (800 mg, 2.2 mmol) to afford the desired product (500 mg, 39%) as a light yellow solid: ESI MS m/z 573 $[C_{27}H_{30}BrN_3O_4S + H]^+$.

Example 505

(S)-tert-Butyl

1-{3-Bromo-4-[5-(4-methoxyphenyl)-N-{2-(trimethylsilyl)ethoxy}methyl]thiophene-2-carboxamido}phenyl}pyrrolidin-3-ylcarbamate

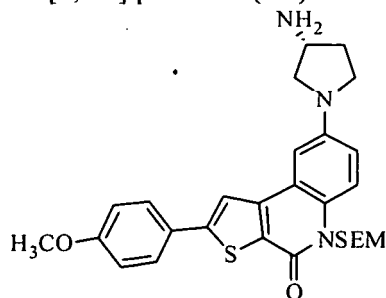


A solution of (S)-tert-butyl

1-{3-bromo-4-[5-(4-methoxyphenyl)thiophene-2-carboxamido]phenyl}pyrrolidin-3-ylcarbamate (400 mg, 0.69 mmol) in THF (20 mL) was cooled to 0 °C and sodium hydride (60 wt %, 140 mg, 3.5 mmol) was added. The reaction was warmed to room temperature followed by the addition of 2-(trimethylsilyl)ethoxymethyl chloride (370 mL, 2.1 mmol) and the reaction mixture was stirred at room temperature for 18 h. The reaction mixture was quenched with water and diluted with ethyl acetate. The layers were separated and the organic layer was dried over anhydrous sodium sulfate, filtered, concentrated and the residue was purified by column chromatography (silica, 0–30% ethyl acetate/heptane) to afford the desired product (400 mg, 87%); ESI MS m/z 703 $[C_{33}H_{44}BrN_3O_5SSi + H]^+$.

Example 506

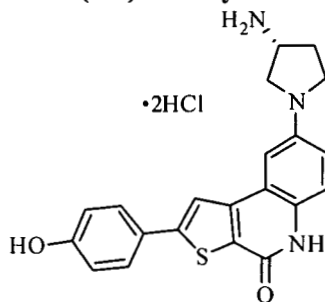
(S)-8-(3-Aminopyrrolidin-1-yl)-2-(4-methoxyphenyl)-5-[[2-(trimethylsilyl)ethoxy]methyl]thieno[2,3-c]quinolin-4(5H)-one



Following Step 3 from General Procedure A, (S)-tert-butyl 1-{3-bromo-4-[5-(4-methoxyphenyl)-N-{{[2-(trimethylsilyl)ethoxy]methyl}thiophene-2-carboxamido]phenyl}pyrrolidin-3-ylcarbamate (210 mg, 0.29 mmol) was reacted with bis(tri-tert-butylphosphine)palladium (15 mg, 0.029 mmol) to afford the desired product (25 mg, 14%): ESI MS m/z 622 [C₂₈H₃₅N₃O₃SSi + H]⁺.

Example 51

(S)-8-(3-Aminopyrrolidin-1-yl)-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride



Following General Procedure F, (S)-8-(3-aminopyrrolidin-1-yl)-2-(4-methoxyphenyl)-5-{{[2-(trimethylsilyl)ethoxy]methyl}thieno[2,3-c]quinolin-4(5H)-one (25 mg, 0.040 mmol) was reacted with tribromoborane (38 mL, 0.40 mmol) to afford the desired product (6.4 mg, 43%) as a yellow-green solid: ¹H NMR (500 MHz, CD₃OD) δ 8.06 (s, 1H), 7.71 (d, J = 8.6 Hz, 2H), 7.36 (d, J = 9.0 Hz, 1H), 7.26 (s, 1H), 6.99 (d, J = 8.4 Hz, 1H), 6.90 (d, J = 8.5 Hz, 2H), 4.09 (s, 1H), 3.74–3.69 (m, 2H), 3.59–3.57 (m, 1H), 3.48–3.39 (m, 1H), 2.57–2.52 (m, 1H), 2.25–2.23 (m, 1H); ESI MS m/z 378 [C₂₁H₁₉N₃O₂S + H]⁺; HPLC 97.1% (AUC), t_R = 10.89 min.

Compounds of the invention of this application that the specific procedure for producing the compound was not particularly described in the Examples above were also synthesized by the similar or analogous methods by referring to the above-mentioned general procedures for producing the present compounds, Examples and such.

Examples 507

Kinase assay

PBK 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 MgCl₂, 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.). IC₅₀ values of the typical compounds of the present invention are shown in following table 2:

Table 2

ID.	Compound	IC ₅₀ (microM) (kinase assay)

51	(S)-8-(3-Aminopyrrolidin-1-yl)-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one Dihydrochloride	0.078
61	8-Hydroxy-9-(1H-indazol-6-yl)thieno[2,3-c]quinolin-4(5H)-one	0.0035
65	4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide	0.0018
72	9-[4-(Aminomethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.00063
73	9-[4-(Aminomethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride	0.00038
77	N-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]methanesulfonamide	0.0026
81	2-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]acetonitrile	0.012
84	8-Hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one	0.00078
93	9-{4-[2-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.0054
95	9-[4-(Aminomethyl)phenyl]-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one	0.0044
112	8-Hydroxy-9-{4-[4-(methylsulfonyl)piperazin-1-yl]phenyl}thieno[2,3-c]quinolin-4(5H)-one	0.012
139	<i>tert</i> -Butyl {1-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl]piperidin-4-yl} methylcarbamate	0.0094
145	9-(4-{3-[2-(Diethylamino)ethylamino]propoxy}phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one	0.047
152	(E)-9-[3-(4-Aminopiperidin-1-yl)prop-1-enyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one	0.031
164	9-{4-[(Dimethylamino)methyl]phenyl}-8-methoxythieno[2,3-c]quinolin-4(5H)-one	0.011
165	9-{4-[(Dimethylamino)methyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.0069
169	9-[4-(2-Aminoethyl)phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one	0.022
175	9-[4-(2-Aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.0012
176	9-[4-(2-Aminoethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride	0.0011
184	9-{4-[(Diethylamino)methyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.0077
187	8-Hydroxy-9-{4-[(methylamino)methyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one	0.0009
188	8-Methoxy-9-{4-[(methylamino)methyl]phenyl}thieno[2,3-c]quinolin-4(5H)-one	0.0078
192	9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride	0.0016
191	9-{4-[1-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.0019

193	<i>N</i> -{1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]ethyl}methanesulfonamide	0.0037
194	8-Hydroxy-9-{4-[1-(pyrrolidin-1-yl)ethyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0028
195	9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00073
196	9-{4-[1-(Diethylamino)ethyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0045
210	<i>N</i> -(2-Bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzenesulfonamide	0.0113
212	<i>N</i> -[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzyl]methanesulfonamide	0.0055
216	8-Methoxy-9-{4-[1-(pyrrolidin-1-yl)ethyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.021
217	9-(4-Amino-3-hydroxyphenyl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0023
222	9-{4-[1-(Dimethylamino)ethyl]phenyl}-6-fluoro-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.082
225	9-{4-[2-(Dimethylamino)ethyl]phenyl}-6-fluoro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	0.023
229	8-Hydroxy-9-{4-[(isopropylamino)methyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0042
232	(<i>S</i>)-9-[4-(1-Aminoethyl)phenyl]-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0074
233	(<i>S</i>)-9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00081
235	9-(4-{[4-(Aminomethyl)piperidin-1-yl]methyl}-3-fluorophenyl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	0.00057
254	<i>N</i> -[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)-2-methylphenyl]methanesulfonamide	0.003
256	9-[4-(Aminomethyl)phenyl]-6-fluoro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0025
257	9-[4-(Aminomethyl)phenyl]-6-fluoro-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.026
261	2-[2-Fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]acetonitrile	0.015
262	8-Hydroxy-9-{4-[1-(piperidin-1-yl)ethyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0043
265	9-[4-(2-Aminoethyl)-3-fluorophenyl]-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0038
266	9-[5-(Aminomethyl)thiophen-2-yl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	0.00078
267	9-{4-[(Ethylamino)methyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.002
269	9-{4-[(Ethylamino)methyl]phenyl}-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.006
270	9-[4-(Aminomethyl)phenyl]-6-bromo-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.031
272	(<i>R</i>)-9-{4-[1-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00088

273	9-[4-(3-Aminopropyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00074
274	(<i>R</i>)-9-[4-(1-Aminoethyl)phenyl]-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0038
275	(<i>R</i>)-9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00054
276	9-[4-(2-Aminoethyl)-3-fluorophenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0005
277	9-[4-(1-Amino-2-methylpropan-2-yl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00067
278	9-{3-Fluoro-4-[(3-hydroxypyrrolidin-1-yl)methyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00097
290	3-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]propanenitrile	0.0032
296	9-(4-Acetylphenyl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	0.023
297	<i>N</i> -(2-Bromoethyl)-2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzenesulfonamide	0.013
298	9-{3-[3-(Dimethylamino)piperidin-1-yl]propyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Dihydrochloride	0.083
301	(<i>R</i>)- <i>N</i> -{1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]ethyl}methanesulfonamide	0.0032
304	4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)- <i>N,N</i> -dimethylbenzenesulfonamide	0.045
308	9-{4-[1-(Dimethylamino)-2-methylpropan-2-yl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0028
313	8-Hydroxy-9-[4-(1-hydroxyethyl)phenyl]thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	0.002
314	9-{4-[1-(Cyclopentylamino)ethyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0028
319	9-[4-(2-Aminopropan-2-yl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00043
326	9-[4-(Aminomethyl)phenyl]-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinoline-8-carbonitrile Hydrochloride	0.013
327	9-{4-[2-(Dimethylamino)ethyl]-3-fluorophenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0019
329	9-[4-(Aminomethyl)phenyl]-6-chloro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.016
332	<i>N</i> -(2-Chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzenesulfonamide	0.023
333	<i>N</i> -(2-Fluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzenesulfonamide	0.031
334	9-[4-(2-Aminopropan-2-yl)phenyl]-6-chloro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.01
335	(<i>S</i>)-9-{4-[1-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0029
336	9-[4-(1-Aminopropyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00082
337	9-[4-(1-Aminopropyl)phenyl]-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0052

338	9-{4-[1-(Diethylamino)propyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0037
339	9-{4-[1-(Dimethylamino)propyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0019
341	9-{4-[1-(Dimethylamino)ethyl]phenyl}-6,7-difluoro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.034
345	9-(2-Amino-2,3-dihydro-1 <i>H</i> -inden-5-yl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0012
346	9-{4-[1-(Dimethylamino)ethyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	0.0092
347	(<i>S</i>)- <i>N</i> -{1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]ethyl}methanesulfonamide	0.002
348	9-{4-[1-(Aminomethyl)cyclopropyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0019
349	9-{4-[1-(Dimethylamino)ethyl]-3-fluorophenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0019
353	8-Hydroxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.002
356	9-{4-[1-(Diethylamino)ethyl]-3-fluorophenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.0036
359	9-[4-(1-Aminoethyl)-3-fluorophenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.00092
361	1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]cyclopropanecarbonitrile	0.032
373	9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.0032
379	9-(4-(1-((dimethylamino)methyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.0036
385	9-(4-(1-(ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.0039
1032	9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.047
1041	9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.012
1052	(<i>R</i>)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.0013
1062	<i>N</i> -(1-bromopropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzenesulfonamide	0.031
1064	(<i>S</i>)-8-methoxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.0025
1066	9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.012
1077	9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-8-yl isopropyl carbonate hydrochloride	0.076
1081	(<i>R</i>)-9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.0086
1082	(<i>S</i>)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.0011
1087	(<i>S</i>)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one hydrochloride	0.019

1088	9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.016
1094	N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide	0.01
1095	9-(4-(2-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0013
1099	9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl acetate hydrochloride	0.033
1106	9-(4-(2-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.041
1111	9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0013
1112	9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0033
1116	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.022
1120	(S)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.00088
1121	(S)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0029
1122	(R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0059
1123	(R)-9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.033
1126	(R)-6-chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.042
1127	(S)-9-(4-(1-(ethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0014
1128	(S)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0022
1131	(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0013
1132	(R)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.014
1133	9-(4-(2-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.02
1135	(R)-6-bromo-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.03
1136	9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0086
1139	N-(2-chloroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide	0.07
1142	9-(4-(2-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.045
1145	N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide	0.013
1148	(S)-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0013
1150	(R)-9-(4-(1-aminopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.047

1151	(R)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0025
1154	(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0094
1157	(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.018
1159	(R)-9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.026
1160	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.00064
1161	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.002
1162	2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butanenitrile	0.013
1163	(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0013
1165	6-chloro-8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.058
1166	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0044
1168	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0026
1169	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrobromide	0.0089
1172	(S)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.004
1174	9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0015
1176	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.017
1179	9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.003
1181	(S)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.033
1187	(R)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0013
1188	(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0025
1189	(R)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.003
1190	9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0051
1191	9-(4-(2-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.019
1193	9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.046
1197	(S)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0028

1201	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.02
1204	N-(1-chloropropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide	0.015
1209	9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0018
1212	9-(4-(aminomethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.016
1213	9-(4-(2-aminoethyl)-3-fluorophenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.019
1215	(S)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.06
1216	9-(4-(2-aminoethyl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.057
1217	9-(4-(2-aminoethyl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0047
1218	9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.087
1219	9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0055
1224	9-(4-(2-aminoethyl)-2-bromo-5-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0064
1225	(S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.016
1226	3-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile	0.092
1228	9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.039
1232	(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.016
1236	9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.0046
1239	9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.023
1242	9-(4-(2-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.037
1245	6-bromo-9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.045
1247	9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.072
1251	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.055
1252	9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.0014
1253	9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0041
1254	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0096
1258	9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.025

