METHODS OF TREATING BY INHIBITING WITH QUINAXOLINE INHIBITORS OF PI3K-ALPHA

Cross-Reference to Related Applications

[0001] The Applicants claim priority under 35 U.S.C. 119(e) to copending Provisional Application No. 60/923,164 filed on April 11, 2007, the disclosure of which is incorporated herein by reference in its entirety.

FIELD OF THE INVENTION

[0002] This invention relates to methods of treating cancer with a compound that inhibits lipid kinase enzymatic activity and the resultant modulation of cellular activities (such as proliferation, differentiation, programmed cell death, migration, chemoinvasion and metabolism) in combination with anticancer agents.

BACKGROUND OF THE INVENTION

[0003] Improvements in the specificity of agents used to treat various disease states such as cancer, metabolic, and inflammatory diseases is of considerable interest because of the therapeutic benefits which would be realized if the side effects associated with the administration of these agents could be reduced. Traditionally, dramatic improvements in the treatment of cancer are associated with identification of therapeutic agents acting through novel mechanisms.

[0004] Phosphatidylinositol 3-kinase (PI3K or PIK3CA) is composed of an 85 kDa regulatory subunit and a 110 kDa catalytic subunit. The protein encoded by this gene represents the catalytic subunit, which uses ATP to phosphorylate PtdIns, PtdIns4P and PtdIns(4,5)P2. PTEN, a tumor suppressor which inhibits cell growth through multiple mechanisms, can dephosphorylate PIP3, the major product of PIK3CA. PIP3, in turn, is required for translocation of protein kinase B (AKT1, PKB) to the cell membrane, where it is phosphorylated and activated by upstream kinases. The effect of PTEN on cell death is mediated through the PIK3CA/AKT1 pathway.

[0005] PI3Kα has been implicated in the control of cytoskeletal reorganization, apoptosis, vesicular trafficking, proliferation and differentiation processes. Increased copy number and expression of PIK3CA or activating mutations in the p110a catalytic subunit of PIK3CA are associated with a number of malignancies such as ovarian cancer (Campbell et al., *Cancer Res* **2004,** *64,* 7678-7681; Levine et al., *Clin Cancer Res* **2005**, *11,* 2875-2878; Wang et al., *Hum Mutat* **2005**, *25*, 322; Lee et al., *Gynecol Oncol* **2005,** *97,* 26-34), cervical

cancer, breast cancer (Bachman, et al. *Cancer Biol Ther* **2004**, *3,* 772-775; Levine, et al., supra; Li et al., *Breast Cancer Res Treat* **2006,** *96*, 91-95; Saal et al., *Cancer Res* **2005,** *65*, 2554-2559; Samuels and Velculescu, *Cell Cycle* **2004,** *3,* 1221-1224), colorectal cancer (Samuels, et al. *Science* **2004,** *304,* 554; Velho et al. *Eur J Cancer* **2005,** *41,* 1649-1654), endometrial cancer (Oda et al. *Cancer Res.* **2005,** *65,* 10669-10673), gastric carcinomas (Byun et al., *Int J Cancer* **2003,** *104,* 318-327; Li et al., supra; Velho et al., supra; Lee et al., *Oncogene* **2005**, *24,* 1477-1480), hepatocellular carcinoma (Lee et al., *id.*), small and non-small cell lung cancer (Tang et al., *Lung Cancer* **2006,** *51,* 181-191; Massion et al., *Am J Respir Crit Care Med* **2004,** *170,* 1088-1094), thyroid carcinoma (Wu et al., *J Clin Endocrinol Metab* **2005,** *90,* 4688-4693), acute myelogenous leukemia (AML) (Sujobert et al., *Blood* **1997,** *106,* 1063-1066), chronic myelogenous leukemia (CML) (Hickey and Cotter *J Biol Chem* **2006,** *281,* 2441-2450), and glioblastomas (Hartmann et al. *Acta Neuropathol (Berl)* **2005,** *109,* 639-642; Samuels et al., supra).

[0006] In view of the important role of PI3K-α in biological processes and disease states, inhibitors and/or modulators of this lipid kinase are desirable. In addition, it is well established that combining treatments with different mechanisms of action often leads to enhanced anti-tumor activity as compared to single treatments administered alone. This is true for combinations of chemotherapies (e.g. Kyrgiou M. et. al. *J Natl Cancer Inst* **2006**, *98*, 1655) and combinations of antibodies and chemotherapy (e.g. Pasetto LM et. al. *Anticancer Res* **2006**, *26,* 3973.

[0007] For example, activation of the PI3K pathway contributes to the resistance of human tumor cells to a wide variety of chemotherapeutic agents, including microtubule stabilizing agents such as taxol (Brognard, J., et. al. *Cancer Res* **2001,** *61*, 3986-3997; Clark, A. S., et. al. *Mol Cancer Ther* **2002,** *1*, 707-717; Kraus, A. C., et. al. *Oncogene* **2002,** *21*, 8683-8695; Krystal, G. W., et. al. *Mol Cancer Ther* **2002,** *1*, 913-922; and Yuan, Z. Q., et. al. *J Biol Chem* **2003,** *278*, 23432-23440). Taxol is widely used to treat advanced cancers including prostate carcinomas, which frequently harbor deletions in the PTEN gene, resulting in elevated signaling downstream of PI3K. A number of preclinical studies suggest that inhibiting signaling downstream of PI3K restores or enhances the ability of chemotherapeutic agents such as taxol to kill tumor cells (Brognard, J., et. al. *Cancer Res* **2001,** *61*, 3986-3997; Clark, A. S., et. al. *Mol Cancer Ther* **2002,** *1*, 707-717; Kraus, A. C., et. al. *Oncogene* **2002,** *21*, 8683-8695; Krystal, G. W., et. al. *Mol Cancer Ther* **2002,** *1*, 913-922; and Saga, Y., et. al. *Clin Cancer Res* **2002,** *8*, 1248-1252).

[0008] Rapamycin, another chemotherapeutic agent, is a potent inhibitor of the mTOR/Raptor complex. Inhibition of mTOR/Raptor prevents p70S6K and S6 phosphorylation, but also leads to relief of a negative feedback loop emanating from p70S6K that serves to downregulate PI3K (Sarbassov, D. D., et. al. *Science* **2005,** *307*, 1098-1101). As a result, rapamycin treatment can lead to upregulation of PI3K and increased phosphorylation of AKT (O'Donnell, A., et. al. paper presented at Proc Am Soc Clin Oncol. 2003; and O'Reilly, K. E., et. al. *Cancer Res* **2006,** *66*, 1500-1508). Thus, combining rapamycin with inhibitors of PI3K can enhance the efficacy of rapamycin (Powis, G. et. al. *Clinical Cancer Research* **2006,** *12*, 2964-2966; Sun, S.-Y., et. al. *Cancer Research* **2005,** *65*, 7052-7058).

[0009] A growing body of clinical and preclinical data indicates that activation of the PI3K pathway confers resistance to EGFR inhibitors such as erlotinib (Bianco, R., et. al. *Oncogene* **2003,** *22*, 2812-2822; Chakravarti, A., et. al. *Cancer Res* **2002,** *62*, 200-207; and Janmaat, M. L., et. al. *Clin Cancer Res* **2003,** *9*, 2316-2326). Both NSCLC patients with K-Ras mutations and glioblastoma patients with PTEN deletions fail to respond to erlotinib, potentially because of genetic activation of the PI3K pathway (Mellinghoff, I. K., et. al. *N. Eng. J Med.* **2006,** *353*, 2012-2024). Preclinical studies have shown that downregulation of PI3K signaling in EGFR-expressing tumor cells confers increased sensitivity to EGFR inhibitors (Ihle, N. T., et. al. *Mol Cancer Ther* **2005,** *4*, 1349-1357). Thus, treating cancer with a PI3K inhibitor in combination with an EGFR inhibitor, such as erlotinib, is desirable.

[0010] Activation of the PI3K pathway also contributes to the resistance of human tumor cells to DNA damaging agents, such as platins. A number of preclinical studies suggest that inhibiting signaling downstream of PI3K restores or enhances the ability of chemotherapeutic agents such as platins to kill tumor cells (Brognard, J., et. al. *Cancer Res* **2001,** *61*, 3986-3997; and Yuan, Z. Q., et. al. *J Biol Chem* **2003,** *278*, 23432-23440). Carboplatin is widely used to treat advanced cancers including non-small cell lung carcinomas (NSCLC), which frequently harbor activating mutations in the K-Ras gene, resulting in activation of PI3K (Aviel-Ronen S., et. al. *Clin Lung Cancer* **2006,** *8*, 30-38). NSCLC patients with K-Ras mutations do not respond to EGFR inhibitors such as Tarceva, and thus represent a significant unmet medical need (Janne PA, et. al. *J Clin Oncology* **2005,** *23*, 3227-3234). Thus, treating NSCLC with a DNA-damaging agent such as a platin in combination with an inhibitor of PI3K is desirable in light of the lack of efficacious treatments.

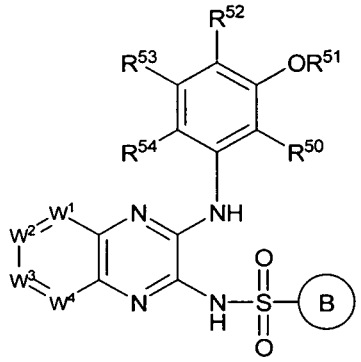
[0011] Treatments that combine an inhibitor of PI3K-α with other anti-cancer agents are desirable and needed.

SUMMARY OF THE INVENTION

[0012] The following only summarizes certain aspects of the invention and is not intended to be limiting in nature. These aspects and other aspects and embodiments are described more fully below. All references cited in this specification are hereby incorporated by reference in their entirety. In the event of a discrepancy between the express disclosure of this specification and the references incorporated by reference, the express disclosure of this specification shall control.

[0013] The compositions of the invention are used to treat diseases associated with abnormal and or unregulated cellular activities. Disease states which can be treated by the methods and compositions provided herein include cancer. The invention is directed to methods of treating these diseases by administering a Compound of Formula I or II in combination with one or more treatments.

[0014] One aspect of the Invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a compound of Formula I:



I

or a single isomer thereof where the compound is optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof; or administering a pharmaceutical composition comprising a therapeutically effective amount of a compound of Formula I and a pharmaceutically acceptable carrier, excipient, or diluent in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation, where the Compound of Formula I is that wherein:

W^1, W^2, W^3, and W^4 are $-C(R^1)=$; or one or two of W^1, W^2, W^3, and W^4 are independently $-N=$ and the remaining are $-C(R^1)=$; and where each R^1 is independently

hydrogen, alkyl, haloalkyl, nitro, alkoxy, haloalkoxy, halo, hydroxy, cyano, amino, alkylamino, or dialkylamino;

R^{51} is hydrogen or alkyl;

R^{52} is hydrogen or halo;

R^{50}, R^{53}, and R^{54} are independently hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, -$N(R^{55})C(O)$-C_1-C_6-alkylene-$N(R^{55a})R^{55b}$, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, -$S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino and where R^{55} and R^{55b} are indepedently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; or R^{53} and R^{54} together with the carbons to which they are attached form a 5- or 6-membered heteroaryl or 5- or 6-membered heterocycloalkyl;

B is phenyl substituted with R^{3a} and optionally further substituted with one, two, or three R^3; or

B is heteroaryl optionally substituted with one, two, or three R^3;

R^{3a} is cyano; hydroxyamino; carboxy; alkoxycarbonyl; alkylamino; dialkylamino; alkylcarbonyl; haloalkoxy; alkylsulfonyl; aminoalkyloxy; alkylaminoalkyloxy; dialkylaminoalkyloxy; or

a) -$N(R^7)C(O)$-C_1-C_6-alkylene-$N(R^{7a})(R^{7b})$ where R^7 is hydrogen, alkyl, or alkenyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, alkenyl, hydroxyalkyl, haloalkyl, alkoxy, alkoxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, arylalkyl, or arylalkyloxy and where the aryl, cycloalkyl, heterocycloalkyl and heteroaryl rings in R^{7a} and R^{7b} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, amino, alkylamino, dialkylamino, hydroxy, halo, alkoxy, alkylthio, and oxo);

b) -$C(O)NR^8R^{8a}$ where R^8 is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{8a} is hydrogen, alkyl, alkenyl, hydroxyalkyl, cyanoalkyl, alkoxyalkyl, alkylthioalkyl, heterocycloalkyl, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl and where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{8a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected

from alkyl, alkenyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxy, hydroxyalkyl, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkoxycarbonyl, and -C(O)H;

c) $-NR^9C(O)R^{9a}$ where R^9 is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{9a} is hydrogen, C_2-C_6-alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl; where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{9a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, hydroxy, hydroxyalkyl, halo, haloalkyl, haloalkoxy, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, alkoxycarbonyl, -C(O)H, aryl (optionally substituted with one or two halo), arylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl, heterocycloalkylalkyl, cyloalkyl, cyloalkylalkyl, and cycloalkylcarbonyl;

d) $-C(O)N(R^{10})$-C_1-C_6-alkylene-$N(R^{10a})R^{10b}$ where R^{10a} is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, or hydroxyalkyl and R^{10} and R^{10b} are independently hydrogen, alkyl, alkenyl, haloalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, or hydroxyalkyl;

e) $-NR^{11}C(O)NR^{11a}R^{11b}$ where R^{11a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy and R^{11} and R^{11b} are independently hydrogen, alkyl, alkenyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;

f) $-C(O)R^{12}$ where R^{12} is heterocycloalkyl optionally substituted with 1, 2, or 3 groups selected from alkyl, oxo, amino, alkylamino, and heterocycloalkylalkyl;

g) $-NR^{13}C(O)OR^{13a}$ where R^{13} is hydrogen, alkyl, or alkenyl and R^{13a} is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aryl, or arylalkyl;

h) $-C(O)N(R^{14})N(R^{14a})(R^{14b})$ where R^{14}, R^{14a}, and R^{14b} are independently hydrogen, alkyl, or alkenyl;

i) $-S(O)_2N(R^{15})$-C_1-C_6-alkylene-$N(R^{15a})R^{15b}$ where R^{15}, R^{15a}, and R^{15b} are independently hydrogen, alkyl, or alkenyl;

j) $-C(O)N(R^{16})$-C_1-C_6-alkylene-$C(O)OR^{16a}$ where R^{16} is hydrogen, alkyl, or alkenyl and R^{16a} is alkyl or alkenyl;

k) heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;

l) $-N(R^{17})-C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$ where R^{17}, R^{17a}, R^{17b}, R^{17c}, and R^{17d} are independently hydrogen, alkyl, or alkenyl;

m) $-N(R^{18})C(O)-C_1-C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$ where R^{18a} is hydrogen, alkyl, alkenyl, or alkoxy and R^{18} and R^{18b} are independently hydrogen, alkyl, or alkenyl;

n) $-C(O)N(R^{19})-C_1-C_6$-alkylene-$C(O)R^{19a}$ where R^{19} is hydrogen, alkyl, or alkenyl and R^{19a} is amino, alkylamino, dialkylamino, or heterocycloalkyl;

o) $-N(R^{20})C(O)-C_1-C_6$-alkylene-$C(O)R^{20a}$ where R^{20} is hydrogen, alkyl, or alkenyl and R^{20a} is cycloalkyl or heterocycloalkyl;

p) $-NR^{21}S(O)_2-C_1-C_6$-alkylene-$N(R^{21b})R^{21a}$ where R^{21} is hydrogen, alkyl, or alkenyl and R^{21a} and R^{21b} are independently hydrogen, alkyl, or alkenyl;

q) $-N(R^{22})C(O)-C_1-C_6$-alkylene-$N(R^{22b})-N(R^{22c})(R^{22a})$ where R^{22}, R^{22a} and R^{22b} are independently hydrogen, alkyl, or alkenyl;

r) $-C_0-C_6$-alkylene-$N(R^{23})-C_1-C_6$-alkylene-$N(R^{23b})R^{23a}$ where R^{23}, R^{23a} and R^{23b} are independently hydrogen, alkyl, or alkenyl; or

s) $-NR^{24}C(O)-C_1-C_6$-alkylene-OR^{24a} where R^{24} is hydrogen, alkyl, or alkenyl and R^{24a} is alkoxyalkyl or aryl optionally substituted with one or two halo or alkyl; and

where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and

each R^3 (when R^3 is present) is independently alkyl; alkenyl; alkynyl; halo; hydroxy; oxo; alkoxy; cyano; hydroxyamino; carboxy; alkoxycarbonyl; amino; alkylamino; dialkylamino; alkylcarbonyl; haloalkoxy; alkylsulfonyl; aminoalkyloxy; alkylaminoalkyloxy; dialkylaminoalkyloxy; or

a) $-N(R^7)C(O)-C_1-C_6$-alkylene-$N(R^{7a})(R^{7b})$ where R^7 is hydrogen, alkyl, or alkenyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, alkenyl, hydroxyalkyl, haloalkyl, alkoxy, alkoxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, arylalkyl, or arylalkyloxy and where the aryl, cycloalkyl, heterocycloalkyl and heteroaryl rings in R^{7a} and R^{7b} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, amino, alkylamino, dialkylamino, hydroxy, halo, alkoxy, alkylthio, and oxo);

b) $-C(O)NR^8R^{8a}$ where R^8 is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{8a} is hydrogen, alkyl, alkenyl, hydroxyalkyl, cyanoalkyl, alkoxyalkyl, alkylthioalkyl, heterocycloalkyl, heterocycloalkylalkyl, cycloalkyl,

cycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl and where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{8a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxy, hydroxyalkyl, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkoxycarbonyl, and -C(O)H;

c) $-NR^{9}C(O)R^{9a}$ where R^{9} is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{9a} is hydrogen, C_2-C_6-alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl; where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{9a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, hydroxy, hydroxyalkyl, halo, haloalkyl, haloalkoxy, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, alkoxycarbonyl, -C(O)H, aryl (optionally substituted with one or two halo), arylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl, heterocycloalkylalkyl, cyloalkyl, cyloalkylalkyl, and cycloalkylcarbonyl;

d) $-C(O)N(R^{10})$-C_1-C_6-alkylene-$N(R^{10a})R^{10b}$ where R^{10a} is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or hydroxyalkyl and R^{10} and R^{10b} are independently hydrogen, alkyl, alkenyl, haloalkyl, or hydroxyalkyl;

e) $-NR^{11}C(O)NR^{11a}R^{11b}$ where R^{11a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy and R^{11} and R^{11b} are independently hydrogen, alkyl, alkenyl, aminoalkyl, alkylaminooalkyl, dialkylaminoalkyl;

f) $-C(O)R^{12}$ where R^{12} is heterocycloalkyl optionally substituted with 1, 2, or 3 groups selected from alkyl, oxo, amino, alkylamino, and heterocycloalkylalkyl;

g) $-NR^{13}C(O)OR^{13a}$ where R^{13} is hydrogen, alkyl, or alkenyl and R^{13a} is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aryl, or arylalkyl);

h) $-C(O)N(R^{14})N(R^{14a})(R^{14b})$ where R^{14}, R^{14a}, and R^{14b} are independently hydrogen, alkyl, or alkenyl;

i) $-S(O)_2N(R^{15})$-C_1-C_6-alkylene-$N(R^{15a})R^{15b}$ where R^{15}, R^{15a}, and R^{15b} are independently hydrogen, alkyl, or alkenyl;

j) $-C(O)N(R^{16})$-C_1-C_6-alkylene-$C(O)OR^{16a}$ where R^{16} is hydrogen, alkyl, or alkenyl and R^{16a} is alkyl or alkenyl;

k) heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;

l) $-N(R^{17})-C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$ where R^{17}, R^{17a}, R^{17b}, R^{17c}, and R^{17d} are independently hydrogen, alkyl, or alkenyl;

m) $-N(R^{18})C(O)-C_1-C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$ where R^{18a} is hydrogen, alkyl, alkenyl, or alkoxy and R^{18} and R^{18b} are independently hydrogen, alkyl, or alkenyl;

n) $-C(O)N(R^{19})-C_1-C_6$-alkylene-$C(O)R^{19a}$ where R^{19} is hydrogen, alkyl, or alkenyl and R^{19a} is amino, alkylamino, dialkylamino, or heterocycloalkyl;

o) $-N(R^{20})C(O)-C_1-C_6$-alkylene-$C(O)R^{20a}$ where R^{20} is hydrogen, alkyl, or alkenyl and R^{20a} is cycloalkyl or heterocycloalkyl;

p) $-NR^{21}S(O)_2-C_1-C_6$-alkylene-$N(R^{21b})R^{21a}$ where R^{21} is hydrogen, alkyl, or alkenyl and R^{21a} and R^{21b} are independently hydrogen, alkyl, or alkenyl;

q) $-N(R^{22})C(O)-C_1-C_6$-alkylene-$N(R^{22b})-N(R^{22c})(R^{22a})$, where R^{22}, R^{22a} and R^{22b} are independently hydrogen, alkyl, or alkenyl;

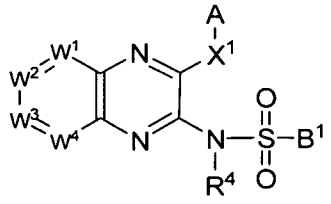
r) $-C_0-C_6$-alkylene-$N(R^{23})-C_1-C_6$-alkylene-$N(R^{23b})R^{23a}$ where R^{23}, R^{23a} and R^{23b} are independently hydrogen, alkyl, or alkenyl; or

s) $-NR^{24}C(O)-C_1-C_6$-alkylene-OR^{24a} where R^{24} is hydrogen, alkyl, or alkenyl and R^{24a} is alkoxyalkyl or aryl optionally substituted with one or two halo or alkyl;

wherein each of the alkylene in R^3 is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and

provided that when R^{50} and R^{52} are hydrogen, R^{51} is hydrogen or methyl, R^{53} is hydrogen or methoxy, and R^{54} is hydrogen or methoxy, then B is not 2,3-dihydro-1,4-benzodioxinyl, thien-2-yl, or thien-2-yl substituted with one R^3 where R^3 is halo.

[0015] A second aspect of the Invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a compound of Formula II:



II

or a pharmaceutically acceptable salt or solvate, thereof; or administering a pharmaceutical composition comprising a therapeutically effective amount of a compound of Formula II and

a pharmaceutically acceptable carrier, excipient, or diluent in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more of the hormone therapies, one or more of the antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation wherein the Compound of Formula I is that wherein:

W^1, W^2, W^3, and W^4 are $-C(R^{1a})=$; or one or two of W^1, W^2, W^3, and W^4 are independently $-N=$ and the remaining are $-C(R^{1a})=$;

X^1 is $-N(R^{5a})-$;

A is aryl, $-S(O)_2$-aryl, heteroaryl, cycloalkyl, heterocycloalkyl, halo, haloalkyl, haloalkoxy, alkyl, alkoxy, or -alkyl-$N(R^7)R^{7a}$, where each of the aryl, heteroaryl, cycloalkyl, heterocycloalkyl, alkyl and alkoxy groups, each either alone or as part of another group within A, are independently optionally substituted with one, two, three, or four R^{2a}; or

B^1 is aryl, arylalkyl, alkyl, heteroaryl, or heteroaryalkyl, wherein each of the aryl, heteroaryl and alkyl groups are independently optionally substituted with one, two, three, or four R^{3d};

each R^{1a} is independently selected from hydrogen, alkoxy, alkyl, nitro, halo, cyano, and $-C_0$-C_6-alkyl-$N(R^7)R^{7a}$, wherein each of the alkyl and alkoxy groups is optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, alkoxy, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, $-N(R^8)R^{8a}$, and $-C(O)OR^6$;

each R^{2a} (when R^{2a} is present) is independently selected from alkyl, alkenyl, -alkenyl-$C(O)OR^6$, $-OR^6$, $-N(R^7)C(O)R^6$, $-N(R^7)C(O)$-C_0-C_6 alkyl-$N(R^{7b})R^{7a}$, $-OC(O)$-C_0-C_6 alkyl-$N(R^7)R^{7a}$, $-N(R^7)C(O)$-C_1-C_6 alkyl$C(O)OR^6$, C_0-C_6-alkyl-$C(O)R^6$, oxo, dioxo, $-S(O)_2-N(R^7)R^{7a}$, $-C(O)OR^6$, $-CH(R^6)_2-C(O)OR^6$, $-S(O)_2R^6$, cycloalkyl, heterocycloalkyl, heteroaryl, $-C(O)N(R^7)$-alkyl-OR^6, $-C_0$-C_6 alkyl-$C(O)N(R^7)$-C_0-C_6-alkyl-$C(O)OR^6$, $-C_0$-C_6-alkyl-$C(O)N(R^7)R^{7a}$, aryl, arylalkyl, -S-(C_1-C_6 alkyl), halo, oxo, nitro, -SCN, cyano, and $-C_0$-C_6 alkyl-$N(R^7)R^{7a}$, wherein each of the alkyl (including, for example the alkyl within alkoxy), aryl, cycloalkyl, heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R^2, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, oxo, nitro, cyano, hydroxy, $-N(R^8)R^{8a}$, alkoxy, and $-C(O)OR^9$;

each R^{3d} (when R^{3d} is present) is independently oxo, nitro, halo, cyano, alkyl, alkenyl, alkynyl, alkoxy, C_3-C_6-cycloalkyl, $-C_0$-C_6-alkyl-heterocycloalkyl, $-C_0$-C_6 alkyl-$N(R^7)C(O)$-C_0-C_6-alkyl-$N(R^{7b})R^{7a}$, $-C_0$-C_6 alkyl-$N(R^7)C(O)$-C_0-C_6-alkyl-$N(R^{7b})C(O)R^{7a}$, $-C_0$-C_6 alkyl-$C(O)$-C_0-C_6-alkyl-$N(R^7)R^{7a}$, $-C_0$-C_6-alkyl-$C(O)N(R^7)$-C_0-C_6-alkyl-$N(R^{7b})R^{7a}$, $-C_0$-C_6-alkyl-$C(O)N(R^7)$-C_1-C_6alkyl$C(O)OR^{7a}$, $-C_0$-C_6 alkyl-

$N(R^7)C(O)$-C_0-C_6-alkyl-(R^{7a}), -C_0-C_6 alkyl-$N(R^7)$-C_0-C_6-alkyl-$N(R^{7b})R^{7a}$, -C_0-C_6 alkyl-$N(R^7)C(O)$-C_0-C_6-alkyl-$N(R^{7b})$-$N(R^{7c})R^{7a}$, -C_0-C_6 alkyl-$N(R^7)C(O)O$-C_0-C_6-alkyl-aryl, -C_0-C_6 alkyl-$C(O)N(R^7)$-C_0-C_6-alkyl-$N(R^{7b})R^{7a}$, -C_0-C_6 alkyl-$N(R^7)$-C_0-C_6 alkyl-$C(=N(R^{7b})(R^{7a}))(NR^{7c}R^{7d})$, -$C_0$-$C_6$-alkyl-aryl, -$C_0$-$C_6$-alkyl-heteroaryl, -$C_0$-$C_6$ alkyl-heterocycloalkyl, -O-C_0-C_6 alkyl-$N(R^7)R^{7a}$, -C_0-C_6 alkyl-OR_6, -C_0-C_6 alkyl-$C(O)OR_6$, C_0-C_6-alkyl-$N(R^7)R^{7a}$, -C_0-C_6 alkyl-$C(O)NR_7R^{7a}$, -C_0-C_6 alkyl-$C(O)R^7$, -SR_7, -$S(O)_2R_7$, -$S(O)_3R_7$, -$S(O)R^7$, -$SO_2N(R^7)R^{7a}$, -$SO_2N(R^7)$-C_0-C_6 alkyl-$N(R^{7b})R^{7a}$, -C_0-C_6-alkyl-$N(R^7)$-aryl, -C_0-C_6-alkyl-$N(R^7)$-heteroaryl, -C_0-C_6-alkyl-$N(R^7)$-heterocycloalkyl, -C_0-C_6-alkyl-$C(O)N(R^7)$-C_0-C_6-alkyl-cycloalkyl, C_0-C_6-alkyl-$C(O)N(R^7)$-C_0-C_6-alkyl-aryl, C_0-C_6 alkyl-$C(O)N(R^7)$-C_0-C_6 alkyl-heteroaryl, C_0-C_6 alkyl-$C(O)N(R^7)$-C_0-C_6-alkyl-heterocycloalkyl, -C_0-C_6-alkyl-$N(R^7)C(O)$-C_0-C_6-alkyl-cycloalkyl, -C_0-C_6-alkyl-$N(R^7)C(O)$-C_0-C_6-alkyl-aryl, C_0-C_6-alkyl-$N(R^7)C(O)$-C_0-C_6-alkyl-heteroaryl, -C_0-C_6-alkyl-$N(R^7)C(O)$-C_0-C_6-alkyl-heterocycloalkyl, C_0-C_6-alkyl-$N(R^7)C(O)$-C_0-C_6-alkyl-heterocycloalkyl-aryl, $-N(R^7)C(O)OR^6$, or -NHC(O)H, wherein each of the alkyl, alkenyl, cycloalkyl, aryl, (including, for example the alkyl within alkoxy), heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R^{3d}, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, alkenyl, -C_0-C_6-alkyl-OR^9, cycloalkyl, halo, haloalkyl, haloalkoxy, $-C(O)R^9$, nitro, cyano, oxo, -C_0-C_6-alkyl-$N(R^8)R^{8a}$, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, $-C(O)OR^9$, alkylthio, and hydroxyalkyl;

R^4 is hydrogen, aryl, -C_0-C_6-alkyl-$N(R^7)R^{7a}$, alkoxy, or C_1-C_6 alkyl, wherein each of the alkyl and aryl groups, either alone or as part of another group in R^4, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, $-N(R^8)R^{8a}$, alkoxy, and $-C(O)OR^6$; or

R^4 and X^1 together with the atoms to which they are attached form a heterocycloalkyl or heteroaryl group, wherein R^{5a} is absent when X is $-N(R^{5a})-$, wherein each of the heterocycloalkyl or heteroaryl is optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, $-N(R^7)R^{7a}$, alkoxy, and $-C(O)OR^6$;

R^{5a} is hydrogen, -C_1-C_6 alkyl-$N(R^7)R^{7a}$, alkoxy, alkyl, or aryl, wherein each of the alkyl and aryl is optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, $-N(R^8)R^{8a}$, C_1-C_6 alkoxy, or $-C(O)OR^6$; or

R^{5a} and R^4 together with the atoms to which they are attached form a heterocycloalkyl or heteroaryl group, wherein the heterocycloalkyl and heteroaryl is optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, $-N(R^7)R^{7a}$, C_1-C_6 alkoxy, and $-C(O)OR^6$;

R^6 and R^9 are independently hydrogen, hydroxy, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, or aryl, each alkyl, aryl, cycloalkyl, heterocycloalkyl, and heteroaryl, either alone or as part of another group within R^6 and R^9, is independently optionally substituted with 1, 2, 3, 4, or 5 groups independently selected from amino, hydroxy, alkoxy, alkyl, and halo; and

R^7, R^{7a} R^{7b}, R^{7c}, R^{7d}, R^8, and R^{8a} are independently hydrogen, alkyl, alkenyl, hydroxy, alkyloxy, alkenyloxy, $-O$-C_0-C_6 alkyl-aryl, $-C_0$-C_6 alkyl-$C(O)OR^6$, $-C_0$-C_6 alkyl-$C(O)R^6$, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, or heterocycloalkylalkyl, wherein each of the alkyl, aryl, heteroaryl, and heterocycloalkyl, either alone or part of another group within R^7, R^{7a} R^{7b}, R^{7c}, R^{7d}, R^8, and R^{8a} is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, $-S$-C_1-C_6 alkyl, cyano, nitro, hydroxy, C_1-C_6 alkoxy, C_1-C_6 alkyl, halo, aryl, heterocycloalkylalkyl, and heteroaryl optionally substituted with one or two C_1-C_6 alkyl.

DETAILED DESCRIPTION OF THE INVENTION

Abbreviations and Definitions

[0016] The following abbreviations and terms have the indicated meanings throughout:

Abbreviation	Meaning
br	broad
°C	degrees Celsius
CBZ	CarboBenZoxy = benzyloxycarbonyl
d	doublet
dd	doublet of doublet
dt	doublet of triplet
EI	Electron Impact ionization
Et	Ethyl
g	gram(s)
GC	gas chromatography

Abbreviation	Meaning
h or hr	hour(s)
HPLC	high pressure liquid chromatography
L	liter(s)
M	molar or molarity
m	Multiplet
mg	milligram(s)
MHz	megahertz (frequency)
Min	minute(s)
mL	milliliter(s)
mM	Millimolar
mmol	millimole(s)
mol	mole(s)
MS	mass spectral analysis
N	normal or normality
nM	Nanomolar
NMR	nuclear magnetic resonance spectroscopy
q	Quartet
RT	Room temperature
s	Singlet
s-	Secondary
t-	Tertiary
t or tr	Triplet
TFA	trifluoroacetic acid
THF	Tetrahydrofuran
μL	microliter(s)
μM	Micromole(s) or micromolar

Definitions for a Compound of Formula I, Ia, and II

[0017] The symbol "-" means a single bond, "=" means a double bond, "≡" means a triple bond, and "---" means a single bond and optionally a double bond. When chemical

structures are depicted or described, unless explicitly stated otherwise, all carbons are assumed to have hydrogen substitution to conform to a valence of four.

[0018] "Administration" and variants thereof (e.g., "administering" a compound) in reference to a compound of the invention means introducing the compound or a prodrug of the compound into the system of the animal in need of treatment. When a compound of the invention or prodrug thereof is provided in combination with one or more other active agents (e.g., surgery, radiation, and chemotherapy, etc.), "administration" and its variants are each understood to include concurrent and sequential introduction of the compound or prodrug thereof and other agents.

[0019] "Alkenyl" or "lower alkenyl" means a straight or branched hydrocarbon radical having from 2 to 6 carbon atoms and at least one double bond and includes ethenyl, propenyl, 1-but-3-enyl, 1-pent-3-enyl, 1-hex-5-enyl and the like.

[0020] "Alkenylcarbonyl" means a C(O)R group where R is alkenyl, as defined herein.

[0021] "Alkenyloxy" or "lower alkenyloxy" means an –OR group where R is alkenyl, as defined herein. Representative examples include methoxy, ethoxy, 1-methoxyprop-1-en-3-yl, propoxy, isopropoxy, cyclopropyloxy, cyclohexyloxy and the like.

[0022] "Alkoxy" or "lower alkoxy" means an –OR group where R is alkyl, as defined herein. Representative examples include methoxy, ethoxy, 1-methoxyprop-1-en-3-yl, propoxy, isopropoxy, cyclopropyloxy, cyclohexyloxy and the like.

[0023] "Alkoxyalkyl" means an alkyl group, as defined herein, substituted with one, two, or three alkoxy groups, as defined herein.

[0024] "Akoxycarbonyl" means a –C(O)OR group where R is alkyl as defined herein.

[0025] "Alkoxyycarbonylalkyl" means an alkyl group, as defined herein, substituted with one, two, or three alkoxycarbonyl groups, as defined herein.

[0026] "Alkyl" or "lower alkyl" means a linear or branched hydrocarbon group having one to six carbon atoms. Examples of lower alkyl groups include methyl, ethyl, propyl, isopropyl, butyl, *s*-butyl, *t*-butyl, isobutyl, pentyl, hexyl and the like. A "C_0" alkyl (as in "C_0-C_6-alkyl") is a covalent bond. "C_6 alkyl" refers to, for example, *n*-hexyl, *iso*-hexyl, and the like.

[0027] "Alkylamino" means a -NHR radical where R is alkyl as defined herein, or an N-oxide derivative thereof, e.g., methylamino, ethylamino, *n*-, *iso*-propylamino, *n*-, *iso*-, *tert*-butylamino, or methylamino-N-oxide, and the like.

[0028] "Alkylaminoalkyl" means an alkyl group substituted with one or two alkylamino groups, as defined herein.

[0029] "Alkylaminoalkyloxy" means an -OR group where R is alkylaminoalkyl, as defined herein.

[0030] "Alkylcarbonyl" means a C(O)R group where R is alkyl, as defined herein.

[0031] "Alkylcarbonylamino" means a -NRC(O)R' group where R is hydrogen or alkyl, as defiend herein, and R' is alkyl, as defiend herein.

[0032] "Alkylene" refers to straight or branched divalent hydrocarbon, containing no unsaturation and having from two to eight carbon atoms. Examples of alkylene include eth-diyl ($-CH_2CH_2-$), prop-1,3-diyl ($-CH_2CH_2CH_2-$), 2,2-dimethylprop-1,3-diyl ($-CH_2C(CH_3)_2CH_2-$), and the like.

[0033] "Alkylsulfonyl" means a $-S(O)_2R$ group where R is lakyl, as defined herien.

[0034] "Alkylthio" means a -SR group where R is alkyl, as defined herein. Examples of alkylthio include methylthio and ethylthio, and the like.

[0035] "Alkylthioalkyl" means an alkyl group substituted with one or two alkylthio groups, as defined herein, e.g. 2-(methylthio)-ethyl and 2-(ethylthio)-ethyl.

[0036] "Alkynyl" or "lower alkynyl" means a straight or branched hydrocarbon radical having from 2 to 6 carbon atoms and at least one triple bond and includes ethynyl, propynyl, butynyl, pentyn-2-yl and the like.

[0037] "Amino" means a $-NH_2$.

[0038] "Aminoalkyl" means an alkyl group subsitutted with at least one, for example one, two, or three, amino groups.

[0039] "Aminoalkyloxy" means an -OR group where R is aminoalkyl, as defined herein.

[0040] "Aryl" means a monovalent six- to fourteen-membered, mono- or bi-carbocyclic ring, wherein the monocyclic ring is aromatic and at least one of the rings in the bicyclic ring is aromatic. Representative examples include phenyl, naphthyl, and indanyl, and the like.

[0041] "Arylalkyl" means an alkyl group, as defined herein, subsituted with one or two aryl groups, as defined herein. Examples include benzyl, phenethyl, phenylvinyl, phenylallyl and the like.

[0042] "Aryloxy"means a -OR group where R is aryl as defined herein.

[0043] "Arylalkyloxy" means a -OR group where R is arylalkyl as defined herein.

[0044] "Arylsulfonyl" means a $-SO_2R$ group where R is aryl as defined herein.

[0045] "Carboxyalkyl" means an alkyl group, as defined herein, substituted with one, two, or three -C(O)OH groups.

[0046] "Carboxy ester" means a -C(O)OR group where R is lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl or arylalkyl, each of which is defined herein. Representative examples include methoxycarbonyl, ethoxycarbonyl, and benzyloxycarbonyl, and the like.

[0047] "Cyanoalkyl" means an alkyl, alkenyl, or alkynyl radical, as defined herein, substituted with at least one, for example one, two, or three, cyano groups.

[0048] "Cycloalkyl" means a monocyclic or polycyclic hydrocarbon radical having three to thirteen carbon atoms. The cycloalkyl can be saturated or partially unsaturated, but cannot contain an aromatic ring. Cycloalkyl includes fused, bridged, and spiro ring systems. Examples of such radicals include cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

[0049] "Cycloalkylalkyl" means alkyl group substituted with one or two cycloalkyl groups, as defined herein. Representative examples include cyclopropylmethyl and 2-cyclobutyl-ethyl, and the like.

[0050] "Cycloalkylcarbonyl" means a -C(O)R group where R is cycloalkyl as defined herein.

[0051] "Dialkylamino" means a -NRR' radical where R and R' are independently alkyl as defined herein, or an N-oxide derivative, or a protected derivative thereof, e.g., dimethylamino, diethylamino, *N,N*-methylpropylamino or *N,N*-methylethylamino, and the like.

[0052] "Dialkylaminoalkyl" means an alkyl group substituted with one or dialkylamino groups, as defined herein.

[0053] "Dialkylaminoalkyloxy" means an -OR group where R is dialkylaminoalkyl, as defined herein.

[0054] "Fused ring system" and "fused ring" refer to a polycyclic ring system that contains bridged or fused rings; that is, where two rings have more than one shared atom in their ring structures. In this application, fused-polycyclics and fused ring systems are not necessarily all aromatic ring systems. Typically, but not necessarily, fused-polycyclics share a vicinal set of atoms, for example naphthalene or 1,2,3,4-tetrahydro-naphthalene. A spiro ring system is not a fused-polycyclic by this definition, but fused polycyclic ring systems of the invention may themselves have spiro rings attached thereto via a single ring atom of the fused-polycyclic. In some examples, as appreciated by one of ordinary skill in the art, two adjacent groups on an aromatic system may be fused together to form a ring structure. The fused ring structure may contain heteroatoms and may be optionally substituted with one or more groups. It should additionally be noted that saturated carbons of such fused groups (*i.e.* saturated ring structures) can contain two substitution groups.

[0055] "Haloalkoxy" means an -OR' group where R' is haloalkyl as defined herein, e.g., trifluoromethoxy or 2,2,2-trifluoroethoxy, and the like.

[0056] "Haloalkoxyalkyl" means an alkyl group, as defined herein, substituted with one, two, or three haloalkoxy, as defined herein.

[0057] "Halogen" or "halo" means fluoro, chloro, bromo and iodo.

[0058] "Haloalkenyl means an alkenyl group, as defined herein, substituted with one or more halogens, for example one to five halo atoms.

[0059] "Haloalkyl" means an alkyl group, as defined herein, substituted with one or more halogens, for example one to five halo atoms. Representative examples includes 2,2-difluoroethyl, trifluoromethyl, and 2-chloro-1-fluoroethyl, and the like.

[0060] "Heteroaryl" means a monocyclic, fused bicyclic, or fused tricyclic, monovalent radical of 5 to 14 ring atoms containing one or more, for example one, two, three, or four ring heteroatoms independently selected from -O-, $-S(O)_n-$ (n is 0, 1, or 2), -N-, $-N(R^x)-$, and the remaining ring atoms being carbon, wherein the ring comprising a monocyclic radical is aromatic and wherein at least one of the fused rings comprising a bicyclic or tricyclic radical is aromatic. One or two ring carbon atoms of any nonaromatic rings comprising a bicyclic or tricyclic radical may be replaced by a -C(O)-, -C(S)-, or -C(=NH)- group. R^x is hydrogen, alkyl, hydroxy, alkoxy, acyl, or alkylsulfonyl. Fused bicyclic radical includes bridged ring systems. Unless stated otherwise, the valency may be located on any atom of any ring of the heteroaryl group, valency rules permitting. In particular, when the point of valency is located on the nitrogen, R^x is absent. In another embodiment, the term heteroaryl includes, but is not limited to, 1,2,4-triazolyl, 1,3,5-triazolyl, phthalimidyl, pyridinyl, pyrrolyl, imidazolyl, thienyl, furanyl, indolyl, 2,3-dihydro-1*H*-indolyl (including, for example, 2,3-dihydro-1*H*-indol-2-yl or 2,3-dihydro-1*H*-indol-5-yl, and the like), isoindolyl, indolinyl, isoindolinyl, benzimidazolyl, benzodioxol-4-yl, benzofuranyl, cinnolinyl, indolizinyl, naphthyridin-3-yl, phthalazin-3-yl, phthalazin-4-yl, pteridinyl, purinyl, quinazolinyl, quinoxalinyl, tetrazoyl, pyrazolyl, pyrazinyl, pyrimidinyl, pyridazinyl, oxazolyl, isooxazolyl, oxadiazolyl, benzoxazolyl, quinolinyl, isoquinolinyl, tetrahydroisoquinolinyl (including, for example, tetrahydroisoquinolin-4-yl or tetrahydroisoquinolin-6-yl, and the like), pyrrolo[3,2-c]pyridinyl (including, for example, pyrrolo[3,2-c]pyridin-2-yl or pyrrolo[3,2-c]pyridin-7-yl, and the like), benzopyranyl, thiazolyl, isothiazolyl, thiadiazolyl, benzothiazolyl, benzothienyl, and the derivatives thereof, or N-oxide or a protected derivative thereof.

[0061] "Hetereoarylalkyl" means an alkyl group substituted with one or two heteroaryl groups as defined herein.

[0062] "Heterocycloalkyl" means a saturated or partially unsaturated monovalent monocyclic group of 3 to 8 ring atoms or a saturated or partially unsaturated monovalent fused bicyclic group of 5 to 12 ring atoms in which one or more, for example one, two, three, or four ring heteroatoms independently selected from -O-, $-S(O)_n-$ (n is 0, 1, or 2), -N=, $-N(R^y)-$ (where R^y is hydrogen, alkyl, hydroxy, alkoxy, acyl, or alkylsulfonyl), the remaining ring atoms being carbon. One or two ring carbon atoms may be replaced by a -C(O)-, -C(S)-, or -C(=NH)- group. Fused bicyclic radical includes bridged ring systems. Unless otherwise stated, the valency of the group may be located on any atom of any ring within the radical, valency rules permitting. In particular, when the point of valency is located on a nitrogen atom, R^y is absent. In another embodiment the term heterocycloalkyl includes, but is not limited to, azetidinyl, pyrrolidinyl, 2-oxopyrrolidinyl, 2,5-dihydro-1*H*-pyrrolyl, piperidinyl, 4-piperidonyl, morpholinyl, piperazinyl, 2-oxopiperazinyl, tetrahydropyranyl, 2-oxopiperidinyl, thiomorpholinyl, thiamorpholinyl, perhydroazepinyl, pyrazolidinyl, imidazolinyl, imidazolidinyl, dihydropyridinyl, tetrahydropyridinyl, oxazolinyl, oxazolidinyl, isoxazolidinyl, thiazolinyl, thiazolidinyl, quinuclidinyl, isothiazolidinyl, octahydroindolyl, octahydroisoindolyl, decahydroisoquinolyl, tetrahydrofuryl, and tetrahydropyranyl, and the derivatives thereof and N-oxide or a protected derivative thereof.

[0063] "Heterocycloalkylalkyl" means an alkyl group, as defined herein, substituted with one or two heterocycloalkyl groups, as defined herein.

[0064] "Hydroxyalkyl" means an alkyl radical, as defined herein, substituted with at least one, for example one, two, or three, hydroxy groups, provided that if two hydroxy groups are present they are not both on the same carbon atom. Representative examples include, but are not limited to, hydroxymethyl, 2-hydroxyethyl, 2-hydroxypropyl, 3-hydroxypropyl, 1-(hydroxymethyl)-2-methylpropyl, 2-hydroxybutyl, 3-hydroxybutyl, 4-hydroxybutyl, 2,3-dihydroxypropyl, 1-(hydroxymethyl)-2-hydroxyethyl, 2,3-dihydroxybutyl, 3,4-dihydroxybutyl and 2-(hydroxymethyl)-3-hydroxypropyl, for example 2-hydroxyethyl, 2,3-dihydroxypropyl, or 1-(hydroxymethyl)-2-hydroxyethyl, and the like.

[0065] "Hydroxyamino" means a -NH(OH) group.

[0066] "Optional" or "optionally" means that the subsequently described event or circumstance may or may not occur, and that the description includes instances where said event or circumstance occurs and instances in which it does not. One of ordinary skill in the art would understand that with respect to any molecule described as containing one or more optional substituents, only sterically practical and/or synthetically feasible compounds are

meant to be included. "Optionally substituted " refers to all subsequent modifiers in a term. So, for example, in the term "optionally substituted arylC_{1-8} alkyl," both the "C_{1-8} alkyl" portion and the "aryl" portion of the molecule may or may not be substituted. A list of exemplary optional substitutions is presented below in the definition of "substituted."

[0067] "Optionally substituted alkyl" means an alkyl radical, as defined herein, optionally substituted with one or more groups, for example one, two, three, four, or five groups, independently selected from alkylcarbonyl, alkenylcarbonyl, cycloalkylcarbonyl, alkylcarbonyloxy, alkenylcarbonyloxy, amino, alkylamino, dialkylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cyano, cyanoalkylaminocarbonyl, alkoxy, alkenyloxy, hydroxy, hydroxyalkoxy, carboxy, alkylcarbonylamino, alkylcarbonyloxy, alkyl-$S(O)_{0-2}$-, alkenyl-$S(O)_{0-2}$-, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, alkylsulfonyl-NR^c- (where R^c is hydrogen, alkyl, optionally substituted alkenyl, optionally substituted alkynyl, hydroxy, alkoxy, alkenyloxy, or cyanoalkyl), alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylaminoalkyloxy, dialkylaminoalkyloxy, alkoxycarbonyl, alkenyloxycarbonyl, alkoxycarbonylamino, alkylaminocarbonylamino, dialkylaminocarbonylamino, alkoxyalkyloxy, and -$C(O)NR^aR^b$ (where R^a and R^b are independently hydrogen, alkyl, optionally substituted alkenyl, optionally substituted alkynyl, hydroxy, alkoxy, alkenyloxy, or cyanoalkyl).

[0068] "Optionally substituted alkenyl" means an alkenyl radical, as defined herein, optionally substituted with one or more groups, for example one, two, or three groups, independently selected from alkylcarbonyl, alkenylcarbonyl, cycloalkylcarbonyl, alkylcarbonyloxy, alkenylcarbonyloxy, amino, alkylamino, dialkylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cyano, cyanoalkylaminocarbonyl, alkoxy, alkenyloxy, hydroxy, hydroxyalkoxy, carboxy, alkylcarbonylamino, alkylcarbonyloxy, alkyl-$S(O)_{0-2}$-, alkenyl-$S(O)_{0-2}$-, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, alkylsulfonyl-NR^c- (where R^c is hydrogen, optionally substituted alkyl, optionally substituted alkynyl, hydroxy, alkoxy, or alkenyloxy), alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylaminoalkyloxy, dialkylaminoalkyloxy, alkoxycarbonyl, alkenyloxycarbonyl, alkoxycarbonylamino, alkylaminocarbonylamino, dialkylaminocarbonylamino, alkoxyalkyloxy, and -$C(O)NR^aR^b$ (where R^a and R^b are independently hydrogen, optionally substituted alkyl, alkenyl, optionally substituted alkynyl, hydroxy, alkoxy, or alkenyloxy).

[0069] "Optionally substituted aryl" means an aryl group, as defined herein, which is optionally substituted with one, two, three, four, of five groups selected from halo, haloalkyl,

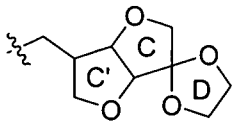
haloalkoxy, hydroxy, lower alkyl, lower alkenyl, lower alkynyl, alkoxy, carboxy, carboxy ester, amino, alkylamino, dialkylamino, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted heteroaryl, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, heteroaryl, or heterocycloalkyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, heteroaryl, or heterocycloalkyl), and -NHS(O)$_2$R' (where R' is alkyl, aryl, or heteroaryl).

[0070] "Optionally substituted heteroaryl" means a heteroaryl group, as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, lower alkyl, lower alkenyl, lower alkynyl, alkoxy, hydroxy, oxo (valency rules permitting), carboxy, carboxy ester, amino, alkylamino, dialkylamino, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, heteroaryl, optionally substituted aryl, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, heteroaryl, or heterocycloalkyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, heteroaryl, or heterocycloalkyl), and -NHS(O)$_2$R' (where R' is alkyl, aryl, or heteroaryl).

[0071] "Optionally substituted heterocycloalkyl" means a heterocycloalkyl, as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, hydroxy, oxo, lower alkyl, lower alkenyl, lower alkynyl, alkoxy, optionally substituted cycloalkyl, heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, alkylaminoalkyl, dialkylaminoalkyl, carboxy, carboxy ester, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, heteroaryl, or heterocycloalkyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, heteroaryl, or heterocycloalkyl), amino, alkylamino, dialkylamino, and -NHS(O)$_2$R' (where R' is alkyl, aryl, or heteroaryl).

[0072] "Saturated bridged ring system" refers to a bicyclic or polycyclic ring system that is not aromatic. Such a system may contain isolated or conjugated unsaturation, but not aromatic or heteroaromatic rings in its core structure (but may have aromatic substitution thereon). For example, hexahydro-furo[3,2-b]furan, 2,3,3a,4,7,7a-hexahydro-1H-indene, 7-aza-bicyclo[2.2.1]heptane, and 1,2,3,4,4a,5,8,8a-octahydro-naphthalene are all included in the class "saturated bridged ring system."

[0073] "Spirocyclyl" or "spirocyclic ring" refers to a ring originating from a particular annular carbon of another ring. For example, as depicted below, a ring atom of a saturated bridged ring system (rings C and C'), but not a bridgehead atom, can be a shared atom between the saturated bridged ring system and a spirocyclyl (ring D) attached thereto. A spirocyclyl can be carbocyclic or heteroalicyclic.



[0074] "Yield" for each of the reactions described herein is expressed as a percentage of the theoretical yield.

Definitions for the Compound of formula 100

[0075] The terms used to describe the scope of formula 100 are defined in WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522,004) which is herein incorporated by reference. For example "optionally substituted alkyl" for formula 100 has the meaning given in WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522,004). Whenever a compound of formula 100 is described in this application, whether by structure or by use of the term "formula 100," the terms used to describe that compound are defined by WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522,004).

Other Definitions

[0076] "AKT inhibitor" includes, for example, LY294002, PKC 412, perifosine, compounds in Table 2a, compounds in Table 2b, and compounds described in WO 2006/071819 and WO05/117909. These references also describe in vitro assays that can be used to determine the inhibitory activity of AKT.

[0077] "Alkylating agent" includes, for example, one or more of the following: Chlorambucil, Chlormethine, Cyclophosphamide, Ifosfamide, Melphalan, Carmustine, Streptozocin, Fotemustine, Lomustine, Streptozocin, Carboplatin, Cisplatin, Oxaliplatin, BBR3464, Busulfan, Dacarbazine, Mechlorethamine, Procarbazine, Temozolomide, ThioTEPA, and Uramustine.

[0078] "Antibody" includes, for example, one or more of the following: an IGF1R antibody (including, for example, ᵃIGF-1R A12 MoAb, 19D12, h7C10 and CP-751871), an EGFR antibody (including, for example, Cetuximab (Erbitux®) and Panitumumab), an ErbB2 antibody (including, for example, Trastuzumab (Herceptin®)), a VEGF antibody (including, for example, Bevacizumab (Avastin®)), an IgG1 antibody (including, for example, Ibritumomab (tiuxetan)), a CD20 antibody (including, for example, Rituximab and Tositumomab), a CD33 antibody (including, for example, Gemtuzumab and Gemtuzumab ozogamicin), and a CD52 antibody (including, for example, Alemtuzumab).

[0079] "Antimetabolite" include, for example, methotrexate, Pemetrexed, Raltitrexed, Cladribine, Clofarabine, Fludarabine, Mercaptopurine, Thioguanine, Capecitabine,

Cytarabine, fluorouracil (administered with or without leucovorin or folinic acid), and Gemcitabine.

[0080] "Antimicrotubule agent" includes, for example, Vincristine,Vinblastine, Vinorelbine, Vinflunine, and Vindesine.

[0081] "Aromatase inhibitor" includes, for example, one or more of the following: Aminoglutethimide, Anastrozole (Arimidex®), Letrozole (Femara®), Exemestane (Aromasin®), and Formestane (Lentaron®).

[0082] "Cancer" refers to cellular-proliferative disease states, including but not limited to: Cardiac: sarcoma (angiosarcoma, fibrosarcoma, rhabdomyosarcoma, liposarcoma), myxoma, rhabdomyoma, fibroma, lipoma and teratoma; Lung: bronchogenic carcinoma (squamous cell, undifferentiated small cell, undifferentiated large cell, adenocarcinoma), alveolar (bronchiolar) carcinoma, bronchial adenoma, sarcoma, lymphoma, chondromatous hanlartoma, inesothelioma; Gastrointestinal: esophagus (squamous cell carcinoma, adenocarcinoma, leiomyosarcoma, lymphoma), stomach (carcinoma, lymphoma, leiomyosarcoma), pancreas (ductal adenocarcinoma, insulinorna, glucagonoma, gastrinoma, carcinoid tumors, vipoma), small bowel (adenocarcinorna, lymphoma, carcinoid tumors, Karposi's sarcoma, leiomyoma, hemangioma, lipoma, neurofibroma, fibroma), large bowel (adenocarcinoma, tubular adenoma, villous adenoma, hamartoma, leiomyoma); Genitourinary tract: kidney (adenocarcinoma, Wilm's tumor [nephroblastoma], lymphoma, leukemia), bladder and urethra (squamous cell carcinoma, transitional cell carcinoma, adenocarcinoma), prostate (adenocarcinoma, sarcoma), testis (seminoma, teratoma, embryonal carcinoma, teratocarcinoma, choriocarcinoma, sarcoma, interstitial cell carcinoma, fibroma, fibroadenoma, adenomatoid tumors, lipoma); Liver: hepatoma (hepatocellular carcinoma), cholangiocarcinoma, hepatoblastoma, angiosarcoma, hepatocellular adenoma, hemangioma; Bone: osteogenic sarcoma (osteosarcoma), fibrosarcoma, malignant fibrous histiocytoma, chondrosarcoma, Ewing's sarcoma, malignant lymphoma (reticulum cell sarcoma), multiple myeloma, malignant giant cell tumor chordoma, osteochronfroma (osteocartilaginous exostoses), benign chondroma, chondroblastoma, chondromyxofibroma, osteoid osteoma and giant cell tumors; Nervous system: skull (osteoma, hemangioma, granuloma, xanthoma, osteitis defornians), meninges (meningioma, meningiosarcoma, gliomatosis), brain (astrocytoma, medulloblastoma, glioma, ependymoma, germinoma [pinealoma], glioblastorna multiform, oligodendroglioma, schwannoma, retinoblastoma, congenital tumors), spinal cord neurofibroma, meningioma, glioma, sarcoma); Gynecological: uterus (endometrial carcinoma), cervix (cervical carcinoma, pre-tumor

cervical dysplasia), ovaries (ovarian carcinoma [serous cystadenocarcinoma, mucinous cystadenocarcinoma, unclassified carcinoma], granulosa-thecal cell tumors, Sertoli-Leydig cell tumors, dysgerminoma, malignant teratoma), vulva (squamous cell carcinoma, intraepithelial carcinoma, adenocarcinoma, fibrosarcoma, melanoma), vagina (clear cell carcinoma, squamous cell carcinoma, botryoid sarcoma (embryonal rhabdomyosarcoma], fallopian tubes (carcinoma); Hematologic: blood (myeloid leukemia [acute and chronic], acute lymphoblastic leukemia, chronic lymphocytic leukemia, myeloproliferative diseases, multiple myeloma, myelodysplastic syndrome), Hodgkin's disease, non-Hodgkin's lymphoma [malignant lymphoma]; Skin: malignant melanoma, basal cell carcinoma, squamous cell carcinoma, Karposi's sarcoma, moles dysplastic nevi, lipoma, angioma, dermatofibroma, keloids, psoriasis; Adrenal Glands: neuroblastoma; and breast cancer. Thus, the term "cancerous cell" as provided herein, includes a cell afflicted by any one of the above-identified conditions.

[0083] "Chemotherapeutic agent" includes, but is not limited to, an AKT inhibitor, an alkylating agent, an antimetabolite, an antimicrotubule agent, an aromatase inhibitor, a c-KIT inhibitor, a cMET inhibitor, an EGFR inhibitor, an ErbB2 inhibitor, a Flt-3 inhibitor, an HSP90 inhibitor, an IGF1R inhibitor, a platin, a Raf inhibitor, rapamycin, a Rapamycin analogue, a Receptor Tyrosine Kinase inhibitor, a taxane, a topoisomerase inhibitor, a SRC and/or ABL kinase inhibitor, and a VEGFR inhibitor. A pharmaceutically acceptable salt, solvate, and/or hydrate of a chemotherapeutic agent can be prepared by one of ordinary skill in the art and such salt, solvate, and/or hydrates thereof can be used to practice the invention.

[0084] "c-KIT inhibitor" includes, for example, imatinib, sunitinib, nilotinib, AMG 706, sorafenib, compounds in Table 3b, compounds in Table 3c, compounds in Table 8, compounds in Table 9, and compounds described in WO 2006/108059, WO/2005/020921, WO/2006/033943, and WO 2005/030140.

[0085] "cMET inhibitor" includes, for example, compounds in Table 3a, compounds in Table 3b, compounds in Table 3c, compounds described in WO06/108059, WO 2006/014325, and WO 2005/030140.

[0086] "EGFR inhibitor"includes, for example, one or more of the following: pelitinib, lapatinib (Tykerb®), gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474, vandetinib), AEE788 and HKI-272, EKB-569, CI-1033, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine,

N-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, compounds in Table 4, compounds in Table 7, and compounds described in WO 2004/006846 and WO 2004/050681.

[0087] "ErbB2 inhibitor" includes, for example, lapatinib (GW572016), PKI-166, canertinib, CI-1033, HKI272, and EKB-569.

[0088] "Flt-3 inhibitor" includes, for example, CEP-701, PKC 412, MLN518, sunitinib, sorafenib, compounds in Table 3a, compounds in Table 3b, compounds in Table 3c, compounds in Table 9, and compounds described in WO 2006/108059, WO/2006/033943, WO 2006/014325, and WO 2005/030140.

[0089] "Hormone therapy" or "hormonal therapy" includes, for example, treatment with one or more of the following: steroids (e.g. dexamethasone), finasteride, tamoxifen, and an aromatase inhibitor.

[0090] "HSP90 inhibitor" includes, for example, 17-AAG, 17-DMAG, Geldanamycin, 5-(2,4-dihydroxy-5-isopropylphenyl)-*N*-ethyl-4-(4-(morpholinomethyl)phenyl)isoxazole-3-carboxamide [NVP-AUY922 (VER 52296)], 6-chloro-9-((4-methoxy-3,5-dimethylpyridin-2-yl)methyl)-9*H*-purin-2-amine (CNF2024, also named BIIB021), compounds disclosed in WO2004072051 (which is herein incorporated by reference), compounds disclosed in WO2005028434 (which is herein incorporated by reference), compounds disclosed in WO2007035620 (which is herein incorporated by reference) and compounds disclosed in WO2006091963 (which is herein incorporated by reference).

[0091] "IGF1R inhibitor" includes, for example, Tyrphostin AG 1024, compounds in Table 5a, compounds in Table 5b, and compounds described in WO06/074057.

[0092] "Kinase-dependent diseases or conditions" refer to pathologic conditions that depend on the activity of one or more lipid kinases. Kinases either directly or indirectly participate in the signal transduction pathways of a variety of cellular activities including proliferation, adhesion, migration, differentiation and invasion. Diseases associated with kinase activities include tumor growth, the pathologic neovascularization that supports solid tumor growth, and associated with other diseases where excessive local vascularization is involved such as ocular diseases (diabetic retinopathy, age-related macular degeneration, and the like) and inflammation (psoriasis, rheumatoid arthritis, and the like).

[0093] While not wishing to be bound to theory, phosphatases can also play a role in "kinase-dependent diseases or conditions" as cognates of kinases; that is, kinases

phosphorylate and phosphatases dephosphorylate, for example lipid substrates. Therefore compounds of the invention, while modulating kinase activity as described herein, may also modulate, either directly or indirectly, phosphatase activity. This additional modulation, if present, may be synergistic (or not) to activity of compounds of the invention toward a related or otherwise interdependent kinase or kinase family. In any case, as stated previously, the compounds of the invention are useful for treating diseases characterized in part by abnormal levels of cell proliferation (*i.e.* tumor growth), programmed cell death (apoptosis), cell migration and invasion and angiogenesis associated with tumor growth.

[0094] "Metabolite" refers to the break-down or end product of a compound or its salt produced by metabolism or biotransformation in the animal or human body; for example, biotransformation to a more polar molecule such as by oxidation, reduction, or hydrolysis, or to a conjugate (see Goodman and Gilman, "The Pharmacological Basis of Therapeutics" 8.sup.th Ed., Pergamon Press, Gilman et al. (eds), 1990 for a discussion of biotransformation). As used herein, the metabolite of a compound of the invention or its salt may be the biologically active form of the compound in the body. In one example, a prodrug may be used such that the biologically active form, a metabolite, is released *in vivo*. In another example, a biologically active metabolite is discovered serendipitously, that is, no prodrug design *per se* was undertaken. An assay for activity of a metabolite of a compound of the present invention is known to one of skill in the art in light of the present disclosure.

[0095] "Patient" for the purposes of the present invention includes humans and other animals, particularly mammals, and other organisms. Thus the methods are applicable to both human therapy and veterinary applications. In another embodiment the patient is a mammal, and in another embodiment the patient is human.

[0096] A "pharmaceutically acceptable salt" of a compound means a salt that is pharmaceutically acceptable and that possesses the desired pharmacological activity of the parent compound. It is understood that the pharmaceutically acceptable salts are non-toxic. Additional information on suitable pharmaceutically acceptable salts can be found in *Remington's Pharmaceutical Sciences*, 17th ed., Mack Publishing Company, Easton, PA, 1985, which is incorporated herein by reference or S. M. Berge, et al., "Pharmaceutical Salts," J. Pharm. Sci., 1977;66:1-19 both of which are incorporated herein by reference.

[0097] Examples of pharmaceutically acceptable acid addition salts include those formed with inorganic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, and the like; as well as organic acids such as acetic acid, trifluoroacetic acid, propionic acid, hexanoic acid, cyclopentanepropionic acid, glycolic acid, pyruvic acid, lactic

acid, oxalic acid, maleic acid, malonic acid, succinic acid, fumaric acid, tartaric acid, citric acid, benzoic acid, cinnamic acid, 3-(4-hydroxybenzoyl)benzoic acid, mandelic acid, methanesulfonic acid, ethanesulfonic acid, 1,2-ethanedisulfonic acid, 2-hydroxyethanesulfonic acid, benzenesulfonic acid, 4-chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, 4-toluenesulfonic acid, camphorsulfonic acid, glucoheptonic acid, 4,4'-methylenebis-(3-hydroxy-2-ene-1-carboxylic acid), 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, p-toluenesulfonic acid, and salicylic acid and the like.

[0098] Examples of a pharmaceutically acceptable base addition salts include those formed when an acidic proton present in the parent compound is replaced by a metal ion, such as sodium, potassium, lithium, ammonium, calcium, magnesium, iron, zinc, copper, manganese, aluminum salts and the like. Preferable salts are the ammonium, potassium, sodium, calcium, and magnesium salts. Salts derived from pharmaceutically acceptable organic non-toxic bases include, but are not limited to, salts of primary, secondary, and tertiary amines, substituted amines including naturally occurring substituted amines, cyclic amines and basic ion exchange resins. Examples of organic bases include isopropylamine, trimethylamine, diethylamine, triethylamine, tripropylamine, ethanolamine, 2-dimethylaminoethanol, 2-diethylaminoethanol, dicyclohexylamine, lysine, arginine, histidine, caffeine, procaine, hydrabamine, choline, betaine, ethylenediamine, glucosamine, methylglucamine, theobromine, purines, piperazine, piperidine, N-ethylpiperidine, tromethamine, *N*-methylglucamine, polyamine resins, and the like. Exemplary organic bases are isopropylamine, diethylamine, ethanolamine, trimethylamine, dicyclohexylamine, choline, and caffeine.

[0099] "Platin," and "platin-containing agent" include, for example, cisplatin, carboplatin, and oxaliplatin.

[00100] "Prodrug" refers to compounds that are transformed (typically rapidly) *in vivo* to yield the parent compound of the above formulae, for example, by hydrolysis in blood. Common examples include, but are not limited to, ester and amide forms of a compound having an active form bearing a carboxylic acid moiety. Examples of pharmaceutically acceptable esters of the compounds of this invention include, but are not limited to, alkyl esters (for example with between about one and about six carbons) the alkyl group is a straight or branched chain. Acceptable esters also include cycloalkyl esters and arylalkyl esters such as, but not limited to benzyl. Examples of pharmaceutically acceptable amides of

the compounds of this invention include, but are not limited to, primary amides, and secondary and tertiary alkyl amides (for example with between about one and about six carbons). Amides and esters of the compounds of the present invention may be prepared according to conventional methods. A thorough discussion of prodrugs is provided in T. Higuchi and V. Stella, "Pro-drugs as Novel Delivery Systems," Vol 14 of the A.C.S. Symposium Series, and in Bioreversible Carriers in Drug Design, ed. Edward B. Roche, American Pharmaceutical Association and Pergamon Press, 1987, both of which are incorporated herein by reference for all purposes.

[00101] "Raf inhibitor" includes, for example, sorafenib, RAF 265 (CHIR 265), compounds in Table 6, and compounds described in WO 2005/112932. These references also describe in vitro assays that can be used to determine the inhibitory activity of RAF.

[00102] "Rapamycin analogue" includes for example, CCI-779, AP23573, RAD 001, TAFA 93, and compounds described in WO 2004/101583 and US 7,160,867 which are each incorporated herein by reference in their entireties.

[00103] "Receptor Tyrosine Kinase inhibitor" includes, for example, inhibitors of AKT, EGFR, ErbB2, IGF1R, KIT, Met, Raf, and VEGFR2. Examples of receptor tyrosine kinase inhibitors can be found in WO 2006/108059 (US Nat'l Stage Application Serial No. 11/910,720), WO 2006/074057 (US Nat'l Stage Application Serial No. 11/722,719), WO 2006/071819 (US Nat'l Stage Application Serial No. 11/722,291), WO 2006/014325 (US Nat'l Stage Application Serial No. 11/571,140), WO 2005/117909 (US Nat'l Stage Application Serial No. 11/568,173), WO 2005/030140 (US Nat'l Stage Application Serial No. 10/573,336), WO 2004/050681 US Nat'l Stage Application Serial No. 10/533,555), WO 2005/112932 (US Nat'l Stage Application Serial No. 11/568,789), and WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522,004), each of which is incorporated herein by reference for all purposes. In particular, the applications cited in this paragraph are incorporated for the purpose of providing specific examples and generic embodiments (and the definitions associated with the terms used in the embodiments) of compounds that are useful in the practice of the invention. These references also describe in vitro assays useful in the practice of this invention.

[00104] "Taxane" includes, for example, one or more of the following: Paclitaxel (Taxol®) and Docetaxel (Taxotere®).

[00105] "Therapeutically effective amount" is an amount of a compound of the invention, that when administered to a patient, ameliorates a symptom of the disease. The amount of a compound of the invention which constitutes a "therapeutically effective amount" will vary

depending on the compound, the disease state and its severity, the age of the patient to be treated, and the like. The therapeutically effective amount can be determined routinely by one of ordinary skill in the art having regard to their knowledge and to this disclosure.

[00106] "Topoisomerase inhibitor" includes, for example, one or more of the following: amsacrine, camptothecin, etoposide, etoposide phosphate, exatecan, irinotecan, lurtotecan, and teniposide, and topotecan.

[00107] "Treating" or "treatment" of a disease, disorder, or syndrome, as used herein, includes (i) preventing the disease, disorder, or syndrome from occurring in a human, i.e. causing the clinical symptoms of the disease, disorder, or syndrome not to develop in an animal that may be exposed to or predisposed to the disease, disorder, or syndrome but does not yet experience or display symptoms of the disease, disorder, or syndrome; (ii) inhibiting the disease, disorder, or syndrome, *i.e.*, arresting its development; and (iii) relieving the disease, disorder, or syndrome, *i.e.*, causing regression of the disease, disorder, or syndrome. As is known in the art, adjustments for systemic versus localized delivery, age, body weight, general health, sex, diet, time of administration, drug interaction and the severity of the condition may be necessary, and will be ascertainable with routine experimentation by one of ordinary skill in the art.

[00108] "SRC and/or ABL kinase inhibitor" includes, for example, dasatinib, imatinib (Gleevec®), and compounds described in WO 2006/074057.

[00109] "VEGFR inhibitor" includes, for example, one or more of the following: VEGF Trap, ZD6474 (vandetanib, Zactima), sorafenib, Angiozyme, AZD2171 (cediranib), pazopanib, sorafenib, axitinib, SU5416 (semaxanib), PTK787 (vatalanib), AEE778, RAF 265, sunitinib (Sutent), *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, compounds in Table 7, and compounds described in WO 2004/050681 and WO 2004/006846.

Embodiments of the Invention

[00110] The following paragraphs present a number of embodiments of compounds of the invention. In each instance, the embodiment includes both the recited compounds as well as individual isomers and mixtures of isomers. In addtion, in each instance, the embodiment optionally includes the pharmaceutically acceptable salts, hydrates, and/or solvates of the recited compounds and any individual isomers or mixture of isomers thereof.

[00111] For each of the following embodiments, the Compound of Formula I can, for example, be of Formula I(a) or be selected from a Compound in Table 1.

[00112] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, where growth and/or survival of tumor cells of the cancer is enhanced, at least in part, by the activity of PI3K; in combination with one or more treatments selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation.

[00113] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation; where the cancer is selected from breast cancer, colon cancer, rectal cancer, endometrial cancer, gastric carcinoma (including gastrointestinal carcinoid tumors and gastrointestinal stromal tumors), glioblastoma, hepatocellular carcinoma, small cell lung cancer, non-small cell lung cancer (NSCLC), melanoma, ovarian cancer, cervical cancer, pancreatic cancer, prostate carcinoma, acute myelogenous leukemia (AML), chronic myelogenous leukemia (CML), non-Hodgkin's lymphoma, and thyroid carcinoma. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation; where the cancer is selected from prostate cancer, NSCLC, ovarian cancer, cervical cancer, breast cancer, colon cancer, rectal cancer, and glioblastoma. In another embodiment, the invention

is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation; where the cancer is selected from NSCLC, breast cancer, prostate cancer, glioblastoma, and ovarian cancer.

[00114] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or more chemotherapeutic agents.

[00115] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from rapamycin, a rapamycin analogue, an alkylating agent, a taxane, a platin, an EGFR inhibitor, and an ErbB2 inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from rapamycin, temozolomide, paclitaxel, docetaxel, carboplatin, cisplatin, oxaliplatin, gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474), HKI-272, pelitinib, canertinib, a compound selected from Table 4, a compound in Table 7, and lapatinib. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from rapamycin, temozolomide, paclitaxel, docetaxel, carboplatin, trastuzumab, erlotinib, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-

({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, a compound in Table 7, and lapatinib. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from rapamycin, paclitaxel, carboplatin, erlotinib, and *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine.

[00116] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from a platin and a taxane. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from carboplatin, cisplatin, oxaliplatin, and paclitaxel.

[00117] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an AKT inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an AKT inhibitor selected from perifosine, PKC 412, a compound in Table 2a, and a compound in Table 2b.

[00118] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a cMET inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of

the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a cMET inhibitor selected from a compound in Table 3a, a compound in Table 3b, and a compound in Table 3c.

[00119] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an EGFR inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an EGFR inhibitor selected from lapatinib (Tykerb®), gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474), AEE788, HKI-272, EKB-569, CI 1033, a compound selected from Table 4, and a compound in Table 7. In another embodiment, In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an EGFR inhibitor selected from lapatinib (Tykerb®), gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474), AEE788, HKI-272, EKB-569, CI 1033, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, and *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine.

[00120] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an ErbB2 inhibitor. In another embodiment, the invention is

directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an ErbB2 inhibitor selected from lapatinib, EKB-569, HKI272, CI 1033, PKI-166, and a compound selected from Table 4.

[00121] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an HSP90 inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an HSP90 inhibitor selected from 17-AAG, 17-DMAG, Geldanamycin, and CNF2024. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an HSP90 inhibitor selected from 17-AAG, 17-DMAG, and Geldanamycin.

[00122] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an IGF1R inhibitor. In another embodiment, In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an IGF1R inhibitor selected from Table 5a and Table 5b.

[00123] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a

treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a Raf inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a Raf inhibitor selected from sorafenib, RAF 265 (CHIR-265), and a compound in Table 6.

[00124] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a VEGFR inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a VEGFR inhibitor selected from VEGF Trap, ZD6474 (Zactima), cediranib (AZ2171), pazopanib, sunitinib, sorafenib, axitinib, AEE788, RAF 265 (CHIR-265), a compound selected from Table 4, and a compound selected from Table 7.

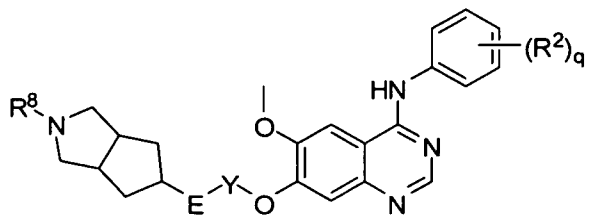
[00125] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a cKIT inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a cKIT inhibitor selected from imatinib, sunitinib, nilotinib, AMG 706, sorafenib, a compound in Table 3b, a compound in Table 3c, a compound in Table 8, and a compound in Table 9.

[00126] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the

chemotherapeutic agents is a FLT3 inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a FLT3 inhibitor selected from CEP-701, PKC 412, sunitinib, MLN518, sunitinib, sorafenib, a compound in Table 3a, a compound in Table 3b, a compound in Table 3c, and a compound in Table 9.

[00127] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from rapamycin, a rapamycin analogue, PI103, and SF 1126. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from rapamycin, CCI-779, AP23573, RAD 001, TAFA 93, PI103, and SF 1126. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is rapamycin.

[00128] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is of formula **100**:



100

where q is 1, 2, or 3; E is $-NR^9-$, -O-, or absent and Y is $-CH_2CH_2-$, $-CH_2-$, or absent provided that when E is $-NR^9-$ or -O-, then Y is $-CH_2CH_2-$; R^2 is selected from halogen, trihalomethyl, -CN, $-NO_2$, $-OR^3$, and lower alkyl; R^8 is selected from -H, lower alkyl, $-C(O)OR^3$, $-C(O)N(R^3)R^4$, $-SO_2R^4$, and $-C(O)R^3$; R^9 is hydrogen or lower alkyl; R^3 is hydrogen or R^4; R^4 is selected from lower alkyl, aryl, lower arylalkyl, heterocyclyl, and lower heterocyclylalkyl; or R^3 and R^4, when taken together with a common nitrogen to which they are attached, form a five- to seven-membered heterocyclyl, said five- to seven-membered heterocyclyl optionally containing one or more additional heteroatom selected from N, O, S, and P; or a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, additionally optionally as a solvate, and additionally as a hydrate thereof. The terms used to describe the scope of formula 100 are defined in WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522,004) which is herein incorporated by reference. Whenever a compound of formula 100 is described in this application, whether by structure or by use of the term "formula 100," the terms used to describe that compound are defined by WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522,004). In particular, "alkyl" in formula 100 is intended to include linear, branched, or cyclic hydrocarbon structures and combinations thereof, inclusively; "lower alkyl" means alkyl groups of from one to six carbon atoms. "Aryl" in formula 100 means an aromatic six- to fourteen-membered carbocyclic rings which include, for example, benzene, naphthalene, indane, tetralin, fluorene and the like. "Lower arylalkyl" in formula 100 means a residue in which an aryl moiety is attached to a parent structure via one of an alkylene, alkenylene, or alkynylene radical where the "alkyl" portion of the group has one to six carbons; examples include benzyl, phenethyl, phenylvinyl, phenylallyl and the like. In formula 100, "heterocyclyl" means a stable monocyclic, bicyclic or tricyclic three- to fifteen-membered ring radical (including fused or bridged ring systems) that consists of carbon atoms and from one to five heteroatoms selected from the group consisting of nitrogen, phosphorus, oxygen and sulfur where the nitrogen, phosphorus, carbon and sulfur atoms in the heterocyclyl radical may be optionally oxidized to various oxidation states and the nitrogen atom may be optionally quaternized; and the ring radical may be partially or fully saturated or aromatic. "Lower heterocyclylalkyl" means a residue in which a heterocyclyl is attached to a parent structure via one of an alkylene, alkenylene, and alkynylene radical having one to six carbons.

[00129] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a

Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2a. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2a. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2a.

[00130] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2b. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2b. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2b.

[00131] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3a. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two

chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3a. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3a.

[00132] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3b. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3b. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3b.

[00133] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3c. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3c. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3c.

[00134] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 4. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 4. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 4.

[00135] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, or *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine,

N-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, or *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, or *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[00136] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of

Formula I selected from Table 1 in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[00137] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5ac. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5a. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5a.

[00138] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5b. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5b. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5b.

[00139] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 6. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 6. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 6.

[00140] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 7. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 7. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 7.

[00141] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 8. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to

a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 8. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 8.

[00142] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 9. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 9. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 9.

[00143] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agent is paclitaxel.

[00144] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agent is rapamycin.

[00145] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a

Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agent is carboplatin.

[00146] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agent is erlotinib.

[00147] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agent is lapatinib.

[00148] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two antibodies where one of the antibodies is trastuzumab.

[00149] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two antibodies where one of the antibodies is cetuximab.

[00150] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two antibodies where one of the antibodies is panitumumab.

[00151] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two antibodies where one of the antibodies is bevacizumab.

[00152] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is radiation. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a

therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where the treatment is radiation. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is radiation.

[00153] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two antibodies. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two antibodies independently selected from an IGF1R antibody (including, for example, $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb and $^{\alpha}$IGF-1R CP-751871 MoAb), Alemtuzumab, Bevacizumab (Avastin®), Gemtuzumab, Gemtuzumab ozogamicin, Ibritumomab tiuxetan, Panitumumab, Rituximab, Tositumomab, Omnitarg (pertuzimab), an anti-ErbB2 anibodys (including trastuzumab (Herceptin®)), and an anti-EGFR antibodies (including, for example, cetuximab (Erbitux), panitumumab, nimotuzumab, and EMD72000)).

[00154] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where the treatment is one or two antibodies. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where the treatment is one or two antibodies independently selected from an IGF1R antibody (including, for example, $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb and $^{\alpha}$IGF-1R CP-751871 MoAb), Alemtuzumab, Bevacizumab (Avastin®), Gemtuzumab, Gemtuzumab ozogamicin, Ibritumomab tiuxetan, Panitumumab, Rituximab, Tositumomab, Omnitarg (pertuzimab), an anti-ErbB2 anibodys (including trastuzumab (Herceptin®)), and an anti-EGFR antibodies (including, for example, cetuximab (Erbitux), panitumumab, nimotuzumab, and EMD72000)).

[00155] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a

Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two antibodies. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two antibodies independently selected from an IGF1R antibody (including, for example, $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb and $^{\alpha}$IGF-1R CP-751871 MoAb), Alemtuzumab, Bevacizumab (Avastin®), Gemtuzumab, Gemtuzumab ozogamicin, Ibritumomab tiuxetan, Panitumumab, Rituximab, Tositumomab, Omnitarg (pertuzimab), an anti-ErbB2 anibodys (including trastuzumab (Herceptin®)), and an anti-EGFR antibodies (including, for example, cetuximab (Erbitux), panitumumab, nimotuzumab, and EMD72000)).

[00156] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or more chemotherapeutic agents where one of the chemotherapeutic agent is temozolomide. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where the treatment is one or more chemotherapeutic agents where one of the chemotherapeutic agent is temozolomide. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or more chemotherapeutic agents where one of the chemotherapeutic agent is temozolomide.

[00157] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is surgery. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where the treatment is surgery. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is surgery.

[00158] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two hormone therapies. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I or I(a), as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two hormone therapies independently selected from tamoxifen, Toremifene (Fareston), Fulvestrant (Faslodex), Megestrol acetate (Megace), ovarian ablation, Raloxifene, a luteinizing hormone-releasing hormone (LHRH) analog (including goserelin and leuprolide), Megestrol acetate (Megace), and one or more aromatase inhibitors. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I or I(a), as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two hormone therapies where one of the hormone therapies is an aromatase inhibitor selected from letrozole (Femara), anastrozole (Arimidex), and exemestane (Aromasin). In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I or I(a), as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two hormone therapies independently selected from from tamoxifen and an aromatase inhibitor.

[00159] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two hormone therapies. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where one of the treatments is one or two hormone therapies independently selected from tamoxifen, Toremifene (Fareston), Fulvestrant (Faslodex), Megestrol acetate (Megace), ovarian ablation, Raloxifene, a luteinizing hormone-releasing hormone (LHRH) analog (including goserelin and leuprolide), Megestrol acetate (Megace), and one or two aromatase inhibitors. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in

combination with a treatment where one of the treatments is one or two hormone therapies where one of the hormone therapies is an aromatase inhibitors selected from letrozole (Femara), anastrozole (Arimidex), and exemestane (Aromasin). In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where one of the treatments is one or two hormone therapies independently selected from from tamoxifen and an aromatase inhibitor.

[00160] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where one of the treatments is one antibody selected from an EGFR antibody and an ErbB2 antibody, or the treatment is one or two chemotherapeutic agents independently selected from a rapamycin, rapamycin analogue, an alkylating agent, a taxane, a platin, an EGFR inhibitor, and an ErbB2 inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I saccording to Formula I(a) in combination with a treatment where one of the treatments is one antibody selected from an EGFR antibody and an ErbB2 antibody, or the treatment is one or two chemotherapeutic agents independently selected from rapamycin, a rapamycin analogue, an alkylating agent, a taxane, a platin, an EGFR inhibitor, and an ErbB2 inhibitor. In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where one of the treatments is one antibody selected from an EGFR antibody and an ErbB2 antibody, or the treatment is one or two chemotherapeutic agents independently selected from rapamycin, a rapamycin analogue, an alkylating agent, a taxane, a platin, an EGFR inhibitor, and an ErbB2 inhibitor.

[00161] In another embodiment, the invention is directed to a method of treating acute myelogenous leukemia (AML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from bone marrow or peripheral blood stem cell transplantation, radiation, one or two antibodies, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating acute myelogenous leukemia (AML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as

defined in the Summary of the Invention, in combination with one or t treatments where one of the treatments is one antibody selected from Gemtuzumab ozogamicin (Mylotarg), $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb, $^{\alpha}$IGF-1R CP-751871 MoAb and trastuzumab. In another embodiment, the invention is directed to a method of treating acute myelogenous leukemia (AML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents selected from Imatinib (i.e. Gleevec®), PKC 412, CEP-701, daunorubicin, doxorubicin, cytarabine (ara-C), an anthracycline drug such as daunorubicin or idarubicin (Daunomycin, Idamycin), 6-thioguanine, and a granulocyte colony-stimulating factor (such as Neupogen or Leukine).

[00162] In another embodiment, the invention is directed to a method of treating chronic myelogenous leukemia (CML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from bone marrow or peripheral blood stem cell transplantation, radiation, one or two chemotherapeutic agents, immunotherapy, and one or two antibodies. In another embodiment, the invention is directed to a method of treating chronic myelogenous leukemia (CML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents selected from Imatinib (i.e. Gleevec®), PKC 412, hydroxyurea (Hydrea), cytosine, cytosine arabinoside, dasatinib, AMN107, VX680 (MK0457), and cytarabine (ara-C). In another embodiment, the invention is directed to a method of treating chronic myelogenous leukemia (CML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents selected from Imatinib (i.e. Gleevec®) and dasatinib. In another embodiment, the invention is directed to a method of treating chronic myelogenous leukemia (CML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is immunotherapy and the immunotherapy is interferon therapy such as interferon-α.

[00163] In another embodiment, the invention is directed to a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery (including cryosurgery), radiation, one or two chemotherapeutic agents, one or two antibodies, and one or two hormone therapies. In another embodiment, the invention is directed to a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is an antibody selected from $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb, and $^{\alpha}$IGF-1R CP-751871 MoAb. In another embodiment, the invention is directed to a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents independently selected from rapamycin, mitoxantrone, prednisone, docetaxel (Taxotere), doxorubicin, etoposide, vinblastine, paclitaxel, and carboplatin. In another embodiment, the invention is directed to a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two of the hormone therapy indepependently selected from androgen deprivation therapy and androgen suppression therapy. In another embodiment, the invention is directed to a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a taxanes. In another embodiment, the invention is directed to a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents where one of the chemotherapeutic agents is rapamycin.

[00164] In another embodiment, the invention is directed to a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in

combination with one or more treatments independently selected from surgery, radiation, one or two immunotherapies, one or two hormone therapies, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from an alkylating agent, a taxane, a platin, and a Raf inhibitor. In another embodiment, the invention is directed to a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from sorafenib, Paclitaxel (Taxol®), Docetaxel (Taxotere®), dacarbazine, rapamycin, imatinib mesylate (Gleevec®), sorafenib, cisplatin, carboplatin, dacarbazine (DTIC), carmustine (BCNU), vinblastine, temozolomide (Temodar), Melphalan, and imiquimod (Aldara). In another embodiment, the invention is directed to a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two immunotherapies independently selected from ipilimumab, interferon-alpha and interleukin-2. In another embodiment, the invention is directed to a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is hormone therapy where the hormone therapy is tamoxifen.

[00165] In another embodiment, the invention is directed to a method of treating colon or rectal cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, one or two antibodies, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating colon or rectal cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is surgery selected from local excision, electrofulguration, segmental colon resection, polypectomy, local transanal resection, low anterior resection,

abdominoperineal resection, and pelvic exenteration. In another embodiment, the invention is directed to a method of treating colon or rectal cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platinum-containing compound (including cisplatin, oxaliplatin, and carboplatin), 5-fluorouracil (5-FU), leucovorin, capecitabine (Xeloda), irinotecan (Camptosar), FOLFOX (Folinic acid, 5-FU, Oxaliplatin), and leucovorin. In another embodiment, the invention is directed to a method of treating colon or rectal cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two antibodies independently selected from cetuximab (Erbitux) and bevacizumab (Avastin).

[00166] In another embodiment, the invention is directed to a method of treating pancreatic cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, one or two antibodies, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating pancreatic cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is selected from one or two chemotherapeutic agents independently selected from platinum-containing compound (including cisplatin, oxaliplatin, and carboplatin), 5-fluorouracil (5-FU), gemcitabine, a taxane (including paclitaxel and docetaxel), topotecan, irinotecan, capecitabine, streptozocin, erlotinib (Tarceva), , leucovorin, and capecitabine (Xeloda). In another embodiment, the invention is directed to a method of treating pancreatic cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is an antibody wehre the antibody is cetuximab.

[00167] In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, one or two

chemotherapeutic agents, one or two hormone therapies, and one or two antibodies. In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents independently selected from lapatinib (Tykerb®), Paclitaxel (Taxol®), docetaxel, capecitabine, Cyclophosphamide (Cytoxan), CMF (cyclophosphamide, fluoruracil, and methotrexate), methotrexate, fluorouracil, doxorubicin, epirubicin, gemcitabine, carboplatin (Paraplatin), cisplatin (Platinol), vinorelbine (Navelbine), capecitabine (Xeloda), pegylated liposomal doxorubicin (Doxil), albumin-bound paclitaxel (Abraxane), AC (adriamycin and Cyclophosphamide), adriamyclin, and pamidronate or zoledronic acid (to treat bone weakness). In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two hormone therapies independently selected from tamoxifen, Toremifene (Fareston), Fulvestrant (Faslodex), Megestrol acetate (Megace), ovarian ablation, Raloxifene, a luteinizing hormone-releasing hormone (LHRH) analogs (including goserelin and leuprolide), Megestrol acetate (Megace), and one or more aromatase inhibitors. In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two hormone therapies and one of the hormone therapies is an aromatase inhibitors selected from letrozole (Femara), anastrozole (Arimidex), and exemestane (Aromasin). In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two antibodies independently selected from $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb, $^{\alpha}$IGF-1R CP-751871 MoAb, bevacizumab (Avastin), and trastuzumab.

[00168] In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination

with one or more treatments where one of the treatments is one or two chemotherapeutic agents and one of the chemotherapeutic agents is erlotinib.

[00169] In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents and one or two of the chemotherapeutic agents are independently selected from rapamycin, lapatinib, erlotinib, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof, and *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[00170] In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two of the antibodies. In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two antibodies and one of the antibodies is trastuzumab.

[00171] In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two of the

chemotherapeutic agents and one of the chemotherapeutic agents is selected from *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, and *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine; optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[00172] In another embodiment, the invention is directed to a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents and one of the chemotherapeutic agents is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[00173] In another embodiment, the invention is directed to a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, one or more antibodies, and one or more chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from cisplatin, oxaliplatin, carboplatin, Zactima (ZD6474), Paclitaxel, Docetaxel (Taxotere®), Gemcitabine (Gemzar®), Vinorelbine, Irinotecan, Etoposide, Vinblastine, Erlotinib (Tarceva®), gefitinib (Iressa), and Pemetrexed. In another embodiment, the invention is directed to a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is an antibody and the antibody is Bevacizumab. In

another embodiment, the invention is directed to a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from cisplatin, oxaliplatin, carboplatin, Paclitaxel, Docetaxel (Taxotere®), and erlotinib (Tarceva®).

[00174] In another embodiment, the invention is directed to a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents and one of the chemotherapeutic agents is carboplatin.

[00175] In another embodiment, the invention is directed to a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents and one of the chemotherapeutic agents is selected from *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine, and *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine; optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. In another embodiment, the invention is directed to a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents and one of the chemotherapeutic agents is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[00176] In another embodiment, the invention is directed to a method of treating small cell lung cancer which method comprises administering to a patient a therapeutically effective

amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapy agents independently selected from a platin (such as cisplatin, oxaliplatin, and carboplatin), gefitinib, vinorelbine, docetaxel, paclitaxel, etoposide, fosfamide, ifosfamide, cyclophosphamide, cyclophosphamide/doxorubicin/vincristine (CAV), doxorubicin, vincristine, gemcitabine, paclitaxel, vinorelbine, topotecan, irinotecan, methotrexate, and docetaxel.

[00177] In another embodiment, the invention is directed to a method of treating papillary or anaplastic thyroid cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, radioactive iodine therapy, one or two hormone therapies, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating papillary or anaplastic thyroid cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from thyroid hormone pills, Doxorubucin and a platin. In another embodiment, the invention is directed to a method of treating papillary or anaplastic thyroid cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is hormone therapy and the hormone therapy is radioiodine ablation.

[00178] In another embodiment, the invention is directed to a method of treating endometrial cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, one or two hormone therapies, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating endometrial cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with

one or more treatments where one of the treatments is one or two hormone therapies independently selected from megestrol acetate, Tamoxifen, and a progestin including medroxyprogesterone acetate (Provera) and megestrol acetate (Megace). In another embodiment, the invention is directed to a method of treating endometrial cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platinum-containing compound (including cisplatin, oxaliplatin, and carboplatin, more for example cisplatin), a taxane (including paclitaxel), doxorubicin (Adriamycin), cyclophosphamide, fluorouracil (5-FU), methotrexate, and vinblastine.

[00179] In another embodiment, the invention is directed to a method of treating ovarian cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, one or two antibodies, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating ovarian cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is an antibody and the antibody is bevacizumab. In another embodiment, the invention is directed to a method of treating ovarian cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platinum-containing compound (including cisplatin, oxaliplatin and carboplatin), a taxane (including paclitaxel and docetaxel), topotecan, an anthracyclines (including doxorubicin and liposomal doxorubicin), gemcitabine, cyclophosphamide, vinorelbine (Navelbine), hexamethylmelamine, ifosfamide, etoposide, bleomycin, vinblastine, ifosfamide, vincristine, and cyclophosphamide. In another embodiment, the invention is directed to a method of treating ovarian cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platin and a taxane. In another embodiment, the invention is directed to a method of treating ovarian cancer which method

comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from cisplatin, oxaliplatin, carboplatin, paclitaxel, and docetaxel.

[00180] In another embodiment, the invention is directed to a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, one or two chemotherapeutic agents, one or two anti-seizure agents, and one or two agents to reduce swelling. In another embodiment, the invention is directed to a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is radiation selected from external beam radiation, interstitial radiotherapy, and stereotactic radiosurgery. In another embodiment, the invention is directed to a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from carmustine (BCNU), Erlotinib (Tarceva), bevacizumab, gefitinib (Iressa), rapamycin, temozolomide, cisplatin, BCNU, lomustine, procarbazine, and vincristine. In another embodiment, the invention is directed to a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is an anti-seizure agent and the anti-seizure agent is diphenylhydantoin (Dilantin). In another embodiment, the invention is directed to a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is an agents to reduce swelling and the agent is dexamethasone (Decadron). In another embodiment, the invention is directed to a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of

treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from erlotinib and temozolomide.

[00181] In another embodiment, the invention is directed to a method of treating cervical cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating cervical cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is surgery selceted from cryosurgery, laser surgery, loop electrosurgical excision, conization, simple hysterectomy, and radical hysterectomy and pelvic lymph node dissection. In another embodiment, the invention is directed to a method of treating cervical cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is radiation selected from called external beam radiation therapy and brachytherapy. In another embodiment, the invention is directed to a method of treating cervical cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platinum compound (such as cisplatin, carboplatin, and oxaliplatin), paclitaxel, topotecan, ifosfamide, gemcitabine, vinorelbine, and fluorouracil.

[00182] In another embodiment, the invention is directed to a method of treating a gastrointestinal carcinoid tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, immunotherapy, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating a gastrointestinal carcinoid tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is surgery selected from excision

and electrofulguration. In another embodiment, the invention is directed to a method of treating a gastrointestinal carcinoid tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from cyproheptadine, SOM230, octreotide and lanreotide. In another embodiment, the invention is directed to a method of treating a gastrointestinal carcinoid tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is immunotherapy and the immunotherapy is an interferon.

[00183] In another embodiment, the invention is directed to a method of treating a gastrointestinal stromal tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiation, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating a gastrointestinal stromal tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from imatinib mesylate (Gleevec), sunitinib (Sutent), and nilotinib (AMN107).

[00184] In another embodiment, the invention is directed to a method of treating hepatocellular carcinoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from surgery, radiofrequency ablation, ethanol ablation, cryosurgery, hepatic artery embolization, chemoembolization, radiation, and one or two chemotherapeutic agents. In another embodiment, the invention is directed to a method of treating hepatocellular carcinoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is surgery selected from resection and transplantation. In another embodiment, the invention is directed to a method of treating hepatocellular carcinoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one

or two chemotherapeutic agents independently selected from sorafenib, 5-fluorouracil and cisplatin.

[00185] In another embodiment, the invention is directed to a method of treating non-Hodgkin's lymphoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments independently selected from radiation, one or two chemotherapeutic agents, interferon therapy, one or two antibodies, and bone marrow or peripheral blood stem cell transplantation. In another embodiment, the invention is directed to a method of treating non-Hodgkin's lymphoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents selected from CHOP (cyclophosphamide, doxorubicin, vincristine and prednisone), chlorambucil, fludarabine, and etoposide. In another embodiment, the invention is directed to a method of treating non-Hodgkin's lymphoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is an antibody selected from rituximab, ibritumomab tiuxetan, tositumomab, and alemtuzumab. In another embodiment, the invention is directed to a method of treating non-Hodgkin's lymphoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is an antibody and the anitbody is rituximab.

[00186] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is radiation and another treatment is surgery.

[00187] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is radiation and another treatment is one or two chemotherapeutic agents.

[00188] In another embodiment, the invention is directed to a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with one or more treatments where one of the treatments is surgery and another treatment is one or two chemotherapeutic agents.

[00189] For each of the foregoing embodiments, the Compound of Formula I is selected from any of the following embodiments, including from the Representative Compounds in Table 1.

[00190] One embodiment (A) of the invention is directed to a compound of Formula I where W^1, W^2, W^3, and W^4 are -C(R^1)=; or one or two of W^1, W^2, W^3, and W^4 are independently –N= and the remaining are -C(R^1)=; where each R^1 is independently hydrogen, alkyl, haloalkyl, nitro, alkoxy, haloalkoxy, halo, hydroxy, cyano, amino, alkylamino, or dialkylamino; and all other groups are as defined in the Summary of the Invention. In another embodiment, W^1, W^2, W^3, and W^4 are -C(R^1)= and each R^1 is independently hydrogen or alkyl; or one of W^1 and W^4 is –N= and the other is -C(H)=. In another embodiment, W^1, W^2, W^3, and W^4 are -C(R^1)= where each R^1 is independently hydrogen or alkyl. In another embodiment, R^1 is hydrogen.

[00191] Another embodiment (B) of the invention is a Compound of Formula I where R^{50} is hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, -N(R^{55})C(O)-C_1-C_6-alkylene-N(R^{55a})R^{55b}, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, -$S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino; where R^{55} and R^{55b} are indepedently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^{50} is hydrogen.

[00192] Another embodiment (C) of the invention is a Compound of Formula I where R^{51} is hydrogen or alkyl; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^{51} is alkyl, In another embodiment, R^{51} is methyl.

[00193] Another embodiment (D) of the invention is a Compound of Formula I where R^{52} is hydrogen or halo; and all other groups are as defined in the Summary of the Invention. In another embodiment R^{52} is hydrogen or fluoro. In another embodiment, R^{52} is hydrogen.