1260	9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.075
1262	(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.012
1263	9-(4-(2-aminoethyl)-3-chlorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.033
1264	9-(4-(2-aminoethyl)-3-chlorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.003
1265	(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.067
1268	9-(4-(2-aminoethyl)-3-chlorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0039
1271	9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.001
1273	9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.04
1274	9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.018
1277	9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.063
1278	9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.022
1280	9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.01
1283	9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.047
1285	8-hydroxy-6-methyl-9-(4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one	0.05
1286	9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.043
1288	9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.02
1290	9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.01
1291	9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.015
1293	9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.0089
1294	9-(3-fluoro-4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.017
1297	9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.035
1298	(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.032
1300	9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0017
1302	8-hydroxy-6-methyl-9-(4-(piperidin-3-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.075
1303	(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.014

1304	(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrobromide	0.013
1305	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.015
1306	(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0073
1307	(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrobromide	0.018
1309	9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.00074
1310	(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.054
1311	(R)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.017
1312	9-(4-(1-aminobutan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.019
1315	(R)-9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0081
1316	(R)-9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.033
1317	(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.022
1318	(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0036
1319	(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrobromide	0.0034
1321	9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.096
1324	(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.032
1330	9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0083
1340	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.012
1341	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.038
1347	(R)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.043
1352	(R)-9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.04
1353	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.004
1354	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.011
1364	8-hydroxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.055

1372	9-(4-(1-((dimethylamino)methyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.042
1375	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-fluoro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.022
1379	(R)-9-(4-(1-(ethyl(methyl)amino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.076
1380	(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.085
1383	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-fluoro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0037
1391	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.07
1399	(S)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.058
1400	9-(4-(2-aminoethyl)(methyl)amino)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.06
1401	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.051
1419	2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide	0.082

Examples 508

Western blot analysis

To evaluate the expression status of PBK in several cell lines, western blot analysis was performed using crude cell lysate collected from those cells. Anti-PBK antibody (clone 31, BD Biosciences) was used to visualize the expression. Breast cancer cell lines, T47D and BT-549 expressed PBK significantly although Bladder cancer cell line and HT-1197 showed no expression of PBK.

Examples 509

Cell-based assay

Active candidate inhibitors against PBK 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, 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.

IC₅₀ values of the typical compounds of the present invention are shown in following table 3:

Table 3-1;

ID	Compound	IC ₅₀ (microM) (BT549)	IC ₅₀ (microM) (T47D)	IC ₅₀ (microM) (A549)	IC ₅₀ (microM) (HT1197)

51	(S)-8-(3-Aminopyrrolidin-1-yl)-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one Dihydrochloride	14	2.1	21	62
61	8-Hydroxy-9-(1H-indazol-6-yl)thieno[2,3-c]quinolin-4(5H)-one	1.8	3.7	2.6	5.1
65	4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzene sulfonamide	3.3	4.4	100	100
72	9-[4-(Aminomethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	1.1	1.2	3.2	12
73	9-[4-(Aminomethyl)phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride	0.67	0.65	1.2	11
77	N-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]methanesulfonamide	7.9	3.2	46	100
81	2-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]acetonitrile	3.5	6.2	7.7	27
84	8-Hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one	5.4	4.8	11	7.1
93	9-{4-[2-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	2.5	4.7	3	7
95	9-[4-(Aminomethyl)phenyl]-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one	4.1	2.9	8.1	22
112	8-Hydroxy-9-[4-[4-(methylsulfonyl)piperazin-1-yl]phenyl]thieno[2,3-c]quinolin-4(5H)-one	3.9	6.9	6.6	6.8
139	<i>tert</i> -Butyl {1-[4-(8-Methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl]piperidin-4-yl} methylcarbamate	3.8	4.3	5	3.9
145	9-(4-{3-[2-(Diethylamino)ethylamino]propoxy}phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one	7.7	4.8	8.2	9.2
152	(E)-9-[3-(4-Aminopiperidin-1-yl)prop-1-enyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one	5.8	4.4	12	6.5
164	9-[4-[(Dimethylamino)methyl]phenyl]-8-methoxythieno[2,3-c]quinolin-4(5H)-one	2.9	4.9	2.4	7
165	9-[4-[(Dimethylamino)methyl]phenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	3.2	6.2	3	6.5

169	9-[4-(2-Aminoethyl)phenyl]-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	2.4	4.2	3.7	6.1
175	9-[4-(2-Aminoethyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	0.7	0.67	0.85	1.5
176	9-[4-(2-Aminoethyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.64	0.49	0.64	1.1
184	9-{4-[(Diethylamino)methyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	2.9	6.1	2.7	7.1
187	8-Hydroxy-9-{4-[(methylamino)methyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	1.3	1.4	1.6	2
188	8-Methoxy-9-{4-[(methylamino)methyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	3.5	7.9	3.8	8
192	9-(4-[1-(dimethylamino)ethyl]phenyl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.34	0.67	0.3	0.66
191	9-{4-[1-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	0.4	0.78	0.35	0.87
193	<i>N</i> -{1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]ethyl}methanesulfonamide	2.4	1.7	13	39
194	8-Hydroxy-9-{4-[1-(pyrrolidin-1-yl)ethyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.46	1	0.49	1.2
195	9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.37	0.4	0.61	2.4
196	9-{4-[1-(Diethylamino)ethyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.1	2.3	1	2.7
210	<i>N</i> -(2-Bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzenesulfonamide	0.006	0.25	0.028	14
212	<i>N</i> -[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzyl]methanesulfonamide	5.5	1.4	17	40
216	8-Methoxy-9-{4-[1-(pyrrolidin-1-yl)ethyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	2.9	5.8	2.6	7.4
217	9-(4-Amino-3-hydroxyphenyl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	2.8	6.8	5.6	48

222	9-{4-[1-(Dimethylamino)ethyl]phenyl}-6-fluoro-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	3.8	7	3.5	8.7
225	9-{4-[2-(Dimethylamino)ethyl]phenyl}-6-fluoro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	1.2	3	1.2	3.4
229	8-Hydroxy-9-{4-[(isopropylamino)methyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.9	4	2.1	4.2
232	(<i>S</i>)-9-[4-(1-Aminoethyl)phenyl]-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	2.1	5	2	7.3
233	(<i>S</i>)-9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.28	0.38	0.36	1.1
235	9-(4-{[4-(Aminomethyl)piperidin-1-yl]methyl}-3-fluorophenyl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	3.2	2.2	7.1	10
254	<i>N</i> -[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)-2-methylphenyl]methanesulfonamide	4.8	5.2	14	32
256	9-[4-(Aminomethyl)phenyl]-6-fluoro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.57	0.99	0.75	3.3
257	9-[4-(Aminomethyl)phenyl]-6-fluoro-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	3.4	8.2	3.7	100
261	2-[2-Fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]acetonitrile	0.69	1.1	1.3	6.6
262	8-Hydroxy-9-{4-[1-(piperidin-1-yl)ethyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.2	2.7	1	2.6
265	9-[4-(2-Aminoethyl)-3-fluorophenyl]-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	3	5.6	4.4	7.7
266	9-[5-(Aminomethyl)thiophen-2-yl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	1.3	1.5	2.7	13
267	9-{4-[(Ethylamino)methyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.9	3.1	2	3.3
269	9-{4-[(Ethylamino)methyl]phenyl}-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	3	6.9	2.3	6.7
270	9-[4-(Aminomethyl)phenyl]-6-bromo-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.36	0.65	0.44	2.4

272	(R)-9-{4-[1-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.19	0.36	0.17	0.49
273	9-[4-(3-Aminopropyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	3.8	2.1	6.4	5.3
274	(R)-9-[4-(1-Aminoethyl)phenyl]-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.3	2.8	1.6	5.1
275	(R)-9-[4-(1-Aminoethyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.32	0.34	0.57	5.2
276	9-[4-(2-Aminoethyl)-3-fluorophenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.81	0.57	1.3	2.1
277	9-[4-(1-Amino-2-methylpropan-2-yl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.53	0.74	0.69	2.1
278	9-{3-Fluoro-4-[(3-hydroxypyrrolidin-1-yl)methyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	2.5	2.7	5	10
290	3-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]propanenitrile	0.63	0.96	0.76	2.8
296	9-(4-Acetylphenyl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	5.4	7.4	3.5	14
297	<i>N</i> -(2-Bromoethyl)-2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzenesulfonamide	0.21	1.2	0.47	32
298	9-{3-[3-(Dimethylamino)piperidin-1-yl]propyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Dihydrochloride	6.2	6.9	4.4	11
301	(R)- <i>N</i> -{1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)phenyl]ethyl}methanesulfonamide	7.2	3	14	28
304	4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)- <i>N,N</i> -dimethylbenzenesulfonamide	4.6	6.9	5.2	100
308	9-{4-[1-(Dimethylamino)-2-methylpropan-2-yl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.8	3.8	1.4	4.2
313	8-Hydroxy-9-[4-(1-hydroxyethyl)phenyl]thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	1.7	3	2.6	11

314	9-{4-[1-(Cyclopentylamino)ethyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.9	4.1	1.5	3.7
319	9-[4-(2-Aminopropan-2-yl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.55	0.9	0.64	2.3
326	9-[4-(Aminomethyl)phenyl]-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinoline-8-carbonitrile Hydrochloride	4.3	5.9	7.9	10
327	9-{4-[2-(Dimethylamino)ethyl]-3-fluorophenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	3.3	6.4	3.7	7.8
329	9-[4-(Aminomethyl)phenyl]-6-chloro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.32	0.63	0.36	1.4
332	<i>N</i> -(2-Chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzenesulfonamide	0.012	0.31	0.034	24
333	<i>N</i> -(2-Fluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3- <i>c</i>]quinolin-9-yl)benzenesulfonamide	2.5	9.3	2	24
334	9-[4-(2-Aminopropan-2-yl)phenyl]-6-chloro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.48	1.1	0.49	1.3
335	(<i>S</i>)-9-{4-[1-(Dimethylamino)ethyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.1	2.4	1.2	3.3
336	9-[4-(1-Aminopropyl)phenyl]-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.21	0.35	0.32	0.97
337	9-[4-(1-Aminopropyl)phenyl]-8-methoxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.5	3.4	1.4	3.9
338	9-{4-[1-(Diethylamino)propyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	2	7.1	1.8	4.5
339	9-{4-[1-(Dimethylamino)propyl]phenyl}-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	0.35	0.79	0.36	0.98
341	9-{4-[1-(Dimethylamino)ethyl]phenyl}-6,7-difluoro-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	1.4	2.9	1.5	3.3
345	9-(2-Amino-2,3-dihydro-1 <i>H</i> -inden-5-yl)-8-hydroxythieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one Hydrochloride	3.1	4.4	5.7	7.1
346	9-{4-[1-(Dimethylamino)ethyl]phenyl}thieno[2,3- <i>c</i>]quinolin-4(5 <i>H</i>)-one	2.5	7.2		6.8

347	(S)-N-{1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]ethyl}methanesulfonamide	1	0.75	5.6	29
348	9-{4-[1-(Aminomethyl)cyclopropyl]phenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride	0.31	3.2	0.38	1.2
349	9-{4-[1-(Dimethylamino)ethyl]-3-fluorophenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride	0.58	1.3	0.48	1.3
353	8-Hydroxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one Hydrochloride	1.9	3.7	3.2	4.2
356	9-{4-[1-(Diethylamino)ethyl]-3-fluorophenyl}-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride	1.5	3.3	1.3	3.5
359	9-[4-(1-Aminoethyl)-3-fluorophenyl]-8-hydroxythieno[2,3-c]quinolin-4(5H)-one Hydrochloride	0.35	0.64	0.62	4
361	1-[4-(8-Hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl]cyclopropanecarbonitrile	0.94	2.5	0.96	2.7

">100" in the table means over 100 micro M.

Table 3-2;

ID	Compound	IC50 (microM) (BT549)	IC50 (microM) (T47D)	IC50 (microM) (A549)	IC50 (microM) (HT1197)	IC50 (microM) (22Rv1)
373	9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.46	0.82	0.58	1.5	-
379	9-(4-(1-((dimethylamino)methyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.52	1	0.46	1.4	-
385	9-(4-(1-(ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.8	1.8	0.94	2.6	-
1032	9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.27	0.53	0.3	1.2	-
1041	9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.19	0.34	0.16	0.65	-

1052	(R)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.17	0.32	0.17	0.45	-
1062	N-(1-bromopropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide	0.15	1.9	0.88	12	-
1064	(S)-8-methoxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	1	2.2	0.89	2.9	-
1066	9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.065	0.13	0.12	0.34	-
1077	9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl isopropyl carbonate hydrochloride	0.41	0.45	0.66	2.5	-
1081	(R)-9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.086	0.17	0.1	0.39	-
1082	(S)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.36	0.6	0.43	1	-
1087	(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.23	0.5	0.26	0.66	-
1088	9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.24	0.52	0.24	0.66	-
1094	N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide	0.0071	0.29	0.028	21	-
1095	9-(4-(2-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.81	0.54	0.95	0.93	-
1099	9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl acetate hydrochloride	0.46	0.37	0.54	1.9	-
1106	9-(4-(2-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.13	0.26	0.15	0.62	-

1111	9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.12	0.072	0.12	0.37	-
1112	9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.21	0.47	0.25	0.64	-
1116	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.098	0.17	0.12	0.54	-
1120	(S)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.093	0.15	0.13	0.33	-
1121	(S)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.42	0.7	0.36	1.5	-
1122	(R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.065	0.049	0.17	0.065
1123	(R)-9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.18	0.34	0.16	0.76	-
1126	(R)-6-chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.14	0.29	0.11	0.32	-
1127	(S)-9-(4-(1-(ethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.3	0.63	0.22	0.65	-
1128	(S)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.43	1	0.37	1.2	-
1131	(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.51	0.71	0.68	4.8	-
1132	(R)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.097	0.19	0.09	0.32	-
1133	9-(4-(2-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.15	0.23	0.18	0.87	-

1135	(R)-6-bromo-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.14	0.28	0.13	0.41	-
1136	9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.032	0.057	0.035	0.18	-
1139	N-(2-chloroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide	0.017	0.2	0.023	14	-
1142	9-(4-(2-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.17	0.25	0.21	1	-
1145	N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide	0.01	0.18	0.051	31	-
1148	(S)-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.13	0.25	0.12	0.26	-
1150	(R)-9-(4-(1-aminopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	1.1	0.51	1.3	0.88
1151	(R)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.39	0.85	0.32	1	-
1154	(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.14	0.29	0.13	0.32	-
1157	(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.16	0.32	0.22	0.58	-
1159	(R)-9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.38	0.76	0.4	1.1	-
1160	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.054	0.057	0.056	0.23	0.069
1161	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.22	0.45	0.27	0.82	-

1162	2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butanenitrile	0.51	1.2	0.65	1.3	-
1163	(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.33	0.24	0.32	0.96	-
1165	6-chloro-8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.37	0.65	0.41	1.2	-
1166	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.013	0.026	0.017	0.12	-
1168	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.0084	0.0065	0.027	0.008
1169	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrobromide	0.013	0.024	0.023	0.079	0.022
1172	(S)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.31	0.65	0.33	0.65	-
1174	9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.16	0.12	0.27	1.2	-
1176	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.024	0.038	0.027	0.1	-
1179	9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.45	0.86	0.63	1.9	-
1181	(S)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.1	0.21	0.11	0.6	-
1187	(R)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.19	0.19	0.2	0.32	-
1188	(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.16	0.29	0.16	0.43	-

1189	(R)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.69	1.3	0.59	1.3	-
1190	9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.015	0.019	0.021	0.11	-
1191	9-(4-(2-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.064	0.073	0.12	0.48	-
1193	9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.14	0.24	0.13	0.33	-
1197	(S)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.43	0.54	0.62	1	-
1201	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.053	0.12	0.046	0.14	-
1204	N-(1-chloropropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide	0.16	1.9	0.71	15	-
1209	9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.49	1	0.48	1.2	-
1212	9-(4-(aminomethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.12	0.2	0.15	0.63	-
1213	9-(4-(2-aminoethyl)-3-fluorophenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.23	0.38	0.36	1.7	-
1215	(S)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.14	0.26	0.15	0.5	-
1216	9-(4-(2-aminoethyl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.21	0.46	0.28	0.64	-
1217	9-(4-(2-aminoethyl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.065	0.084	0.14	0.48	-

	hydrochloride					
1218	9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.55	1.2	0.62	1.3	-
1219	9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.1	0.18	0.17	0.88	-
1224	9-(4-(2-aminoethyl)-2-bromo-5-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.98	0.77	0.49	1.5	-
1225	(S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.091	0.16	0.1	0.38	-
1226	3-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile	0.59	1.2	0.49	1.3	-
1228	9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.15	0.33	0.16	0.81	-
1232	(S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.037	0.062	0.041	0.2	-
1236	9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.51	0.8	0.46	1	-
1239	9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	1.5	1.1	0.89	1.7	-
1242	9-(4-(2-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.11	0.15	0.12	0.42	-
1245	6-bromo-9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.72	1.3	0.85	2.5	-
1247	9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.52	0.94	0.47	1.3	-

1251	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.26	0.52	0.2	0.65	-
1252	9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one	0.25	0.21	0.16	0.42	-
1253	9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	1.5	0.87	0.76	1.9	-
1254	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.035	0.053	0.039	0.24	-
1258	9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.43	0.6	0.66	1.5	-
1260	9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.43	0.93	0.5	1.7	-
1262	(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.039	-0.078	0.045	0.13	-
1263	9-(4-(2-aminoethyl)-3-chlorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.2	0.41	0.23	0.58	-
1264	9-(4-(2-aminoethyl)-3-chlorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.032	0.046	0.075	0.17	-
1265	(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.11	0.26	0.11	0.32	-
1268	9-(4-(2-aminoethyl)-3-chlorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.077	0.12	0.13	0.38	-
1271	9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.3	0.57	0.47	1.6	-
1273	9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.073	0.17	0.071	0.2	-

1274	9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.066	0.098	0.045	0.18	-
1277	9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.13	0.28	0.14	0.29	-
1278	9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.11	0.26	0.29	0.51	-
1280	9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.45	2.6	0.37	7	-
1283	9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.25	0.56	0.56	0.53	-
1285	8-hydroxy-6-methyl-9-(4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one	0.11	0.21	0.23	0.28	-
1286	9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.093	0.22	0.095	0.22	-
1288	9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.047	0.074	0.11	0.14	-
1290	9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.025	0.044	0.021	0.096	-
1291	9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.026	0.029	0.022	0.11	-
1293	9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.032	0.052	0.035	0.19	-
1294	9-(3-fluoro-4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.085	0.18	0.078	0.34	-
1297	9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.26	0.62	0.22	0.52	-

	hydrochloride					
1298	(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.064	0.14	0.068	0.16	-
1300	9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.1	0.12	0.077	0.23	-
1302	8-hydroxy-6-methyl-9-(4-(piperidin-3-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.74	0.83	0.97	1.5	-
1303	(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one	0.081	0.13	0.092	0.51	-
1304	(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrobromide	-	0.12	0.099	0.34	0.074
1305	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.021	0.04	0.02	0.064	-
1306	(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.035	0.02	0.073	0.033
1307	(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrobromide	0.042	0.085	0.057	0.15	0.073
1309	9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.094	0.066	0.065	0.21	-
1310	(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.4	0.8	0.37	0.89	-
1311	(R)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.037	0.07	0.045	0.11	-

1312	9-(4-(1-aminobutan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.058	0.076	0.054	0.23	-
1315	(R)-9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.024	0.041	0.034	0.1	-
1316	(R)-9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.083	0.16	0.086	0.23	-
1317	(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.036	0.072	0.042	0.1	-
1318	(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.0084	0.015	0.015	0.063	-
1319	(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrobromide	-	0.016	0.014	0.054	0.014
1321	9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	1	2.2	0.8	2	-
1324	(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.03	0.066	0.024	0.069	-
1330	9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.19	0.43	0.18	0.76	-
1340	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.17	0.25	0.19	0.65	-
1341	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.86	0.98	0.57	0.88	-
1347	(R)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.11	0.26	0.1	0.26	-

1352	(R)-9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.071	0.099	0.055	0.34	-
1353	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.079	0.087	0.045	0.11	-
1354	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.29	0.53	0.15	0.23	-
1364	8-hydroxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	0.26	0.3	0.27	0.66	-
1372	9-(4-(1-((dimethylamino)methyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.084	0.035	0.1	0.075
1375	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-fluoro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.42	0.16	0.37	0.35
1379	(R)-9-(4-(1-(ethyl(methyl)amino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.28	0.11	0.31	0.22
1380	(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.24	0.096	0.37	0.19
1383	(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-fluoro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.057	0.029	0.1	0.048
1391	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	1.2	0.67	1.4	0.99
1399	(S)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.45	0.18	0.47	0.3
1400	9-(4-((2-aminoethyl)(methyl)amino)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	1.4	0.9	2.8	1.1

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1401	9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one hydrochloride	-	0.4	0.3	1.2	0.34
1419	2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide	-	-	0.72	6.4	0.28

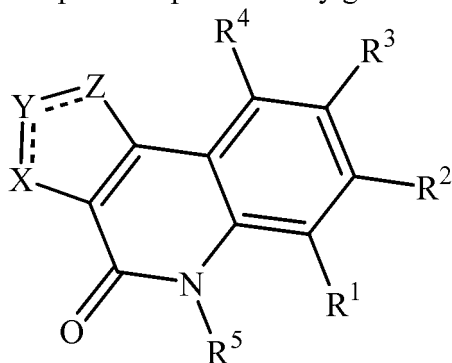
">100" in the table means over 100 micro M.

Industrial Applicability

5 The present invention provides a novel Tricyclic compound having PBK inhibitory effect. The compounds of the present invention may be used for pharmaceutical composition for inhibiting PBK. Such pharmaceutical compositions are suitable for treating or preventing cancer.

Claims:

1. A compound represented by general formula I:



I

5 or a pharmaceutically acceptable salt thereof,

wherein R^1 , R^2 , R^3 , and R^4 are each independently a group selected from the group consisting of:

hydrogen,

hydroxyl,

10 halogen,

cyano,

nitro,

amino,

C_1 - C_6 alkyl,

15 C_2 - C_6 alkenyl,

C_2 - C_6 alkynyl,

C_3 - C_{10} cycloalkyl,

C_3 - C_{10} cycloalkenyl,

C_1 - C_6 alkoxy,

20 C_6 - C_{10} aryl,

indanyl,

heteroaryl,

3- to 8-membered heterocycloalkyl,

- OSO_2CH_3 ,

25 - OSO_2CF_3 ,

- $CONH_2$,

- $OCONR^{101}R^{102}$, wherein R^{101} and R^{102} each independently is hydrogen, C_1 - C_6 alkyl, or R^{101} and R^{102} taken together form morpholinyl,

- $OCOR^{103}$, wherein R^{103} represents C_1 - C_6 alkyl, and

30 - $OCOOR^{104}$, wherein R^{104} represents C_1 - C_6 alkyl,

wherein R^1 , R^2 , R^3 , and R^4 are optionally substituted with a substituent independently selected from the group consisting of substituent A;

wherein substituent A is independently selected from the group consisting of:

hydroxyl;

35 oxo ($=O$);

cyano;

halogen;

C_1 - C_6 alkyl optionally substituted with substituent B;

C_3 - C_{10} cycloalkyl optionally substituted with cyano or C_1 - C_6 alkyl substituted with

40 - $NR^{31}R^{32}$, wherein R^{31} and R^{32} each independently represent hydrogen or C_1 - C_6 alkyl;

-NR²¹R²², wherein R²¹ and R²² each independently represent hydrogen; C₁-C₆ alkyl optionally substituted with hydroxyl, amino, di(C₁-C₆ alkyl)amino, -SO₂(C₁-C₆ alkyl), 3- to 8-membered heterocycloalkyl, or cyano; or a 3- to 8-membered heterocycloalkyl optionally substituted with -COOR¹⁰⁵ wherein R¹⁰⁵ represents C₁-C₆ alkyl;

C₁-C₆ alkoxy optionally substituted with halogen, 3- to 8-membered heterocycloalkyl optionally substituted with C₁-C₆ alkyl, or -NR³³R³⁴ wherein R³³ and R³⁴ each independently represent hydrogen, C₁-C₆ alkylsulfonyl, or C₁-C₆ alkyl optionally substituted with C₁-C₆ alkylsulfonyl or di(C₁-C₆ alkyl)amino;

-SO₂NR²³R²⁴, wherein R²³ and R²⁴ each independently represent hydrogen; C₁-C₆ alkyl optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, heteroaryl, or -NR³⁵R³⁶ wherein R³⁵ and R³⁶ each independently represent hydrogen or C₁-C₆ alkyl; C₃-C₁₀ cycloalkyl optionally substituted with C₁-C₆ hydroxyalkyl; 3- to 8-membered heterocycloalkyl; or R²³ and R²⁴ taken together form 3- to 8-membered heterocycloalkyl optionally substituted with amino or halogen;

C₁-C₆ alkylsulfonyl optionally substituted with hydroxyl;

-NHSO₂(C₁-C₆ alkyl), wherein the carbon atoms are optionally substituted with -NR³⁷R³⁸ wherein R³⁷ and R³⁸ each independently represent hydrogen or C₁-C₆ alkyl;

3- to 8-membered heterocycloalkyl optionally substituted with -NR³⁹R⁴⁰, wherein R³⁹ and R⁴⁰ each independently represent hydrogen, C₁-C₆ alkyl, or C₁-C₆ alkylsulfonyl; C₁-C₆ alkyl optionally substituted with -NR⁴¹R⁴², wherein R⁴¹ and R⁴² each independently represent hydrogen or C₁-C₆ alkyl; hydroxyl; or C₁-C₆ alkylsulfonyl;

aryl optionally substituted with C₁-C₆ alkyl optionally substituted with cyano or amino; heteroaryl;

-COOR¹¹, wherein R¹¹ represents hydrogen or C₁-C₆ alkyl; and

-COR¹², wherein R¹² represents C₁-C₆ alkyl; C₃-C₁₀ cycloalkyl; cyanomethyl; aminomethyl; -NR²⁵R²⁶ wherein R²⁵ and R²⁶ each independently represent hydrogen or C₁-C₆ alkyl optionally substituted with hydroxyl or -NR⁴³R⁴⁴, wherein R⁴³ and R⁴⁴ each independently represent hydrogen or C₁-C₆ alkyl; or 3- to 8-membered heterocycloalkyl optionally substituted with C₁-C₆ alkyl;

wherein substituent B is independently selected from the group consisting of:

halogen;

hydroxyl;