[00194] Another embodiment (E) of the invention is a Compound of Formula I where R^{53} is hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, -N(R^{55})C(O)-C_1-C_6-alkylene-

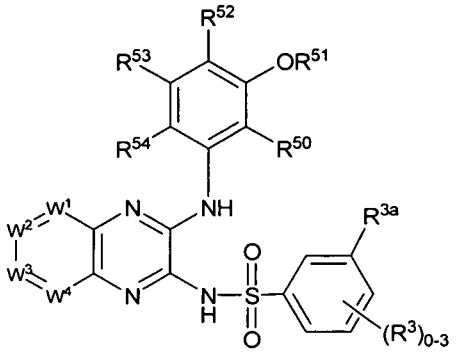
$N(R^{55a})R^{55b}$, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, $-S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino; where R^{55} and R^{55b} are indepedently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^{53} is hydrogen, alkoxy, nitro, amino, or $-N(R^{55})C(O)-C_1-C_6$-alkylene-$N(R^{55a})R^{55b}$. In another embodiment, R^{53} is hydrogen, methoxy, nitro, amino, or $-NHC(O)CH_2N(CH_3)_2$. In another embodiment, R^{53} is hydrogen or methoxy.

[00195] Another embodiment (F) of the invention is a Compound of Formula I where R^{54} is hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, $-N(R^{55})C(O)-C_1-C_6$-alkylene-$N(R^{55a})R^{55b}$, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, $-S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino; where R^{55} and R^{55b} are indepedently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^{54} is hydrogen, alkyl, alkoxy, or halo. In another embodiment, R^{54} is hydrogen, methyl, methoxy, bromo, or chloro. In another embodiment, R^{54} is hydrogen, methoxy, or chloro.

[00196] Another embodiment (G) of the invention is directed to a compound of Formula I where R^{50}, R^{52}, and R^{53} are hydrogen and R^{54} is halo or alkoxy; R^{50}, R^{52}, and R^{54} are hydrogen and R^{53} is alkoxy; or R^{50} and R^{52} are hydrogen and R^{53} and R^{54} together with the carbons to which they are attached form a 6-membered heteroaryl; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^{50}, R^{52}, and R^{53} are hydrogen and R^{54} is chloro or methoxy; R^{50}, R^{52}, and R^{54} are hydrogen and R^{53} is methoxy; or R^{50} and R^{52} are hydrogen and R^{53} and R^{54} together with the carbons to which they are attached form pyridinyl. Even more speicfically, R^{50}, R^{52}, and R^{53} are hydrogen and R^{54} is chloro or methoxy; or R^{50}, R^{52}, and R^{54} are hydrogen and R^{53} is methoxy.

[00197] In another embodiment (G1) of embodiment G is a compound of Formula I where R^{51} is methyl.

[00198] Another embodiment (H) of the invention is a compound of Formula I where B is phenyl substituted with R^{3a} and optionally further substituted with one, two, or three R^3; and all other groups are as defined in the Summary of the Invention. In another embodiment, B is phenyl substituted with R^{3a}. In another embodiment the Compound is of Formula I(a):



I(a).

In another embodiment, B is phenyl substituted with R^{3a} as depicted in **Ia** and is not further substituted with R^3.

[00199] Another embodiment of the Invention (J) is directed to a compound of Formula I where B is heteroaryl optionally substituted with one, two, or three R^3. In another embodiment, B is thien-3-yl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, oxazolyl, isoxazolyl, pyrrolyl, imidazolyl, pyrazolyl, or thiazolyl, each of which is optionally substituted with one or two R^3. In another embodiment, B is thien-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, imidazol-2-yl, pyrrol-2-yl, pyrrol-3-yl, imidazol-4-yl, imidazol-5-yl, pyrazol-3-yl, pyrazol-4-yl, or pyrazol-5-yl, each of which is optionally substituted with one or two R^3. In another embodiment, B is thien-3-yl, pyridin-3-yl, pyridin-4-yl, isoxazol-4-yl, or pyrazol-4-yl, each of which is optionally substituted with one or two R^3. In another embodiment, B is pyridin-3-yl, 2-hydroxy-pyridin-5-yl, isoxazol-4-yl, or pyrazol-4-yl, each of which is optionally substituted with one or two R^3.

[00200] Another embodiment (K) provides a compound of Formula I or Ia where R^{3a} is cyano; hydroxyamino; carboxy; alkylsulfonyl, aminoalkyloxy; alkylaminoalkyloxy; dialkylaminoalkyloxy; -$N(R^7)C(O)$-C_1-C_6-alkylene-$N(R^{7a})(R^{7b})$; -$C(O)NR^8R^{8a}$; -$NR^9C(O)R^{9a}$; -$C(O)N(R^{10})$-C_1-C_6-alkylene-$N(R^{10a})R^{10b}$; -$NR^{11}C(O)NR^{11a}R^{11b}$ where R^{11a}; -$C(O)R^{12}$; -$NR^{13}C(O)OR^{13a}$; -$C(O)N(R^{14})N(R^{14a})(R^{14b})$; -$S(O)_2N(R^{15})$-$C_1$-$C_6$-alkylene-$N(R^{15a})R^{15b}$; -$C(O)N(R^{16})$-$C_1$-$C_6$-alkylene-$C(O)OR^{16a}$; heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; -$N(R^{17})$-$C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$; -$N(R^{18})C(O)$-$C_1$-$C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$; -$C(O)N(R^{19})$-$C_1$-$C_6$-alkylene-$C(O)R^{19a}$; -$N(R^{22})C(O)$-$C_1$-$C_6$-alkylene-$N(R^{22b})$-$N(R^{22c})(R^{22a})$; -$C_0$-$C_6$-alkylene-$N(R^{23})$-$C_1$-$C_6$-alkylene-$N(R^{23b})R^{23a}$; or -$NR^{24}C(O)$-$C_1$-$C_6$-alkylene-$OR^{24a}$; where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5

groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and all other groups are as defined in the Summary of the Invention.

[00201] In another embodiment, R^{3a} is -NHC(O)$CH_2NH(CH_3)$, -NHC(O)$CH_2NH(CH_2CH_3)$, -NHC(O)$CH(CH_3)NH_2$, -NHC(O)$C(CH_3)_2NH_2$, -NHC(O)$CH_2N(CH_3)_2$, -NHC(O)$CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, -NHC(O)$CH(NH_2)CH_2CH_3$, -NHC(O)$CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, -NHC(O)$CH(CH_3)NH(CH_3)$, -NHC(O)$CH_2NH_2$, -NHC(O)H, -NHC(O)$CH_2$(azetidin-1-yl), -NHC(O)(pyrrolidin-2-yl), -NHC(O)$CH(NH_2)CH_2OH$, -NHC(O)(azetidin-4-yl), -NHC(O)$C(CH_3)_2NH(CH_3)$, -NH_2, -NHC(O)$CH_2NH(CH_2CH_2CH_3)$, -NHC(O)$CH_2CH_2NH_2$, -NHOH, -NHC(O)(piperidin-3-yl), -NHC(O)CH_2(4-methyl-1,4-diazepan-1-yl), -NHC(O)$CH(NH_2)(CH_2CH_3)$, -NHC(O)$CH_2NH(CH_2CH(OH)(CH_3))$, -NHC(O)$CH_2NHCH_2CH_2F$, -NHC(O)$CH_2NH(OCH_2CH(CH_3)_2)$, -NHC(O)(1-aminocycloprop-1-yl), -NHC(O)$CH_2NH(CH_2$cyclopropyl), -NHC(O)CH_2(3-(dimethylamino)-azetidin-1-yl), -NHC(O)(piperidin-2-yl), -NHC(O)(morpholin-4-yl), -NHC(O)CH_2(pyrrolidin-1-yl), -NHC(O)$CH(NH_2)CH_2CH_2CH_2CH_2N(CH_3)_2$, -NHC(O)$CH_2N(CH_3)(CH_2CH_3)$, -NHC(O)$CH_2$(imidazol-5-yl), -NHC(O)(1-aminocyclopent-1-yl), -NHC(O)$CH_2NH(CH_2CH(CH_3)_2)$, -NHC(O)$CH_2N(CH_3)(CH_2CH_3)$, -NHC(O)(*N*-(imidazol-4-ylmethyl)-azetidin-3-yl), -NHC(O)(*N*-ethyl-azetidin-3-yl), -$NHCH_2N(CH_3)CH_2CH_2N(CH_3)_2$, -NHC(O)$CH_2N(CH_3)$(*N*-methyl-pyrrolidin-3-yl), -NHC(O)$CH_2N(CH_3)(CH_2CH_2N(CH_3)_2)$, -NHC(O)$CH_2$(3-hydroxy-pyrrolidin-1-yl), -NHC(O)(1-amino-cyclobut-1-yl), -NHC(O)$CH_2NH(CH_2)_3CH_3$, -NHC(O)CH_2(3-piperidin-1-ylazetidin-1yl), -$NHC(O)NH_2$, -NHC(O)(1-hydroxycyclopropyl), -NHC(O)$CH_2NHN(CH_3)_2$, -NHC(O)$NH(CH_2)_2N(CH_3)_2$, -NHC(O)CH_2OH, -NHC(O)(pyridazin-4-yl), -NHC(O)(N-methyl-piperidin-4-yl), -NHC(O)$CH_2NHCH(CH_3)_3$, -NHC(O)CH_2(3-dimethylamino-pyrrolidin-1yl), -NHC(O)$CH_2NH(CH_2)_2N(CH_3)_2$, -NHC(O)(1-cyclopropylmethyl-azetidin-3-yl), -NHC(O)$CH_2NH(CH_3)_3$, -NHC(O)(imidazol-2-yl), -NHC(O)(imidazol-4-yl), -NHC(O)(1,2-oxazol-5-yl), -NHC(O)$CH_2NHCH_2CF_3$, -NHC(O)CH_2CH_2(piperidin-1-yl), -NHC(O)(3-oxo-cyclopent-1-yl), -NHC(O)(2-hydroxy-pyridin-6-yl), -NHC(O)CH_2NH(3-fluoro-4-hydroxyphenyl), -NHC(O)$(CH_2)_3N(CH_3)_2$, -NHC(O)(1-(furan-2-ylmethyl)-azetidin-3-yl), -NHC(O)(pyrimidin-5-yl), -NHC(O)(pyrrol-2-yl), -NHC(O)$CH_2N(CH_3)CH(CH_3)_2$, -NHC(O)$CH_2N(CH_2CH_3)_2$, -NHC(O)CH_2(3-methyl-1,2-oxazol-5-yl), -NHC(O)CH_2NHCH_2(3-hydroxyphenyl), -NHC(O)(*N*-methyl-pyrrol-2-yl), -NHC(O)(2-amino-tetrahydropyran-2-yl), -NHC(O)CH_2(4-methylamino-piperidin-1-

yl), -NHC(O)(piperidin-1-yl), -NHC(O)(*N*-methyl-pyrrolidin-2yl), -NHC(O)(thien-3yl), -NHC(O)(*N*-(cyclopropylcarbonyl)azetidin-3-yl), -NHC(O)CH_2(4-methylpiperazin-1-yl), -NHC(O)(*N*-benzylazetidin-3-yl), -NHC(O)(2-chloro-pyridin-3-yl), -NHC(O)CH_2(pyridin-4-yl), -NHC(O)$CH_2N(CH_3)(CH_2CH{=}CH_2)$, -NHC(O)$CH_2$NH(benzyl), -NHC(O)$CH_2OCH_3$, -NHC(O)[1-(C(O)$CH_2CH_3$)-azetidin-3-yl], -NHC(O)(pyridin-3-yl), -NHC(O)$CH_2NHCH_2CH_2OCH_3$, -NHC(O)(1-[C(O)CH_3]piperidin-4-yl), -NHC(O)CH_2(2-methyl-pyrrolidin-1-yl), -NHC(O)(furan-3-yl), -NHC(O)$CH_2N(CH_3)_2$, -NHC(O)(2-chloro-pyridin-5-yl), -NHC(O)(2-chlorophenyl), -NHC(O)CH_2(pyridin-2-yl), -NHC(O)CH_2(3-dimethylamino-azetidin-1-yl), -NHC(O)CH_2(pyridin-3-yl), -NHC(O)CH_2(2-chlorophenyl), -NHC(O)$CH_2N(CH_3)CH_2CH_2CH_2N(CH_3)_2$, -NHC(O)$CH_2N(CH_2CH_3)CH_2CH_2OH$, -NHC(O)$CH_2$(2-benzyl-pyrrolidin-1-yl), -NHC(O)(furan-2-yl, -NHC(O)(2-chloro-pyridin-4-yl), -NHC(O)$CH_2NHC(O)CH_3$, -NHC(O)$CH_2CH_2CH_3$, -NHC(O)(4-chlorophenyl), -NHC(O)(4-methyl-phenyl), -NHC(O)$CH_2NHC(O)O(CH_3)_3$, -NHC(O)(benzo[d][1,3]dioxol-5-yl), -NHC(O)CH_2NHOCH_2(2-methoxyphenyl), -NHC(O)(pyridin-4-yl), -NHC(O)CH_2[4-(3,4-dichlorophenyl)-piperazin-1-yl], -NHC(O)CH_2CH_2(pyridin-3-yl), -NHC(O)(tetrahydrofuran-3-yl), -NHC(O)CH_2NHCH_2(2-methylphenyl), -NHC(O)$CH(CH_3)CH_2CH_3$, -NHC(O)CH_2(3-fluorophenyl), -NHC(O)$CH_2C(CH_3)_2$phenyl, -NHC(O)(2-methyl-cycloprop-1-yl), -NHC(O)(2-methyl-4-methoxyphenyl), -NHC(O)(2-methylpyridin-3-yl), -NHC(O)(4-methoxyphenyl), -NHC(O)CH_2(4-ethylpiperazin-1-yl), -NHC(O)(thien-2-yl), -NHC(O)(3-fluoro-2-methylphenyl), -NHC(O)(2-bromo-thien-3-yl), -NHC(O)(4-fluorophenyl), -NHC(O)CH_2(3-methylpiperidin-1-yl), -NHC(O)$CH(CH_3)_2$, -NHC(O)$(CH_2)_3CH_3$, -NHC(O)$CH_2OCH_2CH_3$, -NHC(O)CH_2NH(2-fluorophenyl), -NHC(O)(3-dimethylaminophenyl), -NHC(O)CH_2(4-methylpiperidin-1-yl), -NHC(O)CH_2NH(2-*n*-propylphenyl), -NHC(O)phenyl, -NHC(O)(pyrazin2-yl), -NHC(O)(3-fluoro-4-methoxyphenyl), -NHC(O)$C(CH_3)_2CH_2CH_3$, -NHC(O)CH_2O(4-fluorophenyl), -NHC(O)(1-methylcarbonyl-azetidin-3-yl), -NHC(O)CH_2NH(4-methylphenyl), -NHC(O)CH_2NH(phenyl), -NHC(O)CH_2(4-allyl-piperazin-1-yl), -NHC(O)(2-methylphenyl), -NHC(O)$CH_2CH_2OCH_3$, -NHC(O)(3-methyl-furan-2-yl), -NHC(O)$C(CH_3)_3$, -NHC(O)CH_2NHObenzyl, -NHC(O)CH_2NH(3-chlorophenyl), -NHC(O)cyclobutyl, -NHC(O)CH_2(3-methoxyphenyl), -NHC(O)(1-methylcycloprop-1-yl), -NHC(O)(3-flurophenyl), -NHC(O)(4-dimethylaminophenyl), -NHC(O)(3,4-dichlorophenyl), -NHC(O)CH_2NHCH_2(2-methylthiophenyl), -NHC(O)CH_2(2-fluorophenyl),

-NHC(O)$CH_2N(CH_2CH_3)CH(CH_3)_2$, -NHC(O)(thiazol-4-yl), -NHC(O)$CH_2N(CH_3)$benzyl, -NHC(O)CH_2NHCH_2(thien-2-yl), -NHC(O)CH_2NHCH_2(pyridin-2-yl), -NHC(O)(3-methoxyphenyl), -NHC(O)CH_2NHCH_2(3-chloro-4-methylphenyl), -NHC(O)$CH(CH_3)CH_2CH_2CH_3$, -NHC(O)CH_2(4-chlorophenyl), -NHC(O)(3-fluoro-4-methylphenyl), -NHC(O)CH_2O(2-methylphenyl), -NHC(O)CH_2(cyclohexyl), -NHC(O)(2-phenyl-cycloprop-1-yl), -NHC(O)(3-chlorophenyl), -NHC(O)CH_2(2-methoxyphenyl), -NHC(O)CH_2CH_2(3-methoxyphenyl), -NHC(O)CH_2NH(2-fluoro-4-methyl-phenyl), -NHC(O)CH_2NHCH_2(3-fluoro-phenyl), -NHC(O)CH_2(4-methoxy-phenyl), -NHC(O)benzyl, -NHC(O)(2,4-dichlorophenyl), -NHC(O)(3-oxo-cyclohex-1-yl), -NHC(O)CH_2NH(3-fluorophenyl), -NHC(O)CH_2(3-chlorophenyl), -NHC(O)$CH_2NHCH_2CH(CH_3)$phenyl, -NHC(O)CH_2NHCH_2(2,4-dimethylphenyl), -NHC(O)CH_2(2-methyl-piperidin-1-yl), -NHC(O)CH_2NH(2-methoxyphenyl), -NHC(O)CH_2(1,2,3,4-tetrahydroisoquinolin-2-yl), -NHC(O)$CH_2CH_2CH{=}CH_2$, -NHC(O)CH_2NH(2-methylphenyl), -NHC(O)CH_2(4-oxo-piperidin-1-yl), -NHC(O)(2-fluorophenyl), -NHC(O)$CH_2NHCH(CH_3)$phenyl, -NHC(O)(2-fluoro-6-methoxyphenyl), -NHC(O)CH_2NH(2-isopropylphenyl), -NHC(O)CH_2CH_2(2-methoxyphenyl), -NHC(O)$CH_2CH_2CH(CH_3)_2$, -NHC(O)CH_2(2-phenyl-morpholin-4-yl), -NHC(O)CH_2CH_2(4-methoxyphenyl), -NHC(O)CH_2N(allyl)cyclopentyl, -NHC(O)$CH_2N(CH_3)CH_2CH_2OCH_3$, -NHC(O)CH_2CH_2C(O)cyclopropyl, -NHC(O)CH_2NH(3-*tert*-butylphenyl), -NHC(O)CH_2N(*n*-propyl)(cyclopropylmethyl), -NHC(O)CH_2(2-oxo-cyclopentyl), -NHC(O)CH_2NH(4-chlorophenyl), -NHC(O)CH_2(4-piperidin-1-ylpiperidin-1-yl), -NHC(O)CH_2(4-cyclopentylpiperazin-1-yl), -NHC(O)CH_2(2-methylphenyl), -NHC(O)CH_2NHCH_2(3-fluoro-6-methylphenyl), -NHC(O)$CH_2C(CH_3)_3$, -NHC(O)CH_2NH(2-chlorophenyl), -NHC(O)(3-fluoro-6-methylphenyl), -NHC(O)(4-fluoro-3-methylphenyl), -NHC(O)(2,3-dichlorophenyl), -NHC(O)CH_2Ophenyl, -NHC(O)CH_2NH(2,3-dimethylphenyl), -NHC(O)(2-fluoro-5-methylphenyl), -NHC(O)CH_2NHOCH_2(4-methylphenyl), -NHC(O)CH_2(4-isopropylpiperazin-1-yl), -NHC(O)CH_2(4-fluorophenyl), -NHC(O)$CH_2CH(CH_3)_2$, -NHC(O)(2-methoxy-4-methylphenyl), -NHC(O)CH_2(4-*n*-propylpiperidin-1-yl), -NHC(O)CH_2O(3-methylphenyl), -NHC(O)(tetrahydrofuran-2-yl), -NHC(O)CH_2(3-hydroxymethylpiperidin-1-yl), -NHC(O)(1-*tert*-butoxycarbonylpiperidin-2-yl), -NHC(O)$CH_2N(CH_3)CH_2$(pyridin-3-yl), -NHC(O)$CH_2N(CH_2CH_3)$phenyl, -NHC(O)$CH_2OCH_2CH_2OCH_3$, -NHC(O)CH_2CH_2(cyclopentyl), -NHC(O)(2,5-dichlorophenyl), -NHC(O)CH_2(4-methylcarbonylpiperazin-1-yl), -NHC(O)(5-fluoro-2-

methoxyphenyl), -NHC(O)$CH_2N(CH_2CH_3)$cyclohexyl, -NHC(O)(5-methyl-1,2-oxazol-3-yl), -NHC(O)(3-methylpyridin-3-yl), -NHC(O)(2-methoxypyridin-3-yl), -NHC(O)(3,5-dichlorophenyl), -NHC(O)CH_2(thiazolidin3-yl), -NHC(O)CH_2(4-[C(O)H]-piperazin-1-yl), -NHC(O)CH_2(2-pyridin-4-ylpiperidin-1-yl), -NHC(O)(2-methoxyphenyl), -NHC(O)$CH_2N(CH_3)CH_2CH(CH_3)_2$, -NHC(O)$CH_2$(4-[C(O)H]-homopiperazin-1-yl), -NHC(O)(1-phenylcycloprop-1-yl), -NHC(O)CH_2(2,6-dimethylmorpholin-4-yl), NHC(O)CH_2(2-phenylpyrrolidin-1-yl), -NHC(O)CH_2(morpholin-4-yl), -C(O)$NHCH(CH_3)CH_2N(CH_3)_2$, -C(O)$NHCH_2CH_2N(CH_3)_2$, -C(O)NH(pyrrolidin-3-yl), -C(O)$NHCH_2CH_2$(pyrrolidin-1-yl), -C(O)$NHCH_2CH_2NH_2$, -C(O)$N(CH_3)CH_2CH_2N(CH_3)_2$, -C(O)$NHCH_2$(piperidin-2-yl), -C(O)NH(1-methylazetidin-3-yl), -C(O)$NHCH_2CH_2$(piperidin-1-yl), -C(O)$NHCH_2CH_2N(CH_2CH_3)_2$, -C(O)NH(1-methylpiperidin-3-yl), -C(O)NH(piperidin-3-yl), -C(O)$NHCH_2$(1-methylpiperidin-3-yl), -C(O)$NHCH_2CH_2N(CH_2CH_2OH)_2$, -C(O)NH(1-ethylpiperidin-3-yl), -C(O)NH_2, -C(O)(3-aminopyrrolidin-1-yl), -C(O)(3-methylaminopyrrolidin-1-yl), -C(O)OH, -C(O)$NHCH_2CH_2$(morpholin-4-yl), -C(O)$NHCH_2$(1-ethylpyrrolidin-2-yl), -C(O)(4-amino-3-oxo-pyrazolidin-1-yl), -C(O)$NHCH_3$, -C(O)(3-aminocyclobut-1-yl), -C(O)$NHCH_2$(pyridin-3-yl), -C(O)$NHCH_2CH_2OH$, -C(O)NH(3-oxo-pyrazolidin-4-yl), -$NHCH_2CH_2$(imidazol-4-yl), -C(O)(3-dimethylaminopyrrolidin-1-yl), -C(O)$NHCH_2$(pyridin-4-yl), -C(O)$N(CH_3)$(1-methyl-pyrrolidin-3-yl), -C(O)(3-diethylaminopyrrolidin-1-yl), -C(O)NH(pyrrol-1-yl), -C(O)$NHCH_2CH_2CH_2$(pyrrolidin-1-yl), -C(O)$N(CH_3)CH_2CH_2CN$, -C(O)$NHCH_2CH_2OCH_3$, -C(O)$N(CH_2CH_3)CH_2CH_2CN$, -C(O)(3-aminopiperidin-1-yl), -C(O)$NHCH_2CH_2CH_2N(CH_3)_2$, -C(O)NH(morpholin-4-yl), -C(O)$NHN(CH_3)_2$, -C(O)$NHCH_2CH_2CH_2$(imidazol-1-yl), -C(O)$NHCH_2CH_2CH_2N(CH_2CH_3)_2$, -C(O)$NHCH_2CH_2CN$, -C(O)$NHCH_2CH_2C(O)OCH_3$, -C(O)$NHCH_2CH_2SCH_3$, -C(O)$NHCH_2CH_2SCH_2CH_3$, -C(O)$N(CH_2CH_3)CH_2CH_2N(CH_3)_2$, -C(O)$NHCH_2CH_2CH_2$(2-oxo-pyrrolidin-1-yl), -C(O)$NHCH_2CH_2$(pyridin-4-yl), -C(O)$NHCH_2CH_2CH_2OCH_2CH_3$, -C(O)$NHCH_2CH_2CH_2$(morpholin-4-yl), -C(O)$NHCH_2CH_2CH_2OCH_3$, -C(O)$N(CH_3)CH_2CH_2CH_2N(CH_3)_2$, -C(O)$NHCH_2CH_2CH_2OCH_2CH_2CH_3$, -C(O)$NHCH_2CH_2C(O)OCH_2CH_3$, -C(O)$NHCH_2CH_2CH_2OCH(CH_3)_2$, -C(O)$NHC(CH_3)_2CH_2$(piperidin-1-yl), -C(O)$N(CH_3)CH_2CH_2CH_3$, -C(O)NH(piperidin-1-yl), -C(O)$NHCH(CH_3)CH_2OCH_3$, -C(O)$NHC(CH_3)_2CH_2$(morpholin-4-yl), -C(O)(2-dimethylaminomethylpiperidin-1-yl), -C(O)$NH(CH_2)_3O(CH_2)_3CH_3$, -C(O)$NHCH(CH_3)(CH_2)_3N(CH_2CH_3)_2$, -C(O)$NHC(CH_3)_2C(O)$(piperidin-1-yl), -C(O)(4-methylpiperazin-1-yl), -C(O)(2-piperidin-1-ylmethyl-piperidin-1-yl),

cyano, -$NHCH_3$, -$CH(CH_3)NHCH_2CH_2N(CH_3)_2$, -$C(O)CH_3$, -$S(O)_2NHCH_2CH_2N(CH_3)_2$, -$S(O)_2NH(CH_2)_3N(CH_3)_2$, 5-(*N,N*-dimethylaminomethyl)-1,3,4-oxadiazol-2-yl, -$NHCH_2CH_2N(CH_3)_2$, -$N(CH_3)_2$, -$OCH_2CH_2N(CH_3)_2$, -$NHC[N(CH_3)_2][=N(CH_3)_2]$, -$OCHF_2$, -$S(O)_2CH_3$, -$OCF_3$, or -$NHC(O)CH_2$(4-dimethylaminopiperidin-1-yl).

[00202] In another embodiment (L), the compound of Formula I or Ia is that where R^{3a} is hydroxyamino, -$N(R^7)C(O)$-C_1-C_6-alkylene-$N(R^{7a})(R^{7b})$, -$C(O)NR^8R^{8a}$, -$NR^9C(O)R^{9a}$, -$C(O)N(R^{10})$-C_1-C_6-alkylene-$N(R^{10a})R^{10b}$, -$NR^{11}C(O)NR^{11a}R^{11b}$, -$N(R^{22})C(O)$-$C_1$-$C_6$-alkylene-$N(R^{22b})$-$N(R^{22c})(R^{22a})$, -$NR^{13}C(O)OR^{13a}$, -$N(R^{18})C(O)$-$C_1$-$C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$, -$NR^{24}C(O)$-$C_1$.$C_6$-alkylene-$OR^{24a}$, or -$N(R^{20})C(O)$-$C_1$-$C_6$-alkylene-$C(O)R^{20a}$; where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^{3a} is -$NHC(O)CH_2NH(CH_3)$, -$NHC(O)CH(CH_3)NH_2$, -$NHC(O)C(CH_3)_2NH_2$, -$NHC(O)CH_2N(CH_3)_2$, -$NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, -$NHC(O)CH(NH_2)CH_2CH_3$, -$NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, -$NHC(O)CH(CH_3)NH(CH_3)$, -NHC(O)H, -$NHC(O)CH_2$(azetidin-1-yl), -NHC(O)(pyrrolidin-2-yl), -$NHC(O)CH(NH_2)CH_2OH$, -NHC(O)(azetidin-4-yl), -$NHC(O)C(CH_3)_2NH(CH_3)$, -NH_2, -$NHC(O)CH_2NH(CH_2CH_2CH_3)$, -$NHC(O)CH_2CH_2NH_2$, -NHOH, or -NHC(O)(piperidin-3-yl).

[00203] In another embodiment (M) the compound is of Formula I or Ia and R^{3a} -$N(R^7)C(O)$-C_1-C_6-alkylene-$N(R^{7a})(R^{7b})$; and R^7 is hydrogen or alkyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^{3a} is -$NHC(O)CH_2NH(CH_3)$, -$NHC(O)CH(CH_3)NH_2$, -$NHC(O)C(CH_3)_2NH_2$, -$NHC(O)CH_2N(CH_3)_2$, -$NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, -$NHC(O)CH(NH_2)CH_2CH_3$, -$NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, or -$NHC(O)CH(CH_3)NH(CH_3)$.

[00204] Embodiment (N) provides a compound of Formula I where each R^3 is independently halo; cyano; alkyl; alkenyl; alkoxy; hydroxyamino; carboxy; alkylsulfonyl, aminoalkyloxy; alkylaminoalkyloxy; dialkylaminoalkyloxy; -$N(R^7)C(O)$-C_1-C_6-alkylene-$N(R^{7a})(R^{7b})$; -$C(O)NR^8R^{8a}$; -$NR^9C(O)R^{9a}$; -$C(O)N(R^{10})$-C_1-C_6-alkylene-$N(R^{10a})R^{10b}$; -$NR^{11}C(O)NR^{11a}R^{11b}$ where R^{11a}; -$C(O)R^{12}$; -$NR^{13}C(O)OR^{13a}$; -$C(O)N(R^{14})N(R^{14a})(R^{14b})$; -$S(O)_2N(R^{15})$-$C_1$-$C_6$-alkylene-$N(R^{15a})R^{15b}$; -$C(O)N(R^{16})$-$C_1$-$C_6$-alkylene-$C(O)OR^{16a}$; heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; -$N(R^{17})$-$C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$; -$N(R^{18})C(O)$-$C_1$-$C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$; -$C(O)N(R^{19})$-$C_1$-$C_6$-alkylene-$C(O)R^{19a}$; -$N(R^{22})C(O)$-

C_1-C_6-alkylene-N(R^{22b})-N(R^{22c})(R^{22a}); -C_0-C_6-alkylene-N(R^{23})-C_1-C_6-alkylene-N(R^{23b})R^{23a}; or -$NR^{24}C(O)$-C_1-C_6-alkylene-OR^{24a}; where each of the alkylene in R^3 is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and all other groups are as defined in the Summary of the Invention.

[00205] In another embodiment, each R^3 is independently methyl, bromo, chloro, fluoro, -NHC(O)$CH_2NH(CH_3)$, -NHC(O)$CH_2NH(CH_2CH_3)$, -NHC(O)$CH(CH_3)NH_2$, -NHC(O)$C(CH_3)_2NH_2$, -NHC(O)$CH_2N(CH_3)_2$, -NHC(O)$CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, -NHC(O)$CH(NH_2)CH_2CH_3$, -NHC(O)$CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, -NHC(O)$CH(CH_3)NH(CH_3)$, -NHC(O)$CH_2NH_2$, -NHC(O)H, -NHC(O)$CH_2$(azetidin-1-yl), -NHC(O)(pyrrolidin-2-yl), -NHC(O)$CH(NH_2)CH_2OH$, -NHC(O)(azetidin-4-yl), -NHC(O)$C(CH_3)_2NH(CH_3)$, -NH_2, -NHC(O)$CH_2NH(CH_2CH_2CH_3)$, -NHC(O)$CH_2CH_2NH_2$, -NHOH, -NHC(O)(piperidin-3-yl), -NHC(O)CH_2(4-methyl-1,4-diazepan-1-yl), -NHC(O)$CH(NH_2)(CH_2CH_3)$, -NHC(O)$CH_2NH(CH_2CH(OH)(CH_3))$, -NHC(O)$CH_2NHCH_2CH_2F$, -NHC(O)$CH_2NH(OCH_2CH(CH_3)_2)$, -NHC(O)(1-aminocycloprop-1-yl), -NHC(O)$CH_2NH(CH_2$cyclopropyl), -NHC(O)CH_2(3-(dimethylamino)-azetidin-1-yl), -NHC(O)(piperidin-2-yl), -NHC(O)(morpholin-4-yl), -NHC(O)CH_2(pyrrolidin-1-yl), -NHC(O)$CH(NH_2)CH_2CH_2CH_2CH_2N(CH_3)_2$, -NHC(O)$CH_2N(CH_3)(CH_2CH_3)$, -NHC(O)$CH_2$(imidazol-5-yl), -NHC(O)(1-aminocyclopent-1-yl), -NHC(O)$CH_2NH(CH_2CH(CH_3)_2)$, -NHC(O)$CH_2N(CH_3)(CH_2CH_3)$, -NHC(O)(*N*-(imidazol-4-ylmethyl)-azetidin-3-yl), -NHC(O)(*N*-ethyl-azetidin-3-yl), -$NHCH_2N(CH_3)CH_2CH_2N(CH_3)_2$, -NHC(O)$CH_2N(CH_3)$(*N*-methyl-pyrrolidin-3-yl), -NHC(O)$CH_2N(CH_3)(CH_2CH_2N(CH_3)_2)$, -NHC(O)$CH_2$(3-hydroxy-pyrrolidin-1-yl), -NHC(O)(1-amino-cyclobut-1-yl), -NHC(O)$CH_2NH(CH_2)_3CH_3$, -NHC(O)CH_2(3-piperidin-1-ylazetidin-1yl), -$NHC(O)NH_2$, -NHC(O)(1-hydroxycyclopropyl), -NHC(O)$CH_2NHN(CH_3)_2$, -NHC(O)$NH(CH_2)_2N(CH_3)_2$, -NHC(O)CH_2OH, -NHC(O)(pyridazin-4-yl), -NHC(O)(N-methyl-piperidin-4-yl), -NHC(O)$CH_2NHCH(CH_3)_3$, -NHC(O)CH_2(3-dimethylamino-pyrrolidin-1yl), -NHC(O)$CH_2NH(CH_2)_2N(CH_3)_2$, -NHC(O)(1-cyclopropylmethyl-azetidin-3-yl), -NHC(O)$CH_2NH(CH_3)_3$, -NHC(O)(imidazol-2-yl), -NHC(O)(imidazol-4-yl), -NHC(O)(1,2-oxazol-5-yl), -NHC(O)$CH_2NHCH_2CF_3$, -NHC(O)CH_2CH_2(piperidin-1-yl), -NHC(O)(3-oxo-cyclopent-1-yl), -NHC(O)(2-hydroxy-pyridin-6-yl), -NHC(O)CH_2NH(3-fluoro-4-hydroxyphenyl), -NHC(O)$(CH_2)_3N(CH_3)_2$, -NHC(O)(1-(furan-2-ylmethyl)-azetidin-3-yl), -NHC(O)(pyrimidin-5-yl), -NHC(O)(pyrrol-2-yl), -NHC(O)$CH_2N(CH_3)CH(CH_3)_2$,

-NHC(O)$CH_2N(CH_2CH_3)_2$, -NHC(O)CH_2(3-methyl-1,2-oxazol-5-yl), -NHC(O)CH_2NHCH_2(3-hydroxyphenyl), -NHC(O)(*N*-methyl-pyrrol-2-yl), -NHC(O)(2-amino-tetrahydropyran-2-yl), -NHC(O)CH_2(4-methylamino-piperidin-1-yl), -NHC(O)(piperidin-1-yl), -NHC(O)(*N*-methyl-pyrrolidin-2yl), -NHC(O)(thien-3yl), -NHC(O)(*N*-(cyclopropylcarbonyl)azetidin-3-yl), -NHC(O)CH_2(4-methylpiperazin-1-yl), -NHC(O)(*N*-benzylazetidin-3-yl), -NHC(O)(2-chloro-pyridin-3-yl), -NHC(O)CH_2(pyridin-4-yl), -NHC(O)$CH_2N(CH_3)(CH_2CH{=}CH_2)$, -NHC(O)$CH_2$NH(benzyl), -NHC(O)$CH_2OCH_3$, -NHC(O)[1-(C(O)$CH_2CH_3$)-azetidin-3-yl], -NHC(O)(pyridin-3-yl), -NHC(O)$CH_2NHCH_2CH_2OCH_3$, -NHC(O)(1-[C(O)CH_3]piperidin-4-yl), -NHC(O)CH_2(2-methyl-pyrrolidin-1-yl), -NHC(O)(furan-3-yl), -NHC(O)$CH_2N(CH_3)_2$, -NHC(O)(2-chloro-pyridin-5-yl), -NHC(O)(2-chlorophenyl), -NHC(O)CH_2(pyridin-2-yl), -NHC(O)CH_2(3-dimethylamino-azetidin-1-yl), -NHC(O)CH_2(pyridin-3-yl), -NHC(O)CH_2(2-chlorophenyl), -NHC(O)$CH_2N(CH_3)CH_2CH_2CH_2N(CH_3)_2$, -NHC(O)$CH_2N(CH_2CH_3)CH_2CH_2OH$, -NHC(O)$CH_2$(2-benzyl-pyrrolidin-1-yl), -NHC(O)(furan-2-yl, -NHC(O)(2-chloro-pyridin-4-yl), -NHC(O)$CH_2NHC(O)CH_3$, -NHC(O)$CH_2CH_2CH_3$, -NHC(O)(4-chlorophenyl), -NHC(O)(4-methyl-phenyl), -NHC(O)$CH_2NHC(O)O(CH_3)_3$, -NHC(O)(benzo[d][1,3]dioxol-5-yl), -NHC(O)CH_2NHOCH_2(2-methoxyphenyl), -NHC(O)(pyridin-4-yl), -NHC(O)CH_2[4-(3,4-dichlorophenyl)-piperazin-1-yl], -NHC(O)CH_2CH_2(pyridin-3-yl), -NHC(O)(tetrahydrofuran-3-yl), -NHC(O)CH_2NHCH_2(2-methylphenyl), -NHC(O)$CH(CH_3)CH_2CH_3$, -NHC(O)CH_2(3-fluorophenyl), -NHC(O)$CH_2C(CH_3)_2$phenyl, -NHC(O)(2-methyl-cycloprop-1-yl), -NHC(O)(2-methyl-4-methoxyphenyl), -NHC(O)(2-methylpyridin-3-yl), -NHC(O)(4-methoxyphenyl), -NHC(O)CH_2(4-ethylpiperazin-1-yl), -NHC(O)(thien-2-yl), -NHC(O)(3-fluoro-2-methylphenyl), -NHC(O)(2-bromo-thien-3-yl), -NHC(O)(4-fluorophenyl), -NHC(O)CH_2(3-methylpiperidin-1-yl), -NHC(O)$CH(CH_3)_2$, -NHC(O)$(CH_2)_3CH_3$, -NHC(O)$CH_2OCH_2CH_3$, -NHC(O)CH_2NH(2-fluorophenyl), -NHC(O)(3-dimethylaminophenyl), -NHC(O)CH_2(4-methylpiperidin-1-yl), -NHC(O)CH_2NH(2-*n*-propylphenyl), -NHC(O)phenyl, -NHC(O)(pyrazin2-yl), -NHC(O)(3-fluoro-4-methoxyphenyl), -NHC(O)$C(CH_3)_2CH_2CH_3$, -NHC(O)CH_2O(4-fluorophenyl), -NHC(O)(1-methylcarbonyl-azetidin-3-yl), -NHC(O)CH_2NH(4-methylphenyl), -NHC(O)CH_2NH(phenyl), -NHC(O)CH_2(4-allyl-piperazin-1-yl), -NHC(O)(2-methylphenyl), -NHC(O)$CH_2CH_2OCH_3$, -NHC(O)(3-methyl-furan-2-yl), -NHC(O)$C(CH_3)_3$, -NHC(O)CH_2NHObenzyl, -NHC(O)CH_2NH(3-chlorophenyl),

-NHC(O)cyclobutyl, -NHC(O)CH_2(3-methoxyphenyl), -NHC(O)(1-methylcycloprop-1-yl), -NHC(O)(3-flurophenyl), -NHC(O)(4-dimethylaminophenyl), -NHC(O)(3,4-dichlorophenyl), -NHC(O)CH_2NHCH_2(2-methylthiophenyl), -NHC(O)CH_2(2-fluorophenyl), -NHC(O)$CH_2N(CH_2CH_3)CH(CH_3)_2$, -NHC(O)(thiazol-4-yl), -NHC(O)$CH_2N(CH_3)$benzyl, -NHC(O)CH_2NHCH_2(thien-2-yl), -NHC(O)CH_2NHCH_2(pyridin-2-yl), -NHC(O)(3-methoxyphenyl), -NHC(O)CH_2NHCH_2(3-chloro-4-methylphenyl), -NHC(O)$CH(CH_3)CH_2CH_2CH_3$, -NHC(O)CH_2(4-chlorophenyl), -NHC(O)(3-fluoro-4-methylphenyl), -NHC(O)CH_2O(2-methylphenyl), -NHC(O)CH_2(cyclohexyl), -NHC(O)(2-phenyl-cycloprop-1-yl), -NHC(O)(3-chlorophenyl), -NHC(O)CH_2(2-methoxyphenyl), -NHC(O)CH_2CH_2(3-methoxyphenyl), -NHC(O)CH_2NH(2-fluoro-4-methyl-phenyl), -NHC(O)CH_2NHCH_2(3-fluoro-phenyl), -NHC(O)CH_2(4-methoxy-phenyl), -NHC(O)benzyl, -NHC(O)(2,4-dichlorophenyl), -NHC(O)(3-oxo-cyclohex-1-yl), -NHC(O)CH_2NH(3-fluorophenyl), -NHC(O)CH_2(3-chlorophenyl), -NHC(O)$CH_2NHCH_2CH(CH_3)$phenyl, -NHC(O)CH_2NHCH_2(2,4-dimethylphenyl), -NHC(O)CH_2(2-methyl-piperidin-1-yl), -NHC(O)CH_2NH(2-methoxyphenyl), -NHC(O)CH_2(1,2,3,4-tetrahydroisoquinolin-2-yl), -NHC(O)$CH_2CH_2CH{=}CH_2$, -NHC(O)CH_2NH(2-methylphenyl), -NHC(O)CH_2(4-oxo-piperidin-1-yl), -NHC(O)(2-fluorophenyl), -NHC(O)$CH_2NHCH(CH_3)$phenyl, -NHC(O)(2-fluoro-6-methoxyphenyl), -NHC(O)CH_2NH(2-isopropylphenyl), -NHC(O)CH_2CH_2(2-methoxyphenyl), -NHC(O)$CH_2CH_2CH(CH_3)_2$, -NHC(O)CH_2(2-phenyl-morpholin-4-yl), -NHC(O)CH_2CH_2(4-methoxyphenyl), -NHC(O)CH_2N(allyl)cyclopentyl, -NHC(O)$CH_2N(CH_3)CH_2CH_2OCH_3$, -NHC(O)CH_2CH_2C(O)cyclopropyl, -NHC(O)CH_2NH(3-*tert*-butylphenyl), -NHC(O)CH_2N(*n*-propyl)(cyclopropylmethyl), -NHC(O)CH_2(2-oxo-cyclopentyl), -NHC(O)CH_2NH(4-chlorophenyl), -NHC(O)CH_2(4-piperidin-1-ylpiperidin-1-yl), -NHC(O)CH_2(4-cyclopentylpiperazin-1-yl), -NHC(O)CH_2(2-methylphenyl), -NHC(O)CH_2NHCH_2(3-fluoro-6-methylphenyl), -NHC(O)$CH_2C(CH_3)_3$, -NHC(O)CH_2NH(2-chlorophenyl), -NHC(O)(3-fluoro-6-methylphenyl), -NHC(O)(4-fluoro-3-methylphenyl), -NHC(O)(2,3-dichlorophenyl), -NHC(O)CH_2Ophenyl, -NHC(O)CH_2NH(2,3-dimethylphenyl), -NHC(O)(2-fluoro-5-methylphenyl), -NHC(O)CH_2NHOCH_2(4-methylphenyl), -NHC(O)CH_2(4-isopropylpiperazin-1-yl), -NHC(O)CH_2(4-fluorophenyl), -NHC(O)$CH_2CH(CH_3)_2$, -NHC(O)(2-methoxy-4-methylphenyl), -NHC(O)CH_2(4-*n*-propylpiperidin-1-yl), -NHC(O)CH_2O(3-methylphenyl), -NHC(O)(tetrahydrofuran-2-yl), -NHC(O)CH_2(3-hydroxymethylpiperidin-1-yl), -NHC(O)(1-*tert*-butoxycarbonylpiperidin-2-

yl), -NHC(O)$CH_2N(CH_3)CH_2$(pyridin-3-yl), -NHC(O)$CH_2N(CH_2CH_3)$phenyl, -NHC(O)$CH_2OCH_2CH_2OCH_3$, -NHC(O)CH_2CH_2(cyclopentyl), -NHC(O)(2,5-dichlorophenyl), -NHC(O)CH_2(4-methylcarbonylpiperazin-1-yl), -NHC(O)(5-fluoro-2-methoxyphenyl), -NHC(O)$CH_2N(CH_2CH_3)$cyclohexyl, -NHC(O)(5-methyl-1,2-oxazol-3-yl), -NHC(O)(3-methylpyridin-3-yl), -NHC(O)(2-methoxypyridin-3-yl), -NHC(O)(3,5-dichlorophenyl), -NHC(O)CH_2(thiazolidin3-yl), -NHC(O)CH_2(4-[C(O)H]-piperazin-1-yl), -NHC(O)CH_2(2-pyridin-4-ylpiperidin-1-yl), -NHC(O)(2-methoxyphenyl), -NHC(O)$CH_2N(CH_3)CH_2CH(CH_3)_2$, -NHC(O)$CH_2$(4-[C(O)H]-homopiperazin-1-yl), -NHC(O)(1-phenylcycloprop-1-yl), -NHC(O)CH_2(2,6-dimethylmorpholin-4-yl), NHC(O)CH_2(2-phenylpyrrolidin-1-yl), -NHC(O)CH_2(morpholin-4-yl), -C(O)$NHCH(CH_3)CH_2N(CH_3)_2$, -C(O)$NHCH_2CH_2N(CH_3)_2$, -C(O)NH(pyrrolidin-3-yl), -C(O)$NHCH_2CH_2$(pyrrolidin-1-yl), -C(O)$NHCH_2CH_2NH_2$, -C(O)$N(CH_3)CH_2CH_2N(CH_3)_2$, -C(O)$NHCH_2$(piperidin-2-yl), -C(O)NH(1-methylazetidin-3-yl), -C(O)$NHCH_2CH_2$(piperidin-1-yl), -C(O)$NHCH_2CH_2N(CH_2CH_3)_2$, -C(O)NH(1-methylpiperidin-3-yl), -C(O)NH(piperidin-3-yl), -C(O)$NHCH_2$(1-methylpiperidin-3-yl), -C(O)$NHCH_2CH_2N(CH_2CH_2OH)_2$, -C(O)NH(1-ethylpiperidin-3-yl), -C(O)NH_2, -C(O)(3-aminopyrrolidin-1-yl), -C(O)(3-methylaminopyrrolidin-1-yl), -C(O)OH, -C(O)$NHCH_2CH_2$(morpholin-4-yl), -C(O)$NHCH_2$(1-ethylpyrrolidin-2-yl), -C(O)(4-amino-3-oxo-pyrazolidin-1-yl), -C(O)$NHCH_3$, -C(O)(3-aminocyclobut-1-yl), -C(O)$NHCH_2$(pyridin-3-yl), -C(O)$NHCH_2CH_2OH$, -C(O)NH(3-oxo-pyrazolidin-4-yl), -$NHCH_2CH_2$(imidazol-4-yl), -C(O)(3-dimethylaminopyrrolidin-1-yl), -C(O)$NHCH_2$(pyridin-4-yl), -C(O)$N(CH_3)$(1-methyl-pyrrolidin-3-yl), -C(O)(3-diethylaminopyrrolidin-1-yl), -C(O)NH(pyrrol-1-yl), -C(O)$NHCH_2CH_2CH_2$(pyrrolidin-1-yl), -C(O)$N(CH_3)CH_2CH_2CN$, -C(O)$NHCH_2CH_2OCH_3$, -C(O)$N(CH_2CH_3)CH_2CH_2CN$, -C(O)(3-aminopiperidin-1-yl), -C(O)$NHCH_2CH_2CH_2N(CH_3)_2$, -C(O)NH(morpholin-4-yl), -C(O)$NHN(CH_3)_2$, -C(O)$NHCH_2CH_2CH_2$(imidazol-1-yl), -C(O)$NHCH_2CH_2CH_2N(CH_2CH_3)_2$, -C(O)$NHCH_2CH_2CN$, -C(O)$NHCH_2CH_2C(O)OCH_3$, -C(O)$NHCH_2CH_2SCH_3$, -C(O)$NHCH_2CH_2SCH_2CH_3$, -C(O)$N(CH_2CH_3)CH_2CH_2N(CH_3)_2$, -C(O)$NHCH_2CH_2CH_2$(2-oxo-pyrrolidin-1-yl), -C(O)$NHCH_2CH_2$(pyridin-4-yl), -C(O)$NHCH_2CH_2CH_2OCH_2CH_3$, -C(O)$NHCH_2CH_2CH_2$(morpholin-4-yl), -C(O)$NHCH_2CH_2CH_2OCH_3$, -C(O)$N(CH_3)CH_2CH_2CH_2N(CH_3)_2$, -C(O)$NHCH_2CH_2CH_2OCH_2CH_2CH_3$, -C(O)$NHCH_2CH_2C(O)OCH_2CH_3$, -C(O)$NHCH_2CH_2CH_2OCH(CH_3)_2$, -C(O)$NHC(CH_3)_2CH_2$(piperidin-1-yl), -C(O)$N(CH_3)CH_2CH_2CH_3$, -C(O)NH(piperidin-1-yl), -C(O)$NHCH(CH_3)CH_2OCH_3$, -C(O)$NHC(CH_3)_2CH_2$(morpholin-4-yl), -C(O)(2-

dimethylaminomethylpiperidin-1-yl), -C(O)NH$(CH_2)_3O(CH_2)_3CH_3$, -C(O)NHCH$(CH_3)(CH_2)_3N(CH_2CH_3)_2$, -C(O)NHC$(CH_3)_2$C(O)(piperidin-1-yl), -C(O)(4-methylpiperazin-1-yl), -C(O)(2-piperidin-1-ylmethyl-piperidin-1-yl), cyano, -NHCH_3, -CH(CH_3)NHC$H_2CH_2N(CH_3)_2$, -C(O)CH_3, -S$(O)_2$NHC$H_2CH_2N(CH_3)_2$, -S$(O)_2$NH$(CH_2)_3N(CH_3)_2$, 5-(*N,N*-dimethylaminomethyl)-1,3,4-oxadiazol-2-yl, -NHC$H_2CH_2N(CH_3)_2$, -N$(CH_3)_2$, -OC$H_2CH_2N(CH_3)_2$, -NHC[N$(CH_3)_2$][=N$(CH_3)_2$], -OCHF_2, -CF_3, -S$(O)_2CH_3$, -OCF_3, -NHC(O)CH_2(4-dimethylaminopiperidin-1-yl), or methoxy.

[00206] In another embodiment (P), the Compound of Formula I is that where each R^3 is independently halo, alkyl, hydroxyamino, -N(R^7)C(O)-C_1-C_6-alkylene-N(R^{7a})(R^{7b}), -C(O)NR^8R^{8a}, -NR^9C(O)R^{9a}, -C(O)N(R^{10})-C_1-C_6-alkylene-N(R^{10a})R^{10b}-NR^{11}C(O)N$R^{11a}R^{11b}$, -N(R^{22})C(O)-C_1-C_6-alkylene-N(R^{22b})-N(R^{22c})(R^{22a}), -NR^{13}C(O)OR^{13a}, -N(R^{18})C(O)-C_1-C_6-alkylene-N(R^{18b})C(O)R^{18a}, -NR^{24}C(O)-C_1-C_6-alkylene-OR^{24a}, or -N(R^{20})C(O)-C_1-C_6-alkylene-C(O)R^{20a}; where each of the alkylene in R^3 is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined in the Summary of the Invention. In another embodiment, each R^3 is independently methyl, chloro, -NHC(O)CH_2NH(CH_3), -NHC(O)CH$(CH_3)NH_2$, -NHC(O)C$(CH_3)_2NH_2$, -NHC(O)CH_2N$(CH_3)_2$, -NHC(O)CH_2N(CH_3)C$H_2CH_2N(CH_3)_2$, -NHC(O)CH$(NH_2)CH_2CH_3$, -NHC(O)CH_2N(CH_3)C$H_2CH_2N(CH_3)_2$, -NHC(O)CH(CH_3)NH(CH_3), -NHC(O)H, -NHC(O)CH_2(azetidin-1-yl), -NHC(O)(pyrrolidin-2-yl), -NHC(O)CH$(NH_2)CH_2$OH, -NHC(O)(azetidin-4-yl), -NHC(O)C$(CH_3)_2$NH(CH_3), -NH_2, -NHC(O)CH_2NH$(CH_2CH_2CH_3)$, -NHC(O)C$H_2CH_2NH_2$, -NHOH, or -NHC(O)(piperidin-3-yl).

[00207] In another embodiment (Q), the Compound of Formula I is that where R^3 is alkyl or -N(R^7)C(O)-C_1-C_6-alkylene-N(R^{7a})(R^{7b}); and R^7 is hydrogen or alkyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; and all other groups are as defined in the Summary of the Invention. In another embodiment, each R^3 is independently methyl, -NHC(O)CH_2NH(CH_3), -NHC(O)CH$(CH_3)NH_2$, -NHC(O)C$(CH_3)_2NH_2$, -NHC(O)-CH_2N$(CH_3)_2$, -NHC(O)CH_2N(CH_3)C$H_2CH_2N(CH_3)_2$, -NHC(O)CH$(NH_2)CH_2CH_3$, -NHC(O)CH_2N(CH_3)C$H_2CH_2N(CH_3)_2$, or -NHC(O)CH(CH_3)NH(CH_3).

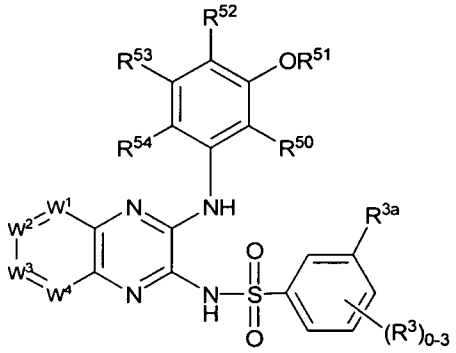
[00208] In another embodiment (R), the Compound of Formula I is that where B is phenyl, R^3 is not present or R^3 is halo, alkyl, or alkoxy; R^{3a} is -C(O)NR^8R^{8a}, -NR^9C(O)R^{9a}, -N(R^7)C(O)-C_1-C_6-alkylene-N(R^{7a})(R^{7b}), or -C(O)N(R^{10})-C_1-C_6-alkylene-N(R^{10a})R^{10b} where each of the alkylene in R^{3a} is independently optionally further

substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined in the Summary of the Invention.

[00209] In another embodiment (R1) of embodiment R, the compound is that where R^{50}, R^{52}, and R^{53} are hydrogen and R^{54} is halo or alkoxy; R^{50}, R^{52}, and R^{54} are hydrogen and R^{53} is alkoxy; or R^{50} and R^{52} are hydrogen and R^{53} and R^{54} together with the carbons to which they are attached form a 6-membered heteroaryl; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^{50}, R^{52}, and R^{53} are hydrogen and R^{54} is halo or alkoxy; or R^{50}, R^{52}, and R^{54} are hydrogen and R^{53} is alkoxy.

[00210] In another embodiment of (R2) of embodiment R, the compound is that where R^{51} is methyl.

[00211] In another embodiment (S), the compound of Formula Ia:



I(a)

is that where R^3 is not present or R^3 is alkyl and R^{3a} is -N(R^7)C(O)-C_1-C_6-alkylene-N(R^{7a})(R^{7b}), -C(O)NR^8R^{8a} , -NR^9C(O)R^{9a}, or -C(O)N(R^{10})-C_1-C_6-alkylene-N(R^{10a})R^{10b}; where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^3 is is not present or is methyl. In another embodiment, R^3 is is not present.

[00212] In another embodiment (S1) of embodiment S is that where R^7 is hydrogen or alkyl and R^{7a}, and R^{7b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; R^8 is hydrogen or alkyl and R^{8a} is heterocycloalkyl or heterocycloalkylalkyl; R^9 is hydrogen or alkyl and R^{9a} is hydrogen, heterocycloalkyl, or heterocycloalkylalkyl; and R^{10}, R^{10a}, and R^{10b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl.

[00213] In another embodiment (S2) of embodiment S is that where R^{50}, R^{52}, and R^{53} are hydrogen and R^{54} is halo or alkoxy; or R^{50}, R^{52}, and R^{54} are hydrogen and R^{53} is alkoxy; or R^{50} and R^{52} are hydrogen and R^{53} and R^{54} together with the carbons to which they are

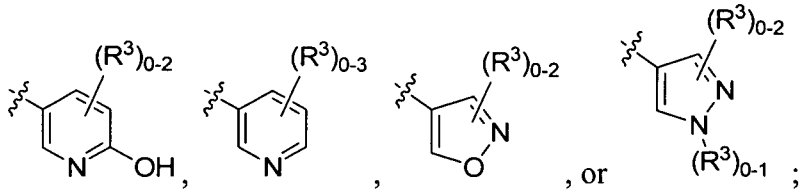
attached form a 6-membered heteroaryl. In another embodiment, R^{50}, R^{52}, and R^{53} are hydrogen and R^{54} is halo or alkoxy; or R^{50}, R^{52}, and R^{54} are hydrogen and R^{53} is alkoxy.

[00214] In another embodiment of (S3) of embodiment S, the compound is that where R^{51} is methyl.

[00215] In another embodiment (T), the Compound of Formula I is that where B is heteroaryl, one R^3 is halo, alkyl, or alkoxy and a second R^3 is $-C(O)NR^8R^{8a}$, $-NR^9C(O)R^{9a}$, $-N(R^7)C(O)-C_1-C_6$-alkylene-$N(R^{7a})(R^{7b})$, or $-C(O)N(R^{10})-C_1-C_6$-alkylene-$N(R^{10a})R^{10b}$ where each of the alkylene in R^3 is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined in the Summary of the Invention.

[00216] In another embodiment (T1) of embodiment T, the compound is that where R^7 is hydrogen or alkyl and R^{7a}, and R^{7b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; R^8 is hydrogen or alkyl and R^{8a} is heterocycloalkyl or heterocycloalkylalkyl; R^9 is hydrogen or alkyl and R^{9a} is hydrogen, heterocycloalkyl, or heterocycloalkylalkyl; R^{10}, R^{10a}, and R^{10b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl.

[00217] In another embodiment U, the compound of Formula I is that where B is



; each R^3 (when R^3 is present) is independently halo, alkyl, alkoxy, aminoalkyloxy, alkylaminoalkyloxy, dialkylaminoalkyloxy, alkylamino, dialkylamino, $-C(O)NR^8R^{8a}$, $-NR^9C(O)R^{9a}$, $-N(R^7)C(O)-C_1-C_6$-alkylene-$N(R^{7a})(R^{7b})$, or $-C(O)N(R^{10})-C_1-C_6$-alkylene-$N(R^{10a})R^{10b}$; and all other groups are as defined in the Summary of the Invention.

[00218] In another embodiment (U1) of embodiment U, the compound of Formula I is that where R^{50}, R^{52}, and R^{53} are hydrogen and R^{54} is halo or alkoxy; R^{50}, R^{52}, and R^{54} are hydrogen and R^{53} is alkoxy; or R^{50} and R^{52} are hydrogen and R^{53} and R^{54} together with the carbons to which they are attached form a 6-membered heteroaryl; and all other groups are as defined in the Summary of the Invention. In another embodiment, R^{50}, R^{52}, and R^{53} are hydrogen and R^{54} is halo or alkoxy; or R^{50}, R^{52}, and R^{54} are hydrogen and R^{53} is alkoxy.

[00219] In another embodiment (U2) of embodiment U1, the compound of Formula I is that where R^{51} is methyl.

[00220] In another embodiment (U3) of embodiment U, the Compound of Formula I is that where R^7 is hydrogen or alkyl and R^{7a}, and R^{7b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; R^8 is hydrogen or alkyl and R^{8a} is heterocycloalkyl or heterocycloalkylalkyl; R^9 is hydrogen or alkyl and R^{9a} is hydrogen, heterocycloalkyl, or heterocycloalkylalkyl; R^{10}, R^{10a}, and R^{10b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl

[00221] In another embodiment of the Invention (V) the Compound of Formula I is that whereW^1, W^2, W^3, and W^4 are -C(H)=; or W^2 and W^3 are -C(H)= and one of W^1 and W^4 is -N= and the other is -C(H)=;

R^{50} is hydrogen;

R^{51} is hydrogen or alkyl;

R^{52} is hydrogen;

R^{53} is hydrogen, alkoxy, nitro, amino, or -$N(R^{55})C(O)$-C_1-C_6-alkylene-$N(R^{55a})R^{55b}$; and R^{54} is hydrogen, alkyl, alkoxy, or halo; or R^{53} and R^{54} together with the carbons to which they are attached form a 6-membered heteroaryl;

B is phenyl substituted with R^{3a} and optionally further substituted with one R^3; or

B is heteroaryl optionally substituted with one or two R^3;

R^{3a} is cyano; hydroxyamino; carboxy; alkylsulfonyl, aminoalkyloxy; alkylaminoalkyloxy; dialkylaminoalkyloxy; -$N(R^7)C(O)$-C_1-C_6-alkylene-$N(R^{7a})(R^{7b})$; -$C(O)NR^8R^{8a}$; -$NR^9C(O)R^{9a}$; -$C(O)N(R^{10})$-C_1-C_6-alkylene-$N(R^{10a})R^{10b}$; -$NR^{11}C(O)NR^{11a}R^{11b}$ where R^{11a}; -$C(O)R^{12}$; -$NR^{13}C(O)OR^{13a}$; -$C(O)N(R^{14})N(R^{14a})(R^{14b})$; -$S(O)_2N(R^{15})$-$C_1$-$C_6$-alkylene-$N(R^{15a})R^{15b}$; -$C(O)N(R^{16})$-$C_1$-$C_6$-alkylene-$C(O)OR^{16a}$; heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; -$N(R^{17})$-$C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$; -$N(R^{18})C(O)$-$C_1$-$C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$; -$C(O)N(R^{19})$-$C_1$-$C_6$-alkylene-$C(O)R^{19a}$; -$N(R^{22})C(O)$-$C_1$-$C_6$-alkylene-$N(R^{22b})$-$N(R^{22c})(R^{22a})$; -$C_0$.$C_6$-alkylene-$N(R^{23})$-$C_1$.$C_6$-alkylene-$N(R^{23b})R^{23a}$; or -$NR^{24}C(O)$-$C_1$.$C_6$-alkylene-$OR^{24a}$; where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino;

each R^3 (when R^3 is present) is independently halo; cyano; alkyl; alkenyl; alkoxy; hydroxyamino; carboxy; alkylsulfonyl, aminoalkyloxy; alkylaminoalkyloxy; dialkylaminoalkyloxy; -$N(R^7)C(O)$-C_1-C_6-alkylene-$N(R^{7a})(R^{7b})$; -$C(O)NR^8R^{8a}$; -$NR^9C(O)R^{9a}$; -$C(O)N(R^{10})$-C_1-C_6-alkylene-

$N(R^{10a})R^{10b}$; $-NR^{11}C(O)NR^{11a}R^{11b}$ where R^{11a}; $-C(O)R^{12}$; $-NR^{13}C(O)OR^{13a}$; $-C(O)N(R^{14})N(R^{14a})(R^{14b})$; $-S(O)_2N(R^{15})$-C_1-C_6-alkylene-$N(R^{15a})R^{15b}$; $-C(O)N(R^{16})$-C_1-C_6-alkylene-$C(O)OR^{16a}$; heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; $-N(R^{17})$-$C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$; $-N(R^{18})C(O)$-C_1-C_6-alkylene-$N(R^{18b})C(O)R^{18a}$; $-C(O)N(R^{19})$-C_1-C_6-alkylene-$C(O)R^{19a}$; $-N(R^{22})C(O)$-C_1-C_6-alkylene-$N(R^{22b})$-$N(R^{22c})(R^{22a})$; $-C_0$-C_6-alkylene-$N(R^{23})$-C_1-C_6-alkylene-$N(R^{23b})R^{23a}$; or $-NR^{24}C(O)$-C_1-C_6-alkylene-OR^{24a}; where each of the alkylene in R^3 is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino;

provided that when R^{50} and R^{52} are hydrogen, R^{51} is hydrogen or methyl, R^{53} is hydrogen or methoxy, and R^{54} is hydrogen or methoxy, then B is not 2,3-dihydro-1,4-benzodioxinyl, thien-2-yl, or thien-2-yl substituted with one R^3 where R^3 is halo.

[00222] Another embodiment (W) of the invention is a Compound of Formula I where R^{50}, R^{53}, and R^{54} are independently hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, $-N(R^{55})C(O)$-C_1-C_6-alkylene-$N(R^{55a})R^{55b}$, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, $-S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino and where R^{55} and R^{55b} are indepedently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; or R^{53} and R^{54} together with the carbons to which they are attached form a 5- or 6-membered heteroaryl or 5- or 6-membered heterocycloalkyl.

[00223] Another embodiment (X) of the invention is a Compound of Formula I where R^{53} and R^{54} together with the carbons to which they are attached form a 5- or 6-membered heteroaryl or 5- or 6-membered heterocycloalkyl.

Representative Compounds

[00224] Representative compounds of Formula I and/or II are depicted below. The examples are merely illustrative and do not limit the scope of the invention in any way. Compounds of the invention are named according to systematic application of the nomenclature rules agreed upon by the International Union of Pure and Applied Chemistry (IUPAC), International Union of Biochemistry and Molecular Biology (IUBMB), and the Chemical Abstracts Service (CAS). Names in Table 1 were generated using ACD/Labs naming software 8.00 release, product version 8.08 with the exception of Compound 374 which was named using ChemDraw v. 9.0.1.

Table 1

Representative PI3K-alpha Inhibitors

[00225] The Compounds in Table 1 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 1 can be used to practice the invention. In particular, the invention can be practiced with one or two pharmaceutically acceptable salts of a Compound of Table 1 which salt(s) are formed with one or two acids independently selected from hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, acetic acid, trifluoroacetic acid, propionic acid, hexanoic acid, cyclopentanepropionic acid, glycolic acid, pyruvic acid, lactic acid, oxalic acid, maleic acid, malonic acid, succinic acid, fumaric acid, tartaric acid, citric acid, benzoic acid, cinnamic acid, 3-(4-hydroxybenzoyl)benzoic acid, mandelic acid, methanesulfonic acid, ethanesulfonic acid, 1,2-ethanedisulfonic acid, 2-hydroxyethanesulfonic acid, benzenesulfonic acid, 4-chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, 4-toluenesulfonic acid, camphorsulfonic acid, glucoheptonic acid, 4,4'-methylenebis-(3-hydroxy-2-ene-1-carboxylic acid), 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, p-toluenesulfonic acid, and salicylic acid. In particular, the invention can be practiced with one or two pharmaceutically acceptable salts of a Compound of Table 1 which salt(s) are formed with one or two bases independently selected from sodium, potassium, lithium, ammonium, calcium, magnesium, iron, zinc, copper, manganese, aluminum, isopropylamine, trimethylamine, diethylamine, triethylamine, tripropylamine, ethanolamine, 2-dimethylaminoethanol, 2-diethylaminoethanol, dicyclohexylamine, lysine, arginine, histidine, caffeine, procaine, hydrabamine, choline, betaine, ethylenediamine, glucosamine, methylglucamine, theobromine, purines, piperazine, piperidine, *N*-ethylpiperidine, tromethamine, and *N*-methylglucamine. Any individual compound (and any optional salt, optional solvate, and optional hydrate thereof) in Table 1 can be used in combination with any of the above embodiments.

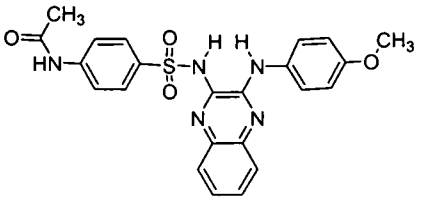
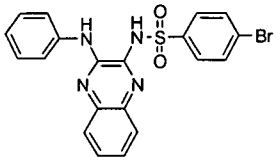
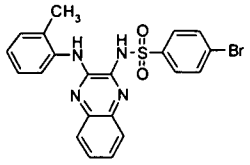
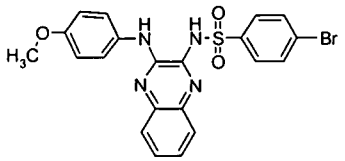
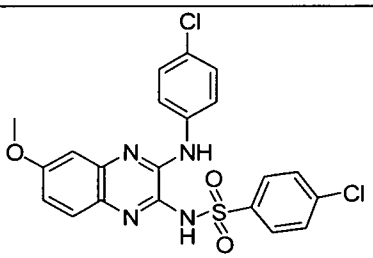
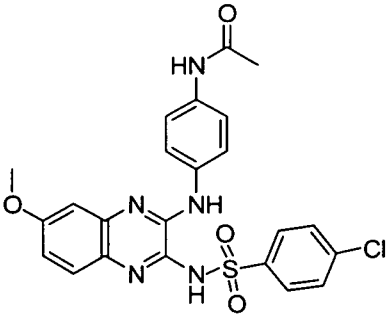
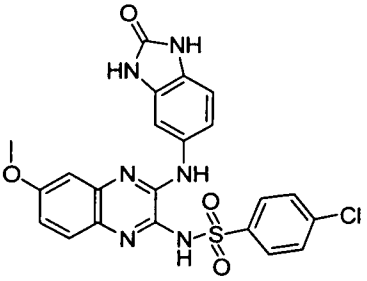
Table 1		
Cpd. No.	**Structure**	**Name**
1		*N*-(4-{[(3-{[4-(methoxy)phenyl]amino}quinoxalin-2-yl) amino]sulfonyl}phenyl) acetamide
2		4-bromo-*N*-[3-(phenylamino)quinoxalin-2-yl] benzene sulfonamide
3		4-bromo-*N*-{3-[(2-methylphenyl)amino]quinoxalin-2-yl}benzene sulfonamide
4		4-bromo-*N*-(3-{[4-(methoxy)phenyl]amino}quinoxalin-2-yl) benzene sulfonamide
5		4-chloro-*N*-{3-[(4-chlorophenyl)amino]-6-(methoxy)quinoxalin-2-yl}benzenesulfonamide
6		*N*-(4-{[3-{[(4-chlorophenyl)sulfonyl]amino}-7-(methoxy)quinoxalin-2-yl]amino} phenyl) acetamide
7		4-chloro-*N*-{6-(methoxy)-3-[(2-oxo-2,3-dihydro-1*H*-benzimidazol-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide

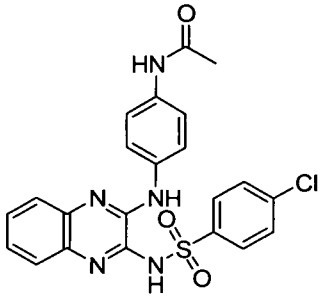
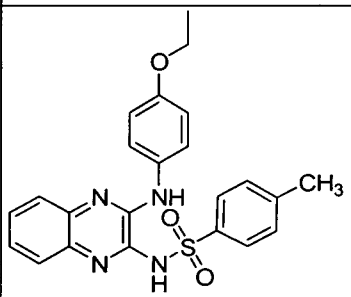
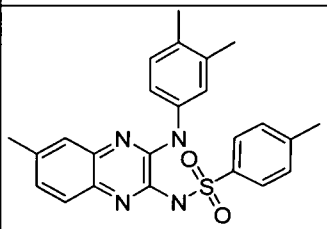
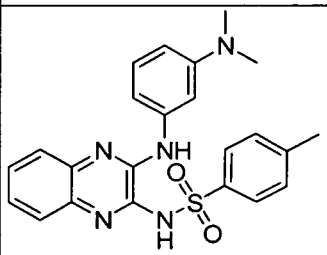
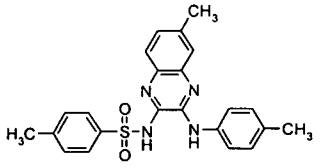
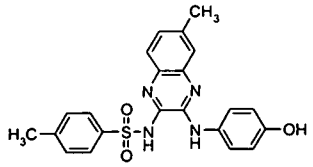
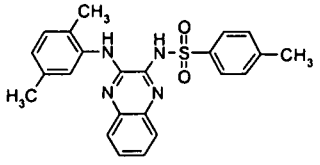
Table 1		
Cpd. No.	**Structure**	**Name**
8		*N*-{4-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl) amino]phenyl}acetamide
9		*N*-(3-{[4-(ethyloxy) phenyl]amino}quinoxalin-2-yl)-4-methylbenzene sulfonamide
10		*N*-{3-[(3,4-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzene sulfonamide
11		*N*-(3-{[3-(dimethylamino)phenyl]amino}quinoxalin-2-yl)-4-methylbenzene sulfonamide
12		4-methyl-*N*-{6-methyl-3-[(4-methylphenyl)amino]quinoxalin-2-yl} benzene sulfonamide
13		*N*-{3-[(4-hydroxyphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzene sulfonamide
14		*N*-{3-[(2,5-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide

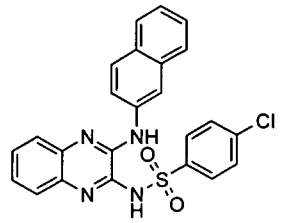
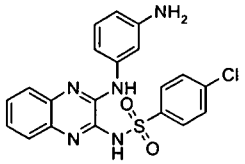
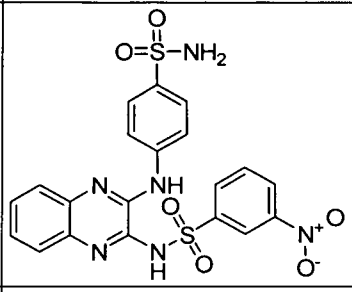
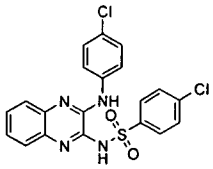
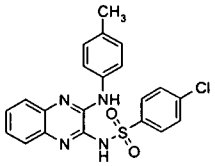
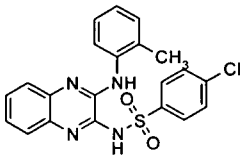
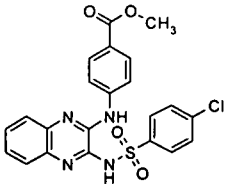
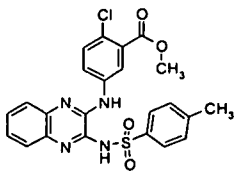
Table 1		
Cpd. No.	**Structure**	**Name**
15		4-chloro-*N*-[3-(naphthalen-2-ylamino)quinoxalin-2-yl]benzenesulfonamide
16		*N*-{3-[(3-aminophenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
17		*N*-(3-{[4-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
18		4-chloro-*N*-{3-[(4-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
19		4-chloro-*N*-{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
20		4-chloro-*N*-{3-[(2-methylphenyl)amino]quinoxalin-2-yl} benzenesulfonamide
21		methyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino} quinoxalin-2-yl) amino]benzoate
22		methyl 2-chloro-5-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl) amino]benzoate

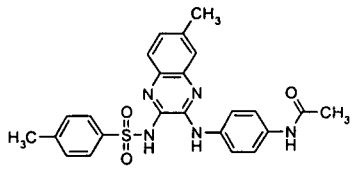
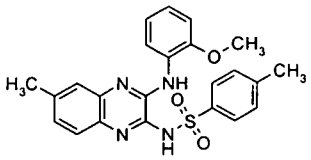
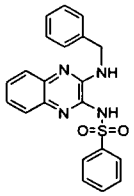
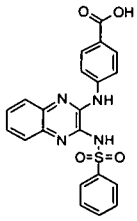
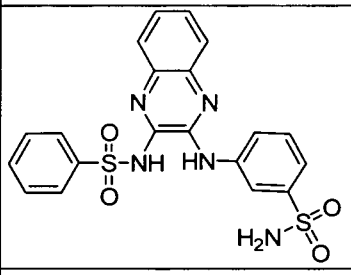
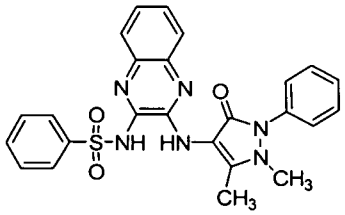
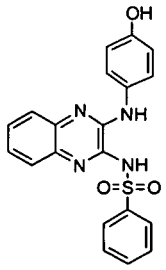
Table 1		
Cpd. No.	**Structure**	**Name**
23		*N*-{4-[(7-methyl-3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
24		4-methyl-*N*-(6-methyl-3-{[2-(methoxy)phenyl]amino} quinoxalin-2-yl)benzenesulfonamide
25		*N*-{3-[(phenylmethyl)amino]quinoxalin-2-yl}benzenesulfonamide
26		4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzoic acid
27		3-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzenesulfonamide
28		*N*-{3-[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1*H*-pyrazol-4-yl)amino]quinoxalin-2-yl}benzenesulfonamide
29		*N*-{3-[(4-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide

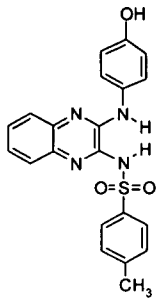
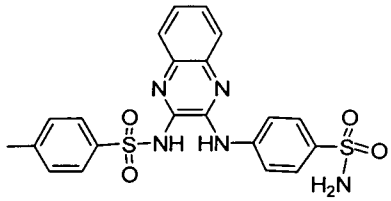
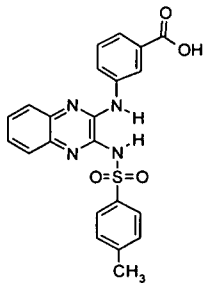
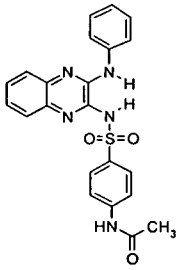
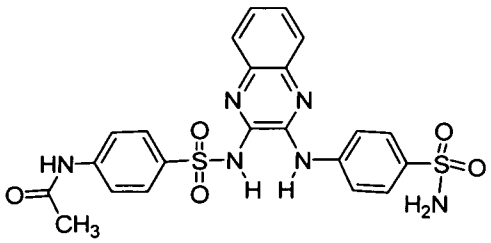
Table 1		
Cpd. No.	**Structure**	**Name**
30		*N*-{3-[(4-hydroxyphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
31		*N*-(3-{[4-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
32		3-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
33		*N*-[4-({[3-(phenylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
34		*N*-(4-{[(3-{[4-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide

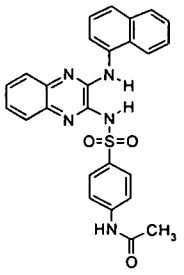
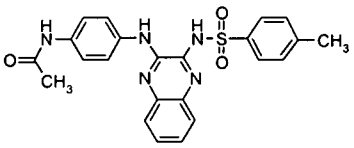
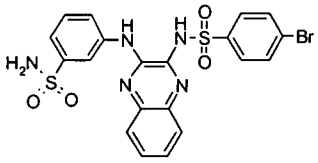
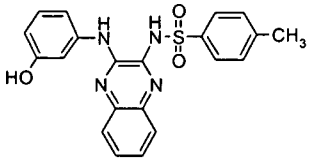
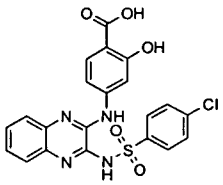
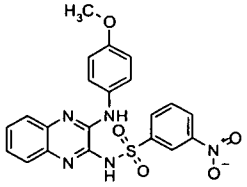
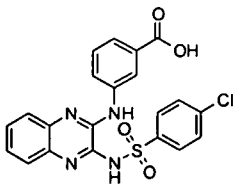
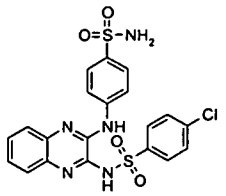
Table 1		
Cpd. No.	**Structure**	**Name**
35		*N*-[4-({[3-(naphthalen-1-ylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
36		*N*-{4-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
37		*N*-(3-{[3-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-bromobenzenesulfonamide
38		*N*-{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
39		4-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-2-hydroxybenzoic acid
40		*N*-(3-{[4-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
41		3-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
42		*N*-(3-{[4-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-chlorobenzenesulfonamide

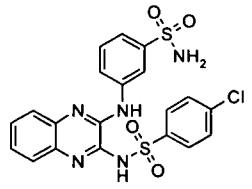
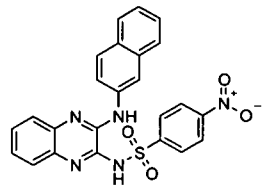
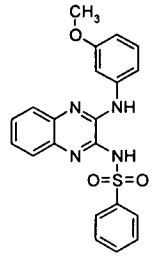
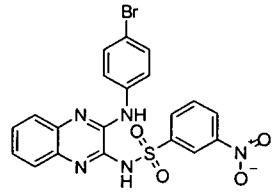
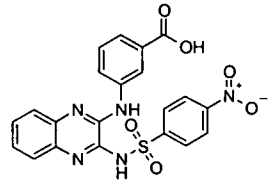
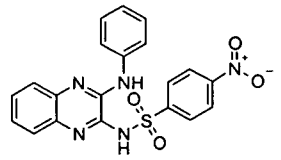
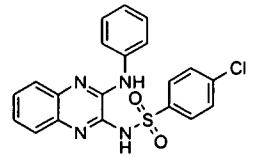
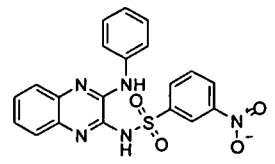
Table 1		
Cpd. No.	**Structure**	**Name**
43		*N*-(3-{[3-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-chlorobenzenesulfonamide
44		*N*-[3-(naphthalen-2-ylamino)quinoxalin-2-yl]-4-nitrobenzenesulfonamide
45		*N*-(3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
46		*N*-{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
47		3-[(3-{[(4-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
48		4-nitro-*N*-[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
49		4-chloro-*N*-[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
50		3-nitro-*N*-[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide

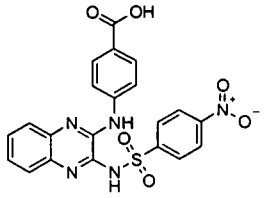
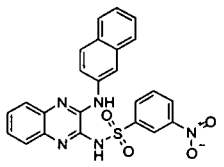
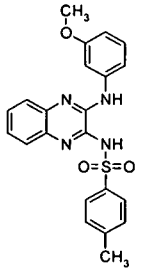
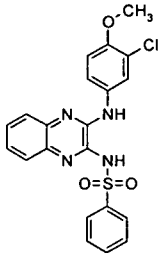
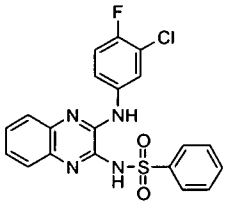
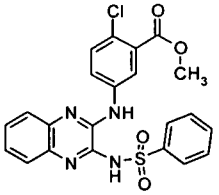
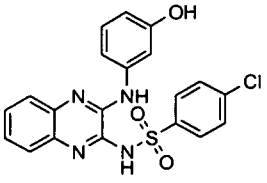
Table 1		
Cpd. No.	**Structure**	**Name**
51		4-[(3-{[(4-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
52		*N*-[3-(naphthalen-2-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
53		4-methyl-*N*-(3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
54		*N*-(3-{[3-chloro-4-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
55		*N*-{3-[(3-chloro-4-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
56		methyl 2-chloro-5-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzoate
57		4-chloro-*N*-{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide

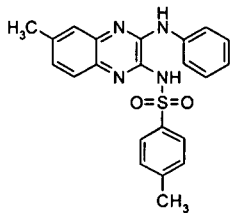
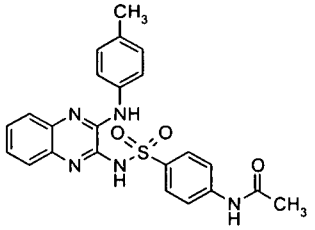
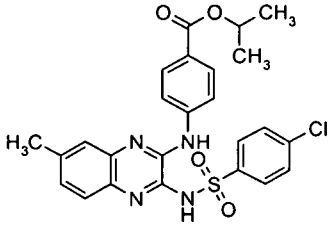
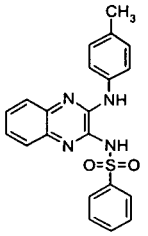
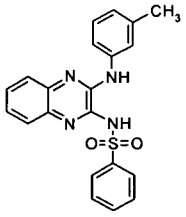
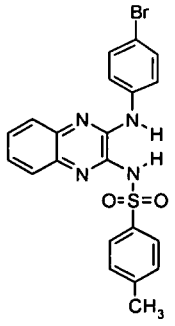
Table 1		
Cpd. No.	**Structure**	**Name**
58		4-methyl-*N*-[6-methyl-3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
59		*N*-{4-[({3-[(4-methylphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
60		1-methylethyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino}-7-methylquinoxalin-2-yl)amino]benzoate
61		*N*-{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
62		*N*-{3-[(3-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
63		*N*-{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide

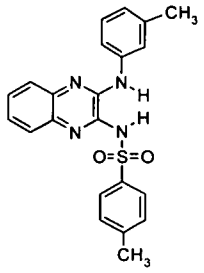
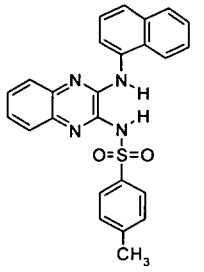
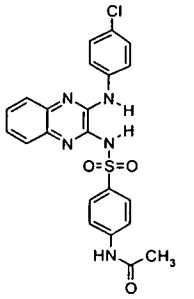
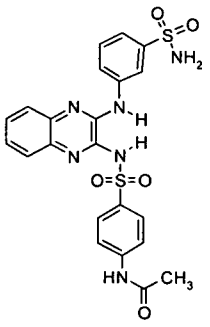
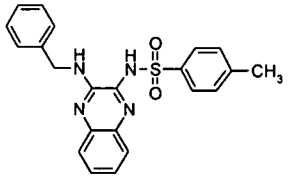
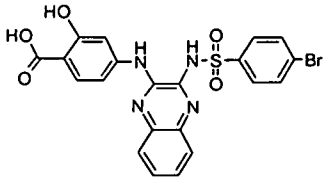
Table 1		
Cpd. No.	**Structure**	**Name**
64		4-methyl-*N*-{3-[(3-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
65		4-methyl-*N*-[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
66		*N*-{4-[({3-[(4-chlorophenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
67		*N*-(4-{[(3-{[3-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
68		4-methyl-*N*-{3-[(phenylmethyl)amino]quinoxalin-2-yl}benzenesulfonamide
69		4-[(3-{[(4-bromophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-2-hydroxybenzoic acid

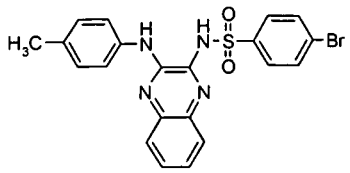
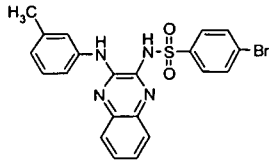
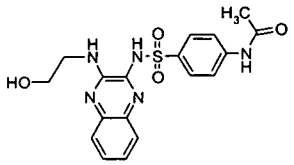
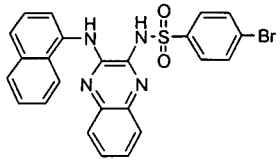
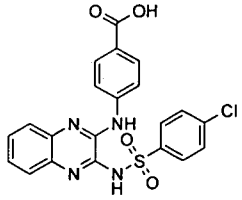
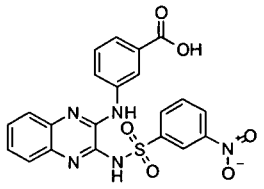
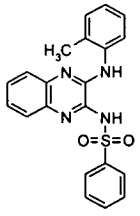
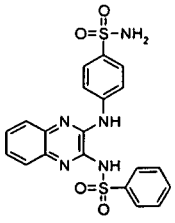
Table 1		
Cpd. No.	**Structure**	**Name**
70		4-bromo-*N*-{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
71		4-bromo-*N*-{3-[(3-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
72		*N*-{4-[({3-[(2-hydroxyethyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
73		4-bromo-*N*-[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
74		4-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
75		3-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
76		*N*-{3-[(2-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
77		4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzenesulfonamide

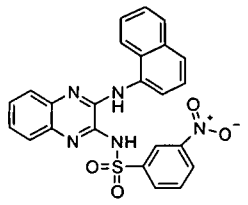
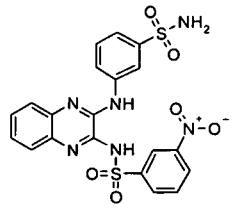
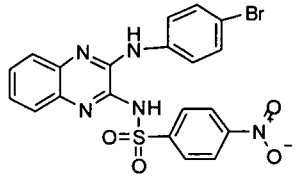
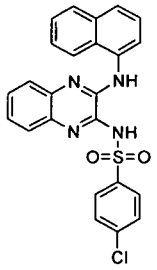
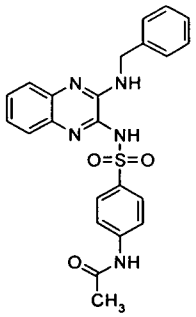
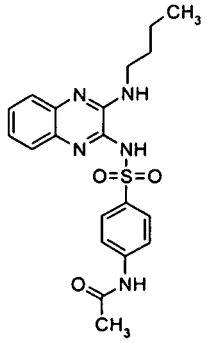
Table 1		
Cpd. No.	**Structure**	**Name**
78		*N*-[3-(naphthalen-1-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
79		*N*-(3-{[3-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
80		*N*-{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-4-nitrobenzenesulfonamide
81		4-chloro-*N*-[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
82		*N*-{4-[({3-[(phenylmethyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
83		*N*-[4-({[3-(butylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide

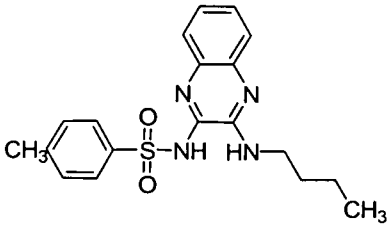
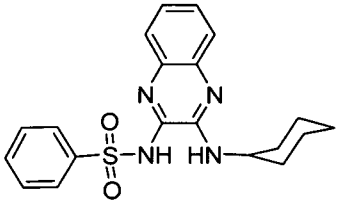
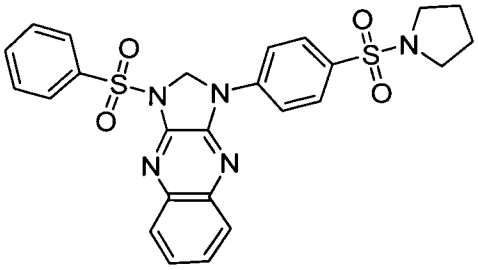
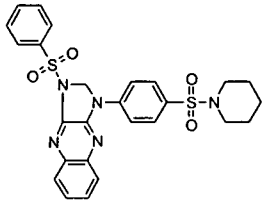
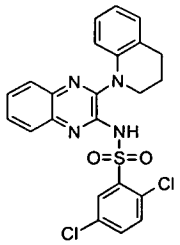
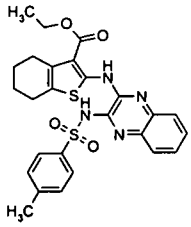
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Cpd. No.	**Structure**	**Name**
84		*N*-[3-(butylamino)quinoxalin-2-yl]-4-methylbenzenesulfonamide
85		*N*-[3-(cyclohexylamino)quinoxalin-2-yl]benzenesulfonamide
86		1-(phenylsulfonyl)-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxaline
87		1-(phenylsulfonyl)-3-[4-(piperidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxaline
88		2,5-dichloro-*N*-[3-(3,4-dihydroquinolin-1(2*H*)-yl)quinoxalin-2-yl]benzenesulfonamide
89		ethyl 2-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate

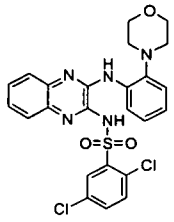
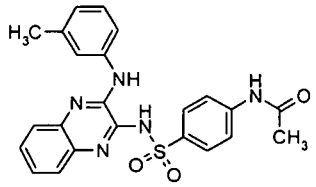
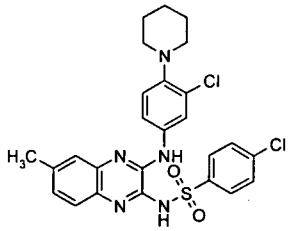
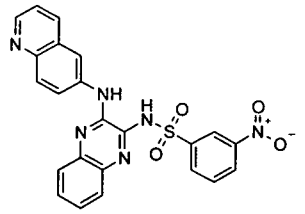
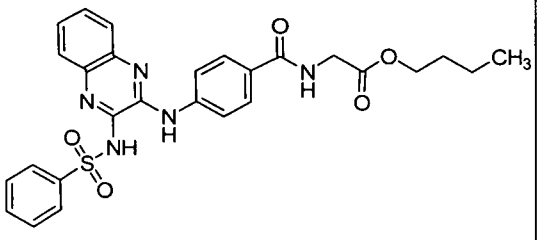
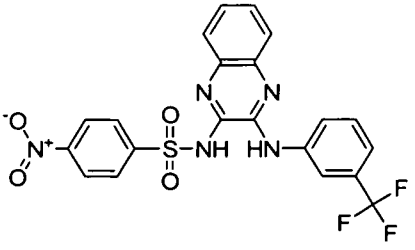
Table 1		
Cpd. No.	**Structure**	**Name**
90		2,5-dichloro-*N*-{3-[(2-morpholin-4-ylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
91		*N*-{4-[({3-[(3-methylphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
92		4-chloro-*N*-{3-[(3-chloro-4-piperidin-1-ylphenyl)amino]-6-methylquinoxalin-2-yl}benzenesulfonamide
93		3-nitro-*N*-[3-(quinolin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
94		butyl *N*-{[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)phenyl]carbonyl}glycinate
95		4-nitro-*N*-(3-{[3-(trifluoromethyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

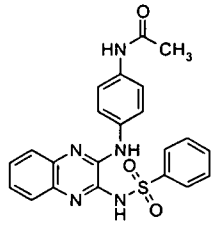
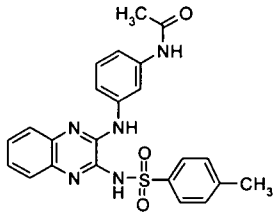
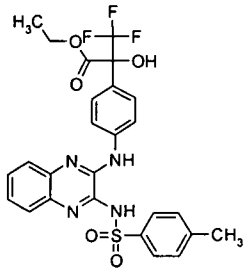
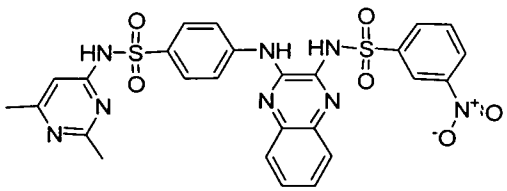
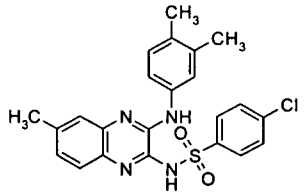
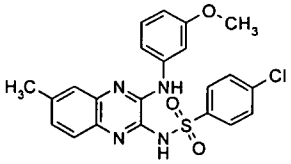
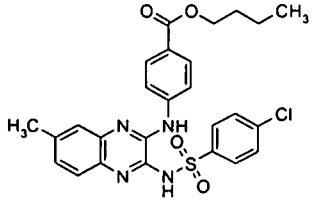
Table 1		
Cpd. No.	**Structure**	**Name**
96		*N*-[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)phenyl]acetamide
97		*N*-{3-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
98		ethyl 3,3,3-trifluoro-2-hydroxy-2-{4-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}propanoate
99		*N*-{3-[(4-{[(2,6-dimethylpyrimidin-4-yl)amino]sulfonyl}phenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
100		4-chloro-*N*-{3-[(3,4-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}benzenesulfonamide
101		4-chloro-*N*-(6-methyl-3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
102		butyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino}-7-methylquinoxalin-2-yl)amino]benzoate

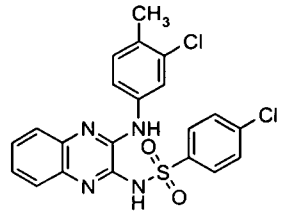
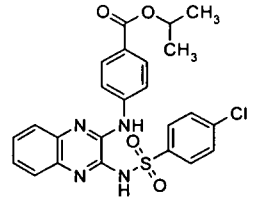
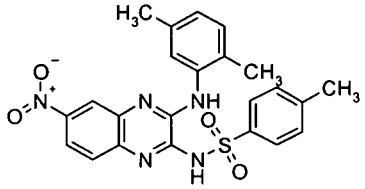
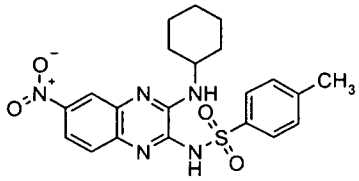
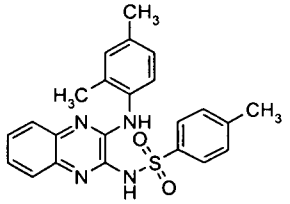
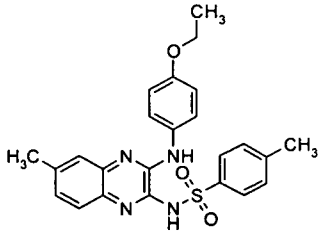
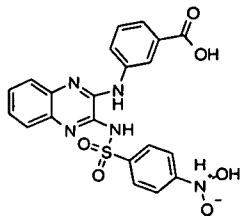
Table 1		
Cpd. No.	**Structure**	**Name**
103		4-chloro-*N*-{3-[(3-chloro-4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
104		1-methylethyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoate
105		*N*-{3-[(2,5-dimethylphenyl)amino]-6-nitroquinoxalin-2-yl}-4-methylbenzenesulfonamide
106		*N*-[3-(cyclohexylamino)-6-nitroquinoxalin-2-yl]-4-methylbenzenesulfonamide
107		*N*-{3-[(2,4-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
108		*N*-(3-{[4-(ethyloxy)phenyl]amino}-6-methylquinoxalin-2-yl)-4-methylbenzenesulfonamide
109		3-({3-[({4-[hydroxy(oxido)amino]phenyl}sulfonyl)amino]quinoxalin-2-yl}amino)benzoic acid

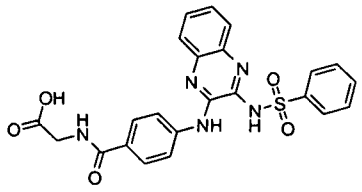
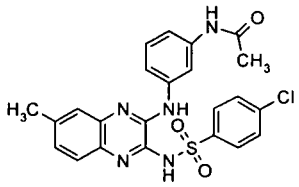
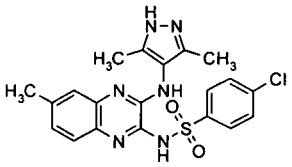
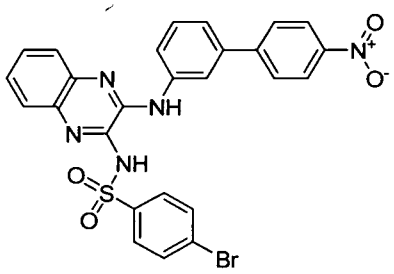
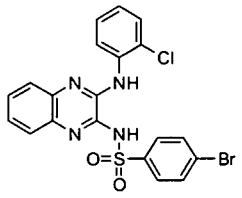
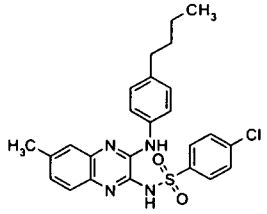
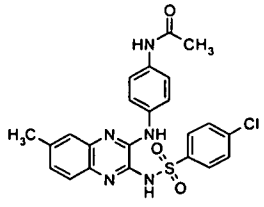
Table 1		
Cpd. No.	**Structure**	**Name**
110		*N*-{[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)phenyl]carbonyl}glycine
111		*N*-{3-[(3-{[(4-chlorophenyl)sulfonyl]amino}-7-methylquinoxalin-2-yl)amino]phenyl}acetamide
112		4-chloro-*N*-{3-[(3,5-dimethyl-1*H*-pyrazol-4-yl)amino]-6-methylquinoxalin-2-yl}benzenesulfonamide
113		4-bromo-*N*-{3-[(4'-nitrobiphenyl-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
114		4-bromo-*N*-{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
115		*N*-{3-[(4-butylphenyl)amino]-6-methylquinoxalin-2-yl}-4-chlorobenzenesulfonamide
116		*N*-{4-[(3-{[(4-chlorophenyl)sulfonyl]amino}-7-methylquinoxalin-2-yl)amino]phenyl}acetamide

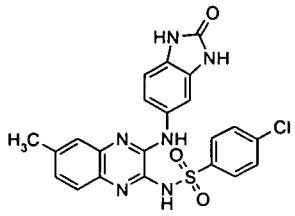
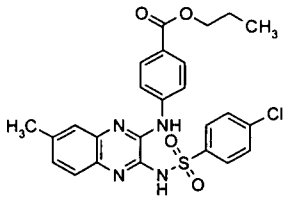
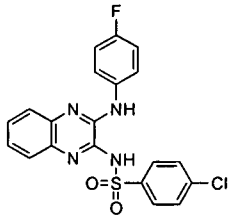
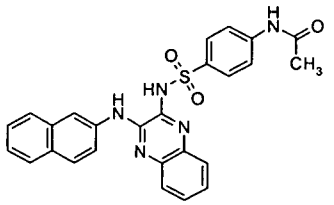
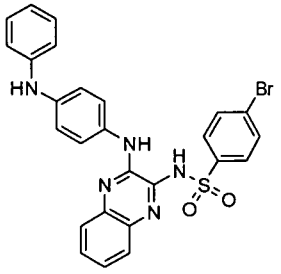
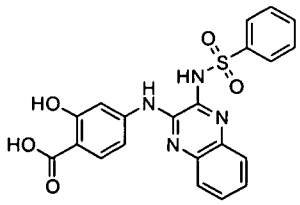
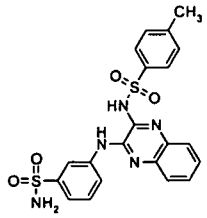
Table 1		
Cpd. No.	**Structure**	**Name**
117		4-chloro-*N*-{6-methyl-3-[(2-oxo-2,3-dihydro-1*H*-benzimidazol-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
118		propyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino}-7-methylquinoxalin-2-yl)amino]benzoate
119		4-chloro-*N*-{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
120		*N*-[4-({[3-(naphthalen-2-ylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
121		4-bromo-*N*-(3-{[4-(phenylamino)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
122		2-hydroxy-4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzoic acid
123		*N*-(3-{[3-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide

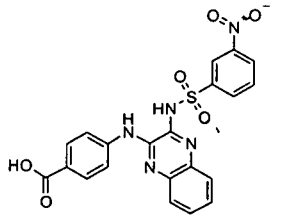
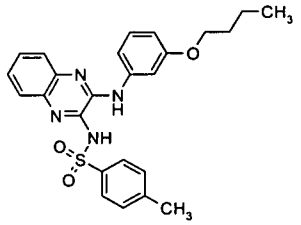
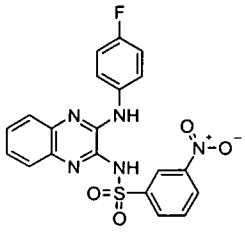
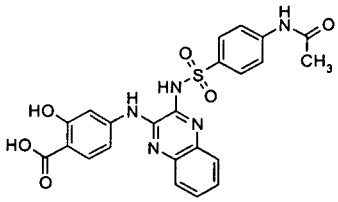
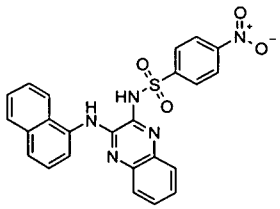
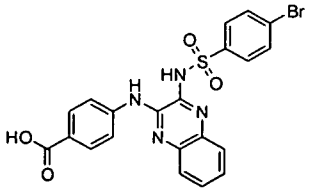
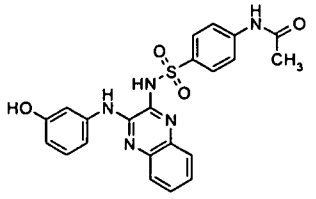
Table 1		
Cpd. No.	**Structure**	**Name**
124		4-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
125		*N*-(3-{[3-(butyloxy)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
126		*N*-{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
127		4-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}-2-hydroxybenzoic acid
128		*N*-[3-(naphthalen-1-ylamino)quinoxalin-2-yl]-4-nitrobenzenesulfonamide
129		4-[(3-{[(4-bromophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
130		*N*-{4-[({3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide

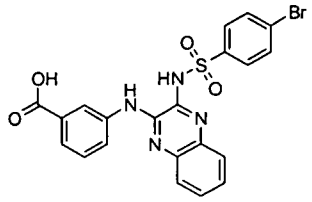
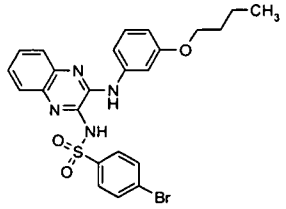
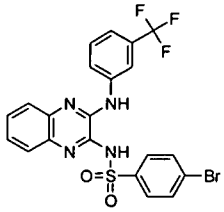
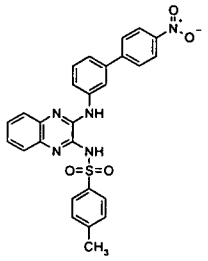
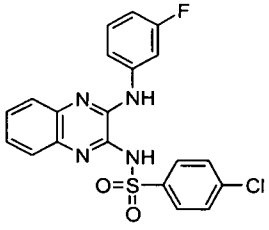
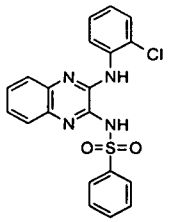
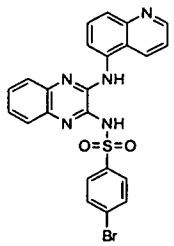
Table 1		
Cpd. No.	**Structure**	**Name**
131		3-[(3-{[(4-bromophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
132		4-bromo-*N*-(3-{[3-(butyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
133		4-bromo-*N*-(3-{[3-(trifluoromethyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
134		4-methyl-*N*-{3-[(4'-nitrobiphenyl-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
135		4-chloro-*N*-{3-[(3-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
136		*N*-{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
137		4-bromo-*N*-[3-(quinolin-5-ylamino)quinoxalin-2-yl]benzenesulfonamide

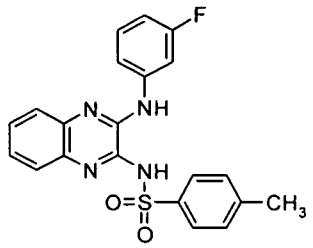
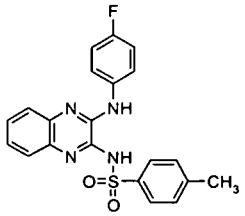
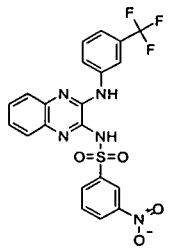
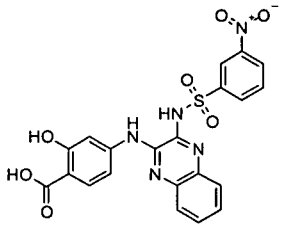
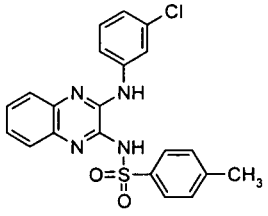
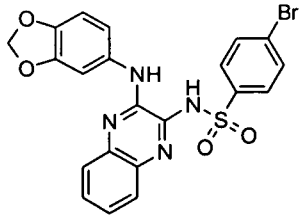
Table 1		
Cpd. No.	**Structure**	**Name**
138		*N*-{3-[(3-fluorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
139		*N*-{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
140		3-nitro-*N*-(3-{[3-(trifluoromethyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
141		2-hydroxy-4-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
142		*N*-{3-[(3-chlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
143		*N*-[3-(1,3-benzodioxol-5-ylamino)quinoxalin-2-yl]-4-bromobenzenesulfonamide

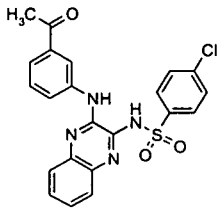
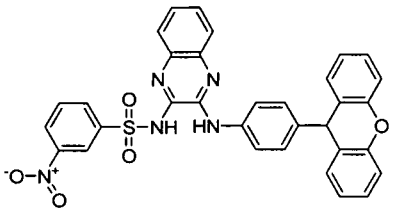
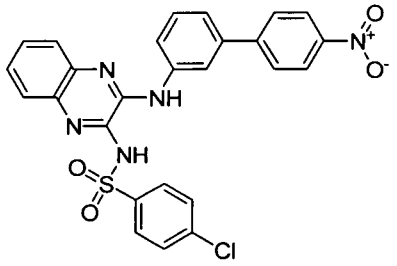
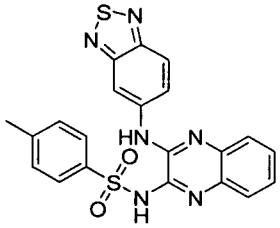
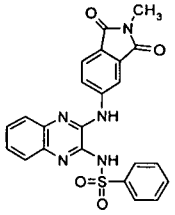
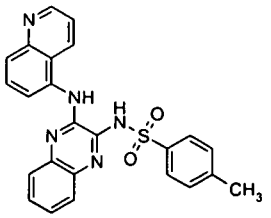
Table 1		
Cpd. No.	**Structure**	**Name**
144		*N*-{3-[(3-acetylphenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
145		3-nitro-*N*-(3-{[4-(9*H*-xanthen-9-yl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
146		4-chloro-*N*-{3-[(4'-nitrobiphenyl-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
147		*N*-[3-(2,1,3-benzothiadiazol-5-ylamino)quinoxalin-2-yl]-4-tolylsulfonamide
148		*N*-{3-[(2-methyl-1,3-dioxo-2,3-dihydro-1*H*-isoindol-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
149		4-methyl-*N*-[3-(quinolin-5-ylamino)quinoxalin-2-yl]benzenesulfonamide

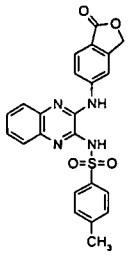
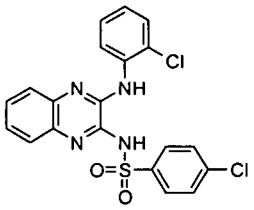
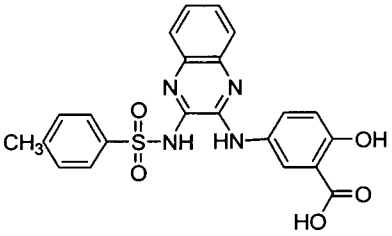
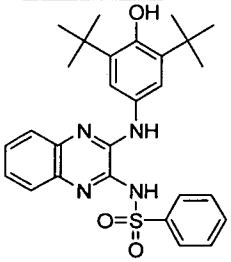
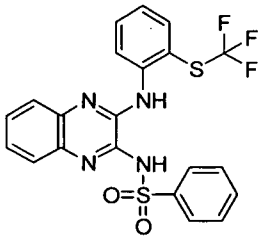
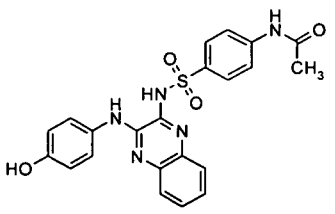
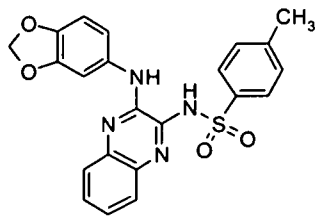
Table 1		
Cpd. No.	Structure	Name
150		4-methyl-*N*-{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
151		4-chloro-*N*-{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
152		2-hydroxy-5-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
153		*N*-(3-{[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino}quinoxalin-2-yl)benzenesulfonamide
154		*N*-[3-({2-[(trifluoromethyl)thio]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide
155		*N*-{4-[({3-[(4-hydroxyphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
156		*N*-[3-(1,3-benzodioxol-5-ylamino)quinoxalin-2-yl]-4-methylbenzenesulfonamide

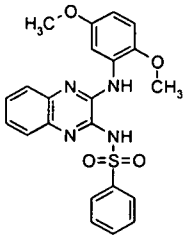
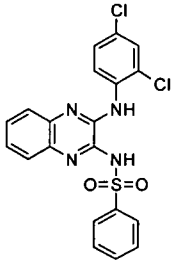
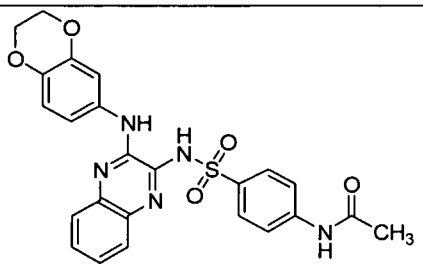
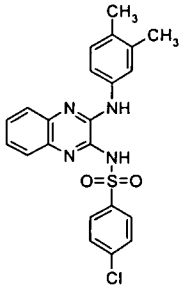
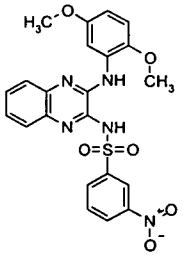
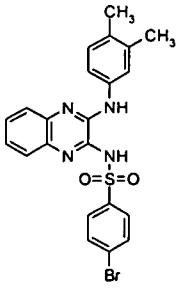
Table 1		
Cpd. No.	**Structure**	**Name**
157		*N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
158		*N*-{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
159		*N*-[4-({[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
160		4-chloro-*N*-{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
161		*N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
162		4-bromo-*N*-{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide

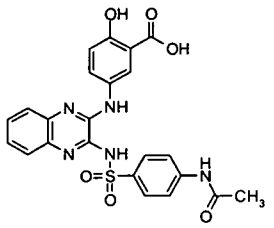
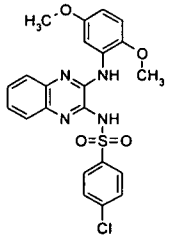
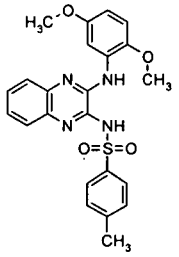
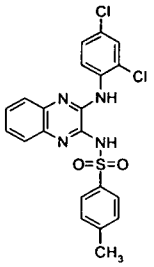
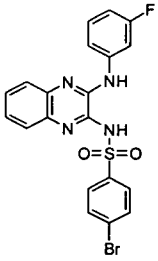
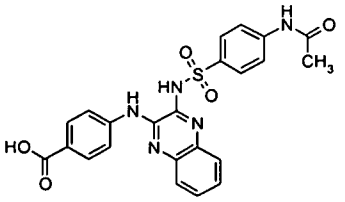
Table 1		
Cpd. No.	**Structure**	**Name**
163		5-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}-2-hydroxybenzoic acid
164		*N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-chlorobenzenesulfonamide
165		*N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
166		*N*-{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
167		4-bromo-*N*-{3-[(3-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
168		4-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}benzoic acid

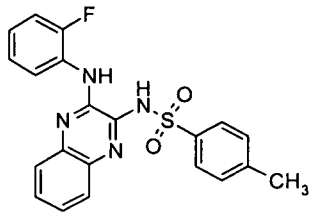
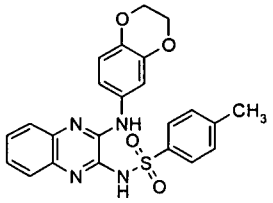
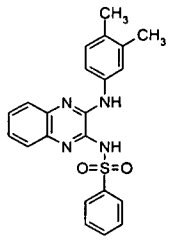
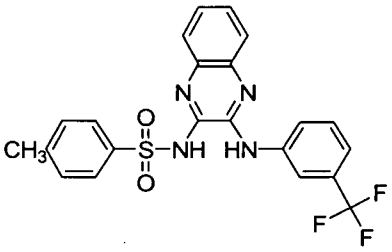
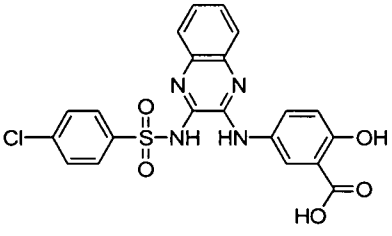
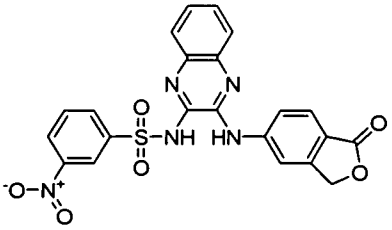
Table 1		
Cpd. No.	Structure	Name
169		*N*-{3-[(2-fluorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
170		*N*-[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl]-4-methylbenzenesulfonamide
171		*N*-{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
172		4-methyl-*N*-(3-{[3-(trifluoromethyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
173		5-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-2-hydroxybenzoic acid
174		3-nitro-*N*-{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide

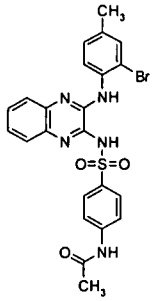
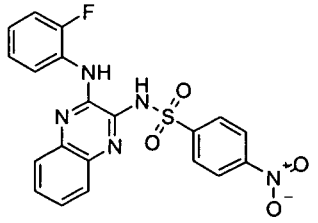
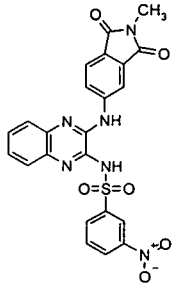
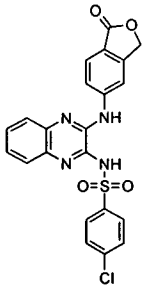
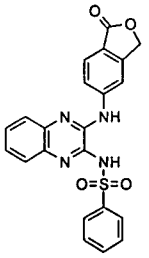
Table 1		
Cpd. No.	**Structure**	**Name**
175		*N*-{4-[({3-[(2-bromo-4-methylphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
176		*N*-{3-[(2-fluorophenyl)amino]quinoxalin-2-yl}-4-nitrobenzenesulfonamide
177		*N*-{3-[(2-methyl-1,3-dioxo-2,3-dihydro-1*H*-isoindol-5-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
178		4-chloro-*N*-{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
179		*N*-{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide

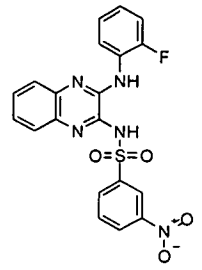
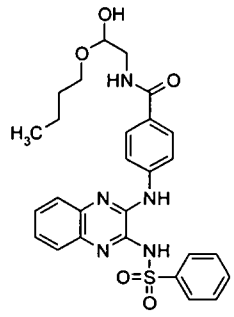
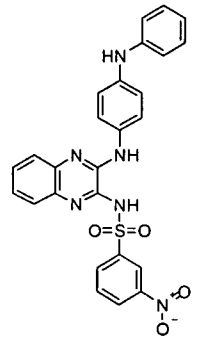
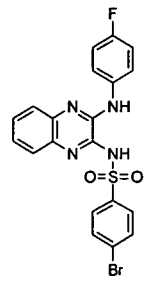
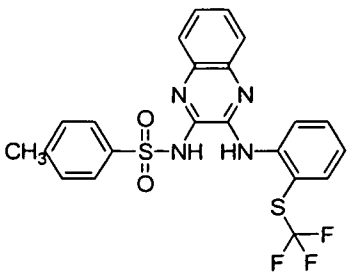
Table 1		
Cpd. No.	**Structure**	**Name**
180		*N*-{3-[(2-fluorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
181		*N*-[2-(butyloxy)-2-hydroxyethyl]-4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzamide
182		3-nitro-*N*-(3-{[4-(phenylamino)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
183		4-bromo-*N*-{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
184		4-methyl-*N*-[3-({2-[(trifluoromethyl)thio]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide

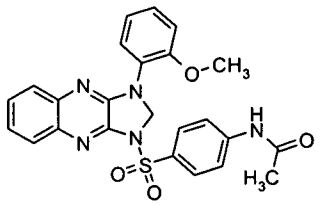
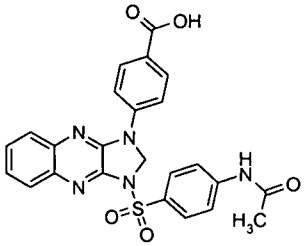
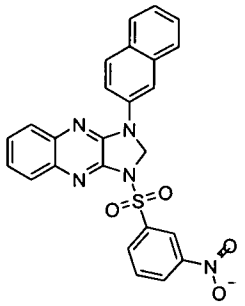
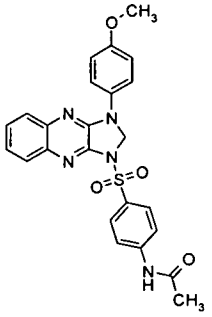
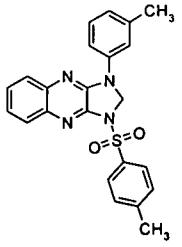
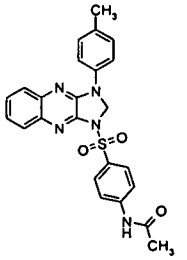
Table 1		
Cpd. No.	**Structure**	**Name**
185		*N*-[4-({3-[2-(methoxy)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxalin-1-yl}sulfonyl)phenyl]acetamide
186		4-(3-{[4-(acetylamino)phenyl]sulfonyl}-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxalin-1-yl)benzoic acid
187		1-naphthalen-2-yl-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxaline
188		*N*-[4-({3-[4-(methoxy)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxalin-1-yl}sulfonyl)phenyl]acetamide
189		1-(3-methylphenyl)-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxaline
190		*N*-(4-{[3-(4-methylphenyl)-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxalin-1-yl]sulfonyl}phenyl)acetamide

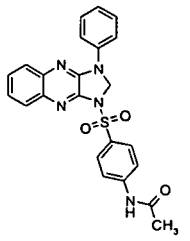
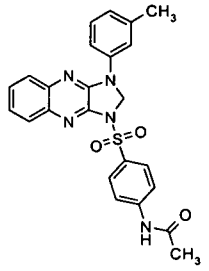
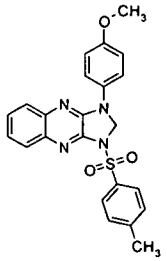
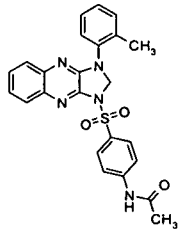
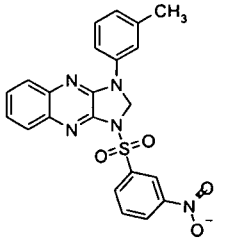
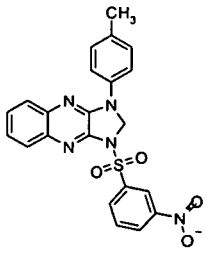
Table 1		
Cpd. No.	**Structure**	**Name**
191		*N*-{4-[(3-phenyl-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxalin-1-yl)sulfonyl]phenyl}acetamide
192		*N*-(4-{[3-(3-methylphenyl)-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxalin-1-yl]sulfonyl}phenyl)acetamide
193		1-[4-(methoxy)phenyl]-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxaline
194		*N*-(4-{[3-(2-methylphenyl)-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxalin-1-yl]sulfonyl}phenyl)acetamide
195		1-(3-methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxaline
196		1-(4-methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxaline

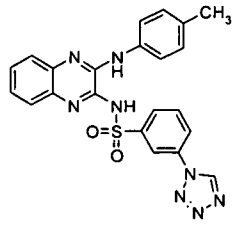
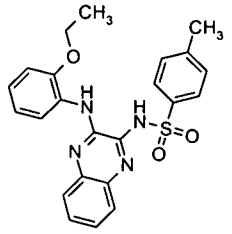
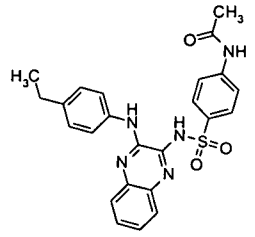
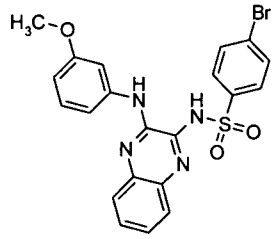
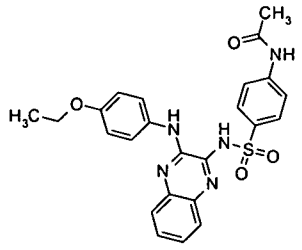
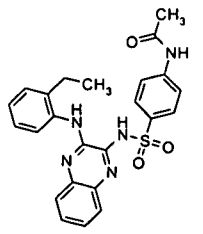
Table 1		
Cpd. No.	**Structure**	**Name**
197		*N*-{3-[(4-methylphenyl)amino]quinoxalin-2-yl}-3-(1*H*-tetrazol-1-yl)benzenesulfonamide
198		*N*-(3-{[2-(ethyloxy)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
199		*N*-{4-[({3-[(4-ethylphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
200		4-bromo-*N*-(3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
201		*N*-(4-{[(3-{[4-(ethyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
202		*N*-{4-[({3-[(2-ethylphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide

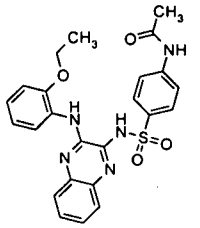
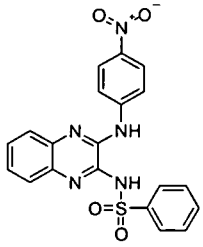
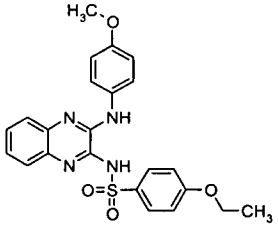
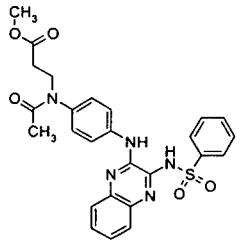
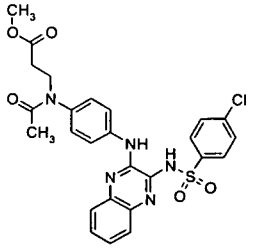
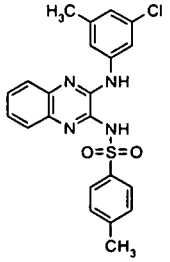
Table 1		
Cpd. No.	**Structure**	**Name**
203		*N*-(4-{[(3-{[2-(ethyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
204		*N*-{3-[(4-nitrophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
205		4-(ethyloxy)-*N*-(3-{[4-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
206		methyl *N*-acetyl-*N*-[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)phenyl]-beta-alaninate
207		methyl *N*-acetyl-*N*-{4-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}-beta-alaninate
208		*N*-{3-[(3-chloro-5-methylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide

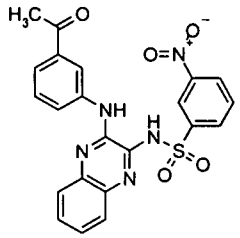
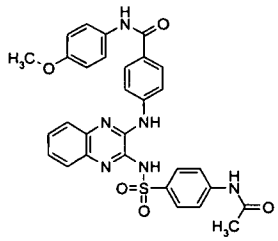
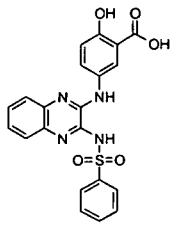
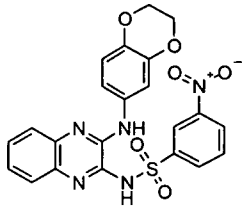
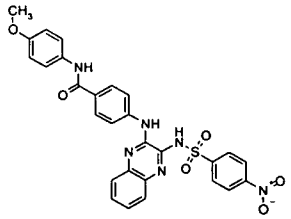
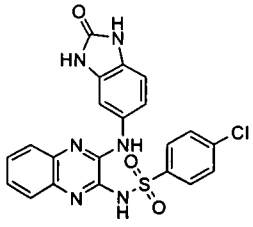
Table 1		
Cpd. No.	**Structure**	**Name**
209		*N*-{3-[(3-acetylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
210		4-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}-*N*-[4-(methoxy)phenyl]benzamide
211		2-hydroxy-5-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzoic acid
212		*N*-[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
213		*N*-[4-(methoxy)phenyl]-4-[(3-{[(4-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzamide
214		4-chloro-*N*-{3-[(2-oxo-2,3-dihydro-1*H*-benzimidazol-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide

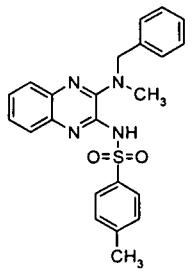
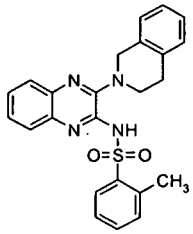
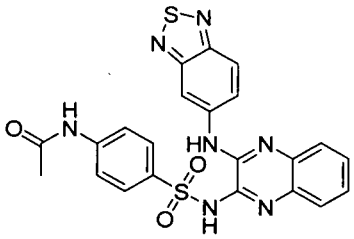
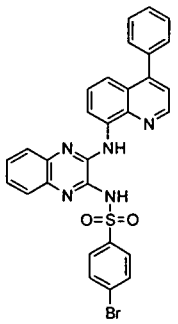
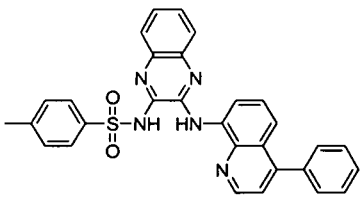
Table 1		
Cpd. No.	**Structure**	**Name**
215		4-methyl-*N*-{3-[methyl(phenylmethyl)amino]quinoxalin-2-yl}benzenesulfonamide
216		*N*-[3-(3,4-dihydroisoquinolin-2(1*H*)-yl)quinoxalin-2-yl]-2-methylbenzenesulfonamide
217		*N*-[4-({[3-(2,1,3-benzothiadiazol-5-ylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
218		4-bromo-*N*-{3-[(4-phenylquinolin-8-yl)amino]quinoxalin-2-yl}benzenesulfonamide
219		4-methyl-*N*-{3-[(4-phenylquinolin-8-yl)amino]quinoxalin-2-yl}benzenesulfonamide

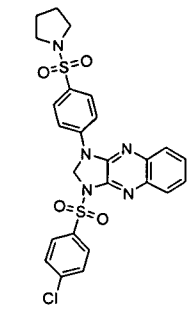
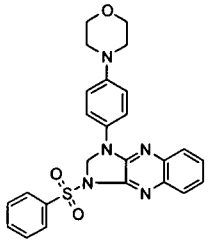
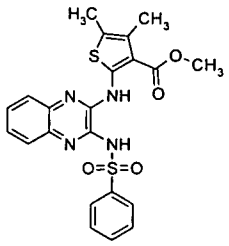
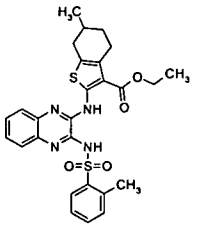
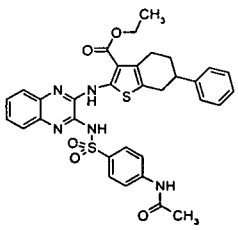
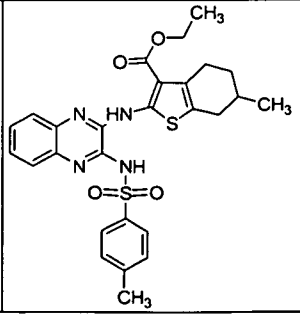
Table 1		
Cpd. No.	**Structure**	**Name**
220		1-[(4-chlorophenyl)sulfonyl]-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxaline
221		1-(4-morpholin-4-ylphenyl)-3-(phenylsulfonyl)-2,3-dihydro-1*H*-imidazo[4,5-b]quinoxaline
222		methyl 4,5-dimethyl-2-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)thiophene-3-carboxylate
223		ethyl 6-methyl-2-[(3-{[(2-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
224		ethyl 2-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}-6-phenyl-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
225		ethyl 6-methyl-2-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate

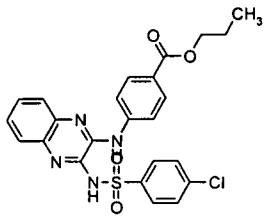
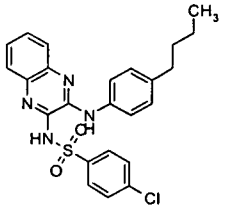
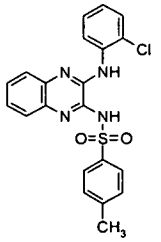
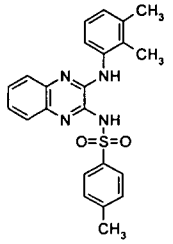
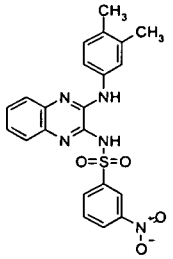
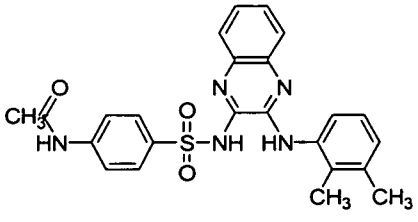
Table 1		
Cpd. No.	**Structure**	**Name**
226		propyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoate
227		*N*-{3-[(4-butylphenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
228		*N*-{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
229		*N*-{3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
230		*N*-{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
231		*N*-{4-[({3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide

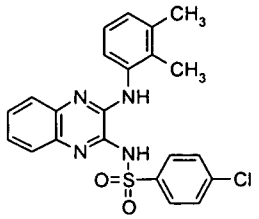
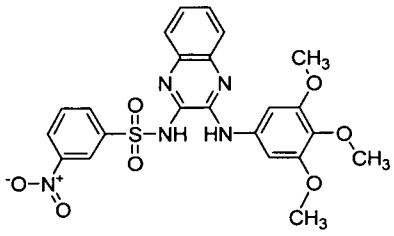
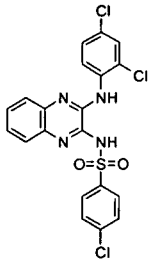
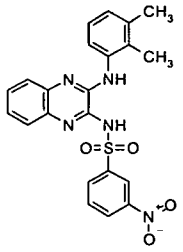
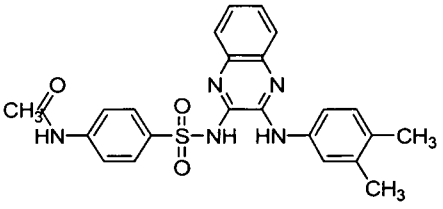
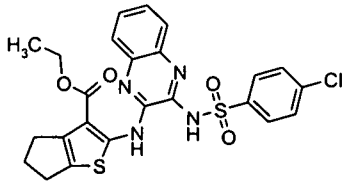
Table 1		
Cpd. No.	**Structure**	**Name**
232		4-chloro-*N*-{3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
233		3-nitro-*N*-(3-{[3,4,5-tris(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
234		4-chloro-*N*-{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
235		*N*-{3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
236		*N*-{4-[({3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
237		ethyl 2-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-5,6-dihydro-4*H*-cyclopenta[b]thiophene-3-carboxylate

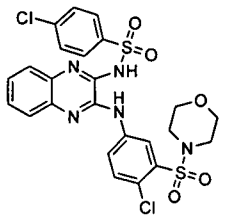
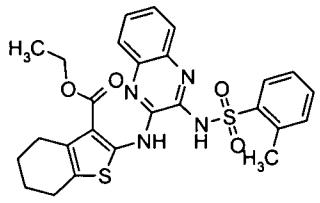
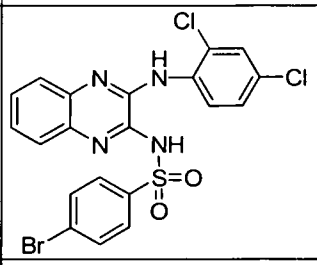
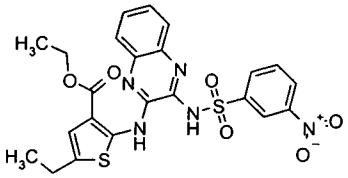
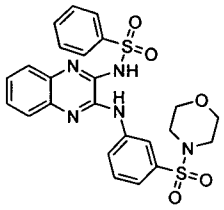
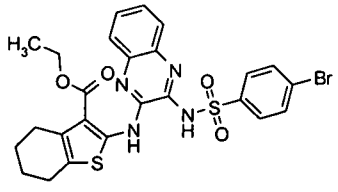
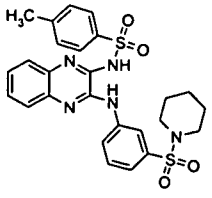
Table 1		
Cpd. No.	Structure	Name
238		4-chloro-*N*-(3-{[4-chloro-3-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
239		ethyl 2-[(3-{[(2-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
240		4-bromo-*N*-{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
241		ethyl 5-ethyl-2-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]thiophene-3-carboxylate
242		*N*-(3-{[3-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
243		ethyl 2-[(3-{[(4-bromophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
244		4-methyl-*N*-(3-{[3-(piperidin-1-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

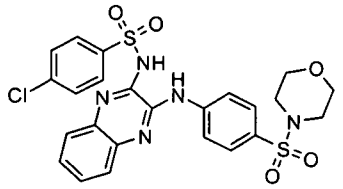
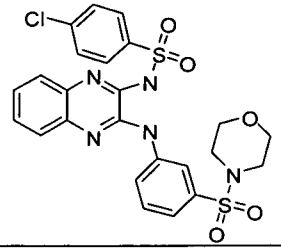
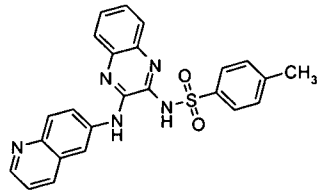
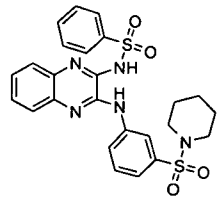
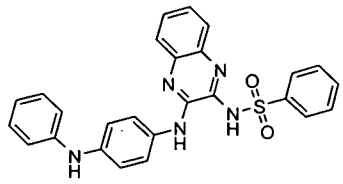
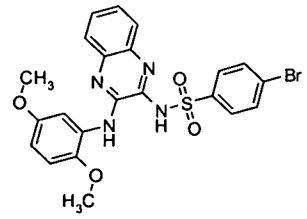
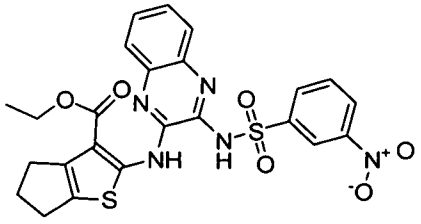
Table 1		
Cpd. No.	**Structure**	**Name**
245		4-chloro-*N*-(3-{[4-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
246		4-chloro-*N*-(3-{[3-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
247		4-methyl-*N*-[3-(quinolin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
248		*N*-(3-{[3-(piperidin-1-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
249		*N*-(3-{[4-(phenylamino)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
250		*N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-bromobenzenesulfonamide
251		ethyl 2-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-5,6-dihydro-4*H*-cyclopenta[b]thiophene-3-carboxylate

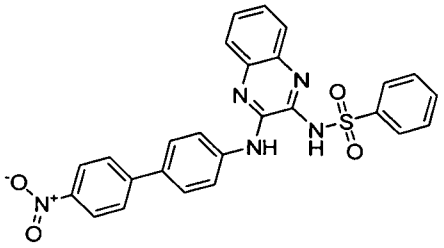
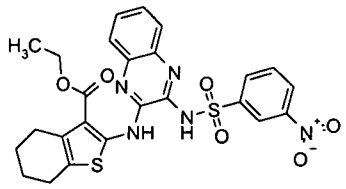
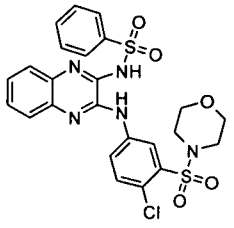
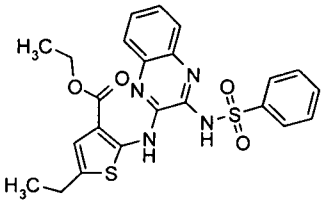
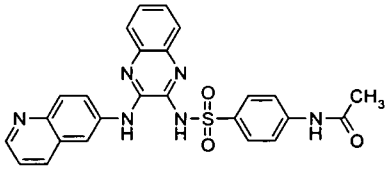
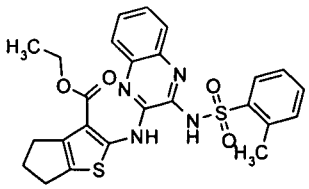
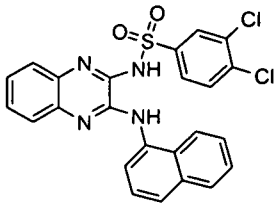
Table 1		
Cpd. No.	**Structure**	**Name**
252		*N*-{3-[(4'-nitrobiphenyl-4-yl)amino]quinoxalin-2-yl}benzenesulfonamide
253		ethyl 2-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
254		*N*-(3-{[4-chloro-3-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
255		ethyl 5-ethyl-2-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)thiophene-3-carboxylate
256		*N*-[4-({[3-(quinolin-6-ylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
257		ethyl 2-[(3-{[(2-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-5,6-dihydro-4*H*-cyclopenta[b]thiophene-3-carboxylate
258		3,4-dichloro-*N*-[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide

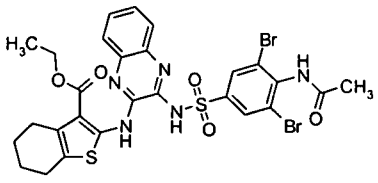
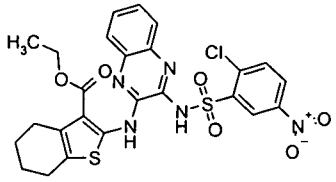
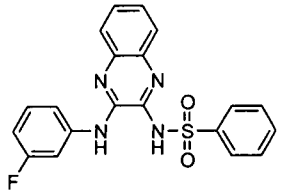
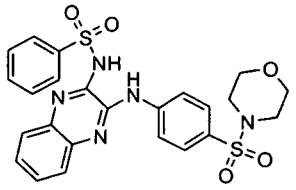
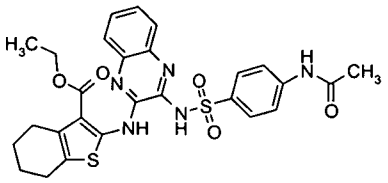
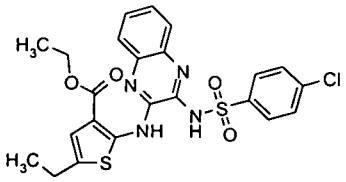
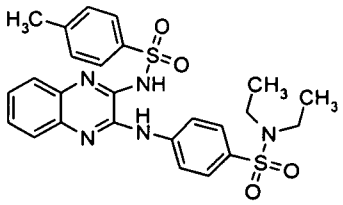
Table 1		
Cpd. No.	**Structure**	**Name**
259		ethyl 2-{[3-({[4-(acetylamino)-3,5-dibromophenyl]sulfonyl}amino)quinoxalin-2-yl]amino}-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
260		ethyl 2-[(3-{[(2-chloro-5-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
261		*N*-{3-[(3-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
262		*N*-(3-{[4-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
263		ethyl 2-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
264		ethyl 2-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-5-ethylthiophene-3-carboxylate
265		*N*,*N*-diethyl-4-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzenesulfonamide

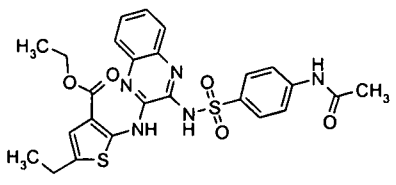
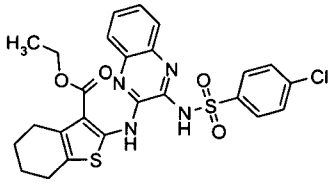
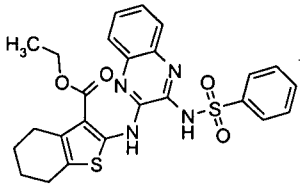
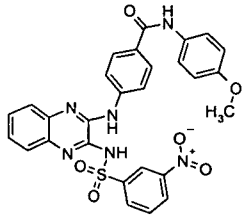
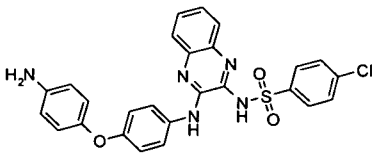
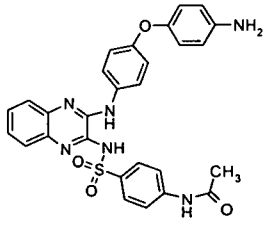
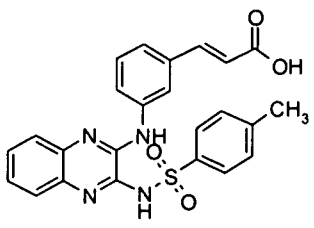
Table 1		
Cpd. No.	Structure	Name
266		ethyl 2-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}-5-ethylthiophene-3-carboxylate
267		ethyl 2-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
268		ethyl 2-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
269		*N*-[4-(methoxy)phenyl]-4-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzamide
270		*N*-[3-({4-[(4-aminophenyl)oxy]phenyl}amino)quinoxalin-2-yl]-4-chlorobenzenesulfonamide
271		*N*-[4-({[3-({4-[(4-aminophenyl)oxy]phenyl}amino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
272		(2E)-3-{3-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}prop-2-enoic acid

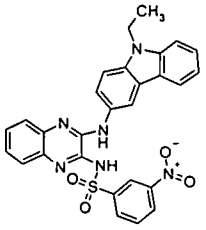
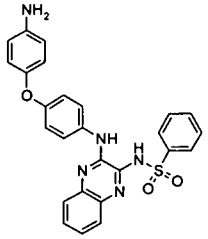
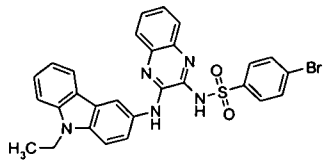
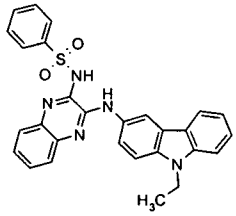
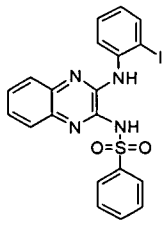
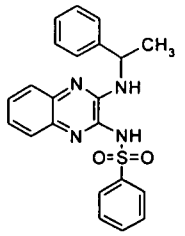
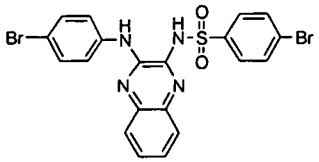
Table 1		
Cpd. No.	**Structure**	**Name**
273		*N*-{3-[(9-ethyl-9*H*-carbazol-3-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
274		*N*-[3-({4-[(4-aminophenyl)oxy]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide
275		4-bromo-*N*-{3-[(9-ethyl-9*H*-carbazol-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
276		*N*-{3-[(9-ethyl-9*H*-carbazol-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
277		*N*-{3-[(2-iodophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
278		*N*-{3-[(1-phenylethyl)amino]quinoxalin-2-yl}benzenesulfonamide
279		4-bromo-*N*-{3-[(4-bromophenyl)amino]quinoxalin-2-yl}benzenesulfonamide

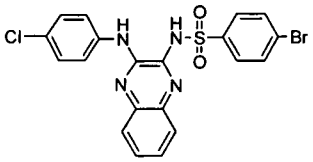
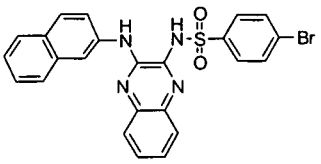
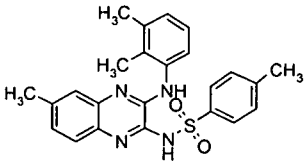
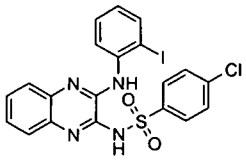
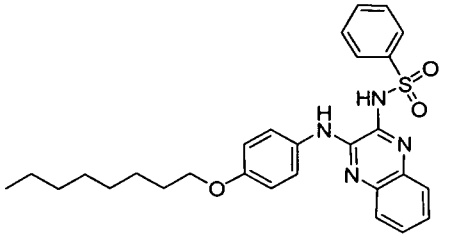
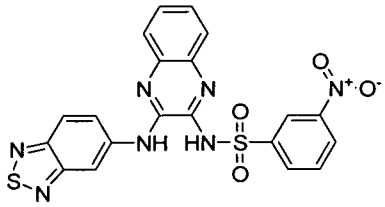
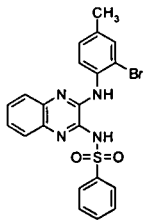
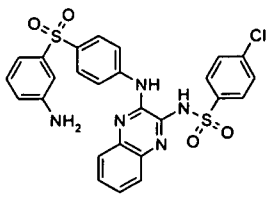
Table 1		
Cpd. No.	**Structure**	**Name**
280		4-bromo-*N*-{3-[(4-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
281		4-bromo-*N*-[3-(naphthalen-2-ylamino)quinoxalin-2-yl]benzenesulfonamide
282		*N*-{3-[(2,3-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzenesulfonamide
283		4-chloro-*N*-{3-[(2-iodophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
284		*N*-(3-{[4-(octyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
285		*N*-[3-(2,1,3-benzothiadiazol-5-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
286		*N*-{3-[(2-bromo-4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
287		*N*-[3-({4-[(3-aminophenyl)sulfonyl]phenyl}amino)quinoxalin-2-yl]-4-chlorobenzenesulfonamide

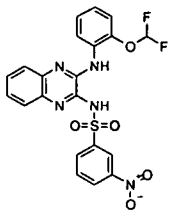
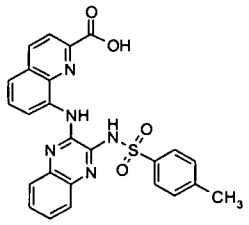
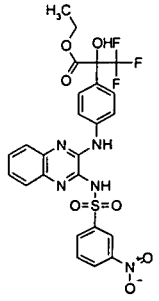
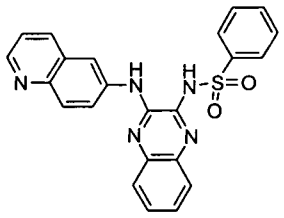
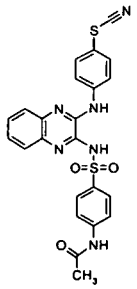
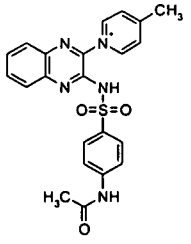
Table 1		
Cpd. No.	**Structure**	**Name**
288		*N*-[3-({2-[(difluoromethyl)oxy]phenyl}amino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
289		8-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]quinoline-2-carboxylic acid
290		ethyl 3,3,3-trifluoro-2-hydroxy-2-{4-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}propanoate
291		*N*-[3-(quinolin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
292		4-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}phenyl thiocyanate
293		1-[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]-4-methylpyridinium

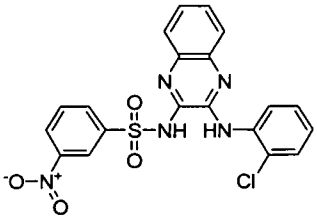
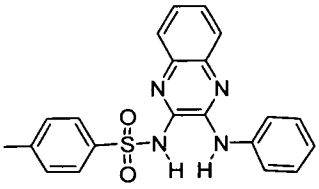
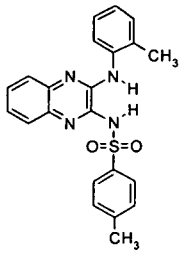
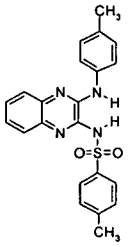
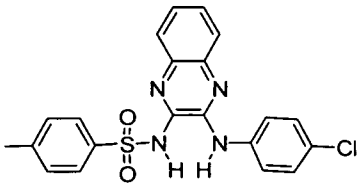
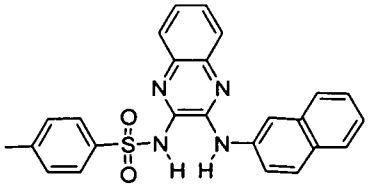
Table 1		
Cpd. No.	**Structure**	**Name**
294		*N*-{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
295		4-methyl-*N*-[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
296		4-methyl-*N*-{3-[(2-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
297		4-methyl-*N*-{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
298		*N*-{3-[(4-chlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
299		4-methyl-*N*-[3-(naphthalen-2-ylamino)quinoxalin-2-yl]benzenesulfonamide

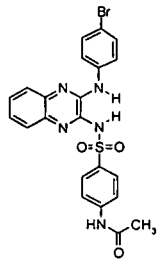
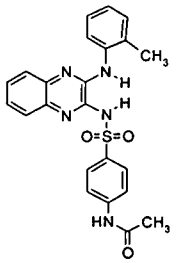
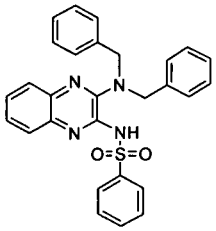
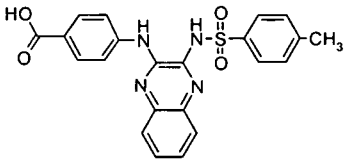
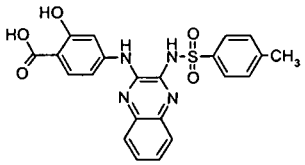
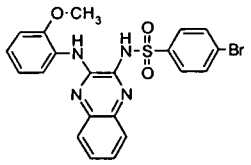
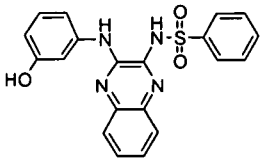
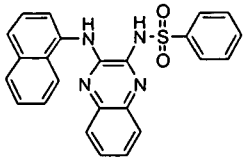
Table 1		
Cpd. No.	**Structure**	**Name**
300		*N*-{4-[({3-[(4-bromophenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
301		*N*-{4-[({3-[(2-methylphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}acetamide
302		*N*-{3-[bis(phenylmethyl)amino]quinoxalin-2-yl}benzenesulfonamide
303		4-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
304		2-hydroxy-4-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
305		4-bromo-*N*-(3-{[2-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
306		*N*-{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
307		*N*-[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide

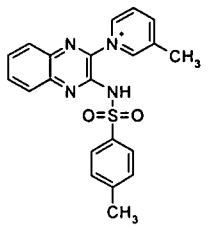
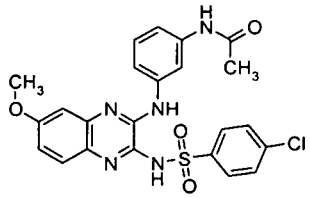
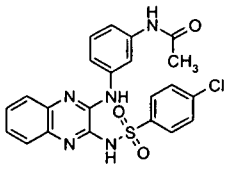
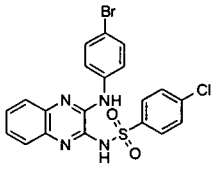
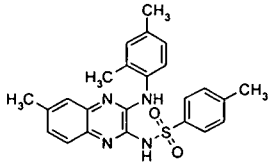
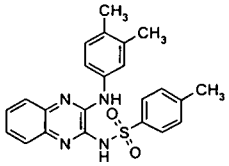
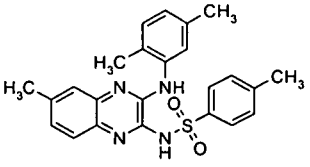
Table 1		
Cpd. No.	**Structure**	**Name**
308		3-methyl-1-(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)pyridinium
309		*N*-(3-{[3-{[(4-chlorophenyl)sulfonyl]amino}-7-(methoxy)quinoxalin-2-yl]amino}phenyl)acetamide
310		*N*-{3-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
311		*N*-{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
312		*N*-{3-[(2,4-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzenesulfonamide
313		*N*-{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
314		*N*-{3-[(2,5-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzenesulfonamide
315		ethyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoate

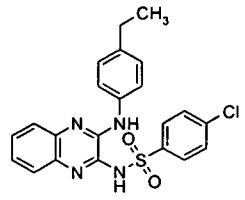
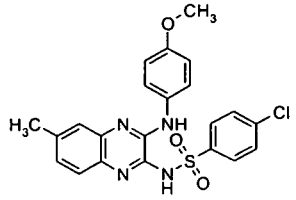
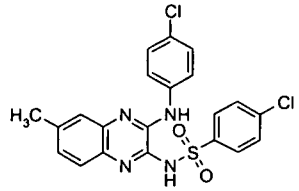
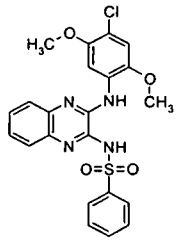
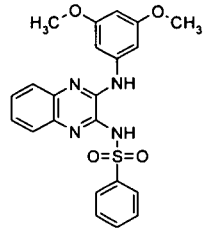
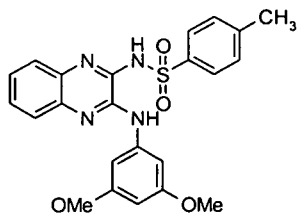
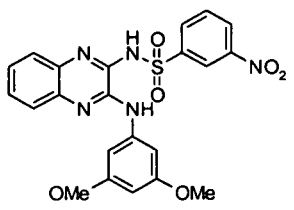
Table 1		
Cpd. No.	**Structure**	**Name**
316		4-chloro-*N*-{3-[(4-ethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
317		4-chloro-*N*-(6-methyl-3-{[4-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
318		4-chloro-*N*-{3-[(4-chlorophenyl)amino]-6-methylquinoxalin-2-yl}benzenesulfonamide
319		*N*-(3-{[4-chloro-2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
320		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
321		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
322		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide

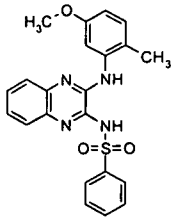
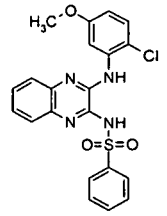
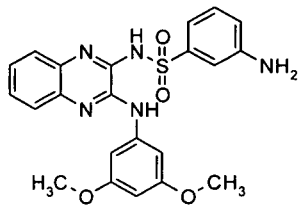
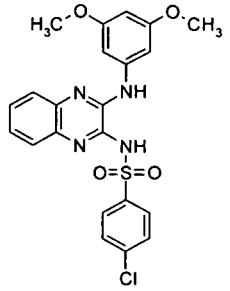
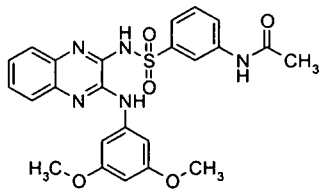
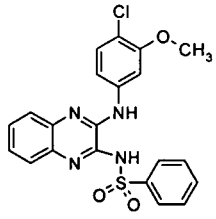
Table 1		
Cpd. No.	**Structure**	**Name**
323		*N*-(3-{[2-methyl-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
324		*N*-[3-(2-Chloro-5-methoxy-phenylamino)-quinoxalin-2-yl]-benzensulfonamide
325		3-amino-*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
326		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-chlorobenzenesulfonamide
327		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
328		*N*-(3-{[4-chloro-3-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

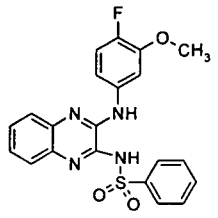
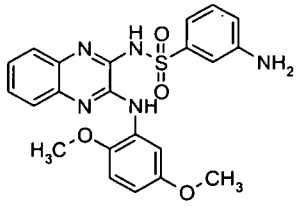
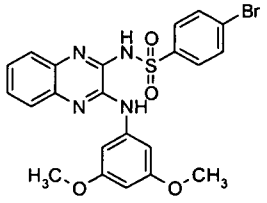
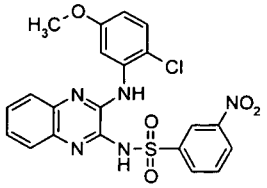
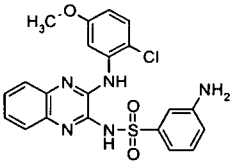
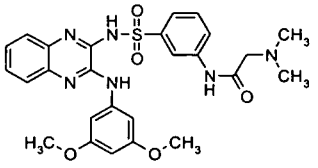
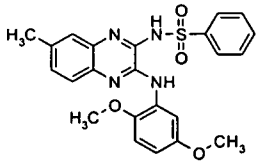
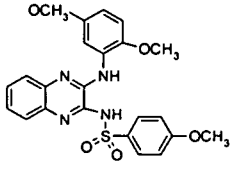
Table 1		
Cpd. No.	**Structure**	**Name**
329		*N*-(3-{[4-fluoro-3-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
330		3-amino-*N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
331		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-bromobenzenesulfonamide
332		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
333		3-amino-*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
334		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide
335		*N*-(3-{[2,5-bis(methoxy)phenyl]amino}-7-methylquinoxalin-2-yl)benzenesulfonamide
336		*N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-(methoxy)benzenesulfonamide

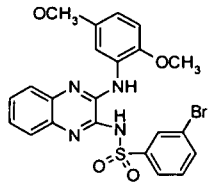
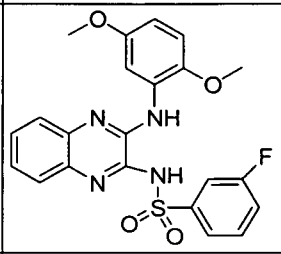
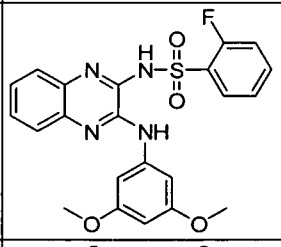
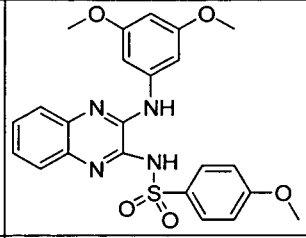
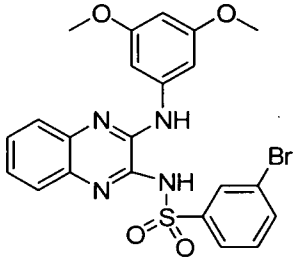
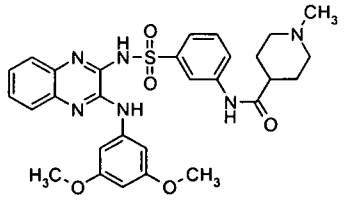
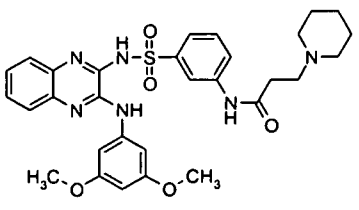
Table 1		
Cpd. No.	**Structure**	**Name**
337		*N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-bromobenzenesulfonamide
338		*N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-fluorobenzenesulfonamide
339		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2-fluorobenzenesulfonamide
340		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-(methoxy)benzenesulfonamide
341		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-bromobenzenesulfonamide
342		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methylpiperidine-4-carboxamide
343		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-piperidin-1-ylpropanamide

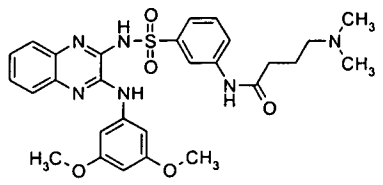
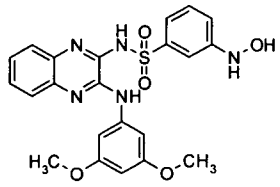
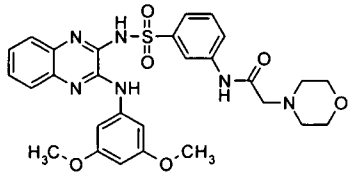
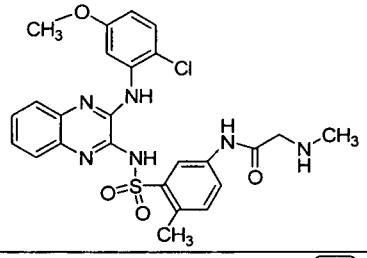
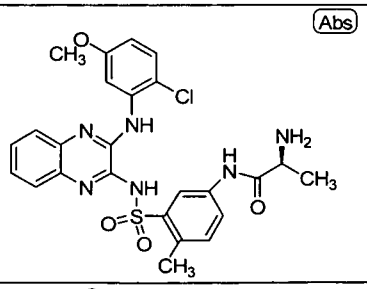
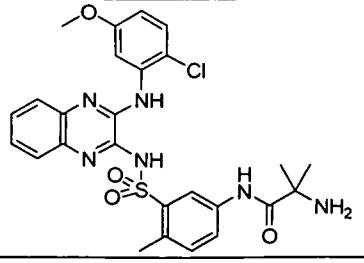
Table 1		
Cpd. No.	**Structure**	**Name**
344		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-(dimethylamino)butanamide
345		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(hydroxyamino)benzenesulfonamide
346		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-morpholin-4-ylacetamide
347		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-*N*-2-methylglycinamide
348		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-L-alaninamide
349		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-2-methylalaninamide

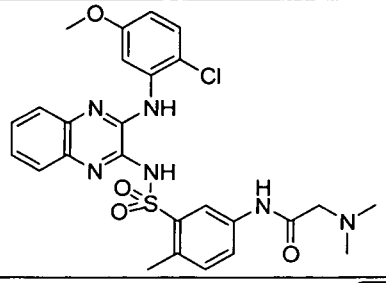
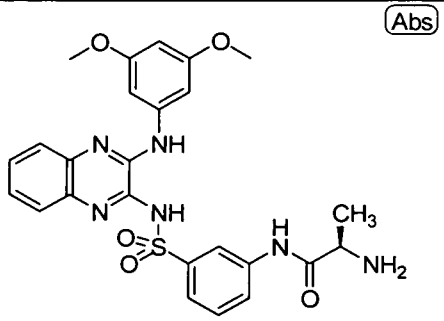
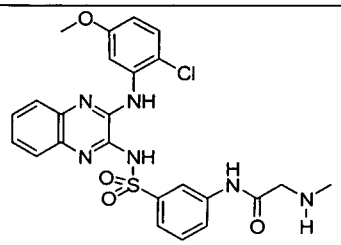
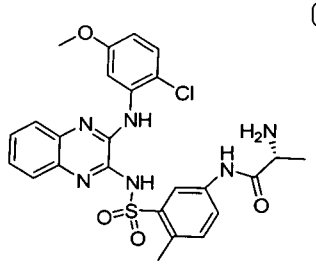
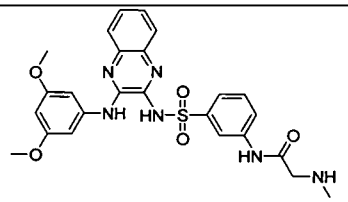
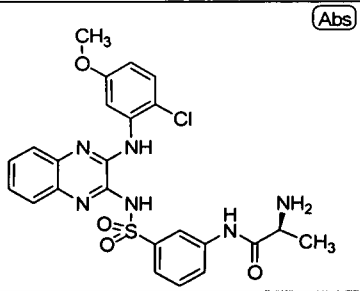
Table 1		
Cpd. No.	**Structure**	**Name**
350		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-*N*-2-,*N*-2-dimethylglycinamide
351		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-alaninamide
352		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methylglycinamide
353		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-D-alaninamide
354		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methylglycinamide
355		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-L-alaninamide

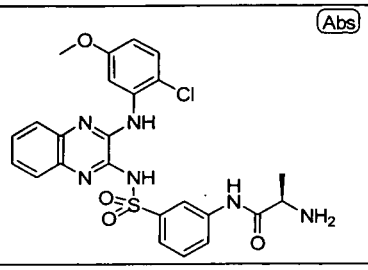
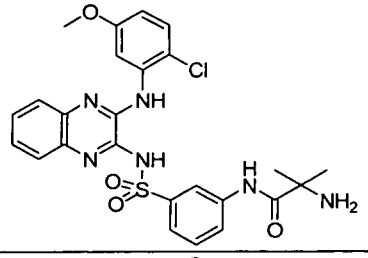
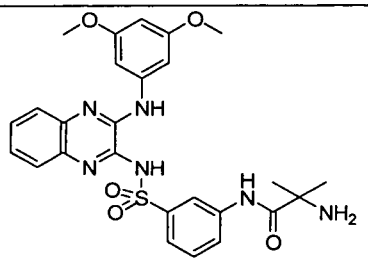
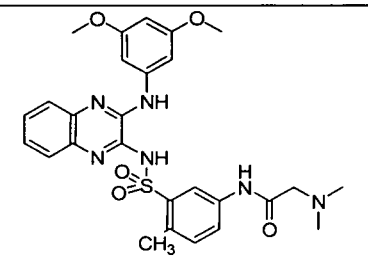
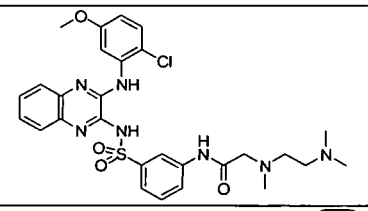
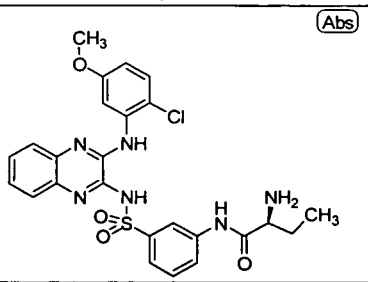
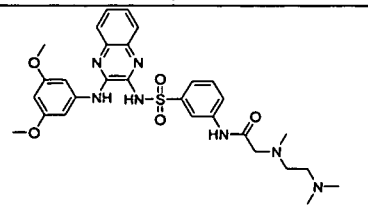
Table 1		
Cpd. No.	**Structure**	**Name**
356		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-alaninamide
357		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylalaninamide
358		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylalaninamide
359		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-*N*-2-,*N*-2-dimethylglycinamide
360		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(dimethylamino)ethyl]-*N*-2-methylglycinamide
361		(2S)-2-amino-*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)butanamide
362		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(dimethylamino)ethyl]-*N*-2-methylglycinamide

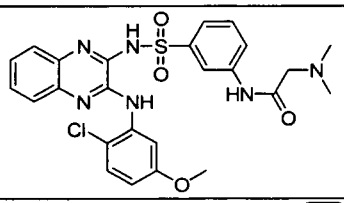
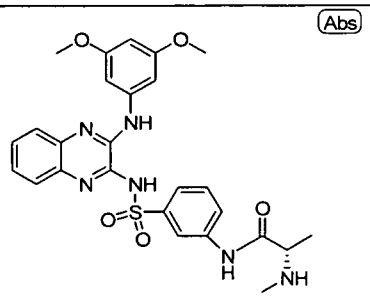
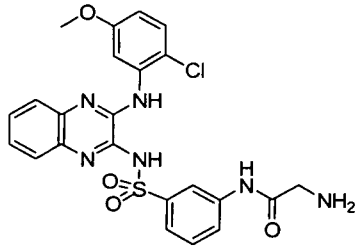
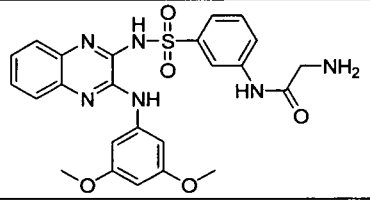
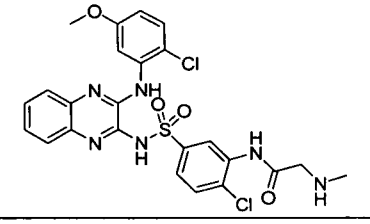
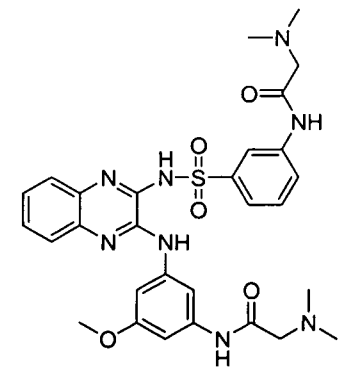
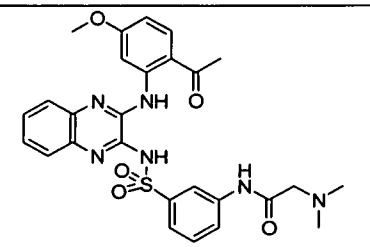
Table 1		
Cpd. No.	**Structure**	**Name**
363		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide
364		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-L-alaninamide
365		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
366		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
367		*N*-(2-chloro-5-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methylglycinamide
368		2-(dimethylamino)-*N*-(3-(*N*-(3-(3-(2-(dimethylamino)acetamido)-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide
369		*N*-(3-{[(3-{[2-acetyl-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide

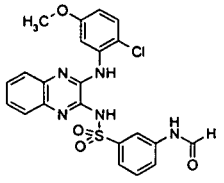
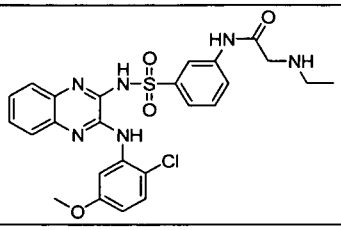
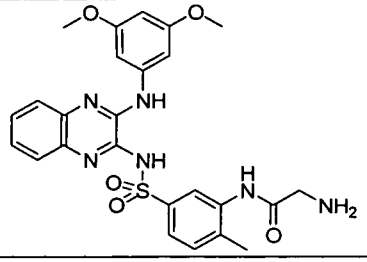
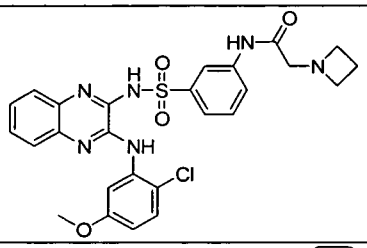
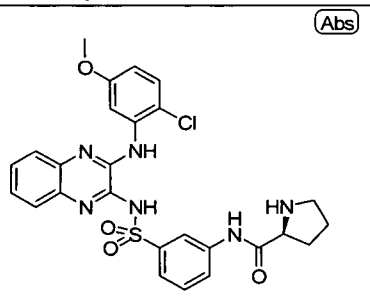
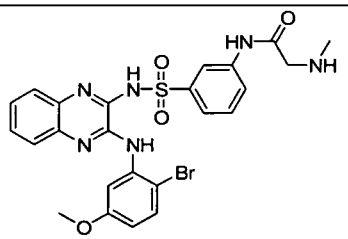
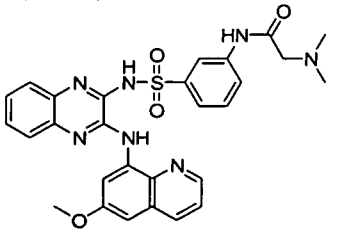
Table 1		
Cpd. No.	**Structure**	**Name**
370		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide
371		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-ethylglycinamide
372		*N*-(5-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-2-methylphenyl)glycinamide
373		2-azetidin-1-yl-*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
374		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-L-prolinamide
375		*N*-(3-{[(3-{[2-bromo-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methylglycinamide
376		*N*-2-,*N*-2-dimethyl-*N*-(3-{[(3-{[6-(methoxy)quinolin-8-yl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide

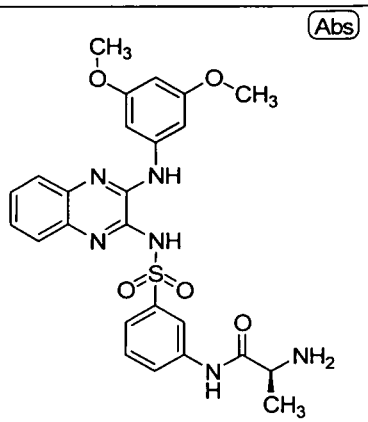
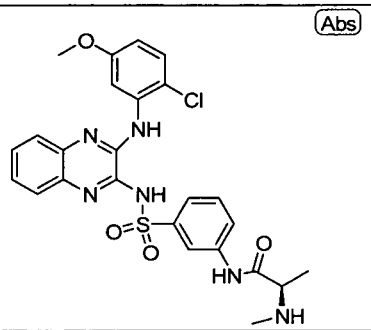
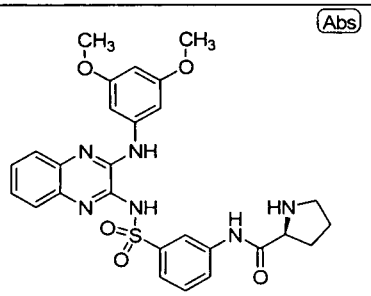
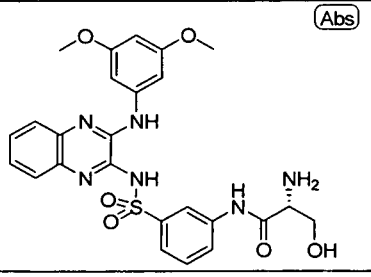
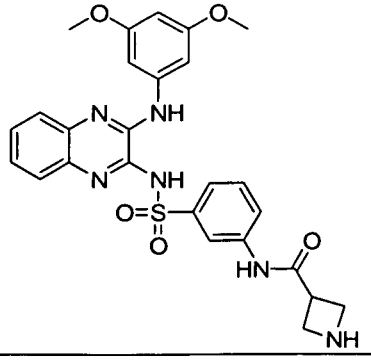
Table 1		
Cpd. No.	**Structure**	**Name**
377		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-L-alaninamide
378		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-D-alaninamide
379		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-L-prolinamide
380		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-serinamide
381		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)azetidine-3-carboxamide

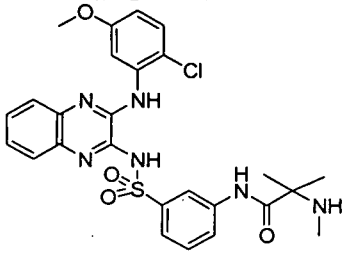
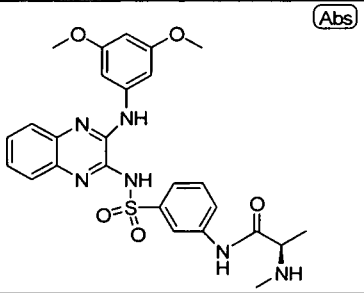
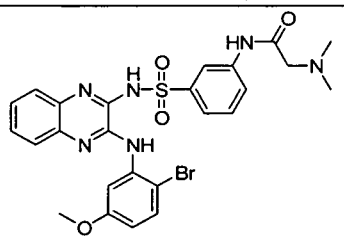
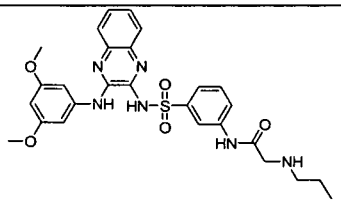
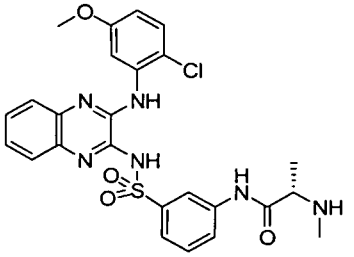
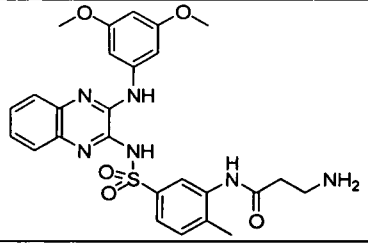
Table 1		
Cpd. No.	**Structure**	**Name**
382		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,2-dimethylalaninamide
383		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-D-alaninamide
384		*N*-(3-{[(3-{[2-bromo-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide
385		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-propylglycinamide
386		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-L-alaninamide
387		*N*-(5-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-2-methylphenyl)-beta-alaninamide

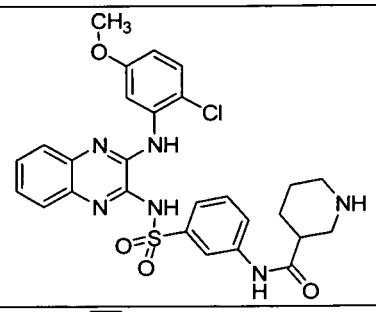
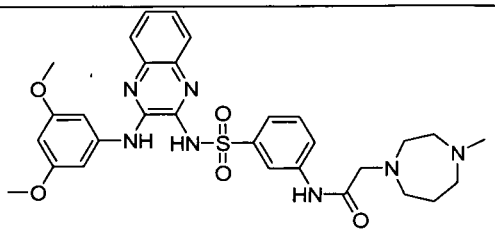
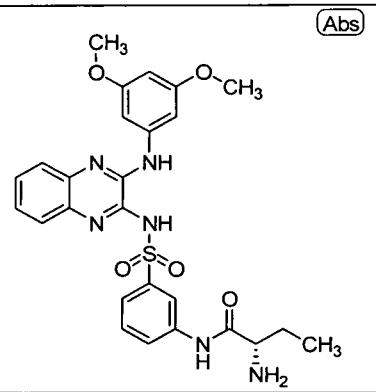
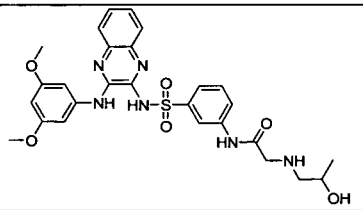
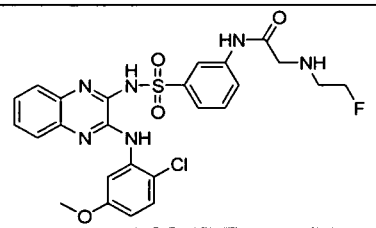
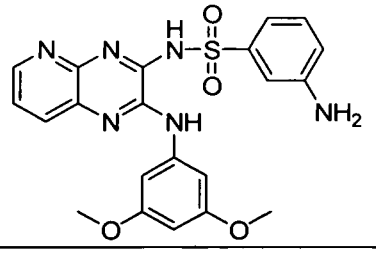
Table 1		
Cpd. No.	**Structure**	**Name**
388		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)piperidine-3-carboxamide
389		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-methyl-1,4-diazepan-1-yl)acetamide
390		(2S)-2-amino-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)butanamide
391		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-hydroxypropyl)glycinamide
392		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-fluoroethyl)glycinamide
393		3-amino-*N*-(2-{[3,5-bis(methoxy)phenyl]amino}pyrido[2,3-b]pyrazin-3-yl)benzenesulfonamide

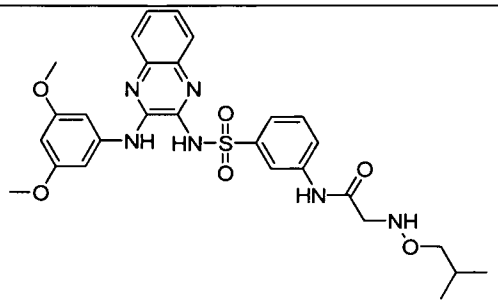
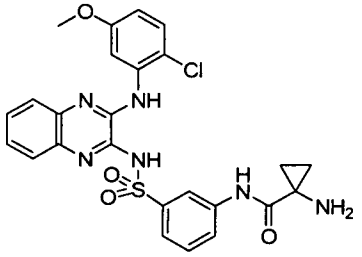
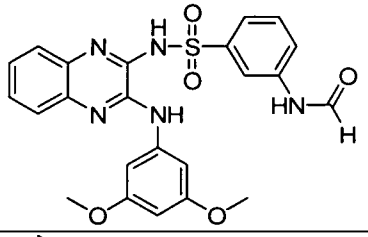
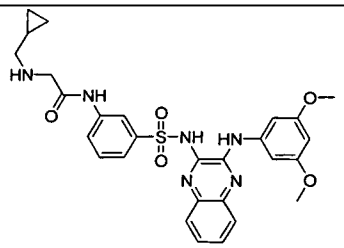
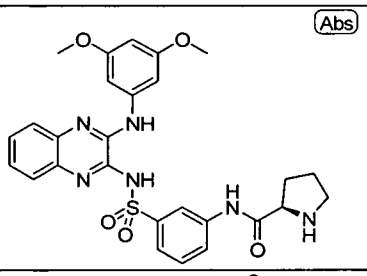
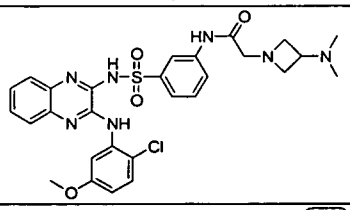
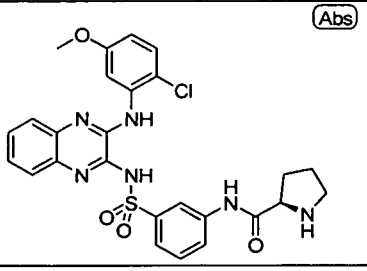
Table 1		
Cpd. No.	**Structure**	**Name**
394		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[(2-methylpropyl)oxy]glycinamide
395		1-amino-*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopropanecarboxamide
396		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide
397		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(cyclopropylmethyl)glycinamide
398		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-prolinamide
399		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(dimethylamino)azetidin-1-yl]acetamide
400		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-prolinamide

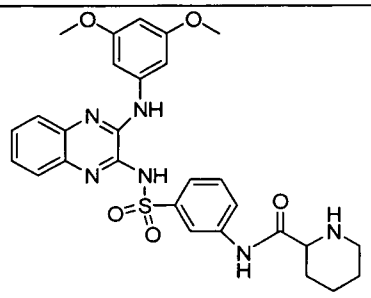
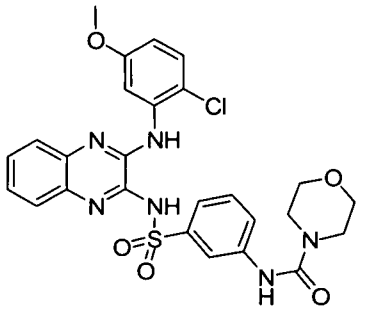
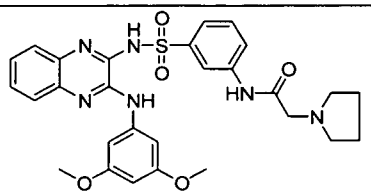
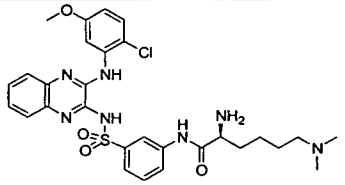
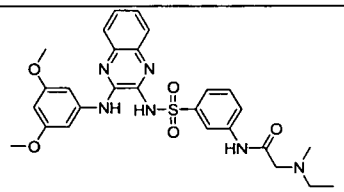
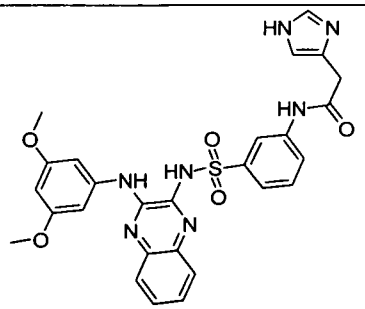
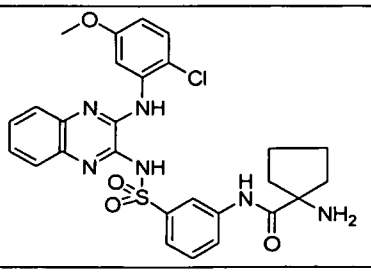
Table 1		
Cpd. No.	**Structure**	**Name**
401		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)piperidine-2-carboxamide
402		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)morpholine-4-carboxamide
403		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-pyrrolidin-1-ylacetamide
404		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-6-,*N*-6-dimethyl-L-lysinamide
405		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-ethyl-*N*-2-methylglycinamide
406		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(1*H*-imidazol-4-yl)acetamide
407		1-amino-*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopentanecarboxamide

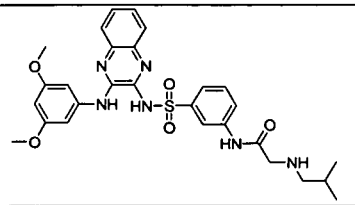
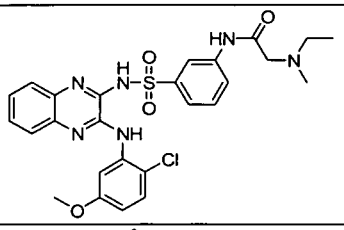
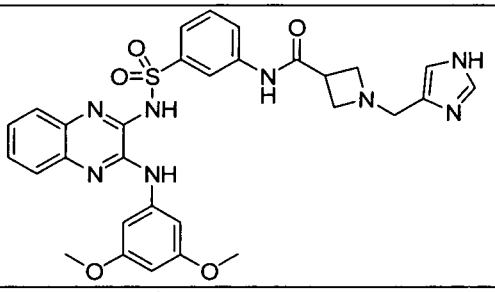
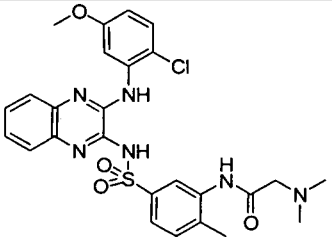
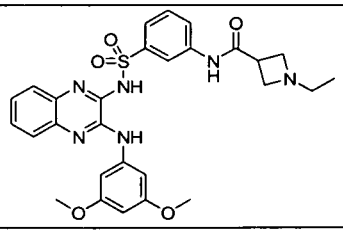
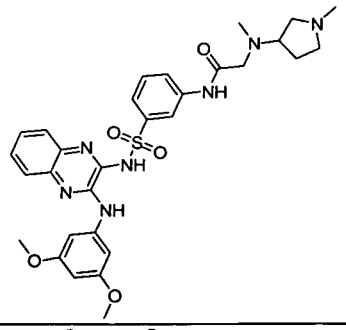
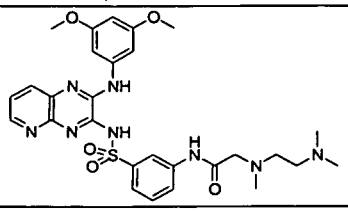
Table 1		
Cpd. No.	**Structure**	**Name**
408		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-methylpropyl)glycinamide
409		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-ethyl-*N*-2-methylglycinamide
410		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(1*H*-imidazol-4-ylmethyl)azetidine-3-carboxamide
411		*N*-(5-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-2-methylphenyl)-*N*-2-,*N*-2-dimethylglycinamide
412		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-ethylazetidine-3-carboxamide
413		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-*N*-2-(1-methylpyrrolidin-3-yl)glycinamide
414		*N*-(3-{[(2-{[3,5-bis(methoxy)phenyl]amino}pyrido[2,3-b]pyrazin-3-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(dimethylamino)ethyl]-*N*-2-methylglycinamide

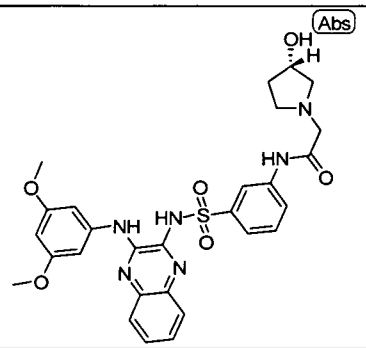
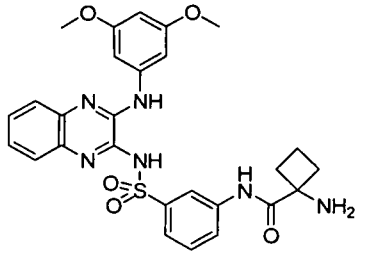
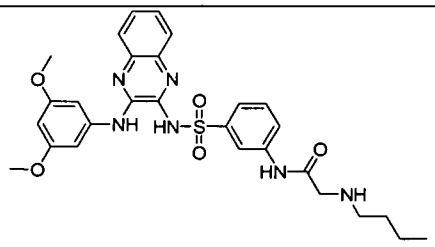
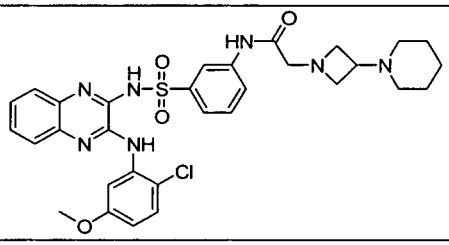
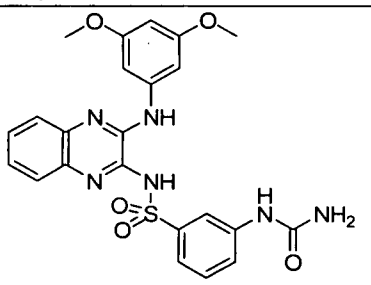
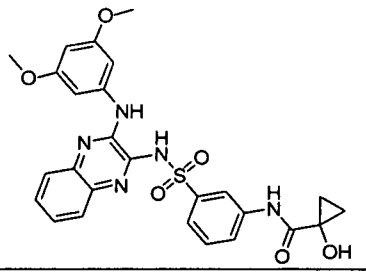
Table 1		
Cpd. No.	**Structure**	**Name**
415		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(3S)-3-hydroxypyrrolidin-1-yl]acetamide
416		1-amino-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclobutanecarboxamide
417		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-butylglycinamide
418		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-piperidin-1-ylazetidin-1-yl)acetamide
419		3-[(aminocarbonyl)amino]-*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
420		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-hydroxycyclopropanecarboxamide

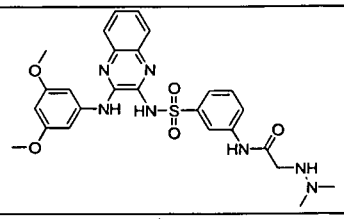
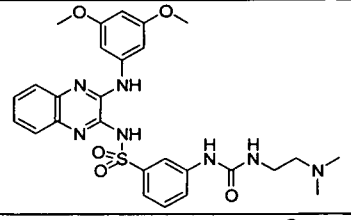
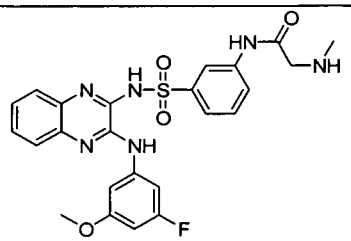
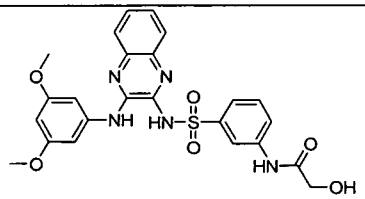
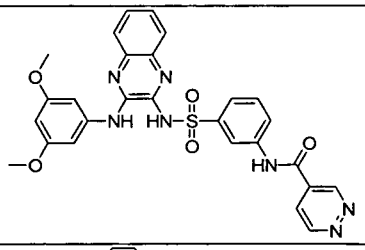
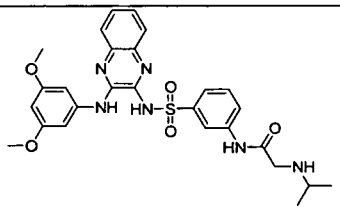
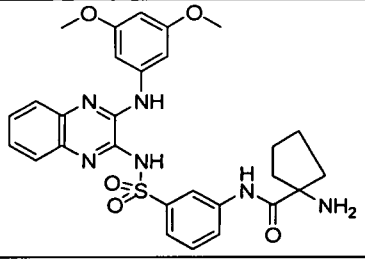
Table 1		
Cpd. No.	Structure	Name
421		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2,2-dimethylhydrazino)acetamide
422		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-[({[2-(dimethylamino)ethyl]amino}carbonyl)amino]benzenesulfonamide
423		*N*-(3-{[(3-{[3-fluoro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methylglycinamide
424		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-hydroxyacetamide
425		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyridazine-4-carboxamide
426		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(1-methylethyl)glycinamide
427		1-amino-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopentanecarboxamide

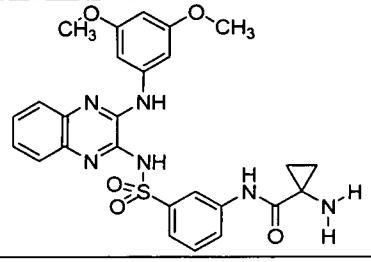
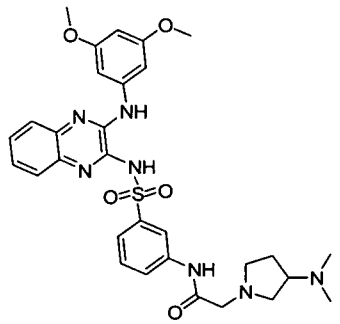
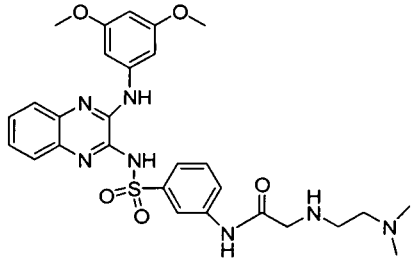
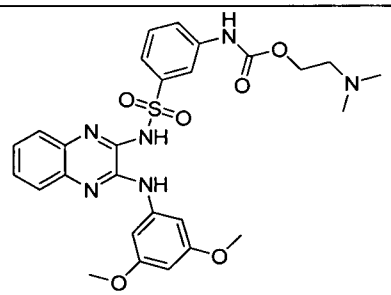
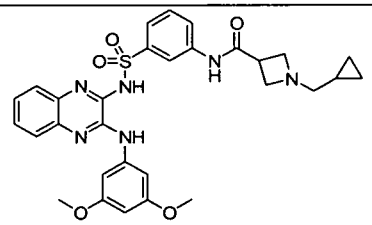
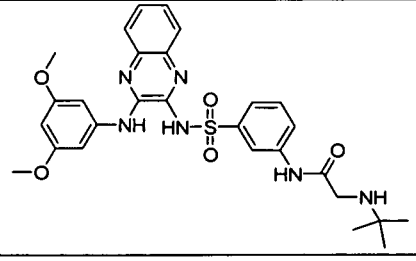
Table 1		
Cpd. No.	**Structure**	**Name**
428		1-amino-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopropanecarboxamide
429		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(dimethylamino)pyrrolidin-1-yl]acetamide
430		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(dimethylamino)ethyl]glycinamide
431		2-(dimethylamino)ethyl(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)carbamate
432		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(cyclopropylmethyl)azetidine-3-carboxamide
433		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(1,1-dimethylethyl)glycinamide

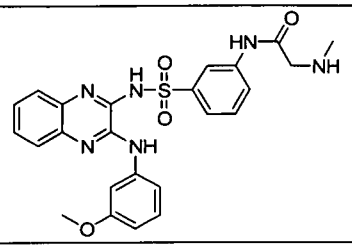
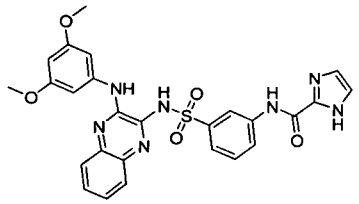
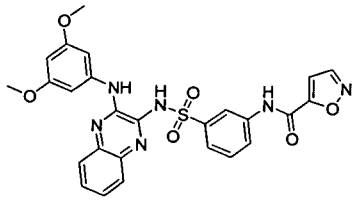
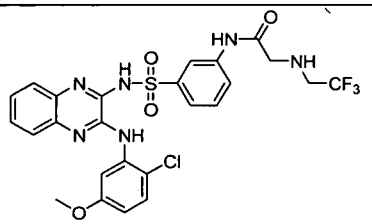
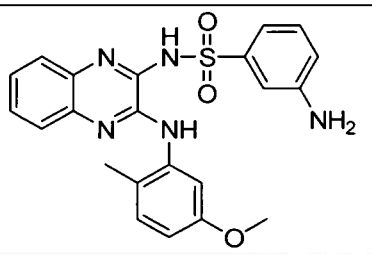
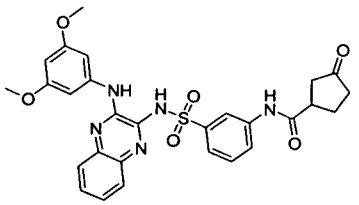
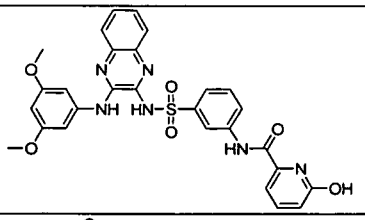
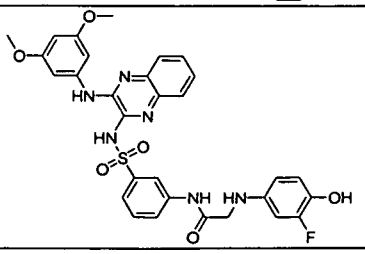
Table 1		
Cpd. No.	**Structure**	**Name**
434		*N*-2-methyl-*N*-(3-{[(3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
435		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1*H*-imidazole-2-carboxamide
436		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)isoxazole-5-carboxamide
437		*N*-(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2,2,2-trifluoroethyl)glycinamide
438		3-amino-*N*-(3-{[2-methyl-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
439		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-oxocyclopentanecarboxamide
440		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-6-hydroxypyridine-2-carboxamide
441		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(3-fluoro-4-hydroxyphenyl)glycinamide