C₁-C₆ alkoxy;

cyano;

cycloalkyl;

C₆-C₁₀ aryl optionally substituted with cyano;

heteroaryl;

3- to 8-membered heterocycloalkyl optionally substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl substituted with C₂-C₇ alkyloxycarbonylamino;

-NR⁵¹R⁵², wherein R⁵¹ and R⁵² each independently represent hydrogen; C₁-C₆ alkyl optionally substituted with C₁-C₆ alkylsulfonyl or 3- to 8-membered heterocycloalkyl optionally substituted with -COOR⁵³ wherein R⁵³ represents hydrogen or C₁-C₆ alkyl; 3- to 8-membered heterocycloalkyl; C₁-C₆ alkylsulfonyl; C₃-C₁₀ cycloalkyl; -COR⁵⁵ wherein R⁵⁵ represents C₁-C₆ alkyl; -COOR⁵⁶ wherein R⁵⁶ represents C₁-C₆ alkyl; or -CONR⁵⁷R⁵⁸ wherein R⁵⁷ and R⁵⁸ each independently represent hydrogen or C₁-C₆ alkyl;

-COOR⁵⁴, wherein R⁵⁴ represents hydrogen or C₁-C₆ alkyl;

-CONH₂;

-SO₂NR¹⁰⁶R¹⁰⁷, wherein R¹⁰⁶ and R¹⁰⁷ each independently represent hydrogen, C₁-C₆ alkyl, or C₃-C₁₀ cycloalkyl;

C₁-C₆ alkylsulfinyl; and

C₁-C₆ alkylsulfonyl;

wherein R⁵ is hydrogen or C₁-C₆ alkyl; and

wherein ---X---Y---Z--- is a structure selected from the group consisting of

- (i) -S-CR⁷=CR⁶-,
- (ii) -CH₂-CH₂-CH₂-,
- (iii) -NR¹⁰⁸-CH=CR¹⁰⁹-, wherein R¹⁰⁸ represents hydrogen, or C₁-C₆ alkyl optionally substituted with hydroxyl, and R¹⁰⁹ represents hydrogen, CH₃, or phenyl substituted with C₁-C₆ aminoalkyl, and
- (iv) -N=CH-S-,

wherein R⁶ is selected from the group consisting of:

hydrogen,

hydroxyl,

C₁-C₆ alkyl,

C₆-C₁₀ aryl optionally substituted with hydroxyl, and

3- to 8-membered heterocycloalkyl optionally substituted with -NR⁶¹R⁶², wherein R⁶¹ and R⁶² each independently represent hydrogen or C₁-C₆ alkyl;

wherein R⁷ is selected from the group consisting of:

hydrogen;

halogen; C₁-C₆ alkyl optionally substituted with hydroxyl, -NR⁷¹R⁷² wherein R⁷¹ and R⁷² each independently represent hydrogen; C₁-C₆ alkyl optionally substituted with dimethylamino; C₃-C₁₀ cycloalkyl optionally substituted with amino or 3- to 8-membered heterocycloalkyl; or 3- to 8-membered heterocycloalkyl optionally substituted with C₁-C₆ aminoalkyl;

C₆-C₁₀ aryl optionally substituted with hydroxyl;

C₆-C₁₀ arylsulfonyl; and

-COR⁷³, wherein R⁷³ represents 3- to 8-membered heterocycloalkyl optionally substituted with amino; or -NR⁷⁴R⁷⁵ wherein R⁷⁴ and R⁷⁵ each independently represent hydrogen, 3- to 8-membered heterocycloalkyl, or C₃-C₁₀ cycloalkyl optionally substituted with amino.

2. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein

---X---Y---Z--- is -S-CR⁷=CR⁶-.

3. The compound of claim 2, or a pharmaceutically acceptable salt thereof, wherein R¹ is hydrogen, cyano, C₁-C₆ alkyl optionally substituted with hydroxyl or halogen, C₃-C₁₀ cycloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or halogen.

4. The compound of claim 2, or a pharmaceutically acceptable salt thereof, wherein R² is hydrogen, hydroxyl, halogen, C₁-C₆ alkoxy, or C₆-C₁₀ aryl optionally substituted with hydroxyl.

5. The compound of claim 2 or a pharmaceutically acceptable salt, wherein R² is hydrogen, hydroxyl, halogen, C₁-C₆ alkoxy, or dihydroxyphenyl.

6. The compound of claim 2, or a pharmaceutically acceptable salt thereof, wherein R³ is selected from the group consisting of: hydrogen; hydroxyl; C₁-C₆ alkyl optionally substituted with hydroxyl, halogen, or hydroxyethylamino; halogen; C₁-C₆ alkoxy optionally substituted with dimethylamino or morpholinyl; C₁-C₆ alkylphenyl, wherein the aliphatic carbons are optionally substituted with -NR⁵¹R⁵²; cyano; nitro; amino; 3- to 8-membered

heterocycloalkyl optionally substituted with amino; heteroaryl; -OSO₂CH₃; -OSO₂CF₃; -OCOR¹⁰³, wherein R¹⁰³ represents C₁-C₆ alkyl; -OCOOR¹⁰⁴ wherein R¹⁰⁴ represents C₁-C₆ alkyl; -OCONR¹⁰¹R¹⁰² wherein R¹⁰¹ and R¹⁰² each independently represent hydrogen or C₁-C₆ alkyl, or R¹⁰¹ and R¹⁰² taken together form morpholinyl; and -CONH₂.

- 5 7. The compound of claim 6, or a pharmaceutically acceptable salt thereof, wherein when R³ is a 3- to 8-membered heterocycloalkyl, the 3- to 8-membered heterocycloalkyl is selected from the group consisting of piperidyl, pyrrolidinyl, morpholinyl, or piperazinyl and optionally substituted with amino; and when R³ is heteroaryl, the heteroaryl is pyridyl.
- 10 8. The compound of claim 2, or a pharmaceutically acceptable salt thereof, wherein R⁴ is selected from the group consisting of hydrogen, hydroxyl, halogen, amino, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, C₁-C₆ alkoxy, C₆-C₁₀ aryl, indanyl, heteroaryl, and 3- to 8-membered heterocycloalkyl, and R⁴ is optionally substituted with substituent A.
- 15 9. The compound of claim 8, or a pharmaceutically acceptable salt thereof, wherein when R⁴ is heteroaryl, the heteroaryl is selected from the group consisting of pyridyl, 1*H*-indazolyl, 1*H*-tetrazolyl, [1,2,4]triazolo[1,5-*a*]pyridyl, benzoimidazolyl, 2,3-dihydrobenzooxazolyl, pyrazolyl, pyrrolo[2,3-*b*]pyridyl, pyrimidinyl, indolinyl, furyl, thienyl, and tetrahydroisoquinolyl); and wherein the 3- to 8-membered heterocycloalkyl is selected from the group consisting of aziridinyl, azetidiny, pyrrolidinyl, imidazolidinyl, piperidyl,
 - 20 piperazinyl, azepanyl, morpholinyl, and 1,2,3,6-tetrahydropyridyl; wherein each of the groups of R⁴ is optionally substituted with substituent A-1;
 - wherein substituent A-1 is selected from the group consisting of:
 - hydroxyl;
 - oxo;
 - 25 cyano;
 - halogen;
 - C₁-C₆ alkyl optionally substituted with a substituent selected from the group consisting of substituent B-1;
 - C₃-C₁₀ cycloalkyl optionally substituted with cyano, or C₁-C₆ alkyl substituted with
 - 30 -NR³¹R³²;
 - NR^{21A}R^{22A}, wherein R^{21A} and R^{22A} each independently represent hydrogen; C₁-C₆ alkyl optionally substituted with amino, di (C₁-C₆ alkyl) amino, -SO₂ (C₁-C₆ alkyl), piperidyl, or cyano; or piperidyl optionally substituted with -COOR¹⁰⁵;
 - C₁-C₆ alkoxy optionally substituted with halogen; a 3- to 8-membered heterocycloalkyl
 - 35 selected from piperidyl and piperazinyl, either of which is optionally substituted with C₁-C₆ alkyl; or -NR³³R³⁴;
 - SO₂NR^{23A}R^{24A}, wherein R^{23A} and R^{24A} each independently represent hydrogen, C₁-C₆ alkyl optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, pyrazolyl, imidazolyl, or -NR³⁵R³⁶; C₃-C₁₀ cycloalkyl optionally substituted with C₁-C₆ hydroxyalkyl; azetidiny, pyrrolidinyl, or R^{23A} and R^{24A} taken together form pyrrolidinyl
 - 40 optionally substituted with amino or halogen;
 - C₁-C₆ alkylsulfonyl optionally substituted with hydroxyl;
 - NHSO₂(C₁-C₆ alkyl), wherein the carbon atoms are optionally substituted with
 - 45 -NR³⁷R³⁸;
 - 3- to 8-membered heterocycloalkyl selected from the group consisting of azetidiny, pyrrolidinyl, piperidyl, piperazinyl, and tetrahydropyridyl any of which is optionally substituted with -NR³⁹R⁴⁰; C₁-C₆ alkyl optionally substituted with -NR⁴¹R⁴²; hydroxyl; or C₁-C₆ alkylsulfonyl;
 - 1*H*-tetrazolyl;

aryl optionally substituted with C₁-C₆ alkyl, wherein C₁-C₆ is the aliphatic carbons are optionally substituted with cyano or amino;

-COOR¹¹; and

-COR^{12A}, wherein R^{12A} represents piperazinyl optionally substituted with C₁-C₆ alkyl; C₃-C₁₀ cycloalkyl; cyanomethyl; aminomethyl; -NR²⁵R²⁶ wherein R²⁵ and R²⁶ each independently represent hydrogen or C₁-C₆ alkyl optionally substituted with hydroxyl or -NR⁴³R⁴⁴; or C₁-C₆ alkylsulfonyl;

wherein substituent B-1 is selected from the group consisting of:

halogen;

hydroxyl;

C₁-C₆ alkoxy;

cyano;

cycloalkyl;

phenyl optionally substituted with cyano;

heteroaryl selected from the group consisting of imidazolyl, pyrazolyl, and thiazolyl;

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, and oxetanyl any of which are optionally substituted with hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl optionally substituted with C₂-C₇ alkyloxycarbonylamino;

-NR^{51A}R^{52A}, wherein R^{51A} and R^{52A} each independently represent hydrogen; C₁-C₆ alkyl optionally substituted with C₁-C₆ alkylsulfonyl or piperidyl optionally substituted with -COOR⁵³; piperidyl; C₁-C₆ alkylsulfonyl; C₃-C₁₀ cycloalkyl; -COR⁵⁵, -COOR⁵⁶, or -CONR⁵⁷R⁵⁸;

-COOR⁵⁴;

-CONH₂;

-SO₂NR¹⁰⁶R¹⁰⁷;

C₁-C₆ alkylsulfinyl; and

C₁-C₆ alkylsulfonyl.

10. The compound of claim 9, or a pharmaceutically acceptable salt thereof, wherein R⁴ is a group selected from group (p):

wherein group (p) is independently selected from the group consisting of:

hydrogen,

hydroxyl,

halogen,

amino optionally substituted with a substituent selected from the group consisting of substituent (g),

C₁-C₆ alkyl optionally substituted with a substituent selected from the group consisting of substituent (a),

C₂-C₆ alkenyl optionally substituted with a substituent selected from the group consisting of substituent (b),

C₃-C₁₀ cycloalkyl,

C₃-C₁₀ cycloalkenyl,

C₁-C₆ alkoxy,

C₆-C₁₀ aryl optionally substituted with a substituent selected from the group consisting of substituent (c),

indanyl optionally substituted with a substituent selected from the group consisting of substituent (d),

heteroaryl selected from the group consisting of pyridyl, 1*H*-indazolyl, 1*H*-tetrazolyl, [1,2,4]triazolo[1,5-*a*]pyridyl, benzoimidazolyl, 2,3-dihydrobenzooxazolyl, pyrazolyl, pyrrolo[2,3-*b*]pyridyl, pyrimidinyl, indolinyl, furyl, thienyl, and tetrahydroisoquinolyl any of which is optionally substituted with a substituent selected from the group consisting of

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, and 1,2,3,6-tetrahydropyridyl any of which is optionally substituted with a substituent selected from the group consisting of substituent (f);

wherein substituent (a) is selected from the group consisting of:

-NR^{21A}R^{22A}, wherein R^{21A} and R^{22A} each independently represent hydrogen; C₁-C₆ alkyl optionally substituted with piperidyl; or piperidyl optionally substituted with -COOR¹⁰⁵;

3- to 8-membered heterocycloalkyl selected from the group consisting of pyrrolidinyl and piperidyl either of which is optionally substituted with C₁-C₆ alkyl optionally substituted with -NR⁴¹R⁴² or -NR³⁹R⁴⁰ wherein R³⁹ and R⁴⁰ each independently represent hydrogen or C₁-C₆ alkyl; and

-NHSO₂(C₁-C₆ alkyl);

wherein substituent (b) is selected from the group consisting of:

-COOR¹¹;
-NR^{21a}R^{22a}, wherein R^{21a} and R^{22a} each independently represent hydrogen, or C₁-C₆ alkyl optionally substituted with di(C₁-C₆ alkyl)amino or C₁-C₆ alkylsulfonyl;
3- to 8-membered heterocycloalkyl selected from the group consisting of azetidyl, pyrrolidinyl, and piperidyl any of which are optionally substituted with -NR³⁹R⁴⁰, C₁-C₆ alkyl optionally substituted with -NR⁴¹R⁴², hydroxyl, or C₁-C₆ alkylsulfonyl; cyano; and
C₁-C₆ alkoxy;

wherein substituent (c) is selected from the group consisting of:

hydroxyl;
cyano;
halogen;
C₁-C₆ alkyl optionally substituted with a substituent selected from the group consisting of substituent B-c below;
C₃-C₁₀ cycloalkyl optionally substituted with cyano, or C₁-C₆ alkyl substituted with -NR³¹R³²;
-NR^{21c}R^{22c}, wherein R^{21c} and R^{22c} each independently represent hydrogen or C₁-C₆ alkyl optionally substituted with amino or cyano;
C₁-C₆ alkoxy optionally substituted with halogen, 3- to 8-membered heterocycloalkyl selected from the group consisting of piperidyl and piperazinyl either of which are optionally substituted with C₁-C₆ alkyl, or -NR³³R³⁴;
-SO₂NR^{23c}R^{24c}, wherein R^{23c} and R^{24c} each independently represent hydrogen, C₁-C₆ alkyl optionally substituted with hydroxyl, C₁-C₆ alkoxy, halogen, C₃-C₁₀ cycloalkyl, pyrazolyl, imidazolyl, or -NR³⁵R³⁶; C₃-C₁₀ cycloalkyl optionally substituted with C₁-C₆ hydroxyalkyl; azetidyl, pyrrolidinyl, or wherein R^{23c} and R^{24c} taken together form pyrrolidinyl which is optionally substituted with amino or halogen;
C₁-C₆ alkylsulfonyl optionally substituted with hydroxyl;
-NHSO₂(C₁-C₆ alkyl), wherein the carbon atoms are optionally substituted with -NR³⁷R³⁸;
piperazinyl optionally substituted with C₁-C₆ alkyl or C₁-C₆ alkylsulfonyl;

piperidyl optionally substituted with hydroxyl;
 1*H*-tetrazolyl;
 1, 2, 3, 6-tetrahydropyridyl; and
 -COR^{12c}, wherein R^{12c} represents piperazinyl which is optionally substituted
 5 with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, cyanomethyl, aminomethyl, -NR²⁵R²⁶, or C₁-C₆ alkyl;
 and

wherein substituent B-c is selected from the group consisting of:

halogen;
 hydroxyl;
 10 methoxy;
 cyano;
 C₃-C₁₀ cycloalkyl;
 3- to 8-membered heterocycloalkyl selected from the group consisting of
 pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, and oxetanyl, any of which is optionally
 15 substituted with C₁-C₆ alkyl, hydroxyl, amino, C₁-C₆ aminoalkyl, or C₁-C₆ alkyl substituted
 with C₂-C₇ alkyloxycarbonylamino;
 -NR^{51c}R^{52c}, wherein R^{51c} and R^{52c} each independently represent hydrogen;
 C₁-C₆ alkyl optionally substituted with C₁-C₆ alkylsulfonyl, or piperidyl optionally
 substituted with -COOR⁵³; piperidyl; C₁-C₆alkylsulfonyl; C₃-C₁₀ cycloalkyl; -COR⁵⁵; or
 20 -CONR⁵⁷R⁵⁸;
 heteroaryl selected from the group consisting of imidazolyl, pyrazolyl, and
 thiazolyl;

-COOR⁵⁴;
 -CONH₂;
 25 -SO₂NR¹⁰⁶R¹⁰⁷;
 C₁-C₆ alkylsulfonyl; and
 C₁-C₆ alkylsulfonyl; wherein substituent (d) is selected from the group
 consisting of:

-NR^{21d}R^{22d}, wherein R^{21d} and R^{22d} each independently represent hydrogen
 30 or C₁-C₆ alkyl;

wherein substituent (e) is selected from the group consisting of:

hydroxyl;
 oxo;
 cyano;
 35 C₃-C₁₀ cycloalkyl optionally substituted with cyano;
 -NR^{21e}R^{22e}, wherein R^{21e} and R^{22e} each independently represent hydrogen or
 C₁-C₆ alkyl optionally substituted with amino;
 piperidyl;
 C₁-C₆ alkoxy optionally substituted with -NR³³R³⁴;
 40 C₁-C₆ alkyl optionally substituted with cyano; -NR^{51e}R^{52e}, wherein R^{51e} and
 R^{52e} each independently represent hydrogen, C₁-C₆ alkyl, or -COOR⁵⁶; morpholinyl; or
 cyanophenyl;
 -CONH₂;

wherein substituent (f) is selected from the group consisting of:

C₁-C₆ alkyl optionally substituted with -NR^{51f}R^{52f}, wherein R^{51f} and R^{52f} each
 45 independently represent hydrogen, C₁-C₆ alkyl, or -COOR⁵⁶; and
 C₁-C₆ alkylsulfonyl;

wherein substituent (g) is aryl optionally substituted with C₁-C₆ alkyl having the aliphatic carbons optionally substituted with cyano or amino.

11. The compound of claim 2, or a pharmaceutically acceptable salt thereof, wherein R⁶ is hydrogen; hydroxyl; C₁-C₆ alkyl; phenyl optionally substituted with 1 to 3 hydroxyls; piperidyl optionally substituted with amino; or piperazinyl.

12. The compound of claim 11, or a pharmaceutically acceptable salt thereof, wherein R⁷ is hydrogen; C₁-C₆ alkyl optionally substituted with hydroxyl or piperidyl; or halogen.

13. The compound of claim 2, or a pharmaceutically acceptable salt thereof, wherein R⁷ is hydrogen;

C₁-C₆ alkyl optionally substituted with hydroxyl; -NR^{71A}R^{72A} wherein R^{71A} and R^{72A} each independently represent hydrogen, C₁-C₆ alkyl optionally substituted with dimethylamino, C₃-C₁₀ cycloalkyl optionally substituted with amino, or piperidyl; or 3- to 8-membered heterocycloalkyl selected from the group consisting of piperidyl and morpholinyl either of which is optionally substituted with C₁-C₆ aminoalkyl;

phenyl optionally substituted with 1 to 2 hydroxyls; phenylsulfonyl; or

-COR^{73A}, wherein R^{73A} represents piperidyl optionally substituted with amino, or -NR^{74A}R^{75A}, wherein R^{74A} and R^{75A} each independently represent hydrogen, piperidyl, or C₃-C₁₀ cycloalkyl optionally substituted with amino.

14. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein

—X—Y—Z— is -CH₂-CH₂-CH₂-.

15. The compound of claim 14, or a pharmaceutically acceptable salt thereof, wherein R¹ and R² are hydrogen.

16. The compound of claim 14, or a pharmaceutically acceptable salt thereof, wherein R³ is hydroxyl or methoxy.

17. The compound of claim 14, or a pharmaceutically acceptable salt thereof, wherein R⁴ is hydrogen; phenyl substituted with C₁-C₆ alkyl substituted with -NR^{51A}R^{52A}, wherein R^{51A} and R^{52A} each independently represent hydrogen or C₁-C₆ alkyl, or -SO₂NR^{53A}R^{54A}, wherein R^{53A} and R^{54A} each independently represent hydrogen or C₁-C₆ alkyl optionally substituted with halogen or hydroxy; 1,2,3,6-tetrahydropyridyl; hydroxypyridyl; or methoxypyridyl.

18. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein

—X—Y—Z— is -NR¹⁰⁸-CH=CR¹⁰⁹-,

R¹, R², and R⁴ are hydrogen, and

R³ is hydrogen, hydroxyl or C₁-C₆ alkoxy.

19. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein

—X—Y—Z— is -N=CH-S-,

R¹, R², and R⁴ are hydrogen, and

R³ is methoxy.

20. A compound selected from the group consisting of:

(1): 8-methoxy-5-methylthieno[2,3-c]quinolin-4(5H)-one;

(2): 8-hydroxy-5-methylthieno[2,3-c]quinolin-4(5H)-one;

(3): 7,8-dihydroxythieno[2,3-c]quinolin-4(5H)-one;

(4): 7,8-dimethoxythieno[2,3-c]quinolin-4(5H)-one;

- (5): 8-methoxythieno[2,3-c]quinolin-4(5H)-one;
 (6): 7,9-dimethoxythieno[2,3-c]quinolin-4(5H)-one;
 (7): 7,9-dihydroxythieno[2,3-c]quinolin-4(5H)-one;
 (8): 7,8,9-trimethoxythieno[2,3-c]quinolin-4(5H)-one;
 5 (9): 8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
 (10): 7,8,9-trihydroxythieno[2,3-c]quinolin-4(5H)-one;
 (11): 9-(3-(2-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
 (12): 8-chlorothieno[2,3-c]quinolin-4(5H)-one;
 (13): 4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;
 10 (14): thieno[2,3-c]quinolin-4(5H)-one;
 (15): 8-fluorothieno[2,3-c]quinolin-4(5H)-one;
 (16): 8-nitrothieno[2,3-c]quinolin-4(5H)-one;
 (17): 8-(3-aminopiperidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
 (18): 1-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
 15 (19): 1,8-dihydroxythieno[2,3-c]quinolin-4(5H)-one;
 (20): 8-hydroxy-1-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
 (21): (R)-8-(3-aminopyrrolidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
 (22): (S)-8-(3-aminopyrrolidin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
 (23): 8-(pyridin-3-yl)thieno[2,3-c]quinolin-4(5H)-one;
 20 (24): 8-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
 (25): 1-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
 (26): 1-(3-aminopiperidin-1-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
 (27): 8-morpholinothieno[2,3-c]quinolin-4(5H)-one ;
 (28): 8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one;
 25 (29): 8-hydroxy-2-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one;
 (30):
 8-hydroxy-4-oxo-N-(piperidin-3-yl)-4,5-dihydrothieno[2,3-c]quinoline-2-carboxamide;
 (31): 8-hydroxy-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
 (32): 8-hydroxy-1-(piperazin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;
 30 (33):
 N-((1R,4R)-4-aminocyclohexyl)-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-2-carboxamide;
 (34): 2-(3-aminopiperidine-1-carbonyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
 (35): 2-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
 35 (36):
 2-(((1R,4R)-4-aminocyclohexylamino)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
 (37): 8-(piperazin-1-yl)thieno[2,3-c]quinolin-4(5H)-one;

- (38): 8-hydroxy-1-methylthieno[2,3-c]quinolin-4(5H)-one;
(39):
2-((2-(dimethylamino)ethylamino)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(40): 8-hydroxy-2-((piperidin-3-ylamino)methyl)thieno[2,3-c]quinolin-4(5H)-one;
5 (41): 7-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(42): 9-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(43): 9-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(44): 1-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;
(45): 7-(3,4-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
10 (46): 8-hydroxy-1-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;
(47): 9-(3,5-dihydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(48): 8-hydroxy-9-(3-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(49): 8-hydroxy-9-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(50): 9-(3,4-difluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
15 (51): (S)-8-(3-aminopyrrolidin-1-yl)-2-(4-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(52): 5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)picolinonitrile;
(53): 9-(6-aminopyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(54): 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;
(55): 9-(3-fluoro-4-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
20 (56): 8-hydroxy-2-(3-hydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(57):
(R)-8-(3-aminopyrrolidin-1-yl)-2-(3,4-dihydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(58): 9-(3,4-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(59): 9-(4-fluoro-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
25 (60): 8-hydroxy-9-(3-hydroxy-5-(trifluoromethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(61): 8-hydroxy-9-(1H-indazol-6-yl)thieno[2,3-c]quinolin-4(5H)-one;
(62): 8-hydroxy-9-(3,4,5-trihydroxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(63): 9-(4-hydroxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(64): 9-(4-(1H-tetrazol-5-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
30 (65): 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
(66): 9-(3-chloro-4-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(67): 9-(4-chloro-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(68): 9-(3,4-dichlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(69): 9-(4-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
35 (70): 8-hydroxy-9-phenylthieno[2,3-c]quinolin-4(5H)-one;
(71): 9-(4-(difluoromethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

- (72): 9-(4-(aminomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(73): 9-(4-(aminomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(74): 9-(3-aminophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(75): 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
5 (76): 8-hydroxy-9-(3,4,5-trifluorophenyl)thieno[2,3-c]quinolin-4(5H)-one;
(77):
N-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;
(78): 8-methoxy-9-phenylthieno[2,3-c]quinolin-4(5H)-one;
(79): 8-hydroxy-9-(naphthalen-2-yl)thieno[2,3-c]quinolin-4(5H)-one;
10 (80): 8-hydroxy-9-(4-(hydroxymethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(81): 2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;
(82): 8-hydroxy-9-(4-(methylsulfonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(83): 8-hydroxy-9-(pyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
(84): 8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
15 (85): 8-hydroxy-9-(4-hydroxy-3-methoxyphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(86): 9-(3-fluoro-4-(morpholinomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(87): 9-(3-(aminomethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(88): 9-(4-(aminomethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(89): 9-(3-(difluoromethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
20 (90): 9-(3-(aminomethyl)phenyl)-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one;
(91): 9-cyclohexenyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(92): 9-(3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(93): 9-(4-(2-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(94): 9-(3-(aminomethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
25 (95): 9-(4-(aminomethyl)phenyl)-8-hydroxy-2-methylthieno[2,3-c]quinolin-4(5H)-one;
(96): 9-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(97): 9-([1,2,4]triazolo[1,5-a]pyridin-6-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(98): 8-methoxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
(99): 9-cyclohexenyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
30 (100):
8-methoxy-9-(4-(2-(piperidin-1-yl)ethylamino)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(101):
9-(4-(aminomethyl)phenyl)-8-hydroxy-2-(morpholinomethyl)thieno[2,3-c]quinolin-4(5H)-one;
35 (102): 9-(1H-benzo[d]imidazol-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(103): 9-(4-(difluoromethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