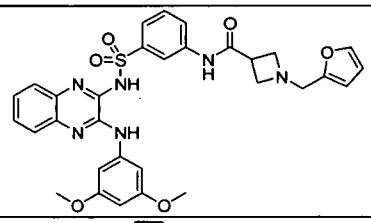
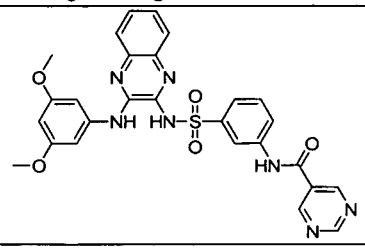
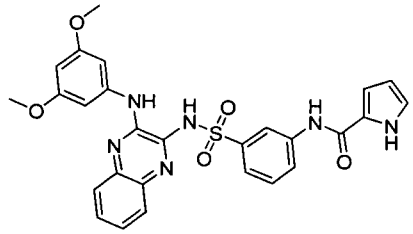
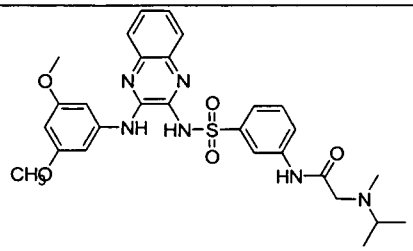
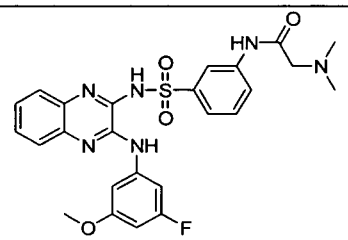
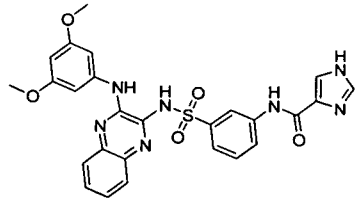
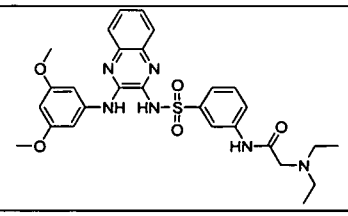
Table 1		
Cpd. No.	**Structure**	**Name**
442		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(furan-2-ylmethyl)azetidine-3-carboxamide
443		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyrimidine-5-carboxamide
444		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1*H*-pyrrole-2-carboxamide
445		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-*N*-2-(1-methylethyl)glycinamide
446		*N*-(3-{[(3-{[3-fluoro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide
447		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1*H*-imidazole-4-carboxamide
448		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,*N*-2-diethylglycinamide

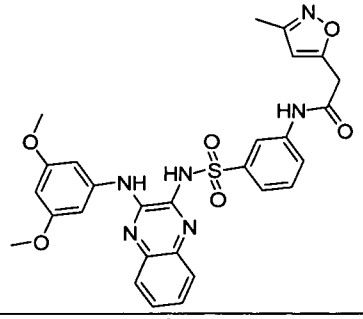
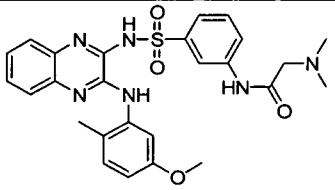
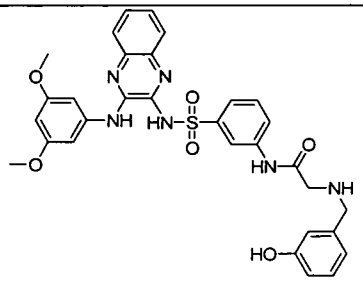
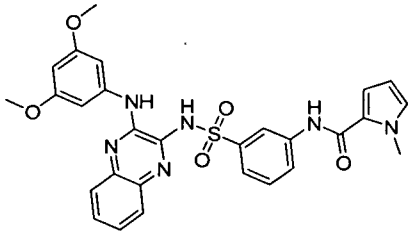
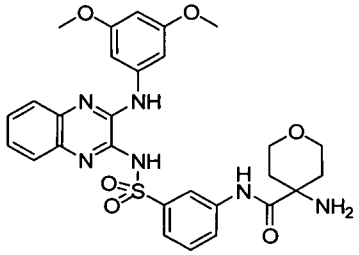
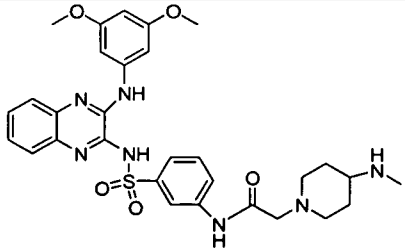
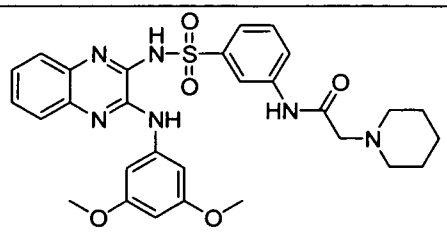
Table 1		
Cpd. No.	**Structure**	**Name**
449		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-methylisoxazol-5-yl)acetamide
450		*N*-2-,*N*-2-dimethyl-*N*-(3-{[(3-{[2-methyl-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
451		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[(3-hydroxyphenyl)methyl]glycinamide
452		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methyl-1*H*-pyrrole-2-carboxamide
453		4-amino-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)tetrahydro-2*H*-pyran-4-carboxamide
454		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(methylamino)piperidin-1-yl]acetamide
455		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-piperidin-1-ylacetamide

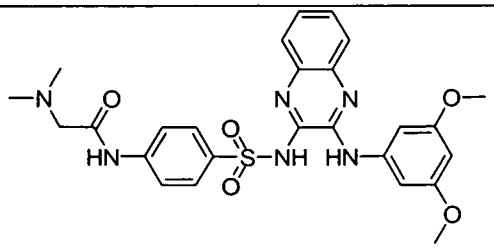
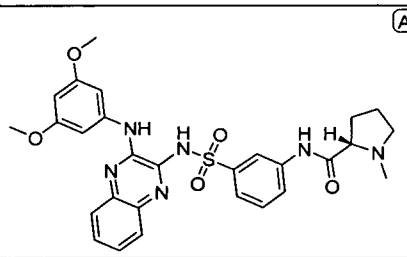
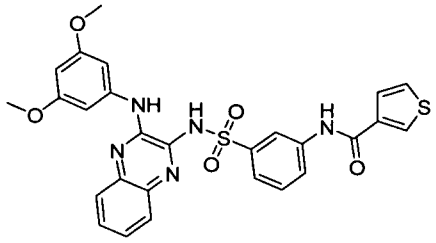
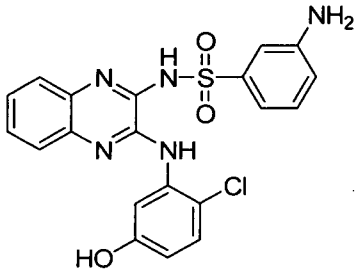
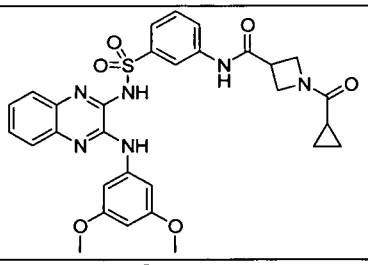
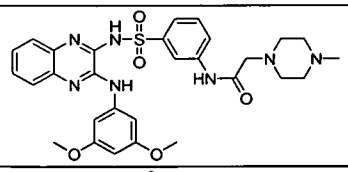
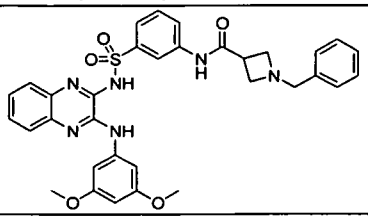
Table 1		
Cpd. No.	**Structure**	**Name**
456		*N*-(4-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide
457		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methyl-L-prolinamide
458		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)thiophene-3-carboxamide
459		3-amino-*N*-{3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
460		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(cyclopropylcarbonyl)azetidine-3-carboxamide
461		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-methylpiperazin-1-yl)acetamide
462		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(phenylmethyl)azetidine-3-carboxamide

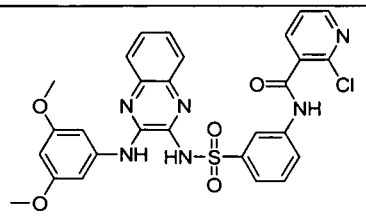
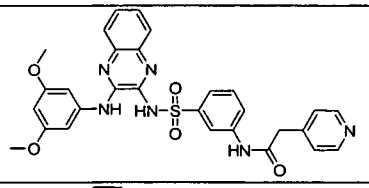
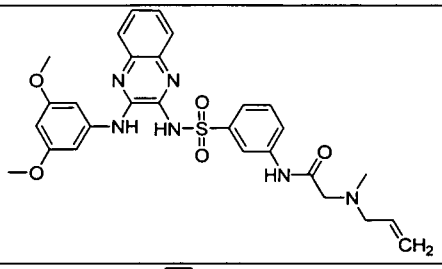
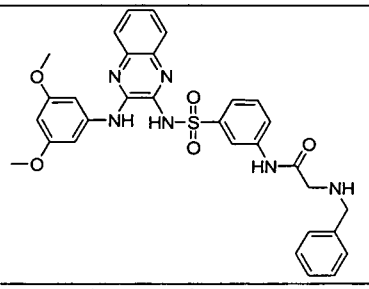
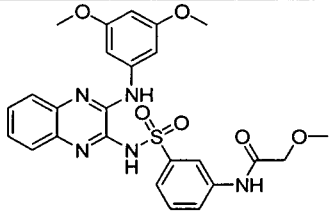
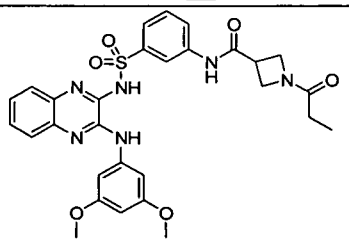
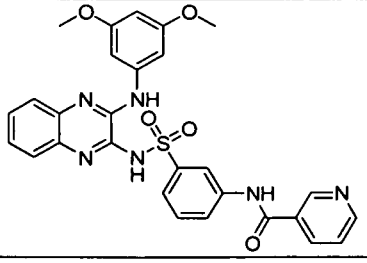
Table 1		
Cpd. No.	Structure	Name
463		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-chloropyridine-3-carboxamide
464		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-pyridin-4-ylacetamide
465		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-*N*-2-prop-2-en-1-ylglycinamide
466		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(phenylmethyl)glycinamide
467		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(methoxy)acetamide
468		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-propanoylazetidine-3-carboxamide
469		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyridine-3-carboxamide

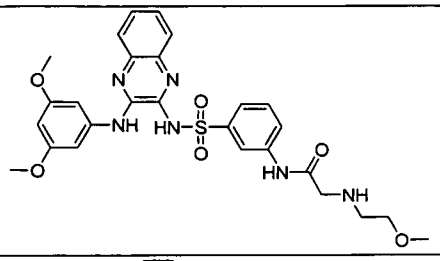
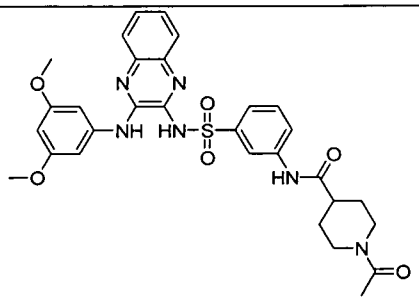
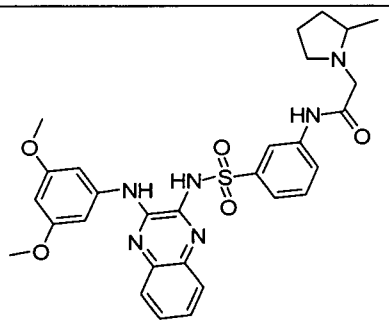
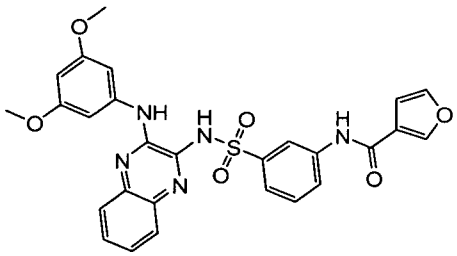
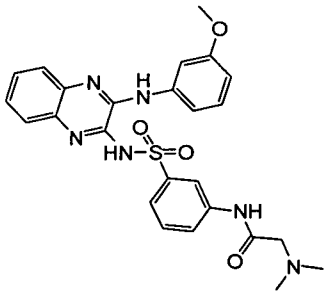
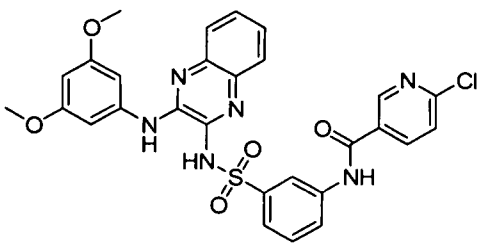
Table 1		
Cpd. No.	**Structure**	**Name**
470		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(methoxy)ethyl]glycinamide
471		1-acetyl-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)piperidine-4-carboxamide
472		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-methylpyrrolidin-1-yl)acetamide
473		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)furan-3-carboxamide
474		*N*-2-,*N*-2-dimethyl-*N*-(3-{[(3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
475		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-6-chloropyridine-3-carboxamide

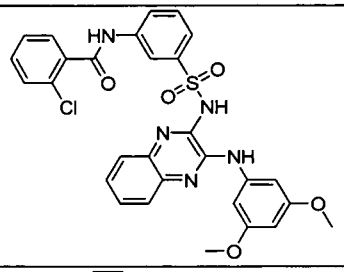
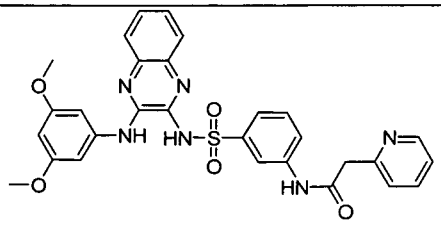
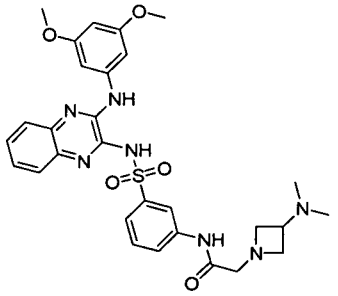
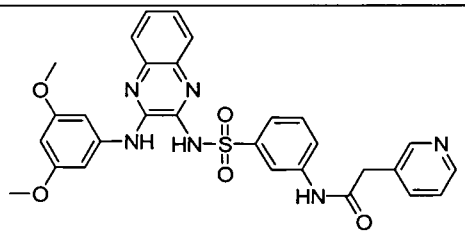
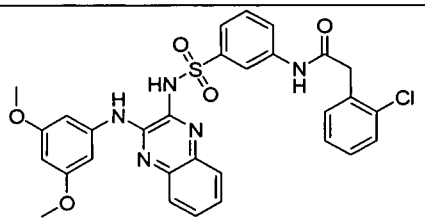
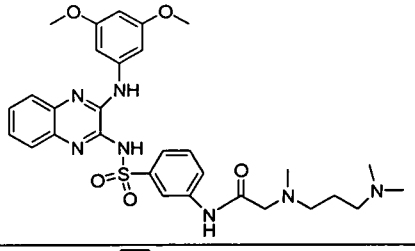
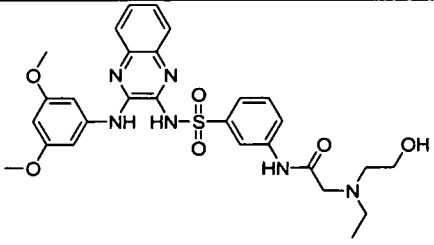
Table 1		
Cpd. No.	**Structure**	**Name**
476		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-chlorobenzamide
477		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-pyridin-2-ylacetamide
478		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(dimethylamino)azetidin-1-yl]acetamide
479		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-pyridin-3-ylacetamide
480		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-chlorophenyl)acetamide
481		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[3-(dimethylamino)propyl]-*N*-2-methylglycinamide
482		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-ethyl-*N*-2-(2-hydroxyethyl)glycinamide

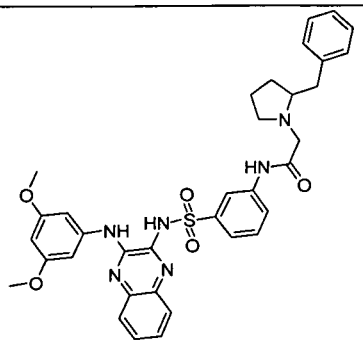
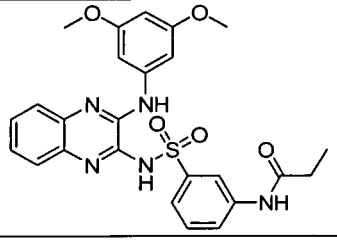
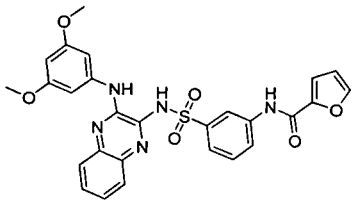
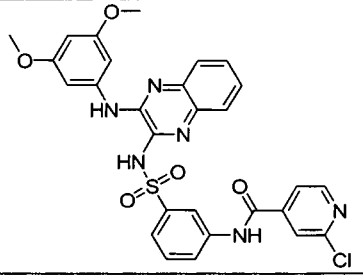
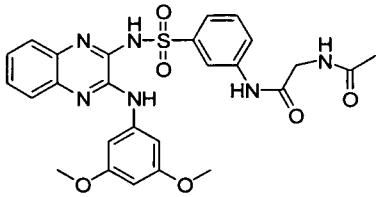
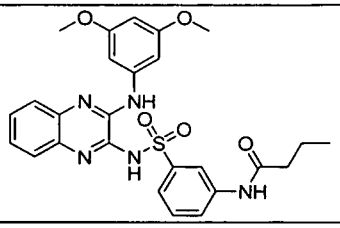
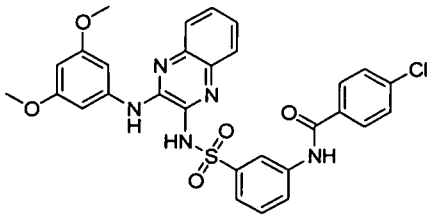
Table 1		
Cpd. No.	**Structure**	**Name**
483		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[2-(phenylmethyl)pyrrolidin-1-yl]acetamide
484		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)propanamide
485		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)furan-2-carboxamide
486		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-chloropyridine-4-carboxamide
487		*N*-2-acetyl-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
488		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)butanamide
489		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-chlorobenzamide

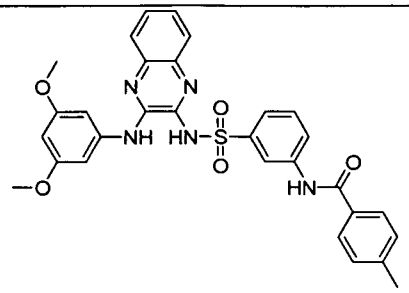
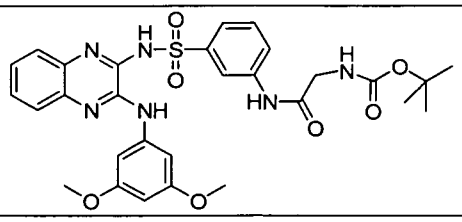
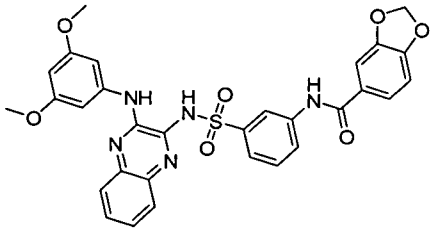
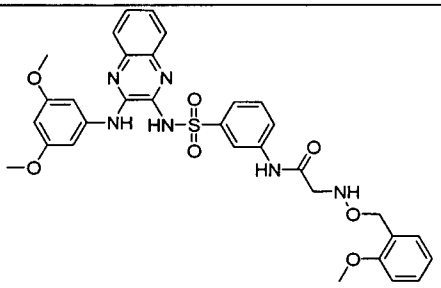
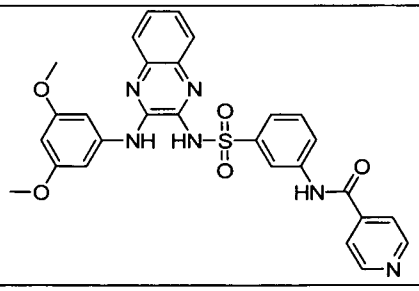
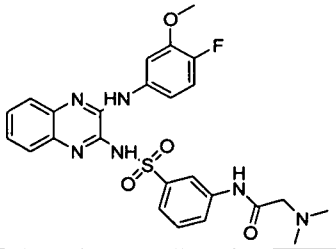
Table 1		
Cpd. No.	**Structure**	**Name**
490		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methylbenzamide
491		1,1-dimethylethyl{2-[(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)amino]-2-oxoethyl}carbamate
492		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1,3-benzodioxole-5-carboxamide
493		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-({[2-(methoxy)phenyl]methyl}oxy)glycinamide
494		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyridine-4-carboxamide
495		*N*-(3-{[(3-{[4-fluoro-3-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide

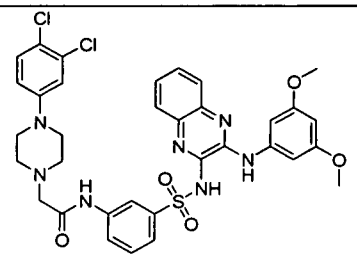
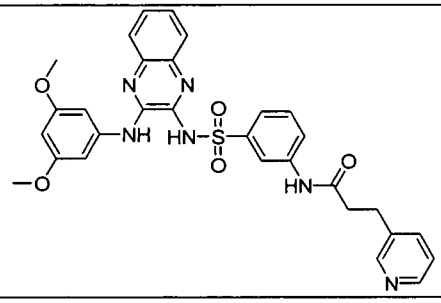
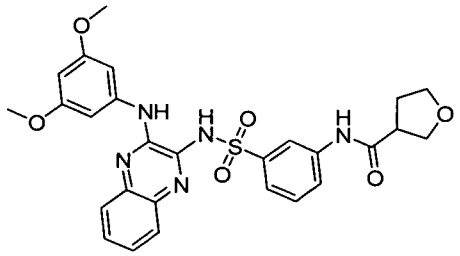
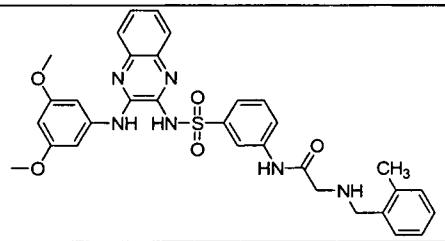
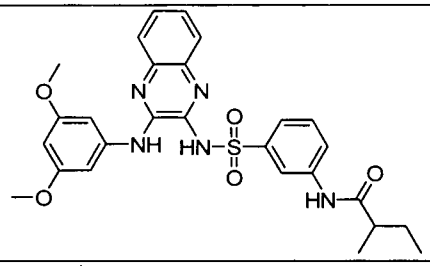
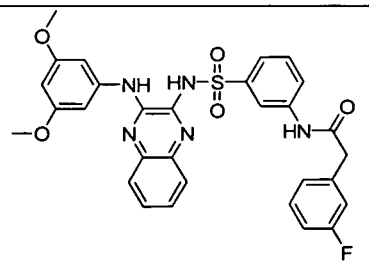
Table 1		
Cpd. No.	**Structure**	**Name**
496		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(3,4-dichlorophenyl)piperazin-1-yl]acetamide
497		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-pyridin-3-ylpropanamide
498		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)tetrahydrofuran-3-carboxamide
499		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[(2-methylphenyl)methyl]glycinamide
500		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylbutanamide
501		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-fluorophenyl)acetamide

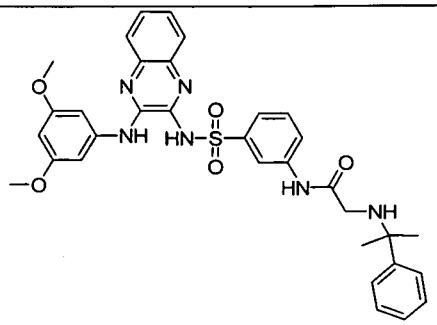
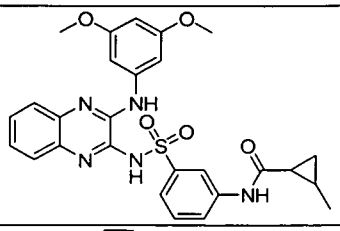
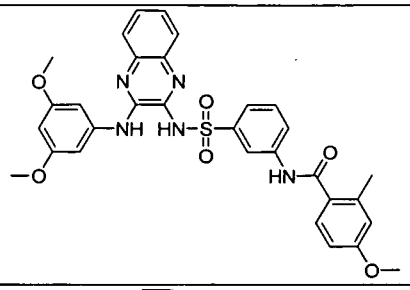
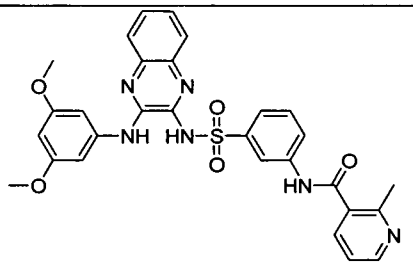
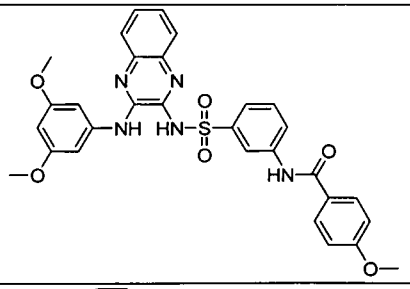
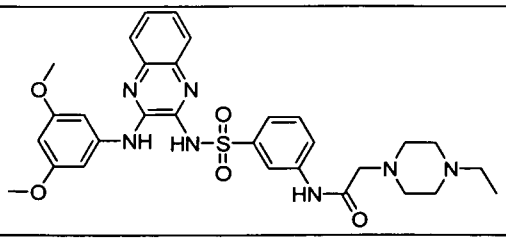
Table 1		
Cpd. No.	**Structure**	**Name**
502		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(1-methyl-1-phenylethyl)glycinamide
503		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylcyclopropanecarboxamide
504		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methyl-4-(methoxy)benzamide
505		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylpyridine-3-carboxamide
506		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-(methoxy)benzamide
507		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-ethylpiperazin-1-yl)acetamide

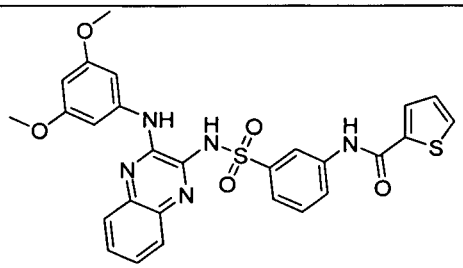
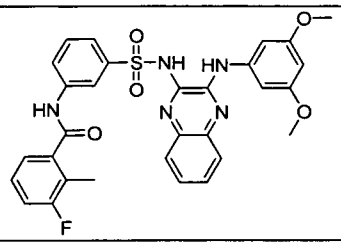
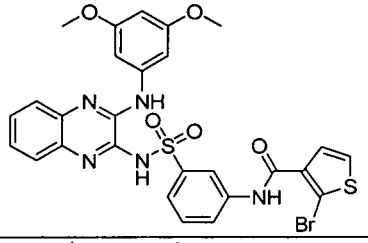
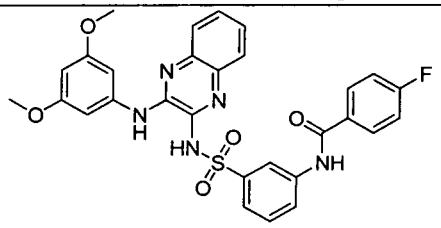
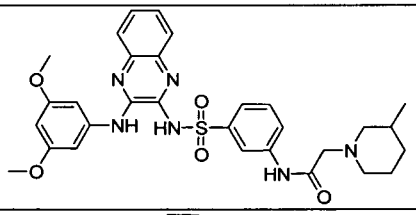
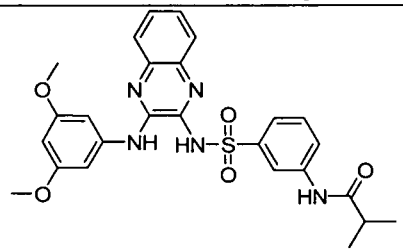
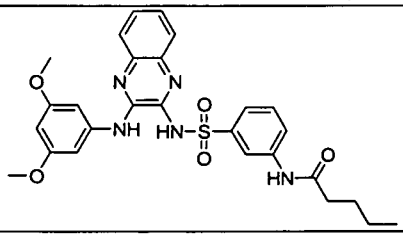
Table 1		
Cpd. No.	Structure	Name
508		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)thiophene-2-carboxamide
509		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-fluoro-2-methylbenzamide
510		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-bromothiophene-3-carboxamide
511		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-fluorobenzamide
512		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-methylpiperidin-1-yl)acetamide
513		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylpropanamide
514		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pentanamide

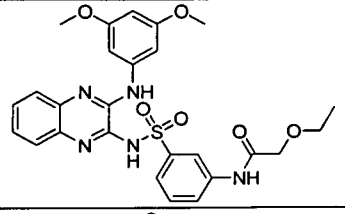
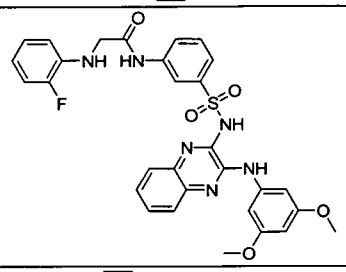
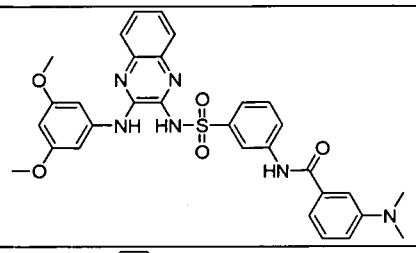
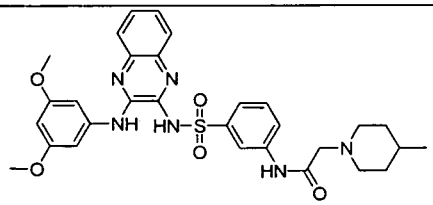
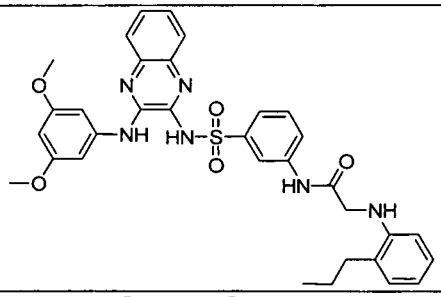
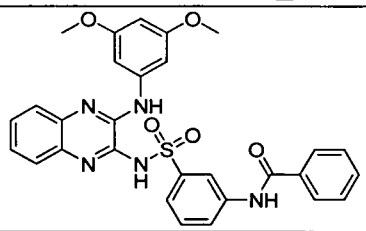
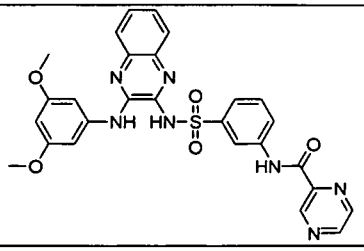
Table 1		
Cpd. No.	**Structure**	**Name**
515		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(ethyloxy)acetamide
516		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-fluorophenyl)glycinamide
517		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-(dimethylamino)benzamide
518		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-methylpiperidin-1-yl)acetamide
519		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-propylphenyl)glycinamide
520		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)benzamide
521		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyrazine-2-carboxamide

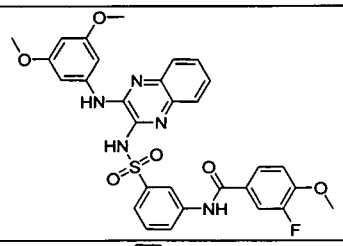
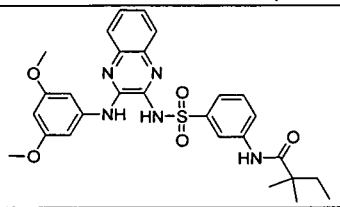
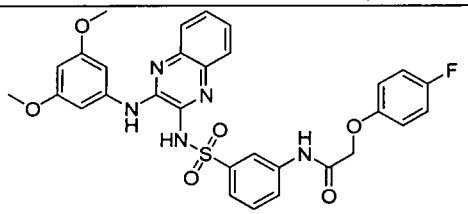
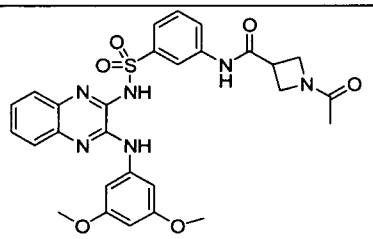
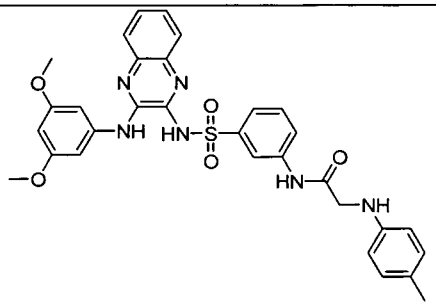
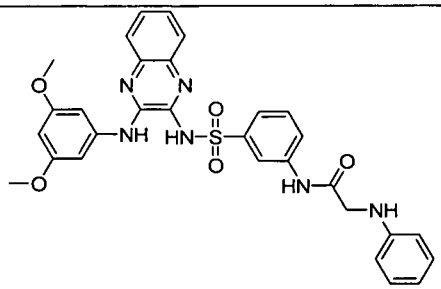
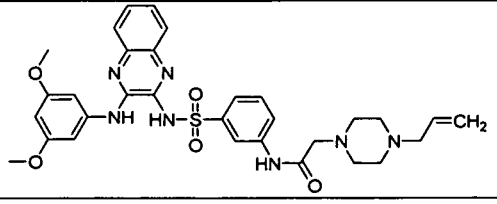
Table 1		
Cpd. No.	**Structure**	**Name**
522		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-fluoro-4-(methoxy)benzamide
523		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,2-dimethylbutanamide
524		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(4-fluorophenyl)oxy]acetamide
525		1-acetyl-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)azetidine-3-carboxamide
526		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(4-methylphenyl)glycinamide
527		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-phenylglycinamide
528		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-prop-2-en-1-ylpiperazin-1-yl)acetamide

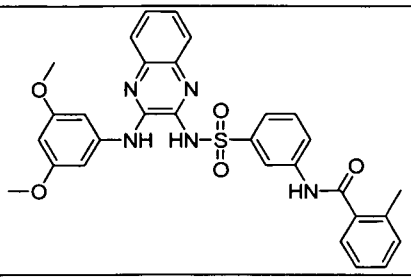
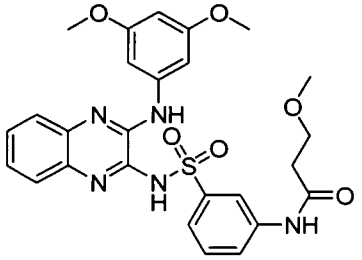
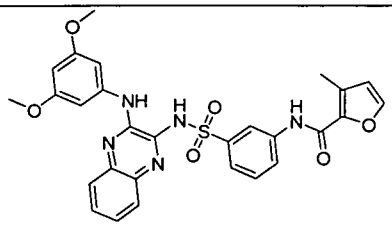
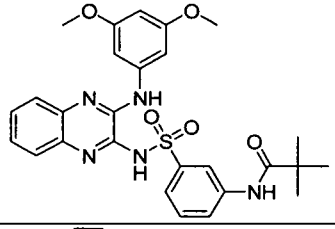
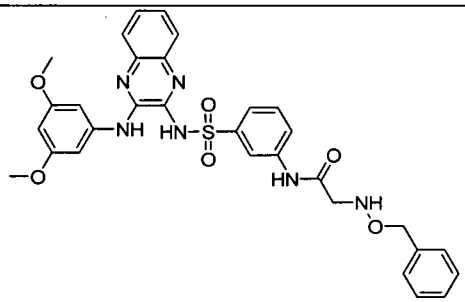
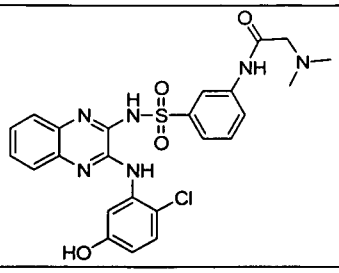
Table 1		
Cpd. No.	**Structure**	**Name**
529		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylbenzamide
530		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-(methoxy)propanamide
531		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-methylfuran-2-carboxamide
532		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,2-dimethylpropanamide
533		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[(phenylmethyl)oxy]glycinamide
534		*N*-{3-[({3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}-*N*-2-,*N*-2-dimethylglycinamide

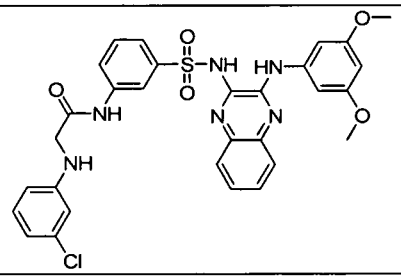
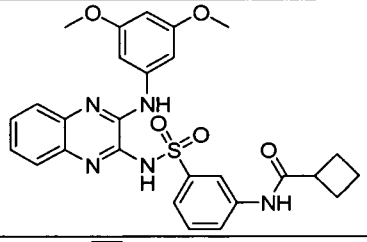
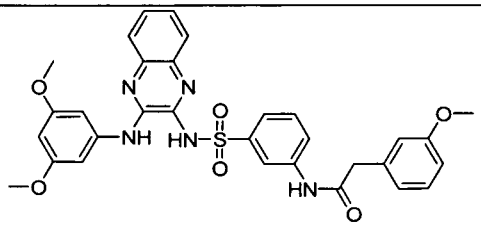
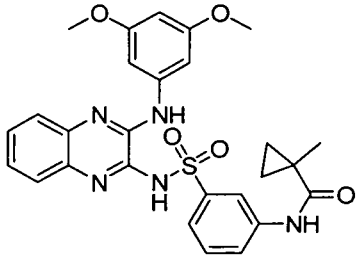
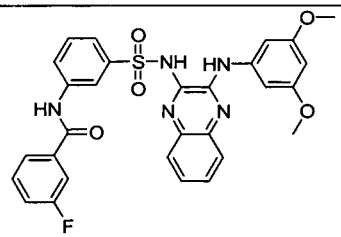
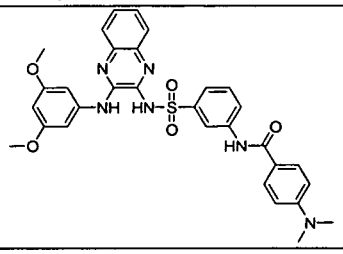
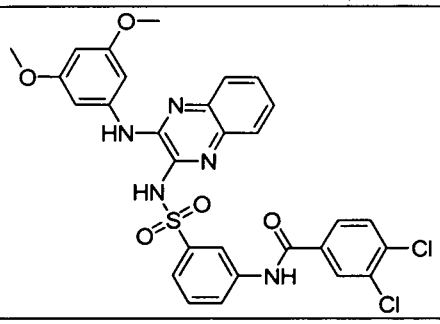
Table 1		
Cpd. No.	Structure	Name
535		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(3-chlorophenyl)glycinamide
536		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclobutanecarboxamide
537		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(methoxy)phenyl]acetamide
538		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methylcyclopropanecarboxamide
539		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-fluorobenzamide
540		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-(dimethylamino)benzamide
541		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3,4-dichlorobenzamide

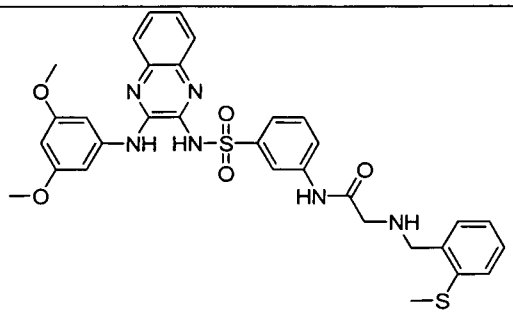
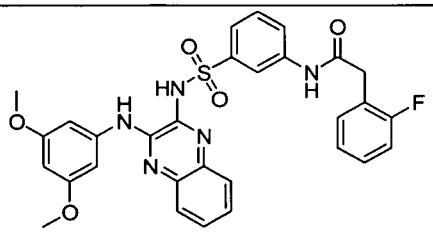
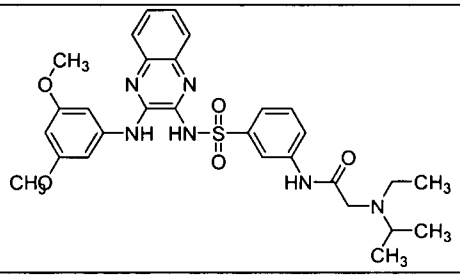
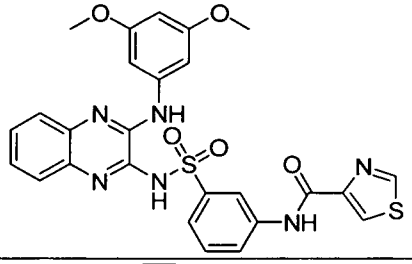
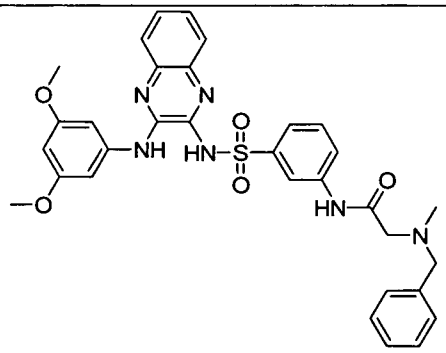
Table 1		
Cpd. No.	**Structure**	**Name**
542		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-{[2-(methylthio)phenyl]methyl}glycinamide
543		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-fluorophenyl)acetamide
544		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-ethyl-*N*-2-(1-methylethyl)glycinamide
545		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1,3-thiazole-4-carboxamide
546		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-*N*-2-(phenylmethyl)glycinamide

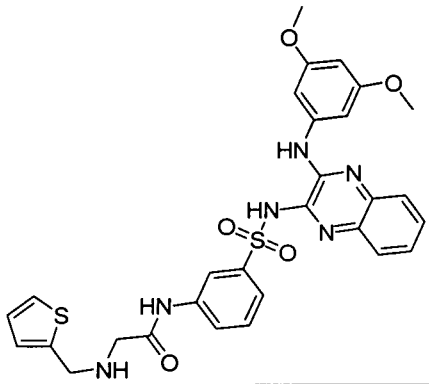
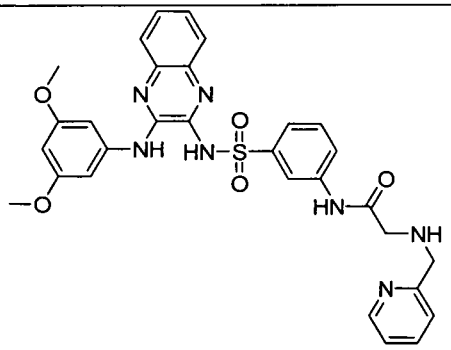
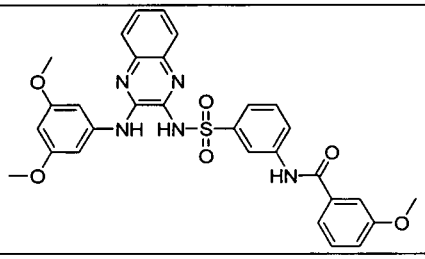
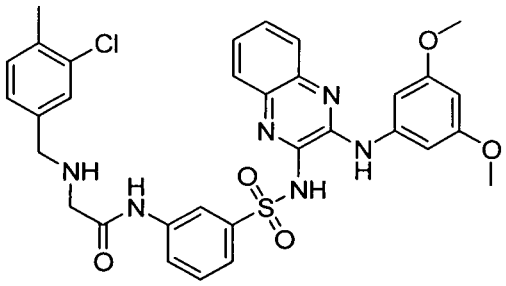
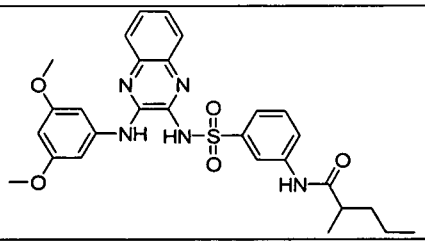
Table 1		
Cpd. No.	**Structure**	**Name**
547		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-thienylmethyl)glycinamide
548		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(pyridin-2-ylmethyl)glycinamide
549		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-(methoxy)benzamide
550		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[(3-chloro-4-methylphenyl)methyl]glycinamide
551		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylpentanamide

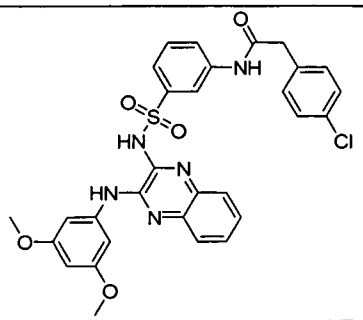
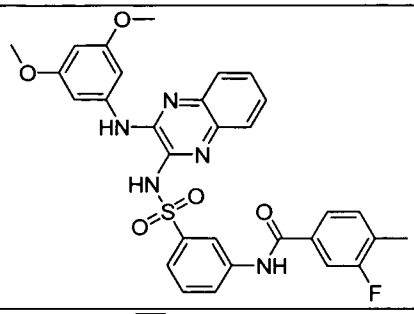
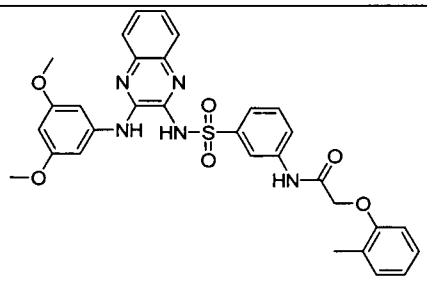
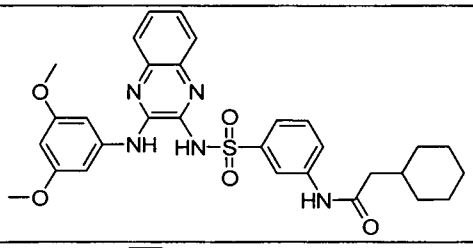
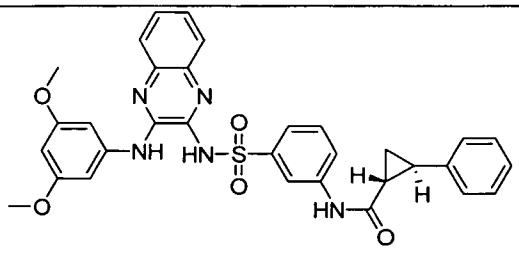
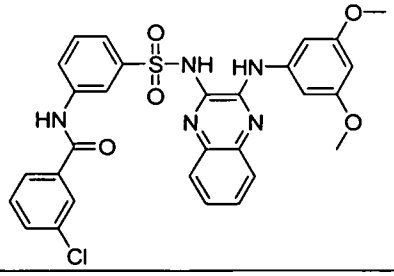
Table 1		
Cpd. No.	**Structure**	**Name**
552		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-chlorophenyl)acetamide
553		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-fluoro-4-methylbenzamide
554		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(2-methylphenyl)oxy]acetamide
555		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-cyclohexylacetamide
556		(1R,2R)-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-phenylcyclopropanecarboxamide
557		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-chlorobenzamide

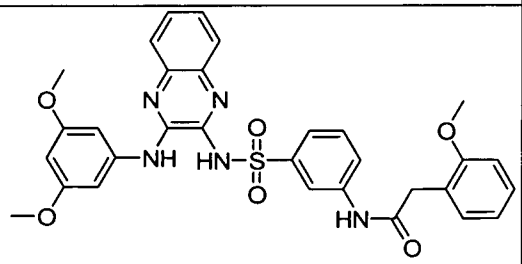
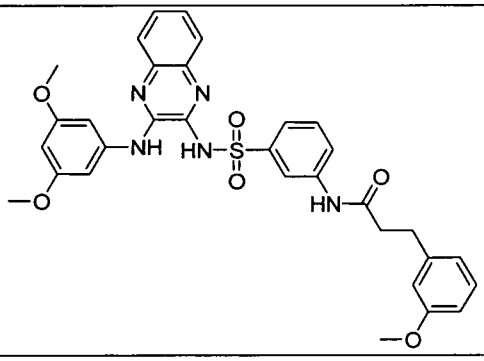
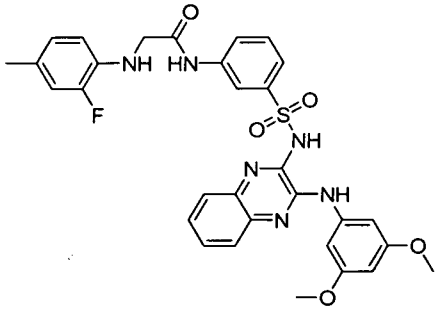
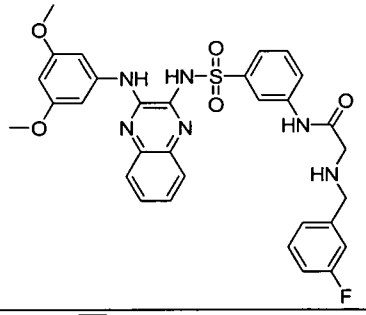
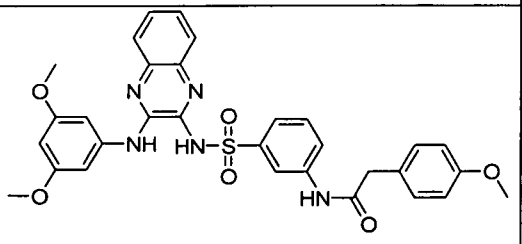
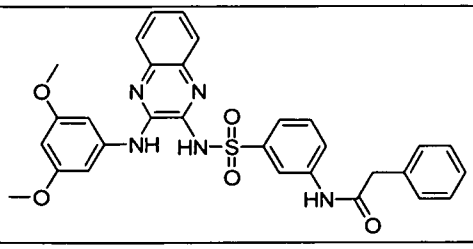
Table 1		
Cpd. No.	**Structure**	**Name**
558		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[2-(methoxy)phenyl]acetamide
559		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-[3-(methoxy)phenyl]propanamide
560		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-fluoro-4-methylphenyl)glycinamide
561		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[(3-fluorophenyl)methyl]glycinamide
562		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(methoxy)phenyl]acetamide
563		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-phenylacetamide

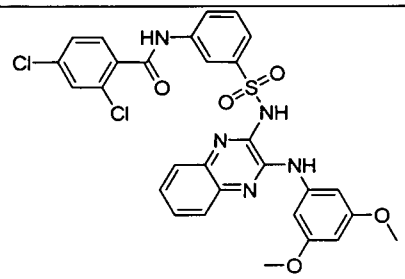
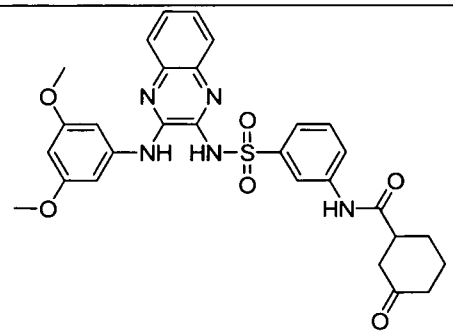
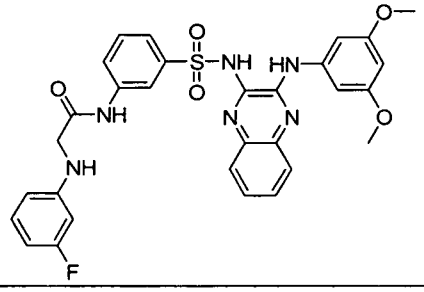
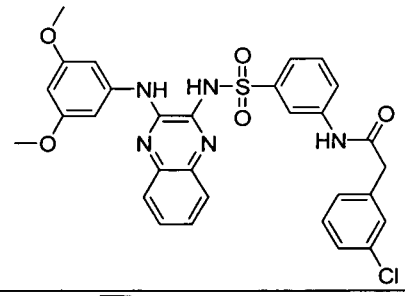
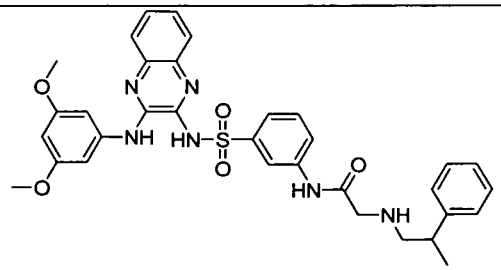
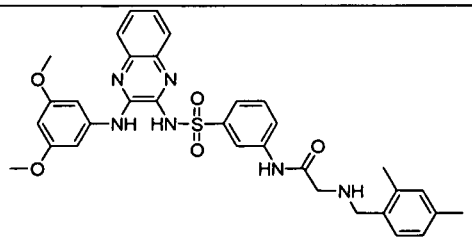
Table 1		
Cpd. No.	**Structure**	**Name**
564		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,4-dichlorobenzamide
565		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-oxocyclohexanecarboxamide
566		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(3-fluorophenyl)glycinamide
567		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-chlorophenyl)acetamide
568		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-phenylpropyl)glycinamide
569		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[(2,4-dimethylphenyl)methyl]glycinamide

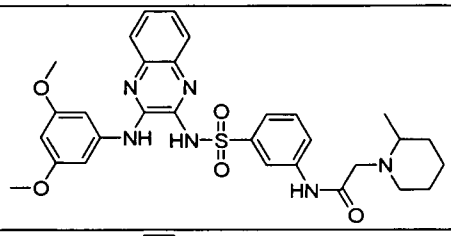
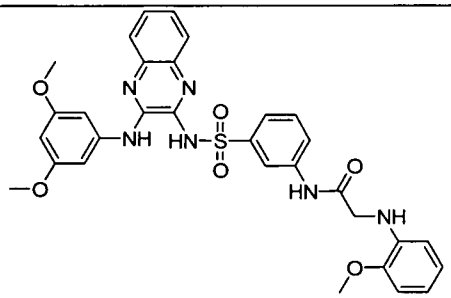
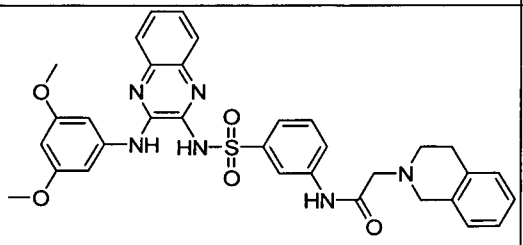
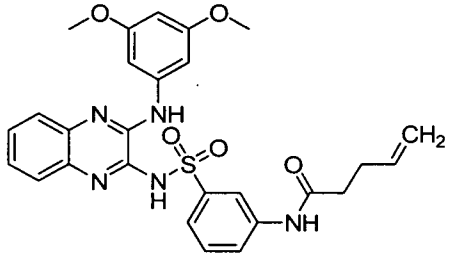
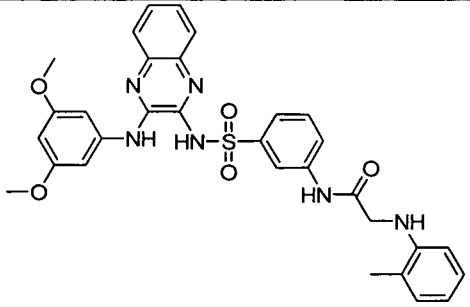
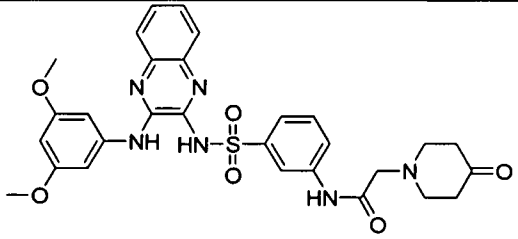
Table 1		
Cpd. No.	**Structure**	**Name**
570		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-methylpiperidin-1-yl)acetamide
571		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(methoxy)phenyl]glycinamide
572		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3,4-dihydroisoquinolin-2(1*H*)-yl)acetamide
573		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pent-4-enamide
574		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-methylphenyl)glycinamide
575		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-oxopiperidin-1-yl)acetamide

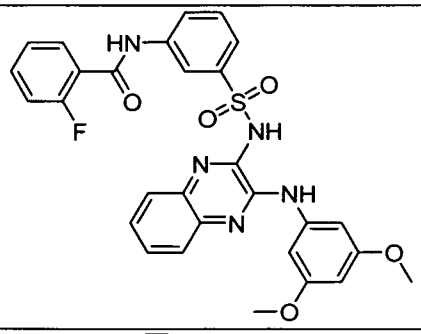
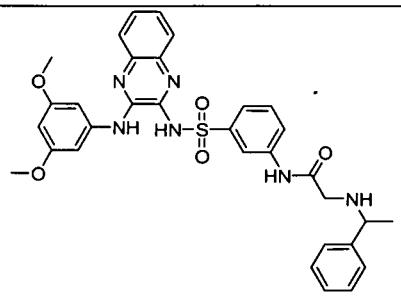
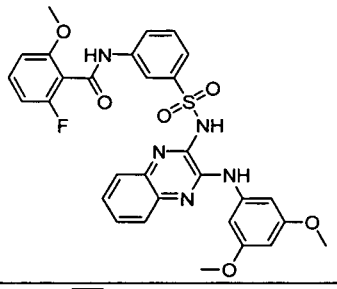
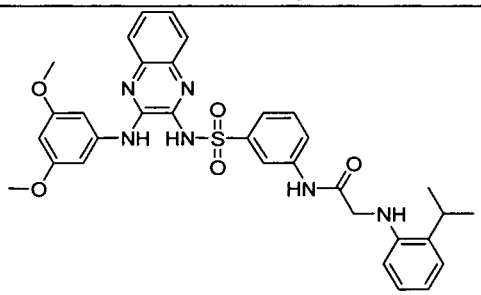
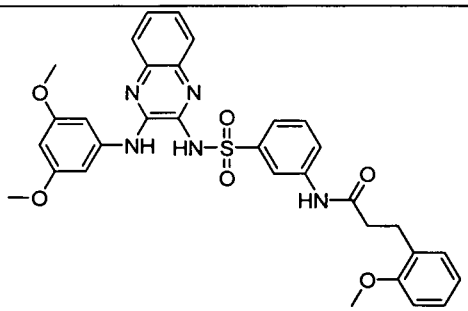
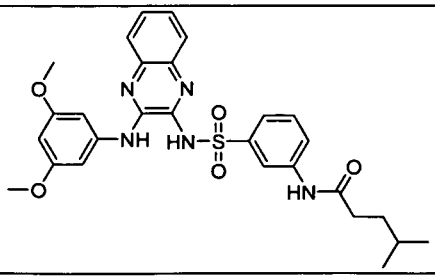
Table 1		
Cpd. No.	**Structure**	**Name**
576		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-fluorobenzamide
577		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(1-phenylethyl)glycinamide
578		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-fluoro-6-(methoxy)benzamide
579		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(1-methylethyl)phenyl]glycinamide
580		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-[2-(methoxy)phenyl]propanamide
581		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methylpentanamide

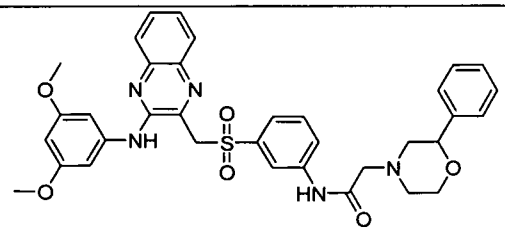
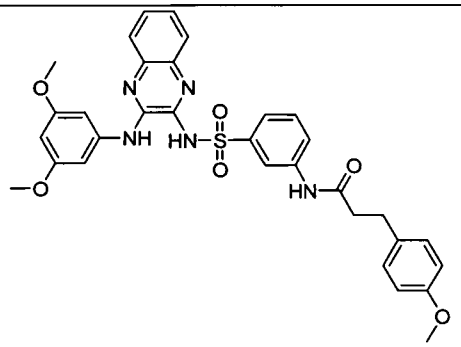
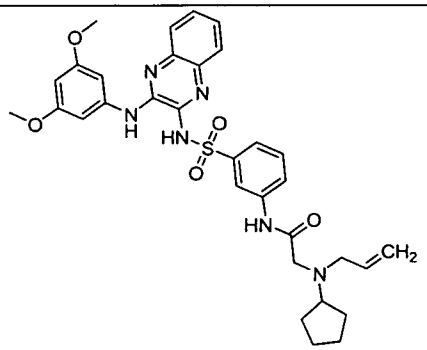
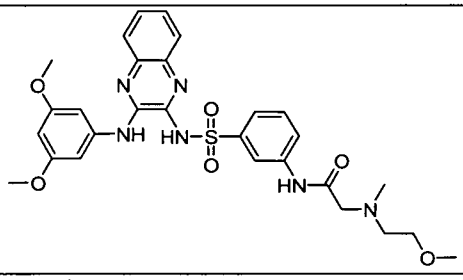
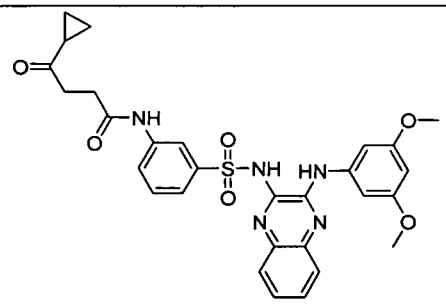
Table 1		
Cpd. No.	**Structure**	**Name**
582		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-phenylmorpholin-4-yl)acetamide
583		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-[4-(methoxy)phenyl]propanamide
584		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-cyclopentyl-*N*-2-prop-2-en-1-ylglycinamide
585		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-*N*-2-[2-(methoxy)ethyl]glycinamide
586		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-cyclopropyl-4-oxobutanamide

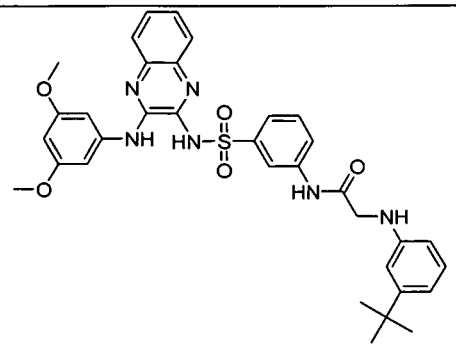
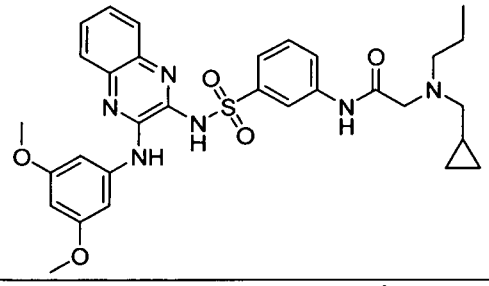
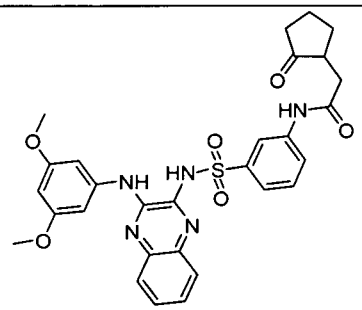
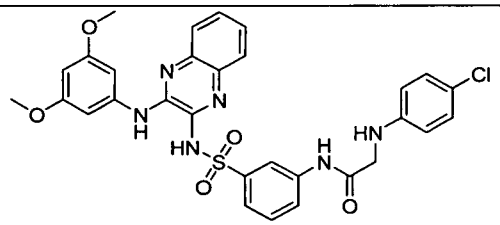
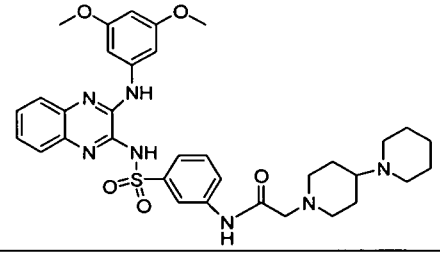
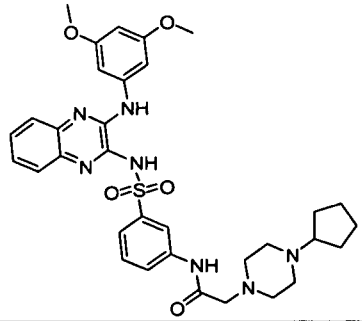
Table 1		
Cpd. No.	**Structure**	**Name**
587		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[3-(1,1-dimethylethyl)phenyl]glycinamide
588		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(cyclopropylmethyl)-*N*-2-propylglycinamide
589		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-oxocyclopentyl)acetamide
590		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(4-chlorophenyl)glycinamide
591		2-(1,4'-bipiperidin-1'-yl)-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
592		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-cyclopentylpiperazin-1-yl)acetamide

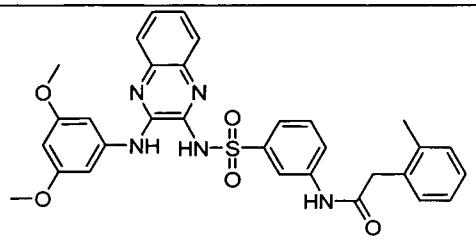
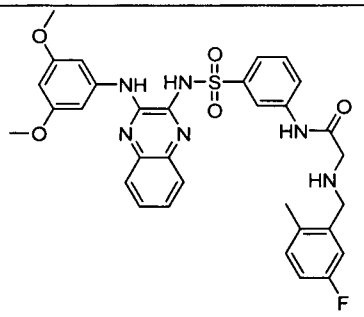
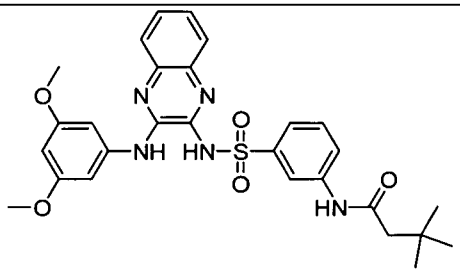
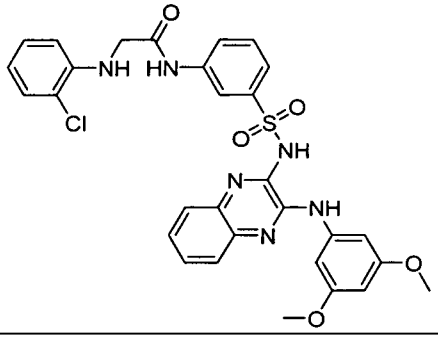
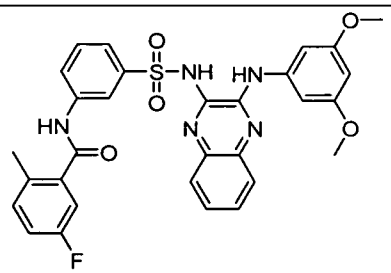
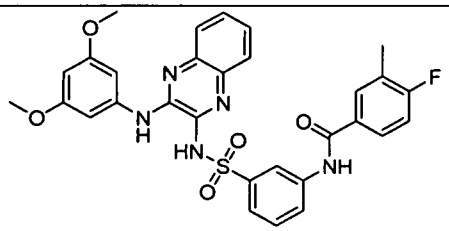
Table 1		
Cpd. No.	**Structure**	**Name**
593		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-methylphenyl)acetamide
594		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[(5-fluoro-2-methylphenyl)methyl]glycinamide
595		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3,3-dimethylbutanamide
596		*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-chlorophenyl)glycinamide
597		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-5-fluoro-2-methylbenzamide
598		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-fluoro-3-methylbenzamide

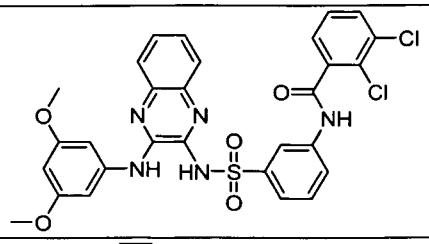
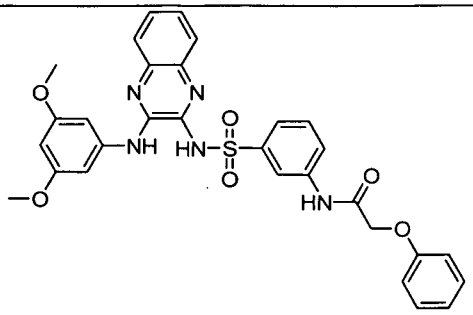
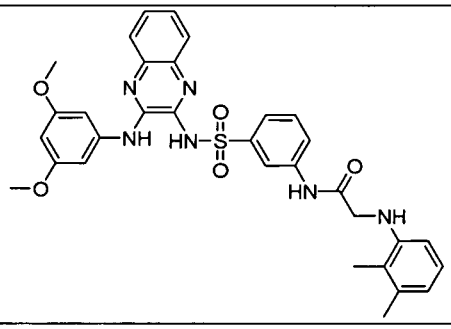
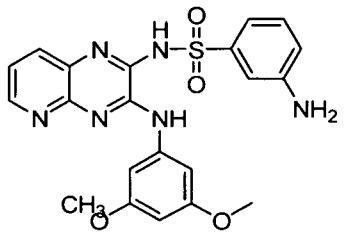
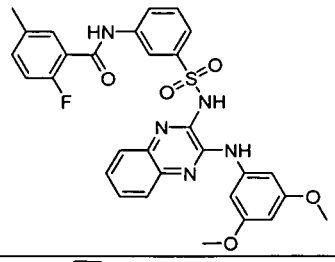
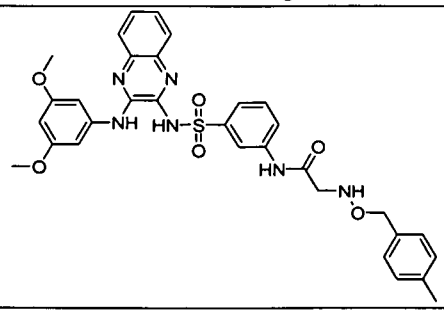
Table 1		
Cpd. No.	**Structure**	**Name**
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600		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(phenyloxy)acetamide
601		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2,3-dimethylphenyl)glycinamide
602		3-amino-*N*-(3-{[3,5-bis(methoxy)phenyl]amino}pyrido[2,3-b]pyrazin-2-yl)benzenesulfonamide
603		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-fluoro-5-methylbenzamide
604		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-{[(4-methylphenyl)methyl]oxy}glycinamide

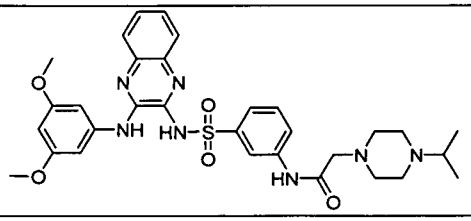
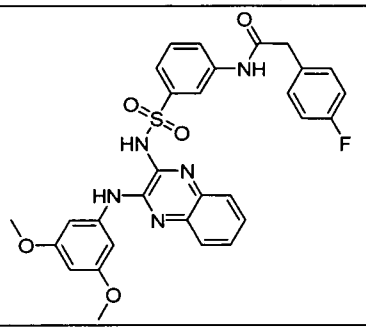
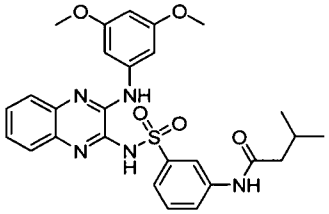
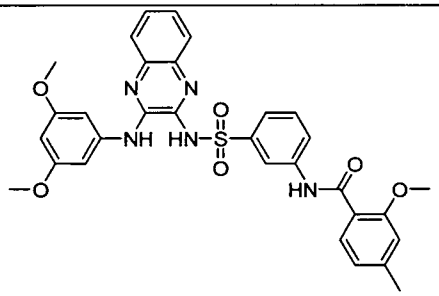
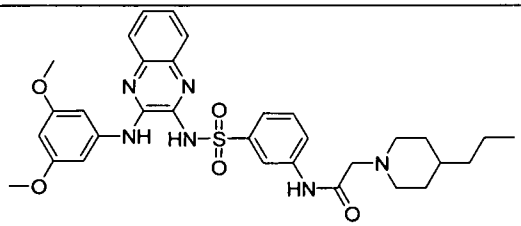
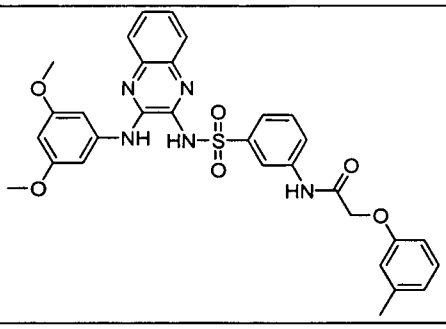
Table 1		
Cpd. No.	**Structure**	**Name**
605		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(1-methylethyl)piperazin-1-yl]acetamide
606		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-fluorophenyl)acetamide
607		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-methylbutanamide
608		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methyl-2-(methoxy)benzamide
609		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-propylpiperidin-1-yl)acetamide
610		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(3-methylphenyl)oxy]acetamide

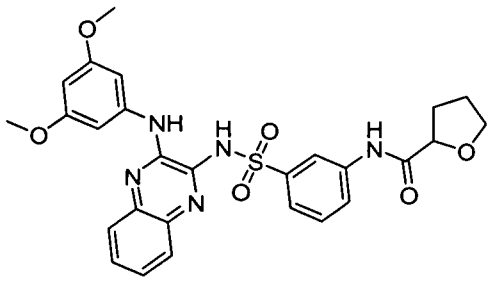
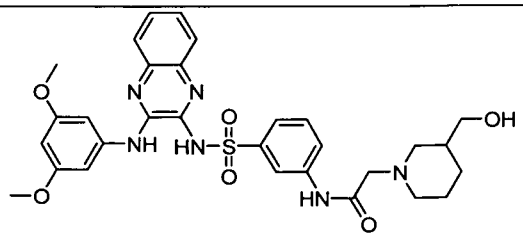
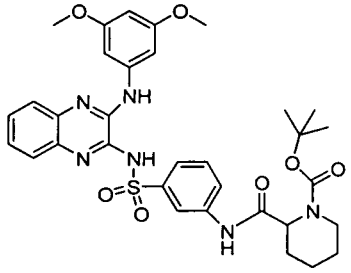
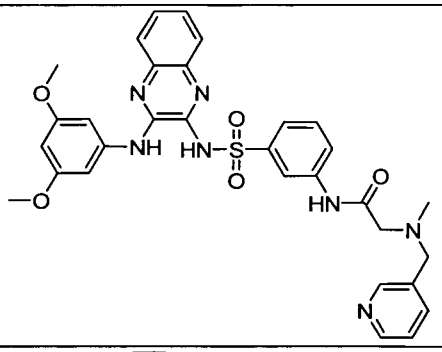
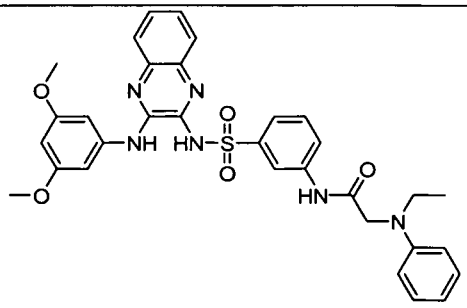
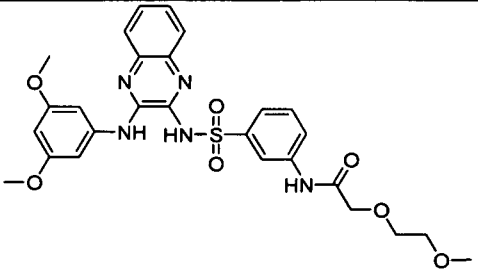
Table 1		
Cpd. No.	**Structure**	**Name**
611		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)tetrahydrofuran-2-carboxamide
612		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(hydroxymethyl)piperidin-1-yl]acetamide
613		1,1-dimethylethyl2-{[(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)amino]carbonyl}piperidine-1-carboxylate
614		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-*N*-2-(pyridin-3-ylmethyl)glycinamide
615		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-ethyl-*N*-2-phenylglycinamide
616		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-{[2-(methoxy)ethyl]oxy}acetamide

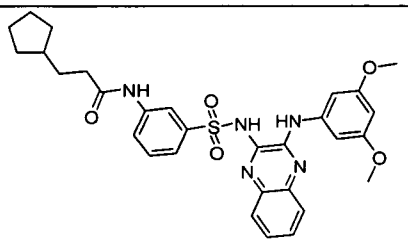
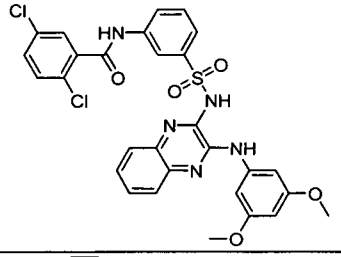
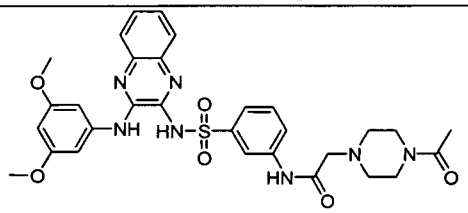
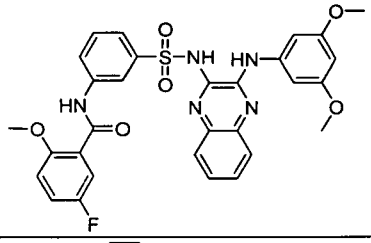
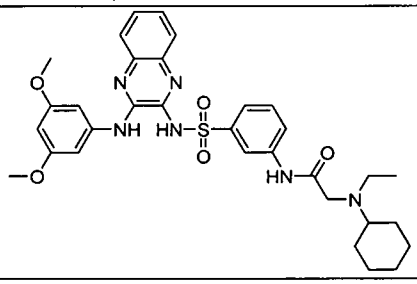
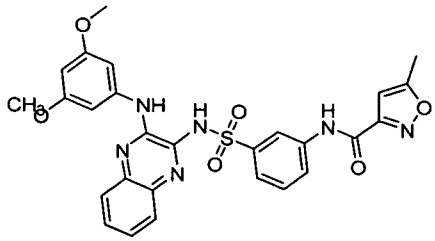
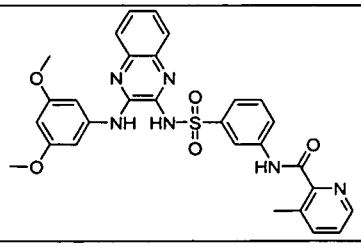
Table 1		
Cpd. No.	**Structure**	**Name**
617		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-cyclopentylpropanamide
618		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,5-dichlorobenzamide
619		2-(4-acetylpiperazin-1-yl)-*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
620		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-5-fluoro-2-(methoxy)benzamide
621		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-cyclohexyl-*N*-2-ethylglycinamide
622		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-5-methylisoxazole-3-carboxamide
623		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-methylpyridine-2-carboxamide

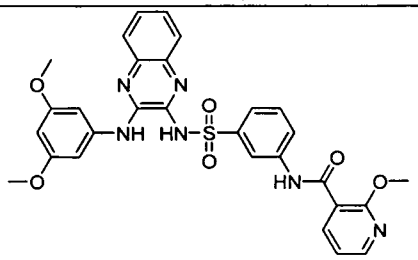
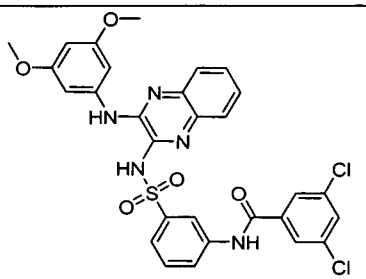
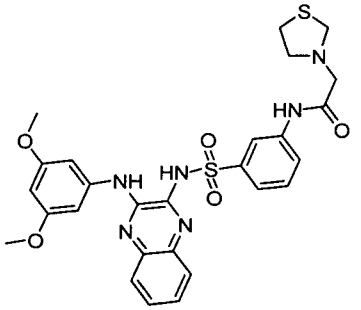
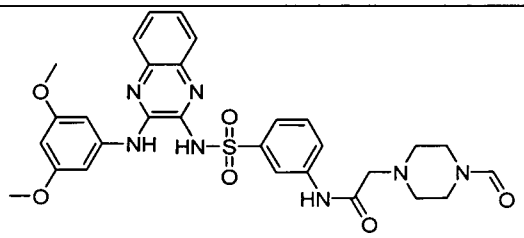
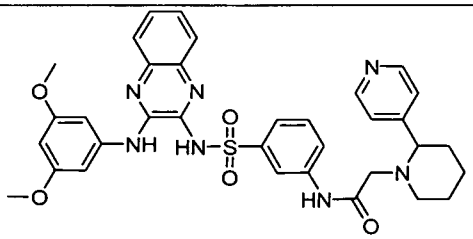
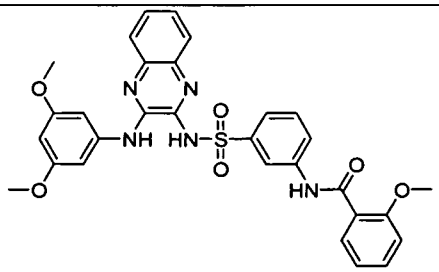
Table 1		
Cpd. No.	Structure	Name
624		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(methoxy)pyridine-3-carboxamide
625		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3,5-dichlorobenzamide
626		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(1,3-thiazolidin-3-yl)acetamide
627		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-formylpiperazin-1-yl)acetamide
628		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-pyridin-4-ylpiperidin-1-yl)acetamide
629		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(methoxy)benzamide

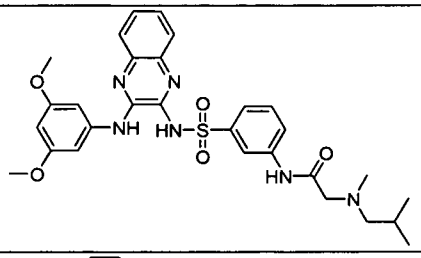
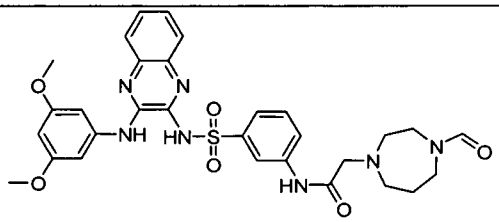
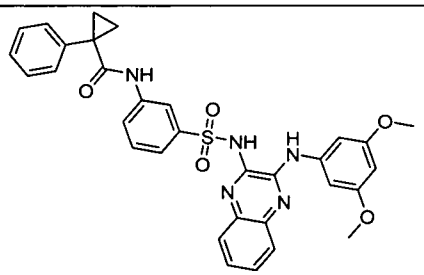
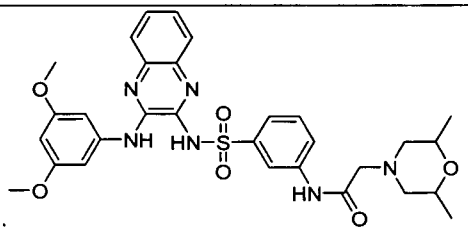
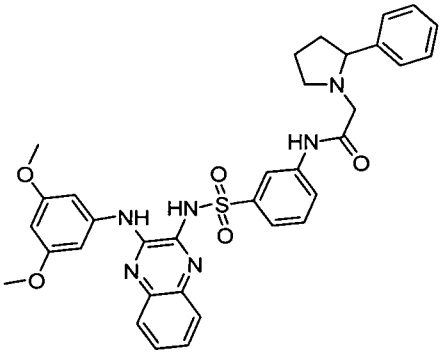
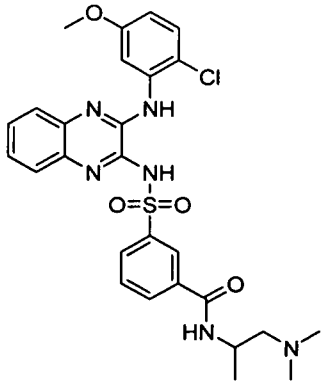
Table 1		
Cpd. No.	Structure	Name
630		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-*N*-2-(2-methylpropyl)glycinamide
631		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-formyl-1,4-diazepan-1-yl)acetamide
632		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-phenylcyclopropanecarboxamide
633		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2,6-dimethylmorpholin-4-yl)acetamide
634		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-phenylpyrrolidin-1-yl)acetamide
635		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)-1-methylethyl]benzamide

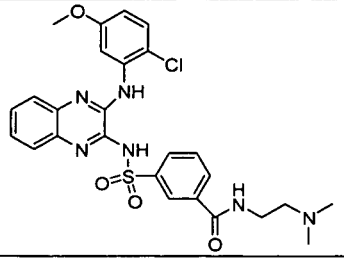
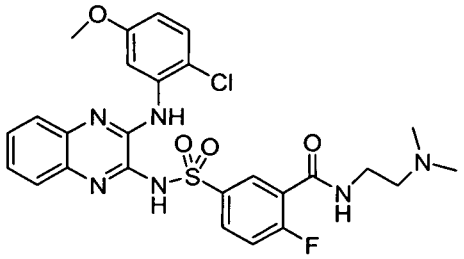
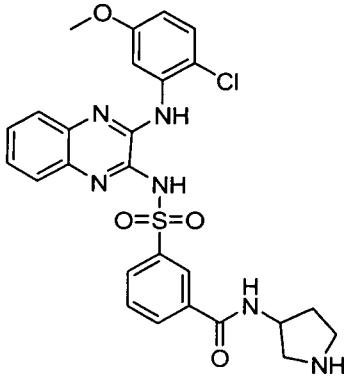
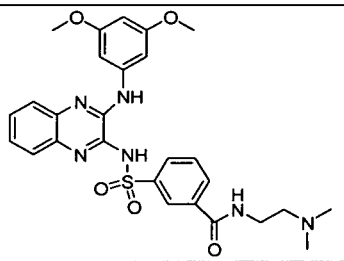
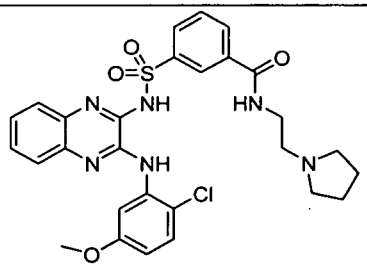
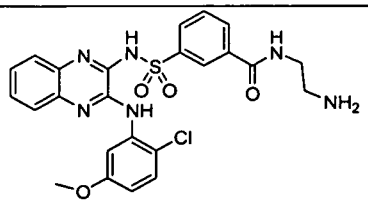
Table 1		
Cpd. No.	Structure	Name
636		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]benzamide
637		5-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]-2-fluorobenzamide
638		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-pyrrolidin-3-ylbenzamide
639		3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]benzamide
640		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-pyrrolidin-1-ylethyl)benzamide
641		*N*-(2-aminoethyl)-3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzamide

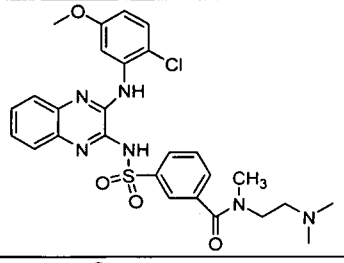
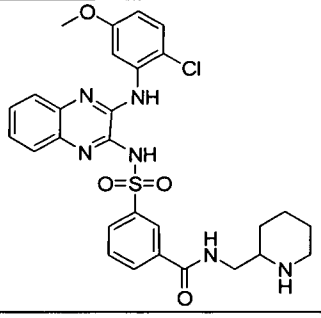
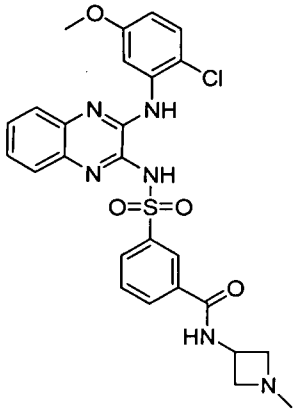
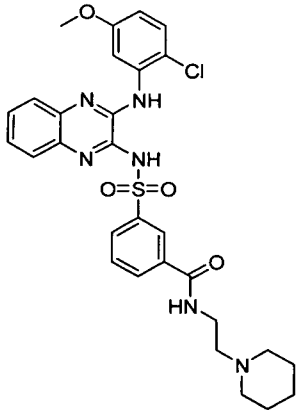
Table 1		
Cpd. No.	Structure	Name
642		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]-*N*-methylbenzamide
643		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(piperidin-2-ylmethyl)benzamide
644		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1-methylazetidin-3-yl)benzamide
645		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-piperidin-1-ylethyl)benzamide

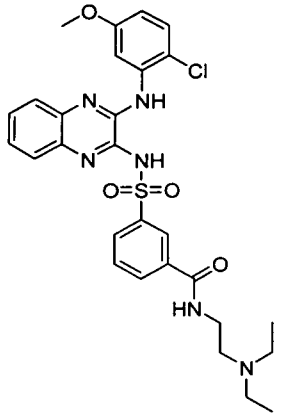
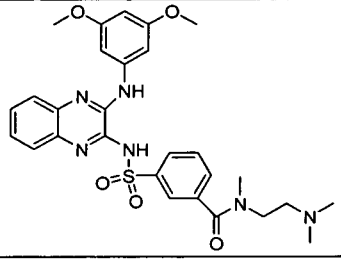
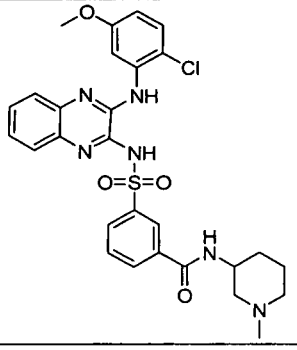
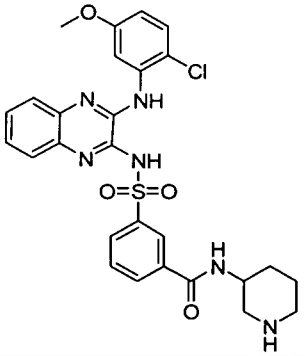
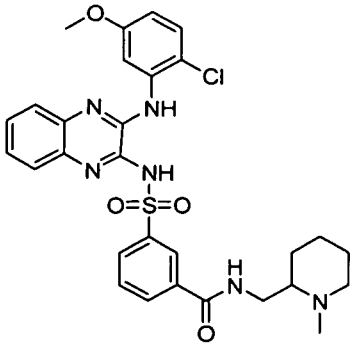
Table 1		
Cpd. No.	**Structure**	**Name**
646		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(diethylamino)ethyl]benzamide
647		3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]-*N*-methylbenzamide
648		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1-methylpiperidin-3-yl)benzamide
649		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-piperidin-3-ylbenzamide
650		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[(1-methylpiperidin-2-yl)methyl]benzamide

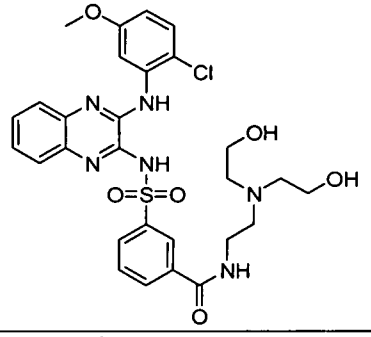
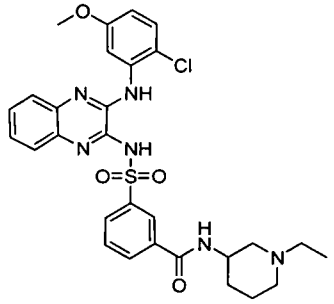
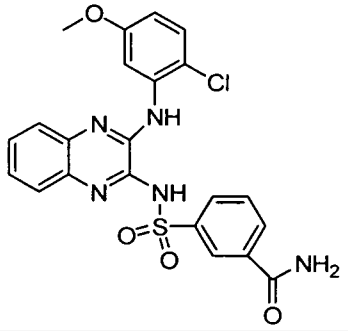
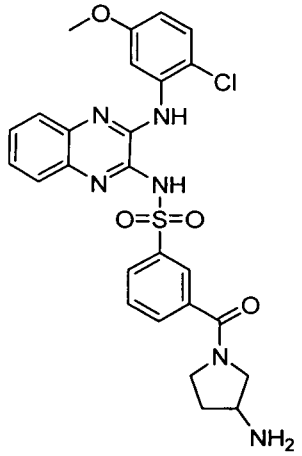
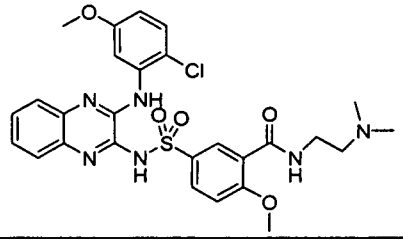
Table 1		
Cpd. No.	**Structure**	**Name**
651		*N*-{2-[bis(2-hydroxyethyl)amino]ethyl}-3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzamide
652		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1-ethylpiperidin-3-yl)benzamide
653		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzamide
654		3-[(3-aminopyrrolidin-1-yl)carbonyl]-*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
655		5-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]-2-(methoxy)benzamide

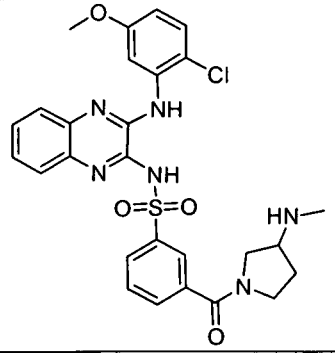
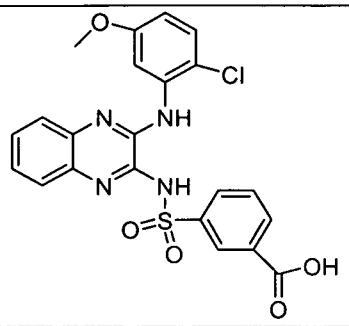
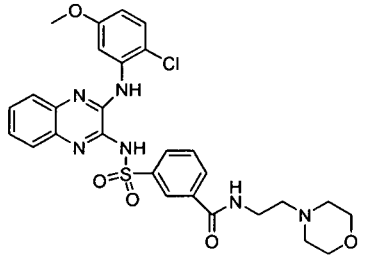
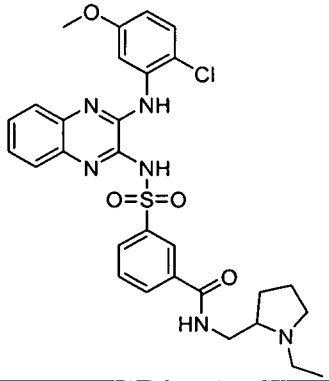
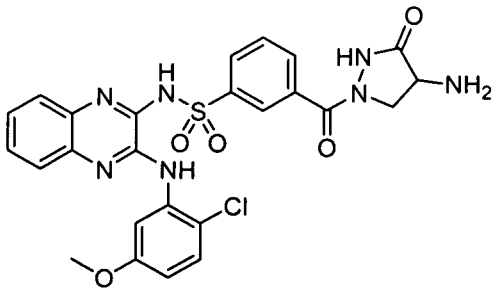
Table 1		
Cpd. No.	Structure	Name
656		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-{[3-(methylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonamide
657		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzoicacid
658		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-morpholin-4-ylethyl)benzamide
659		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[(1-ethylpyrrolidin-2-yl)methyl]benzamide
660		3-[(4-amino-3-oxopyrazolidin-1-yl)carbonyl]-*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

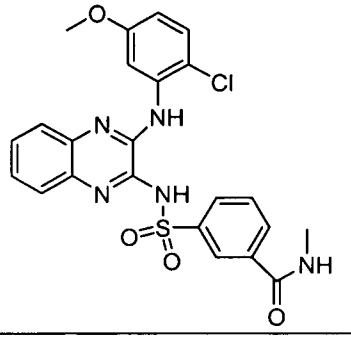
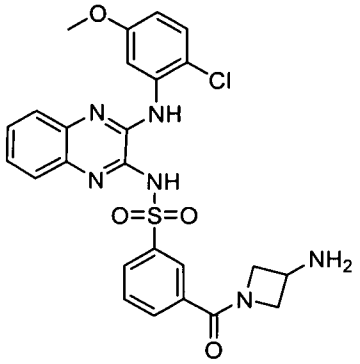
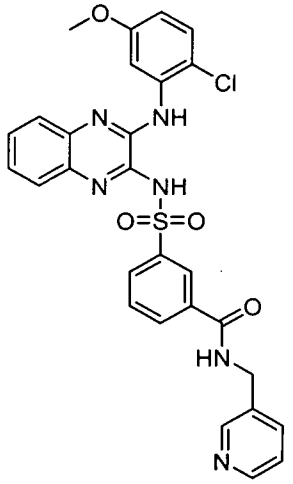
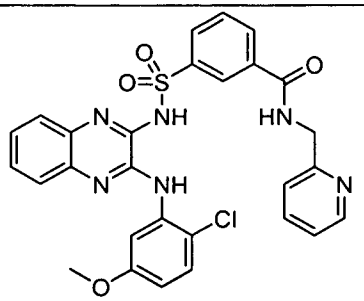
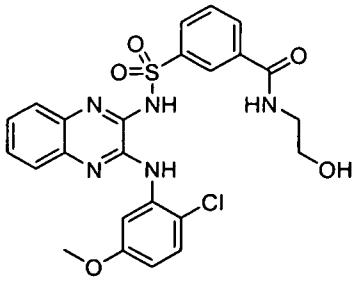
Table 1		
Cpd. No.	**Structure**	**Name**
661		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-methylbenzamide
662		3-[(3-aminoazetidin-1-yl)carbonyl]-*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
663		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(pyridin-3-ylmethyl)benzamide
664		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(pyridin-2-ylmethyl)benzamide
665		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-hydroxyethyl)benzamide

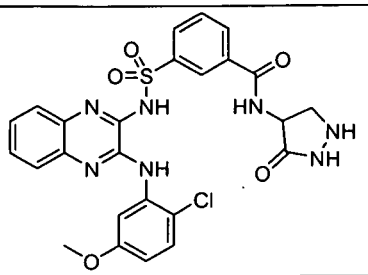
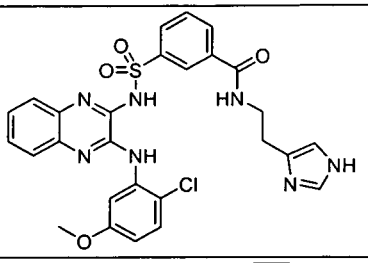
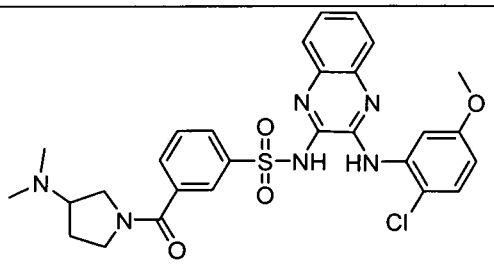
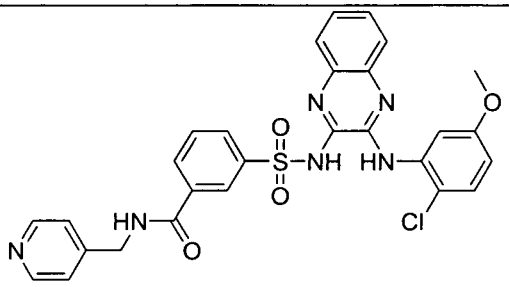
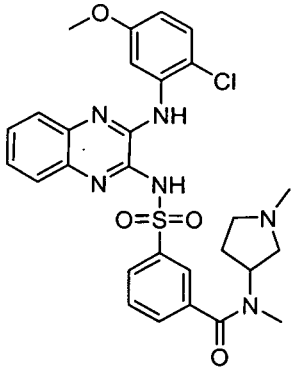
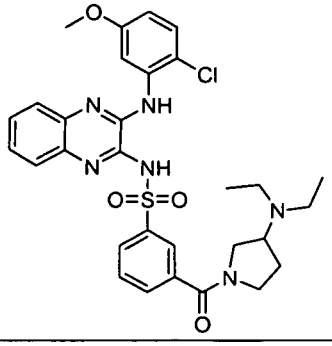
Table 1		
Cpd. No.	**Structure**	**Name**
666		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(3-oxopyrazolidin-4-yl)benzamide
667		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(1*H*-imidazol-4-yl)ethyl]benzamide
668		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-{[3-(dimethylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonamide
669		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(pyridin-4-ylmethyl)benzamide
670		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-methyl-*N*-(1-methylpyrrolidin-3-yl)benzamide
671		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-{[3-(diethylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonamide

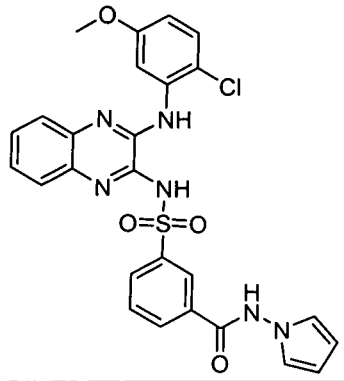
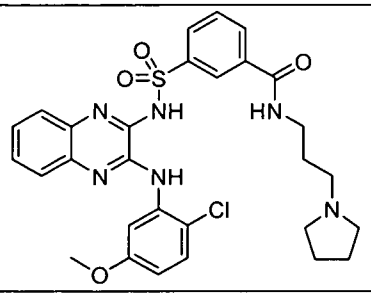
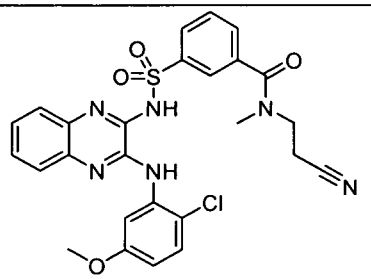
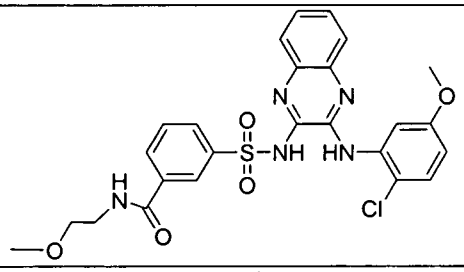
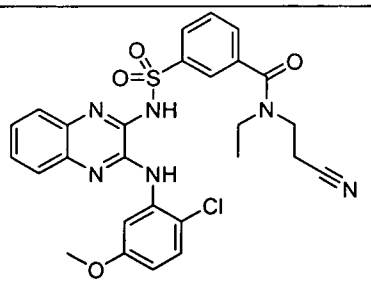
Table 1		
Cpd. No.	**Structure**	**Name**
672		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-1*H*-pyrrol-1-ylbenzamide
673		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(3-pyrrolidin-1-ylpropyl)benzamide
674		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-cyanoethyl)-*N*-methylbenzamide
675		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(methoxy)ethyl]benzamide
676		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-cyanoethyl)-*N*-ethylbenzamide