- (104):
9-(4-(aminomethyl)phenyl)-8-methoxy-2-(morpholinomethyl)thieno[2,3-c]quinolin-4(5H)-one;
- 5 (105):
8-hydroxy-9-(4-(2-(piperidin-1-yl)ethylamino)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (106): 8-hydroxy-9-(4-(piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (107): 8-methoxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- (108): 8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- 10 (109):
5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzo[d]oxazol-2(3H)-one;
- (110): tert-butyl
4-(2-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylamino)ethyl)piperidine-1-carboxylate;
- (111): 8-methoxy-9-(4-(piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 15 (112):
8-hydroxy-9-(4-(4-(methylsulfonyl)piperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (113):
8-hydroxy-9-(4-((piperidin-3-ylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (114):
20 N-(2-(dimethylamino)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;
- (115):
9-(4-(3-(dimethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (116): 8-methoxy-9-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- 25 (117): 8-hydroxy-9-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (118): 8-methoxythiazolo[4,5-c]quinolin-4(5H)-one;
- (119):
2-((4-(aminomethyl)piperidin-1-yl)methyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (120):
30 N-(2-(dimethylamino)ethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;
- (121):
9-(4-(aminomethyl)phenyl)-8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- (122): (E)-butyl 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)acrylate;
- 35 (123): 8-methoxy-9-(1H-pyrrolo[2,3-b]pyridin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (124): 8-hydroxy-9-(1H-pyrrolo[2,3-b]pyridin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (125): N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylacetamide;
- (126):
N-(2-aminoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;
- 40 (127):
N-(2-aminoethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;

(128): N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)acetamide;

(129):

4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide;

(130):

5 8-hydroxy-9-(4-(4-methylpiperazine-1-carbonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(131):

8-methoxy-9-(4-(4-methylpiperazine-1-carbonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(132):

8-hydroxy-9-(4-((4-methylpiperazin-1-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

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(133):

8-methoxy-9-(4-((4-methylpiperazin-1-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(134): (E)-9-(3-(diethylamino)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(135):

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(E)-9-(3-(4-(aminomethyl)piperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(136):

(E)-9-(3-(2-(diethylamino)ethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(137):

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N-(4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)phenyl)methanesulfonamide;

(138): 9-(2-(dimethylamino)pyrimidin-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(139): tert-butyl

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(1-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)piperidin-4-yl)methylcarbamate;

(140): 8-hydroxy-9-(4-(4-methylpiperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(141): 8-methoxy-9-(4-(4-methylpiperazin-1-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(142): 8-methoxy-9-(1-(methylsulfonyl)-1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;

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(143): (E)-9-(3-(diethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(144): 9-(3-(4-(aminomethyl)piperidin-1-yl)propyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(145):

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9-(4-(3-(2-(diethylamino)ethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(146): 9-(4-(3-(diethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(147):

9-(4-(3-(2-(diethylamino)ethylamino)propoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

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(148):

(E)-9-(3-(4-(aminomethyl)piperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (149):
9-(4-(3-(dimethylamino)propoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(150): 8-hydroxy-9-(4-(2-(piperidin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(151): 9-(4-(2-(ethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
5 (152):
(E)-9-(3-(4-aminopiperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(153):
9-(1-(2-aminoethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
10 (154): 9-(4-(2-(ethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(155): 9-(4-(2-(diethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(156): 9-(4-(2-(diethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(157): 9-(4-(2-(dimethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(158): 9-(4-(2-(dimethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
15 (159): 8-methoxy-9-(4-(2-(piperidin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(160):
8-methoxy-9-(3-(2-(4-methylpiperazin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one
;
(161): 9-(3-(2-(diethylamino)ethoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
20 (162): 9-(3-(3-(diethylamino)propoxy)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(163): 9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(164): 9-(4-((dimethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(165): 9-(4-((dimethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(166): 9-(3-(2-(diethylamino)ethoxy)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
25 (167):
8-hydroxy-9-(3-(2-(4-methylpiperazin-1-yl)ethoxy)phenyl)thieno[2,3-c]quinolin-4(5H)-one
;
(168):
N-ethyl-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenylmethoxy-
4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenoxy)ethyl)methanesulfonamide;
30 (169): 9-(4-(2-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(170): 2-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;
(171): 2-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;
(172):
35 9-(1-(2-(dimethylamino)ethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(173):
N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide;

- (174):
9-(1-(2-(diethylamino)ethyl)-1,2,3,6-tetrahydropyridin-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (175): 9-(4-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (176): 9-(4-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (177):
N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylmethanesulfonamide;
- (178):
N-(methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethylmethanesulfonamide;
- 10 (179):
N-(2-aminoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (180):
8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- 15 (181):
9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- (182):
9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxy-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- 20 (183): 9-(4-((diethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (184): 9-(4-((diethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (185): 9-(3-(2-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (186): 9-(3-(2-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (187): 8-hydroxy-9-(4-((methylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (188): 8-methoxy-9-(4-((methylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (189): 9-(4-amino-3-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (190): 3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile;
- 30 (191): 9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (192): 9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (193):
N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide;
- 35 (194): 8-hydroxy-9-(4-(1-(pyrrolidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (195): 9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (196): 9-(4-(1-(diethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (197):
N-(2-aminoethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 40

- (198):
N-(2-(dimethylamino)ethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 5 (199):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(pyrrolidin-3-yl)benzenesulfonamide;
- (200):
N-(azetidin-3-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 10 (201): 9-(4-(2-(diethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (202):
2-amino-N-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;
- (203): 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile;
- 15 (204): 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzonitrile;
- (205):
(E)-9-(3-(3-aminopyrrolidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (206):
N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 20 (207): 8-methoxy-9-(5-methoxypyridin-3-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (208):
8-methoxy-9-(5-methoxypyridin-3-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- (209):
9-(4-(3-aminopyrrolidin-1-ylsulfonyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (210):
N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (211): 9-(4-((diisopropylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 30 (212):
N-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzylmethanesulfonamide;
- (213): 9-(4-((isopropylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (214):
2-(dimethylamino)-N-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;
- 35 (215):
2-amino-N-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;
- (216): 8-methoxy-9-(4-(1-(pyrrolidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 40 (217): 9-(4-amino-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (218):
N-(2-methoxy-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

- (219): 9-(3,5-difluoro-4-hydroxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (220):
N-(2-hydroxy-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;
- 5 (221):
9-(4-((4-(aminomethyl)piperidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (222):
9-(4-(2-(dimethylamino)ethyl)phenyl)-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (223): 9-(3,5-difluoro-4-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (224):
6-fluoro-8-methoxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (225):
9-(4-(1-(dimethylamino)ethyl)phenyl)-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (226):
9-(4-((diethylamino)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (227):
(E)-9-(3-(3-hydroxypyrrolidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (228):
(E)-8-hydroxy-9-(3-(3-hydroxypyrrolidin-1-yl)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one;
- (229): 8-hydroxy-9-(4-((isopropylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (230):
25 (E)-9-(3-(3-aminoazetidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (231):
(E)-8-methoxy-9-(3-(2-(methylsulfonyl)ethylamino)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one;
- (232): (S)-9-(4-(1-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 30 (233): (S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (234):
8-hydroxy-9-(5-hydroxypyridin-3-yl)-2,3-dihydro-1H-cyclopenta[c]quinolin-4(5H)-one;
- (235):
35 9-(4-((4-(aminomethyl)piperidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (236):
8-methoxy-9-(4-(1-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (237):
40 9-(4-((3-aminopyrrolidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (238):
(E)-9-(3-(3-aminoazetidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(239): (E)-9-(3-(ethylamino)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(240):

9-(4-((3-aminopiperidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(241):

9-(4-((3-aminopyrrolidin-1-yl)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(242):

9-(4-((3-aminopiperidin-1-yl)methyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(243):

8-hydroxy-9-(4-(1-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(244): (E)-9-(3-(3-aminopiperidin-1-yl)prop-1-enyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(245):

(E)-9-(3-(3-aminopyrrolidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(246):

(E)-9-(3-(3-aminopiperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(247):

(E)-8-hydroxy-9-(3-(2-(methylsulfonyl)ethylamino)prop-1-enyl)thieno[2,3-c]quinolin-4(5H)-one;

(248):

8-methoxy-9-(4-(2-(2-(methylsulfonyl)ethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(249):

2-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;

(250):

(E)-N-(1-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)allyl)azetidin-3-yl)methanesulfonamide;

(251):

4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide;

(252):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide;

(253): tert-butyl

(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)furan-2-yl)methylcarbamate;

(254):

N-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl)methanesulfonamide;

(255):

N-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylphenyl)methanesulfonamide;

(256): 9-(4-(aminomethyl)phenyl)-6-fluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (257): 9-(4-(aminomethyl)phenyl)-6-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(258):
6-fluoro-8-hydroxy-9-(1,2,3,6-tetrahydropyridin-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
(259):
5 9-(4-((diethylamino)methyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(260): 8-methoxy-9-(4-(1-(piperidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(261):
2-(2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)acetonitrile;
(262): 8-hydroxy-9-(4-(1-(piperidin-1-yl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
10 (263):
(E)-9-(3-(3-(dimethylamino)piperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(264):
15 (E)-9-(3-(3-(dimethylamino)pyrrolidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(265): 9-(4-(2-aminoethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(266): 9-(5-(aminomethyl)thiophen-2-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(267): 9-(4-((ethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(268):
20 (E)-9-(3-(4-aminopiperidin-1-yl)prop-1-enyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(269): 9-(4-((ethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(270): 9-(4-(aminomethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(271):
9-(3-chloro-4-((diethylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
25 (272):
(R)-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(273): 9-(4-(3-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(274): (R)-9-(4-(1-aminoethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(275): (R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
30 (276): 9-(4-(2-aminoethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(277):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(278):
35 9-(3-fluoro-4-((3-hydroxypyrrolidin-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(279):
9-(3-fluoro-4-((3-hydroxypyrrolidin-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(280):
40 4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2,2,2-trifluoroethyl)benzenesulfonamide;

- (281):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2,2,2-trifluoroethyl)benzene
sulfonamide;
- (282):
5 N-(2-(dimethylamino)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)ben-
zenesulfonamide;
- (283):
8-hydroxy-9-(4-((2-(methylsulfonyl)ethylamino)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-
one;
- 10 (284):
9-(3-(3-(dimethylamino)pyrrolidin-1-yl)propyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(285): 9-(1-(2-aminoethyl)-1H-pyrazol-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(286):
9-(3-chloro-4-((diethylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (287):
4-(7-fluoro-8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)be-
nzenesulfonamide;
(288): 9-(3-acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (289):
20 2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)be-
nzamide;
(290): 3-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;
(291): 9-(4-acetylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (292):
25 2-fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)be-
nzamide;
(293):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzamide;
(294): 1,1-diethyl-3-(hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)urea;
- 30 (295):
N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzamide;
(296): 9-(4-acetylphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (297):
N-(2-bromoethyl)-2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benz-
35 enesulfonamide;
- (298):
9-(3-(3-(dimethylamino)piperidin-1-yl)propyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(299):
N-(2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanes-
40 ulfonamide;
- (300):
9-(3-fluoro-4-(2-(methylsulfonylamido)ethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-
-8-yl methanesulfonate;

(301):

(R)-N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide;

(302):

5 (R)-9-(4-(1-(methylsulfonamido)ethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate;

(303):

2-fluoro-N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

10 (304):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N,N-dimethylbenzenesulfonamide;

(305):

9-(4-(2-(dimethylamino)ethyl)phenyl)-7-fluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

15 (306):

N-(2-bromoethyl)-4-(7-fluoro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(307):

20 4-(7-fluoro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;

(308):

9-(4-(1-(dimethylamino)-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(309):

25 N-(2-chloro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzyl)-N-methylmethanesulfonamide;

(310):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-methoxyethyl)benzenesulfonamide;

30 (311):

(E)-3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-2-methylacrylonitrile;

(312):

N-(2-fluoro-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenethyl)methanesulfonamide;

35 (313):

8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(314): 9-(4-(1-(cyclopentylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(315):

9-(4-(1-(cyclopentylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(316):

40 4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;

(317): 9-(5-(aminomethyl)furan-2-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(318):

9-(3-chloro-4-((methylamino)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

- (319): 9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (320):
N-(3-hydroxypropyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 5 (321):
2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;
- (322):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3-hydroxypropyl)benzenesulfonamide;
- 10 (323):
N-(3-bromopropyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (324):
2-fluoro-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-methoxyethyl)benzenesulfonamide;
- 15 (325):
9-(3-chloro-4-((methylamino)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (326): 9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;
- 20 (327):
9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (328): 9-(4-(aminomethyl)phenyl)-6,7-dichloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (329): 9-(4-(aminomethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (330): 9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl trifluoromethanesulfonate;
- 25 (331): 9-(4-(2-(dimethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (332):
N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 30 (333):
N-(2-fluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (334):
9-(4-(2-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 35 (335):
(S)-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (336): 9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (337): 9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (338): 9-(4-(1-(diethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 40 (339): 9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (340): 9-amino-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

- (341):
9-(4-(1-(dimethylamino)ethyl)phenyl)-6,7-difluoro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (342):
9-(4-(1-(dimethylamino)ethyl)phenyl)-6,7-difluoro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (343):
N-cyclopropyl-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 10 (344):
N-cyclopropyl-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (345): 9-(2-amino-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (346): 9-(4-(1-(dimethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 15 (347):
(S)-N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)methanesulfonamide;
- (348):
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (349):
9-(4-(1-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (350):
N-(1-(hydroxymethyl)cyclopentyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 25 (351):
9-(2-(diethylamino)-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (352):
9-(2-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 30 (353): 8-hydroxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (354): 8-methoxy-9-(1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (355): 3-(3-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;
- (356):
35 9-(4-(1-(diethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (357):
1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropanecarbonitrile;
- (358):
40 9-(2-ethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (359): 9-(4-(1-aminoethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (360): 3-(3-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;

(361):

1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)cyclopropanecarbonitrile;

(362): 9-(2-amino-2,3-dihydro-1H-inden-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(363):

N-isopentyl-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(364):

9-(2-(dimethylamino)-2,3-dihydro-1H-inden-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(365): 9-(4-(1-(ethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(366):

6-chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(367): 9-(4-(cyclopropanecarbonyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(368): 9-(4-(aminomethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carboxamide;

(369): 9-(2-aminoethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(370): 8-hydroxy-9-(4-(2-hydroxyethylsulfonyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(371): 9-(4-(2-hydroxyethylsulfonyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(372): 9-(1-ethylindolin-5-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(373): 9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(374):

8-hydroxy-9-(2-methyl-1,2,3,4-tetrahydroisoquinolin-7-yl)thieno[2,3-c]quinolin-4(5H)-one;

(375): 9-(4-(1-aminoethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(376): 8-hydroxy-9-(1-methylindolin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;

(377): 8-hydroxy-9-(indolin-5-yl)thieno[2,3-c]quinolin-4(5H)-one;

(378): 9-(indolin-5-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(379):

9-(4-(1-((dimethylamino)methyl)cyclopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(380):

4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-propylbenzenesulfonamide;

(381):

N-(cyclopropylmethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(382):

N-(3,3-dimethylbutyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(383):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-isopentylbenzenesulfonamide;

(384):

N-(3,3-dimethylbutyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(385): 9-(4-(1-(ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(386):

3-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-3-oxopropanenitrile;

(387): (E)-9-(2-ethoxyvinyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(388):

N-(1-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethyl)acetamide;

(389):

4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3,3,3-trifluoropropyl)benzenesulfonamide;

(390):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(1-(hydroxymethyl)cyclopentyl)benzenesulfonamide;

(391):

N-(2,2-difluoroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;

(1031): 8-methoxy-9-(4-(1-methoxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1032): 9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1033):

8-methoxy-9-(2-((piperidin-3-ylmethyl)amino)ethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1034):

9-(2-(4-((dimethylamino)methyl)piperidin-1-yl)ethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1035): tert-butyl

4-((2-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)ethyl)amino)piperidine-1-carboxylate;

(1036): 8-methoxy-9-(2-(piperidin-4-ylamino)ethyl)thieno[2,3-c]quinolin-4(5H)-one;

(1037):

4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(3,3,3-trifluoropropyl)benzenesulfonamide;

(1038): 3H-pyrrolo[2,3-c]quinolin-4(5H)-one;

(1039):

9-(4-(1-aminoethyl)phenyl)-6-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1040):

9-(4-(1-aminoethyl)phenyl)-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-6-carbonitrile;

(1041): 9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1042):

8-hydroxy-9-(2-(4-((methylamino)methyl)piperidin-1-yl)ethyl)thieno[2,3-c]quinolin-4(5H)-one;

- (1043):
8-methoxy-9-(2-(4-((methylamino)methyl)piperidin-1-yl)ethyl)thieno[2,3-c]quinolin-4(5H)-one;
- 5 (1044):
9-(2-(4-((dimethylamino)methyl)piperidin-1-yl)ethyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1045): 9-(4-(1-hydroxypropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1046):
(R)-8-methoxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 10 (1047): (R)-8-(4-(1-aminoethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1048): (R)-tert-butyl
(1-(4-(4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl)phenyl)ethyl)carbamate;
- (1049): 9-(4-(4-hydroxypiperidin-4-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1050): (R)-8-(4-(1-(dimethylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 15 (1051):
8-hydroxy-9-(4-(1,2,3,6-tetrahydropyridin-4-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1052):
(R)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1053): 8-hydroxy-9-(4-(1-hydroxypropyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 20 (1054): (R)-8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1055): 8-hydroxy-9-(4-(4-hydroxypiperidin-4-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1056): (S)-8-hydroxy-9-(4-(1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1057):
N-(1-hydroxypropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 25 (1058):
9-(4-(hydroxy(thiazol-2-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1059): 9-(6-(1-aminoethyl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1060): 9-(4-(4-hydroxybutyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 30 (1061):
2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropanamide;
- (1062):
N-(1-bromopropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 35 (1063):
8-hydroxy-9-(4-(hydroxy(thiazol-2-yl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1064):
(S)-8-methoxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 40 (1065):
9-(6-(1-(diethylamino)ethyl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (1066): 9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1067): 9-(6-(1-aminoethyl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(1068): 8-hydroxy-9-(4-(4-hydroxybutyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(1069):
5 9-(4-(3-amino-1-hydroxypropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(1070):
9-(6-(1-(dimethylamino)ethyl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1071):
9-(6-(1-(dimethylamino)ethyl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
10 (1072):
4-((4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-1H-pyrazol-1-yl)methyl)benzonitrile;
(1073): 8-aminothieno[2,3-c]quinolin-4(5H)-one;
(1074): 9-(4-((1H-pyrazol-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
15 (1075): 9-(6-(1-aminoethyl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(1076): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl dimethylcarbamate;
(1077): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl isopropyl carbonate;
20 (1078):
9-(4-((1H-imidazol-1-yl)methyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(1079):
N-(2-bromopropyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
25 (1080):
(R)-9-(4-(1-aminoethyl)phenyl)-6,7-dichloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1081):
(R)-9-(4-(1-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1082):
30 (S)-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(1083): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl diethylcarbamate;
(1084):
4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)-N-methylbenzenesulfonamide;
35 (1085):
N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide;
(1086): 9-(4-((1H-pyrazol-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
40 (1087):
(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

- (1088): 9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1089): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl morpholine-4-carboxylate;
- (1090):
- 5 N-(2-hydroxyethyl)-4-(8-methoxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl) benzenesulfonamide;
- (1091): 8-bromothieno[2,3-c]quinolin-4(5H)-one;
- (1092): 9-(4-(2-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1093): 9-(4-(2-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (1094):
- N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl) benzenesulfonamide;
- (1095): 9-(4-(2-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1096):
- 15 8-methoxy-9-(1-(2-morpholinoethyl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (1097): 9-(4-(2-(diethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1098):
- 9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1099): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl acetate;
- 20 (1100):
- 9-(1-(1-(dimethylamino)propan-2-yl)-1H-pyrazol-4-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1101):
- 9-(4-((1H-imidazol-1-yl)methyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (1102):
- 9-(4-(aminomethyl)phenyl)-8-(2-morpholinoethoxy)thieno[2,3-c]quinolin-4(5H)-one;
- (1103):
- 8-hydroxy-9-(1-(2-morpholinoethyl)-1H-pyrazol-4-yl)thieno[2,3-c]quinolin-4(5H)-one;
- (1104):
- 30 N-(2-(1H-pyrazol-1-yl)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl) benzenesulfonamide;
- (1105):
- 8-hydroxy-9-(4-(2,2,2-trifluoro-1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1106): 9-(4-(2-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 35 (1107):
- N-(2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-methylpropyl) methanesulfonamide;
- (1108):
- 9-(4-(2-(dimethylamino)propyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 40 (1109): 9-(4-(1-aminoethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

- (1110):
9-(1-(1-(dimethylamino)propan-2-yl)-1H-pyrazol-4-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1111): 9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (1112):
9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1113):
8-methoxy-9-(4-(2,2,2-trifluoro-1-hydroxyethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1114):
10 N-(2-bromoethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide;
- (1115):
N-(2-(1H-imidazol-1-yl)ethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 15 (1116):
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1117):
3-(4-(8-(2-(dimethylamino)ethoxy)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;
- 20 (1118): (R)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1119):
N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-methylbenzenesulfonamide;
- 25 (1120): (S)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1121): (S)-9-(4-(1-aminopropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1122):
(R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1123):
30 (R)-9-(4-(1-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1124): 9-(4-(1-aminoethyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;
- (1125): 9-(4-(1-aminoethyl)phenyl)-8-(hydroxymethyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1126):
35 (R)-6-chloro-9-(4-(1-(dimethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1127): (S)-9-(4-(1-(ethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1128):
(S)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1129):
40 6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1130): 9-(4-(1-aminoethyl)phenyl)-6-ethynyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (1131): (R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1132):
(R)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 5 (1133): 9-(4-(2-aminoethyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1134): 9-(4-(1-aminoethyl)phenyl)-8-(difluoromethyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1135):
(R)-6-bromo-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 10 (1136):
9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1137): 9-(4-butylphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1138): 9-(4-butylphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1139):
15 N-(2-chloroethyl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (1140):
9-(4-((3-bromopyrrolidin-1-yl)sulfonyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1141):
20 (S)-9-(4-(1-(methylsulfonamido)propyl)phenyl)-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-8-yl methanesulfonate;
- (1142): 9-(4-(2-aminoethyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1143):
25 9-(4-(3-(dimethylamino)-1-hydroxypropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1144):
N-(2-bromoethyl)-4-(6-chloro-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (1145):
30 N-(2-chloroethyl)-4-(8-hydroxy-4-oxo-2,3,4,5-tetrahydro-1H-cyclopenta[c]quinolin-9-yl)benzenesulfonamide;
- (1146):
N-(2-bromoethyl)-4-(5-ethyl-8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 35 (1147):
(S)-8-methoxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1148):
(S)-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1149):
40 9-(4-(1-aminoethyl)phenyl)-8-(((2-hydroxyethyl)amino)methyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1150):
(R)-9-(4-(1-aminopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (1151):
(R)-9-(4-(1-(dimethylamino)propyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1152): 8-hydroxy-9-(4-pentylphenyl)thieno[2,3-c]quinolin-4(5H)-one;
(1153): 9-(4-(2-aminoacetyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
5 (1154):
(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(1155): 8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(1156): 8-methoxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
10 (1157):
(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1158):
(R)-9-(4-(1-aminopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1159):
15 (R)-9-(4-(1-aminopropyl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1160): (R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1161): (R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(1162): 2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)butanenitrile;
(1163): (S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
20 (1164): (S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
(1165):
6-chloro-8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
(1166):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
25 (1167):
9-(4-(2-aminoethyl)-3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1168):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
;
30 (1169):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one
;
(1170):
6-chloro-8-methoxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
35 (1171): 9-(4-(2-aminoethyl)-3-chlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1172):
(S)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
e;
(1173):
40 6-bromo-8-methoxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

- (1174):
9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1175):
(R)-9-(4-(1-aminopropyl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (1176):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one
;
- (1177):
9-(4-(2-aminoethyl)-3,5-difluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (1178):
9-(4-(2-(dimethylamino)ethyl)-3,5-difluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-
one;
- (1179):
9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (1180):
(S)-9-(4-(1-aminopropan-2-yl)phenyl)-6,7-dichloro-8-methoxythieno[2,3-c]quinolin-4(5H)-
one;
- (1181):
(S)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (1182):
(S)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-
4(5H)-one;
- (1183):
6-bromo-8-hydroxy-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 25 (1185):
N-(2-hydroxyethyl)-4-(8-methoxy-5-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)b
enzenesulfonamide;
- (1186): methyl
3-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanoate;
- 30 (1187):
(R)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1188):
(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-on
e;
- 35 (1189):
(R)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1190):
9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H
)one;
- 40 (1191): 9-(4-(2-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1192): 9-(4-(2-aminoethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1193):
9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1194):
(S)-6-chloro-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1195):
(S)-6-chloro-9-(4-(1-(diethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (1196):
(S)-8-methoxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1197):
(S)-8-hydroxy-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1198):
15 4-(8-hydroxy-5-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)-N-(2-hydroxyethyl)benzenesulfonamide;
- (1199):
N-(2-bromoethyl)-4-(8-hydroxy-5-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- 20 (1200):
(R)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1201):
25 (R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1202): 9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1203):
9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxy-2-(phenylsulfonyl)thieno[2,3-c]quinolin-4(5H)-one;
- 30 (1204):
N-(1-chloropropan-2-yl)-4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (1205):
35 N-(1-chloropropan-2-yl)-4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)benzenesulfonamide;
- (1206): 9-(4-(2-aminoethyl)-3-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1207): 9-(4-(2-aminoethyl)-3-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1208):
40 9-(4-(2-aminoethyl)-2-chloro-5-methoxyphenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1209):
9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1210):
(R)-9-(4-(1-aminopropyl)phenyl)-8-hydroxy-5,6-dimethylthieno[2,3-c]quinolin-4(5H)-one;