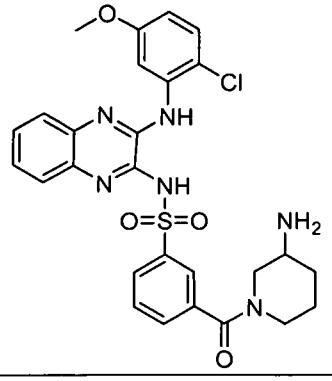
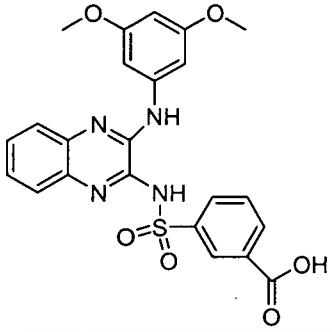
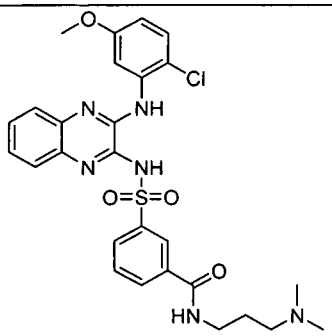
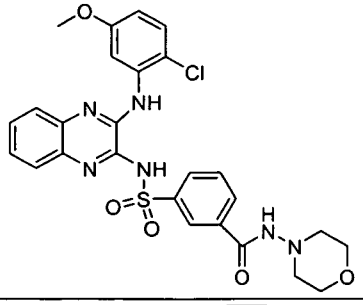
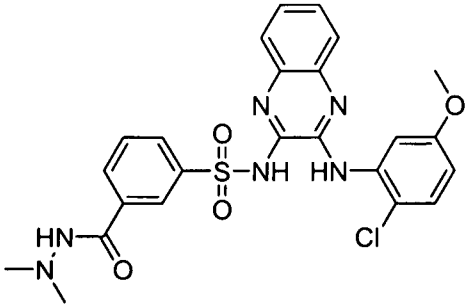
Table 1		
Cpd. No.	Structure	Name
677		3-[(3-aminopiperidin-1-yl)carbonyl]-*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
678		3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzoicacid
679		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(dimethylamino)propyl]benzamide
680		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-morpholin-4-ylbenzamide
681		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-[(2,2-dimethylhydrazino)carbonyl]benzenesulfonamide

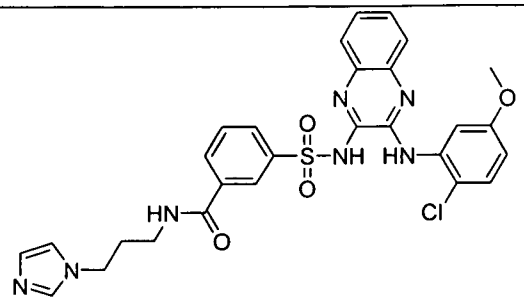
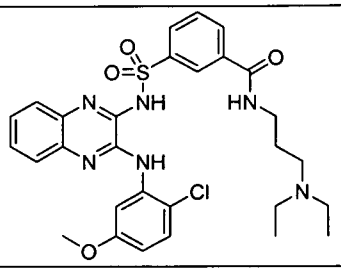
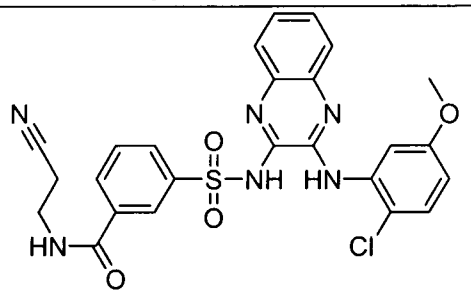
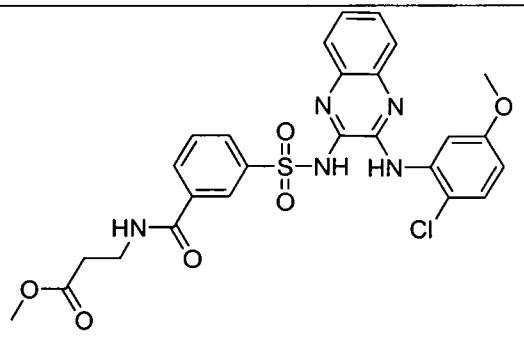
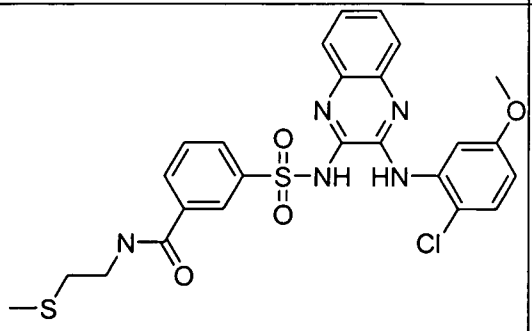
Table 1		
Cpd. No.	**Structure**	**Name**
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683		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(diethylamino)propyl]benzamide
684		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-cyanoethyl)benzamide
685		methyl*N*-[(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)carbonyl]-beta-alaninate
686		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(methylthio)ethyl]benzamide

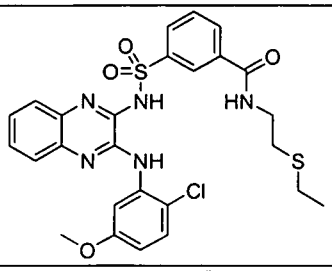
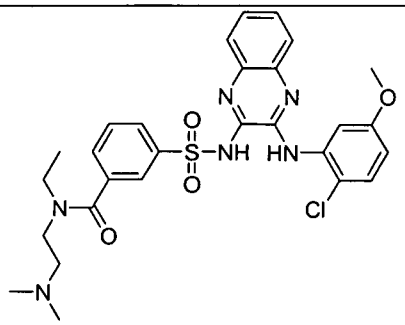
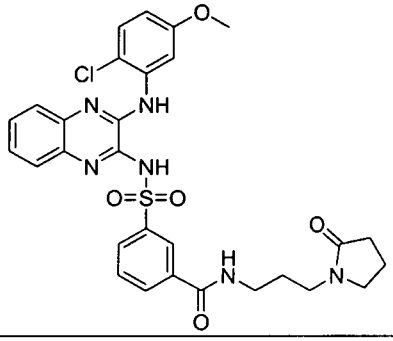
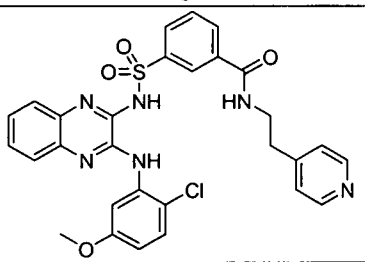
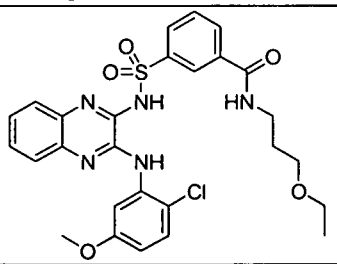
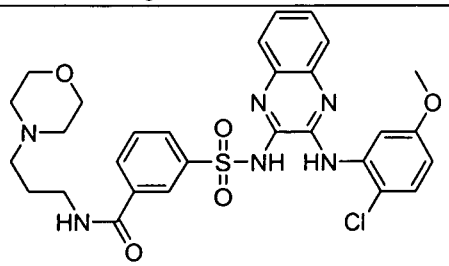
Table 1		
Cpd. No.	Structure	Name
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688		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]-*N*-ethylbenzamide
689		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(2-oxopyrrolidin-1-yl)propyl]benzamide
690		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-pyridin-4-ylethyl)benzamide
691		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(ethyloxy)propyl]benzamide
692		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(3-morpholin-4-ylpropyl)benzamide

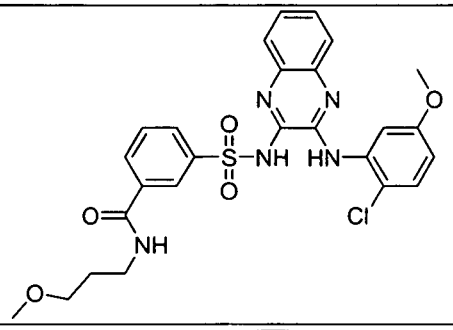
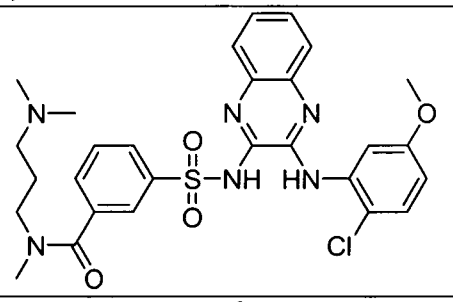
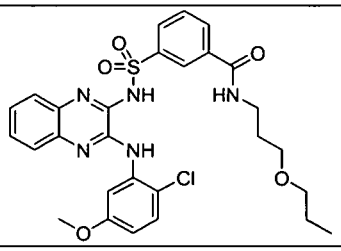
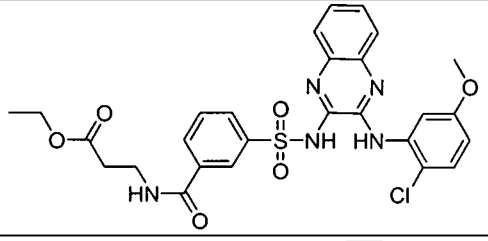
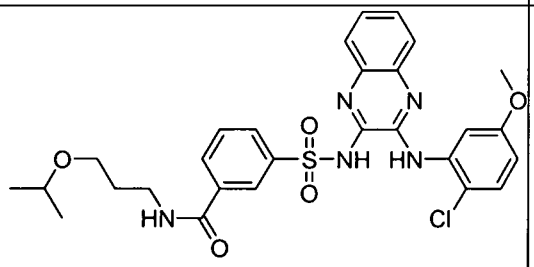
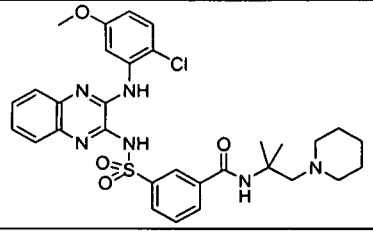
Table 1		
Cpd. No.	**Structure**	**Name**
693		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(methoxy)propyl]benzamide
694		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(dimethylamino)propyl]-*N*-methylbenzamide
695		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(propyloxy)propyl]benzamide
696		ethyl*N*-[(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)carbonyl]-beta-alaninate
697		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-{3-[(1-methylethyl)oxy]propyl}benzamide
698		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1,1-dimethyl-2-piperidin-1-ylethyl)benzamide

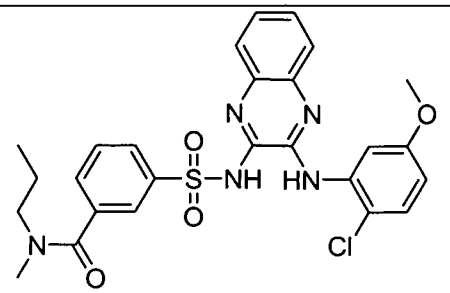
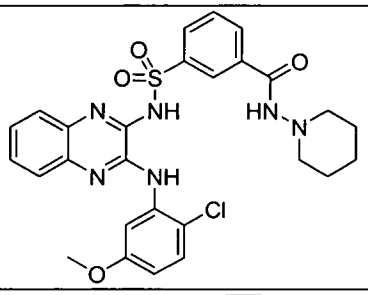
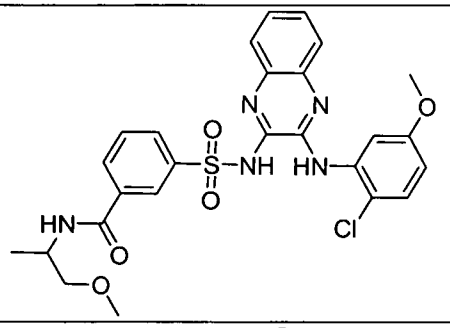
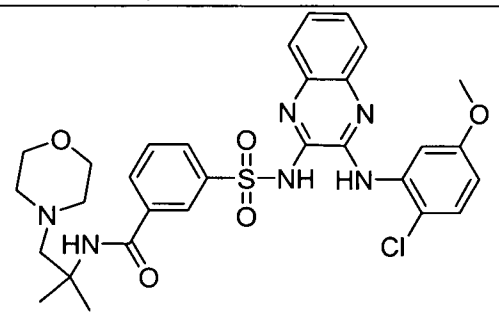
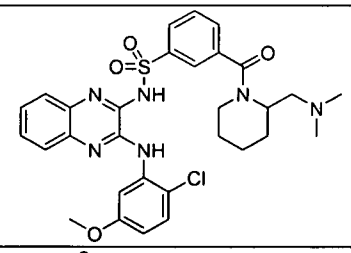
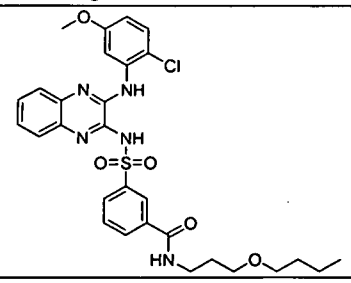
Table 1		
Cpd. No.	Structure	Name
699		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-methyl-*N*-propylbenzamide
700		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-piperidin-1-ylbenzamide
701		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[1-methyl-2-(methoxy)ethyl]benzamide
702		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1,1-dimethyl-2-morpholin-4-ylethyl)benzamide
703		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-({2-[(dimethylamino)methyl]piperidin-1-yl}carbonyl)benzenesulfonamide
704		*N*-[3-(butyloxy)propyl]-3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzamide

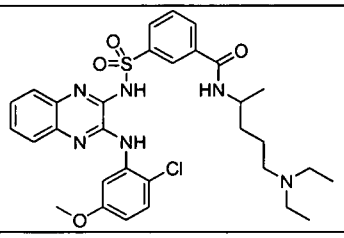
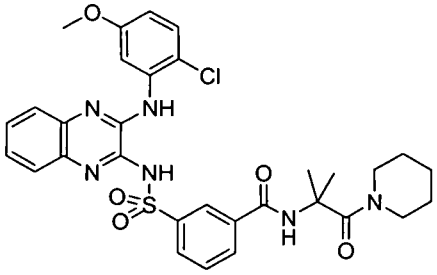
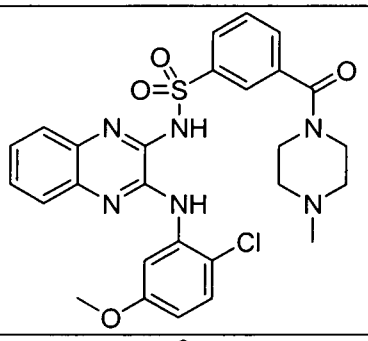
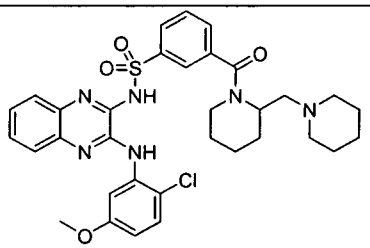
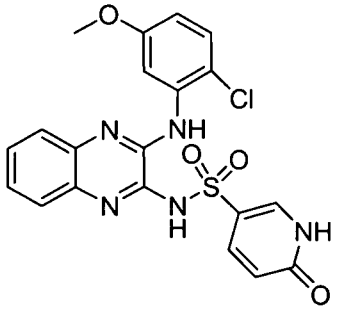
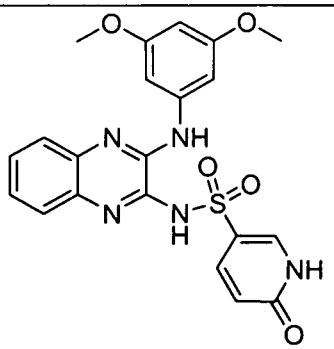
Table 1		
Cpd. No.	**Structure**	**Name**
705		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[4-(diethylamino)-1-methylbutyl]benzamide
706		3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1,1-dimethyl-2-oxo-2-piperidin-1-ylethyl)benzamide
707		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-[(4-methylpiperazin-1-yl)carbonyl]benzenesulfonamide
708		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-{[2-(piperidin-1-ylmethyl)piperidin-1-yl]carbonyl}benzenesulfonamide
709		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide
710		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide

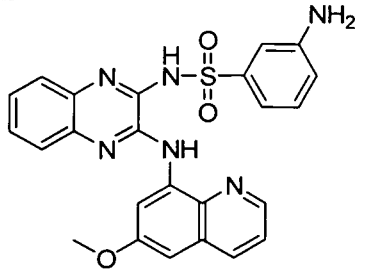
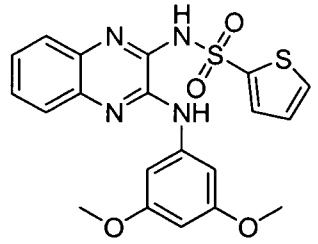
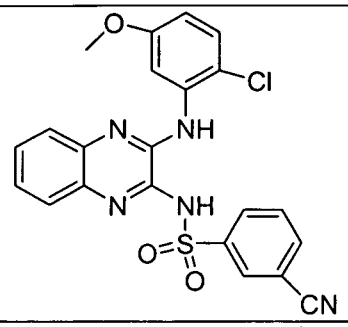
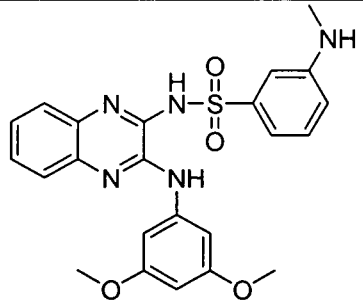
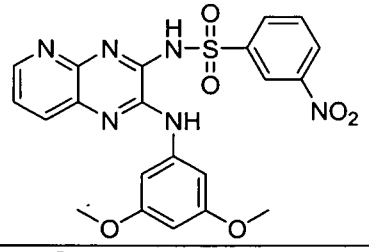
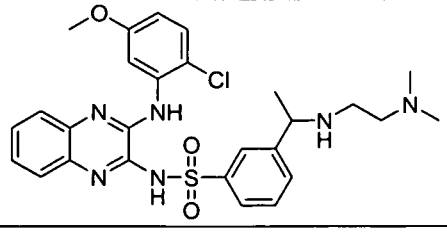
Table 1		
Cpd. No.	**Structure**	**Name**
711		3-amino-*N*-(3-{[6-(methoxy)quinolin-8-yl]amino}quinoxalin-2-yl)benzenesulfonamide
712		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)thiophene-2-sulfonamide
713		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-cyanobenzenesulfonamide
714		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(methylamino)benzenesulfonamide
715		*N*-(2-{[3,5-bis(methoxy)phenyl]amino}pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzenesulfonamide
716		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(1-{[2-(dimethylamino)ethyl]amino}ethyl)benzenesulfonamide

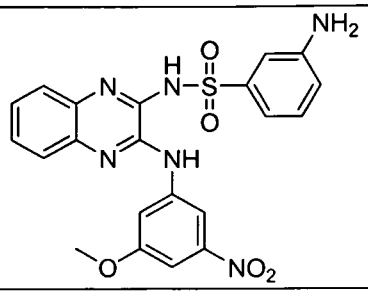
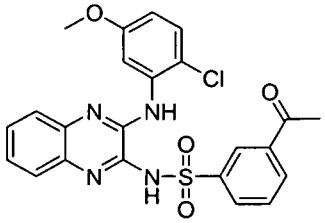
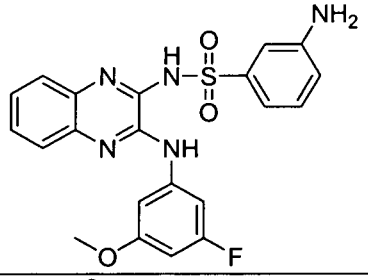
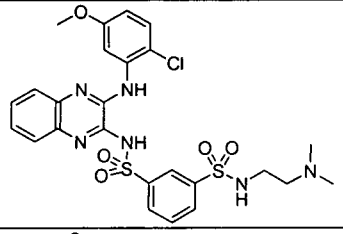
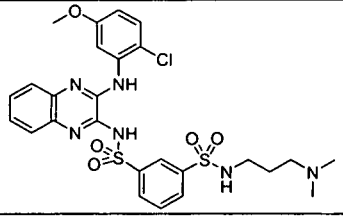
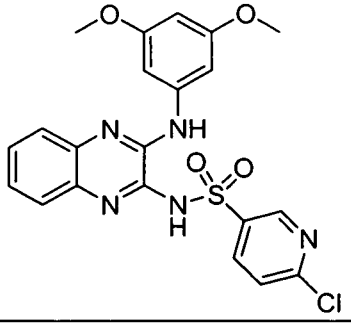
Table 1		
Cpd. No.	**Structure**	**Name**
717		3-amino-*N*-(3-{[3-(methoxy)-5-nitrophenyl]amino}quinoxalin-2-yl)benzenesulfonamide
718		3-acetyl-*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
719		3-amino-*N*-(3-{[3-fluoro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
720		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-*N'*-[2-(dimethylamino)ethyl]benzene-1,3-disulfonamide
721		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-*N'*-[3-(dimethylamino)propyl]benzene-1,3-disulfonamide
722		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-6-chloropyridine-3-sulfonamide

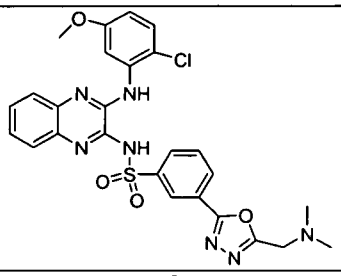
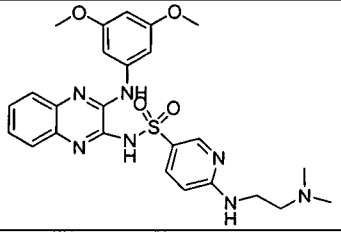
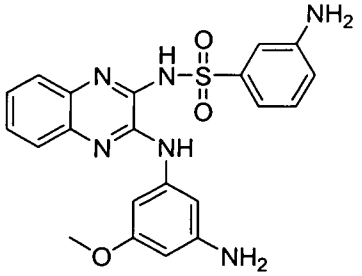
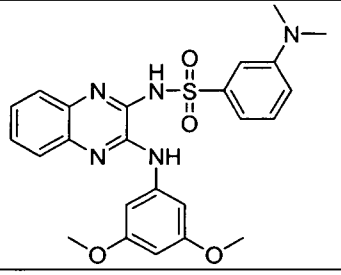
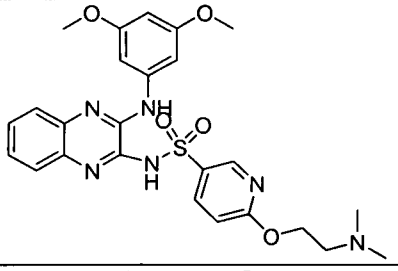
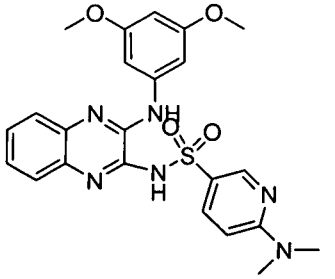
Table 1		
Cpd. No.	**Structure**	**Name**
723		*N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-{5-[(dimethylamino)methyl]-1,3,4-oxadiazol-2-yl}benzenesulfonamide
724		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-6-{[2-(dimethylamino)ethyl]amino}pyridine-3-sulfonamide
725		3-amino-*N*-(3-{[3-amino-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
726		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(dimethylamino)benzenesulfonamide
727		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-6-{[2-(dimethylamino)ethyl]oxy}pyridine-3-sulfonamide
728		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-6-(dimethylamino)pyridine-3-sulfonamide

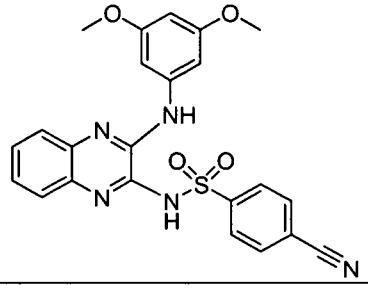
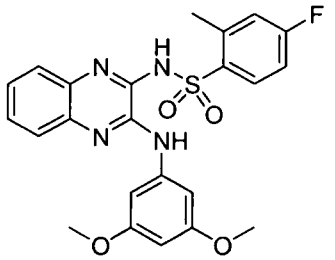
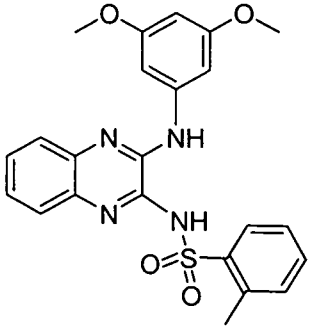
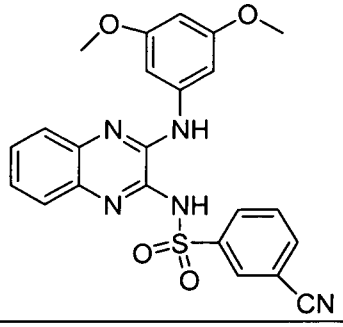
Table 1		
Cpd. No.	**Structure**	**Name**
729		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-cyanobenzenesulfonamide
730		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-fluorobenzenesulfonamide
731		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-fluoro-2-methylbenzenesulfonamide
732		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2-methylbenzenesulfonamide
733		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-cyanobenzenesulfonamide

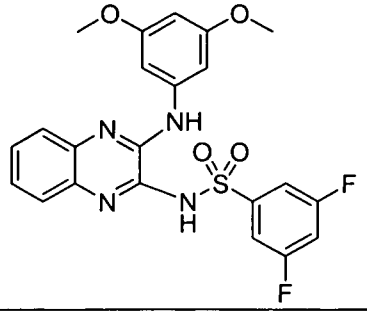
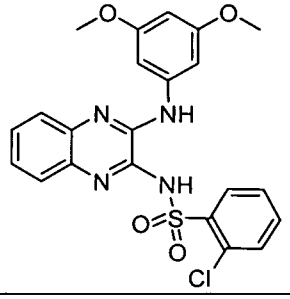
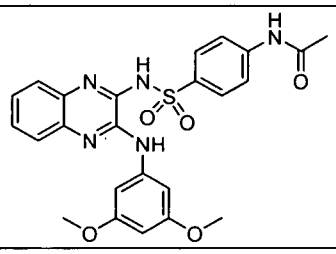
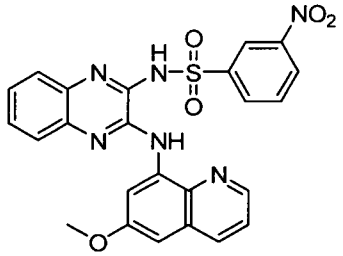
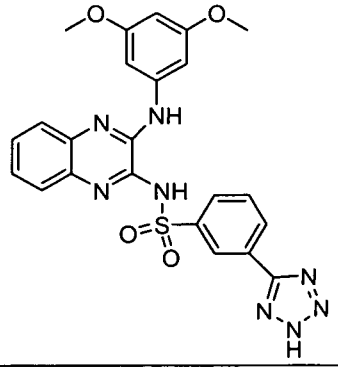
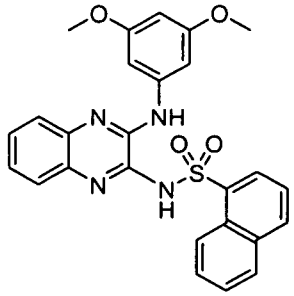
Table 1		
Cpd. No.	**Structure**	**Name**
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735		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2-chlorobenzenesulfonamide
736		*N*-(4-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
737		*N*-(3-{[6-(methoxy)quinolin-8-yl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
738		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(2*H*-tetrazol-5-yl)benzenesulfonamide
739		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)naphthalene-1-sulfonamide

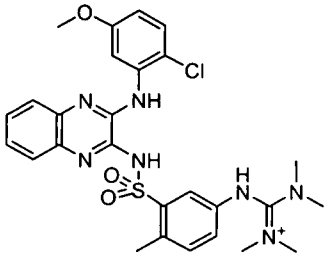
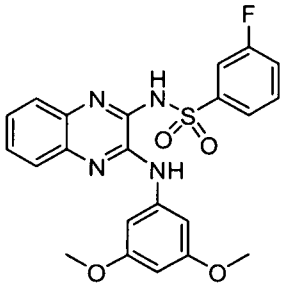
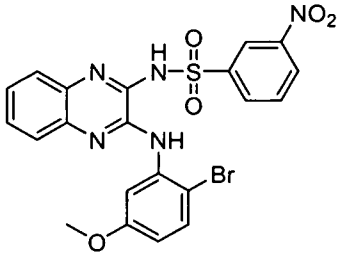
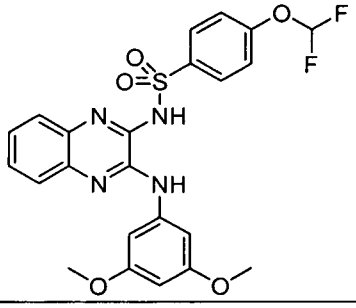
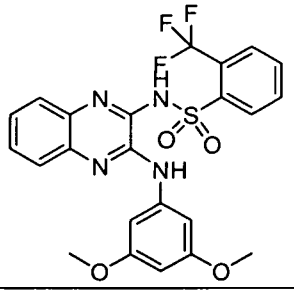
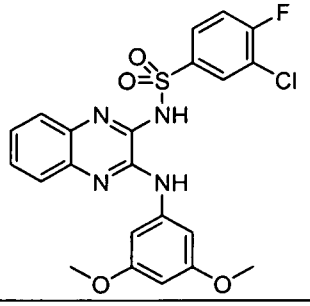
Table 1		
Cpd. No.	**Structure**	**Name**
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741		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-fluorobenzenesulfonamide
742		*N*-(3-{[2-bromo-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
743		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-[(difluoromethyl)oxy]benzenesulfonamide
744		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2-(trifluoromethyl)benzenesulfonamide
745		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-chloro-4-fluorobenzenesulfonamide

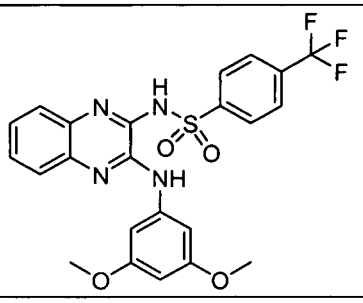
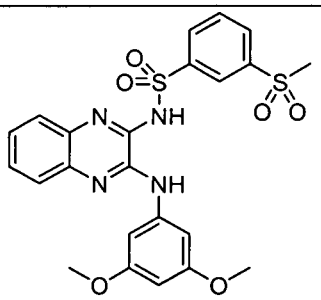
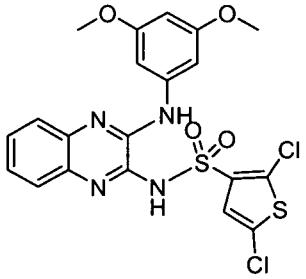
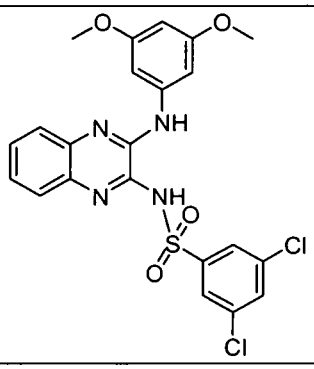
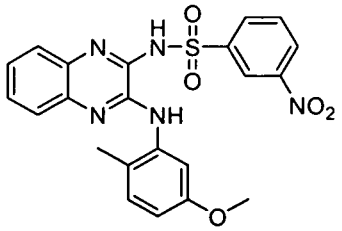
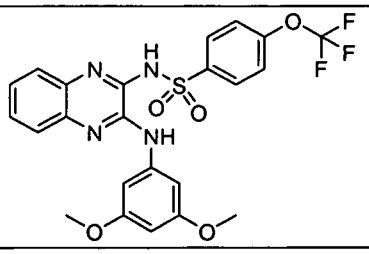
Table 1		
Cpd. No.	**Structure**	**Name**
746		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-(trifluoromethyl)benzenesulfonamide
747		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(methylsulfonyl)benzenesulfonamide
748		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2,5-dichlorothiophene-3-sulfonamide
749		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3,5-dichlorobenzenesulfonamide
750		*N*-(3-{[2-methyl-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
751		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-[(trifluoromethyl)oxy]benzenesulfonamide

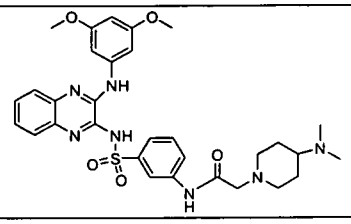
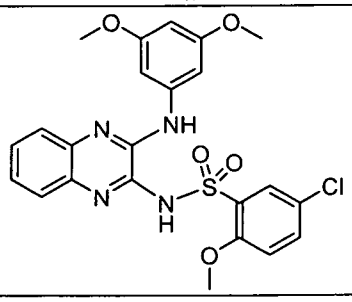
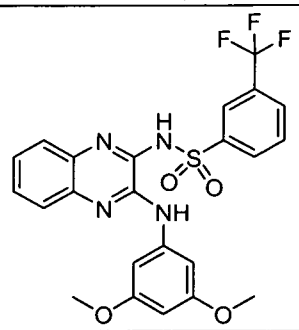
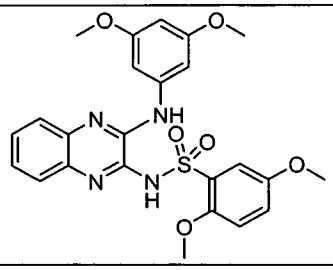
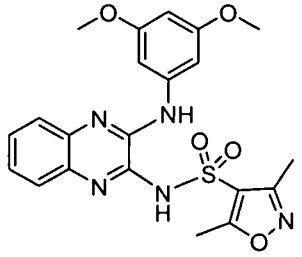
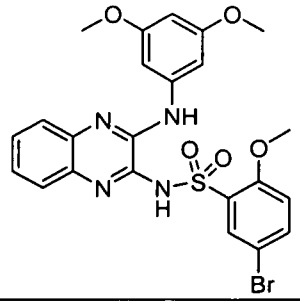
Table 1		
Cpd. No.	Structure	Name
752		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(dimethylamino)piperidin-1-yl]acetamide
753		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-5-chloro-2-(methoxy)benzenesulfonamide
754		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(trifluoromethyl)benzenesulfonamide
755		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2,5-bis(methoxy)benzenesulfonamide
756		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3,5-dimethylisoxazole-4-sulfonamide
757		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-5-bromo-2-(methoxy)benzenesulfonamide

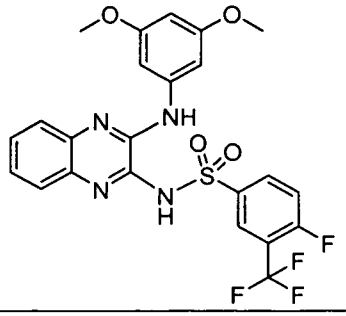
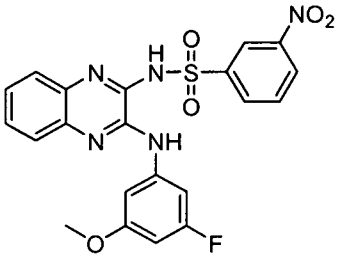
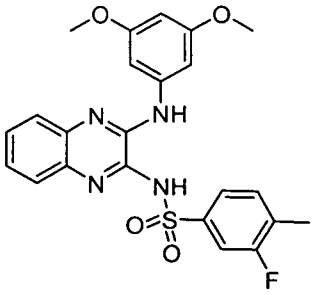
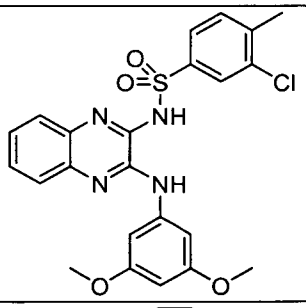
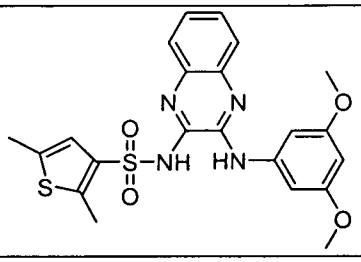
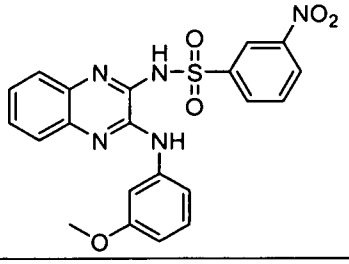
Table 1		
Cpd. No.	**Structure**	**Name**
758		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-fluoro-3-(trifluoromethyl)benzenesulfonamide
759		*N*-(3-{[3-fluoro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
760		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-fluoro-4-methylbenzenesulfonamide
761		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-chloro-4-methylbenzenesulfonamide
762		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2,5-dimethylthiophene-3-sulfonamide
763		*N*-(3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide

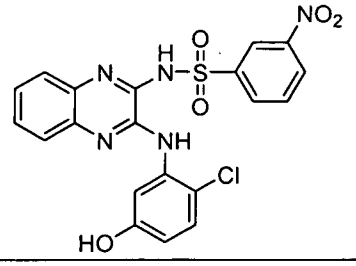
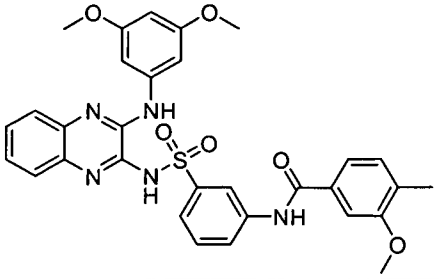
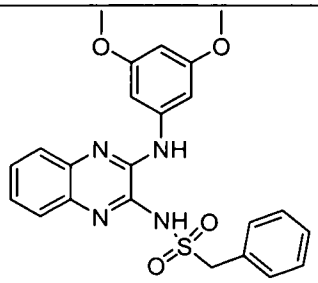
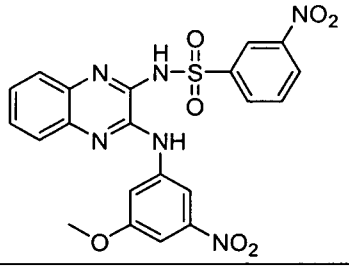
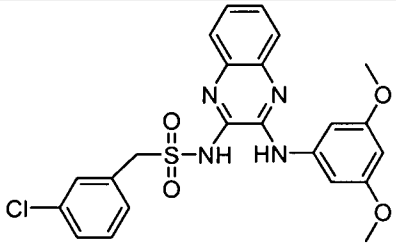
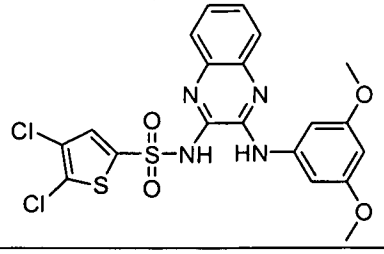
Table 1		
Cpd. No.	**Structure**	**Name**
764		*N*-{3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
765		*N*-(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methyl-3-(methoxy)benzamide
766		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-1-phenylmethanesulfonamide
767		*N*-(3-{[3-(methoxy)-5-nitrophenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
768		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-1-(3-chlorophenyl)methanesulfonamide
769		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4,5-dichlorothiophene-2-sulfonamide

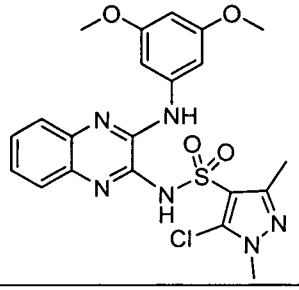
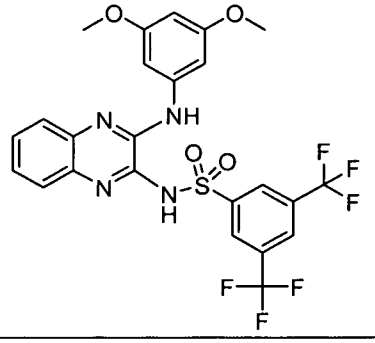
Table 1		
Cpd. No.	**Structure**	**Name**
770		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-5-chloro-1,3-dimethyl-1*H*-pyrazole-4-sulfonamide
771		*N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3,5-bis(trifluoromethyl)benzenesulfonamide

Table 2a.

Representative AKT Inhibitors

[00226] The Compounds in Table 2a can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 2a can be used to practice the invention.

Cmpd No.	*N*ame
1	3-(azetidin-3-ylidenemethyl)-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
2	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-fluoropyridin-4-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
3	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-chloropyridin-4-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
4	2-({5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy)-*N*,*N*-dimethylethanamine
5	2-({5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy)-*N*,*N*-diethylethanamine
6	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
7	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-piperazin-1-yl-1*H*-pyrazolo[3,4-d]pyrimidine
8	*N*-(3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-yn-1-yl)acetamide
9	*N*,*N*-diethyl-2-({3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)ethanamine
10	3-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}-*N*,*N*-diethylpropan-1-amine

Cmpd No.	*Name*
11	3-bromo-4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
12	3-bromo-4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
13	2-({3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}oxy)-*N*,*N*-diethylethanamine
14	4-[4-(5-chloro-2-methyl-3-{[2-(1-methylpiperidin-4-yl)ethyl]oxy}phenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
15	5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
16	4-(4-{5-chloro-2-methyl-3-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
17	4-(4-{5-chloro-2-methyl-3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
18	3-bromo-4-{4-[5-chloro-2-methyl-3-(3-morpholin-4-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
19	3-bromo-4-(4-{5-chloro-2-methyl-3-[3-(4-methylpiperazin-1-yl)propyl]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
20	3-bromo-4-(4-{5-chloro-2-methyl-3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
21	3-bromo-4-(4-{5-chloro-2-methyl-3-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
22	4-{4-[5-chloro-2-methyl-3-(3-morpholin-4-ylpropyl)phenyl]piperazin-1-yl}-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
23	*N'*-{5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-*N*,*N*-diethylethane-1,2-diamine
24	4-{4-[5-chloro-2-methyl-3-(3-piperidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
25	4-[4-(5-chloro-3-{[2-(4-ethylpiperazin-1-yl)ethyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
26	4-(4-{5-chloro-2-methyl-3-[(3-morpholin-4-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
27	3-bromo-4-{4-[5-chloro-2-methyl-3-(3-piperidin-1-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
28	*N'*-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}-*N*,*N*-diethylethane-1,2-diamine
29	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
30	4-[4-(5-chloro-2-methyl-3-{[2-(4-methylpiperazin-1-yl)ethyl]oxy}phenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
31	4-[4-(5-chloro-2-methyl-3-{[(1-methylpiperidin-4-yl)methyl]oxy}phenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
32	*N*,*N*-diethyl-2-({3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy)ethanamine
33	2-[(5-chloro-3-{4-[1-(1,1-dimethylethyl)-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}-2-methylphenyl)oxy]-*N*,*N*-diethylethanamine

Cmpd No.	*Name*
34	2-[(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)oxy]-*N*,*N*-diethylethanamine
35	4-(4-{5-chloro-2-methyl-3-[(3-pyrrolidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
36	4-[4-(5-chloro-2-methyl-3-{[3-(4-methylpiperazin-1-yl)propyl]oxy}phenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
37	3-bromo-4-(4-{5-chloro-2-methyl-3-[(3-piperidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
38	3-bromo-4-(4-{5-chloro-2-methyl-3-[(3-morpholin-4-ylpropyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
39	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
40	4-(4-{5-chloro-2-methyl-3-[(3-morpholin-4-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
41	4-(4-{5-chloro-2-methyl-3-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
42	4-(4-{5-chloro-2-methyl-3-[(3-piperidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
43	4-[4-(5-chloro-3-{[3-(4-ethylpiperazin-1-yl)propyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
44	5-chloro-2-methyl-3-[4-(1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(2-pyrrolidin-1-ylethyl)aniline
45	5-chloro-2-methyl-3-[4-(3-methyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(2-pyrrolidin-1-ylethyl)aniline
46	*N'*-(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)-*N*,*N*-dimethylethane-1,2-diamine
47	3-({5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy)-*N*,*N*-diethylpropan-1-amine
48	*N'*-(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)-*N*,*N*-diethylethane-1,2-diamine
49	5-chloro-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)-3-{4-[3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}aniline
50	3-bromo-4-(4-{4-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
51	4-(4-{4-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
52	3-methyl-4-(4-{4-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
53	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
54	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-methyl-1*H*-pyrazolo[3,4-d]pyrimidine
55	4-(4-{5-chloro-2-methyl-3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
56	3-[(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)oxy]-*N*,*N*-diethylpropan-1-amine

Cmpd No.	Name
57	5-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
58	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-fluoro-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
59	4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
60	3-bromo-4-{4-[5-fluoro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
61	4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
62	4-(4-{5-chloro-2-methyl-3-[3-(4-methylpiperazin-1-yl)propyl]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
63	3-bromo-4-(4-pyridin-2-ylpiperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
64	3-bromo-4-[4-(2,4-dimethylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
65	3-bromo-4-{4-[3-(methyloxy)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
66	3-bromo-4-{4-[2-(methyloxy)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
67	3-bromo-4-{4-[4-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
68	4-(4-{5-chloro-2-methyl-3-[(3-pyrrolidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
69	4-(4-{5-chloro-2-methyl-3-[(3-piperidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
70	4-[4-(5-chloro-2-methyl-3-{[3-(4-methylpiperazin-1-yl)propyl]oxy}phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
71	4-[4-(5-chloro-3-{[3-(4-ethylpiperazin-1-yl)propyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
72	3-bromo-4-[4-(5-chloro-2-methyl-3-{[2-(4-methylpiperazin-1-yl)ethyl]oxy}phenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
73	4-[4-(5-chloro-2-methyl-3-{[2-(4-methylpiperazin-1-yl)ethyl]oxy}phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
74	3-bromo-4-[4-(5-chloro-3-{[2-(4-ethylpiperazin-1-yl)ethyl]oxy}-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
75	3-bromo-4-[4-(3,4-dichlorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
76	3-bromo-4-[4-(3,4-difluorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
77	3-bromo-4-[4-(2,4-dichlorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
78	3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-fluoro-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
79	5-fluoro-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)-3-{4-[3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}aniline
80	4-{4-[3,5-bis(methyloxy)phenyl]piperazin-1-yl}-3-bromo-1*H*-pyrazolo[3,4-d]pyrimidine
81	4-[4-(5-chloro-3-{[2-(4-ethylpiperazin-1-yl)ethyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
82	*N*-{5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-*N*,*N*',*N*'-trimethylethane-1,2-diamine
83	3-({3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}oxy)-*N*,*N*-diethylpropan-1-amine

Cmpd No.	Name
84	3-bromo-4-(4-{5-chloro-2-methyl-3-[(3-pyrrolidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
85	3-bromo-4-[4-(5-chloro-2-methyl-3-{[3-(4-methylpiperazin-1-yl)propyl]oxy}phenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
86	3-bromo-4-[4-(5-chloro-3-{[3-(4-ethylpiperazin-1-yl)propyl]oxy}-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
87	3-(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)-*N*,*N*-diethylpropan-1-amine
88	3-bromo-4-[4-(5-chloro-2-methyl-3-{[(1-methylpiperidin-4-yl)methyl]oxy}phenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
89	3-bromo-4-[4-(5-chloro-2-methyl-3-{[2-(1-methylpiperidin-4-yl)ethyl]oxy}phenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
90	4-[4-(5-chloro-2-methyl-3-{[(1-methylpiperidin-4-yl)methyl]oxy}phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
91	4-[4-(5-chloro-2-methyl-3-{[2-(1-methylpiperidin-4-yl)ethyl]oxy}phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
92	4-(4-{5-chloro-2-methyl-3-[3-(4-methylpiperazin-1-yl)propyl]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
93	3-bromo-4-[4-(3-chloro-4-fluorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
94	1-{4-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}ethanone
95	3-bromo-4-[4-(2,5-dichlorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
96	3-bromo-4-[4-(3,4-dimethylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
97	3-bromo-4-[4-(4-nitrophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
98	3-ethyl-4-(4-phenylpiperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
99	3-ethyl-4-{4-[3-(methyloxy)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
100	4-{4-[5-chloro-2-methyl-3-(3-piperidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
101	4-[4-(3,6-dimethylpyrazin-2-yl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
102	1-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]isoquinoline
103	3-bromo-4-[4-(2,6-dimethylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
104	3-bromo-4-{4-[4-(ethyloxy)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
105	3-bromo-4-[4-(2-ethylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
106	4-{4-[2,4-bis(methyloxy)phenyl]piperazin-1-yl}-3-bromo-1*H*-pyrazolo[3,4-d]pyrimidine
107	3-bromo-4-(4-pyrazin-2-ylpiperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
108	3-bromo-4-(4-pyrimidin-2-ylpiperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
109	4-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(trifluoromethyl)quinoline
110	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyrazine-2-carbonitrile
111	4-[4-(4,6-dimethylpyrimidin-2-yl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
112	ethyl 4-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(trifluoromethyl)pyrimidine-5-carboxylate
113	4-{4-[3-chloro-5-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
114	4-[4-(3-bromo-2-chloro-5-fluorophenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine

Cmpd No.	*Name*
115	2-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyridine-3-carboxamide
116	3-ethyl-4-{4-[4-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
117	3-bromo-4-{4-[4-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
118	3-bromo-4-{4-[4-(trifluoromethyl)pyrimidin-2-yl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
119	2-({3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyrazin-2-yl}oxy)-*N*,*N*-dimethylethanamine
120	4-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylquinoline
121	3-bromo-4-[4-(2-nitrophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
122	2-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]benzonitrile
123	4-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]benzonitrile
124	3-bromo-4-{4-[4-(trifluoromethyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
125	3-bromo-4-(4-{4-[(phenylmethyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
126	4-{4-[5-chloro-2-methyl-3-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
127	2-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyridine-3-carbonitrile
128	3-bromo-4-[4-(3,5-dichlorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
129	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-chloro-5-fluoro-*N*-(2-pyrrolidin-1-ylethyl)aniline
130	2-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-fluoro-*N*-(2-pyrrolidin-1-ylethyl)aniline
131	3-bromo-4-[4-(2,5-difluorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
132	4-[4-(2,5-difluorophenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
133	3-bromo-4-{4-[3-(methyloxy)pyrazin-2-yl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
134	3-bromo-4-[4-(3-chlorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
135	3-bromo-4-{4-[3-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
136	3-bromo-4-{4-[3-chloro-5-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
137	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(1-methylethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
138	5-chloro-2-methyl-3-{4-[3-(1-methylethyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}-*N*-(2-pyrrolidin-1-ylethyl)aniline
139	2-({3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)-*N*-ethylacetamide
140	2-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,*N*-diethylpyrimidin-4-amine
141	3-bromo-4-[4-(3-{[(3-methylphenyl)methyl]oxy}phenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
142	3-bromo-4-(4-{3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
143	3-bromo-4-[4-(4-furan-2-ylpyrimidin-2-yl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine

Cmpd No.	*Name*
144	6-{2-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyrimidin-4-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
145	3-ethyl-4-{4-[2-methyl-3-(methyloxy)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
146	*N'*-{5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-*N*-methyl-*N*-(1-methylethyl)ethane-1,2-diamine
147	*N'*-{5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-*N*-ethyl-*N*-methylethane-1,2-diamine
148	*N'*-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}-*N*,*N*-dimethylethane-1,2-diamine
149	3-({6-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-chloro-5-methylpyrimidin-4-yl}oxy)-*N*,*N*-diethylpropan-1-amine
150	3-bromo-4-[4-(2,3-dichlorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
151	3-bromo-4-{4-[2-(trifluoromethyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
152	3-bromo-4-(4-phenylpiperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
153	3-bromo-4-[4-(4-fluorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
154	3-bromo-4-[4-(4-chlorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
155	3-bromo-4-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
156	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-6-amine
157	3-bromo-4-[4-(4-bromophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
158	3-bromo-4-[3-methyl-4-(3-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
159	4-[4-(3-bromo-5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-6-amine
160	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-cyclopropyl-1*H*-pyrazolo[3,4-d]pyrimidine
161	5-chloro-3-[4-(3-cyclopropyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
162	5-chloro-2-methyl-3-{4-[3-(2-methylpropyl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}-*N*-(2-pyrrolidin-1-ylethyl)aniline
163	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(2-methylpropyl)-1*H*-pyrazolo[3,4-d]pyrimidine
164	3-bromo-4-[(3S)-4-(5-chloro-2-methylphenyl)-3-methylpiperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
165	5-bromo-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylaniline
166	2-({3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)-*N*-cyclopropylacetamide
167	3-bromo-4-(4-{3-[(2-piperidin-1-ylethyl)oxy]pyrazin-2-yl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
168	4-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-6,7-bis(methyloxy)quinazoline
169	2-({3-chloro-5-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)-*N*,*N*-diethylethanamine
170	4-{4-[2-chloro-5-(trifluoromethyl)phenyl]piperazin-1-yl}-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
171	3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]-*N*-(2-pyrrolidin-1-ylethyl)aniline

Cmpd No.	Name
172	3-({4-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-6-chloro-5-methylpyrimidin-2-yl}oxy)-*N*,*N*-diethylpropan-1-amine
173	3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(phenylmethyl)oxy]-*N*-(2-pyrrolidin-1-ylethyl)aniline
174	3-bromo-4-[(3R)-4-(5-chloro-2-methylphenyl)-3-methylpiperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
175	3-[(2S)-4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-2-methylpiperazin-1-yl]-4-methyl-*N*-phenylbenzamide
176	3-[(2S)-4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-2-methylpiperazin-1-yl]-4-methyl-*N*-(phenylmethyl)benzamide
177	methyl 3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methylbenzoate
178	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methylbenzoic acid
179	(2E)-3-(4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl)prop-2-enoic acid
180	3-(4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl)prop-2-yn-1-ol
181	4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-1-(5-chloro-2-methylphenyl)piperazin-2-one
182	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]-*N*-(2-pyrrolidin-1-ylethyl)aniline
183	*N*'-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]phenyl}-*N*,*N*-diethylethane-1,2-diamine
184	methyl 3-bromo-5-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methylbenzoate
185	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-*N*-phenylbenzamide
186	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,4-dimethylbenzamide
187	2-({3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)-*N*,*N*-diethylethanamine
188	methyl 3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzoate
189	3-bromo-5-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-*N*-phenylbenzamide
190	3-bromo-5-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-*N*-phenylbenzamide
191	*N*'-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}-*N*-methyl-*N*-(1-methylethyl)ethane-1,2-diamine
192	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-*N*-phenyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
193	*N*'-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]phenyl}-*N*,*N*-dimethylethane-1,2-diamine
194	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,*N*,4-trimethylbenzamide
195	3-[4-(3-chloro-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-*N*-(2-methylpropyl)benzamide
196	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,*N*,4-trimethyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide

Cmpd No.	Name
197	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-2-oxopiperazin-1-yl]-4-methyl-*N*-phenylbenzamide
198	3-[(2R)-4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-2-(hydroxymethyl)piperazin-1-yl]-4-methyl-*N*-phenylbenzamide
199	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(pyrrolidin-1-ylcarbonyl)-*N*-(2-pyrrolidin-1-ylethyl)aniline
200	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,4-dimethyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
201	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(4-chlorophenyl)-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
202	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(2-chlorophenyl)-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
203	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclopropylmethyl)oxy]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
204	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(3-methylbutyl)oxy]-*N*-(2-pyrrolidin-1-ylethyl)aniline
205	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-ethylbutyl)oxy]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
206	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(butyloxy)-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
207	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-*N*-(1-methylethyl)-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
208	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,4-dimethyl-*N*-(1-methylethyl)-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
209	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclobutylmethyl)oxy]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
210	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(ethyloxy)-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
211	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-[2-(dimethylamino)ethyl]-4-methylbenzamide
212	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(1,1-dimethylethyl)-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
213	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-*N*-pyridin-3-yl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
214	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-fluoro-2-methylpropyl)oxy]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
215	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclohexylmethyl)oxy]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
216	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclopentylmethyl)oxy]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
217	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-ethyl-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
218	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(1-methylethyl)oxy]-*N*-(2-pyrrolidin-1-ylethyl)aniline
219	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2,2-dimethylpropyl)oxy]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline

Cmpd No.	*Name*
220	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)-5-[(tetrahydrofuran-2-ylmethyl)oxy]aniline
221	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-{[2-(methyloxy)ethyl]oxy}-*N*-(2-pyrrolidin-1-ylethyl)aniline
222	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(propyloxy)-*N*-(2-pyrrolidin-1-ylethyl)aniline
223	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2-(dimethylamino)ethyl]amino}-4-methyl-*N*-phenylbenzamide
224	*N*'-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-fluoro-2-methylpropyl)oxy]-2-methylphenyl}-*N*,*N*-dimethylethane-1,2-diamine
225	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2-(dimethylamino)ethyl]amino}-4-methyl-*N*-(1-methylethyl)benzamide
226	1-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}pentan-1-one
227	*N*'-(3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methylphenyl)-*N*,*N*-dimethylethane-1,2-diamine
228	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
229	5-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-*N*-(2-pyrrolidin-1-ylethyl)biphenyl-3-amine
230	1-(3-{5-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methylbiphenyl-3-yl}propyl)pyridinium
231	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)-5-(1,3-thiazol-2-yl)aniline
232	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzoic acid
233	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(phenylethynyl)-*N*-(2-pyrrolidin-1-ylethyl)aniline
234	{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}(phenyl)methanone
235	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-ethynyl-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
236	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(3,3-dimethylbut-1-yn-1-yl)-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
237	3-bromo-4-{4-[5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
238	3-bromo-4-{4-[2-methyl-5-[(2-methylpropyl)oxy]-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
239	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(3-phenyl-1,2,4-oxadiazol-5-yl)-*N*-(2-pyrrolidin-1-ylethyl)aniline
240	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(3-methyl-1,2,4-oxadiazol-5-yl)-*N*-(2-pyrrolidin-1-ylethyl)aniline
241	1-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}propan-1-one
242	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(3,3-dimethylbutyl)-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline

Cmpd No.	*Name*
243	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-ethyl-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
244	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)-5-[2-(trimethylsilyl)ethyl]aniline
245	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(2-phenylethyl)-*N*-(2-pyrrolidin-1-ylethyl)aniline
246	1-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}butan-1-one
247	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,4-dimethyl-*N*-(methyloxy)-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
248	3-bromo-4-[4-(3-bromo-5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
249	4-[4-(3-bromo-5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
250	1-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}ethanone
251	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(difluoromethyl)oxy]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
252	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[(difluoromethyl)oxy]methyl}-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
253	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(methyloxy)-*N*-(2-pyrrolidin-1-ylethyl)aniline
254	5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
255	2-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-3,5,6-trifluoro-*N*-(3-methylbutyl)pyridin-4-amine
256	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-[(cyclopropylmethyl)oxy]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
257	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(5-methyl-1,2,4-oxadiazol-3-yl)-*N*-(2-pyrrolidin-1-ylethyl)aniline
258	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(ethylsulfonyl)-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
259	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(methylsulfonyl)-*N*-(2-pyrrolidin-1-ylethyl)aniline
260	1-{3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}pentan-1-one
261	3-bromo-4-[4-(5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
262	6-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-3,5-difluoro-*N*~4~-(3-methylbutyl)-*N*~2~-(2-pyrrolidin-1-ylethyl)pyridine-2,4-diamine
263	3-bromo-5-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(2-pyrrolidin-1-ylethyl)aniline
264	3-bromo-4-[4-(3',4',6-trifluoro-4-methylbiphenyl-3-yl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
265	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-*N*-(2-pyrrolidin-1-ylethyl)aniline

Cmpd No.	Name
266	{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}methanol
267	3-bromo-4-(4-{4-methyl-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
268	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[(2,2-difluorocyclopropyl)methyl]oxy}-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
269	5-bromo-3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
270	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(ethyloxy)methyl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
271	3-[4-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-1-methyl-6-(trifluoromethyl)-1*H*-benzimidazol-2-yl]propan-1-ol
272	1-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}-4,4,4-trifluorobutan-1-one
273	{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}(cyclopropyl)methanone
274	3-({3'-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4'-methylbiphenyl-2-yl}oxy)-*N*,*N*-dimethylpropan-1-amine
275	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(1,1-difluorobutyl)-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)aniline
276	3-bromo-4-(4-{4-methyl-2'-[(3-morpholin-4-ylpropyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
277	3-bromo-4-(4-{4-methyl-2'-[(2-morpholin-4-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
278	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)-5-{[(2,2,2-trifluoroethyl)oxy]methyl}aniline
279	1-[2-({3'-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4'-methylbiphenyl-2-yl}oxy)ethyl]pyrrolidine-2,5-dione
280	3-bromo-4-(4-{3'-fluoro-4-methyl-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
281	1-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}butan-1-one
282	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)-5-[(3,3,3-trifluoropropyl)oxy]aniline
283	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)-5-[(2,2,2-trifluoroethyl)oxy]aniline
284	1-{3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}butan-1-ol
285	3-bromo-4-(4-{4-chloro-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
286	3-[4-(4-{5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methyl-3-[(2-pyrrolidin-1-ylethyl)amino]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl]prop-2-yn-1-ol
287	3-bromo-4-(4-{4-chloro-4'-fluoro-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
288	3-bromo-4-(4-{4-methyl-3'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine

Cmpd No.	*Name*
289	(2E)-3-[4-(4-{5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methyl-3-[(2-pyrrolidin-1-ylethyl)amino]phenyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl]prop-2-enoic acid
290	3-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-*N*-(2-pyrrolidin-1-ylethyl)-5-[4,4,4-trifluoro-1,1-bis(methyloxy)butyl]aniline
291	6-(4-phenylpiperazin-1-yl)-9*H*-purine
292	6-[4-(3-chlorophenyl)piperazin-1-yl]-9*H*-purine
293	4-(4-phenylpiperazin-1-yl)-7*H*-pyrrolo[2,3-d]pyrimidine
294	4-[4-(3-chlorophenyl)piperazin-1-yl]-7*H*-pyrrolo[2,3-d]pyrimidine
295	4-(4-phenylpiperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
296	4-[4-(3-chlorophenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
297	6-[4-(2-chlorophenyl)piperazin-1-yl]-9*H*-purine
298	6-[4-(2-fluorophenyl)piperazin-1-yl]-9*H*-purine
299	4-[4-(2-methylphenyl)piperazin-1-yl]-7*H*-pyrrolo[2,3-d]pyrimidine
300	4-{4-[2-(methyloxy)phenyl]piperazin-1-yl}-7*H*-pyrrolo[2,3-d]pyrimidine
301	4-{4-[3-(methyloxy)phenyl]piperazin-1-yl}-7*H*-pyrrolo[2,3-d]pyrimidine
302	4-{4-[4-(methyloxy)phenyl]piperazin-1-yl}-7*H*-pyrrolo[2,3-d]pyrimidine
303	4-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-7*H*-pyrrolo[2,3-d]pyrimidine
304	6-{4-[4-(methyloxy)phenyl]piperazin-1-yl}-9*H*-purine
305	6-{4-[2-(methyloxy)phenyl]piperazin-1-yl}-9*H*-purine
306	6-[4-(4-chlorophenyl)piperazin-1-yl]-9*H*-purine
307	6-[4-(4-fluorophenyl)piperazin-1-yl]-9*H*-purine
308	4-[4-(4-chlorophenyl)piperazin-1-yl]-7*H*-pyrrolo[2,3-d]pyrimidine
309	4-[4-(2-chlorophenyl)piperazin-1-yl]-7*H*-pyrrolo[2,3-d]pyrimidine
310	4-[4-(4-fluorophenyl)piperazin-1-yl]-7*H*-pyrrolo[2,3-d]pyrimidine
311	4-[4-(2-fluorophenyl)piperazin-1-yl]-7*H*-pyrrolo[2,3-d]pyrimidine
312	6-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-9*H*-purine
313	6-[4-(2-methylphenyl)piperazin-1-yl]-9*H*-purine
314	4-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
315	4-[4-(2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
316	4-[4-(3-chlorophenyl)piperazin-1-yl]-3-methyl-1*H*-pyrazolo[3,4-d]pyrimidine
317	3-methyl-4-[4-(2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
318	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
319	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-methyl-1*H*-pyrazolo[3,4-d]pyrimidine
320	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-methyl-6-phenyl-1*H*-pyrazolo[3,4-d]pyrimidine
321	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
322	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-6-methyl-1*H*-pyrazolo[3,4-d]pyrimidine
323	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-6-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
324	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-6-(1-methylethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
325	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-phenyl-1*H*-pyrazolo[3,4-d]pyrimidine
326	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-({[2-(methyloxy)ethyl]oxy}methyl)-1*H*-pyrazolo[3,4-d]pyrimidine

Cmpd No.	*Name*
327	3-bromo-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
328	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-propyl-1*H*-pyrazolo[3,4-d]pyrimidine
329	4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}phenol
330	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-*N*-phenyl-1*H*-pyrazolo[3,4-d]pyrimidin-3-amine
331	4-[4-(3-chlorophenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
332	4-{4-[5-chloro-2-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
333	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}phenol
334	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-{3-[(phenylmethyl)oxy]phenyl}-1*H*-pyrazolo[3,4-d]pyrimidine
335	3-(1,3-benzodioxol-5-yl)-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
336	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(2-thienyl)-1*H*-pyrazolo[3,4-d]pyrimidine
337	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}aniline
338	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}benzoic acid
339	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(4-methylphenyl)-1*H*-pyrazolo[3,4-d]pyrimidine
340	*N*-(4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}phenyl)acetamide
341	4-[4-(3-chlorophenyl)-1,4-diazepan-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
342	4-[5-(3-chlorophenyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
343	4-(4-{3-chloro-4-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
344	methyl 1-(3-chlorophenyl)-4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazine-2-carboxylate
345	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-methylbut-2-en-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
346	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(trifluoromethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
347	methyl 4-(3-chlorophenyl)-1-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazine-2-carboxylate
348	4-(4-{3-chloro-4-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
349	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(1-methylethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
350	1-(3-chlorophenyl)-4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazine-2-carboxylic acid
351	1-(3-chlorophenyl)-4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-*N*-methylpiperazine-2-carboxamide

Cmpd No.	*Name*
352	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(phenylmethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
353	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(2-methylpropyl)-1*H*-pyrazolo[3,4-d]pyrimidine
354	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[4-(methyloxy)phenyl]-1*H*-pyrazolo[3,4-d]pyrimidine
355	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(4-fluorophenyl)-1*H*-pyrazolo[3,4-d]pyrimidine
356	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[4-(phenyloxy)phenyl]-1*H*-pyrazolo[3,4-d]pyrimidine
357	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-{4-[(piperidin-4-ylmethyl)oxy]phenyl}-1*H*-pyrazolo[3,4-d]pyrimidine
358	1-(3-chlorophenyl)-*N*-[2-(dimethylamino)ethyl]-4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazine-2-carboxamide
359	4-[4-(5-chloro-2-methyl-3-morpholin-4-ylphenyl)piperazin-1-yl]-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
360	4-(3-chlorophenyl)-1-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-*N*-methylpiperazine-2-carboxamide
361	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[2-(methyloxy)phenyl]-1*H*-pyrazolo[3,4-d]pyrimidine
362	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-pyridin-4-yl-1*H*-pyrazolo[3,4-d]pyrimidine
363	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[3-(methyloxy)phenyl]-1*H*-pyrazolo[3,4-d]pyrimidine
364	4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}benzonitrile
365	[5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]methanol
366	methyl 5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)benzoate
367	(2E)-3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-enoic acid
368	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}propanoic acid
369	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}propan-1-ol
370	methyl (2E)-3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-enoate
371	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-{4-[(2-morpholin-4-ylethyl)oxy]phenyl}-1*H*-pyrazolo[3,4-d]pyrimidine
372	5-chloro-*N*-[2-(dimethylamino)ethyl]-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)benzamide
373	4-(4-{5-chloro-2-(methyloxy)-3-[(4-methylpiperazin-1-yl)carbonyl]phenyl}piperazin-1-yl)-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
374	2-(dimethylamino)ethyl 5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)benzoate

Cmpd No.	Name
375	1-[5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]-*N*,*N*-dimethylmethanamine
376	*N*'-{[5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]methyl}-*N*,*N*-dimethylethane-1,2-diamine
377	[1-(3-chlorophenyl)-4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-2-yl]methanol
378	3-[(4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}phenyl)oxy]-*N*,*N*-dimethylpropan-1-amine
379	2-chloro-4-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-methylphenol
380	1-(3-chlorophenyl)-4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-*N*-(1-methylpiperidin-4-yl)piperazine-2-carboxamide
381	1-(3-chlorophenyl)-4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-*N*-(2-morpholin-4-ylethyl)piperazine-2-carboxamide
382	2-{[5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]oxy}-*N*,*N*-dimethylethanamine
383	3-{5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-*N*,*N*-dimethylprop-2-yn-1-amine
384	*N*'-{5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-*N*,*N*-dimethylethane-1,2-diamine
385	1,1-dimethylethyl (2E)-3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-enoate
386	3-({2-chloro-4-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-methylphenyl}oxy)-*N*,*N*-dimethylpropan-1-amine
387	2-({2-chloro-4-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-methylphenyl}oxy)-*N*,*N*-dimethylethanamine
388	4-{4-[5-chloro-2-methyl-4-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine
389	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(4-methylpiperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
390	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}-*N*,*N*-diethylprop-2-yn-1-amine
391	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-yn-1-ol
392	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(piperidin-4-ylmethyl)-1*H*-pyrazolo[3,4-d]pyrimidine
393	phenylmethyl (3aR,6aS)-5-({4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}methylidene)hexahydrocyclopenta[c]pyrrole-2(1*H*)-carboxylate
394	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[(E)-(3aR,6aS)-hexahydrocyclopenta[c]pyrrol-5(1*H*)-ylidenemethyl]-1*H*-pyrazolo[3,4-d]pyrimidine
395	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-pyrrolidin-1-ylprop-1-yn-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
396	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[3-(4-methylpiperazin-1-yl)prop-1-yn-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
397	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidin-3-yl}-*N*,*N*-diethylpropan-1-amine
398	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-pyrrolidin-1-ylpropyl)-1*H*-pyrazolo[3,4-d]pyrimidine

Cmpd No.	Name
399	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(1,2,3,6-tetrahydropyridin-4-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
400	3-{5-chloro-3-[4-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-*N*,*N*-diethylpropan-1-amine
401	4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidine

Table 2b.

Additional Representative AKT Inhibitors

[00227] The Compounds in Table 2b can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 2b can be used to practice the invention.

Entry	Name
1	[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methanol
2	2-{[[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy}-*N*,*N*-dimethylethanamine
3	3-{[[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy}-*N*,*N*-dimethylpropan-1-amine
4	3-bromo-4-{4-[(4-bromophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
5	{4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-1-[(4-chlorophenyl)methyl]piperazin-2-yl}methanol
6	*N*'-[[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]-*N*,*N*-diethylethane-1,2-diamine
7	3-bromo-4-(4-{[4-(1,1-dimethylethyl)phenyl]methyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
8	4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-1-[(4-chlorophenyl)methyl]piperazin-2-one
9	2-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(4-chlorophenyl)-*N*-[2-(dimethylamino)ethyl]acetamide
10	*N*-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-chlorophenyl)-*N*',*N*'-diethylpropane-1,3-diamine
11	3-bromo-4-(4-{[4-(trifluoromethyl)phenyl]methyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
12	*N*-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-chlorophenyl)-*N*'-[2-(dimethylamino)ethyl]urea
13	*N*-[[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]-*N*'-[2-(dimethylamino)ethyl]urea
14	2-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-2-oxopiperazin-1-yl]-2-(4-chlorophenyl)-*N*-[2-(dimethylamino)ethyl]acetamide
15	2-(dimethylamino)ethyl [1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)carbamate
16	3-bromo-4-{4-[(4-chloro-3-fluorophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
17	3-bromo-4-{4-[(4-chloro-2-fluorophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine

Entry	Name
18	*N*-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-chlorophenyl)-*N'*,*N'*-diethylethane-1,2-diamine
19	3-bromo-4-{4-[(4-chlorophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
20	[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methanone
21	*N*-[[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]-*N'*,*N'*-diethyl-*N*-methylethane-1,2-diamine
22	[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methanol
23	3-bromo-4-(4-{[2-fluoro-4-(trifluoromethyl)phenyl]methyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
24	*N*-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-chlorophenyl)-*N*~3~,*N*~3~-diethyl-beta-alaninamide
25	2-{[[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methyl]oxy}-*N*,*N*-dimethylethanamine
26	*N*-[[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]-*N*~3~,*N*~3~-diethyl-beta-alaninamide
27	3-bromo-4-{4-[(3,4-dichlorophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
28	*N*-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-chlorophenyl)-*N'*-[2-(dimethylamino)ethyl]ethanediamide
29	*N*-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-chlorophenyl)-2-(diethylamino)ethanesulfonamide
30	4-[4-(biphenyl-4-ylmethyl)piperazin-1-yl]-3-bromo-1*H*-pyrazolo[3,4-d]pyrimidine
31	3-bromo-4-{(3S)-4-[(4-chlorophenyl)methyl]-3-methylpiperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
32	3-bromo-4-(4-{[4-(methyloxy)phenyl]methyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
33	4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-*N*-[3-(trifluoromethyl)phenyl]piperazine-1-carboxamide
34	3-bromo-4-{4-[(4-fluorophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
35	*N*-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-chlorophenyl)pent-4-enamide
36	3-bromo-4-[4-(2,3-dihydro-1,4-benzodioxin-6-ylmethyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
37	4-[4-(1,3-benzodioxol-5-ylmethyl)piperazin-1-yl]-3-bromo-1*H*-pyrazolo[3,4-d]pyrimidine
38	[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methanone
39	3-bromo-4-(4-{[4-(phenyloxy)phenyl]methyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
40	3-bromo-4-{4-[(3,4-dichlorophenyl)methyl]piperidin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
41	4-{[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]methyl}-*N*,*N*-dimethylaniline
42	methyl 4-{[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]methyl}benzoate
43	3-bromo-4-{4-[(2E)-3-phenylprop-2-enoyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine

Entry	Name
44	1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-4-[(4-chlorophenyl)methyl]-*N*-[3-(diethylamino)propyl]piperidine-4-carboxamide
45	3-bromo-4-{4-[(2-bromophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
46	3-bromo-4-{4-[(2-chlorophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
47	3-bromo-4-{4-[(2,4-dichlorophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
48	3-bromo-4-{4-[(2-chloro-4-fluorophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
49	1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-4-(4-chlorophenyl)-*N*-[3-(diethylamino)propyl]piperidine-4-carboxamide
50	3-bromo-4-[4-(phenylmethyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
51	2-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-pyridin-2-ylacetamide
52	3-bromo-4-[4-(1*H*-imidazol-2-ylmethyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
53	3-bromo-4-(4-{[3-(phenyloxy)phenyl]methyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
54	3-bromo-4-{4-[(3-methylphenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
55	3-{[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]methyl}benzonitrile
56	3-bromo-4-{4-[(2-chloro-6-fluorophenyl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
57	3-bromo-4-[4-(1-phenylethyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
58	3-bromo-4-[4-(pyridin-4-ylmethyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
59	1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-*N*-(4-chlorophenyl)piperidin-4-amine
60	3-bromo-4-[4-(pyridin-3-ylmethyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
61	3-bromo-4-(4-{[2,3,4-tris(methyloxy)phenyl]methyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
62	3-bromo-4-[4-({3-[(phenylmethyl)oxy]phenyl}methyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
63	3-bromo-4-[4-(naphthalen-1-ylmethyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
64	3-bromo-4-(4-{[5-(4-chlorophenyl)furan-2-yl]methyl}piperazin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
65	3-bromo-4-[4-({4-[(4-fluorophenyl)oxy]-3-nitrophenyl}methyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
66	3-bromo-4-[4-(furan-2-ylcarbonyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
67	3-bromo-4-[4-(1*H*-indol-6-ylcarbonyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
68	3-bromo-4-{4-[2-(2-thienyl)ethyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
69	3-bromo-4-[4-(3-pyrrolidin-1-ylpropyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine

Entry	Name
70	3-bromo-4-[4-(cyclohexylmethyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
71	3-bromo-4-{4-[(10-chloroanthracen-9-yl)methyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
72	3-bromo-4-[4-(1-methylpropyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
73	4-(4-{[4,6-bis(methyloxy)pyrimidin-2-yl]methyl}piperazin-1-yl)-3-bromo-1*H*-pyrazolo[3,4-d]pyrimidine
74	3-bromo-4-{4-[2-(methyloxy)ethyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
75	3-bromo-4-[4-(2-morpholin-4-yl-2-oxoethyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
76	3-bromo-4-{4-[3-(methyloxy)propyl]piperazin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
77	4-{4-[[4,6-bis(methyloxy)pyrimidin-2-yl](phenyl)methyl]piperazin-1-yl}-3-bromo-1*H*-pyrazolo[3,4-d]pyrimidine
78	3-bromo-4-[4-(6,7,8,9-tetrahydro-5*H*-benzocyclohepten-5-yl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
79	3-bromo-4-[4-({4-[(phenylmethyl)oxy]phenyl}methyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
80	3-bromo-4-[4-({3-chloro-4-[(phenylmethyl)oxy]phenyl}methyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
81	4-{[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]methyl}-*N*-(3-morpholin-4-ylpropyl)benzamide
82	4-{[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]methyl}-*N*-[3-(methyloxy)propyl]benzamide
83	2-[({4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)-1-[(4-chlorophenyl)methyl]piperazin-2-yl}methyl)oxy]-*N*,*N*-dimethylethanamine
84	3-bromo-4-[4-({4-[(4-chlorophenyl)oxy]-3-nitrophenyl}methyl)piperazin-1-yl]-1*H*-pyrazolo[3,4-d]pyrimidine
85	2-[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,*N*-dimethylacetamide
86	2-{[(R)-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy}-*N*,*N*-dimethylethanamine
87	*N*-(4-bromo-3-fluorophenyl)-*N*-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N'*-[2-(dimethylamino)ethyl]urea
88	2-({(R)-(4-chlorophenyl)[1-(3-ethyl-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]methyl}oxy)-*N*,*N*-dimethylethanamine
89	2-{[(S)-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy}-*N*,*N*-dimethylethanamine
90	3-bromo-4-(4-{(R)-(4-chlorophenyl)[(2-pyrrolidin-1-ylethyl)oxy]methyl}piperidin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
91	1-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-1-(4-chlorophenyl)-4-(dimethylamino)butan-1-ol
92	2-{[(R)-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chloro-3-fluorophenyl)methyl]oxy}-*N*,*N*-dimethylethanamine
93	3-bromo-4-(4-{(R)-(4-chlorophenyl)[(2-piperidin-1-ylethyl)oxy]methyl}piperidin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
94	4-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-4-(4-chlorophenyl)-*N*,*N*-dimethylbutan-1-amine
95	3-bromo-4-(4-{(R)-(4-chlorophenyl)[(2-morpholin-4-ylethyl)oxy]methyl}piperidin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine

Entry	Name
96	1-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-1-(4-fluorophenyl)-*N*-(furan-2-ylmethyl)-*N*-methylmethanamine
97	1-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-1-(4-fluorophenyl)-*N*-methyl-*N*-(pyridin-2-ylmethyl)methanamine
98	4-{[{[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methyl}(methyl)amino]methyl}-*N*,*N*-dimethylaniline
99	[4-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl](1*H*-indol-6-yl)methanol
100	3-bromo-4-(4-{(R)-(4-chloro-3-fluorophenyl)[(2-pyrrolidin-1-ylethyl)oxy]methyl}piperidin-1-yl)-1*H*-pyrazolo[3,4-d]pyrimidine
101	3-bromo-4-{4-[(4-chlorophenyl)oxy]piperidin-1-yl}-1*H*-pyrazolo[3,4-d]pyrimidine
102	2-{[(R)-[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy}-*N*,*N*-diethylethanamine
103	2-{[1-(3-bromo-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]oxy}-5-chloro-*N*-(2-pyrrolidin-1-ylethyl)aniline

Table 3a.

Representative c-MET and/or Flt-3 Inhibitors

[00228] The Compounds in Table 3a can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 3a can be used to practice the invention.

Cmpd No.	Name
1	*N*-(4-fluorophenyl)-*N'*-[3-fluoro-4-(7*H*-pyrrolo[2,3-d]pyrimidin-4-yloxy)phenyl]propanediamide
2	*N*-(4-fluorophenyl)-*N'*-[3-fluoro-4-(7*H*-pyrrolo[2,3-d]pyrimidin-4-yloxy)phenyl]cyclopropane-1,1-dicarboxamide
3	*N*-({[3-fluoro-4-(7*H*-pyrrolo[2,3-d]pyrimidin-4-yloxy)phenyl]amino}carbonothioyl)-2-phenylacetamide
4	*N*-(4-fluorophenyl)-*N'*-(4-{[1-(tetrahydro-2*H*-pyran-2-yl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]oxy}phenyl)cyclopropane-1,1-dicarboxamide
5	2-phenyl-*N*-{[(4-{[1-(tetrahydro-2*H*-pyran-2-yl)-1*H*-pyrazolo[3,4-d]pyrimidin-4-yl]oxy}phenyl)amino]carbonothioyl}acetamide
6	*N*-(4-fluorophenyl)-*N'*-[4-(1*H*-pyrazolo[3,4-d]pyrimidin-4-yloxy)phenyl]cyclopropane-1,1-dicarboxamide
7	2-phenyl-*N*-({[4-(1*H*-pyrazolo[3,4-d]pyrimidin-4-yloxy)phenyl]amino}carbonothioyl)acetamide
8	*N*-(4-fluorophenyl)-*N'*-(4-{[9-(tetrahydro-2*H*-pyran-2-yl)-9*H*-purin-6-yl]oxy}phenyl)cyclopropane-1,1-dicarboxamide
9	2-phenyl-*N*-{[(4-{[9-(tetrahydro-2*H*-pyran-2-yl)-9*H*-purin-6-yl]oxy}phenyl)amino]carbonothioyl}acetamide
10	*N*-(4-fluorophenyl)-*N'*-[4-(9*H*-purin-6-yloxy)phenyl]cyclopropane-1,1-dicarboxamide

Cmpd No.	Name
11	2-phenyl-*N*-({[4-(9*H*-purin-6-yloxy)phenyl]amino}carbonothioyl)acetamide
12	*N*-{3-fluoro-4-[(6-{[(2-morpholin-4-ylethyl)amino]carbonyl}-7*H*-pyrrolo[2,3-d]pyrimidin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide

Table 3b.

Additional Representative c-MET, c-KIT, and/or Flt-3 Inhibitors

[00229] The Compounds in Table 3b can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 3b can be used to practice the invention.

Entry	Name
1	*N*-[({3-fluoro-4-[(6-(methyloxy)-7-{[(3aR,6aS)-octahydrocyclopenta[c]pyrrol-5-ylmethyl]oxy}quinazolin-4-yl)oxy]phenyl}amino)carbonothioyl]-2-phenylacetamide
2	*N*-{[(3-fluoro-4-{[7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-yl]oxy}phenyl)amino]carbonothioyl}-2-phenylacetamide
3	*N*-{[(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)(methyl)amino]carbonothioyl}-2-phenylacetamide
4	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)imidazolidin-2-one
5	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-3-(phenylmethyl)imidazolidin-2-one
6	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-3-(phenylacetyl)imidazolidin-2-one
7	ethyl [(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)amino](oxo)acetate
8	*N*-{[(4-{[6,7-bis(methyloxy)quinazolin-4-yl]amino}-3-fluorophenyl)amino]carbonothioyl}-2-phenylacetamide
9	*N'*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*-methyl-*N*-(2-phenylethyl)sulfamide
10	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-3-(phenylmethyl)-1,2,4-oxadiazol-5-amine
11	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)piperidin-2-one
12	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(phenylmethyl)ethanediamide
13	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-4-phenyl-1,3-thiazol-2-amine
14	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(2-phenylethyl)ethanediamide
15	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-1-

Entry	Name
	phenylmethanesulfonamide
16	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-2-phenylethanesulfonamide
17	4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluoro-*N*-(phenylmethyl)benzenesulfonamide
18	4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluoro-*N*-methyl-*N*-(phenylmethyl)benzenesulfonamide
19	4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluoro-*N*-(2-phenylethyl)benzenesulfonamide
20	4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluoro-*N*-methyl-*N*-(2-phenylethyl)benzenesulfonamide
21	4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluoro-*N*-(3-phenylpropyl)benzenesulfonamide
22	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)pyrrolidin-2-one
23	4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl (phenylmethyl)carbamate
24	4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl (2-phenylethyl)carbamate
25	4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluoro-*N*-methyl-*N*-(3-phenylpropyl)benzenesulfonamide
26	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-phenylethanediamide
27	*N*-{[(3-fluoro-4-{[7-{[(2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}phenyl)amino]carbonothioyl}-2-phenylacetamide
28	*N*-[(Z)-[(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)amino](imino)methyl]-2-phenylacetamide
29	4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluoro-*N*-[2-(phenyloxy)ethyl]benzenesulfonamide
30	*N*,*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-bis-(3-phenylpropane-1-sulfonamide)
31	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-3-phenylpropane-1-sulfonamide
32	*N*2-[(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)sulfonyl]-*N*1-phenylglycinamide
33	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-2-phenylacetamide
34	*N*-{[(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)amino]carbonothioyl}-2-phenylacetamide
35	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-1,3-benzothiazol-2-amine
36	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-amine
37	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-2-phenylacetamide
38	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(2-morpholin-4-ylethyl)ethanediamide
39	benzyl-{[4-(6,7-dimethoxy-quinolin-4-yloxy)-3-fluoro-phenylcarbamoyl]-methyl}-carbamic acid tert-butyl ester
40	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-

Entry	Name
	(phenylmethyl)glycinamide
41	*N*2-acetyl-*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-(phenylmethyl)glycinamide
42	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-1,3-benzothiazol-2-yl)-2-phenylacetamide
43	benzyl-{[6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-ylcarbamoyl]-methyl}-carbamic acid tert-butyl ester
44	*N*1-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-*N*2-(phenylmethyl)glycinamide
45	*N*2-acetyl-*N*1-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-*N*2-(phenylmethyl)glycinamide
46	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-3-phenylpropanamide
47	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-4-phenylbutanamide
48	*N*1-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-*N*2-methyl-*N*2-(phenylmethyl)glycinamide
49	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*'-{2-[4-(methyloxy)phenyl]ethyl}ethanediamide
50	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-methyl-*N*2-(phenylmethyl)glycinamide
51	4-[(2-amino-1,3-benzothiazol-6-yl)oxy]-6,7-bis(methyloxy)-1-(2-oxo-2-phenylethyl)quinolinium
52	*N*-{[(4-{[6,7-bis(methyloxy)quinolin-4-yl]amino}phenyl)amino]carbonothioyl}-2-phenylacetamide
53	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-3-phenylpropanamide
54	*N*-{[(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)amino]carbonothioyl}-2-phenylacetamide
55	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*'-(2,3-dihydro-1*H*-inden-1-yl)ethanediamide
56	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*'-(2,3-dihydro-1*H*-inden-2-yl)ethanediamide
57	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*'-(1,2,3,4-tetrahydronaphthalen-1-yl)ethanediamide
58	*N*'-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*-(2-phenylethyl)-*N*-(phenylmethyl)sulfamide
59	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-(trifluoroacetyl)glycinamide
60	*N*-{[4-(6,7-dimethoxy-quinolin-4-yloxy)-3-fluoro-phenylcarbamoyl]-methyl}-benzamide
61	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-*N*'-(4-fluorophenyl)propanediamide
62	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*'-[(2S)-1,2,3,4-tetrahydronaphthalen-2-yl]ethanediamide
63	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*'-[2-(4-methylphenyl)ethyl]ethanediamide

Entry	Name
64	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(2-phenylpropyl)ethanediamide
65	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-[2-(4-chlorophenyl)ethyl]ethanediamide
66	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*,*N'*-bis(phenylmethyl)sulfamide
67	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*,*N'*-bis(2-phenylethyl)sulfamide
68	ethyl [(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)amino](oxo)acetate
69	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-(2-phenylethyl)ethanediamide
70	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-(4-fluorophenyl)propanediamide
71	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(1,2,3,4-tetrahydronaphthalen-2-yl)ethanediamide
72	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-[2-(1-methylpyrrolidin-2-yl)ethyl]ethanediamide
73	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-[2-(phenyloxy)ethyl]ethanediamide
74	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-[2-hydroxy-1-(phenylmethyl)ethyl]urea
75	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-3-[(4-methylphenyl)sulfonyl]-4-(phenylmethyl)imidazolidin-2-one
76	*N'*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*-methyl-*N*-(2-phenylethyl)ethanediamide
77	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-{[3-(trifluoromethyl)phenyl]methyl}ethanediamide
78	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-{2-[3-(trifluoromethyl)phenyl]ethyl}ethanediamide
79	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-3-oxo-4-phenylbutanamide
80	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-2-[3-(trifluoromethyl)phenyl]acetamide
81	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-*N*-[2-(phenyloxy)ethyl]-1,3-benzothiazol-2-amine
82	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-*N*-(2-piperidin-1-ylethyl)-1,3-benzothiazol-2-amine
83	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-*N*-methyl-*N*-(2-phenylethyl)-1,3-benzothiazol-2-amine
84	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-*N*-(2-pyrrolidin-1-ylethyl)-1,3-benzothiazol-2-amine
85	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-*N*-{[3-(trifluoromethyl)phenyl]methyl}-1,3-benzothiazol-2-amine
86	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-*N*-{2-[3-(trifluoromethyl)phenyl]ethyl}-1,3-benzothiazol-2-amine
87	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-[3-(trifluoromethyl)phenyl]propanediamide

Entry	Name
88	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-2-[3-(trifluoromethyl)phenyl]acetamide
89	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-{[3-(trifluoromethyl)phenyl]methyl}glycinamide
90	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-(2-phenylethyl)glycinamide
91	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-{2-[3-(trifluoromethyl)phenyl]ethyl}glycinamide
92	benzyl-{[5-chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-ylcarbamoyl]-methyl}-carbamic acid tert-butyl ester
93	*N*1-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N*2-(phenylmethyl)glycinamide
94	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-2-[3,5-bis(trifluoromethyl)phenyl]acetamide
95	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-2-[2-chloro-5-(trifluoromethyl)phenyl]acetamide
96	*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[(1-methylpiperidin-4-yl)methyl]oxy}quinolin-4-yl)oxy]phenyl}-*N'*-(2-phenylethyl)ethanediamide
97	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(1,2,3,4-tetrahydroisoquinolin-1-ylmethyl)ethanediamide
98	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-[(2-methyl-1,2,3,4-tetrahydroisoquinolin-1-yl)methyl]ethanediamide
99	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-methyl-*N*2-{[3-(trifluoromethyl)phenyl]methyl}glycinamide
100	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-methyl-*N*2-{2-[3-(trifluoromethyl)phenyl]ethyl}glycinamide
101	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N*2-methyl-*N*2-(2-phenylethyl)glycinamide
102	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-4-(phenylmethyl)imidazolidin-2-one
103	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridazin-3-yl)-*N'*-(4-fluorophenyl)propanediamide
104	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-(2-chlorophenyl)propanediamide
105	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-(3-chlorophenyl)propanediamide
106	*N*1-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N*2-methyl-*N*2-(phenylmethyl)glycinamide
107	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-(4-chlorophenyl)propanediamide
108	(2E)-*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-2-[(methyloxy)imino]propanamide
109	(2E)-*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-2-[(ethyloxy)imino]propanamide
110	(2E)-*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-2-{[(phenylmethyl)oxy]imino}propanamide
111	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-1-

Entry	Name
	(phenylmethyl)prolinamide
112	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-3-[(4-methylphenyl)sulfonyl]-4-(phenylmethyl)imidazolidin-2-one
113	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-4-(phenylmethyl)imidazolidin-2-one
114	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-4-(phenylmethyl)-4,5-dihydro-1,3-oxazol-2-amine
115	6,7-bis(methyloxy)-4-({4-[4-(phenylmethyl)piperazin-1-yl]phenyl}oxy)quinoline
116	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-4-(phenylmethyl)piperazin-2-one
117	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N*2-(phenylmethyl)alaninamide
118	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N*2-methyl-*N*2-(phenylmethyl)alaninamide
119	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N*2-(phenylmethyl)leucinamide
120	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N*2-methyl-*N*2-(phenylmethyl)leucinamide
121	*N*1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N*2-(phenylmethyl)valinamide
122	4-(6,7-dimethoxy-quinolin-4-ylamino)-*N*-(3-phenyl-propyl)-benzamide
123	4-benzyl-1-[4-(6,7-dimethoxy-quinolin-4-yloxy)-phenyl]-tetrahydro-pyrimidin-2-one
124	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-phenethyl-oxalamide
125	2-(Benzyl-methyl-amino)-*N*-[4-(6,7-dimethoxy-quinolin-4-yloxy)-phenyl]-3-methyl-butyramide (note: Alphabetic order of prefixes ignored while selecting parent chain)
126	*N*-[4-(6,7-Dimethoxy-quinolin-4-yloxy)-phenyl]-2-phenoxyimino-propionamide
127	2-Benzyloxyimino-*N*-[4-(6,7-dimethoxy-quinolin-4-yloxy)-phenyl]-2-phenyl-acetamide
128	4-[4-(4-Benzyl-piperidin-1-yl)-phenoxy]-6,7-dimethoxy-quinoline
129	*N*-[4-(6,7-Dimethoxy-quinolin-4-yloxy)-3-fluoro-phenyl]-*N'*-(2-isopropyl-1,2,3,4-tetrahydro-isoquinolin-1-ylmethyl)-oxalamide
130	*N*-[4-(6,7-Dimethoxy-quinolin-4-yloxy)-3-fluoro-phenyl]-*N'*-(2-ethyl-1,2,3,4-tetrahydro-isoquinolin-1-ylmethyl)-oxalamide
131	4-(4-{3-Chloro-5-[2-(4-fluoro-phenylcarbamoyl)-acetylamino]-pyridin-2-yloxy}-6-methoxy-quinolin-7-yloxymethyl)-piperidine-1-carboxylic acid tert-butyl ester
132	*N*-{5-Chloro-6-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-pyridin-3-yl}-*N'*-(4-fluoro-phenyl)-malonamide
133	*N*-{5-Chloro-6-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-pyridin-3-yl}-*N'*-(4-fluoro-phenyl)-malonamide
134	*N*-{4-[7-(3-Diethylamino-propoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl}-*N'*-phenethyl-oxalamide

Entry	Name
135	*N*-{3-Fluoro-4-[6-methoxy-7-(3-morpholin-4-yl-propoxy)-quinolin-4-yloxy]-phenyl}-*N'*-phenethyl-oxalamide
136	*N*-{3-Fluoro-4-[6-methoxy-7-(3-piperidin-1-yl-propoxy)-quinolin-4-yloxy]-phenyl}-*N'*-phenethyl-oxalamide
137	*N*-{4-[7-(2-Diethylamino-ethoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl}-*N'*-phenethyl-oxalamide
138	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-methyl-*N'*-phenethyl-oxalamide
139	*N*-{3-Fluoro-4-[6-methoxy-7-(2-methyl-octahydro-cyclopenta[c]pyrrol-5-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-phenethyl-oxalamide
140	*N*-{3-Fluoro-4-[6-methoxy-7-(2-methyl-octahydro-cyclopenta[c]pyrrol-5-ylmethoxy)-quinazolin-4-yloxy]-phenyl}-*N'*-phenethyl-oxalamide
141	2-(3,4-Dihydro-1*H*-isoquinolin-2-yl)-*N*-{3-fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-acetamide
142	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-2-(3-phenyl-pyrrolidin-1-yl)-acetamide
143	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-2-(2-phenyl-morpholin-4-yl)-acetamide
144	*N*-(2-Dimethylamino-2-phenyl-ethyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
145	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-oxo-2-phenyl-ethyl)-oxalamide
146	*N*-[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]-2,2-difluoro-*N'*-(4-fluoro-phenyl)-malonamide
147	*N*-Benzyl-*N'*-{3-fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
148	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(2-fluoro-phenyl)-ethyl]-oxalamide
149	*N*-[2-(3-Chloro-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
150	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(2-methoxy-phenyl)-ethyl]-oxalamide
151	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-pyridin-3-yl-ethyl)-oxalamide
152	*N*-Benzyl-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
153	*N*-[2-(2,5-Dimethoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
154	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(2-trifluoromethyl-phenyl)-ethyl]-oxalamide
155	*N*-[2-(2-Ethoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
156	*N*-[2-(2,4-Dimethyl-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
157	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1*S*-phenyl-2-p-tolyl-ethyl)-oxalamide
158	*N*-[2-(4-Chloro-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-

Entry	Name
	ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
159	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamic acid
160	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(3-fluoro-phenyl)-ethyl]-oxalamide
161	*N*-[2-(2-Chloro-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
162	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(3-methoxy-phenyl)-ethyl]-oxalamide
163	*N*-(1,2-Diphenyl-ethyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
164	*N*-[2-(2,4-Dichloro-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
165	*N*-[2-(3,4-Dimethoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
166	*N*-[2-(4-Ethyl-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
167	*N*-[2-(4-Ethoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
168	*N*-[2-(4-Ethoxy-3-methoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
169	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(4-phenoxy-phenyl)-ethyl]-oxalamide
170	*N*-[2-(3-Ethoxy-4-methoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
171	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-pyridin-2-yl-ethyl)-oxalamide
172	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-pyridin-4-yl-ethyl)-oxalamide
173	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(4-fluoro-phenyl)-ethyl]-oxalamide
174	*N*-[2-(2-Bromo-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
175	*N*-[2-(2-Chloro-6-fluoro-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
176	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2*R*-phenyl-propyl)-oxalamide
177	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-indan-1-yl-oxalamide
178	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-isobutyl-oxalamide
179	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(3-methyl-butyl)-oxalamide
180	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2*R*-phenyl-propyl)-oxalamide
181	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-phenyl-propyl)-oxalamide
182	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-

Entry	Name
	4-yloxy]-phenyl}-*N'*-indan-2-yl-oxalamide
183	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1*R*-phenyl-ethyl)-oxalamide
184	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1*S*-phenyl-ethyl)-oxalamide
185	*N*-[2-(3-Bromo-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
186	*N*-[2-(2,6-Dichloro-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
187	*N*-[2-(2,4-Dichloro-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
188	*N*-(2-Benzo[1,3]dioxol-5-yl-ethyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
189	*N*-[2-(3-Bromo-4-methoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
190	*N*-[2-(3,5-Dimethoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
191	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-o-tolyl-ethyl)-oxalamide
192	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-m-tolyl-ethyl)-oxalamide
193	*N*-[2-(3-Ethoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
194	*N*-[2-(3,4-Dimethyl-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
195	*N*-[2-(2,5-Dimethyl-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
196	*N*-[2-(3-Chloro-4-propoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
197	*N*-[2-(4-Butoxy-3-chloro-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
198	*N*-[2-(4-tert-Butyl-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
199	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(4-sulfamoyl-phenyl)-ethyl]-oxalamide
200	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(4-hydroxy-3-methoxy-phenyl)-ethyl]-oxalamide
201	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(3-hydroxy-4-methoxy-phenyl)-ethyl]-oxalamide
202	*N*-(2,4-Dichloro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
203	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(4-fluoro-2-trifluoromethyl-benzyl)-oxalamide
204	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1-p-tolyl-ethyl)-oxalamide
205	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(3-fluoro-4-trifluoromethyl-benzyl)-oxalamide
206	*N*-(3-Chloro-4-fluoro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-

Entry	Name
	ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
207	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[1-(3-methoxy-phenyl)-ethyl]-oxalamide
208	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1-naphthalen-2-yl-ethyl)-oxalamide
209	*N*-(4-Chloro-3-trifluoromethyl-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
210	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1-p-tolyl-ethyl)-oxalamide
211	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(6-trifluoromethyl-pyridin-3-ylmethyl)-oxalamide
212	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-methyl-benzyl)-oxalamide
213	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(3-methyl-benzyl)-oxalamide
214	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(4-fluoro-3-trifluoromethyl-benzyl)-oxalamide
215	*N*-(3,5-Dichloro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
216	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1R,2,3,4-tetrahydro-naphthalen-1-yl)-oxalamide
217	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1S,2,3,4-tetrahydro-naphthalen-1-yl)-oxalamide
218	*N*-Cyclopentyl-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
219	*N*-[1-(4-Bromo-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
220	*N*-(2-Fluoro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
221	*N*-[2-(3,4-Dichloro-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
222	*N*-(4-Fluoro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
223	*N*-(2,3-Difluoro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
224	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-phenoxy-ethyl)-oxalamide
225	*N*-(2,2-Diphenyl-ethyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
226	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(4-methoxy-phenyl)-ethyl]-oxalamide
227	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-phenyl-propyl)-oxalamide
228	*N*-[2-(4-Bromo-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
229	*N*-{4-[7-(1-Ethyl-piperidin-4-ylmethoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl}-2-oxo-2-(2-phenyl-morpholin-4-yl)-acetamide
230	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-

Entry	Name
	phenyl}-*N'*-(3-fluoro-5-trifluoromethyl-benzyl)-oxalamide
231	*N*-(3,5-Difluoro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
232	*N*-(2-Chloro-5-trifluoromethyl-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
233	*N*-[4-(6,7-Dimethoxy-quinolin-4-yloxy)-3-fluoro-phenyl]-*N'*-(2-dimethylamino-2-phenyl-ethyl)-oxalamide
234	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(4-methoxy-benzyl)-oxalamide
235	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(4-trifluoromethyl-benzyl)-oxalamide
236	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(3-methoxy-benzyl)-oxalamide
237	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(3-trifluoromethyl-benzyl)-oxalamide
238	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(3-trifluoromethoxy-benzyl)-oxalamide
239	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-methoxy-benzyl)-oxalamide
240	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-trifluoromethyl-benzyl)-oxalamide
241	*N*-(3-Chloro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
242	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-trifluoromethoxy-benzyl)-oxalamide
243	*N*-(2-Chloro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
244	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(4-trifluoromethoxy-benzyl)-oxalamide
245	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(4-methoxy-benzyl)-oxalamide
246	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(4-trifluoromethyl-benzyl)-oxalamide
247	*N*-{4-[7-(Azetidin-3-ylmethoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl}-*N'*-phenethyl-oxalamide
248	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-azetidin-3-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-phenethyl-oxalamide
249	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-hydroxy-2-phenyl-ethyl)-oxalamide
250	*N*-[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]-*N'*-(2,4-difluoro-phenyl)-malonamide
251	*N*-[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]-*N'*-(4-fluoro-phenyl)-*N'*-methyl-malonamide
252	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1R-phenyl-propyl)-oxalamide
253	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(1R-phenyl-propyl)-oxalamide
254	*N*-(3,4-Difluoro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-

Entry	Name
	ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
255	*N*-(2,6-Difluoro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
256	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[2-(4-fluoro-phenyl)-ethyl]-oxalamide
257	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-phenyl-oxalamide
258	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(3-fluoro-phenyl)-oxalamide
259	*N*-(4-Chloro-3-fluoro-phenyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
260	*N*-(3,4-Dimethoxy-phenyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
261	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(3-methyl-butyl)-oxalamide
262	*N*-(3,3-Dimethyl-butyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
263	*N*-{5-Chloro-6-[6-methoxy-7-(3-piperidin-1-yl-propoxy)-quinolin-4-yloxy]-pyridin-3-yl}-*N'*-(4-fluoro-phenyl)-malonamide
264	*N*-{5-Chloro-6-[6-methoxy-7-(3-morpholin-4-yl-propoxy)-quinolin-4-yloxy]-pyridin-3-yl}-*N'*-(4-fluoro-phenyl)-malonamide
265	*N*-{5-Chloro-6-[7-(3-diethylamino-propoxy)-6-methoxy-quinolin-4-yloxy]-pyridin-3-yl}-*N'*-(4-fluoro-phenyl)-malonamide
266	*N*-(4-Chloro-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
267	*N*-(3,5-Dimethoxy-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
268	*N*-(4-Butyl-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
269	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-p-tolyl-ethyl)-oxalamide
270	*N*-(3,5-Bis-trifluoromethyl-benzyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
271	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-pyrazin-2-ylmethyl-oxalamide
272	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-pyridin-2-ylmethyl-oxalamide
273	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinazolin-4-yloxy]-phenyl}-*N'*-phenethyl-oxalamide
274	*N*-{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinazolin-4-yloxy]-phenyl}-*N'*-phenethyl-oxalamide
275	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-fluoro-3-trifluoromethyl-benzyl)-oxalamide
276	*N*-[2-(2-Bromo-6-methoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
277	*N*-[2-(3,4-Dimethoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N*-methyl-oxalamide
278	*N*-[2-(5-Bromo-2-methoxy-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-

Entry	Name
	(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
279	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-fluoro-5-trifluoromethyl-benzyl)-oxalamide
280	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-[1-(4-fluoro-phenyl)-ethyl]-oxalamide
281	*N*-(1S-Benzyl-2-oxo-2-pyrrolidin-1-yl-ethyl)-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
282	*N*-{3-Fluoro-4-[6-methoxy-7-(octahydro-cyclopenta[c]pyrrol-5-ylmethoxy)-quinazolin-4-yloxy]-phenyl}-*N'*-phenethyl-oxalamide
283	*N*-[2-(4-Amino-phenyl)-ethyl]-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
284	2-(4-Benzyl-piperidin-1-yl)-*N*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-acetamide
285	*N*-[4-(6,7-Dimethoxy-quinolin-4-yloxy)-phenyl]-*N'*-(4-fluoro-phenyl)-malonamide
286	*N*-[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]-*N'*-(3-fluoro-phenyl)-malonamide
287	*N*-[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]-*N'*-phenyl-malonamide
288	*N*-[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]-*N'*-(4-fluoro-phenyl)-2,2-dimethyl-malonamide
289	*N*-Ethyl-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
290	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-isopropyl-oxalamide
291	*N*-Butyl-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
292	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-methoxy-ethyl)-oxalamide
293	*N*-Cyclopropylmethyl-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
294	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N'*-(2-morpholin-4-yl-ethyl)-oxalamide
295	*N*-{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-2-pyrrolidin-1-yl-acetamide
296	*N*-Ethyl-*N'*-{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-*N*-methyl-oxalamide

Table 3c.