- (1211):
9-(4-(2-aminoethyl)-2-chloro-5-hydroxyphenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1212): 9-(4-(aminomethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1213):
9-(4-(2-aminoethyl)-3-fluorophenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1214):
9-(4-(2-aminoethyl)-3-fluorophenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (1215):
(S)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1216):
9-(4-(2-aminoethyl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 15 (1217):
9-(4-(2-aminoethyl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1218):
9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1219):
9-(4-(2-aminoethyl)-3-fluorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (1220):
9-(4-(2-aminoethyl)-3-fluorophenyl)-6-cyclopropyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1221):
9-(4-(2-aminoethyl)-3-fluorophenyl)-6-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (1222):
(S)-8-methoxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1223):
30 (S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one ;
- (1224):
9-(4-(2-aminoethyl)-2-bromo-5-hydroxyphenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one ;
- 35 (1225):
(S)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1226):
3-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;
- 40 (1227):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1228):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1229):
2-(2-fluoro-4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;
- (1230):
6-cyclopropyl-9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (1231):
6-cyclopropyl-9-(4-(2-(dimethylamino)ethyl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1232):
15 (S)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1233):
(S)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 20 (1234):
9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1235):
9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1236):
9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (1237):
9-(4-(2-amino-1,1-dicyclopentylethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1238):
3-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanenitrile;
- 30 (1239):
9-(4-(2-amino-1-cyclopentylethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1240):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-cyclopropyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 35 (1241): 9-(4-(3-aminopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1242): 9-(4-(2-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1243): 9-(4-(2-aminopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1244):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-cyclopropyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 40 (1245):
6-bromo-9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

- (1246):
6-bromo-9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 5 (1247):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1248):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (1249):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinoline-8-carbonitrile;
- (1250): (R)-9-(4-(1-aminoethyl)phenyl)-8-hydroxy-6-vinylthieno[2,3-c]quinolin-4(5H)-one;
- (1251):
15 9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1252):
9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1253):
20 9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1254):
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1255): (R)-9-(4-(1-aminoethyl)phenyl)-6-ethyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (1256):
(R)-9-(4-(1-aminoethyl)phenyl)-6-(difluoromethyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1257):
30 9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1258):
9-(3-fluoro-4-(2-(methylamino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1259):
35 6-bromo-9-(4-(1-(dimethylamino)-2-methylpropan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1260):
9-(4-(1-amino-2-methylpropan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 40 (1261): 9-(4-(3-aminopropyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1262):
(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1263):

9-(4-(2-aminoethyl)-3-chlorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1264):

9-(4-(2-aminoethyl)-3-chlorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1265):

(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1266): 9-(4-(2-aminopropyl)phenyl)-6-ethyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1267): (R)-9-(4-(1-aminoethyl)phenyl)-6-butyl-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1268):

9-(4-(2-aminoethyl)-3-chlorophenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1269): 9-(4-(2-aminopropyl)phenyl)-6-ethyl-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1270):

2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)-2-(oxetan-3-yl)acetonitrile;

(1271):

9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1272):

(R)-6-ethyl-8-hydroxy-9-(4-(1-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1273):

9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1274):

9-(4-(1-amino-3-methylbutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1275):

9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1276):

9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1277):

9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1278):

9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1279):

9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1280):

9-(4-(1-aminopropan-2-yl)-3-chlorophenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1281): 9-(4-(2-amino-2-methylpropyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1282): 9-(4-(2-amino-2-methylpropyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1283):

9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

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(1284):

8-methoxy-6-methyl-9-(4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1285):

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8-hydroxy-6-methyl-9-(4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;

(1286):

9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1287):

15

9-(4-(1-amino-2-methylpropan-2-yl)-3-fluorophenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1288):

9-(4-(1-amino-3-methylbutan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

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(1289):

9-(4-(2-amino-2-methylpropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

(1290):

25

9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1291):

9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1292):

30

9-(4-(2-amino-2-methylpropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;

(1293):

9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1294):

35

9-(3-fluoro-4-(3-methyl-1-(methylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1295):

9-(4-(1-(dimethylamino)-3-methylbutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

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(1296):

9-(4-(1-(dimethylamino)-3-methylbutan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

(1297):

45

9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1298):
(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 5 (1299):
9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1300):
9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1301): 8-methoxy-6-methyl-9-(4-(piperidin-3-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1302): 8-hydroxy-6-methyl-9-(4-(piperidin-3-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 10 (1303):
(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1304):
(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 15 (1305):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1306):
20 (R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1307):
(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)propan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 25 (1308): 8-methoxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;
- (1309): 9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1310):
(S)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 30 (1311):
(R)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1312):
9-(4-(1-aminobutan-2-yl)phenyl)-6-chloro-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 35 (1313): 8-hydroxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;
- (1314): 9-amino-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1315):
(R)-9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 40 (1316):
(R)-9-(3-fluoro-4-(1-(methylamino)propan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1317):
(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1318):
(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1319):
(R)-9-(4-(1-aminopropan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 10 (1320):
9-((4-(2-aminoethyl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1321):
9-(4-(1-(aminomethyl)cyclobutyl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (1322):
(R)-1-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;
- (1323): 8-hydroxy-3-(hydroxymethyl)-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;
- 20 (1324):
(R)-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1325):
9-((4-(aminomethyl)phenyl)amino)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 25 (1326):
9-((4-(aminomethyl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1327):
9-((4-(1-aminopropan-2-yl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 30 (1328):
9-((4-(1-aminopropan-2-yl)phenyl)amino)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1329):
9-(4-(2-aminopropan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 35 (1330):
9-(4-(2-aminopropan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1331):
9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 40 (1332):
9-(4-((R)-1-aminopropan-2-yl)phenyl)-8-hydroxy-2-(1-hydroxyethyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1333):
9-(4-((R)-1-aminopropan-2-yl)phenyl)-2-(1-hydroxyethyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;

- (1334):
3-(4-((8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)amino)phenyl)propanenitrile;
- 5 (1335):
9-((3-(2-aminoethyl)phenyl)amino)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1336):
9-((4-(2-aminoethyl)phenyl)amino)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1337):
9-(4-(2-(ethylamino)propyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 10 (1338):
9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1339):
9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 15 (1340):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one;
- (1341):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-8-methoxy-2,6-dimethylthieno[2,3-c]quinolin-4(5H)-one;
- 20 (1342):
9-(4-((R)-1-aminopropan-2-yl)phenyl)-2-(1-hydroxyethyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1343):
2-((4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)amino)acetonitrile;
- 25 (1344):
(R)-9-(4-(1-aminobutan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1345):
30 9-(3-chloro-4-(2-(ethylamino)ethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1346):
9-(4-(3-((dimethylamino)methyl)pentan-3-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1347):
35 (R)-6-chloro-9-(4-(1-(dimethylamino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1348):
9-(4-(2-(ethylamino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1349):
40 9-(4-(2-(ethylamino)ethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1350):
9-(4-(2-(ethyl(methyl)amino)propyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1351):
2-(hydroxy(piperidin-4-yl)methyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1352):
(R)-9-(4-(1-aminobutan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1353):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1354):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-chloro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 10 (1355):
8-methoxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1356):
9-(4-(2-(ethyl(methyl)amino)ethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 15 (1357):
9-(4-(3-(aminomethyl)pentan-3-yl)phenyl)-6-chloro-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1358):
9-(4-(3-((dimethylamino)methyl)pentan-3-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 20 (1359):
9-(6-(dimethylamino)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1360):
(R)-9-(4-(1-(dimethylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 25 (1361):
(R)-8-methoxy-6-methyl-9-(4-(1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1362):
9-(4-(3-((diethylamino)methyl)pentan-3-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 30 (1363):
9-(3-chloro-4-(2-(ethylamino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1364):
8-hydroxy-6-methyl-9-(4-(2-(methylamino)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 35 (1365):
(R)-9-(4-(1-(dimethylamino)butan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1366):
(R)-9-(4-(1-(ethyl(methyl)amino)butan-2-yl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
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- (1367):
(R)-9-(4-(1-(diethylamino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1368):
(R)-9-(4-(1-(ethyl(methyl)amino)butan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1369):
2-((4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)(methyl)amino)acetonitrile;
- 10 (1370):
2-((4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)(methyl)amino)acetonitrile;
- (1371):
9-(3-chloro-4-(2-(ethyl(methyl)amino)ethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 15 (1372):
9-(4-(1-((dimethylamino)methyl)cyclobutyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1373):
20 (R)-9-(4-(1-aminopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1374):
9-(6-(2-aminoethoxy)pyridin-3-yl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1375):
25 (R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-fluoro-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1376):
9-(6-(2-aminoethoxy)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1377):
30 9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1378):
9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1379):
35 (R)-9-(4-(1-(ethyl(methyl)amino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1380):
(R)-8-hydroxy-6-methyl-9-(4-(1-(methylamino)butan-2-yl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 40 (1381):
9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1382):
(R)-1-(4-(1-aminopropan-2-yl)phenyl)-8-hydroxy-3-methyl-3H-pyrrolo[2,3-c]quinolin-4(5H)-one;

- (1383):
(R)-9-(4-(1-aminopropan-2-yl)phenyl)-2-fluoro-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1384):
9-(6-((2-aminoethyl)amino)pyridin-3-yl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1385):
9-(6-((2-aminoethyl)amino)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 10 (1386):
(S)-6-chloro-9-(4-(1-(ethyl(methyl)amino)propan-2-yl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1387):
15 (S)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1388):
(R)-9-(4-(1-(diethylamino)propan-2-yl)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 20 (1389):
9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- (1390):
9-(4-(1-amino-2,2,2-trifluoroethyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- 25 (1391):
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
- (1392):
(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;
- 30 (1393):
8-methoxy-6-methyl-9-(4-(2-(methylsulfinyl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- (1394):
8-hydroxy-6-methyl-9-(4-((methylsulfonyl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 35 (1395):
(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;
- (1396):
9-(4-((2-aminoethyl)(methyl)amino)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 40 (1397):
(R)-N-(2-(2-fluoro-4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;
- (1398):
45 (R)-N-(2-(2-fluoro-4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

- (1399):
(S)-9-(4-(1-(dimethylamino)propan-2-yl)-3-fluorophenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- 5 (1400):
9-(4-((2-aminoethyl)(methyl)amino)phenyl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1401):
9-(4-(1-(aminomethyl)cyclopropyl)phenyl)-6-bromo-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
- 10 (1402):
2-(6-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-3-yl)acetonitrile;
- (1403):
8-hydroxy-6-methyl-9-(4-(2-(methylsulfinyl)ethyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
- 15 (1404):
8-methoxy-6-methyl-9-(4-((methylsulfonyl)methyl)phenyl)thieno[2,3-c]quinolin-4(5H)-one;
;
- (1405): 5-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)nicotinamide;
- (1406):
20 2-(5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)propanenitrile;
- (1407):
2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanamide;
- (1408):
25 9-(6-(1-aminopropan-2-yl)pyridin-3-yl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
- (1409):
2-(5-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile;
- 30 (1410):
2-hydroxy-2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide;
- (1411):
N-(tert-butyl)-2-hydroxy-2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide;
- 35 (1412):
2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propanamide;
- (1413):
40 2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide;
- (1414):
9-(4-(2-amino-1-fluoroethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;

- (1415):
9-(6-(1-aminopropan-2-yl)pyridin-3-yl)-8-hydroxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
5 (1416):
2-(5-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile;
(1417):
2-(5-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile;
10 (1418):
2-(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)-2-methylpropanenitrile;
(1419):
2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propane-1-sulfonamide;
15 (1420):
9-(4-(2-amino-1-hydroxyethyl)phenyl)-8-methoxy-6-methylthieno[2,3-c]quinolin-4(5H)-one;
(1421):
20 9-(6-(1-amino-2-methylpropan-2-yl)pyridin-3-yl)-8-hydroxythieno[2,3-c]quinolin-4(5H)-one;
(1422):
N-cyclopropyl-1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;
25 (1423):
2-(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)propanenitrile;
(1424):
(R)-N-(2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;
30 (1425):
N-ethyl-1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;
(1426):
9-(6-(1-aminopropan-2-yl)pyridin-3-yl)-8-methoxythieno[2,3-c]quinolin-4(5H)-one;
35 (1427):
N-cyclopropyl-1-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;
(1428):
1-(5-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)pyridin-2-yl)cyclopropanecarbonitrile;
40 (1429):
N-ethyl-1-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)methanesulfonamide;

(1430):

1-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;

(1431):

5 1-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)ethanesulfonamide;

(1432):

(R)-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

(1433):

10 (R)-N-(2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide;

(1434):

(R)-N-(2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

15 (1435):

(R)-N-(2-(4-(8-hydroxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)methanesulfonamide;

(1436):

20 (R)-N-(2-(4-(8-methoxy-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide;

(1437):

(R)-N-(2-(4-(8-hydroxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide;

(1438):

25 (R)-N-(2-(4-(8-methoxy-6-methyl-4-oxo-4,5-dihydrothieno[2,3-c]quinolin-9-yl)phenyl)propyl)-N-methylmethanesulfonamide;

or a pharmaceutically acceptable salt thereof.

21. A pharmaceutical composition comprising at least one compound of claim 1 or 2 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

30 22. The pharmaceutical composition of claim 21 which is available for preventing or treating a PBK dependent disease.

23. The pharmaceutical composition of claim 22, wherein the PBK dependent disease is cancer.

35 24. A PBK inhibitor comprising at least one compound of claim 1 or 2, or a pharmaceutically acceptable salt thereof.

25. A method for treating a PBK dependent disease in a subject, comprising administering to said subject an effective amount of a compound or a pharmaceutically acceptable salt thereof of claim 1 or 2.

40 26. A compound or pharmaceutically acceptable salt thereof of claim 1 or 2 for use in treatment of a PBK dependent disease.

27. Use of a compound of claim 1 or 2 or a pharmaceutically acceptable salt thereof in manufacturing a pharmaceutical composition for treating a PBK dependent disease.