Additional Representative c-MET, c-KIT, and/or Flt-3 Inhibitors

[00230] The Compounds in Table 3c can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 3c can be used to practice the invention.

Entry	Name
1	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
2	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
3	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-(phenylmethyl)cyclopropane-1,1-dicarboxamide
4	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-phenylcyclopropane-1,1-dicarboxamide
5	*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
6	*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-piperidin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
7	*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-piperidin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
8	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-*N'*-(2-phenylethyl)cyclopropane-1,1-dicarboxamide
9	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2-methylpyridin-3-yl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
10	*N*-{4-[(7-chloroquinolin-4-yl)oxy]-3-fluorophenyl}-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
11	*N*-{4-[(7-chloroquinolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
12	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
13	*N*-(4-{[6,7-bis(methyloxy)quinazolin-4-yl]oxy}phenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
14	*N*-(4-{[6,7-bis(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
15	*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
16	*N*-{5-chloro-6-[(6-(methyloxy)-7-{[(1-methylpiperidin-4-yl)methyl]oxy}quinolin-4-yl)oxy]pyridin-3-yl}-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
17	*N*-[5-chloro-6-({6-(methyloxy)-7-[(piperidin-4-ylmethyl)oxy]quinolin-4-yl}oxy)pyridin-3-yl]-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
18	*N*-[5-chloro-6-({6-(methyloxy)-7-[(phenylmethyl)oxy]quinolin-4-yl}oxy)pyridin-3-yl]-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
19	*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
20	*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
21	*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[(1-methylpiperidin-4-yl)methyl]oxy}quinazolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
22	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2-methylphenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
23	*N*-(4-fluorophenyl)-*N'*-[2-methyl-6-({6-(methyloxy)-7-[(3-morpholin-4-

Entry	Name
	ylpropyl)oxy]quinolin-4-yl}oxy)pyridin-3-yl]cyclopropane-1,1-dicarboxamide
24	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
25	*N*-(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloro-2-methylpyridin-3-yl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
26	*N*-[3-fluoro-4-({7-(methyloxy)-6-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
27	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3,5-difluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
28	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2,5-difluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
29	*N*-[3-fluoro-4-({7-(methyloxy)-6-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
30	*N*-{3-fluoro-4-[(6-(methyloxy)-7-(2-methyl octahydrocyclo-penta[c]pyrrol-5-ylmethoxy)quinazolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
31	*N*-{3-fluoro-4-[(7-(methyloxy)-6-{[(1-methylpiperidin-4-yl)methyl]oxy}quinazolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
32	*N*-[5-fluoro-2-methyl-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
33	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2,3,5-trifluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
34	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-2-methylphenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
35	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2-chloro-5-methylphenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
36	*N*-(3-fluoro-4-{[6-hydroxy-7-(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
37	*N*-(4-fluorophenyl)-*N'*-[2-methyl-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]cyclopropane-1,1-dicarboxamide
38	*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-piperazin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
39	*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[3-(4-methylpiperazin-1-yl)propyl]oxy}quinolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
40	*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[(1-methylpiperidin-4-yl)methyl]oxy}quinolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
41	*N*-(4-fluorophenyl)-*N'*-[4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]cyclopropane-1,1-dicarboxamide
42	*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
43	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2-chloro-5-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
44	*N*-(4-{[6,7-bis(methyloxy)-2-(methylthio)quinolin-4-yl]oxy}-3-fluorophenyl)-

Entry	Name
	N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
45	*N*-(4-fluorophenyl)-*N'*-(4-{[2-methyl-6,7-bis(methyloxy)quinazolin-4-yl]oxy}phenyl)cyclopropane-1,1-dicarboxamide
46	*N*-(4-{[2-amino-6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
47	*N*-(3-fluoro-4-{[2-(methylamino)-6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
48	(1S,2R)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
49	(1R,2R)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
50	*N*-(4-{[6-{[3-(diethylamino)propyl]oxy}-7-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
51	*N*-(4-{[6-{[2-(diethylamino)ethyl]oxy}-7-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
52	1,1-dimethylethyl 4-(3-{[4-[(2-fluoro-4-{[(1-{[(4-fluorophenyl)amino]carbonyl}cyclopropyl)carbonyl]amino}phenyl)oxy]-6-(methyloxy)quinolin-7-yl]oxy}propyl)piperazine-1-carboxylate
53	(1R,2R)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
54	(1R,2R)-*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
55	*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
56	*N*-(4-{[7-{[3-(4-acetylpiperazin-1-yl)propyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
57	1,1-dimethylethyl 4-(3-{[4-[(2-fluoro-4-{[((1R,2R)-1-{[(4-fluorophenyl)amino]carbonyl}-2-methylcyclopropyl)carbonyl]amino}phenyl)oxy]-6-(methyloxy)quinolin-7-yl]oxy}propyl)piperazine-1-carboxylate
58	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-(4-fluorophenyl)-1-(phenylmethyl)azetidine-3,3-dicarboxamide
59	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-(4-fluorophenyl)azetidine-3,3-dicarboxamide
60	(1R,2S)-*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[3-(4-methylpiperazin-1-yl)propyl]oxy}quinolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
61	(1R,2R)-*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[3-(4-methylpiperazin-1-yl)propyl]oxy}quinolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
62	(1R,2R)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-piperazin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide

Entry	Name
63	*N*-(3-fluoro-4-{[7-({3-[4-(1-methylethyl)piperazin-1-yl]propyl}oxy)-6-(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
64	*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
65	(1R,2R)-*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
66	(1R,2R)-*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
67	(1R,2S)-*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
68	(1R,2S)-*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
69	*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
70	(1R,2S)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-piperazin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
71	(1R,2R,3S)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
72	(1R,2R,3S)-*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[3-(4-methylpiperazin-1-yl)propyl]oxy}quinolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
73	(1R,2R,3S)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
74	(1R,2R,3S)-*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[3-(4-methylpiperazin-1-yl)propyl]oxy}quinazolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
75	*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
76	(2R,3R)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
77	(2R,3R)-*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
78	*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
79	*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-

Entry	Name
	dicarboxamide
80	(1R,2R,3S)-*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
81	*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
82	(1R,2R,3S)-*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
83	*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
84	*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
85	*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
86	*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
87	*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[3-(4-methylpiperazin-1-yl)propyl]oxy}quinazolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
88	*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-piperazin-1-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
89	(2R,3R)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
90	*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
91	*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[3-(4-methylpiperazin-1-yl)propyl]oxy}quinolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
92	(1R,2R)-*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
93	(1R,2R)-*N*-{3-fluoro-4-[(6-(methyloxy)-7-{[3-(4-methylpiperazin-1-yl)propyl]oxy}quinazolin-4-yl)oxy]phenyl}-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
94	(2R,3R)-*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
95	(2R,3R)-*N*-(4-{[7-{[3-(diethylamino)propyl]oxy}-6-(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide

Entry	Name
96	(1R,2R)-*N*-[3-fluoro-4-({6-(methyloxy)-7-[(3-piperazin-1-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-*N'*-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
97	(2R,3R)-*N*-(4-{[7-{[2-(diethylamino)ethyl]oxy}-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-*N'*-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
98	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-[(4-fluorophenyl)methyl]cyclopropane-1,1-dicarboxamide
99	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-(2-morpholin-4-ylethyl)cyclopropane-1,1-dicarboxamide
100	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-[2-(piperidin-1-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
101	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-[2-(pyrrolidin-1-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
102	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-[3-(morpholin-4-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
103	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-[2-(morpholin-4-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
104	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-phenylcyclopropane-1,1-dicarboxamide
105	*N*-[3-(aminomethyl)phenyl]-*N'*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)cyclopropane-1,1-dicarboxamide
106	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-[3-(piperidin-1-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
107	*N*-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-*N'*-[3-(pyrrolidin-1-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide

Table 4.

Representative EGFR, ErbB2, and/or VEGFR Inhibitors

[00231] The Compounds in Table 4 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 4 can be used to practice the invention. In particular, the invention can be practiced with one or two pharmaceutically acceptable salts of a Compound of Table 4 which salt(s) are formed with one or two acids independently selected from hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, acetic acid, trifluoroacetic acid, propionic acid, hexanoic acid, cyclopentanepropionic acid, glycolic acid, pyruvic acid, lactic acid, oxalic acid, maleic acid, malonic acid, succinic acid, fumaric acid, tartaric acid, citric acid, benzoic acid, cinnamic acid, 3-(4-hydroxybenzoyl)benzoic acid, mandelic acid, methanesulfonic acid, ethanesulfonic acid, 1,2-ethanedisulfonic acid, 2-hydroxyethanesulfonic acid, benzenesulfonic acid, 4-chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, 4-toluenesulfonic acid,

camphorsulfonic acid, glucoheptonic acid, 4,4'-methylenebis-(3-hydroxy-2-ene-1-carboxylic acid), 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, p-toluenesulfonic acid, and salicylic acid.

Entry	Name
1	*N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-(1-methylethyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
2	*N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-(1-methylethyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
3	7-({[(3a*R*,5r,6a*S*)-2-acetyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-*N*-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)quinazolin-4-amine
4	*N*-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-{[(3a*R*,5r,6a*S*)-octahydrocyclopenta[c]pyrrol-5-ylmethyl]oxy}quinazolin-4-amine
5	ethyl (3a*R*,6a*S*)-5-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydrocyclopenta[c]pyrrole-2(1*H*)-carboxylate
6	*N*-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3a*R*,5r,6a*S*)-2-(methylsulfonyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine
7	*N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-ethyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
8	*N*-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3a*R*,5r,6a*S*)-2-(2-methylpropyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine
9	*N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
10	*N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
11	*N*-(3-chloro-2,4-difluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
12	*N*-(4,5-dichloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
13	*N*-(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
14	*N*-(4-bromo-2,3-dichlorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine

Entry	Name
15	*N*-(3,4-dichlorophenyl)-7-({[(3a*R*,5s,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
16	*N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5r,6a*S*)-2-ethyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
17	*N*-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3a*R*,5r,6a*S*)-2-(2-methylpropyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine
18	*N*-(4-bromo-2,3-dichlorophenyl)-7-{[(3*R*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
19	*N*-(4,5-dichloro-2-fluorophenyl)-7-{[(3*R*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
20	*N*-(4-bromo-5-chloro-2-fluorophenyl)-7-{[(3*R*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
21	*N*-(3-chloro-2,4-difluorophenyl)-7-{[(3*R*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
22	*N*-(3,4-dichloro-2-fluorophenyl)-7-{[(3*S*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
23	*N*-(4-bromo-3-chloro-2-fluorophenyl)-7-{[(3*S*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
24	*N*-(3-chloro-2,4-difluorophenyl)-7-{[(3*S*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
25	*N*-(3,4-dichlorophenyl)-7-[(hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl)oxy]-6-(methyloxy)quinazolin-4-amine
26	*N*-(4,5-dichloro-2-fluorophenyl)-7-{[(3*S*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
27	*N*-(4-bromo-2,3-dichlorophenyl)-7-{[(3*S*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
28	*N*-(4-bromo-5-chloro-2-fluorophenyl)-7-{[(3*S*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
29	*N*-(3,4-dichloro-2-fluorophenyl)-7-{[(3*R*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
30	*N*-(4-bromo-3-chloro-2-fluorophenyl)-7-{[(3*R*,9a*S*)-hexahydro-1*H*-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
31	*N*-(3,4-dichlorophenyl)-7-{[(3*R*,8a*R*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
32	*N*-(4-bromo-5-chloro-2-fluorophenyl)-7-{[(3*S*,8a*S*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
33	*N*-(3,4-dichlorophenyl)-7-{[(3*S*,8a*R*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
34	*N*-(3,4-dichlorophenyl)-7-{[(3*S*,8a*S*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
35	*N*-(3,4-dichlorophenyl)-7-{[(3*R*,8a*S*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine

Entry	Name
36	*N*-(3,4-dichloro-2-fluorophenyl)-7-{[(3*S*,8a*S*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
37	*N*-(4-bromo-3-chloro-2-fluorophenyl)-7-{[(3*S*,8a*S*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
38	*N*-(3-chloro-2,4-difluorophenyl)-7-{[(3*S*,8a*S*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
39	*N*-(4-bromo-2,3-dichlorophenyl)-7-{[(3*S*,8a*S*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
40	*N*-(4,5-dichloro-2-fluorophenyl)-7-{[(3*S*,8a*S*)-hexahydro-1*H*-pyrrolo[2,1-c][1,4]oxazin-3-ylmethyl]oxy}-6-(methyloxy)quinazolin-4-amine
41	1,4:3,6-dianhydro-5-({[4-[(4-bromo-5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-5-deoxy-2-O-methyl-D-xylo-hexitol
42	1,4:3,6-dianhydro-5-deoxy-5-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-2-O-methyl-D-glucitol
43	1,4:3,6-dianhydro-5-deoxy-5-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-2-O-methyl-D-xylo-hexitol
44	1,4:3,6-dianhydro-5-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-5-deoxy-2-O-methyl-D-xylo-hexitol
45	1,4:3,6-dianhydro-5-({[4-[(3-chloro-2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-5-deoxy-2-O-methyl-D-xylo-hexitol
46	1,4:3,6-dianhydro-5-({[4-[(4-bromo-2,3-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-5-deoxy-2-O-methyl-D-glucitol
47	1,4:3,6-dianhydro-2-deoxy-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-5-O-methyl-D-threo-hexitol
48	1,4:3,6-dianhydro-5-deoxy-5-({[4-[(4,5-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-2-O-methyl-D-glucitol
49	(3*S*,9a*S*)-3-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydro-2*H*-pyrido[1,2-a]pyrazin-1(6*H*)-one
50	(3*S*,9a*R*)-3-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydro-2*H*-pyrido[1,2-a]pyrazin-1(6*H*)-one
51	(3*S*,8a*S*)-3-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydropyrrolo[1,2-a]pyrazin-1(2*H*)-one
52	(3*S*,8a*R*)-3-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydropyrrolo[1,2-a]pyrazin-1(2*H*)-one
53	(3*S*,8a*S*)-3-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydropyrrolo[1,2-a]pyrazin-1(2*H*)-one
54	(3*S*,8a*S*)-3-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-2-methylhexahydropyrrolo[1,2-a]pyrazin-1(2*H*)-one
55	*N*-(3,4-dichlorophenyl)-7-({2-[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)amino]ethyl}oxy)-6-(methyloxy)quinazolin-4-amine
56	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(8a*R*)-tetrahydro-1*H*-[1,3]thiazolo[4,3-c][1,4]oxazin-6-ylmethyl]oxy}quinazolin-4-amine

Entry	Name
57	*N*-(3,4-dichlorophenyl)-7-{[2-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)ethyl]oxy}-6-(methyloxy)quinazolin-4-amine
58	*N*-(3,4-dichlorophenyl)-7-{[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
59	*N*-(3,4-dichlorophenyl)-7-{[(3a*R*,6a*S*)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]oxy}-6-(methyloxy)quinazolin-4-amine
60	*N*-(3,4-dichlorophenyl)-7-[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)oxy]-6-(methyloxy)quinazolin-4-amine
61	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
62	1,4:3,6-dianhydro-2-O-[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
63	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
64	1,4:3,6-dianhydro-2-O-methyl-5-O-{6-(methyloxy)-4-[(2,3,4-trichlorophenyl)amino]quinazolin-7-yl}-L-iditol
65	1,4:3,6-dianhydro-5-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-D-xylo-hexitol
66	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-2,3-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
67	1,4:3,6-dianhydro-5-*O*-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)-quinazolin-7-yl]-L-sorbose ethylene glycol acetal
68	1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
69	1,4:3,6-dianhydro-2-O-[4-[(4,5-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
70	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-(difluoromethyl)-L-iditol
71	1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
72	1,4:3,6-dianhydro-2-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
73	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
74	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-ethyl-L-iditol
75	1,4:3,6-dianhydro-2-O-[4-[(3-bromo-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
76	1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
77	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-deoxy-D-xylo-hexitol

Entry	Name
78	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-D-glucitol
79	methyl 3,6-anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-alpha-L-idofuranoside
80	3,6-anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-1,2-O-(1-methylethylidene)-beta-L-xylo-hexofuranose
81	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-deoxy-5-methylidene-D-xylo-hexitol
82	methyl 3,6-anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-beta-L-idofuranoside
83	*N*-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-[(octahydro-2*H*-quinolizin-3-ylmethyl)oxy]quinazolin-4-amine
84	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,3,4-trifluorophenyl)amino]quinazolin-7-yl}-D-iditol
85	1,4:3,6-dianhydro-5-O-[4-[(2-chloro-4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
86	1,4:3,6-dianhydro-5-O-[4-[(2-bromo-4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
87	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,6-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
88	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
89	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-{[4-fluoro-3-(trifluoromethyl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-D-iditol
90	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
91	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,5-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
92	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,3-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
93	1,4:3,6-dianhydro-5-O-[4-[(5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
94	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,5-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
95	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
96	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-2-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
97	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
98	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol

Entry	Name
99	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,4,5-trifluorophenyl)amino]quinazolin-7-yl}-D-iditol
100	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,4,6-trifluorophenyl)amino]quinazolin-7-yl}-D-iditol
101	1,4:3,6-dianhydro-5-O-[4-({4-[(4-chlorophenyl)oxy]-3,5-difluorophenyl}amino)-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
102	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
103	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-2,3-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
104	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chloro-5-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
105	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(4,5-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
106	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,3,4-trichlorophenyl)amino]quinazolin-7-yl}-D-iditol
107	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(3,4,5-trichlorophenyl)amino]quinazolin-7-yl}-D-iditol
108	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
109	1,4:3,6-dianhydro-5-O-[4-[(4-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
110	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
111	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
112	1,4:3,6-dianhydro-5-O-[4-[(2-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
113	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[(2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-iditol
114	1,4:3,6-dianhydro-5-O-[4-[(3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
115	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[(4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-iditol
116	1,4:3,6-dianhydro-5-O-[4-[(4-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
117	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
118	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,5-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
119	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol

Entry	Name
120	1,4:3,6-dianhydro-5-O-[4-[(2-bromo-4,6-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
121	1,4:3,6-dianhydro-5-O-[4-{[4-chloro-3-(trifluoromethyl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
122	1,4:3,6-dianhydro-5-O-[4-{[2-chloro-5-(trifluoromethyl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
123	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-{[2-fluoro-3-(trifluoromethyl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-D-iditol
124	1,4:3,6-dianhydro-5-O-[4-{[2-bromo-5-(trifluoromethyl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
125	1,4:3,6-dianhydro-5-O-[4-{[2-bromo-4-(trifluoromethyl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
126	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-{[4-fluoro-2-(trifluoromethyl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-D-iditol
127	1,4:3,6-dianhydro-5-O-[4-{[3-bromo-5-(trifluoromethyl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
128	1,4:3,6-dianhydro-5-O-[4-[(2-bromophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
129	1,4:3,6-dianhydro-5-O-[4-[(3-bromophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
130	1,4:3,6-dianhydro-5-O-[4-[(4-bromophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
131	1,4:3,6-dianhydro-5-O-[4-[(3-bromo-4-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
132	1,4:3,6-dianhydro-5-O-[4-[(5-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
133	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,5-dimethylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
134	1,4:3,6-dianhydro-5-O-[4-{[2,5-bis(methyloxy)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
135	1,4:3,6-dianhydro-5-O-[4-{[5-chloro-2,4-bis(methyloxy)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
136	1,4:3,6-dianhydro-5-O-[4-{[4-chloro-2,5-bis(methyloxy)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
137	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
138	*N*-(3,4-dichlorophenyl)-7-[({5-[(dimethylamino)methyl]-1,2,4-oxadiazol-3-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
139	*N*-(3,4-dichlorophenyl)-7-[({3-[(dimethylamino)methyl]-1,2,4-oxadiazol-5-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
140	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({3-[(4-methylpiperazin-1-yl)methyl]-1,2,4-oxadiazol-5-yl}methyl)oxy]quinazolin-4-amine

Entry	Name
141	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(5-piperidin-4-yl-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
142	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-(1-methylpiperidin-4-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)quinazolin-4-amine
143	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[3-(morpholin-4-ylmethyl)-1,2,4-oxadiazol-5-yl]methyl}oxy)quinazolin-4-amine
144	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
145	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(5-piperidin-2-yl-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
146	*N*-(3,4-dichlorophenyl)-7-[({2-[(dimethylamino)methyl]-1,3-thiazol-4-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
147	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(phenylmethyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
148	1,1-dimethylethyl 2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholine-4-carboxylate
149	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(morpholin-4-ylmethyl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
150	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({2-[(4-methylpiperazin-1-yl)methyl]-1,3-thiazol-4-yl}methyl)oxy]quinazolin-4-amine
151	*N*-(3,4-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
152	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(1,4-oxazepan-2-ylmethyl)oxy]quinazolin-4-amine
153	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(5-piperidin-3-yl-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
154	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-(1-methylpiperidin-2-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)quinazolin-4-amine
155	*N*-(3,4-dichlorophenyl)-7-{[(4-methyl-1,4-oxazepan-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
156	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-(1-methylpiperidin-3-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)quinazolin-4-amine
157	*N*-(3,4-dichlorophenyl)-7-({[5-(1,1-dimethylethyl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
158	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(2-phenyl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
159	7-[(2,1,3-benzothiadiazol-4-ylmethyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
160	*N*-(3,4-dichlorophenyl)-7-{[(5-methylisoxazol-3-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
161	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(5-methyl-4-phenylisoxazol-3-yl)methyl]oxy}quinazolin-4-amine

Entry	Name
162	7-[(1,3-benzothiazol-2-ylmethyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
163	7-[(2,1,3-benzoxadiazol-5-ylmethyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
164	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(2-thienyl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
165	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(1-phenyl-1*H*-pyrazol-4-yl)methyl]oxy}quinazolin-4-amine
166	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({5-[3-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl}methyl)oxy]quinazolin-4-amine
167	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({5-[4-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl}methyl)oxy]quinazolin-4-amine
168	7-({[3-(4-chlorophenyl)-1,2,4-oxadiazol-5-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
169	7-({[6-bromo-2-(methyloxy)naphthalen-1-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
170	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(1,3-thiazol-4-ylmethyl)oxy]quinazolin-4-amine
171	7-{[(6-chloropyridin-3-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
172	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(pyridin-4-ylmethyl)oxy]quinazolin-4-amine
173	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(2-methyl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
174	7-{[(6-chloro-4*H*-1,3-benzodioxin-8-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
175	7-{[(5-chloro-1-methyl-3-phenyl-1*H*-pyrazol-4-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
176	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[1-methyl-3-(trifluoromethyl)-1*H*-thieno[2,3-c]pyrazol-5-yl]methyl}oxy)quinazolin-4-amine
177	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(3-phenylisoxazol-5-yl)methyl]oxy}quinazolin-4-amine
178	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(2,4,6-trimethylphenyl)methyl]oxy}quinazolin-4-amine
179	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(pyridin-3-ylmethyl)oxy]quinazolin-4-amine
180	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({3-[4-(methyloxy)phenyl]isoxazol-5-yl}methyl)oxy]quinazolin-4-amine
181	*N*-(3,4-dichlorophenyl)-7-({[5-[(2,4-dichlorophenyl)oxy]-1-methyl-3-(trifluoromethyl)-1*H*-pyrazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
182	7-[(cyclopropylmethyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine

Entry	Name
183	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(tetrahydrofuran-2-ylmethyl)oxy]quinazolin-4-amine
184	7-(cyclopentyloxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
185	7-[(2-cyclohexylethyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
186	7-[(cyclohexylmethyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
187	7-[(cyclobutylmethyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
188	*N*-(3,4-dichlorophenyl)-7-{[2-(1,3-dioxolan-2-yl)ethyl]oxy}-6-(methyloxy)quinazolin-4-amine
189	*N*-(3,4-dichlorophenyl)-7-{[2-(1,3-dioxan-2-yl)ethyl]oxy}-6-(methyloxy)quinazolin-4-amine
190	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-morpholin-4-ylethyl)oxy]quinazolin-4-amine
191	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-pyrrolidin-1-ylethyl)oxy]quinazolin-4-amine
192	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-piperidin-1-ylethyl)oxy]quinazolin-4-amine
193	2-(2-{[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}ethyl)-1*H*-isoindole-1,3(2*H*)-dione
194	methyl 6-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-alpha-D-glucopyranoside
195	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-morpholin-4-yl-2-oxoethyl)oxy]quinazolin-4-amine
196	1,1-dimethylethyl 2-[3-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,2,4-oxadiazol-5-yl]piperidine-1-carboxylate
197	1,1-dimethylethyl 4-[3-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,2,4-oxadiazol-5-yl]piperidine-1-carboxylate
198	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(4-pyrrolidin-1-ylphenyl)-1,3-thiazol-2-yl]methyl}oxy)quinazolin-4-amine
199	*N*-(3,4-dichlorophenyl)-7-[({4-[4-(diethylamino)phenyl]-1,3-thiazol-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
200	5-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,3-thiazol-4-yl]-2-hydroxybenzamide
201	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pyridin-3-yl-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
202	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pyridin-2-yl-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
203	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pyridin-4-yl-1,3-thiazol-2-

Entry	Name
	yl)methyl]oxy}quinazolin-4-amine
204	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(2-morpholin-4-yl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
205	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(3-morpholin-4-yl-1,2,4-oxadiazol-5-yl)methyl]oxy}quinazolin-4-amine
206	*N*-(3,4-dichlorophenyl)-7-({[3-(dimethylamino)-1,2,4-oxadiazol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
207	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[(4-methylpiperazin-1-yl)methyl]-1,3-thiazol-2-yl}methyl)oxy]quinazolin-4-amine
208	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(4,5,6,7-tetrahydro[1,3]thiazolo[5,4-c]pyridin-2-ylmethyl)oxy]quinazolin-4-amine
209	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(morpholin-4-ylmethyl)-1,3-thiazol-2-yl]methyl}oxy)quinazolin-4-amine
210	*N*-(3,4-dichlorophenyl)-7-[({4-[(4-methyl-1,4-diazepan-1-yl)methyl]-1,3-thiazol-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
211	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(5-{[(phenylmethyl)oxy]methyl}-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
212	*N*-(3,4-dichlorophenyl)-7-{[(4-ethylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
213	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(2-piperidin-4-yl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
214	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(1-methylpiperidin-4-yl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
215	1,1-dimethylethyl 4-[5-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,2,4-oxadiazol-3-yl]piperazine-1-carboxylate
217	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(3-piperazin-1-yl-1,2,4-oxadiazol-5-yl)methyl]oxy}quinazolin-4-amine
218	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[3-(4-methylpiperazin-1-yl)-1,2,4-oxadiazol-5-yl]methyl}oxy)quinazolin-4-amine
219	*N*-(3,4-dichlorophenyl)-7-({[5-(1-ethylpiperidin-2-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
220	*N*-(3,4-dichlorophenyl)-7-({[3-(4-ethylpiperazin-1-yl)-1,2,4-oxadiazol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
221	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({5-[4-(methyloxy)phenyl]-1,2,4-oxadiazol-3-yl}methyl)oxy]quinazolin-4-amine
222	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-4-yl}methyl)oxy]quinazolin-4-amine
223	7-({[2-(4-chlorophenyl)-1,3-thiazol-4-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
224	*N*-(3,4-dichlorophenyl)-7-({[5-(3,5-dimethylisoxazol-4-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine

Entry	Name
225	7-{[(5-chloro-1-benzothien-3-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
226	*N*-(3,4-dichlorophenyl)-7-[({3-[4-(1,1-dimethylethyl)phenyl]-1,2,4-oxadiazol-5-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
227	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({5-[2-(methyloxy)phenyl]-1,2,4-oxadiazol-3-yl}methyl)oxy]quinazolin-4-amine
228	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-(4-methylphenyl)-1,3,4-oxadiazol-2-yl]methyl}oxy)quinazolin-4-amine
229	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[1-(phenylmethyl)-1*H*-imidazol-2-yl]methyl}oxy)quinazolin-4-amine
230	*N*-(3,4-dichlorophenyl)-7-({[3-(2,6-dichlorophenyl)-5-methylisoxazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
231	*N*-(3,4-dichlorophenyl)-7-{[(6-fluoro-4*H*-1,3-benzodioxin-8-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
232	7-{[(3,5-dibromophenyl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
233	*N*-(3,4-dichlorophenyl)-7-{[(2,6-difluorophenyl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
234	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({3-[(pyridin-2-ylsulfonyl)methyl]-1,2,4-oxadiazol-5-yl}methyl)oxy]quinazolin-4-amine
235	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
236	7-({[4-chloro-2-(trifluoromethyl)quinolin-6-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
237	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[2-(1-methylpyrrolidin-2-yl)ethyl]oxy}quinazolin-4-amine
238	*N*-(3,4-dichlorophenyl)-7-({[5-(1-ethylpiperidin-4-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
239	*N*-(3,4-dichlorophenyl)-7-({[5-(1-ethylpiperidin-3-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
240	*N*-(3,4-dichlorophenyl)-7-({[2-(dimethylamino)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
241	*N*-(3,4-dichlorophenyl)-7-{[(4-ethyl-1,4-oxazepan-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
242	*N*-(3,4-dichlorophenyl)-7-({[2-(1-ethylpiperidin-4-yl)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
243	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({3-[(2*S*)-pyrrolidin-2-yl]-1,2,4-oxadiazol-5-yl}methyl)oxy]quinazolin-4-amine
244	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({2-[(2*S*)-pyrrolidin-2-yl]-1,3-thiazol-4-yl}methyl)oxy]quinazolin-4-amine
245	[4-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,3-thiazol-2-yl]methyl benzoate

Entry	Name
246	[4-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,3-thiazol-2-yl]methanol
247	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(5-methyl-4,5,6,7-tetrahydro[1,3]thiazolo[5,4-c]pyridin-2-yl)methyl]oxy}quinazolin-4-amine
248	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({2-[(4*S*)-1,3-thiazolidin-4-yl]-1,3-thiazol-4-yl}methyl)oxy]quinazolin-4-amine
249	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(2-piperidin-2-yl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
250	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(1-methylpiperidin-2-yl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
251	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(2-piperidin-3-yl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
252	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(1-methylpiperidin-3-yl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
253	*N*-(3,4-dichlorophenyl)-7-({[2-(1-ethylpiperidin-2-yl)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
254	*N*-(3,4-dichlorophenyl)-7-({[2-(1-ethylpiperidin-3-yl)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
255	*N*-(3,4-dichlorophenyl)-7-[({3-[(2*S*)-1-ethylpyrrolidin-2-yl]-1,2,4-oxadiazol-5-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
256	*N*-(3,4-dichlorophenyl)-7-[({2-[(2*S*)-1-ethylpyrrolidin-2-yl]-1,3-thiazol-4-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
257	*N*-(3,4-dichlorophenyl)-7-{[(5-ethyl-4,5,6,7-tetrahydro[1,3]thiazolo[5,4-c]pyridin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
258	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-propyl-1,4-oxazepan-2-yl)methyl]oxy}quinazolin-4-amine
259	7-({[4-(cyclopropylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
260	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[2-(methyloxy)ethyl]-1,4-oxazepan-2-yl}methyl)oxy]quinazolin-4-amine
261	*N*-(3,4-dichlorophenyl)-7-({[4-(1-methylethyl)-1,4-oxazepan-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
262	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(2-piperazin-1-yl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
263	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(5-pyrrolidin-2-yl-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
264	*N*-(3,4-dichlorophenyl)-7-({[5-(1-ethylpyrrolidin-2-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
265	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({3-[(2*S*)-1-methylpyrrolidin-2-yl]-1,2,4-oxadiazol-5-yl}methyl)oxy]quinazolin-4-amine
266	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({2-[(2*S*)-1-methylpyrrolidin-2-yl]-1,3-thiazol-4-yl}methyl)oxy]quinazolin-4-amine

Entry	Name
267	*N*-(3,4-dichlorophenyl)-7-({[2-(4-ethylpiperazin-1-yl)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
268	*N*-(3,4-dichlorophenyl)-7-{[(1,4-dimethylpiperazin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
269	7-{[(4-cyclopentylmorpholin-2-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
270	*N*-(3,4-dichlorophenyl)-7-({[4-(1-methylethyl)morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
271	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(3-phenylpropyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
272	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[2-(methyloxy)ethyl]morpholin-2-yl}methyl)oxy]quinazolin-4-amine
273	ethyl 2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]propanoate
274	*N*-(3,4-dichlorophenyl)-7-{[(4-hex-5-en-1-ylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
275	2-({2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]ethyl}oxy)ethanol
276	methyl 3-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]propanoate
277	6-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]hexanenitrile
278	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(tetrahydro-2*H*-pyran-2-ylmethyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
279	4-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]butanenitrile
280	*N*-(3,4-dichlorophenyl)-7-[({4-[(4-fluorophenyl)methyl]morpholin-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
281	methyl 5-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]pentanoate
282	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-oct-7-en-1-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
283	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-propylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
284	6-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]hexan-1-ol
285	7-{[(4-acetylmorpholin-2-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
286	7-({[4-(cyclopropylmethyl)morpholin-2-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
287	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-prop-2-yn-1-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine

Entry	Name
288	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pyridin-4-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
289	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(pyridin-2-ylmethyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
290	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pent-2-yn-1-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
291	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(4-methylpiperazin-1-yl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
292	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-(1-methylpyrrolidin-2-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)quinazolin-4-amine
293	*N*-(3-chloro-4-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
294	7-{[(4-butyl-1,4-oxazepan-2-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy) quinazolin-4-amine
295	(3,4-dichlorophenyl)[7-(methyloxy)-6-({[4-(2-methylpropyl)-1,4-oxazepan-2-yl]methyl}oxy) quinazolin-4-amine
296	7-{[(4-acetyl-1-ethylpiperazin-2-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
297	(3,4-dichlorophenyl)(6-(methyloxy)-7-{[(4-pentyl-1,4-oxazepan-2-yl)methyl]oxy} quinazolin-4-amine
298	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(tetrahydro-2*H*-pyran-2-ylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)quinazolin-4-amine
299	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(3-thienylmethyl)-1,4-oxazepan-2-yl]methyl}oxy) quinazolin-4-amine
300	*N*-[4-chloro-2,5-bis(methyloxy)phenyl]-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
301	*N*-(3-bromo-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
302	7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)-*N*-(3,4,5-trichlorophenyl)quinazolin-4-amine
303	*N*-(3-chloro-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
304	*N*-(3,4-dichlorophenyl)-7-{[(4-ethanimidoyl-1,4-oxazepan-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
305	*N*-(4-bromo-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
306	*N*-(5-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
307	*N*-(4-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
308	*N*-(2,4-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine

Entry	Name
309	*N*-(2,4-dibromophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
310	7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)-*N*-(2,3,4-trichlorophenyl)quinazolin-4-amine
311	*N*-(3,4-dichlorophenyl)-7-{[(1-ethyl-4-methylpiperazin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
312	*N'*-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholine-4-carboximidamide
313	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(pyrrolidin-1-ylmethyl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
314	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(tetrahydro-2*H*-pyran-4-yl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
315	*N*-(3,4-dichlorophenyl)-7-({[4-(2-ethylbutyl)morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
316	7-({[4-(cyclohexylmethyl)morpholin-2-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
317	2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]ethanol
318	7-{[(4-but-2-yn-1-ylmorpholin-2-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
319	7-{[(4-cyclobutylmorpholin-2-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
320	*N*-(3,4-dichlorophenyl)-7-[({4-[2-(1,3-dioxolan-2-yl)ethyl]morpholin-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
321	7-({[4-(2-cyclohexylethyl)morpholin-2-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
322	*N*-(3,4-dichlorophenyl)-7-[({4-[2-(1,3-dioxan-2-yl)ethyl]morpholin-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
323	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pent-4-en-1-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
324	*N*-(3,4-dichlorophenyl)-7-[({4-[(2*R*)-2-methylbutyl]morpholin-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
325	*N*-(3,4-dichlorophenyl)-7-({[4-(4-fluorobutyl)morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
326	3-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]butan-2-one
327	1-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]butan-2-one
328	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pentylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
329	*N*-(3,4-dichlorophenyl)-7-{[(4-hexylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine

Entry	Name
330	*N*-(3,4-dichlorophenyl)-7-{[(4-heptylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
331	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-octylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
332	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-phenylethyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
333	7-{[(4-butylmorpholin-2-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
334	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-prop-2-en-1-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
335	2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]-1-phenylethanone
336	*N*-(3,4-dichlorophenyl)-7-({[4-(2-fluoroethyl)morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
337	*N*-(3,4-dichlorophenyl)-7-({[4-(3-methylbut-2-en-1-yl)morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
338	7-[({4-[(2E)-3-bromoprop-2-en-1-yl]morpholin-2-yl}methyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
339	2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]acetamide
340	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[3-(tetrahydro-2*H*-pyran-2-yloxy)propyl]-1,4-oxazepan-2-yl}methyl)oxy]quinazolin-4-amine
341	*N*-(3,4-dichlorophenyl)-7-({[4-(3-methylbutyl)-1,4-oxazepan-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
342	7-({[4-(cyclohexylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)-4-[(3,4-dichlorophenyl)methyl]-6-(methyloxy)quinazoline
343	7-({[4-(2-cyclohexylethyl)-1,4-oxazepan-2-yl]methyl}oxy)-4-[(3,4-dichlorophenyl)methyl]-6-(methyloxy)quinazoline
345	*N*-(3,4-dichlorophenyl)-7-({[4-(2-ethylbutyl)-1,4-oxazepan-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
346	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(methylsulfonyl)-1,4-oxazepan-2-yl]methyl}oxy)quinazolin-4-amine
347	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(1-methylpiperidin-4-yl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
348	*N*-(3-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
349	*N'*-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,4-oxazepane-4-carboximidamide
350	*N*-(3-bromo-4-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
351	*N*-(3,4-dichlorophenyl)-7-{[(1,4-diethylpiperazin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine

Entry	Name
352	4-({[4-[(4-bromo-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-*N*'-cyanopiperidine-1-carboximidamide
353	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(methylsulfonyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
354	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[(phenylmethyl)sulfonyl]morpholin-2-yl}methyl)oxy]quinazolin-4-amine
355	*N*-(3,4-dichlorophenyl)-7-[({4-[(4-fluorophenyl)sulfonyl]morpholin-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
356	*N*-(3,4-dichlorophenyl)-7-({[4-(ethylsulfonyl)morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
357	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(phenylsulfonyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
358	7-[({4-[(3-chloropropyl)sulfonyl]morpholin-2-yl}methyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
359	7-({[4-(butylsulfonyl)morpholin-2-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
360	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[(4-methylphenyl)sulfonyl]morpholin-2-yl}methyl)oxy]quinazolin-4-amine
361	*N*-(3,4-dichlorophenyl)-7-[({4-[(3,5-dimethylisoxazol-4-yl)carbonyl]morpholin-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
362	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-{[3-(methyloxy)phenyl]acetyl}morpholin-2-yl)methyl]oxy}quinazolin-4-amine
363	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-methylpentanoyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
364	7-[({4-[(4-butylphenyl)carbonyl]morpholin-2-yl}methyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
365	7-[({4-[(4-chlorophenyl)acetyl]morpholin-2-yl}methyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
366	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-propylpentanoyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
367	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(4-methylpentanoyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
368	*N*-(3,4-dichlorophenyl)-7-[({4-[(2,5-difluorophenyl)carbonyl]morpholin-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
369	7-({[4-(cyclopentylcarbonyl)morpholin-2-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
370	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-phenylbutanoyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
371	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[(2,3,6-trifluorophenyl)carbonyl]morpholin-2-yl}methyl)oxy]quinazolin-4-amine
372	*N*-(3,4-dichlorophenyl)-7-({[4-(furan-3-ylcarbonyl)morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine

Entry	Name
373	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-propanoylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
374	*N*-(3,4-dichlorophenyl)-7-{[(4-hexanoylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
375	*N*-(3,4-dichlorophenyl)-7-({[4-(2-ethylhexanoyl)morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
376	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(3-phenylpropanoyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
377	*N*-(3,4-dichlorophenyl)-7-({[4-(2,2-dimethylpropanoyl)morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
378	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(naphthalen-1-ylcarbonyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
379	7-[({4-[(2-chloropyridin-3-yl)carbonyl]morpholin-2-yl}methyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
380	7-[({4-[(6-chloropyridin-3-yl)carbonyl]morpholin-2-yl}methyl)oxy]-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
381	7-({[4-(1,3-benzodioxol-5-ylcarbonyl)morpholin-2-yl]methyl}oxy)-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
382	*N*-(3,4-dichlorophenyl)-6-[(1-methylethyl)oxy]-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
383	*N*-(3,4-dichlorophenyl)-6-{[2-(methyloxy)ethyl]oxy}-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
384	*N*-(3,4-dichlorophenyl)-6-(ethyloxy)-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
385	*N*-(3,4-dichlorophenyl)-6-(ethyloxy)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
386	*N*-(4-bromo-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
387	*N*-(4-chloro-3-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
388	*N'*-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-*N*-methylmorpholine-4-carboximidamide
389	*N*-(4-bromo-3-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
390	*N*-(3,4-dichlorophenyl)-6-[(1-methylethyl)oxy]-7-{[(4-methylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
391	*N*-(3,4-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-{[2-(methyloxy)ethyl]oxy}quinazolin-4-amine
392	*N*-(4-bromo-2-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
393	7-{[(4-acetyl-1,4-oxazepan-2-yl)methyl]oxy}-*N*-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine

Entry	Name
394	4-[(3,4-dichlorophenyl)amino]-7-{[(4-methylmorpholin-2-yl)methyl]oxy}quinazolin-6-ol
395	*N*-(3-bromo-4-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
396	3-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]-3-oxopropanoic acid
397	methyl 4-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]-4-oxobutanoate
398	*N*-(3,4-dichlorophenyl)-7-{[(4-methylmorpholin-3-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
399	*N*-(3-bromo-2-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
400	*N'*-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-*N*-[2-(methyloxy)ethyl]morpholine-4-carboximidamide
401	*N'*-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-*N*-ethylmorpholine-4-carboximidamide
402	[(1E)-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl](piperidin-1-yl)methylidene]cyanamide
403	[(1E)-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl](pyrrolidin-1-yl)methylidene]cyanamide
404	[(1E)-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl](4-methylpiperazin-1-yl)methylidene]cyanamide
405	*N*-(3,4-dichlorophenyl)-7-{[(6-ethyl-4,6-dimethylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
406	*N*-(4-bromo-3-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
407	*N*-(3,4-dichlorophenyl)-7-{[(6,6-dimethylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
408	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4,6,6-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
409	*N*-(3,4-dichlorophenyl)-7-{[2-(5,5-dimethylmorpholin-2-yl)ethyl]oxy}-6-(methyloxy)quinazolin-4-amine
410	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[2-(4,5,5-trimethylmorpholin-2-yl)ethyl]oxy}quinazolin-4-amine
411	1,1-dimethylethyl 2-(2-{[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}ethyl)-5,5-dimethylmorpholine-4-carboxylate
412	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
413	*N*-(4-bromo-2,3-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
414	*N*-(4,5-dichloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine

Entry	Name
415	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[2-(4,6,6-trimethylmorpholin-2-yl)ethyl]oxy}quinazolin-4-amine
416	*N*-(4-bromo-2,3-difluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
417	*N*-(4-bromo-2,5-difluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
418	*N*-(4-bromo-3,5-difluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
419	*N*-(3,4-dichloro-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
420	*N*-(3,4-dichlorophenyl)-7-({[(2*R*,5*S*,6*S*)-5,6-dimethylmorpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
421	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[(2*R*,5*S*,6*S*)-4,5,6-trimethylmorpholin-2-yl]methyl}oxy)quinazolin-4-amine
422	*N*-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[(2*S*,5*S*,6*S*)-4,5,6-trimethylmorpholin-2-yl]methyl}oxy)quinazolin-4-amine
423	*N*-(4-bromo-3-chloro-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
424	*N*-(4-bromo-5-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
425	*N*-(4-bromo-3-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
426	*N*-(3,4-dichloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
427	*N*-(3-chloro-2,4-difluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
428	*N*-(2,3-dichloro-4-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
429	6-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-3,3,4-trimethylmorpholin-2-one
430	*N*-(4-bromo-2,3-dichlorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
431	*N*-(4-bromo-5-chloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
432	*N*-(4,5-dichloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
433	*N*-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
434	*N*-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
435	*N*-(3-chloro-2,4-difluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine

Entry	Name
436	(6*S*)-6-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-4-methylpiperazin-2-one
437	(6*S*)-6-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-4-methylpiperazin-2-one
438	(6*S*)-6-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,4-dimethylpiperazin-2-one
439	(6*S*)-6-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,4-dimethylpiperazin-2-one
440	*N*-(4-bromo-3-chlorophenyl)-7-{[(3a'*S*,4*R*,6'*S*,6a'*R*)-2,2-dimethyltetrahydrospiro[1,3-dioxolane-4,3'-furo[3,2-b]furan]-6'-yl]oxy}-6-(methyloxy)quinazolin-4-amine
441	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-5-C-[(methyloxy)methyl]-L-glucitol
442	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-(methylsulfonyl)-L-glucitol
443	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-L-glucitol
444	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-*S*-methyl-5-thio-D-iditol
445	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-morpholin-4-yl-D-iditol
446	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(4-methylpiperazin-1-yl)-D-iditol
447	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-pyrrolidin-1-yl-D-iditol
448	2-O-acetyl-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-iditol
449	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-iditol
450	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(methylsulfonyl)-D-iditol
451	2-amino-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-D-iditol
452	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(dimethylamino)-D-iditol
453	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(diethylamino)-D-iditol
454	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-piperidin-1-yl-D-iditol
455	2-(acetylamino)-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-D-iditol
456	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-5-C-(trifluoromethyl)-L-glucitol

Entry	Name
457	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-[(methylsulfonyl)amino]-D-iditol
458	*N*-(4-bromo-3-chlorophenyl)-6-(methyloxy)-7-[(1-methylpyrrolidin-3-yl)oxy]quinazolin-4-amine
459	*N*-(4-bromo-3-chlorophenyl)-6-(methyloxy)-7-[(3*R*)-tetrahydrofuran-3-yloxy]quinazolin-4-amine
460	*N*-(4-bromo-3-chlorophenyl)-6-(methyloxy)-7-{[(3*S*,4*R*)-4-(methyloxy)tetrahydrofuran-3-yl]oxy}quinazolin-4-amine
461	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-(6-(methyloxy)-4-{[4-(4-methylpiperazin-1-yl)phenyl]amino}quinazolin-7-yl)-D-iditol
462	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-{[3-fluoro-4-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-D-iditol
463	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[2,3-dichloro-4-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
464	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[3,4-dichloro-2-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
465	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-C-(trifluoromethyl)-D-glucitol
466	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(tetrahydrofuran-2-ylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)quinazolin -4-amine
467	*N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
468	*N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclo-penta[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
469	*N*-(3-chloro-2,4-difluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
470	*N*-(4,5-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclo-penta[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
471	*N*-(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclo-penta[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
472	*N*-(4-bromo-2,3-dichlorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclo-penta[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
473	*N*-(3,4-dichlorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
474	*N*-(3,4-dichlorophenyl)-7-[(2-{[(3-*endo*)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]amino}ethyl)oxy]-6-(methyloxy)quinazolin-4-amine
475	*N*-(3,4-dichlorophenyl)-7-({2-[(3-*endo*)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]ethyl}oxy)-6-(methyloxy)quinazolin-4-amine
476	*N*-(3,4-dichlorophenyl)-7-({[(3-*endo*)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
477	*N*-(3,4-dichlorophenyl)-7-{[(3-*exo*)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]oxy}-6-(methyloxy)quinazolin-4-amine

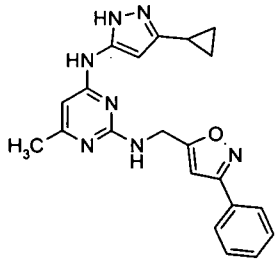
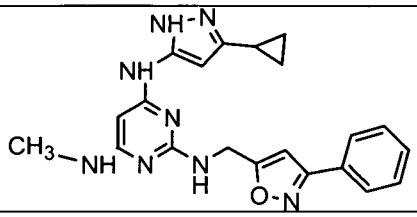
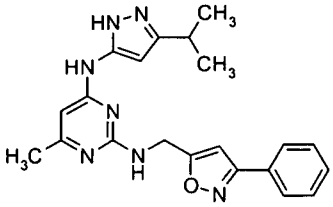
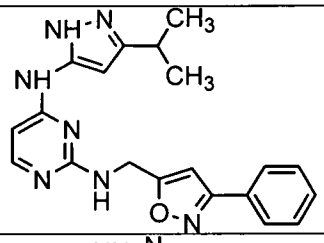
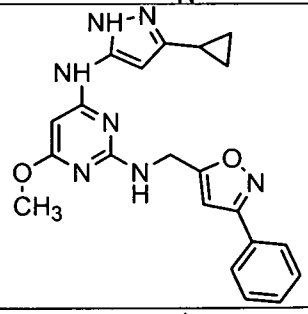
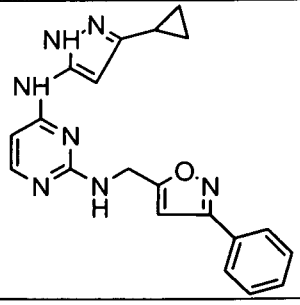
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479	1,4:3,6-dianhydro-5-*O*-{4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl}-2-deoxy-2-fluoro-L-iditol
480	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-(methylsulfonyl)-D-glucitol
481	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-glucitol
482	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-S-methyl-5-thio-L-iditol
483	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-morpholin-4-yl-L-iditol
484	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(4-methylpiperazin-1-yl)-L-iditol
485	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-pyrrolidin-1-yl-L-iditol
486	2-O-acetyl-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-L-iditol
487	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-L-iditol
488	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(methylsulfonyl)-L-iditol
489	2-amino-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-L-iditol
490	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(dimethylamino)-L-iditol
491	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(diethylamino)-L-iditol
492	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-piperidin-1-yl-L-iditol
493	2-(acetylamino)-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-L-iditol
494	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-5-C-(trifluoromethyl)-D-glucitol
495	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-[(methylsulfonyl)amino]-L-iditol
496	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-(6-(methyloxy)-4-{[4-(4-methylpiperazin-1-yl)phenyl]amino}quinazolin-7-yl)-L-iditol
497	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-{[3-fluoro-4-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-L-iditol
498	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[2,3-dichloro-4-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-fluoro-L-iditol

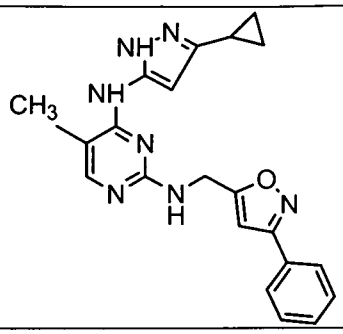
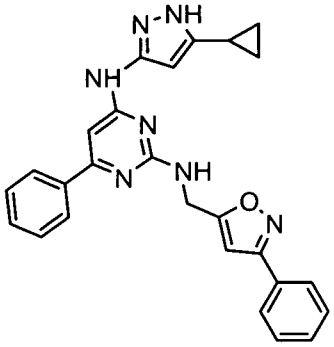
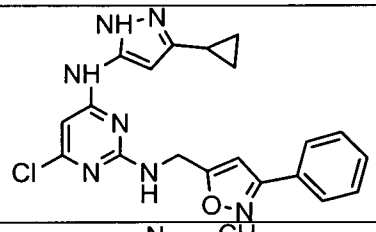
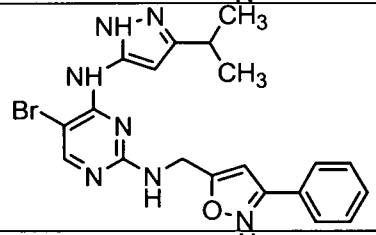
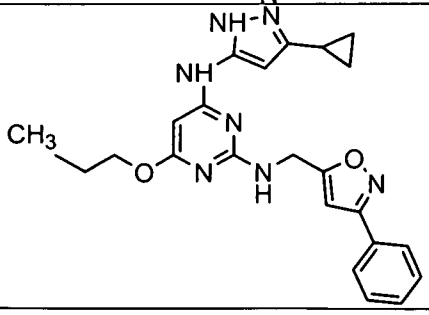
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499	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[3,4-dichloro-2-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-fluoro-L-iditol
500	1,4:3,6-Dianhydro-5-*O*-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-*O*-methyl-D-glucitol
501	1,4:3,6-Dianhydro-2-*O*-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-*O*-methyl-L-glucitol

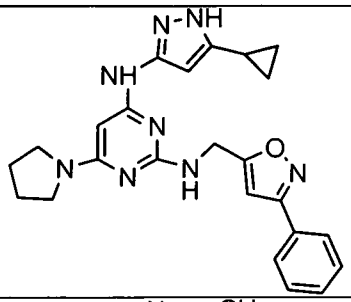
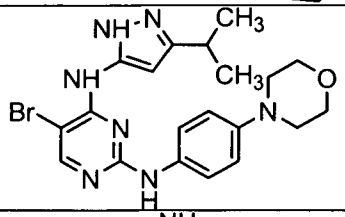
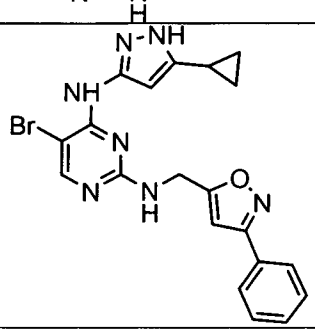
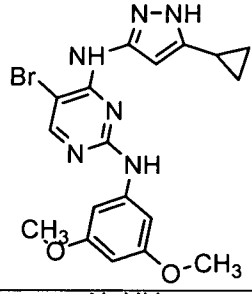
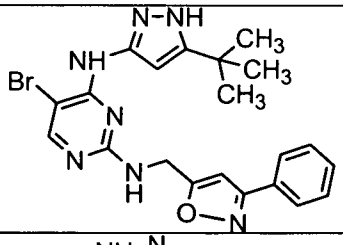
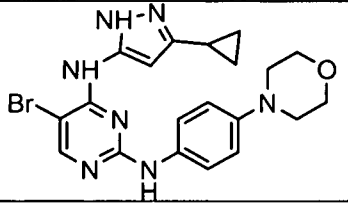
Table 5a.

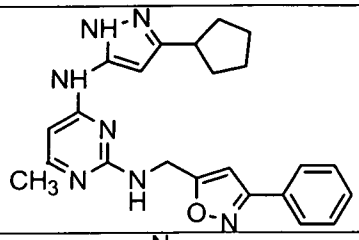
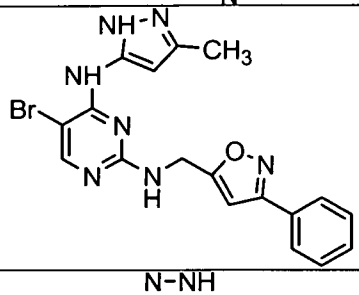
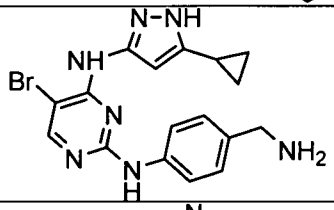
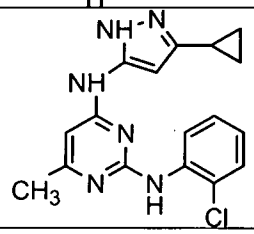
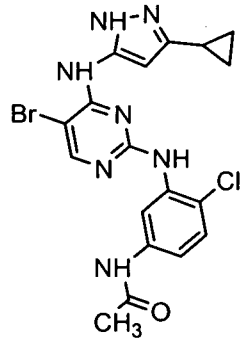
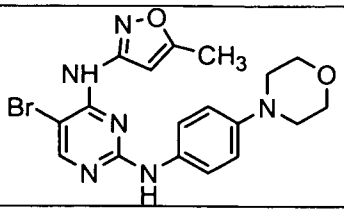
Representative IGF-1R Inhibitor

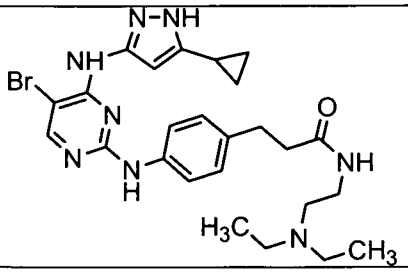
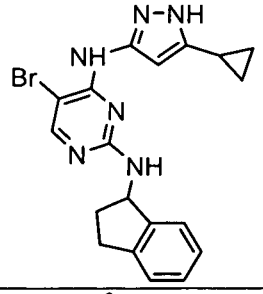
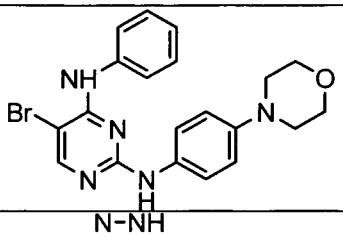
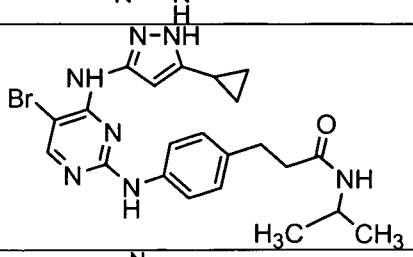
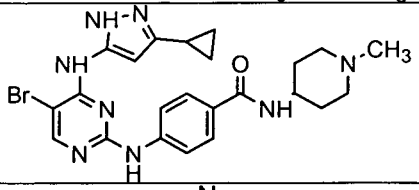
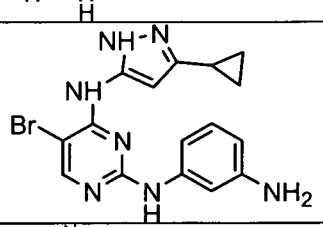
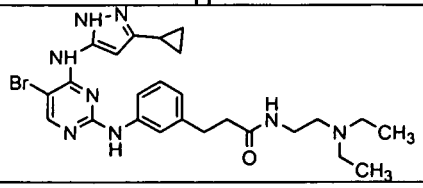
[00232] The Compounds in Table 5a can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 5a can be used to practice the invention.

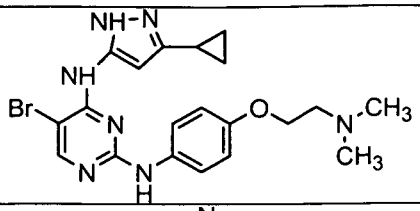
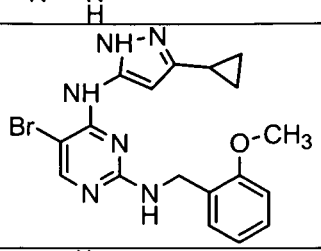
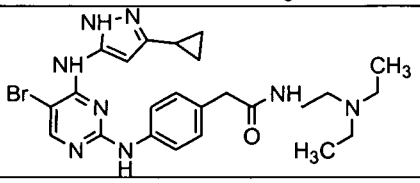
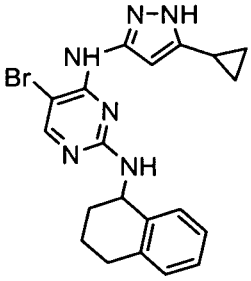
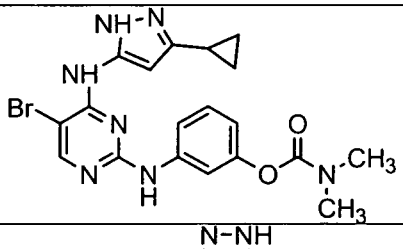
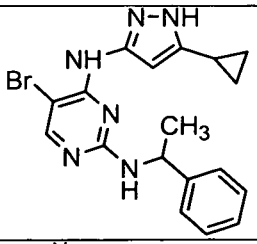
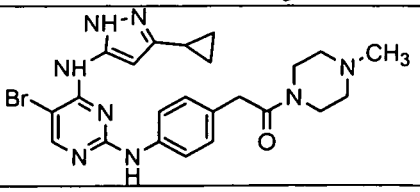
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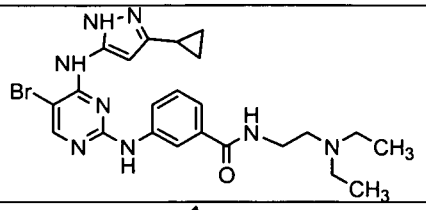
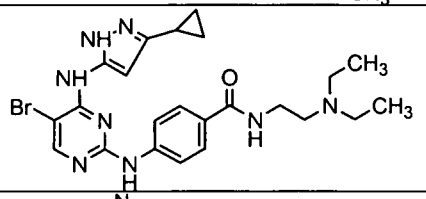
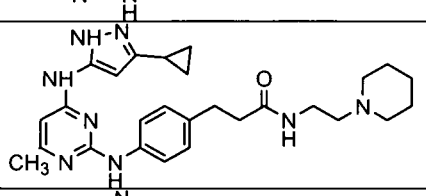
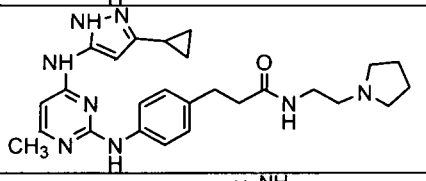
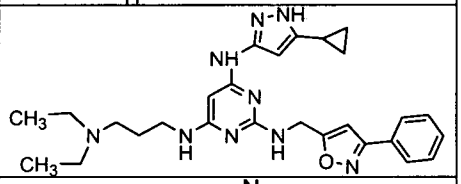
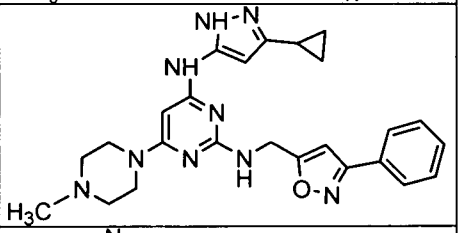
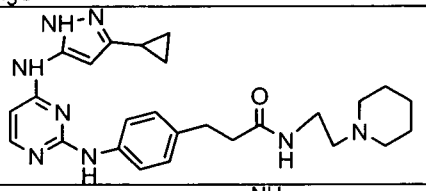
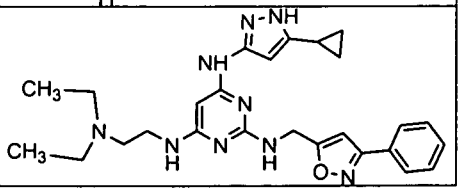
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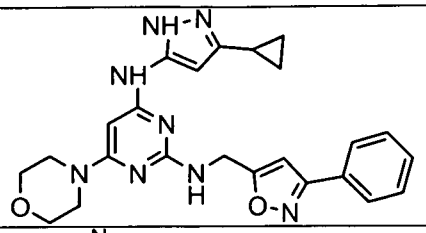
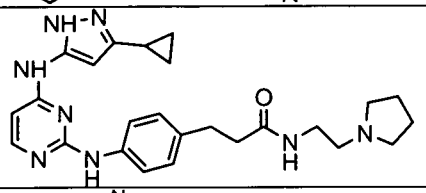
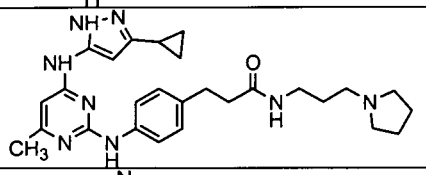
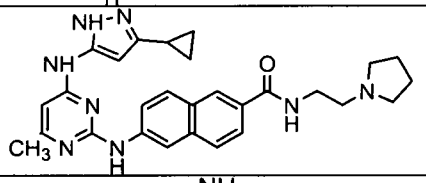
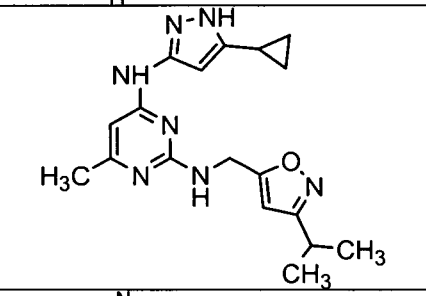
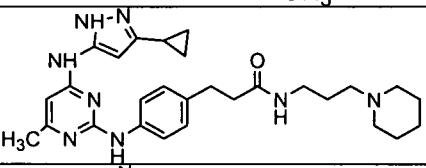
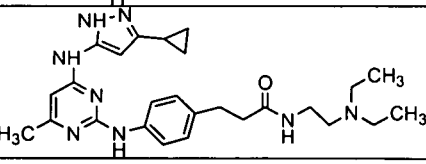
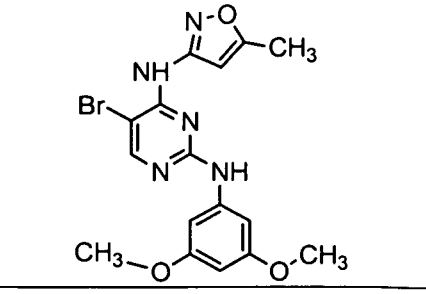
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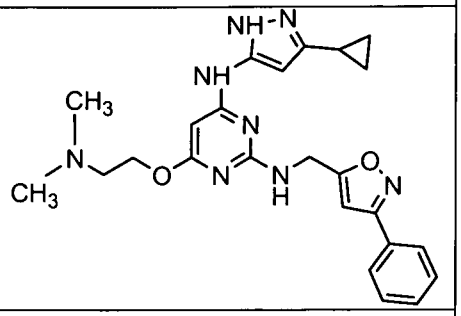
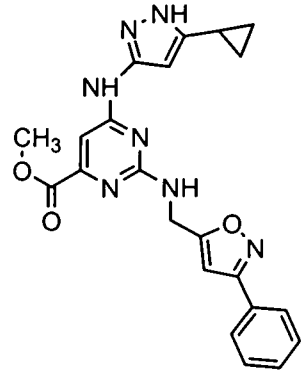
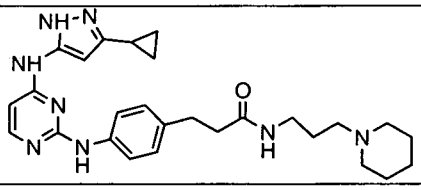
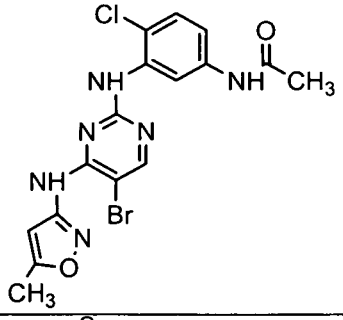
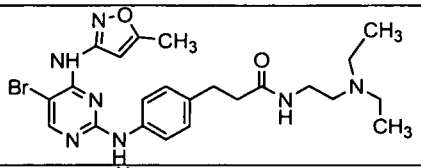
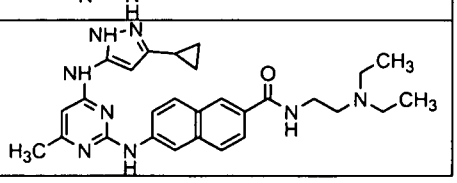
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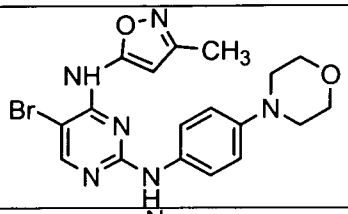
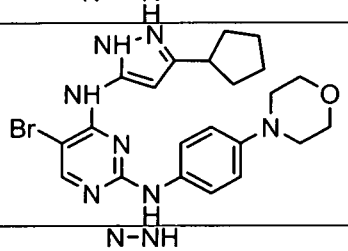
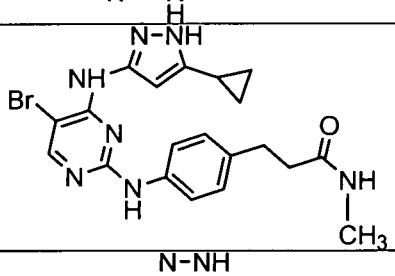
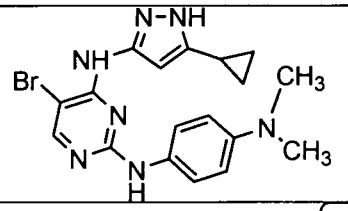
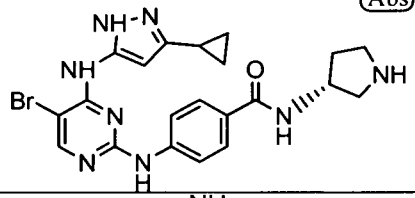
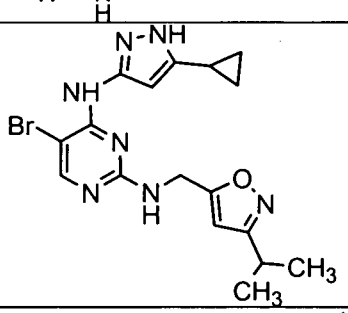
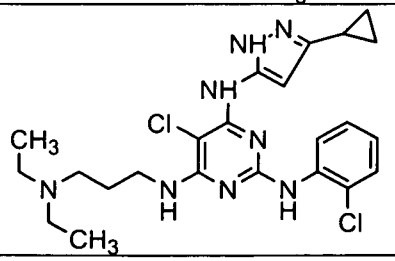
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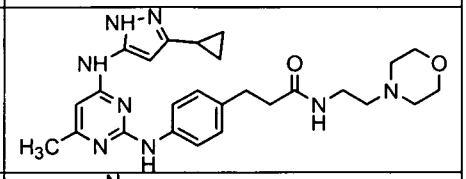
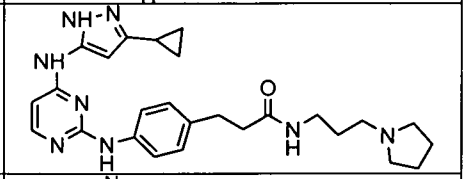
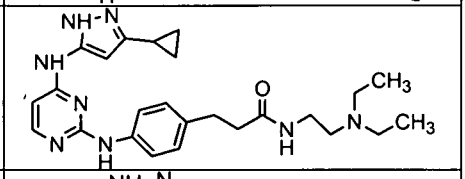
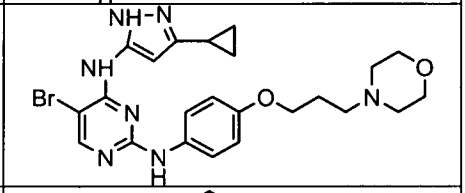
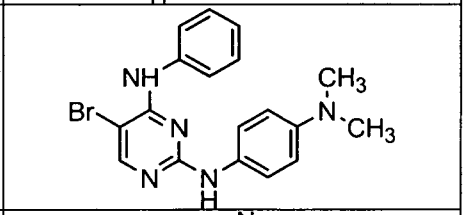
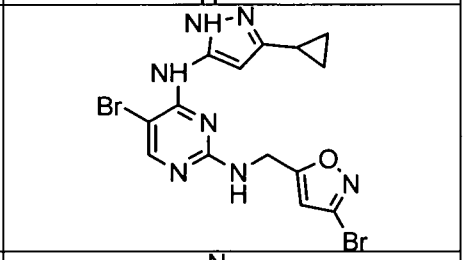
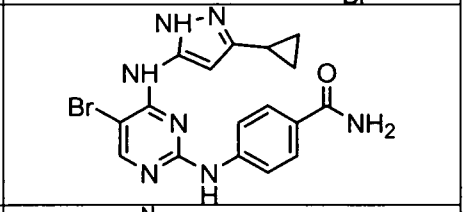
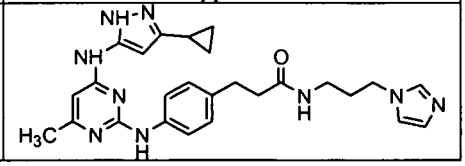
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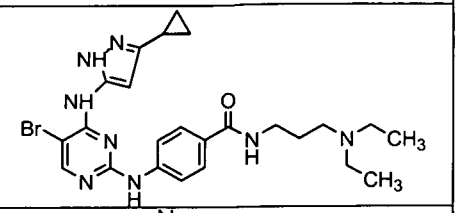
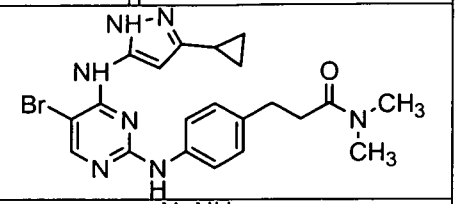
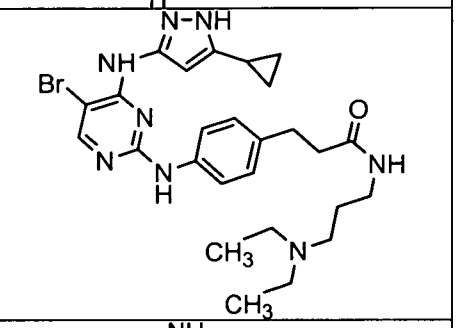
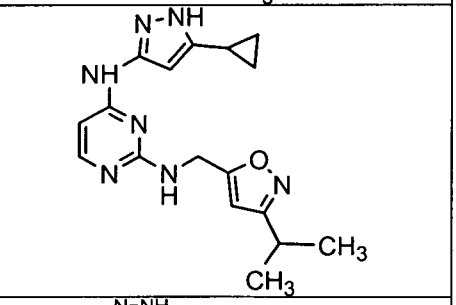
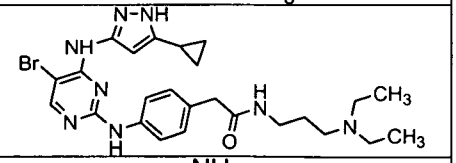
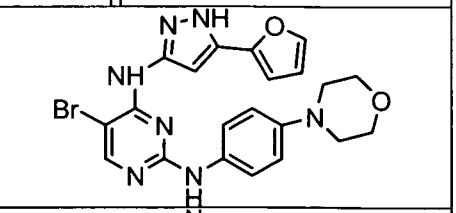
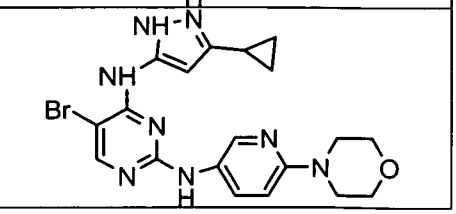
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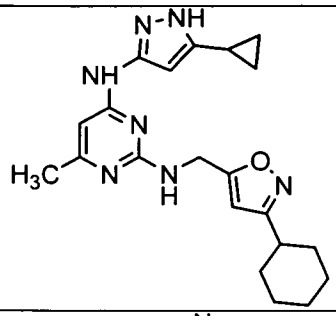
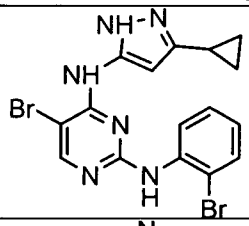
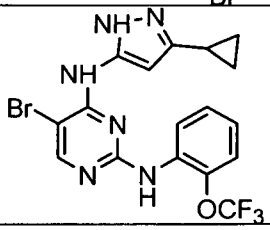
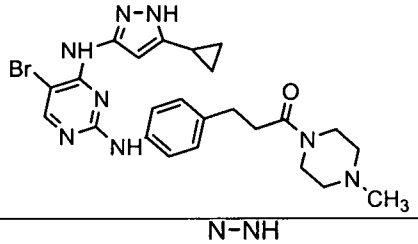
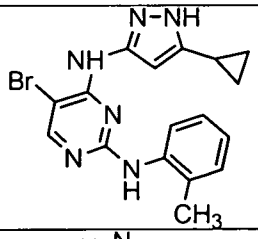
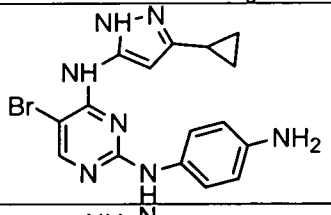
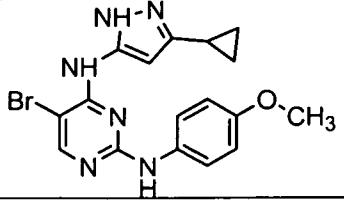
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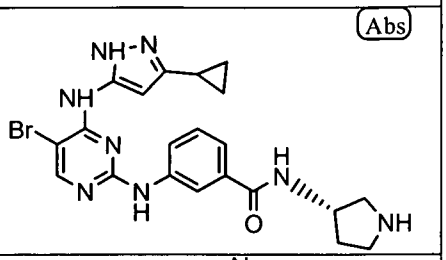
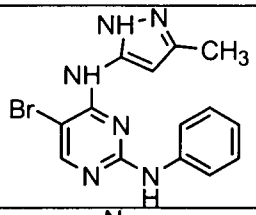
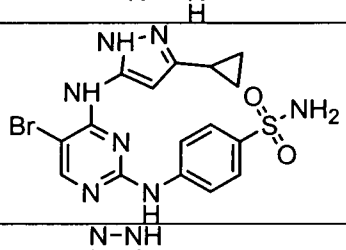
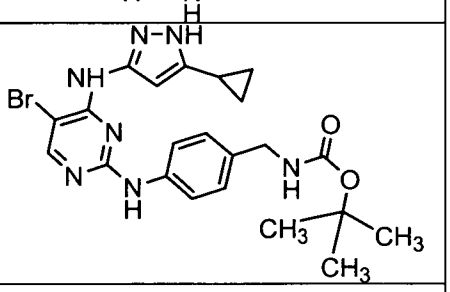
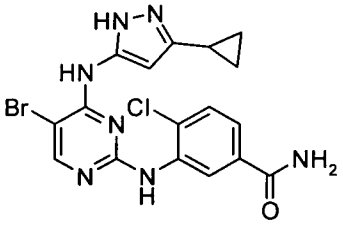
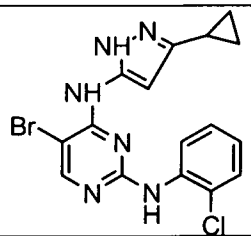
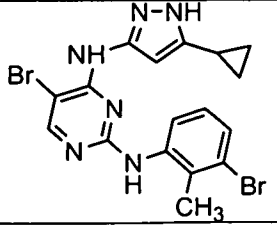
Entry	Structure
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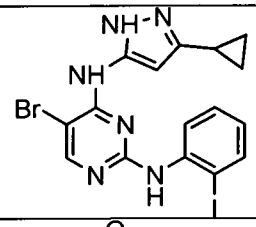
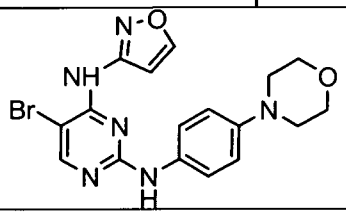
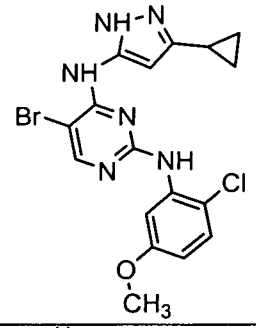
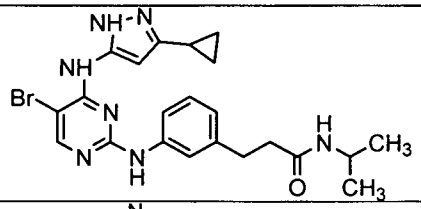
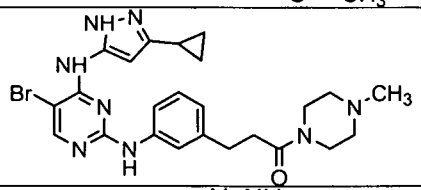
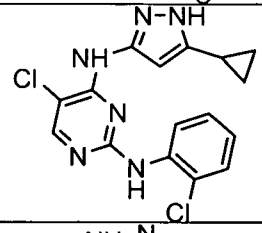
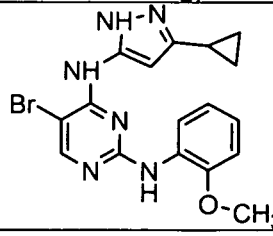
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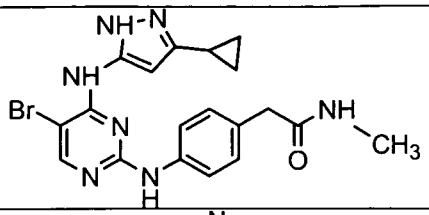
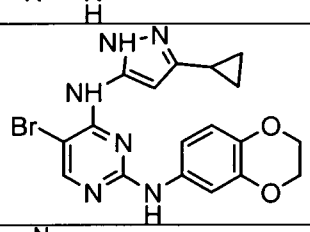
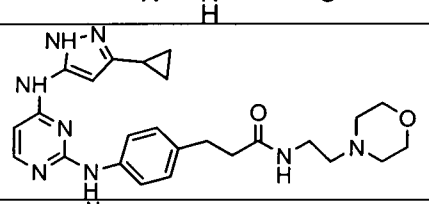
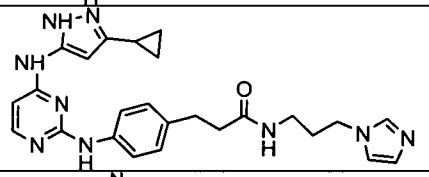
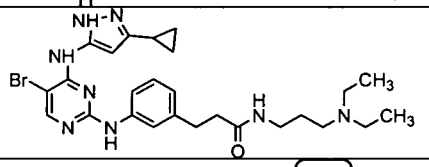
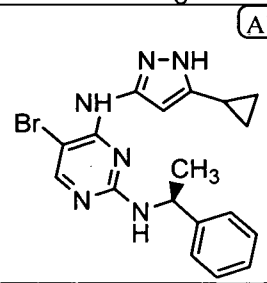
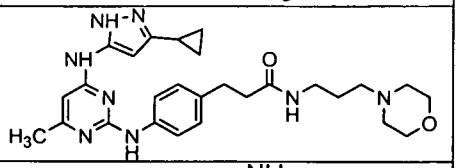
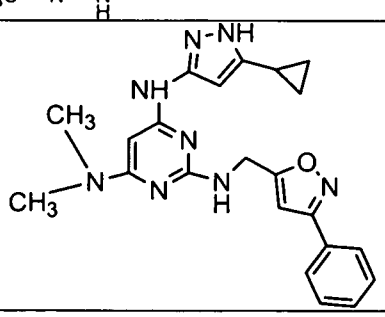
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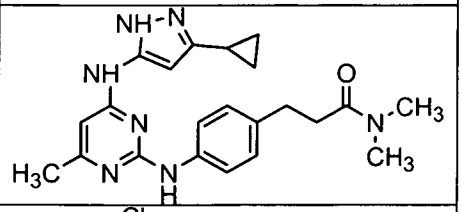
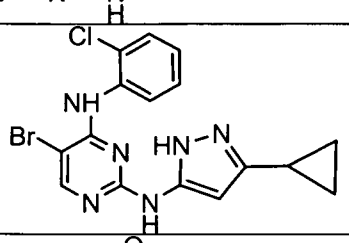
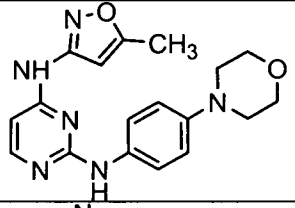
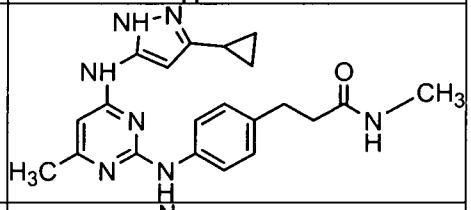
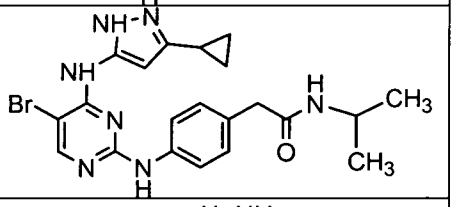
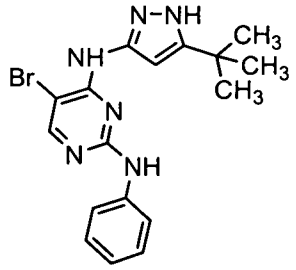
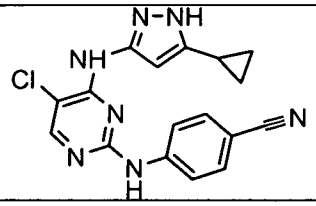
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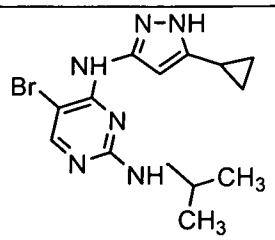
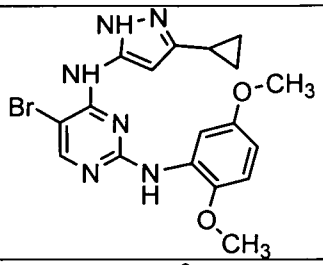
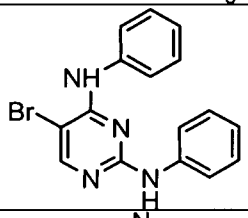
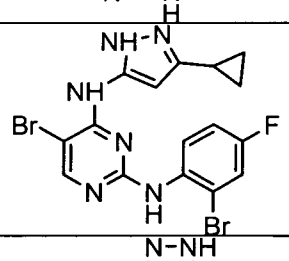
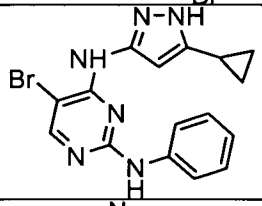
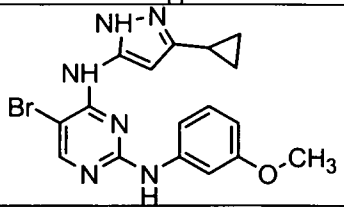
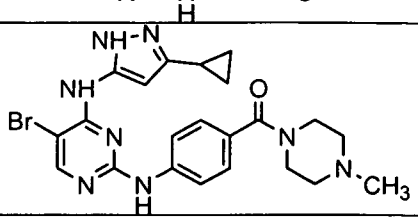
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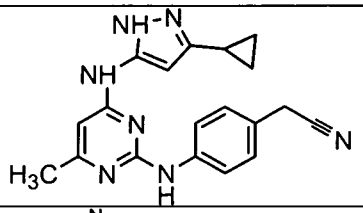
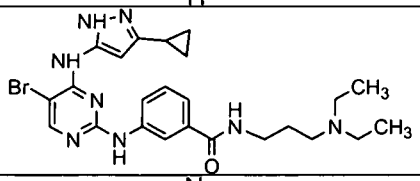
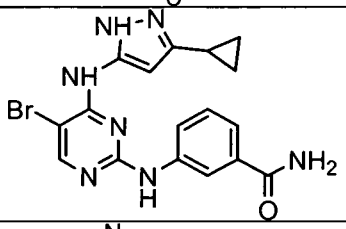
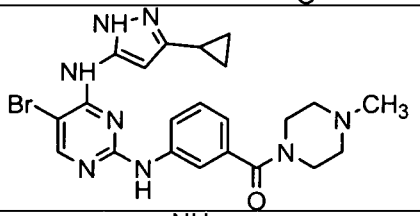
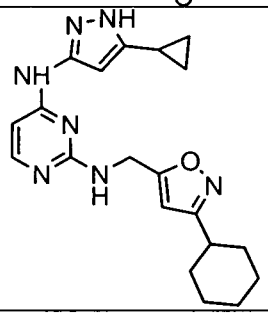
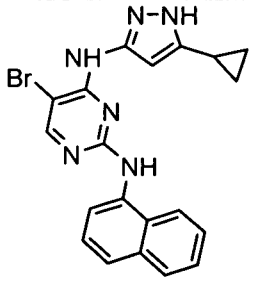
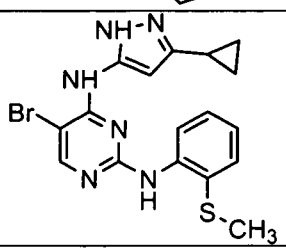
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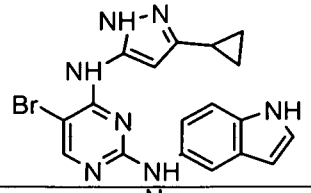
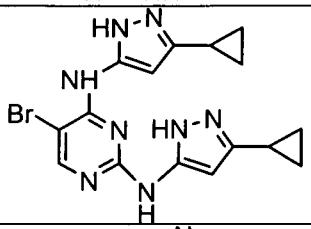
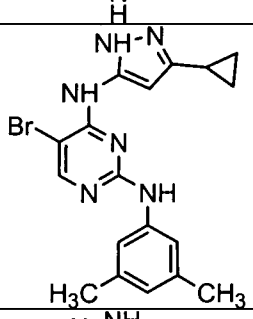
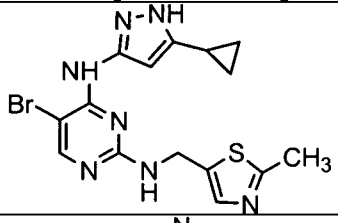
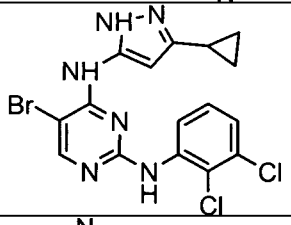
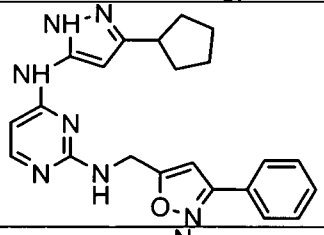
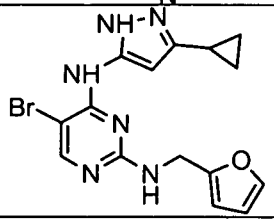
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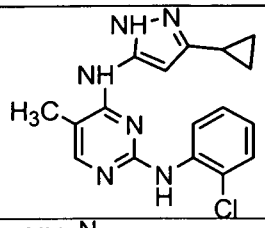
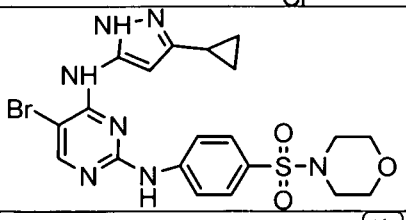
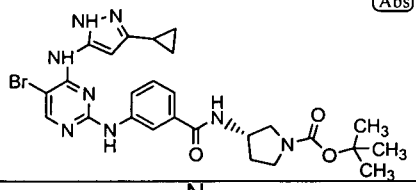
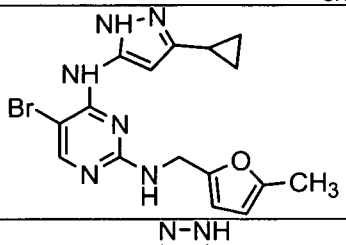
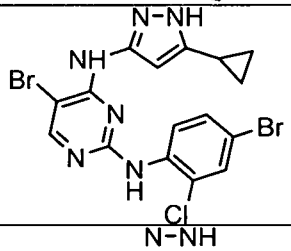
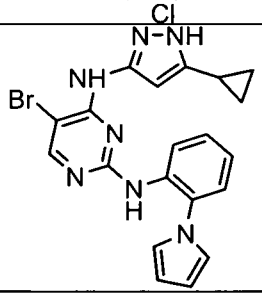
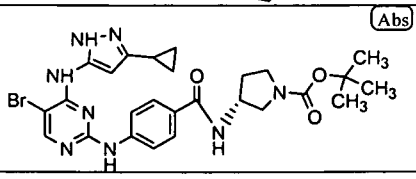
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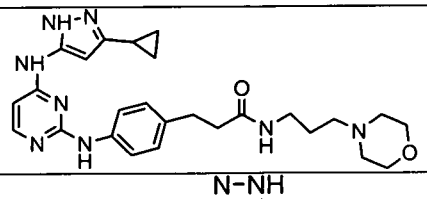
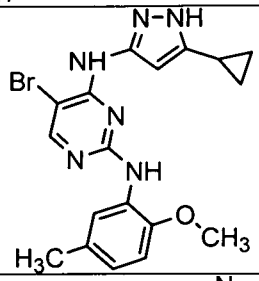
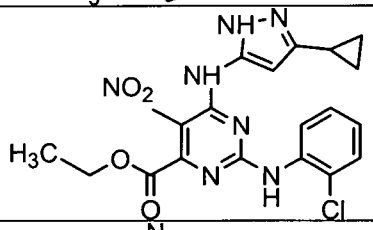
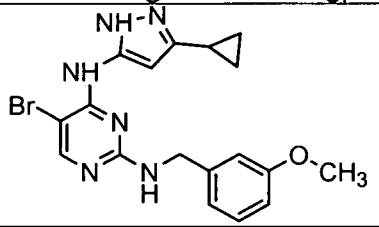
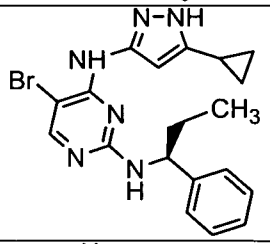
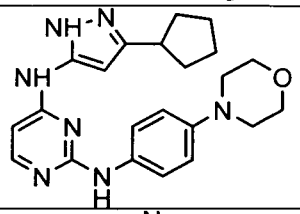
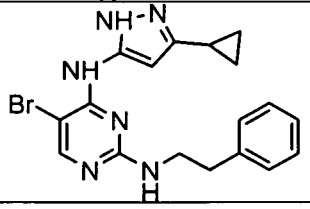
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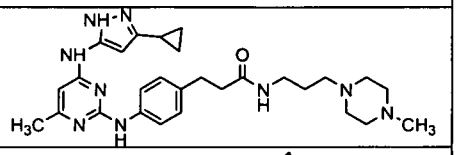
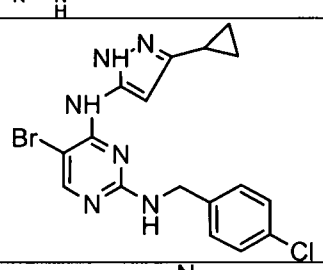
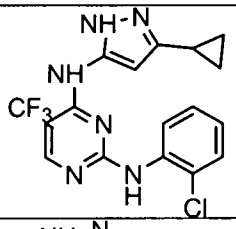
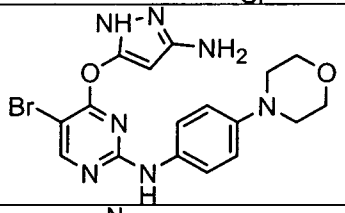
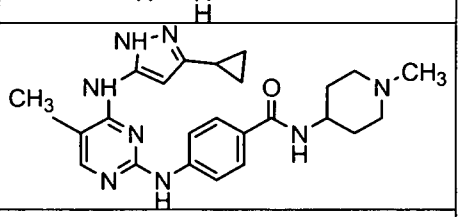
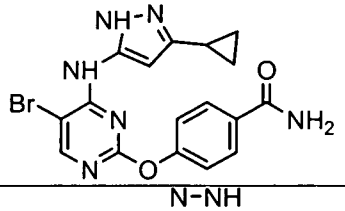
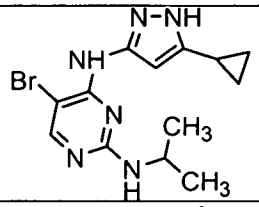
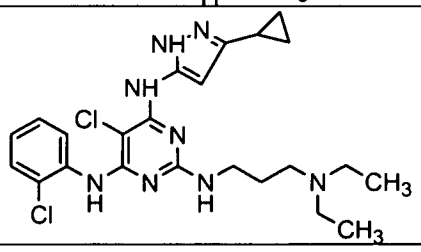
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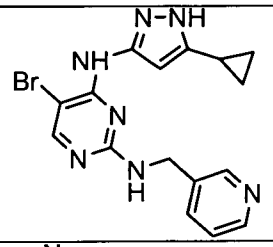
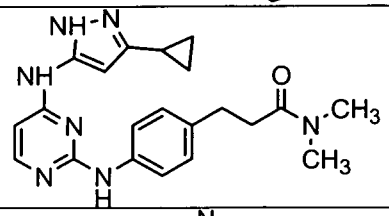
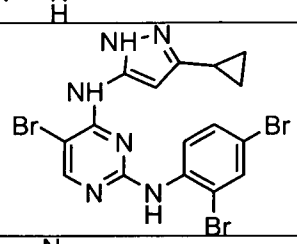
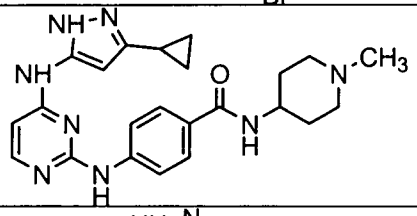
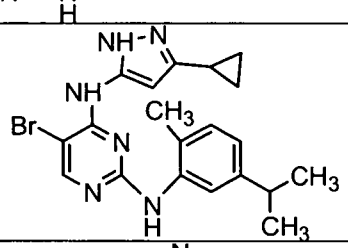
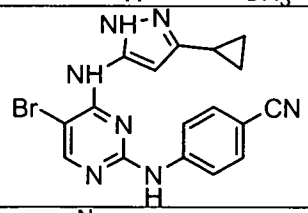
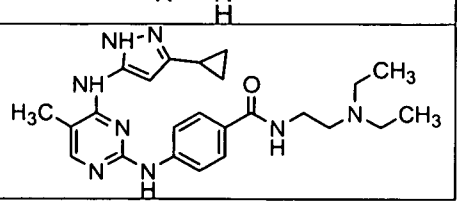
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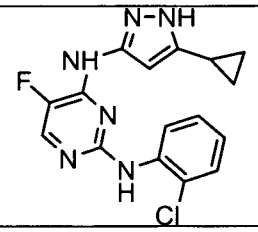
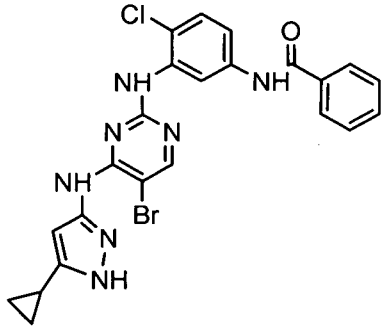
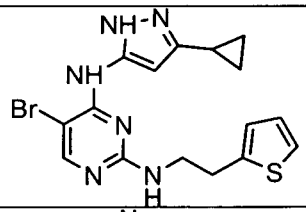
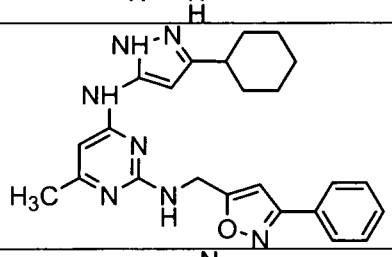
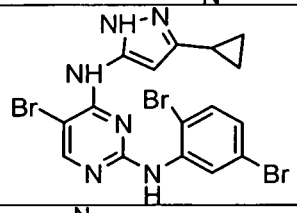
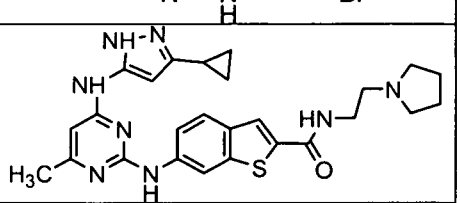
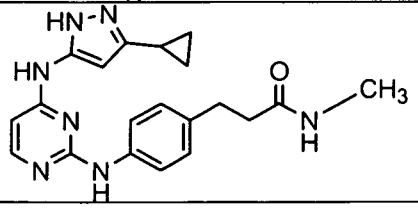
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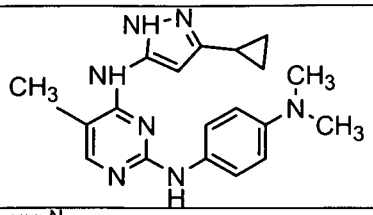
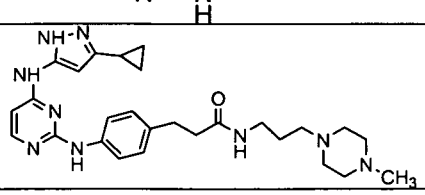
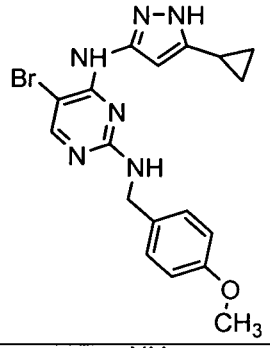
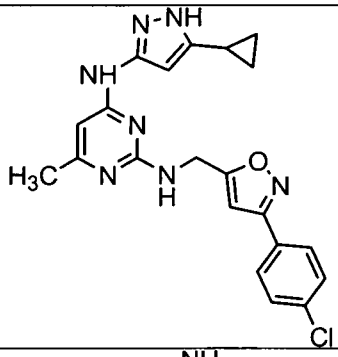
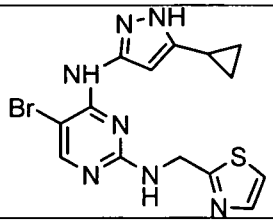
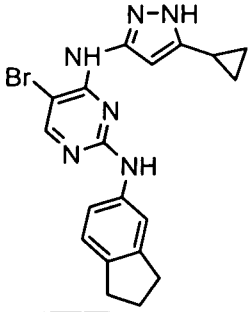
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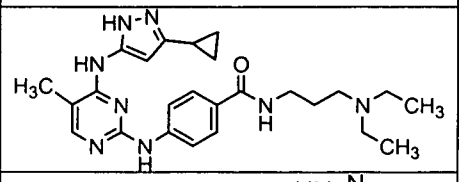
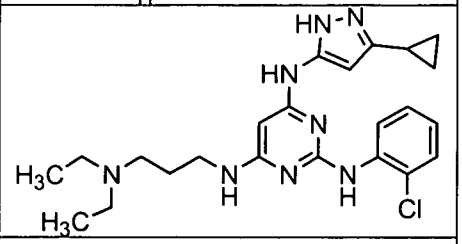
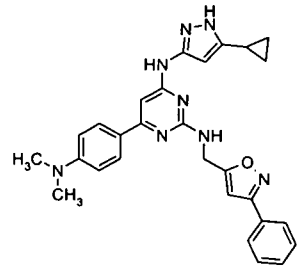
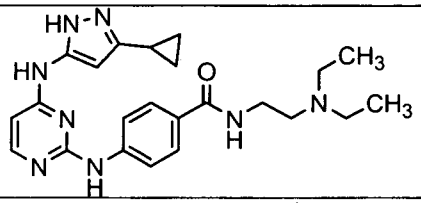
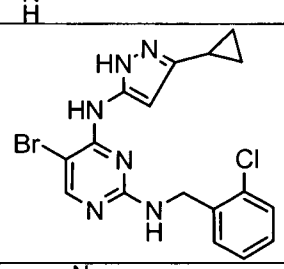
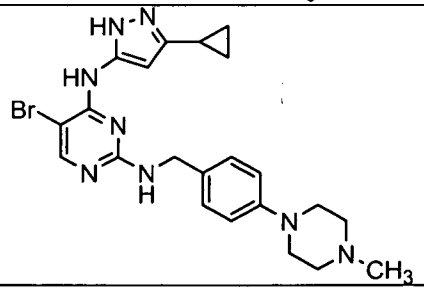
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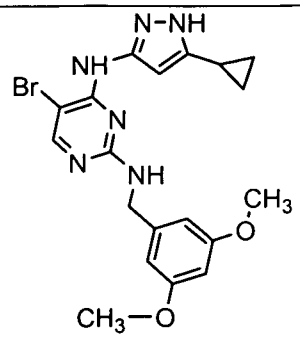
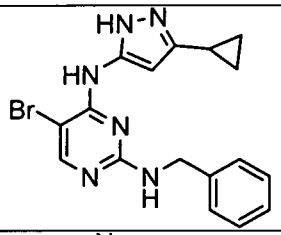
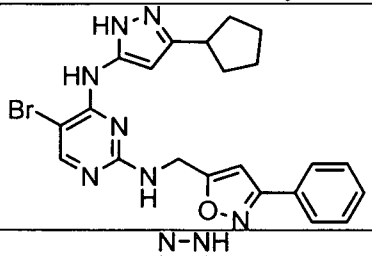
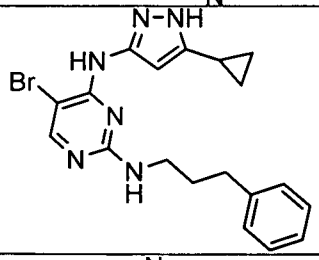
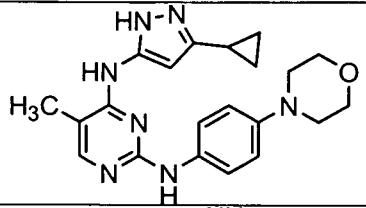
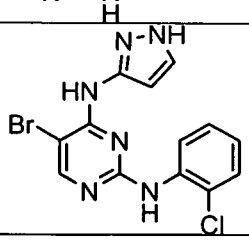
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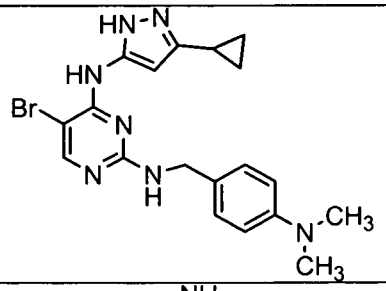
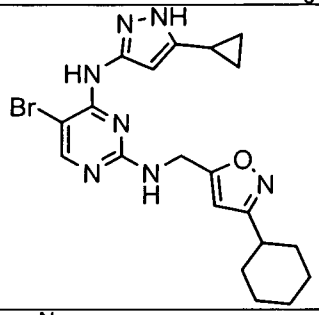
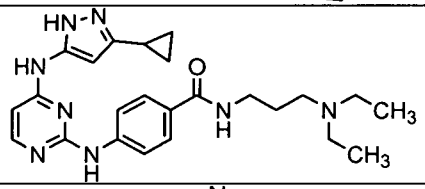
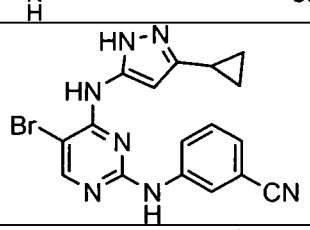
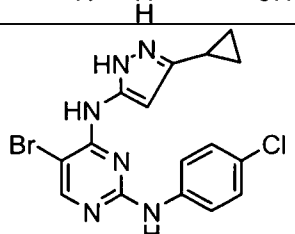
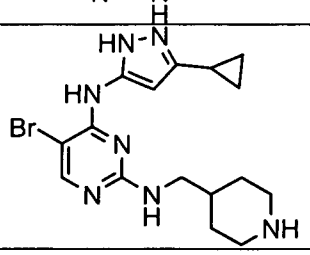
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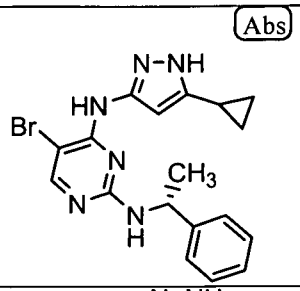
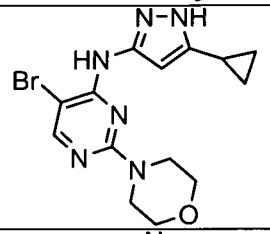
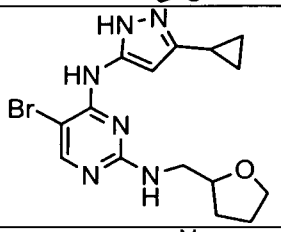
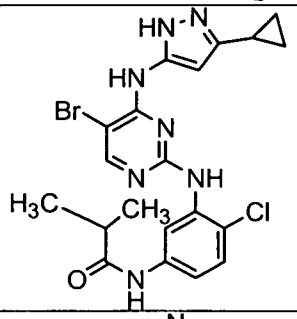
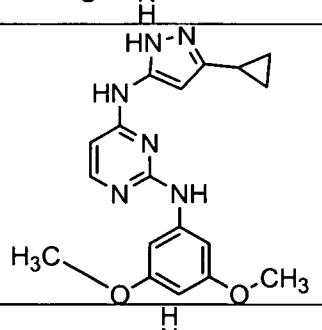
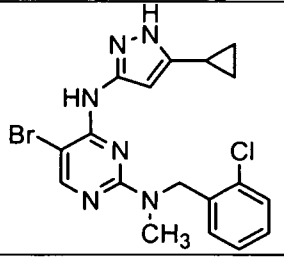
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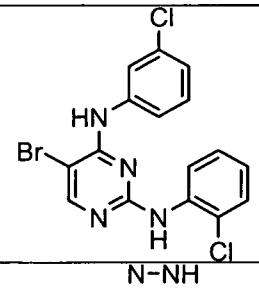
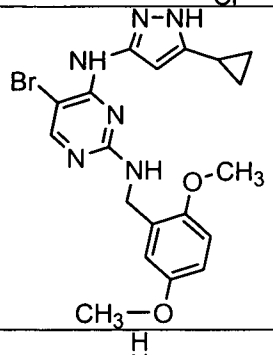
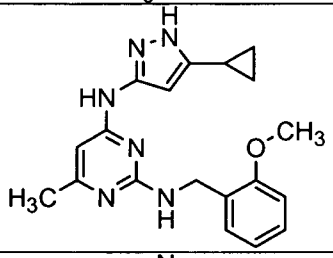
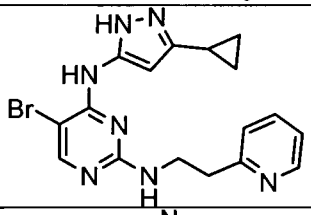
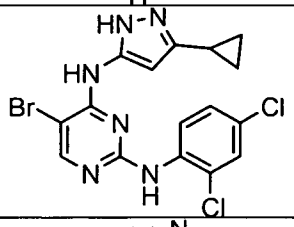
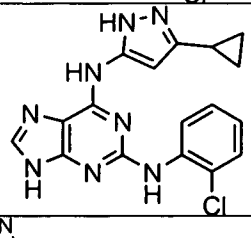
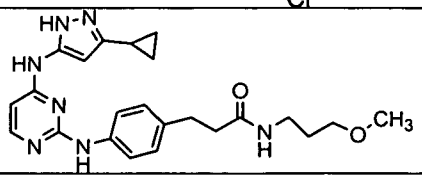
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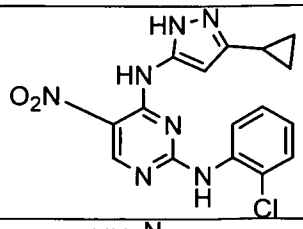
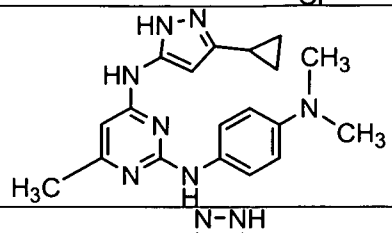
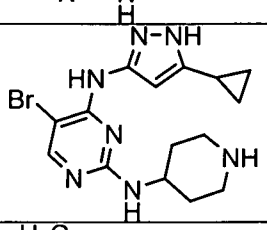
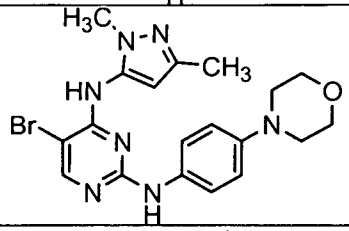
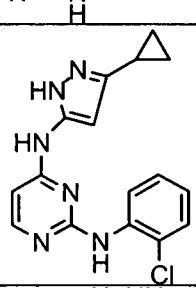
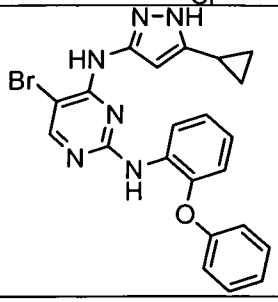
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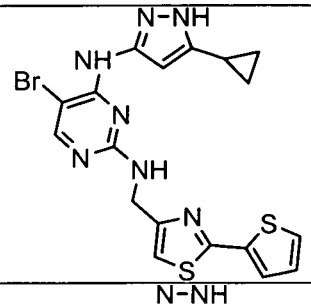
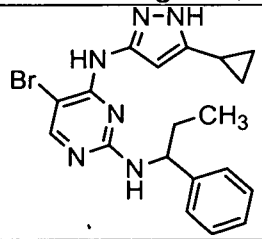
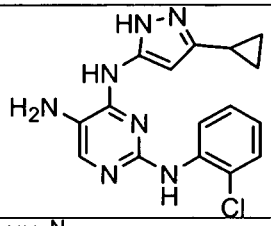
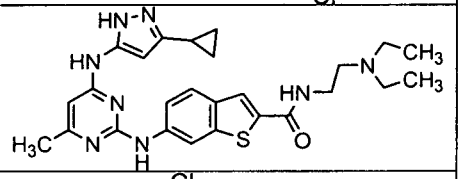
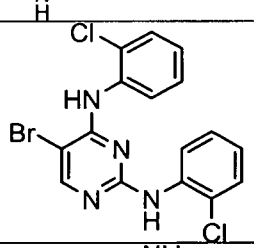
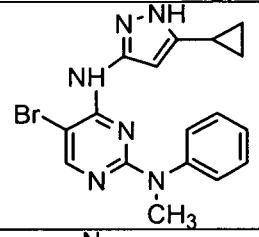
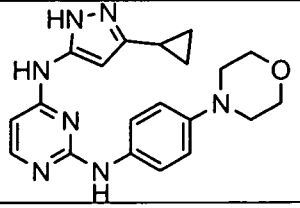
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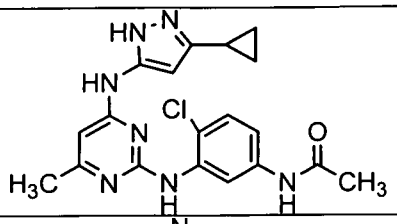
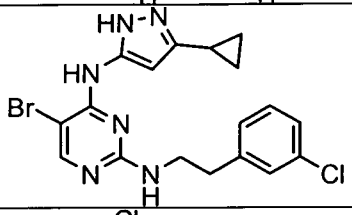
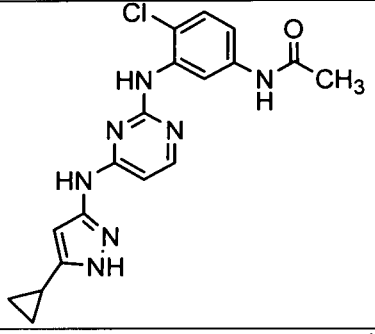
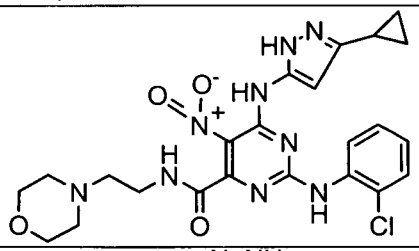
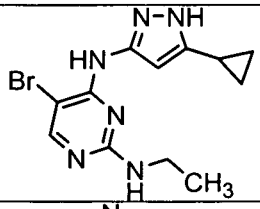
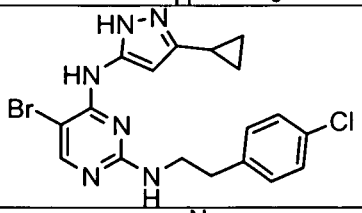
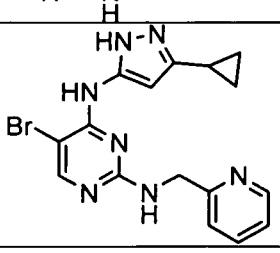
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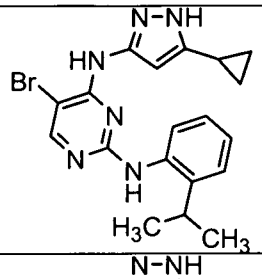
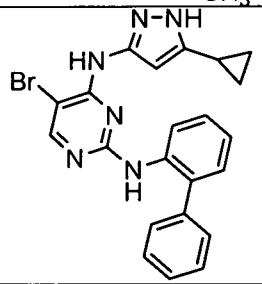
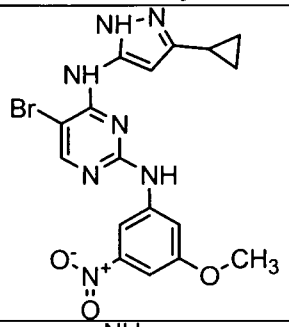
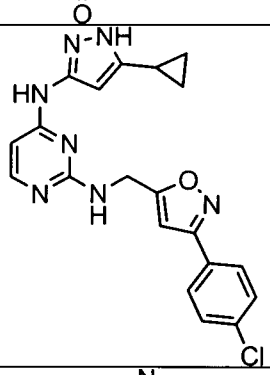
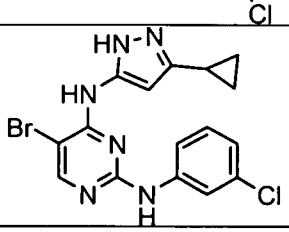
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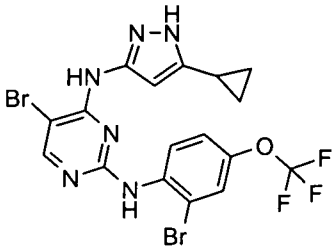
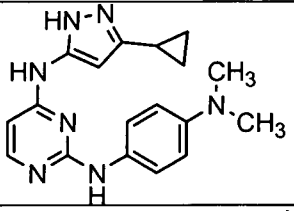
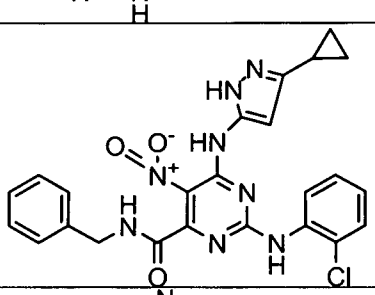
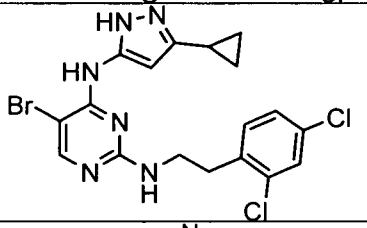
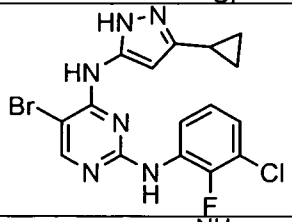
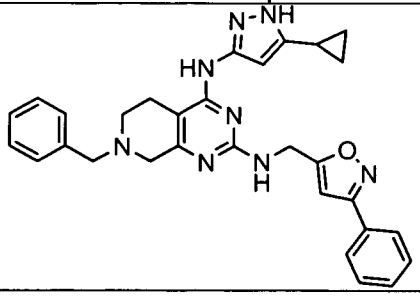
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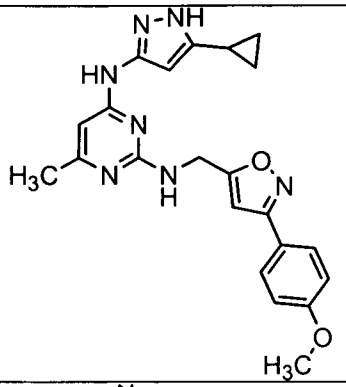
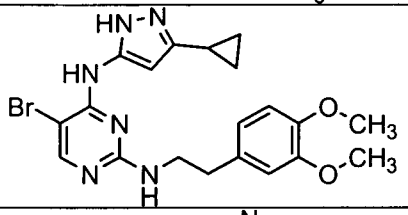
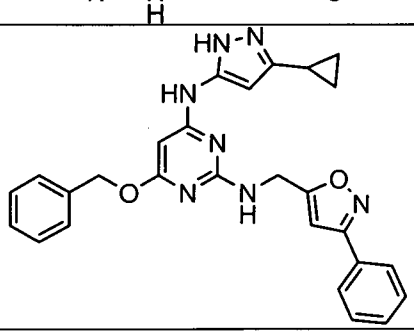
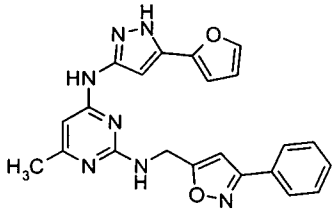
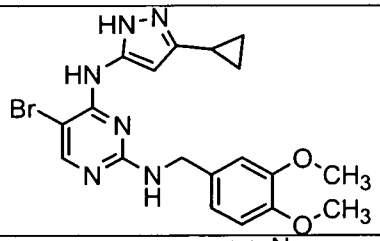
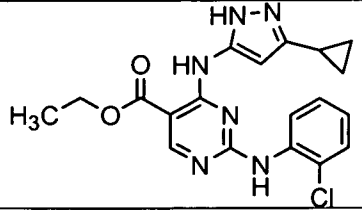
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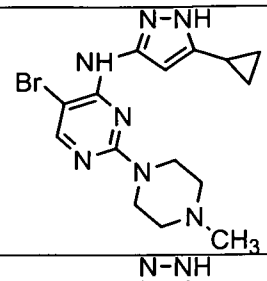
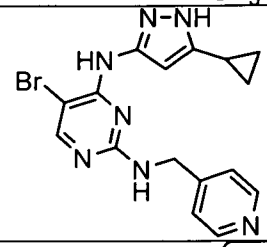
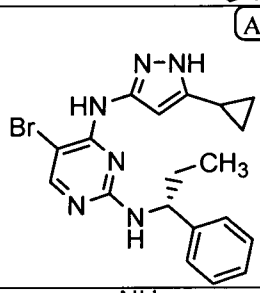
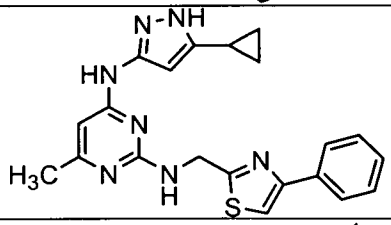
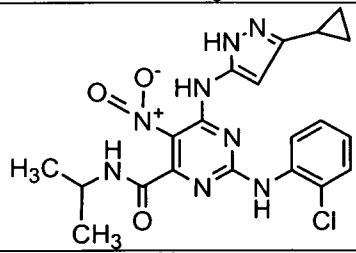
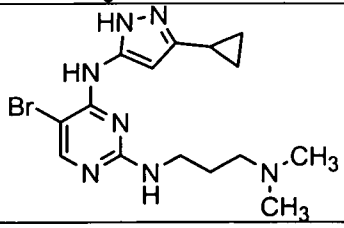
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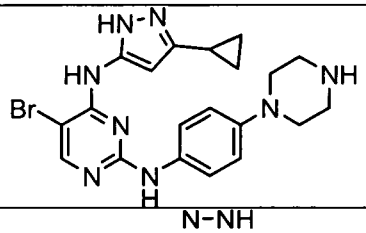
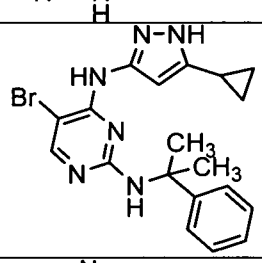
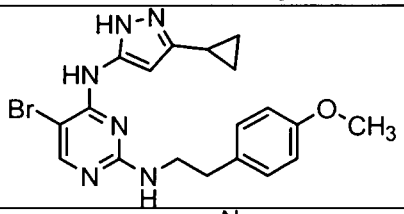
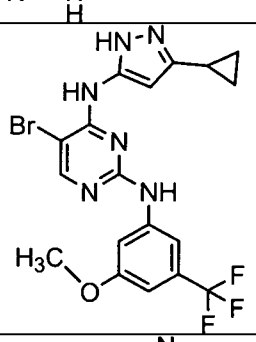
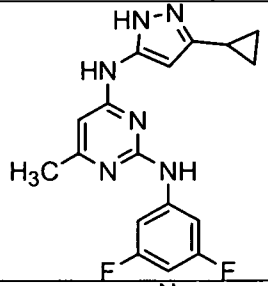
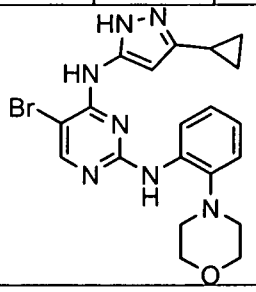
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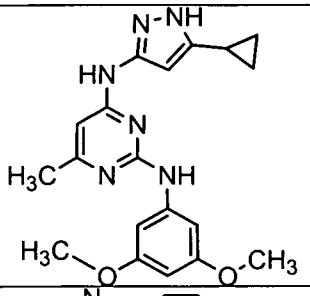
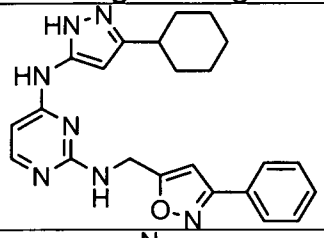
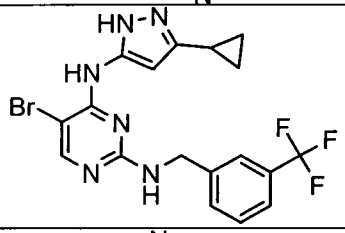
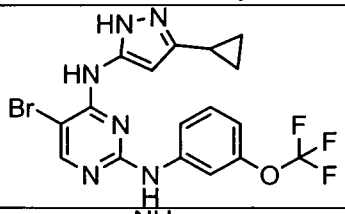
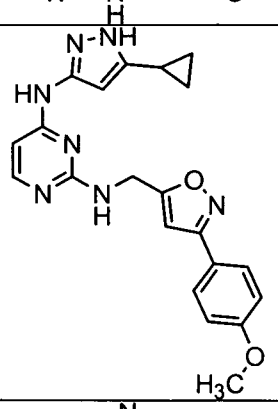
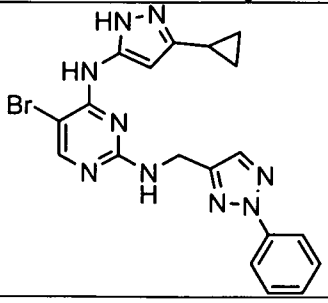
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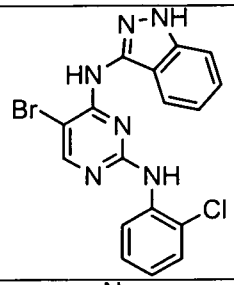
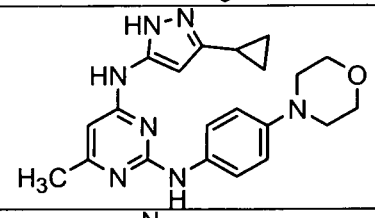
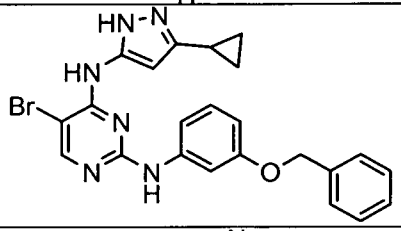
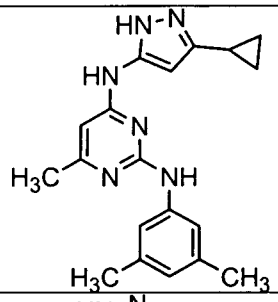
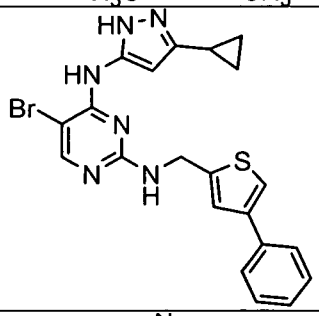
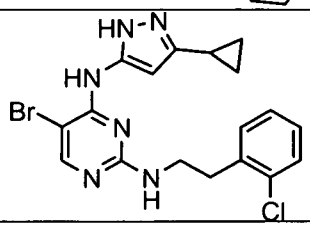
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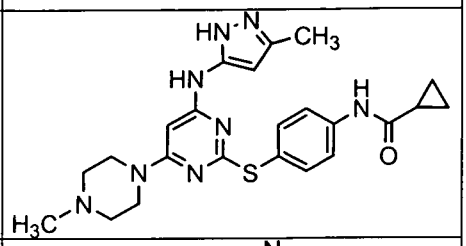
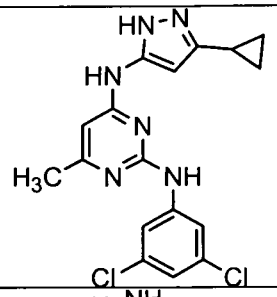
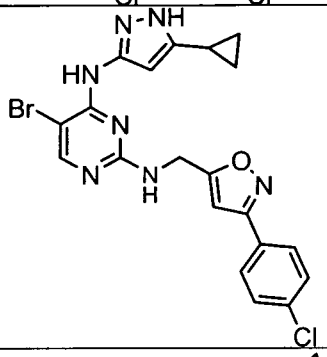
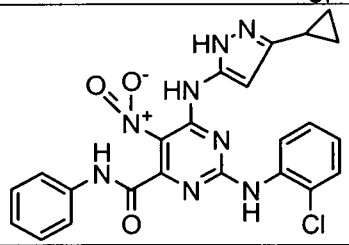
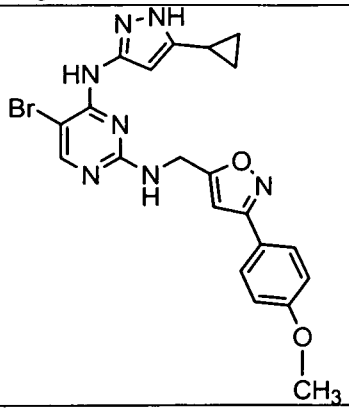
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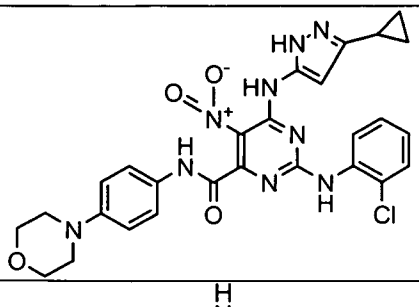
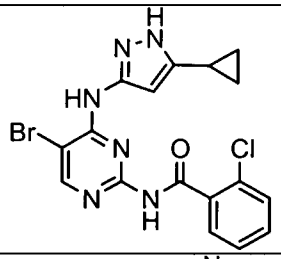
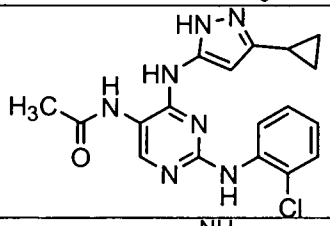
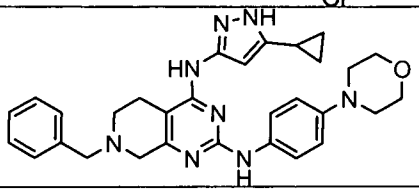
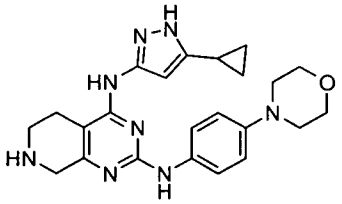
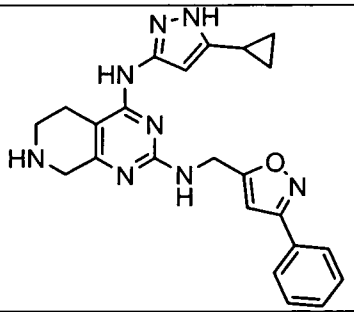
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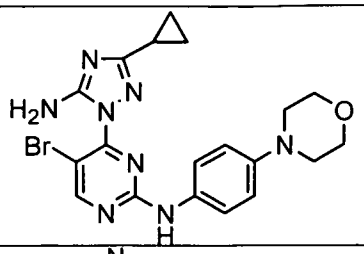
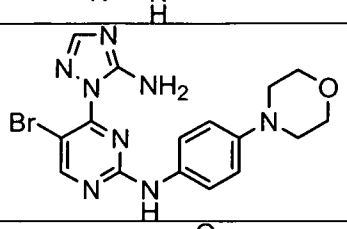
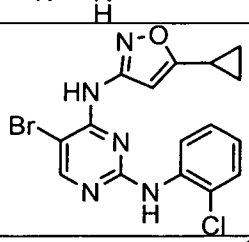
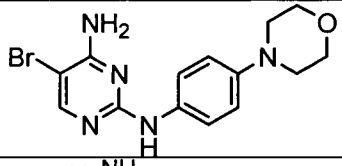
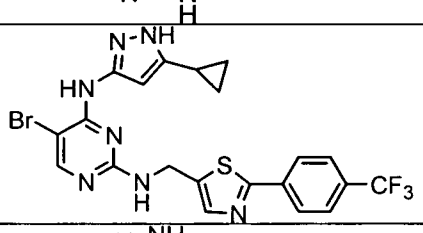
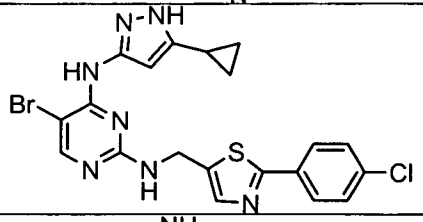
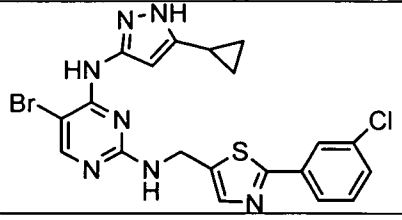
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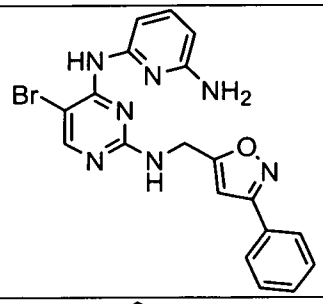
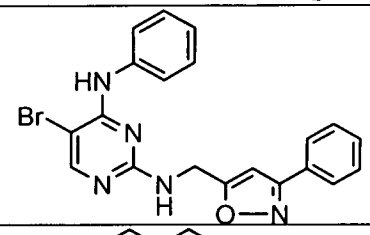
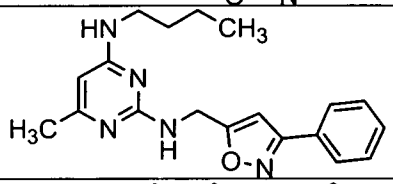
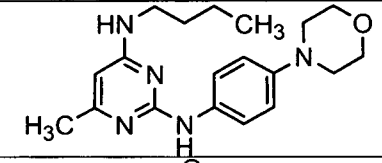
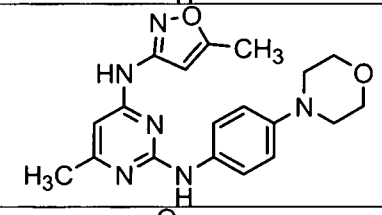
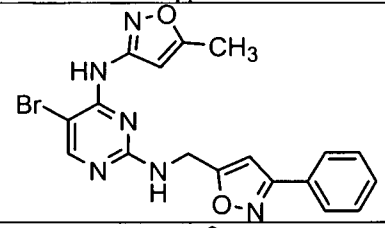
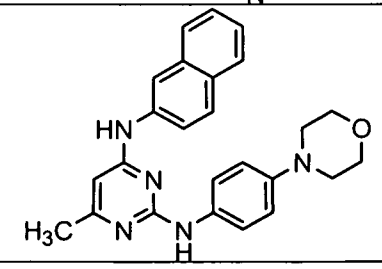
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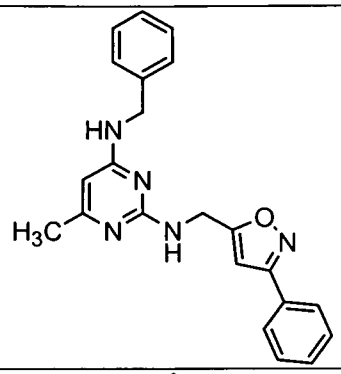
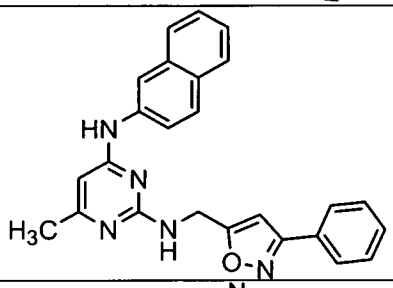
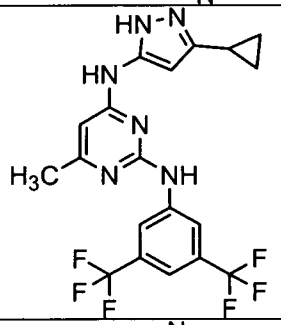
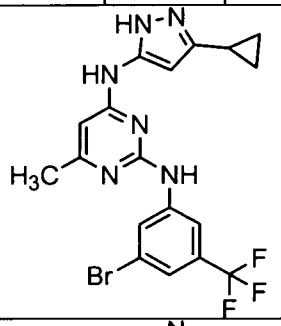
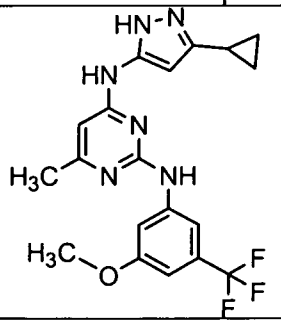
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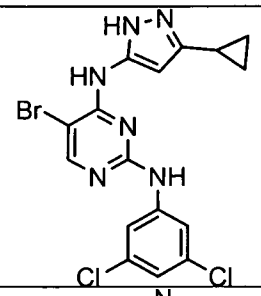
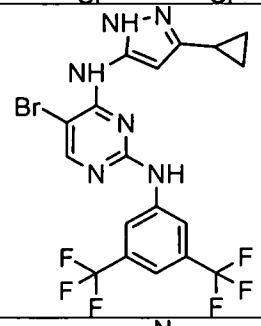
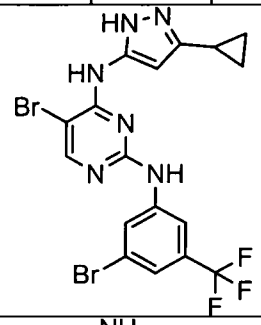
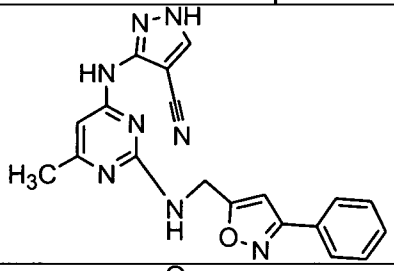
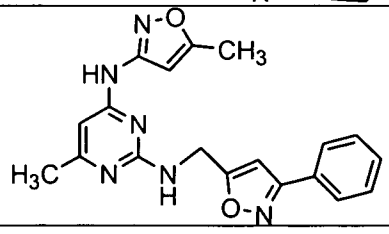
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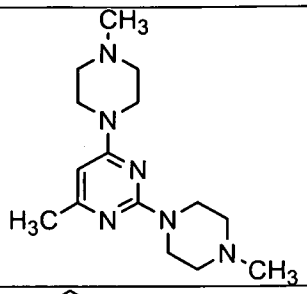
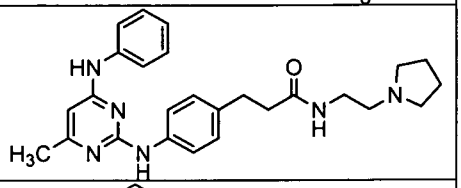
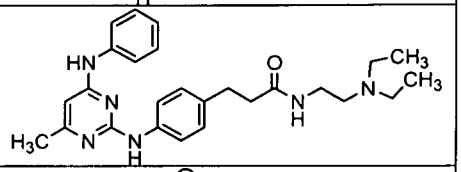
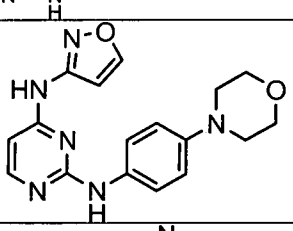
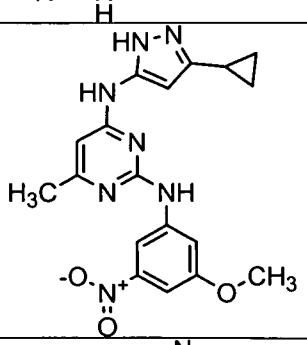
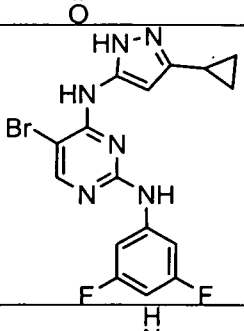
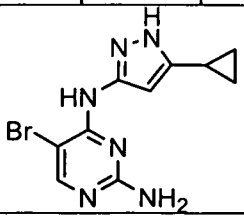
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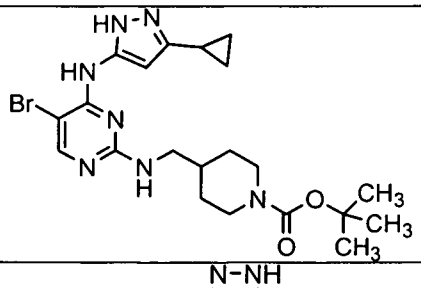
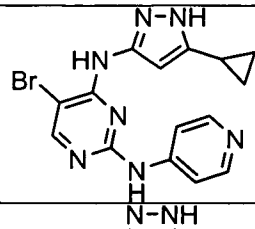
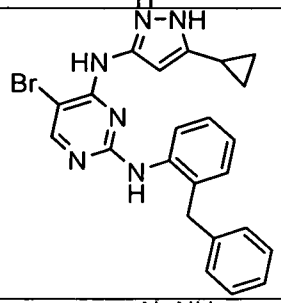
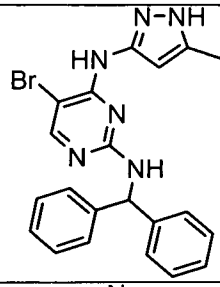
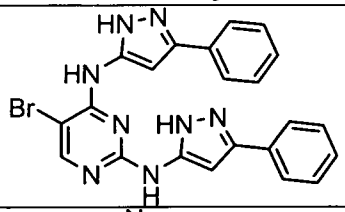
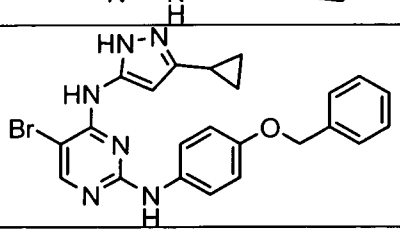
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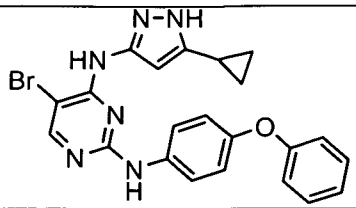
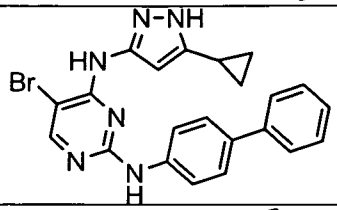
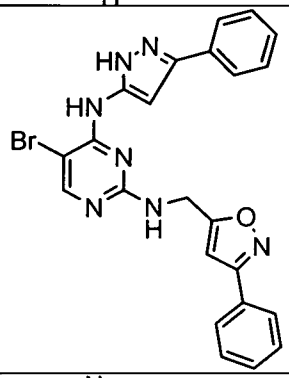
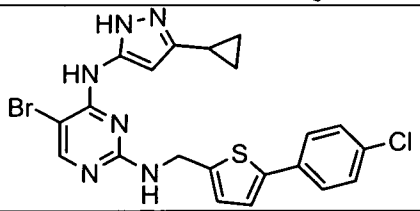
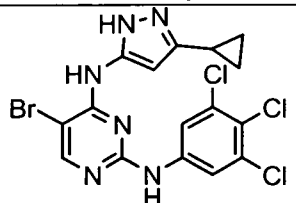
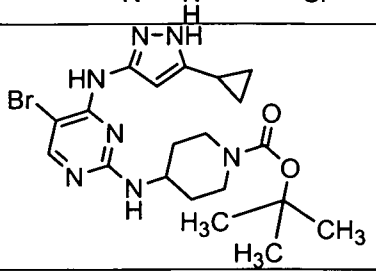
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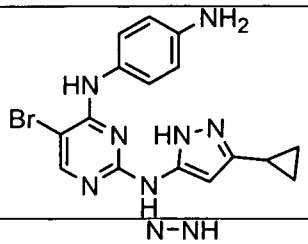
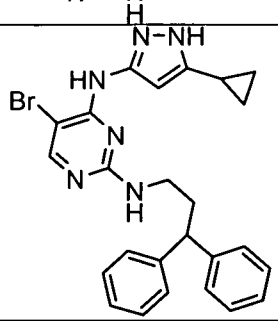
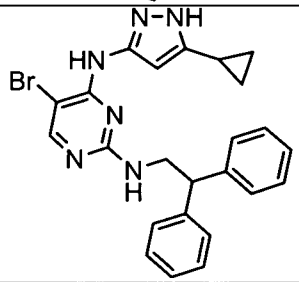
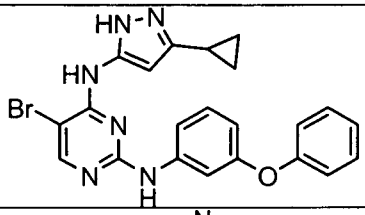
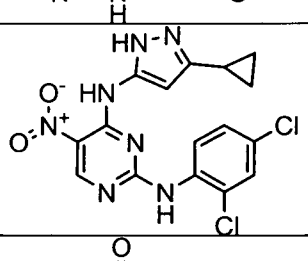
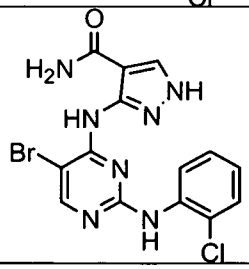
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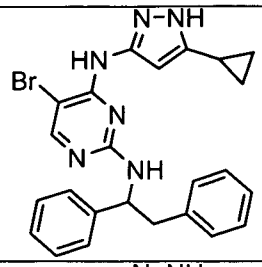
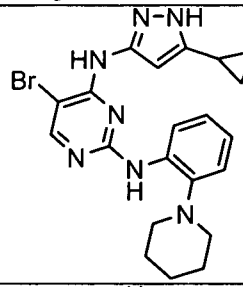
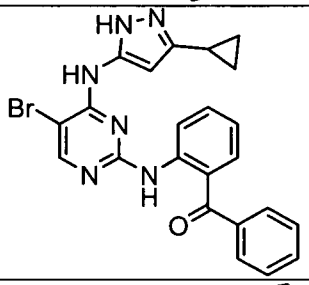
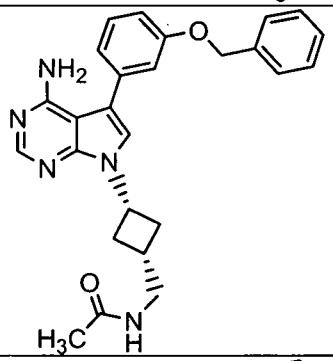
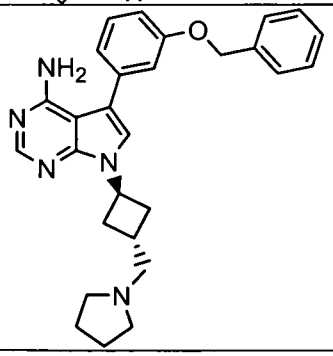
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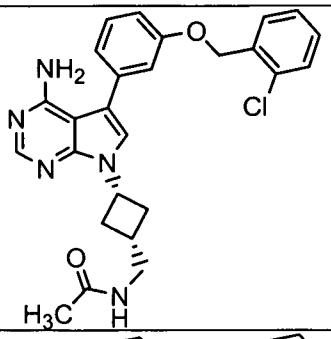
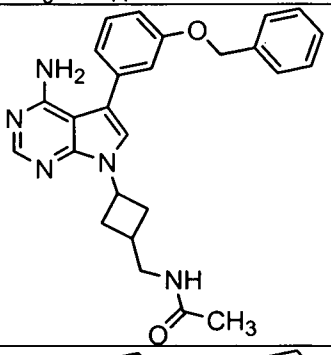
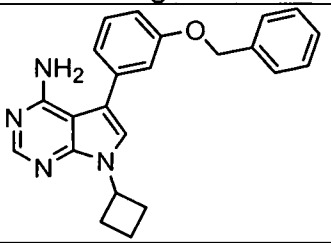
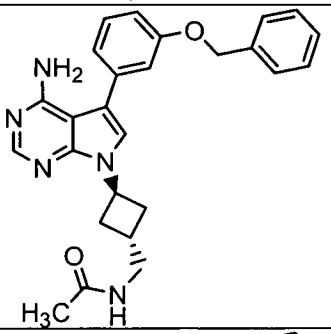
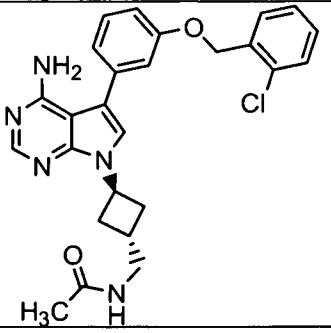
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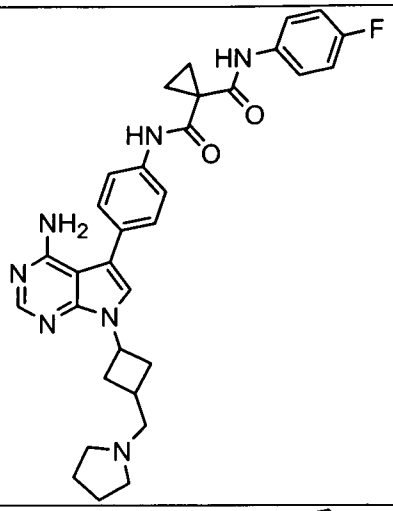
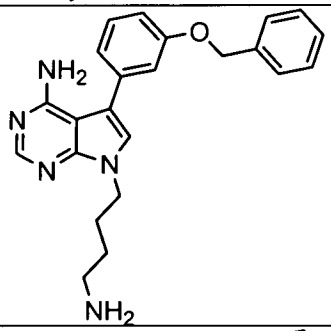
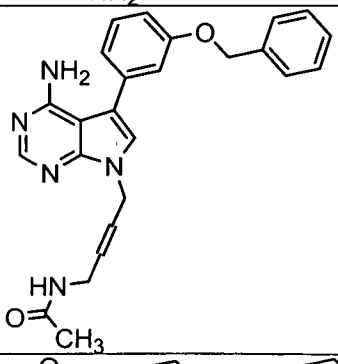
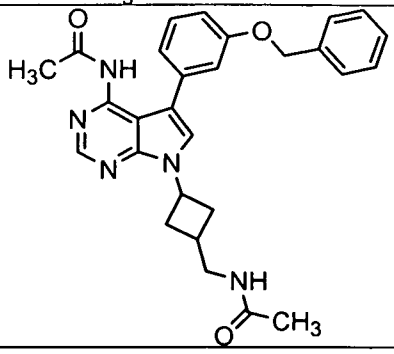
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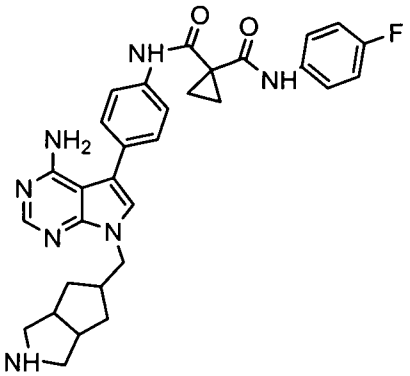
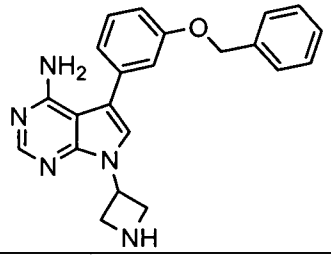
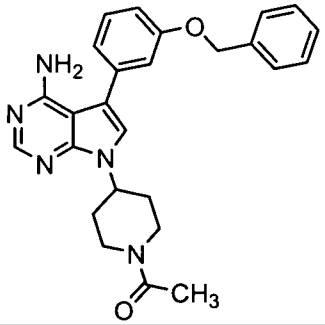
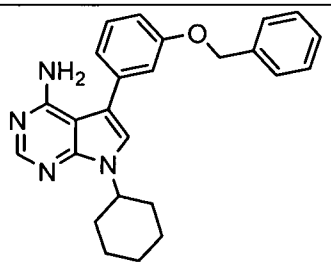
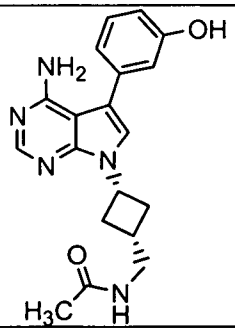
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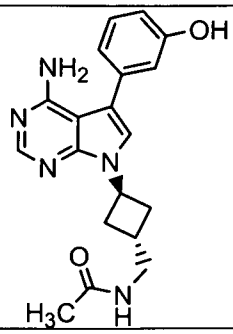
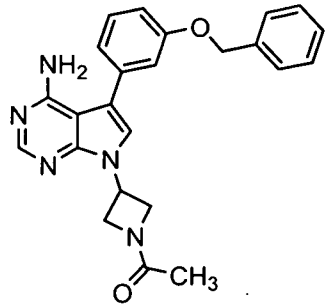
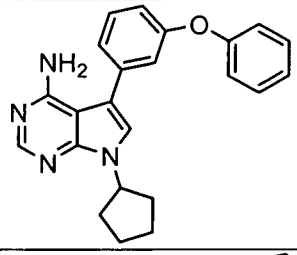
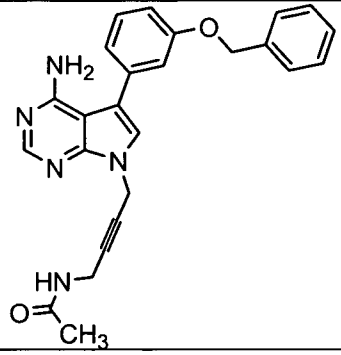
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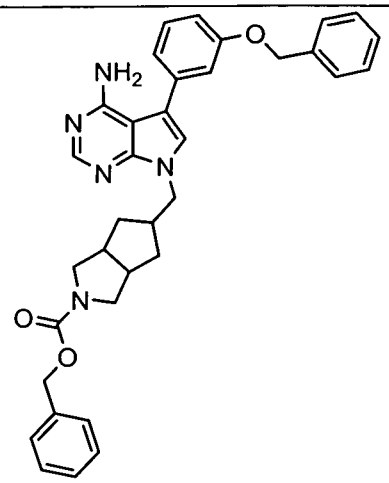
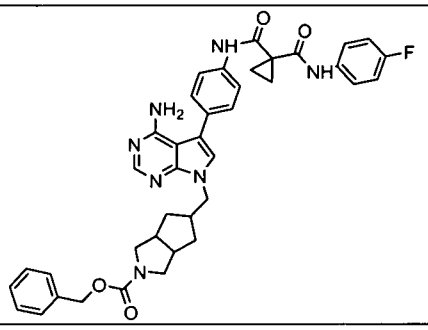
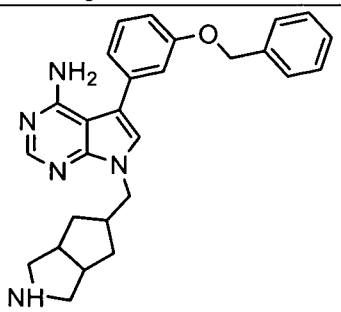
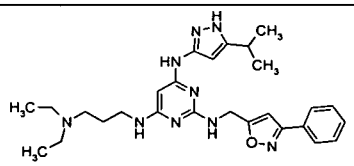
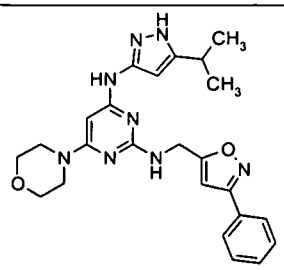
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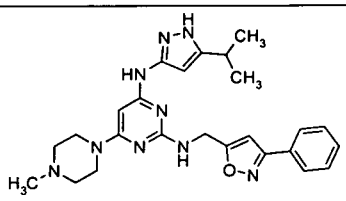
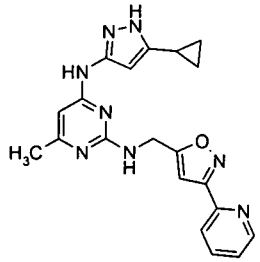
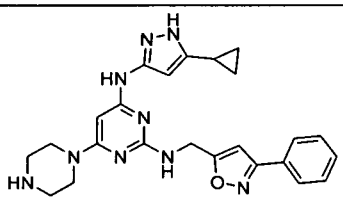
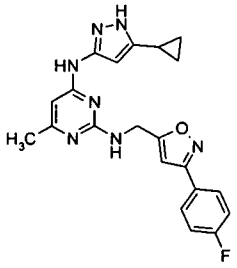
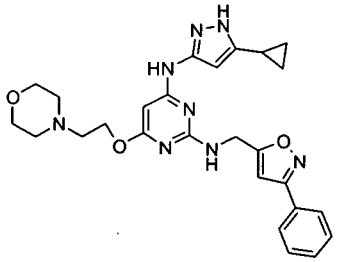
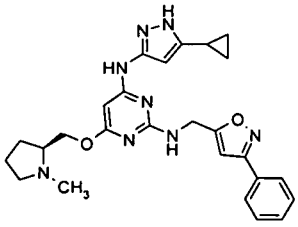
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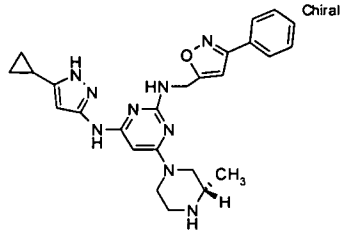
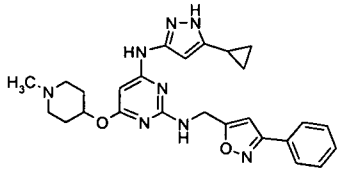
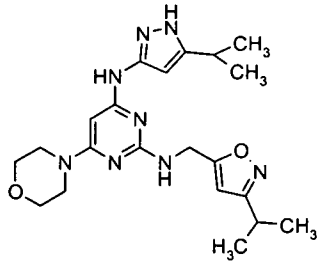
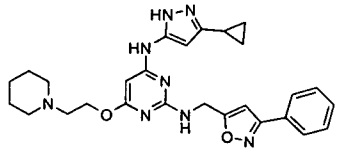
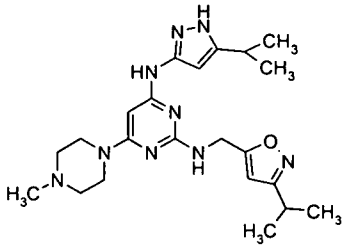
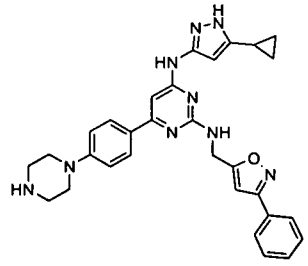
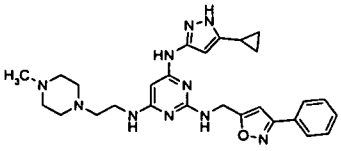
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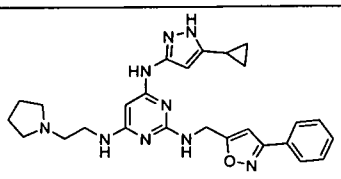
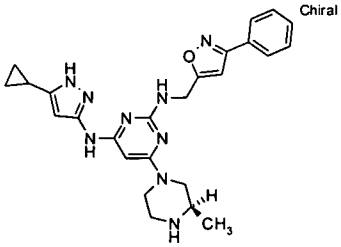
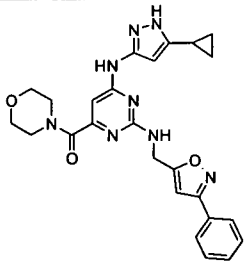
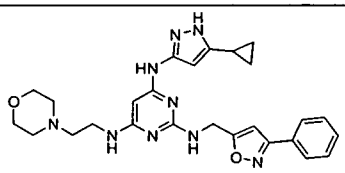
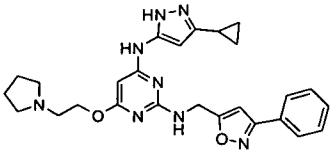
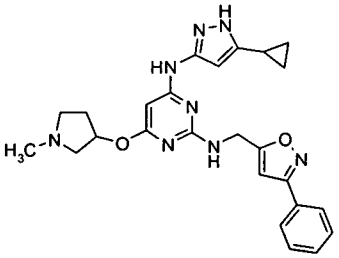
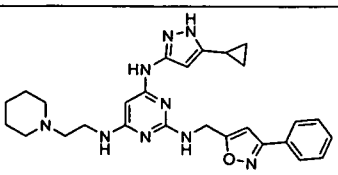
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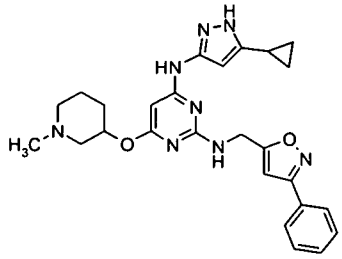
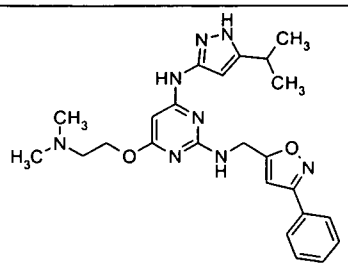
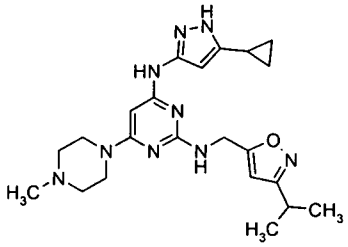
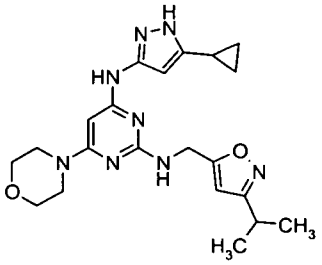
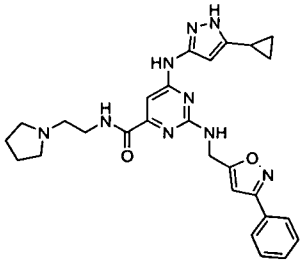
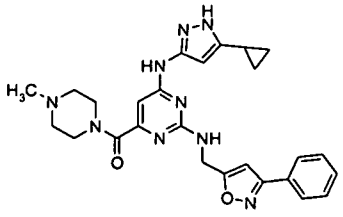
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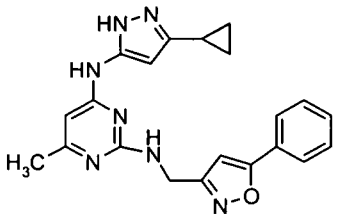
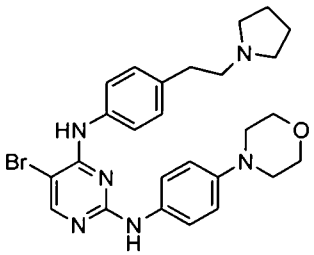
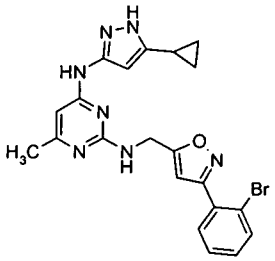
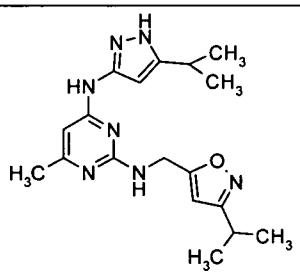
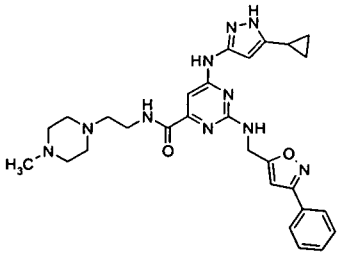
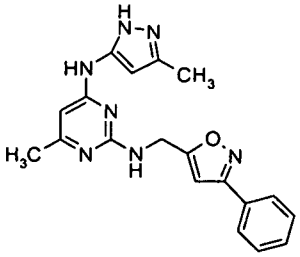
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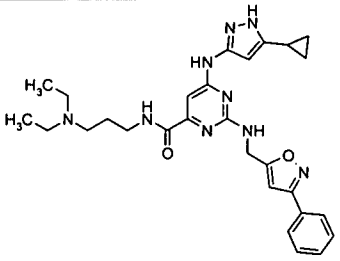
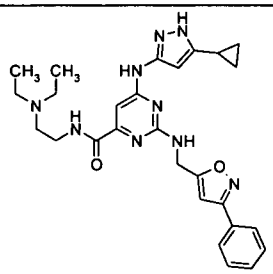
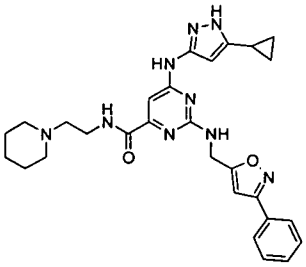
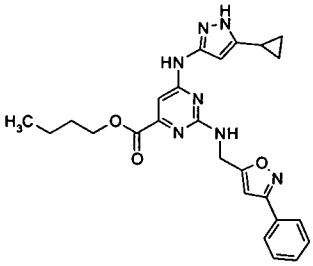
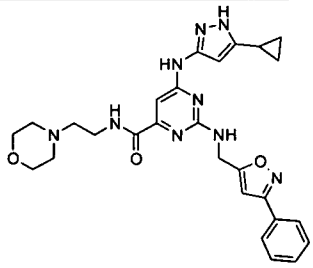
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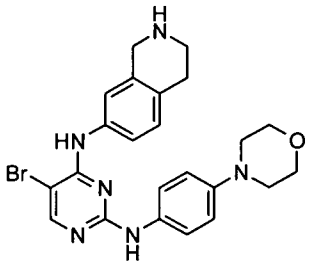
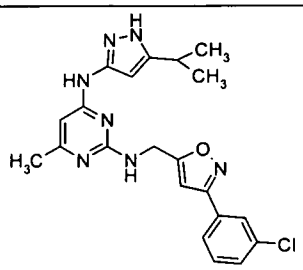
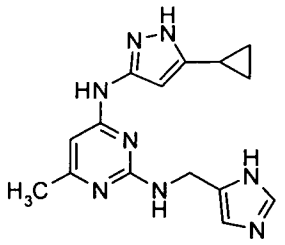
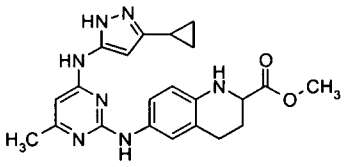
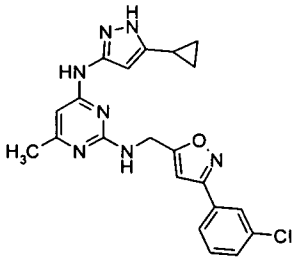
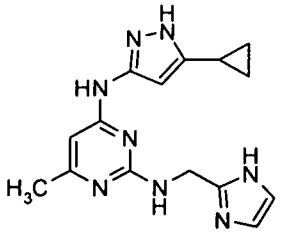
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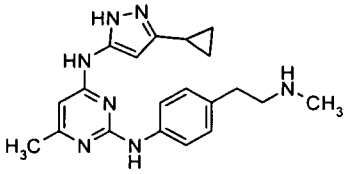
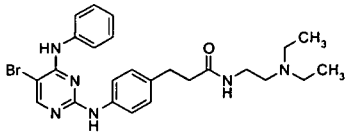
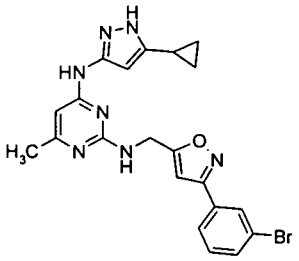
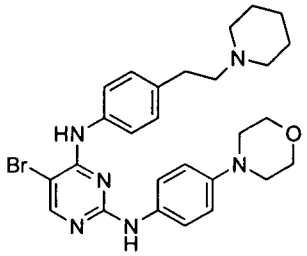
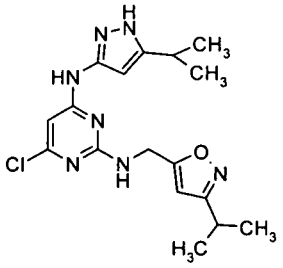
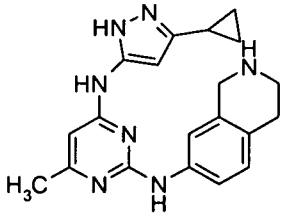
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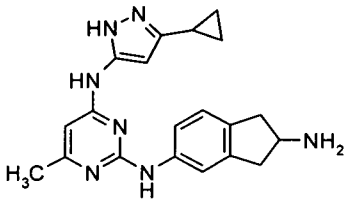
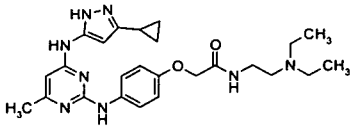
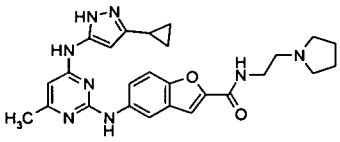
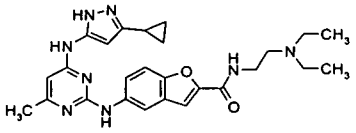
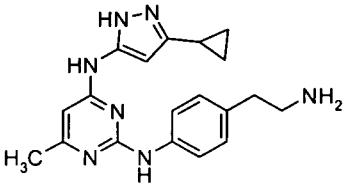
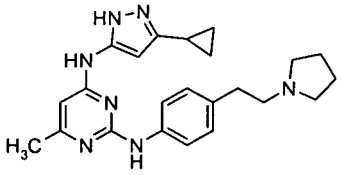
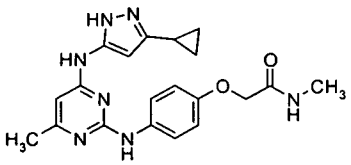
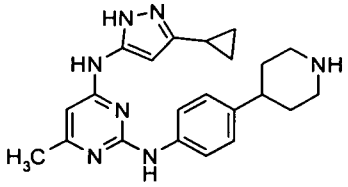
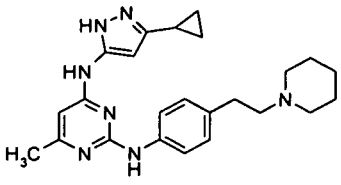
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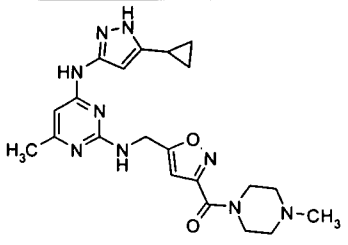
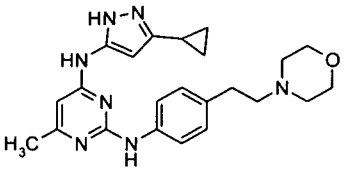
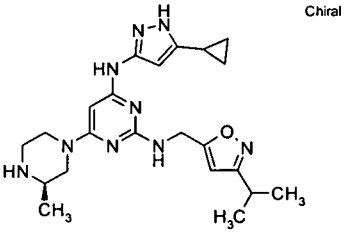
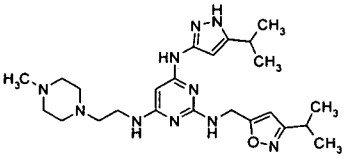
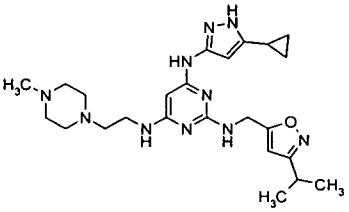
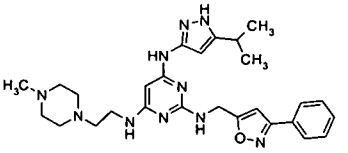
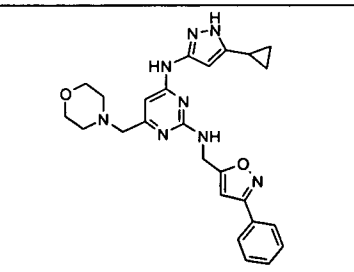
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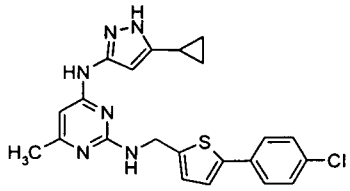
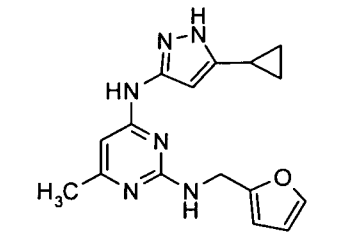
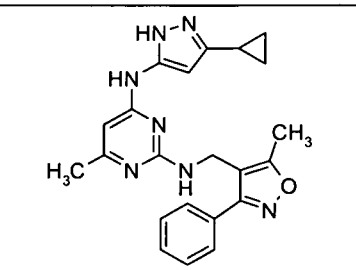
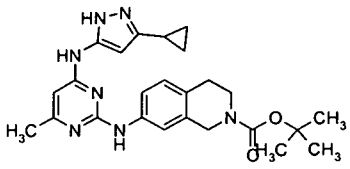
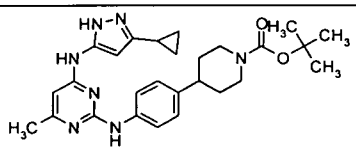
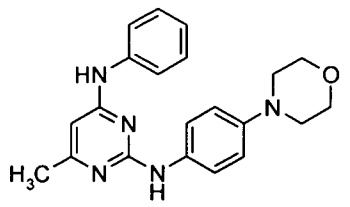
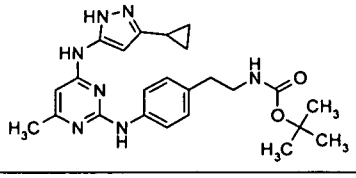
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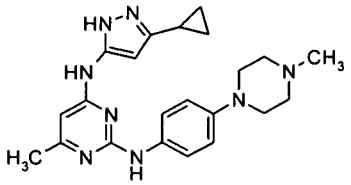
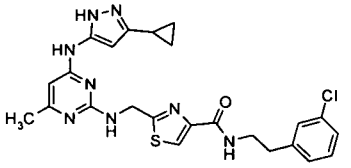
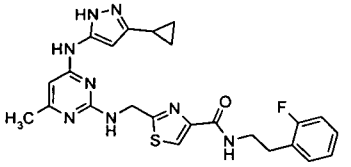
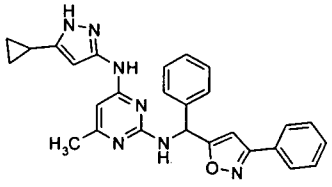
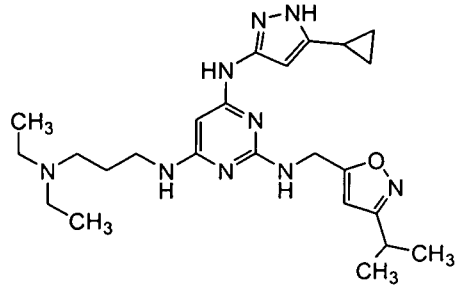
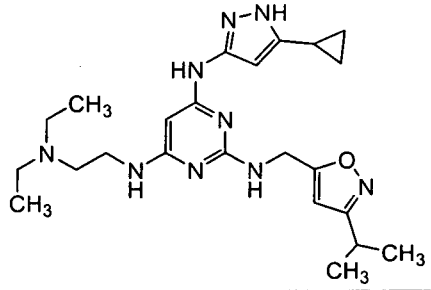
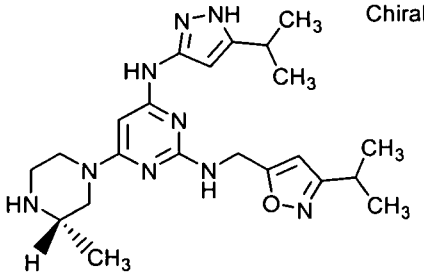
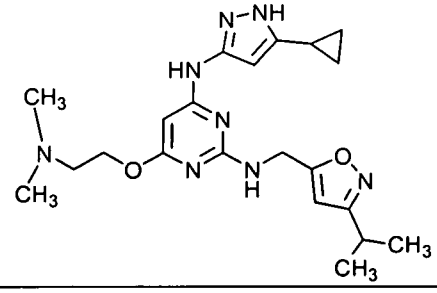
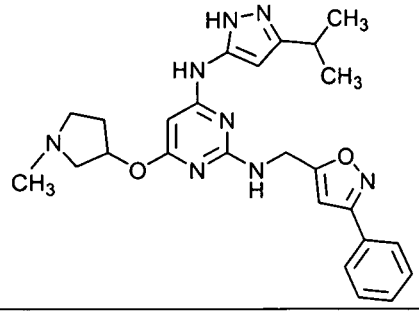
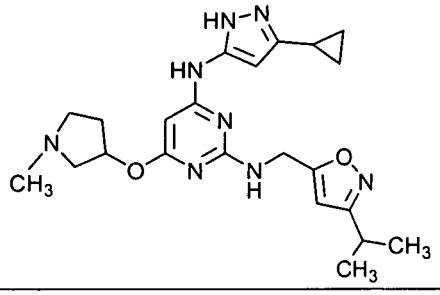
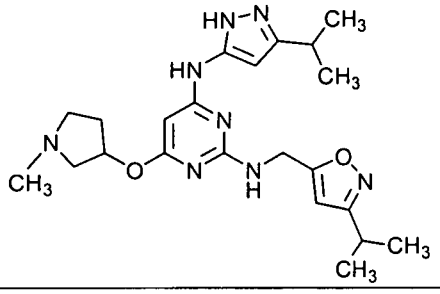
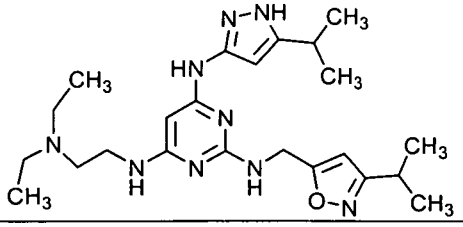
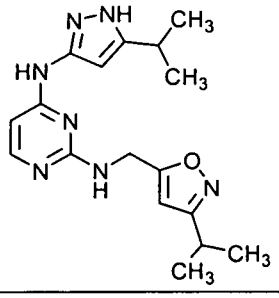
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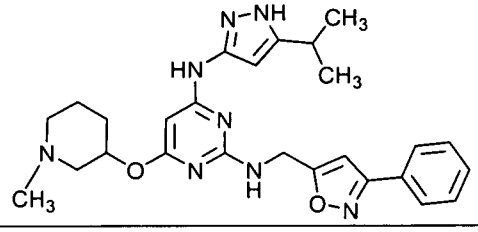
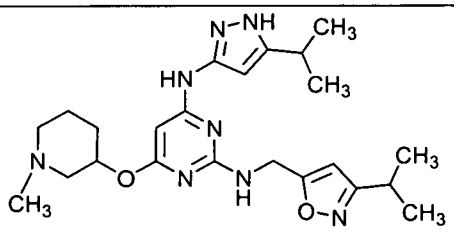
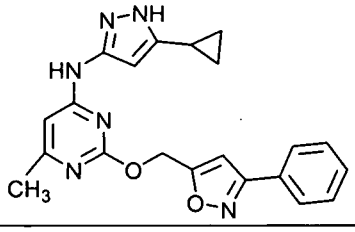
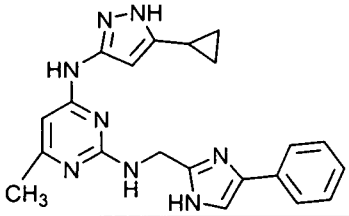
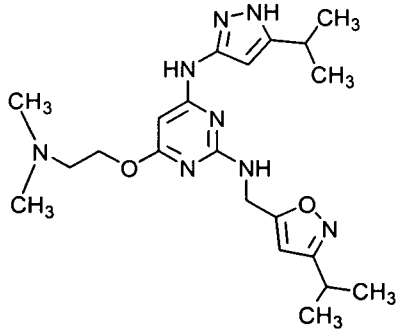
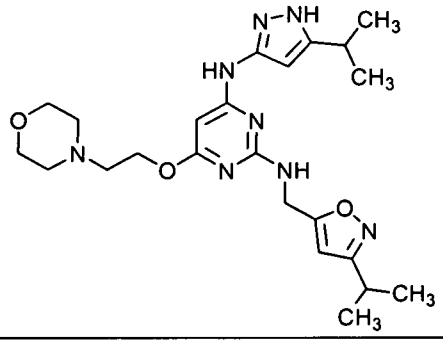
Table 5b.

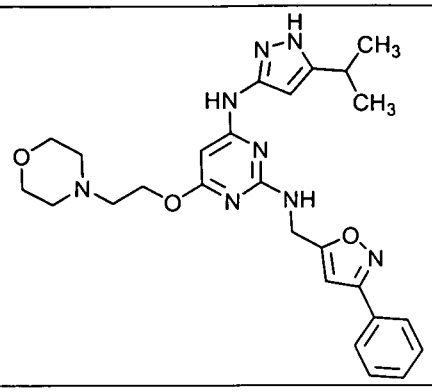
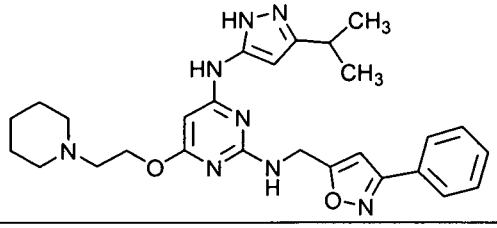
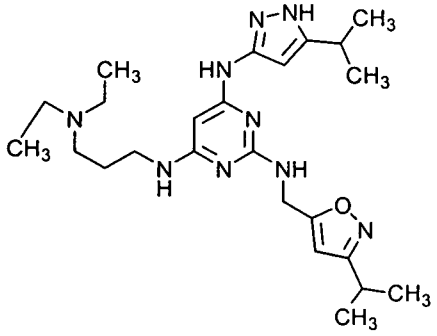
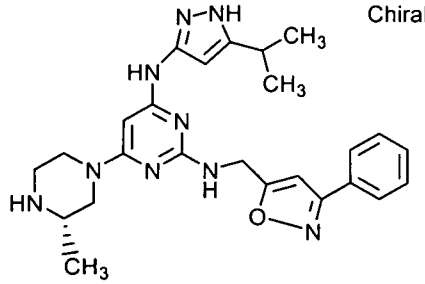
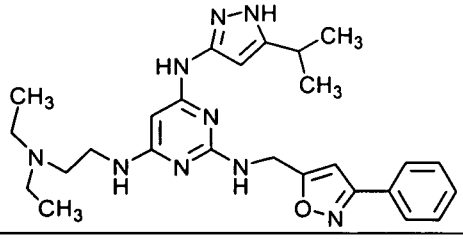
Additional Representative IGF1R Inhibitors

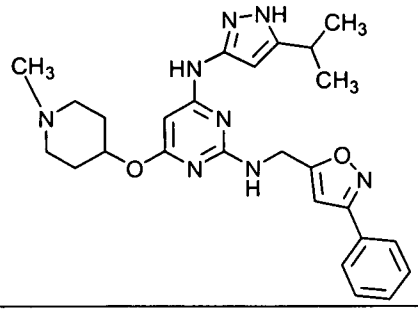
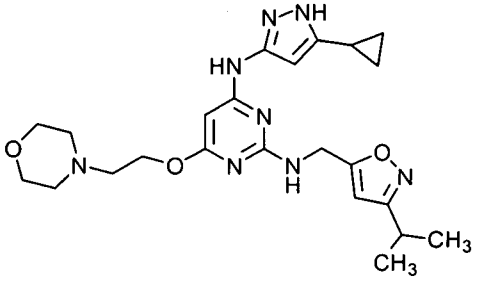
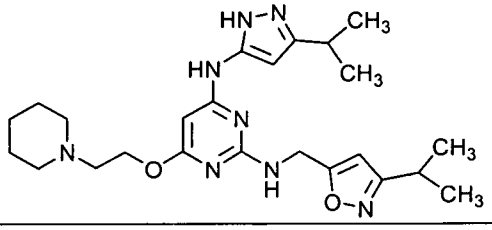
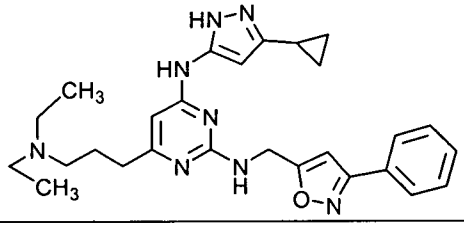
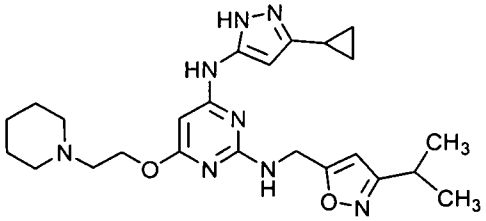
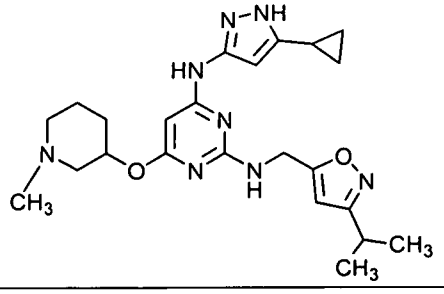
[00233] The Compounds in Table 5b can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 5b can be used to practice the invention.

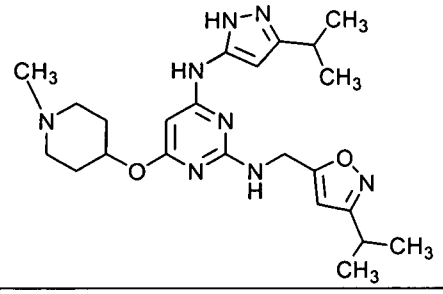
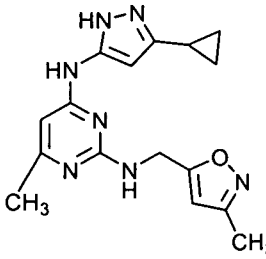
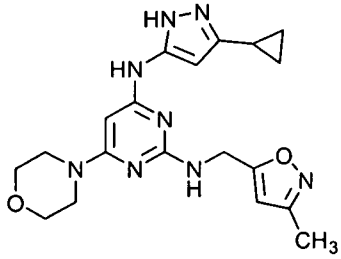
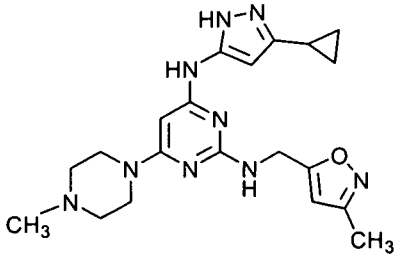
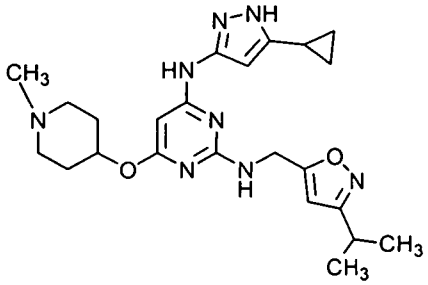
Entry	Structure	Name
573		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^6-[3-(diethylamino)propyl]-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4,6-triamine
574		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^6-[2-(diethylamino)ethyl]-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4,6-triamine
575	 Chiral	N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^4-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]-6-[(3S)-3-methylpiperazin-1-yl]pyrimidine-2,4-diamine
576		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-{[2-(dimethylamino)ethyl]oxy}-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine

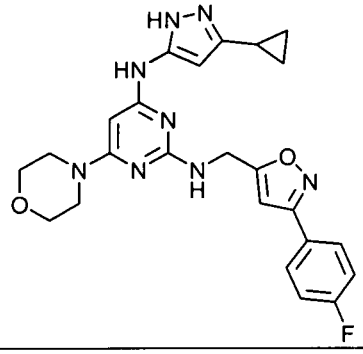
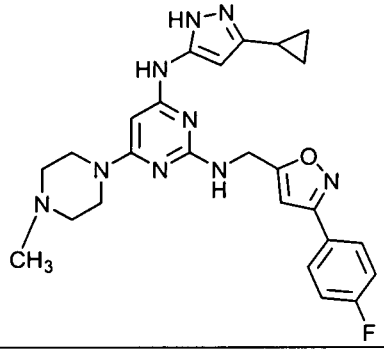
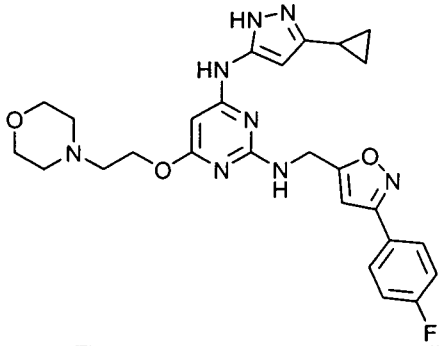
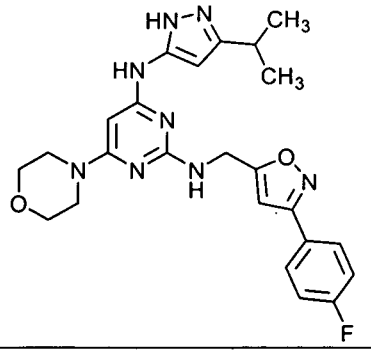
Entry	Structure	Name
577		N^4-[3-(1-methylethyl)-1*H*-pyrazol-5-yl]-6-[(1-methylpyrrolidin-3-yl)oxy]-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
578		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(1-methylpyrrolidin-3-yl)oxy]pyrimidine-2,4-diamine
579		N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^4-[3-(1-methylethyl)-1*H*-pyrazol-5-yl]-6-[(1-methylpyrrolidin-3-yl)oxy]pyrimidine-2,4-diamine
580		N^4-[2-(diethylamino)ethyl]-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^6-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]pyrimidine-2,4,6-triamine
581		N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^4-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]pyrimidine-2,4-diamine

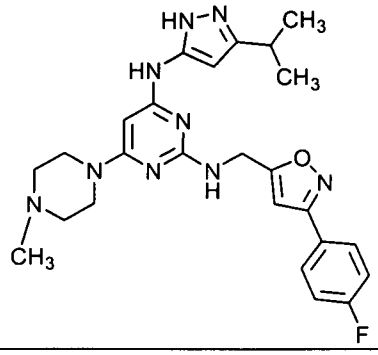
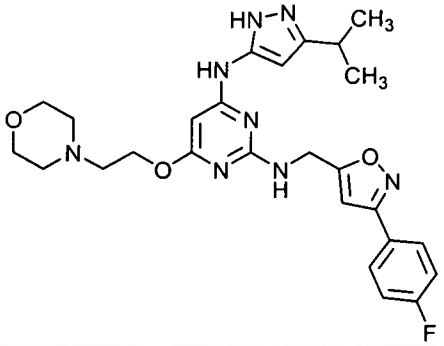
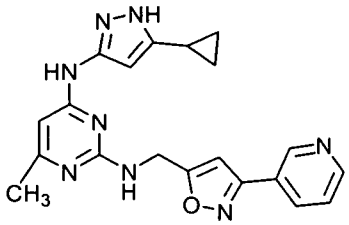
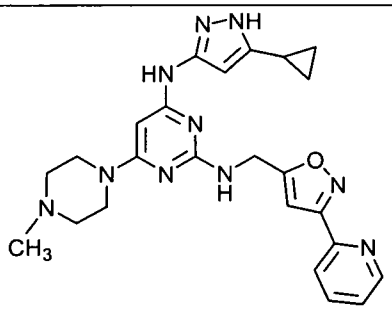
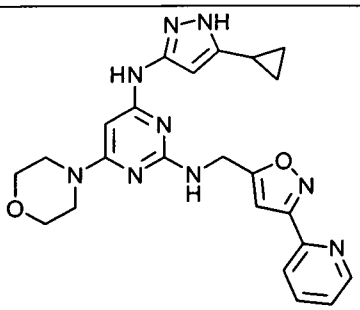
Entry	Structure	Name
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583		N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^4-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]-6-[(1-methylpiperidin-3-yl)oxy]pyrimidine-2,4-diamine
584		*N*-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-methyl-2-{[(3-phenylisoxazol-5-yl)methyl]oxy}pyrimidin-4-amine
585		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-methyl-N^2-[(4-phenyl-1*H*-imidazol-2-yl)methyl]pyrimidine-2,4-diamine
586		6-{[2-(dimethylamino)ethyl]oxy}-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^4-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]pyrimidine-2,4-diamine
587		N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^4-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine

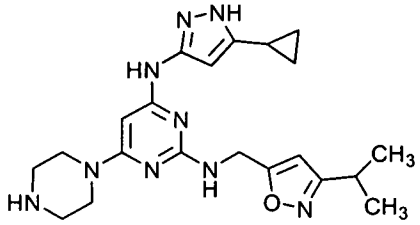
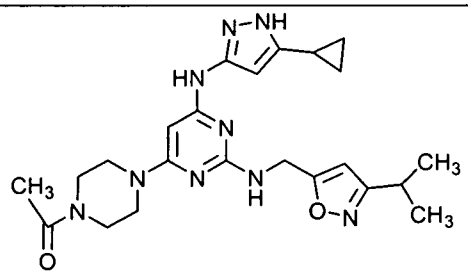
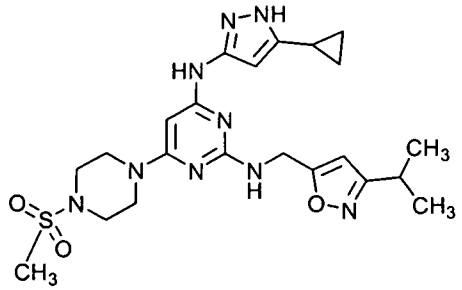
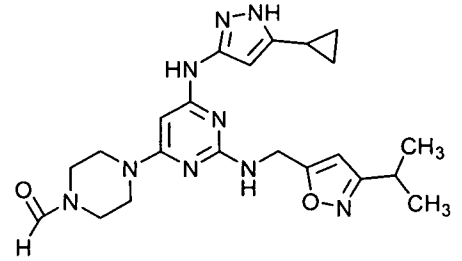
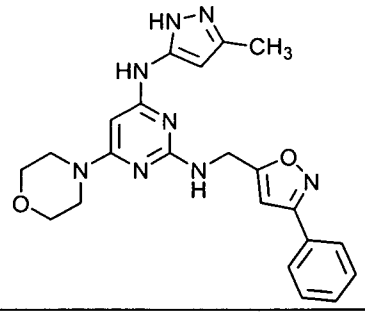
Entry	Structure	Name
588		N^4-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]-6-[(2-morpholin-4-ylethyl)oxy]-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
589		N^4-[3-(1-methylethyl)-1*H*-pyrazol-5-yl]-N^2-[(3-phenylisoxazol-5-yl)methyl]-6-[(2-piperidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
590		N^4-[3-(diethylamino)propyl]-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^6-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]pyrimidine-2,4,6-triamine
591		N^4-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]-6-[(3S)-3-methylpiperazin-1-yl]-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
592		N^4-[2-(diethylamino)ethyl]-N^6-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4,6-triamine

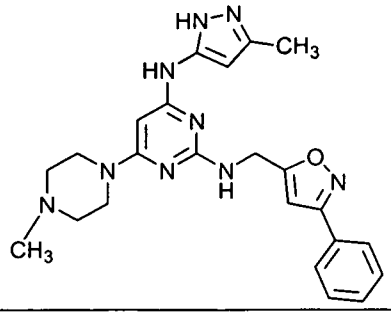
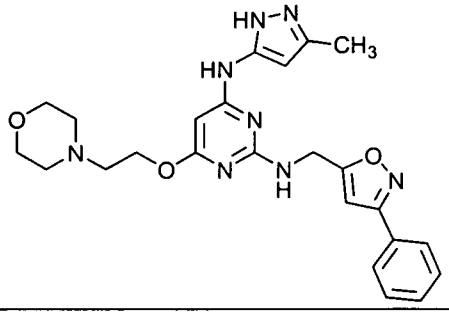
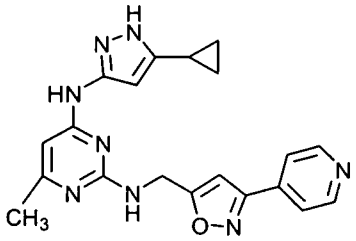
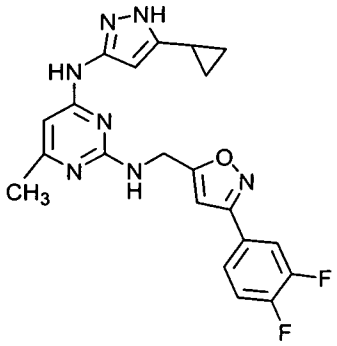
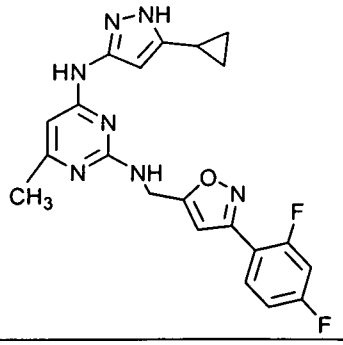
Entry	Structure	Name
593		N^4-[5-(1-methylethyl)-1*H*-pyrazol-3-yl]-6-[(1-methylpiperidin-4-yl)oxy]-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
594		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine
595		N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^4-[3-(1-methylethyl)-1*H*-pyrazol-5-yl]-6-[(2-piperidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
596		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-[3-(diethylamino)propyl]-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
597		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(2-piperidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
598		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(1-methylpiperidin-3-yl)oxy]pyrimidine-2,4-diamine

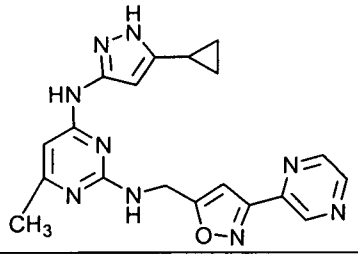
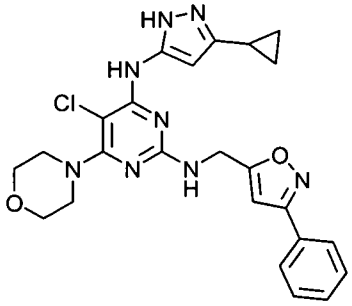
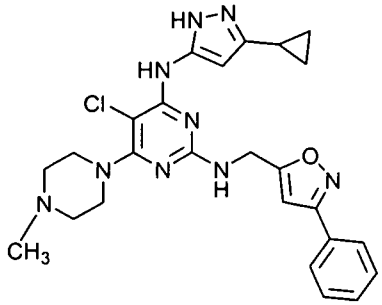
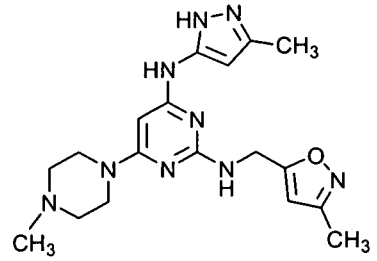
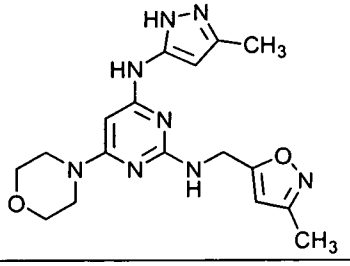
Entry	Structure	Name
599		N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N^4-[3-(1-methylethyl)-1*H*-pyrazol-5-yl]-6-[(1-methylpiperidin-4-yl)oxy]pyrimidine-2,4-diamine
600		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-methyl-N^2-[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
601		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-methylisoxazol-5-yl)methyl]-6-morpholin-4-ylpyrimidine-2,4-diamine
602		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-methylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
603		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(1-methylpiperidin-4-yl)oxy]pyrimidine-2,4-diamine

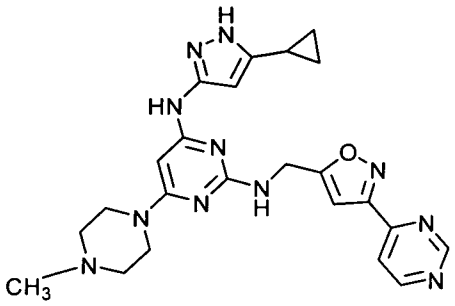
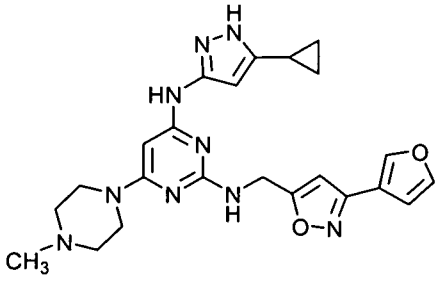
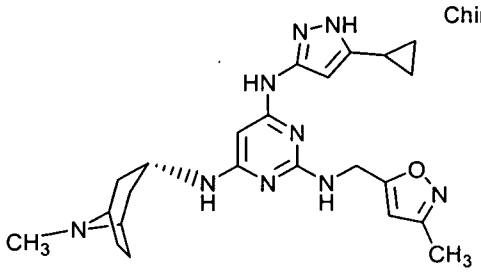
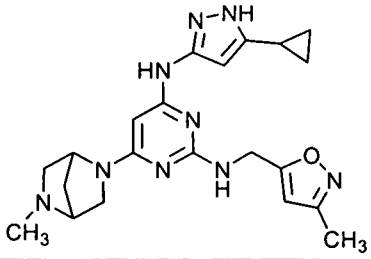
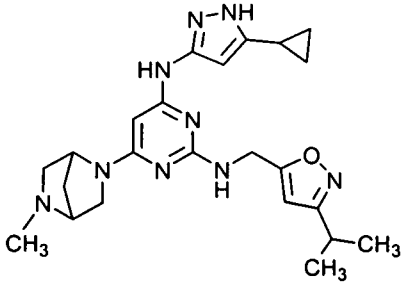
Entry	Structure	Name
604		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-6-morpholin-4-ylpyrimidine-2,4-diamine
605		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
606		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine
607		N^2-{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-N^4-[3-(1-methylethyl)-1*H*-pyrazol-5-yl]-6-morpholin-4-ylpyrimidine-2,4-diamine

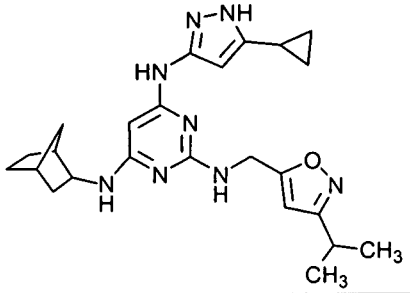
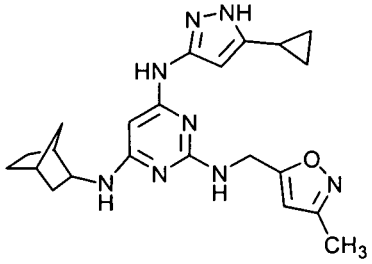
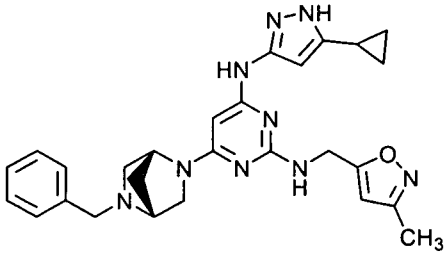
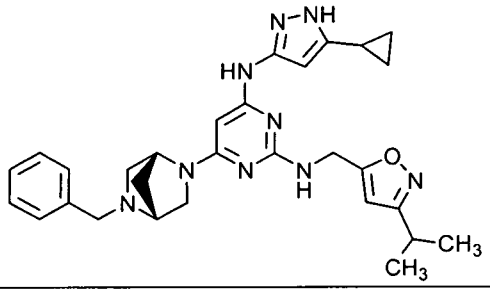
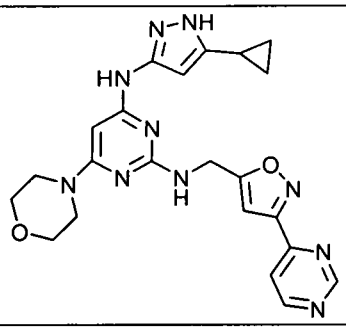
Entry	Structure	Name
608		N^2-{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-N^4-[3-(1-methylethyl)-1H-pyrazol-5-yl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
609		N^2-{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-N^4-[3-(1-methylethyl)-1H-pyrazol-5-yl]-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine
610		N^4-(5-cyclopropyl-1H-pyrazol-3-yl)-6-methyl-N^2-[(3-pyridin-3-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
611		N^4-(5-cyclopropyl-1H-pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)-N^2-[(3-pyridin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
612		N^4-(5-cyclopropyl-1H-pyrazol-3-yl)-6-morpholin-4-yl-N^2-[(3-pyridin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

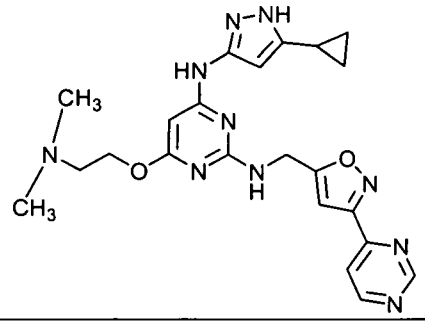
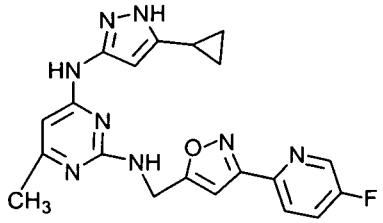
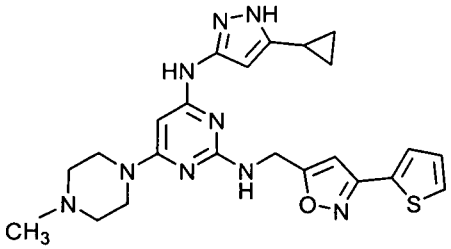
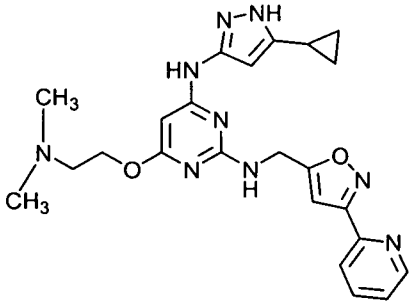
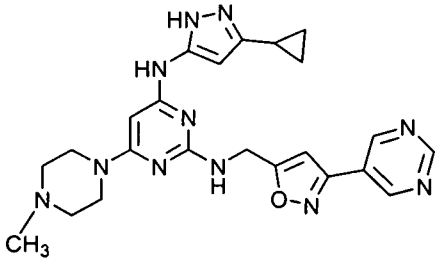
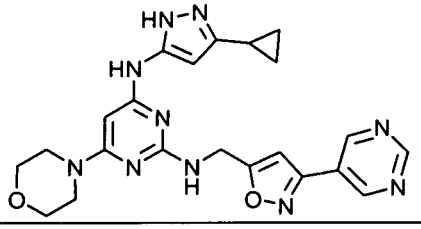
Entry	Structure	Name
613		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-piperazin-1-ylpyrimidine-2,4-diamine
614		6-(4-acetylpiperazin-1-yl)-N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
615		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[4-(methylsulfonyl)piperazin-1-yl]pyrimidine-2,4-diamine
616		4-{6-[(5-cyclopropyl-1*H*-pyrazol-3-yl)amino]-2-({[3-(1-methylethyl)isoxazol-5-yl]methyl}amino)pyrimidin-4-yl}piperazine-1-carbaldehyde
617		N^4-(3-methyl-1*H*-pyrazol-5-yl)-6-morpholin-4-yl-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

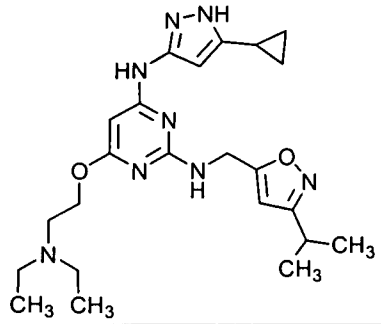
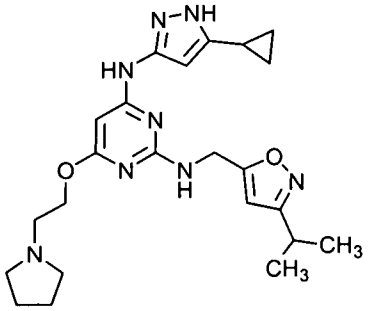
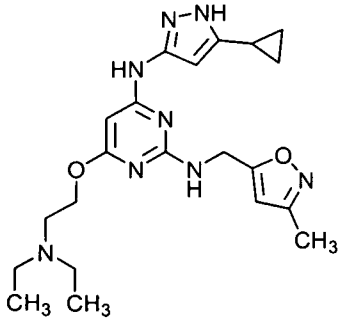
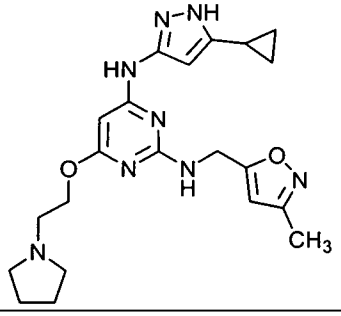
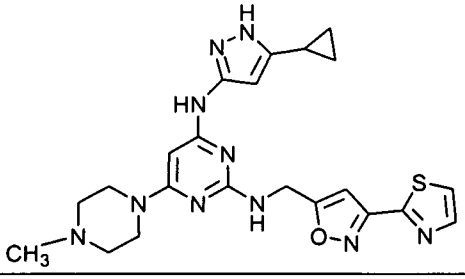
Entry	Structure	Name
618		6-(4-methylpiperazin-1-yl)-N^4-(3-methyl-1*H*-pyrazol-5-yl)-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
619		N^4-(3-methyl-1*H*-pyrazol-5-yl)-6-[(2-morpholin-4-ylethyl)oxy]-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
620		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-methyl-N^2-[(3-pyridin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
621		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(3,4-difluorophenyl)isoxazol-5-yl]methyl}-6-methylpyrimidine-2,4-diamine
622		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(2,4-difluorophenyl)isoxazol-5-yl]methyl}-6-methylpyrimidine-2,4-diamine

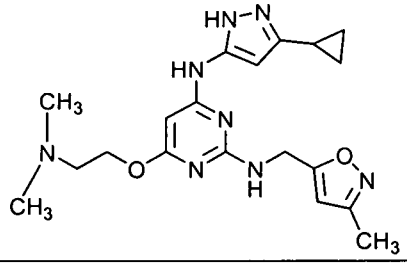
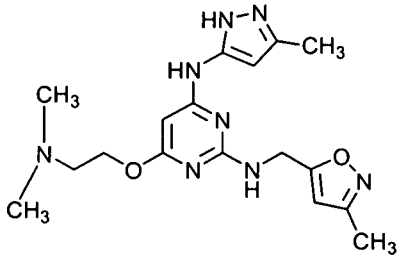
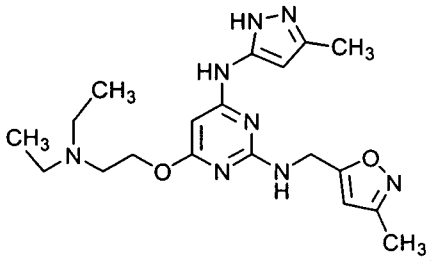
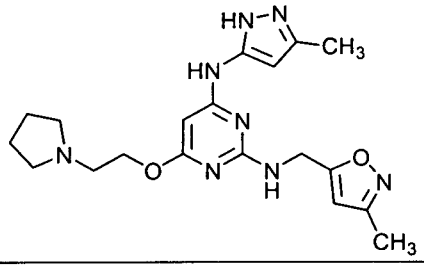
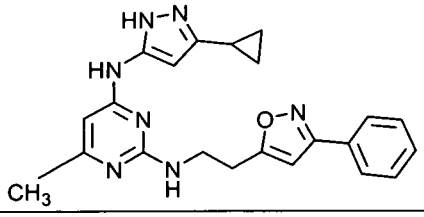
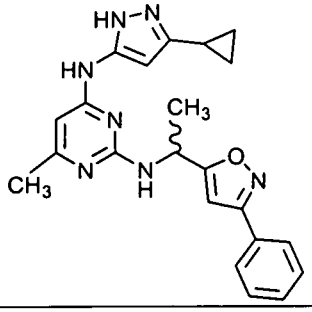
Entry	Structure	Name
623		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-methyl-N^2-[(3-pyrazin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
624		5-chloro-N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-morpholin-4-yl-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
625		5-chloro-N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)-N^2-[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
626		N^2-[(3-methylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)-N^4-(3-methyl-1*H*-pyrazol-5-yl)pyrimidine-2,4-diamine
627		N^2-[(3-methylisoxazol-5-yl)methyl]-N^4-(3-methyl-1*H*-pyrazol-5-yl)-6-morpholin-4-ylpyrimidine-2,4-diamine

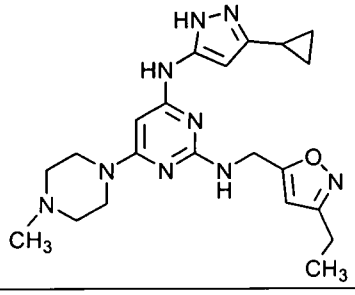
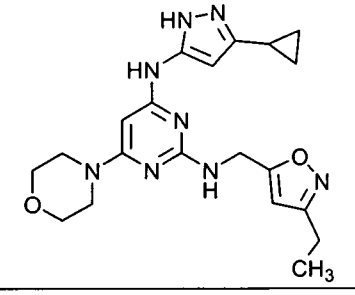
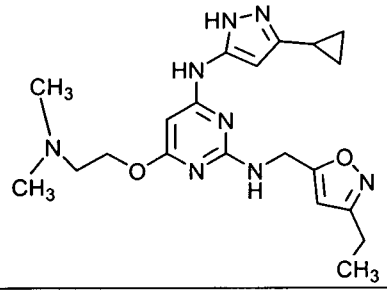
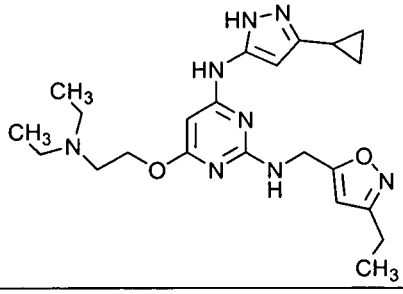
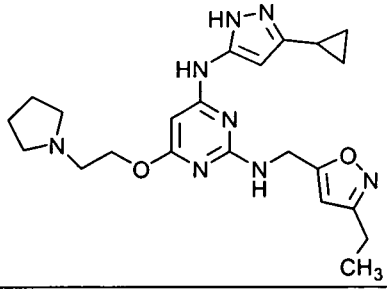
Entry	Structure	Name
628		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)-N^2-[(3-pyrimidin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
629		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-[(3-furan-3-ylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
630	 Chiral	N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^6-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-N^2-[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4,6-triamine
631		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-(5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl)-N^2-[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
632		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-(5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine

Entry	Structure	Name
633		N^4-bicyclo[2.2.1]hept-2-yl-N^6-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4,6-triamine
634		N^4-bicyclo[2.2.1]hept-2-yl-N^6-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4,6-triamine
635		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-[(3-methylisoxazol-5-yl)methyl]-6-[(1R,4R)-5-(phenylmethyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]pyrimidine-2,4-diamine
636		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(1R,4R)-5-(phenylmethyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]pyrimidine-2,4-diamine
637		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-morpholin-4-yl-N^2-[(3-pyrimidin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

Entry	Structure	Name
638		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-{[2-(dimethylamino)ethyl]oxy}-N^2-[(3-pyrimidin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
639		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(5-fluoropyridin-2-yl)isoxazol-5-yl]methyl}-6-methylpyrimidine-2,4-diamine
640		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)-N^2-{[3-(2-thienyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
641		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-{[2-(dimethylamino)ethyl]oxy}-N^2-[(3-pyridin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
642		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)-N^2-[(3-pyrimidin-5-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
643		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-morpholin-4-yl-N^2-[(3-pyrimidin-5-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

Entry	Structure	Name
644		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-{[2-(diethylamino)ethyl]oxy}-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
645		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
646		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-{[2-(diethylamino)ethyl]oxy}-N^2-[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
647		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-N^2-[(3-methylisoxazol-5-yl)methyl]-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
648		N^4-(5-cyclopropyl-1*H*-pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)-N^2-{[3-(1,3-thiazol-2-yl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine

Entry	Structure	Name
649		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-[2-(dimethylamino)ethoxy]-N^2-[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
650		6-{[2-(dimethylamino)ethyl]oxy}-N^2-[(3-methylisoxazol-5-yl)methyl]-N^4-(3-methyl-1*H*-pyrazol-5-yl)pyrimidine-2,4-diamine
651		6-{[2-(diethylamino)ethyl]oxy}-N^2-[(3-methylisoxazol-5-yl)methyl]-N^4-(3-methyl-1*H*-pyrazol-5-yl)pyrimidine-2,4-diamine
652		N^2-[(3-methylisoxazol-5-yl)methyl]-N^4-(3-methyl-1*H*-pyrazol-5-yl)-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
653		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-methyl-N^2-[2-(3-phenylisoxazol-5-yl)ethyl]pyrimidine-2,4-diamine
654		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-methyl-N^2-[1-(3-phenylisoxazol-5-yl)ethyl]pyrimidine-2,4-diamine

Entry	Structure	Name
655		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-ethylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
656		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-ethylisoxazol-5-yl)methyl]-6-morpholin-4-ylpyrimidine-2,4-diamine
657		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-{[2-(dimethylamino)ethyl]oxy}-N^2-[(3-ethylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
658		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-{[2-(diethylamino)ethyl]oxy}-N^2-[(3-ethylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
659		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-ethylisoxazol-5-yl)methyl]-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine

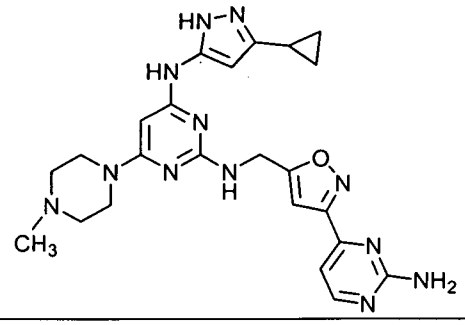
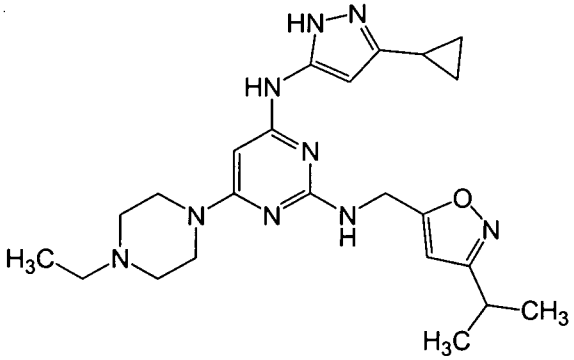
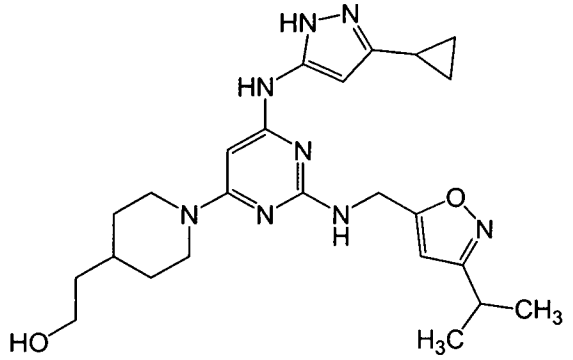
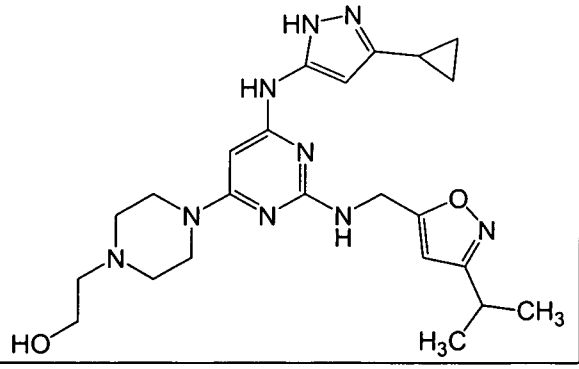
Entry	Structure	Name
660		N^2-{[3-(2-aminopyrimidin-4-yl)isoxazol-5-yl]methyl}-N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
661		N^4-(3-cyclopropyl-1*H*-pyrazol-5-yl)-6-(4-ethylpiperazin-1-yl)-N^2-{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
662		2-(1-{6-[(3-cyclopropyl-1*H*-pyrazol-5-yl)amino]-2-({[3-(1-methylethyl)isoxazol-5-yl]methyl}amino)pyrimidin-4-yl}piperidin-4-yl)ethanol
663		2-(4-{6-[(3-cyclopropyl-1*H*-pyrazol-5-yl)amino]-2-({[3-(1-methylethyl)isoxazol-5-yl]methyl}amino)pyrimidin-4-yl}piperazin-1-yl)ethanol

Table 6.

Representative Raf Inhibitors

[00234] The Compounds in Table 6 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 6 can be used to practice the invention.

Entry	Name
1	6-(2-butyl-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
2	6-[1-hydroxy-3-oxo-2-(2-phenylethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
3	6-(1-hydroxy-2-{[4-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
4	6-(1-hydroxy-2-{[3-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
5	6-{2-[(4-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
6	6-(1-hydroxy-3-oxo-2-phenyl-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
7	6-{2-[(3-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
8	6-{2-[(4-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
9	6-[1-hydroxy-3-oxo-2-(3-phenylpropyl)-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
10	6-{2-[(3,4-dichlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
11	6-{1-hydroxy-2-[(4-methylphenyl)methyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
12	6-{2-[(4-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
13	6-[1-hydroxy-2-(1-methylethyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
14	methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
15	6-{2-[(3,4-dimethylphenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
16	6-(2-{[4-chloro-3-(trifluoromethyl)phenyl]methyl}-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
17	6-(2-{[4-(dimethylamino)phenyl]methyl}-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
18	6-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one

Entry	Name
19	6-[2-(4-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
20	6-[2-(3,4-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
21	6-[1-hydroxy-2-(4-methylphenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
22	3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1*H*-benzimidazol-5-yl)-3-(methyloxy)-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
23	3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1*H*-benzimidazol-5-yl)-2-(1-methylethyl)-3-(methyloxy)-2,3-dihydro-1*H*-isoindol-1-one
24	3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1*H*-benzimidazol-5-yl)-3-hydroxy-2-phenyl-2,3-dihydro-1*H*-isoindol-1-one
25	3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1*H*-benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
26	methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1-methyl-1*H*-benzimidazol-2-yl}carbamate
27	3-(1*H*-benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
28	5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-*N*-methyl-1*H*-benzimidazole-2-carboxamide
29	3-hydroxy-3-(2-methyl-1*H*-benzimidazol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
30	7-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-3,4-dihydroquinoxalin-2(1*H*)-one
31	7-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-3,4-dihydroquinoxalin-2(1*H*)-one
32	1,1-dimethylethyl 4-{[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]methyl}piperidine-1-carboxylate
33	6-(1-hydroxy-2-{[2-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
34	6-{2-[(3-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
35	6-{2-[(2-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
36	6-{2-[(3-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
37	6-{2-[(2-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
38	6-{2-[(2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
39	6-[2-(3-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
40	6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one

Entry	Name
41	6-[2-(3-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
42	6-[1-hydroxy-2-(3-nitrophenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
43	6-{1-hydroxy-2-[3-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
44	6-[1-hydroxy-2-(3-methylphenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
45	3-hydroxy-3-(1*H*-indol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
46	methyl [6-(1-hydroxy-3-oxo-2-phenyl-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
47	6-[2-(2-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
48	6-{[2-(3-phenyl-1,2,4-oxadiazol-5-yl)phenyl]carbonyl}-2*H*-1,4-benzoxazin-3(4*H*)-one
49	6-{[2-(1*H*-benzimidazol-2-yl)phenyl]carbonyl}-2*H*-1,4-benzoxazin-3(4*H*)-one
50	6-(1-hydroxy-3-oxo-2-{[2-(trifluoromethyl)phenyl]methyl}-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
51	6-{2-[(5-bromo-2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
52	6-{1-hydroxy-2-[(3-nitrophenyl)methyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
53	6-(1-hydroxy-3-oxo-2-{[3-(trifluoromethyl)phenyl]methyl}-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
54	6-(2-{[2,3-bis(methyloxy)phenyl]methyl}-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
55	6-{1-hydroxy-2-[(3-iodophenyl)methyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
56	6-[1-hydroxy-3-oxo-2-({3-[(trifluoromethyl)oxy]phenyl}methyl)-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
57	6-(1-hydroxy-2-{[2-(methylthio)phenyl]methyl}-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
58	6-[2-(3,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
59	6-{1-hydroxy-2-[3-(1-methylethyl)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
60	6-(1-hydroxy-3-oxo-2-{3-[(trifluoromethyl)oxy]phenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
61	6-{1-hydroxy-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
62	3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]benzenesulfonamide
63	6-{2-[5-chloro-2-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one

Entry	Name
64	6-{2-[4-fluoro-3-(trifluoromethyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
65	3-hydroxy-3-(1*H*-indol-6-yl)-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
66	6-[2-(3-fluoro-5-iodophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
67	6-[2-(3-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
68	6-[2-(3,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
69	6-{1-hydroxy-2-[3-(methylsulfonyl)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
70	ethyl 3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]benzoate
71	3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]benzonitrile
72	6-[2-(2-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
73	6-[2-(3-amino-5-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
74	6-[2-(5-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
75	6-[2-(3-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
76	6-[2-(3-ethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
77	6-[2-(3-ethynylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
78	6-[1-hydroxy-2-(3-hydroxyphenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
79	6-{1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
80	6-(1-hydroxy-3-oxo-2-{3-[(phenylmethyl)oxy]phenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
81	3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]benzamide
82	6-{1-hydroxy-2-[3-(hydroxymethyl)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoxazin-3(4*H*)-one
83	6-[2-(2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
84	3-hydroxy-3-[2-(methylamino)-1*H*-benzimidazol-5-yl]-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
85	6-(2-biphenyl-3-yl-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one

Entry	Name
86	6-(2-{3-[(dimethylamino)methyl]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
87	6-[2-(3,5-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
88	6-(1-hydroxy-3-oxo-2-piperidin-4-yl-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoxazin-3(4*H*)-one
89	6-[2-(3-{[2-(dimethylamino)ethyl]oxy}phenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
90	6-[1-hydroxy-2-(2-methylphenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoxazin-3(4*H*)-one
91	*N*-methyl-2-[(3-oxo-3,4-dihydro-2*H*-1,4-benzoxazin-6-yl)carbonyl]-*N*-phenylbenzamide
92	methyl {5-[1-(ethyloxy)-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
93	phenylmethyl 2-[(2-{[(methyloxy)carbonyl]amino}-1*H*-benzimidazol-5-yl)carbonyl]benzoate
94	3-hydroxy-3-(1*H*-indazol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
95	3-hydroxy-3-(1*H*-indazol-6-yl)-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
96	ethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
97	2-methylpropyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
98	methyl {5-[1-hydroxy-3-oxo-2-(2-thienylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
99	methyl {5-[1-hydroxy-3-oxo-2-(2-phenylethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
100	3-[2-amino-1-(1,1-dimethylethyl)-1*H*-benzimidazol-5-yl]-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
101	3-(2-amino-1*H*-benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-one
102	methyl [5-(1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
103	3-(methyloxy)butyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
104	methyl (5-{1-hydroxy-3-oxo-2-[(1*R*)-1-phenylethyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
105	methyl (5-{1-hydroxy-3-oxo-2-[(1*S*)-1-phenylethyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
106	2-(methyloxy)ethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
107	methyl {6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1-methyl-1*H*-benzimidazol-2-yl}carbamate
108	prop-2-yn-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate

Entry	Name
109	but-2-yn-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
110	1-methylethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
111	methyl {5-[2-(2,3-dihydro-1*H*-inden-2-yl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
112	methyl {5-[1-hydroxy-3-oxo-2-(pyridin-4-ylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
113	methyl {5-[1-hydroxy-3-oxo-2-(pyridin-3-ylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
114	methyl (6-{2-[(3-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
115	methyl {5-[1-hydroxy-2-(3-methylphenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
116	methyl [5-(1-hydroxy-2-{[2-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
117	methyl [5-(1-hydroxy-2-{[3-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
118	methyl [5-(1-hydroxy-2-{[4-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
119	methyl (6-{2-[(4-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
120	methyl (6-{2-[(3-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
121	methyl (5-{1-hydroxy-2-[(3-iodophenyl)methyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
122	methyl (5-{2-[(3-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
123	methyl (5-{2-[(2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
124	methyl {5-[1-hydroxy-3-oxo-2-(pyridin-2-ylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
125	phenylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
126	2-fluoroethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
127	propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
128	methyl (5-{1-hydroxy-2-[4-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
129	methyl (5-{2-[(2-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
130	methyl (5-{2-[(2-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate

Entry	Name
131	methyl (5-{1-hydroxy-2-[(3-methylphenyl)methyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
132	methyl (5-{1-hydroxy-2-[(4-methylphenyl)methyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
133	methyl (5-{1-hydroxy-2-[(2-methylphenyl)methyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
134	methyl {5-[2-(3-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
135	methyl {5-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
136	methyl {5-[2-(3-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
137	methyl (5-{1-hydroxy-2-[3-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
138	methyl {5-[2-(4-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
139	methyl {5-[2-(4-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
140	methyl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
141	methyl {5-[2-(3,5-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
142	methyl {5-[2-(2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
143	methyl {5-[2-(2-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
144	methyl {5-[1-hydroxy-2-(2-methylphenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
145	methyl (5-{1-hydroxy-2-[2-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
146	methyl {5-[1-hydroxy-2-(4-methylphenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
147	methyl (5-{1-hydroxy-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
148	but-2-yn-1-yl (5-{1-hydroxy-3-oxo-2-[(1*R*)-1-phenylethyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
149	*N*-ethyl-*N*'-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}urea
150	phenylmethyl (5-{1-hydroxy-3-oxo-2-[(1*R*)-1-phenylethyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
151	methyl {6-[2-(3-amino-5-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
152	piperidin-4-ylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate

Entry	Name
153	methyl {5-[2-(cyclopropylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
154	methyl {5-[2-(2,2-dimethylpropyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
155	methyl {5-[2-(3,5-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
156	methyl {5-[2-(3,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
157	*N*-ethyl-*N'*-(5-{1-hydroxy-3-oxo-2-[(1*R*)-1-phenylethyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)urea
158	*N'*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-*N*,*N*-dimethylurea
159	methyl {5-[2-(3-{[2-(dimethylamino)ethyl]oxy}phenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
160	3-(4-methylpiperazin-1-yl)propyl {6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
161	methyl {5-[2-(cyclohexylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
162	methyl {5-[1-hydroxy-2-(2-methylpropyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
163	methyl {5-[1-hydroxy-3-oxo-2-(1,3-thiazol-2-ylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
164	methyl {5-[2-(3,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
165	methyl (5-{2-[1-(3,5-difluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
166	methyl (5-{2-[1-(3-fluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
167	methyl [5-(2-cyclohexyl-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
168	methyl {5-[2-(2,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
169	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-*N'*-(phenylmethyl)urea
170	piperidin-4-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
171	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-*N'*-methylurea
172	methyl (5-{2-[1-(2-fluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
173	methyl (5-{1-hydroxy-3-oxo-2-[1-(2-thienyl)ethyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
174	methyl (5-{2-[1-(3-chlorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate

Entry	Name
175	methyl (5-{1-hydroxy-2-[3-methyl-5-(trifluoromethyl)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
176	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}propanamide
177	methyl {5-[2-(3,4-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
178	methyl {5-[2-(3-ethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
179	methyl {5-[2-(3-ethynylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
180	methyl {5-[2-(4-chloro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
181	methyl [5-(1-hydroxy-3-oxo-2-{1-[3-(trifluoromethyl)phenyl]ethyl}-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
182	methyl (5-{1-hydroxy-3-oxo-2-[(1*R*)-1-phenylpropyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
183	methyl [5-(1-hydroxy-3-oxo-2-{2-[(trifluoromethyl)oxy]phenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
184	methyl {5-[2-(2,3-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
185	cyclohexyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
186	tetrahydrofuran-2-ylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
187	cyclopropylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
188	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}morpholine-4-carboxamide
189	methyl {5-[2-(cyclopentylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
190	methyl {5-[2-(2,3-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
191	methyl {5-[2-(2,3-dihydro-1*H*-inden-1-yl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
192	methyl (2*S*)-cyclohexyl[1-hydroxy-1-(2-{[(methyloxy)carbonyl]amino}-1*H*-benzimidazol-5-yl)-3-oxo-1,3-dihydro-2*H*-isoindol-2-yl]ethanoate
193	methyl {5-[2-(2,6-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
194	methyl {5-[2-(3-chloro-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
195	but-3-en-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
196	2,2,2-trifluoroethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate

Entry	Name
197	methyl {5-[2-(5-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
198	methyl (5-{2-[1-(5-chloro-2-methylphenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
199	methyl (5-{1-hydroxy-3-oxo-2-[(1*S*)-1-phenylpropyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
200	methyl (5-{2-[1-(3-chloro-2-methylphenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
201	methyl (5-{1-hydroxy-2-[1-(5-methyl-2-thienyl)ethyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
202	methyl (5-{2-[1-(5-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
203	methyl {5-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
204	methyl (5-{1-hydroxy-2-[3-(1-methylethyl)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
205	methyl {5-[2-(furan-2-ylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
206	methyl {5-[1-hydroxy-3-oxo-2-(3-thienylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
207	methyl {5-[2-(cyclobutylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
208	3,3,3-trifluoro-2-hydroxy-*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2-(trifluoromethyl)propanamide
209	methyl (5-{1-hydroxy-2-[1-(4-methyl-2-thienyl)ethyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
210	methyl (5-{2-[1-(4-bromo-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
211	methyl {5-[1-hydroxy-2-(3-{[2-(methyloxy)ethyl]oxy}phenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
212	tetrahydrofuran-3-ylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
213	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}piperidine-1-carboxamide
214	methyl {5-[2-(3-bromo-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
215	2,3-dihydroxypropyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
216	methyl {5-[1-hydroxy-3-oxo-2-(tetrahydrofuran-2-ylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
217	methyl (5-{2-[3-(aminocarbonyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
218	4,4,4-trifluoro-3-hydroxy-*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-3-(trifluoromethyl)butanamide

Entry	Name
219	methyl (5-{1-hydroxy-2-[3-(methylsulfonyl)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
220	methyl (5-{1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
221	methyl [5-(1-hydroxy-3-oxo-2-{3-[(phenylmethyl)oxy]phenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
222	methyl [5-(2-biphenyl-3-yl-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
223	2,2-dimethyl-3-[(phenylmethyl)oxy]propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
224	methyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
225	methyl {5-[2-(3-cyanophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
226	methyl {5-[2-(3-ethynyl-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
227	methyl {5-[2-(4-fluoro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
228	methyl {6-[2-(3,4-dichloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
229	[(4*S*)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
230	methyl {5-[2-(5-bromo-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
231	methyl (5-{2-[3-(acetylamino)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
232	methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylmethyl)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
233	methyl (5-{2-[1-(4-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
234	methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylcarbonyl)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
235	methyl [5-(2-{3-[(dimethylamino)methyl]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
236	methyl (5-{2-[3-(aminosulfonyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
237	methyl {5-[2-(3-acetylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
238	methyl {5-[2-(3-ethyl-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
239	methyl {5-[2-(3-chloro-5-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
240	*N*-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2-methylpropanamide

Entry	Name
241	methyl (5-{2-[1-(3-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
242	methyl [5-(1-hydroxy-3-oxo-2-pyridin-3-yl-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
243	methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylamino)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
244	methyl {5-[2-(5-bromo-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
245	methyl {5-[2-(5-chloro-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
246	methyl {5-[2-(3,5-dichloro-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
247	2,2-dimethyl-3-(methyloxy)propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
248	3-hydroxy-2,2-dimethylpropyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
249	methyl (5-{2-[1-(5-bromo-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
250	methyl {5-[2-(4,5-dichloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
251	methyl {5-[2-(3-bromo-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
252	methyl {5-[2-(3-chloro-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
253	*N*-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}pent-4-ynamide
254	methyl (6-{1-methyl-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
255	methyl [5-(1-hydroxy-3-oxo-2-{3-[(1,1,2,2-tetrafluoroethyl)oxy]phenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
256	methyl {5-[1-hydroxy-3-oxo-2-(3-piperidin-4-ylphenyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
257	methyl {5-[2-(3-ethenylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
258	methyl (5-{2-[3-(dimethylamino)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
259	2,2-difluoro-*N*-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}cyclopropanecarboxamide
260	*N*-ethyl-*N'*-{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}urea
261	methyl {5-[2-(3-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
262	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-[(phenylmethyl)oxy]butanamide

Entry	Name
263	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-piperidin-1-ylbutanamide
264	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-(4-methylpiperazin-1-yl)butanamide
265	*N*-{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}butanamide
266	methyl {6-[2-(3-bromophenyl)-5,6-dichloro-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
267	methyl [5-(1-hydroxy-2-{3-[methyl(phenyl)amino]phenyl}-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
268	methyl {5-[1-hydroxy-3-oxo-2-(phenylsulfonyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
269	methyl {5-[(2-{[(phenylamino)carbonyl]amino}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
270	methyl (5-{[2-({[(phenylmethyl)oxy]carbonyl}amino)phenyl]carbonyl}-1*H*-benzimidazol-2-yl)carbamate
271	methyl [5-({2-[(2-phenylhydrazino)carbonyl]phenyl}carbonyl)-1*H*-benzimidazol-2-yl]carbamate
272	methyl {5-[(2-{[(phenyloxy)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
273	but-2-yn-1-yl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
274	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-3-piperidin-1-ylpropanamide
275	*N*-{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}propanamide
276	*N*-(4-fluorophenyl)-2-{[2-(pent-4-ynoylamino)-1*H*-benzimidazol-6-yl]carbonyl}benzamide
277	4-(diethylamino)-*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}butanamide
278	*N*-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-pyrrolidin-1-ylbutanamide
279	3-piperidin-1-ylpropyl {6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
280	3-(4-methylpiperazin-1-yl)propyl {6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
281	methyl {5-[2-(3-bromophenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
282	methyl {5-[2-(3-ethynyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
283	2-piperidin-1-ylethyl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
284	methyl {5-[2-(3-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate

Entry	Name
285	methyl {5-[2-(5-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
286	*N*-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2,2-dimethyl-3-piperidin-1-ylpropanamide
287	*N*-{5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-piperidin-1-ylbutanamide
288	*N*-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-piperidin-1-ylbutanamide
289	methyl [6-({2-[(phenylcarbonyl)amino]phenyl}carbonyl)-1*H*-benzimidazol-2-yl]carbamate
290	methyl {5-[1-hydroxy-2-(3-morpholin-4-ylphenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
291	2-(dimethylamino)ethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
292	2-(diethylamino)ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
293	2-piperidin-1-ylethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
294	3-piperidin-1-ylpropyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
295	2-piperidin-1-ylethyl {6-[2-(3-bromophenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
296	methyl {6-[2-(3-bromophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
297	2-[methyl(phenylmethyl)amino]ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
298	methyl {5-[1-hydroxy-3-oxo-2-(3-pyrrolidin-1-ylphenyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
299	methyl {5-[2-(5-chloro-2,3-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
300	methyl {5-[1-hydroxy-3-oxo-2-(pyrrolidin-2-ylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
301	methyl {5-[1-hydroxy-3-oxo-2-(pyrrolidin-3-ylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
302	(1-methylpiperidin-2-yl)methyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
303	[(2*S*)-1-methylpyrrolidin-2-yl]methyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
304	octahydro-2*H*-quinolizin-1-ylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
305	methyl {5-[2-(5-bromo-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
306	5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1,3-dihydro-2*H*-benzimidazol-2-one

Entry	Name
307	methyl {5-[2-(3-bromo-2,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
308	2-morpholin-4-ylethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
309	(1-methylpiperidin-3-yl)methyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
310	methyl (5-{2-[5-chloro-2-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
311	methyl [5-(2-{3-[cyclohexyl(methyl)amino]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate
312	8-azabicyclo[3.2.1]oct-3-ylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
313	methyl {6-[1-(3-bromophenyl)-5-oxopyrrolidin-2-yl]-1*H*-benzimidazol-2-yl}carbamate
314	(1-methylpiperidin-4-yl)methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
315	1,1-dimethylethyl 4-({[({5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}amino)carbonyl]oxy}methyl)piperidine-1-carboxylate
316	(1-methylpiperidin-4-yl)methyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
317	2-(1-methylpiperidin-4-yl)ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
318	methyl ({6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}amino)(oxo)acetate
319	*N*-(5-{1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)-4-piperidin-1-ylbutanamide
320	methyl {6-[2-(3-bromophenyl)-1-methyl-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
321	4-(diethylamino)but-2-yn-1-yl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
322	methyl {5-[2-(3-chloro-2,6-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
323	2-(2-oxopyrrolidin-1-yl)ethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
324	2-(2,5-dioxopyrrolidin-1-yl)ethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
325	2,2,3,3-tetrafluorocyclobutyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
326	1-acetyl-*N*-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}piperidine-4-carboxamide
327	*N*-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}cyclobutanecarboxamide
328	methyl [5-(2-{3-[ethyl(phenyl)amino]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]carbamate

Entry	Name
329	*N*-{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2,2-difluorocyclopropanecarboxamide
330	cyclobutyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
331	2,2-difluoroethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
332	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyridin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-one
333	1-methylethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
334	cyclopropylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
335	*N*-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}cyclopropanecarboxamide
336	2-(methyloxy)ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
337	tetrahydrofuran-2-ylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
338	*N*-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2-(2-thienyl)acetamide
339	methyl {6-[2-(3-chloro-2-fluorophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
340	ethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
341	2-fluoroethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
342	methyl (5-{1-hydroxy-3-oxo-2-[2-(phenyloxy)phenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
343	*N'*-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-*N*,*N*-diethylpentanediamide
344	cyclobutylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
345	2,2,2-trifluoroethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
346	methyl (5-{2-[3-(1,1-dimethylethyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
347	methyl {6-[2-(3-chloro-2-fluorophenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
348	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(phenylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-one
349	methyl {6-[4,7-dichloro-2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
350	phenylmethyl 2-[(2-{[(ethyloxy)carbonyl]amino}-1,3-benzoxazol-5-yl)carbonyl]benzoate

Entry	Name
351	methyl {5-[2-(5-chloro-3-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
352	methyl {5-[2-(5-ethynyl-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
353	methyl {5-[2-(3-ethynyl-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
354	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-one
355	methyl {5-[2-(3-ethynyl-2-fluorophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
356	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(1,3-thiazol-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-one
357	ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1,3-benzoxazol-2-yl}carbamate
358	methyl {5-[2-(5-chloro-3-iodo-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
359	methyl {5-[2-(3-ethyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
360	methyl {5-[2-(5-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
361	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyrazin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-one
362	methyl {5-[2-(2-fluoro-3-iodophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
363	methyl {6-[2-(5-ethynyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
364	2-(3-ethynyl-2-fluorophenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-one
365	methyl {5-[2-(2,5-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
366	methyl {5-[2-(3-ethenyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
367	methyl (6-{2-[2-fluoro-3-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
368	methyl (5-{1-hydroxy-2-[2-methyl-5-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
369	methyl {5-[2-(3-ethynyl-2-fluorophenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
370	methyl {5-[2-(2-fluoro-3-prop-1-yn-1-ylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
371	methyl {5-[2-(5-chloro-2-methylphenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
372	methyl {5-[2-(3-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate

Entry	Name
373	3-hydroxy-2-[3-(methyloxy)phenyl]-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-one
374	3-hydroxy-2-(3-methylphenyl)-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-one
375	2-(5-chloro-2-methylphenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-one
376	methyl {6-[2-(5-chloro-2-methylphenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
377	methyl {5-[2-(3-ethynyl-2-fluorophenyl)-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
378	2-(3-chloro-2-fluorophenyl)-3-{2-[(6-chloropyridazin-3-yl)amino]-1*H*-benzimidazol-5-yl}-3-hydroxy-2,3-dihydro-1*H*-isoindol-1-one
379	2-(3-chloro-2-fluorophenyl)-4,7-difluoro-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-one
380	methyl {5-[2-(2-fluoro-5-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
381	methyl (5-{2-[2-fluoro-5-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
382	methyl (5-{1-hydroxy-2-[5-methyl-2-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)carbamate
383	methyl {5-[2-(3-ethynyl-5-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
384	2-(3-chloro-2-fluorophenyl)-3-{2-[(5-chloropyrimidin-2-yl)amino]-1*H*-benzimidazol-5-yl}-3-hydroxy-2,3-dihydro-1*H*-isoindol-1-one
385	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-{2-[(4-methylpyrimidin-2-yl)amino]-1*H*-benzimidazol-5-yl}-2,3-dihydro-1*H*-isoindol-1-one
386	3-(2-{[4,6-bis(methyloxy)pyrimidin-2-yl]amino}-1*H*-benzimidazol-5-yl)-2-(3-chloro-2-fluorophenyl)-3-hydroxy-2,3-dihydro-1*H*-isoindol-1-one
387	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-(2-{[4-methyl-6-(methyloxy)pyrimidin-2-yl]amino}-1*H*-benzimidazol-5-yl)-2,3-dihydro-1*H*-isoindol-1-one
388	3-hydroxy-2-(3-methylphenyl)-3-[2-(pyrazin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-one
389	2-(5-chloro-2-methylphenyl)-3-hydroxy-3-[2-(pyrazin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-one
390	methyl {6-[2-(2-fluoro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}carbamate
391	3-hydroxy-2-[3-(methyloxy)phenyl]-3-[2-(pyrazin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-one
392	methyl {6-[(2-{[(2-thienylmethyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
393	methyl {6-[(2-{[(3-methylphenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
394	methyl {6-[(2-{[(3-bromophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate

Entry	Name
395	methyl {6-[(2-{[(3-chlorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
396	methyl {6-[(2-{[(3-fluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
397	methyl (6-{[2-({[3-(methyloxy)phenyl]amino}carbonyl)phenyl]carbonyl}-1*H*-benzimidazol-2-yl)carbamate
398	methyl (6-{[2-({[3-(trifluoromethyl)phenyl]amino}carbonyl)phenyl]carbonyl}-1*H*-benzimidazol-2-yl)carbamate
399	methyl {6-[(2-{[(3-ethylphenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
400	methyl {6-[(2-{[(3-ethynylphenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
401	methyl {6-[(2-{[(3-chloro-4-fluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
402	methyl {6-[(2-{[(5-chloro-2-fluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
403	methyl {6-[(2-{[(3-iodophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
404	methyl (6-{[2-({[3-(1-methylethyl)phenyl]amino}carbonyl)phenyl]carbonyl}-1*H*-benzimidazol-2-yl)carbamate
405	methyl {6-[(2-{[(3-thienylmethyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
406	methyl {6-[(2-{[(3-bromo-4-fluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
407	methyl {6-[(2-{[(3-chloro-2-fluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
408	methyl {6-[(2-{[(4-fluoro-3-methylphenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
409	methyl {6-[(2-{[(5-bromo-2-fluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
410	methyl {6-[(2-{[(5-bromo-2,4-difluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
411	methyl {6-[(2-{[(5-chloro-2,4-difluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
412	methyl {6-[(2-{[(3-bromo-2-fluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
413	methyl {6-[(2-{[(3-ethenylphenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
414	methyl {6-[(2-{[(3-ethynyl-2-fluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
415	methyl {6-[(2-{[(5-chloro-2-methylphenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
416	methyl {6-[(2-{[(5-bromo-2-methylphenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate

Entry	Name
417	methyl {6-[(2-{[(2-fluoro-3-iodophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
418	methyl {6-[(2-{[(3-ethenyl-2-fluorophenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate
419	methyl {6-[(2-{[(2-fluoro-5-methylphenyl)amino]carbonyl}phenyl)carbonyl]-1*H*-benzimidazol-2-yl}carbamate

Table 7.

Representative EGFR and/or VEGFR Inhibitors

[00235] The Compounds in Table 7 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 7 can be used to practice the invention.

Entry	Name
1	(3*Z*)-3-[[5-(methyloxy)-1*H*-benzimidazol-2-yl](phenyl)methylidene]-5-{[1-(phenylmethyl)pyrrolidin-3-yl]amino}-1,3-dihydro-2*H*-indol-2-one
2	(3*Z*)-5-[(1-ethylpiperidin-3-yl)amino]-3-[[5-(methyloxy)-1*H*-benzimidazol-2-yl](phenyl)methylidene]-1,3-dihydro-2*H*-indol-2-one
3	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[5-(methyloxy)-1*H*-benzimidazol-2-yl](phenyl)methylidene]-1,3-dihydro-2*H*-indol-2-one
4	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[1*H*-imidazol-2-yl(phenyl)methylidene]-1,3-dihydro-2*H*-indol-2-one
5	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-{[5-(methyloxy)-1*H*-benzimidazol-2-yl][4-(methyloxy)phenyl]methylidene}-1,3-dihydro-2*H*-indol-2-one
6	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[5-(methyloxy)-1*H*-benzimidazol-2-yl](4-methylphenyl)methylidene]-1,3-dihydro-2*H*-indol-2-one
7	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-nitrophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
8	(3*Z*)-3-{1*H*-benzimidazol-2-yl[4-(methyloxy)phenyl]methylidene}-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
9	(3*Z*)-3-[1*H*-benzimidazol-2-yl(phenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
10	(3*Z*)-3-[[5-(methyloxy)-1*H*-benzimidazol-2-yl](phenyl)methylidene]-5-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
11	(3*Z*)-3-[(4-aminophenyl)(1*H*-benzimidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
12	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-methylphenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
13	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[1*H*-imidazol-2-yl(4-methylphenyl)methylidene]-1,3-dihydro-2*H*-indol-2-one
14	(3*Z*)-5-[(1-ethylpiperidin-4-yl)oxy]-3-[[5-(methyloxy)-1*H*-benzimidazol-2-yl](phenyl)methylidene]-1,3-dihydro-2*H*-indol-2-one

Entry	Name
15	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-{1*H*-imidazol-2-yl[4-(methyloxy)phenyl]methylidene}-1,3-dihydro-2*H*-indol-2-one
16	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-fluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
17	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3,5-difluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
18	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-fluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
19	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-nitrophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
20	3-((*Z*)-1*H*-benzimidazol-2-yl{5-[(1-ethylpiperidin-4-yl)amino]-2-oxo-1,2-dihydro-3*H*-indol-3-ylidene}methyl)benzonitrile
21	(3*Z*)-3-[(3-aminophenyl)(1*H*-benzimidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
22	(3*Z*)-3-[1*H*-benzimidazol-2-yl(phenyl)methylidene]-5-(piperidin-4-ylamino)-1,3-dihydro-2*H*-indol-2-one
23	3-((*Z*)-1*H*-benzimidazol-2-yl{5-[(1-ethylpiperidin-4-yl)amino]-2-oxo-1,2-dihydro-3*H*-indol-3-ylidene}methyl)benzenecarboximidamide
24	(3*Z*)-3-[1*H*-benzimidazol-2-yl(phenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
25	(3*Z*)-3-[1*H*-benzimidazol-2-yl(phenyl)methylidene]-5-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
26	(3*Z*)-3-{1*H*-benzimidazol-2-yl[3-(methyloxy)phenyl]methylidene}-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
27	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
28	2-(2-{2-[(*Z*)-{5-[(1-ethylpiperidin-4-yl)amino]-2-oxo-1,2-dihydro-3*H*-indol-3-ylidene}(phenyl)methyl]-1*H*-imidazol-4-yl}ethyl)-1*H*-isoindole-1,3(2*H*)-dione
29	(3*Z*)-3-[1*H*-benzimidazol-2-yl(phenyl)methylidene]-5-({1-[2-(dimethylamino)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
30	(3*Z*)-3-[1*H*-benzimidazol-2-yl(phenyl)methylidene]-5-{[1-(methylsulfonyl)piperidin-4-yl]amino}-1,3-dihydro-2*H*-indol-2-one
31	(3*Z*)-5-(8-azabicyclo[3.2.1]oct-3-ylamino)-3-[1*H*-benzimidazol-2-yl(phenyl)methylidene]-1,3-dihydro-2*H*-indol-2-one
32	(3*Z*)-3-{1*H*-benzimidazol-2-yl[3-(methyloxy)phenyl]methylidene}-5-[(1-ethylpiperidin-4-yl)oxy]-1,3-dihydro-2*H*-indol-2-one
33	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3,5-difluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)oxy]-1,3-dihydro-2*H*-indol-2-one
34	(3*Z*)-3-[1*H*-benzimidazol-2-yl(phenyl)methylidene]-5-{[1-(phenylmethyl)piperidin-4-yl]oxy}-1,3-dihydro-2*H*-indol-2-one
35	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)oxy]-1,3-dihydro-2*H*-indol-2-one
36	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3,5-difluorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}oxy)-1,3-dihydro-2*H*-indol-2-one

Entry	Name
37	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}oxy)-1,3-dihydro-2*H*-indol-2-one
38	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
39	(3*Z*)-3-{1*H*-benzimidazol-2-yl[3-(methyloxy)phenyl]methylidene}-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
40	(3*Z*)-3-[(3-chlorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
41	(3*Z*)-3-[(3-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
42	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3,5-difluorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
43	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)(methyl)amino]-1,3-dihydro-2*H*-indol-2-one
44	(3*Z*)-3-[(3-chlorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)oxy]-1,3-dihydro-2*H*-indol-2-one
45	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-chlorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
46	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-fluorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
47	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-fluorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
48	(3*Z*)-3-[(3-chlorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
49	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
50	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-fluoro-4-methylphenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
51	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
52	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-fluoro-3-methylphenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
53	(3*Z*)-3-[(3-chloro-4-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
54	(3*Z*)-3-[(3,4-difluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
55	(3*Z*)-3-[(5-chloro-1*H*-benzimidazol-2-yl)(phenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
56	(3*Z*)-3-[(5-chloro-1*H*-benzimidazol-2-yl)(3,5-difluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
57	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluoro-4-methylphenyl)(1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
58	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(4-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one

Entry	Name
59	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[1*H*-imidazol-2-yl(4-propylphenyl)methylidene]-1,3-dihydro-2*H*-indol-2-one
60	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-{1*H*-imidazol-2-yl[4-(trifluoromethyl)phenyl]methylidene}-1,3-dihydro-2*H*-indol-2-one
61	(3*E*)-3-[(3,5-difluorophenyl)(5-fluoro-1*H*-benzimidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
62	(3*Z*)-3-[(3,5-difluorophenyl)(5-fluoro-1*H*-benzimidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
63	(3*Z*)-3-[(3-fluoro-4-methylphenyl)(1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
64	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(4-methyl-1*H*-imidazol-2-yl)(4-methylphenyl)methylidene]-1,3-dihydro-2*H*-indol-2-one
65	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[3-fluoro-4-(trifluoromethyl)phenyl](1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
66	(3*Z*)-3-[(4-chlorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
67	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluoro-4-methylphenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
68	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-{1*H*-imidazol-2-yl[6-(trifluoromethyl)pyridin-3-yl]methylidene}-1,3-dihydro-2*H*-indol-2-one
69	(3*Z*)-3-[1*H*-imidazol-2-yl(4-methylphenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
70	(3*Z*)-3-[(3-fluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
71	(3*Z*)-3-{1*H*-imidazol-2-yl[4-(trifluoromethyl)phenyl]methylidene}-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
72	(3*Z*)-3-[(5-chloro-1*H*-benzimidazol-2-yl)(phenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
73	(3*Z*)-3-[(3,5-difluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
74	(3*Z*)-3-[(3,5-difluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
75	(3*Z*)-3-[(3,5-difluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
76	(3*Z*)-3-[(3,5-difluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
77	(3*Z*)-3-[(4-methyl-1*H*-imidazol-2-yl)(4-methylphenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
78	(3*Z*)-3-[(4-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
79	(3*Z*)-3-[(3,4-difluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
80	(3*Z*)-3-[(3-chloro-4-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one

Entry	Name
81	(3*Z*)-3-[(3-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-(piperidin-4-ylamino)-1,3-dihydro-2*H*-indol-2-one
82	(3*Z*)-3-[(3-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-{[1-(2-piperidin-1-ylethyl)piperidin-4-yl]amino}-1,3-dihydro-2*H*-indol-2-one
83	(3*Z*)-3-[(3-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-{[1-(2-morpholin-4-ylethyl)piperidin-4-yl]amino}-1,3-dihydro-2*H*-indol-2-one
84	(3*Z*)-5-({1-[2-(diethylamino)ethyl]piperidin-4-yl}amino)-3-[(3-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
85	(3*Z*)-3-[(3-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-{[1-(2-pyrrolidin-1-ylethyl)piperidin-4-yl]amino}-1,3-dihydro-2*H*-indol-2-one
86	(3*Z*)-3-[1*H*-imidazol-2-yl(4-methylphenyl)methylidene]-5-[(1-methylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
87	(3*Z*)-3-[(3-fluorophenyl)(1*H*-1,2,4-triazol-5-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
88	ethyl 2-{(*Z*)-(3-fluorophenyl)[5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-2-oxo-1,2-dihydro-3*H*-indol-3-ylidene]methyl}-4-methyl-1*H*-imidazole-5-carboxylate
89	(3*Z*)-3-[1*H*-imidazol-2-yl(phenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
90	(3*Z*)-3-{1*H*-imidazol-2-yl[4-(methyloxy)phenyl]methylidene}-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
91	(3*Z*)-3-[(4-chlorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
92	(3*Z*)-3-[[3-fluoro-4-(trifluoromethyl)phenyl](1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
93	(3*Z*)-3-[(3-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-{[1-(methylsulfonyl)piperidin-4-yl]amino}-1,3-dihydro-2*H*-indol-2-one
94	(3*Z*)-3-[1*H*-imidazol-2-yl(4-propylphenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
95	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluorophenyl)(4-phenyl-1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
96	(3*Z*)-3-[(3-fluorophenyl)(4-phenyl-1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
97	(3*Z*)-3-[(3-fluoro-4-methylphenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
98	(3*Z*)-3-{1*H*-imidazol-2-yl[6-(trifluoromethyl)pyridin-3-yl]methylidene}-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-one
99	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluorophenyl)(1*H*-1,2,4-triazol-5-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
100	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[2-fluoro-4-(trifluoromethyl)phenyl](1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
101	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-{(4-methyl-1*H*-imidazol-2-yl)[4-(trifluoromethyl)phenyl]methylidene}-1,3-dihydro-2*H*-indol-2-one
102	(3*Z*)-3-[(4-chlorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one

Entry	Name
103	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[3-fluoro-4-(trifluoromethyl)phenyl](4-methyl-1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
104	(3*Z*)-3-[(3,4-difluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
105	(3*Z*)-3-[(3-chloro-4-fluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
106	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(4-fluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
107	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(2-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
108	(3*Z*)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[2-fluoro-4-(trifluoromethyl)phenyl](4-methyl-1*H*-imidazol-2-yl)methylidene]-1,3-dihydro-2*H*-indol-2-one
109	(3*Z*)-3-[(2,3-difluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
110	(3*Z*)-3-[(2,3-difluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
111	(3*Z*)-3-[(2,4-difluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
112	(3*Z*)-3-[(2,4-difluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
113	(3*Z*)-3-[(2-fluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
114	(3*Z*)-3-[(3-trifluoromethylphenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
115	(3*Z*)-3-[(3-trifluoromethylphenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
116	(3*Z*)-3-[(2,4-dichloro-5-fluorophenyl)(1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
117	(3*Z*)-3-[(2,4-dichloro-5-fluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one
118	(3*Z*)-3-[(4-chloro-2-fluorophenyl)(4-methyl-1*H*-imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-one

Table 8. c-KIT Inhibitors

[00236] The Compounds in Table 8 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 8 can be used to practice the invention.

Entry	Name
1	*N*-[5-chloro-2-(methyloxy)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
2	*N*-phenyl-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide

Entry	Name
3	*N*-(2-methylphenyl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
4	*N*-(2-chlorophenyl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
5	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
6	ethyl 2-[({[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetyl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
7	*N*-(3-chloro-2-methylphenyl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
8	*N*-(3-fluorophenyl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
9	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(2*H*-tetrazol-5-yl)phenyl]oxy}acetamide
10	*N*-(4-chloro-2-fluorophenyl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
11	*N*-(4-bromo-3-methylphenyl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
12	*N*-(4-morpholin-4-ylphenyl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
13	*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
14	*N*-[4-bromo-3-(trifluoromethyl)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
15	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
16	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}propanamide
17	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(5-methyl-1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
18	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[2-methyl-5-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
19	*N*-(4-chlorophenyl)-*N*-methyl-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
20	*N*-[4-chloro-2-(trifluoromethyl)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
21	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(2,5-dioxopyrrolidin-1-yl)phenyl]oxy}acetamide
22	(2E)-*N*-[4-chloro-3-(trifluoromethyl)phenyl]-3-[3-(1*H*-tetrazol-1-yl)phenyl]prop-2-enamide
23	*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-2-{[4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
24	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(2-methyl-2*H*-tetrazol-5-yl)phenyl]oxy}acetamide
25	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[2,4-dichloro-5-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide

Entry	Name
26	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]thio}acetamide
27	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N*~2~-[3-(1*H*-tetrazol-1-yl)phenyl]glycinamide
28	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[2-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
29	methyl 1-{3-[(2-{[4-chloro-3-(trifluoromethyl)phenyl]amino}-2-oxoethyl)oxy]phenyl}-1*H*-1,2,3-triazole-4-carboxylate
30	1,1-dimethylethyl {4-[({[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetyl)amino]phenyl}carbamate
31	1,1-dimethylethyl {4-[({[4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetyl)amino]phenyl}carbamate
32	*N*-{4-[(1-ethylpiperidin-4-yl)amino]phenyl}-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
33	*N*-{4-[(1-ethylpiperidin-3-yl)amino]phenyl}-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
34	*N*-(4-aminophenyl)-2-{[4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
35	*N*-{4-[(1-ethylpiperidin-4-yl)amino]phenyl}-2-{[4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
36	*N*-{4-[(1-ethylpiperidin-3-yl)amino]phenyl}-2-{[4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
37	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-pyridin-4-ylphenyl)oxy]acetamide
38	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N*~2~-methyl-*N*~2~-[3-(1*H*-tetrazol-1-yl)phenyl]glycinamide
39	*N*-1,3-benzothiazol-2-yl-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
40	*N*-quinolin-8-yl-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
41	*N*-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
42	*N*-isoquinolin-5-yl-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
43	*N*-{3-[(phenylmethyl)oxy]phenyl}-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
44	*N*-[5-methyl-2-(methyloxy)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
45	*N*-[2,5-bis(methyloxy)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
46	*N*-(6-fluoro-1,3-benzothiazol-2-yl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
47	methyl 3-[({[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetyl)amino]benzoate
48	5-chloro-2-[({[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetyl)amino]benzamide
49	*N*-[5-chloro-2,4-bis(methyloxy)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide

Entry	Name
50	*N*-[2-(phenyloxy)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
51	*N*-[3-(aminosulfonyl)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
52	*N*-[2-(methyloxy)-5-(trifluoromethyl)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
53	*N*-(4-{[(4-methylphenyl)sulfonyl]amino}phenyl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
54	*N*-(5-phenyl-1*H*-pyrazol-3-yl)-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
55	*N*-1,3-benzothiazol-2-yl-2-{[4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
56	*N*-quinolin-8-yl-2-{[4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
57	1,1-dimethylethyl 2-{3-[(2-{[4-chloro-3-(trifluoromethyl)phenyl]amino}-2-oxoethyl)oxy]phenyl}-1*H*-pyrrole-1-carboxylate
58	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(1*H*-pyrrol-2-yl)phenyl]oxy}acetamide
59	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-pyrimidin-5-ylphenyl)oxy]acetamide
60	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(1*H*-1,2,3-triazol-1-yl)phenyl]oxy}acetamide
61	4-chloro-*N*-(2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}ethyl)-3-(trifluoromethyl)aniline
62	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N*-(2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}ethyl)formamide
63	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-pyridin-3-ylphenyl)oxy]acetamide
64	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-furan-3-ylphenyl)oxy]acetamide
65	(2E)-*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-3-[3-(1*H*-tetrazol-1-yl)phenyl]prop-2-enamide
66	*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-3-[3-(1*H*-tetrazol-1-yl)phenyl]propanamide
67	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[6-(1*H*-tetrazol-1-yl)pyrimidin-4-yl]oxy}acetamide
68	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-(3,5-dimethylisoxazol-4-yl)phenyl]oxy}acetamide
69	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-quinolin-7-ylphenyl)oxy]acetamide
70	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-furan-2-ylphenyl)oxy]acetamide
71	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1*H*-tetrazol-1-yl)phenyl]hydrazinecarboxamide

Entry	Name
72	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-dibenzo[b,d]furan-4-ylphenyl)oxy]acetamide
73	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(4-pyrimidin-5-ylphenyl)oxy]acetamide
74	*N*-methyl-*N*-[4-(methyloxy)phenyl]-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
75	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[3-(1*H*-tetrazol-1-yl)phenyl]methyl}urea
76	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N*-methyl-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
77	*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-*N*~2~-[3-(1*H*-tetrazol-1-yl)phenyl]glycinamide
78	*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-2-{[3-(pyridin-2-ylamino)phenyl]oxy}acetamide
79	*N*-[2-fluoro-5-(trifluoromethyl)phenyl]-2-[3-(1*H*-tetrazol-1-yl)phenyl]hydrazinecarboxamide
80	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(4-pyridin-3-ylphenyl)oxy]acetamide
81	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(3-pyrimidin-5-ylphenyl)methyl]urea
82	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(4-pyrimidin-5-ylphenyl)methyl]urea
83	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(4-pyridin-3-ylphenyl)methyl]urea
84	[3-(1*H*-tetrazol-1-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
85	*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[(4-pyrimidin-5-ylphenyl)oxy]acetamide
86	*N*~2~-[4-chloro-3-(trifluoromethyl)phenyl]-*N*-[3-(1*H*-tetrazol-1-yl)phenyl]glycinamide
87	2-{[4-chloro-3-(trifluoromethyl)phenyl]oxy}-*N*-[3-(1*H*-tetrazol-1-yl)phenyl]acetamide
88	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-methyl-4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
89	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[4-(1*H*-1,2,3-triazol-1-yl)phenyl]oxy}acetamide
90	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[3-fluoro-4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
91	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[2-fluoro-4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
92	*N*-({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)-3-(1*H*-tetrazol-1-yl)benzenesulfonamide
93	*N*-({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)-*N*-methyl-3-(1*H*-tetrazol-1-yl)benzenesulfonamide

Entry	Name
94	*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[(4-pyridin-3-ylphenyl)oxy]acetamide
95	2-({4-[2,4-bis(methyloxy)pyrimidin-5-yl]phenyl}oxy)-*N*-[4-fluoro-3-(trifluoromethyl)phenyl]acetamide
96	2-({4-[2,4-bis(methyloxy)pyrimidin-5-yl]phenyl}oxy)-*N*-[4-chloro-3-(trifluoromethyl)phenyl]acetamide
97	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(4-pyridin-4-ylphenyl)oxy]acetamide
98	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N*~2~-[3-(methyloxy)-4-(1*H*-tetrazol-1-yl)phenyl]glycinamide
99	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N*~2~-[4-(methyloxy)-3-(1*H*-tetrazol-1-yl)phenyl]glycinamide
100	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N*~2~-[4-(1*H*-tetrazol-1-yl)phenyl]glycinamide
101	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-(2,3,5,6-tetrafluoro-4-pyrimidin-5-ylphenyl)hydrazinecarboxamide
102	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[4-(1*H*-tetrazol-1-yl)phenyl]methyl}urea
103	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-(4-pyrimidin-5-ylphenyl)hydrazinecarboxamide
104	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(3-pyridin-3-ylphenyl)methyl]urea
105	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-2-{[3-(1*H*-tetrazol-1-yl)phenyl]oxy}propanamide
106	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[4-(1*H*-tetrazol-1-yl)phenyl]oxy}propanamide
107	*N*-({4-[2,4-bis(methyloxy)pyrimidin-5-yl]phenyl}methyl)-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
108	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-({3-[2-(methyloxy)pyrimidin-5-yl]phenyl}methyl)urea
109	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-({3-[6-(methyloxy)pyridin-3-yl]phenyl}methyl)urea
110	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-({4-[2-(methyloxy)pyrimidin-5-yl]phenyl}methyl)urea
111	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-({4-[6-(methyloxy)pyridin-3-yl]phenyl}methyl)urea
112	1,1-dimethylethyl 2-{4-[(2-{[4-chloro-3-(trifluoromethyl)phenyl]amino}-2-oxoethyl)oxy]phenyl}-1*H*-indole-1-carboxylate
113	*N*-({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)-4-(1*H*-tetrazol-1-yl)benzenesulfonamide
114	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N*~2~-[3-(2*H*-tetrazol-5-yl)phenyl]glycinamide

Entry	Name
115	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[2,6-difluoro-4-(1*H*-tetrazol-1-yl)phenyl]oxy}acetamide
116	(3-pyridin-3-ylphenyl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
117	(3-pyrimidin-5-ylphenyl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
118	(3-pyridin-4-ylphenyl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
119	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1*H*-tetrazol-1-yl)phenyl]hydrazinecarboxamide
120	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-(4-pyridin-3-ylphenyl)hydrazinecarboxamide
121	(4-pyridin-3-ylphenyl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
122	(4-pyridin-4-ylphenyl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
123	(4-pyrimidin-5-ylphenyl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
124	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(4-pyridin-4-ylphenyl)methyl]urea
125	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-(3-pyridin-3-ylphenyl)hydrazinecarboxamide
126	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-(3-pyrimidin-5-ylphenyl)hydrazinecarboxamide
127	*N*-[5-chloro-2,4-bis(methyloxy)phenyl]-*N'*-[(4-pyrimidin-5-ylphenyl)methyl]urea
128	*N*-[5-chloro-2,4-bis(methyloxy)phenyl]-*N'*-[(4-pyridin-3-ylphenyl)methyl]urea
129	(4-pyrimidin-5-ylphenyl)methyl [5-chloro-2,4-bis(methyloxy)phenyl]carbamate
130	(4-pyridin-3-ylphenyl)methyl [5-chloro-2,4-bis(methyloxy)phenyl]carbamate
131	1-(4-pyridin-3-ylphenyl)ethyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
132	1-(4-pyrimidin-5-ylphenyl)ethyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
133	*N*-[5-chloro-2,4-bis(methyloxy)phenyl]-*N'*-[(3-pyridin-3-ylphenyl)methyl]urea
134	*N*-[5-chloro-2,4-bis(methyloxy)phenyl]-*N'*-[(3-pyrimidin-5-ylphenyl)methyl]urea
135	(3-pyridin-3-ylphenyl)methyl [5-chloro-2,4-bis(methyloxy)phenyl]carbamate
136	(3-pyrimidin-5-ylphenyl)methyl [5-chloro-2,4-bis(methyloxy)phenyl]carbamate

Entry	Name
137	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-2-(3-pyrimidin-5-ylphenyl)hydrazinecarboxamide
138	*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-*N'*-[(4-pyridin-3-ylphenyl)methyl]urea
139	*N*-{[3-(6-aminopyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
140	*N*-{[4-(6-aminopyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
141	*N*-{[3-(2-aminopyrimidin-5-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
142	*N*-{[4-(2-aminopyrimidin-5-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
143	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[1-(4-pyridin-3-ylphenyl)ethyl]urea
144	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[1-(4-pyrimidin-5-ylphenyl)ethyl]urea
145	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[4-(1*H*-indol-2-yl)phenyl]oxy}acetamide
146	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-(isoquinolin-7-yloxy)acetamide
147	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-(4-pyridin-4-ylphenyl)hydrazinecarboxamide
148	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-(3-pyridin-4-ylphenyl)hydrazinecarboxamide
149	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(3-pyridin-4-ylphenyl)methyl]urea
150	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(3-quinoxalin-6-ylphenyl)methyl]urea
151	methyl 3-amino-6-(3-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)pyrazine-2-carboxylate
152	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(4-quinoxalin-6-ylphenyl)methyl]urea
153	*N*-{[3-(2-amino-5-methylpyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
154	methyl 3-amino-6-(4-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)pyrazine-2-carboxylate
155	[3-(1*H*-tetrazol-1-yl)phenyl]methyl [3-chloro-4-(methyloxy)phenyl]carbamate
156	*N*-[3-chloro-4-(methyloxy)phenyl]-*N'*-{[3-(1*H*-tetrazol-1-yl)phenyl]methyl}urea
157	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-2-{[4-(5-hydroxy-1*H*-tetrazol-1-yl)phenyl]oxy}acetamide

Entry	Name
158	*N*-{[3-(2-amino-5-chloropyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
159	*N*-{[4-(2-amino-5-chloropyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
160	*N*-{[3-(6-chloropyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
161	*N*-{[4-(6-chloropyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
162	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[4-(pyrimidin-2-yloxy)phenyl]methyl}urea
163	*N*-({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)-3-(1*H*-tetrazol-1-yl)benzamide
164	3-amino-6-(3-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)-*N*-[2-(dimethylamino)ethyl]pyrazine-2-carboxamide
165	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[3-(6-fluoropyridin-3-yl)phenyl]methyl}urea
166	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-({3-[2-(methyloxy)pyridin-3-yl]phenyl}methyl)urea
167	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[4-(6-fluoropyridin-3-yl)phenyl]methyl}urea
168	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-({4-[2-(methyloxy)pyridin-3-yl]phenyl}methyl)urea
169	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[4-(6-methylpyridin-3-yl)phenyl]methyl}urea
170	*N*-{[4-(2-amino-5-fluoropyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
171	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[3-(6-methylpyridin-3-yl)phenyl]methyl}urea
172	*N*-{[4-(2-aminopyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
173	*N*-{[3-(2-aminopyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
174	[3-(6-methylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
175	[3-(2-amino-5-fluoropyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
176	[3-(2-aminopyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
177	(3-pyrazin-2-ylphenyl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
178	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-({3-[6-(hydroxymethyl)pyridin-3-yl]phenyl}methyl)urea

Entry	Name
179	*N*-{[3-(6-acetylpyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
180	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[3-(6-cyanopyridin-3-yl)phenyl]methyl}urea
181	1,1-dimethylethyl (3S)-3-({[3-amino-6-(3-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)pyrazin-2-yl]carbonyl}amino)piperidine-1-carboxylate
182	3-amino-6-(3-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)-*N*-[(3S)-piperidin-3-yl]pyrazine-2-carboxamide
183	1,1-dimethylethyl (3S)-3-({[3-amino-6-(4-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)pyrazin-2-yl]carbonyl}amino)piperidine-1-carboxylate
184	3-amino-6-(4-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)-*N*-[(3S)-piperidin-3-yl]pyrazine-2-carboxamide
185	[3-(7*H*-pyrrolo[2,3-d]pyrimidin-4-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
186	*N*-{[3-(2-amino-5-fluoropyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
187	[6-(1*H*-tetrazol-1-yl)pyridin-2-yl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
188	[3-(1*H*-benzimidazol-2-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
189	[3-(6-amino-2-methylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
190	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-({3-[5-(methylthio)pyridin-3-yl]phenyl}methyl)urea
191	[4-(6-methylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
192	[4-(2-amino-5-fluoropyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
193	[4-(2-aminopyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
194	(4-pyrazin-2-ylphenyl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
195	[4-(7*H*-pyrrolo[2,3-d]pyrimidin-4-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
196	[4-(6-amino-2-methylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
197	[3-(1*H*-tetrazol-1-yl)phenyl]methyl 1,3-benzothiazol-2-ylcarbamate
198	[3-(1*H*-tetrazol-1-yl)phenyl]methyl (5-bromopyridin-2-yl)carbamate
199	(3-pyridin-3-ylphenyl)methyl (3,5-dimethylphenyl)carbamate

Entry	Name
200	(3-pyridin-3-ylphenyl)methyl [5-chloro-2-(methyloxy)phenyl]carbamate
201	[4-(1*H*-tetrazol-1-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
202	(3-pyrimidin-5-ylphenyl)methyl [5-chloro-2-(methyloxy)phenyl]carbamate
203	(4-pyrimidin-5-ylphenyl)methyl (3,4-dimethylphenyl)carbamate
204	(3-pyridin-3-ylphenyl)methyl (3,4-dimethylphenyl)carbamate
205	1,1-dimethylethyl 3-({[3-amino-6-(3-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)pyrazin-2-yl]carbonyl}amino)piperidine-1-carboxylate
206	1,1-dimethylethyl 3-({[3-amino-6-(4-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)pyrazin-2-yl]carbonyl}amino)piperidine-1-carboxylate
207	3-amino-6-(3-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)-*N*-piperidin-3-ylpyrazine-2-carboxamide
208	3-amino-6-(4-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)-*N*-piperidin-3-ylpyrazine-2-carboxamide
209	1,1-dimethylethyl 4-{[3-amino-6-(3-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)pyrazin-2-yl]carbonyl}piperazine-1-carboxylate
210	1,1-dimethylethyl 4-{[3-amino-6-(4-{[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]methyl}phenyl)pyrazin-2-yl]carbonyl}piperazine-1-carboxylate
211	*N*-({3-[5-amino-6-(piperazin-1-ylcarbonyl)pyrazin-2-yl]phenyl}methyl)-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
212	*N*-({4-[5-amino-6-(piperazin-1-ylcarbonyl)pyrazin-2-yl]phenyl}methyl)-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
213	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[3-(1*H*-pyrazol-4-yl)phenyl]methyl}urea
214	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[4-(1*H*-pyrazol-4-yl)phenyl]methyl}urea
215	[3-(2-piperazin-1-ylpyrimidin-5-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
216	[4-(2-piperazin-1-ylpyrimidin-5-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
217	*N*-{[3-(2-chloropyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea

Entry	Name
218	*N*-{[4-(2-chloropyridin-3-yl)phenyl]methyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
219	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[3-(2-fluoropyridin-3-yl)phenyl]methyl}urea
220	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-{[4-(2-fluoropyridin-3-yl)phenyl]methyl}urea
221	[3-(1*H*-tetrazol-1-yl)phenyl]methyl [3-(trifluoromethyl)phenyl]carbamate
222	[3-(1*H*-tetrazol-1-yl)phenyl]methyl [6-(trifluoromethyl)pyridin-2-yl]carbamate
223	[3-(1*H*-tetrazol-1-yl)phenyl]methyl [4-(trifluoromethyl)pyridin-2-yl]carbamate
224	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-({3-[5-(methylthio)pyridin-2-yl]phenyl}methyl)urea
225	[3-(2,6-dimethylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
226	{3-[5-(methyloxy)pyridin-3-yl]phenyl}methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
227	2,3'-bipyridin-6-ylmethyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
228	(6-pyrimidin-5-ylpyridin-2-yl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
229	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(3-isoquinolin-4-ylphenyl)methyl]urea
230	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[(4-isoquinolin-4-ylphenyl)methyl]urea
231	[6-(1*H*-tetrazol-1-yl)pyridin-2-yl]methyl [4-(trifluoromethyl)pyridin-2-yl]carbamate
232	[3-(1*H*-pyrazol-4-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
233	[4-(1*H*-pyrazol-4-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate

Table 9. c-KIT and/or Flt-3 Inhibitors

[00237] The Compounds in Table 9 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 9 can be used to practice the invention.

Entry	Name
1	4-((*E*)-2-{3-[6-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}ethenyl)phenol

Entry	Name
2	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-(4-{3-[5-(4-ethylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
3	*N*-(3-ethylphenyl)-*N'*-(4-{3-[5-(4-ethylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
4	*N*-(4-{3-[5-(4-ethylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)-*N'*-[3-(trifluoromethyl)phenyl]urea
5	*N*-(3-acetylphenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
6	*N*-(3,4-dichlorophenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
7	*N*-(3-bromophenyl)-*N'*-(4-{3-[6-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
8	*N*-[4-fluoro-3-(trifluoromethyl)phenyl]-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
9	*N*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)-*N'*-[4-(phenyloxy)phenyl]urea
10	*N*-(3-chlorophenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
11	*N*-[3,5-bis(methyloxy)phenyl]-*N'*-(4-{3-[6-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
12	*N*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)-*N'*-{4-[(trifluoromethyl)oxy]phenyl}urea
13	*N*-(4-{3-[6-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)-*N'*-[4-(trifluoromethyl)phenyl]urea
14	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
15	*N*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)-*N'*-[3-(trifluoromethyl)phenyl]urea
16	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-(4-{3-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-5-yl}phenyl)urea
17	*N*-(3,4-dimethylphenyl)-*N'*-(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-3-yl}phenyl)urea
18	*N*-(4-chlorophenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
19	*N*-(3,5-difluorophenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
20	*N*-[3-(methyloxy)phenyl]-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
21	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-(4-{3-[4-(4-ethylpiperazin-1-yl)phenyl]-1*H*-pyrazol-5-yl}phenyl)urea
22	*N*-(3-fluorophenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
23	*N*-(4-fluorophenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea

Entry	Name
24	*N*-(3-cyanophenyl)-*N'*-(4-{3-[6-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
25	*N*-(3,4-difluorophenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
26	*N*-[3,4-bis(methyloxy)phenyl]-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
27	*N*-[5-chloro-2-(methyloxy)phenyl]-*N'*-(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-3-yl}phenyl)urea
28	*N*-(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-3-yl}phenyl)-*N'*-[4-(phenyloxy)phenyl]urea
29	*N*-(2,4-difluorophenyl)-*N'*-(4-{3-[6-(4-ethylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
30	*N*-{4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]phenyl}-*N'*-[4-chloro-3-(trifluoromethyl)phenyl]urea
31	*N*-{4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]phenyl}-*N'*-[2-fluoro-5-(trifluoromethyl)phenyl]urea
32	*N*-(2,4-difluorophenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
33	*N*-{4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]phenyl}-*N'*-phenylurea
34	*N*-[3,5-bis(trifluoromethyl)phenyl]-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
35	*N*-(2-fluorophenyl)-*N'*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
36	4-((*E*)-2-{5-[(*E*)-2-phenylethenyl]-1*H*-pyrazol-3-yl}ethenyl)phenol
37	2-(methyloxy)-4-((*E*)-2-{5-[(*E*)-2-phenylethenyl]-1*H*-pyrazol-3-yl}ethenyl)phenol
38	*N*-(5-fluoro-2-methylphenyl)-*N'*-(4-{3-[6-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
39	*N*-(4-{3-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)-*N'*-phenylurea
40	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-(4-{3-[3-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-5-yl}phenyl)urea
41	*N*-(2,4-difluorophenyl)-*N'*-(4-{3-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-5-yl}phenyl)urea
42	*N*-(2,3-dihydro-1,4-benzodioxin-6-yl)-*N'*-(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-3-yl}phenyl)urea
43	*N*-[2,4-bis(methyloxy)phenyl]-*N'*-(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-3-yl}phenyl)urea
44	4-((*E*)-2-{3-[(*E*)-2-(4-fluorophenyl)ethenyl]-1*H*-pyrazol-5-yl}ethenyl)-2-(methyloxy)phenol
45	4-{(*E*)-2-[3-(1-benzofuran-2-yl)-1*H*-pyrazol-5-yl]ethenyl}phenol

Entry	Name
46	*N*-(4-{3-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-5-yl}phenyl)-*N'*-(2-phenylethyl)ethanediamide
47	4-{(*E*)-2-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]ethenyl}phenol
48	4-((*E*)-2-{3-[(*E*)-2-(4-chlorophenyl)ethenyl]-1*H*-pyrazol-5-yl}ethenyl)-2-(methyloxy)phenol
49	4-{(*E*)-2-[3-(1-benzothien-2-yl)-1*H*-pyrazol-5-yl]ethenyl}phenol
50	*N*-[4-chloro-3-(trifluoromethyl)phenyl]-*N'*-[4-(3-phenyl-1*H*-pyrazol-5-yl)phenyl]urea
51	4-((*E*)-2-{3-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-5-yl}ethenyl)phenol
52	1,1-dimethylethyl {4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]phenyl}carbamate
53	*N*-(5-fluoro-2-methylphenyl)-*N'*-(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-3-yl}phenyl)urea
54	4-[(*E*)-2-(3-phenyl-1*H*-pyrazol-5-yl)ethenyl]phenol
55	2-(methyloxy)-4-[(*E*)-2-(5-phenyl-1*H*-pyrazol-3-yl)ethenyl]phenol
56	4-[(*E*)-2-(5-naphthalen-2-yl-1*H*-pyrazol-3-yl)ethenyl]phenol
57	4-{(*E*)-2-[5-(2-fluorophenyl)-1*H*-pyrazol-3-yl]ethenyl}phenol
58	4-((*E*)-2-{3-[3-(4-methylpiperazin-1-yl)phenyl]-1*H*-pyrazol-5-yl}ethenyl)phenol
59	4-((*E*)-2-{3-[(*E*)-2-(2,4-difluorophenyl)ethenyl]-1*H*-pyrazol-5-yl}ethenyl)-2-(methyloxy)phenol
60	4-{(*E*)-2-[5-(4-fluorophenyl)-1*H*-pyrazol-3-yl]ethenyl}phenol
61	4-{(*E*)-2-[3-(4-chlorophenyl)-1*H*-pyrazol-5-yl]ethenyl}phenol
62	4-[(*E*)-2-(5-pyridin-2-yl-1*H*-pyrazol-3-yl)ethenyl]phenol
63	4-{(*E*)-2-[3-(5-chloro-1-benzofuran-2-yl)-1*H*-pyrazol-5-yl]ethenyl}phenol
64	*N*-(1,1-dimethylethyl)-*N'*-(4-{3-[5-(4-ethylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}phenyl)urea
65	4-[(*E*)-2-(3-pyridin-4-yl-1*H*-pyrazol-5-yl)ethenyl]phenol
66	4-{(*E*)-2-[3-(3-chlorophenyl)-1*H*-pyrazol-5-yl]ethenyl}phenol
67	4-((*E*)-2-{5-[2-(methyloxy)phenyl]-1*H*-pyrazol-3-yl}ethenyl)phenol

Entry	Name
68	4-{(*E*)-2-[3-(2-chlorophenyl)-1*H*-pyrazol-5-yl]ethenyl}phenol
69	4-[(*E*)-2-(3-pyridin-3-yl-1*H*-pyrazol-5-yl)ethenyl]phenol
70	4-((*E*)-2-{5-[3-(methyloxy)phenyl]-1*H*-pyrazol-3-yl}ethenyl)phenol
71	1,1-dimethylethyl (4-{3-[(*E*)-2-phenylethenyl]-1*H*-pyrazol-5-yl}phenyl)carbamate
72	4-{(*E*)-2-[3-(3,4-dichlorophenyl)-1*H*-pyrazol-5-yl]ethenyl}phenol
73	2-{5-[(*E*)-2-phenylethenyl]-1*H*-pyrazol-3-yl}-1-benzofuran-6-ol
74	4-{(*E*)-2-[5-(3-fluorophenyl)-1*H*-pyrazol-3-yl]ethenyl}phenol
75	2-(5-phenyl-1*H*-pyrazol-3-yl)-1*H*-benzimidazole
76	*N*-phenyl-*N'*-[4-(3-phenyl-1*H*-pyrazol-5-yl)phenyl]urea
77	4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]aniline
78	4-[(*E*)-2-(5-biphenyl-3-yl-1*H*-pyrazol-3-yl)ethenyl]phenol
79	4-((*E*)-2-{5-[5-(4-methylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-3-yl}ethenyl)phenol

General Administration

[00238] In one aspect, the invention provides pharmaceutical compositions comprising an inhibitor of PI3K according to the invention and a pharmaceutically acceptable carrier, excipient, or diluent. In certain other specific embodiments, administration may specifically be by the oral route. Administration of the compounds of the invention, or their pharmaceutically acceptable salts, in pure form or in an appropriate pharmaceutical composition, can be carried out via any of the accepted modes of administration or agents for serving similar utilities. Thus, administration can be, for example, orally, nasally, parenterally (intravenous, intramuscular, or subcutaneous), topically, transdermally, intravaginally, intravesically, intracistemally, or rectally, in the form of solid, semi-solid, lyophilized powder, or liquid dosage forms, such as for example, tablets, suppositories, pills, soft elastic and hard gelatin capsules, powders, solutions, suspensions, or aerosols, or the like, specifically in unit dosage forms suitable for simple administration of precise

dosages. When treating brain cancers, including glioblastomas, the administration may specifically be by placing a gliadel, a dissolvable material that contains the chemotherapy drug (in particular BCNU), directly into brain tumors during an operation.

[00239] The compositions will include a compound of Formula I or II as the/an active agent and can include a conventional pharmaceutical carrier or excipient and in addition may include other medicinal agents and pharmaceutical agents that are generally administered to a patient being treated for cancer.

[00240] Adjuvants include preserving, wetting, suspending, sweetening, flavoring, perfuming, emulsifying, and dispensing agents. Prevention of the action of microorganisms can be ensured by various antibacterial and antifungal agents, for example, parabens, chlorobutanol, phenol, sorbic acid, and the like. It may also be desirable to include isotonic agents, for example sugars, sodium chloride, and the like. Prolonged absorption of the injectable pharmaceutical form can be brought about by the use of agents delaying absorption, for example, aluminum monostearate and gelatin.

[00241] If desired, a pharmaceutical composition of the invention may also contain minor amounts of auxiliary substances such as wetting or emulsifying agents, pH buffering agents, antioxidants, and the like, such as, for example, citric acid, sorbitan monolaurate, triethanolamine oleate, butylalted hydroxytoluene, etc.

[00242] The choice of formulation depends on various factors such as the mode of drug administration (e.g., for oral administration, formulations in the form of tablets, pills or capsules) and the bioavailability of the drug substance. Recently, pharmaceutical formulations have been developed especially for drugs that show poor bioavailability based upon the principle that bioavailability can be increased by increasing the surface area i.e., decreasing particle size. For example, U.S. Pat. No. 4,107,288 describes a pharmaceutical formulation having particles in the size range from 10 to 1,000 nm in which the active material is supported on a crosslinked matrix of macromolecules. U.S. Pat. No. 5,145,684 describes the production of a pharmaceutical formulation in which the drug substance is pulverized to nanoparticles (average particle size of 400 nm) in the presence of a surface modifier and then dispersed in a liquid medium to give a pharmaceutical formulation that exhibits remarkably high bioavailability.

[00243] Compositions suitable for parenteral injection may comprise physiologically acceptable sterile aqueous or nonaqueous solutions, dispersions, suspensions or emulsions, and sterile powders for reconstitution into sterile injectable solutions or dispersions. Examples of suitable aqueous and nonaqueous carriers, diluents, solvents or vehicles include water, ethanol, polyols (propyleneglycol, polyethyleneglycol, glycerol, and the like), suitable mixtures thereof, vegetable oils (such as olive oil) and injectable organic esters such as ethyl oleate. Proper fluidity can be maintained, for example, by the use of a coating such as lecithin, by the maintenance of the required particle size in the case of dispersions and by the use of surfactants.

[00244] One specific route of administration is oral, using a convenient daily dosage regimen that can be adjusted according to the degree of severity of the disease-state to be treated.

[00245] Solid dosage forms for oral administration include capsules, tablets, pills, powders, and granules. In such solid dosage forms, the active compound is admixed with at least one inert customary excipient (or carrier) such as sodium citrate or dicalcium phosphate or (a) fillers or extenders, as for example, starches, lactose, sucrose, glucose, mannitol, and silicic acid, (b) binders, as for example, cellulose derivatives, starch, alignates, gelatin, polyvinylpyrrolidone, sucrose, and gum acacia, (c) humectants, as for example, glycerol, (d) disintegrating agents, as for example, agar-agar, calcium carbonate, potato or tapioca starch, alginic acid, croscarmellose sodium, complex silicates, and sodium carbonate, (e) solution retarders, as for example paraffin, (f) absorption accelerators, as for example, quaternary ammonium compounds, (g) wetting agents, as for example, cetyl alcohol, and glycerol monostearate, magnesium stearate and the like (h) adsorbents, as for example, kaolin and bentonite, and (i) lubricants, as for example, talc, calcium stearate, magnesium stearate, solid polyethylene glycols, sodium lauryl sulfate, or mixtures thereof. In the case of capsules, tablets, and pills, the dosage forms may also comprise buffering agents.

[00246] Solid dosage forms as described above can be prepared with coatings and shells, such as enteric coatings and others well known in the art. They may contain pacifying agents, and can also be of such composition that they release the active compound or compounds in a certain part of the intestinal tract in a delayed manner.

Examples of embedded compositions that can be used are polymeric substances and waxes. The active compounds can also be in microencapsulated form, if appropriate, with one or more of the above-mentioned excipients.

[00247] Liquid dosage forms for oral administration include pharmaceutically acceptable emulsions, solutions, suspensions, syrups, and elixirs. Such dosage forms are prepared, for example, by dissolving, dispersing, etc., a compound(s) of the invention, or a pharmaceutically acceptable salt or solvate thereof, and optional pharmaceutical adjuvants in a carrier, such as, for example, water, saline, aqueous dextrose, glycerol, ethanol and the like; solubilizing agents and emulsifiers, as for example, ethyl alcohol, isopropyl alcohol, ethyl carbonate, ethyl acetate, benzyl alcohol, benzyl benzoate, propyleneglycol, 1,3-butyleneglycol, dimethylformamide; oils, in particular, cottonseed oil, groundnut oil, corn germ oil, olive oil, castor oil and sesame oil, glycerol, tetrahydrofurfuryl alcohol, polyethyleneglycols and fatty acid esters of sorbitan; or mixtures of these substances, and the like, to thereby form a solution or suspension.

[00248] Suspensions, in addition to the active compounds, may contain suspending agents, as for example, ethoxylated isostearyl alcohols, polyoxyethylene sorbitol and sorbitan esters, microcrystalline cellulose, aluminum metahydroxide, bentonite, agar-agar and tragacanth, or mixtures of these substances, and the like.

[00249] Compositions for rectal administrations are, for example, suppositories that can be prepared by mixing the compounds of the present invention with for example suitable non-irritating excipients or carriers such as cocoa butter, polyethyleneglycol or a suppository wax, which are solid at ordinary temperatures but liquid at body temperature and therefore, melt while in a suitable body cavity and release the active component therein.

[00250] Dosage forms for topical administration of a compound of this invention include ointments, powders, sprays, and inhalants. The active component is admixed under sterile conditions with a physiologically acceptable carrier and any preservatives, buffers, or propellants as may be required. Ophthalmic formulations, eye ointments, powders, and solutions are also contemplated as being within the scope of this invention.

[00251] Compressed gases may be used to disperse a compound of this invention in aerosol form. Inert gases suitable for this purpose are nitrogen, carbon dioxide, etc.

[00252] Generally, depending on the intended mode of administration, the pharmaceutically acceptable compositions will contain about 1% to about 99% by weight of a compound(s) of the invention, or a pharmaceutically acceptable salt or solvate thereof, and 99% to 1% by weight of a suitable pharmaceutical excipient. In one example, the composition will be between about 5% and about 75% by weight of a compound(s) of the invention, or a pharmaceutically acceptable salt or solvate thereof, with the rest being suitable pharmaceutical excipients.

[00253] Actual methods of preparing such dosage forms are known, or will be apparent, to those skilled in this art; for example, see Remington's Pharmaceutical Sciences, 18th Ed., (Mack Publishing Company, Easton, Pa., 1990). The composition to be administered will, in any event, contain a therapeutically effective amount of a compound of the invention, or a pharmaceutically acceptable salt or solvate thereof, for treatment of a disease-state in accordance with the teachings of this invention.

[00254] The compounds of the invention, or their pharmaceutically acceptable salts, are administered in a therapeutically effective amount which will vary depending upon a variety of factors including the activity of the specific compound employed, the metabolic stability and length of action of the compound, the age, body weight, general health, sex, diet, mode and time of administration, rate of excretion, drug combination, the severity of the particular disease-states, and the host undergoing therapy. The compounds of the present invention can be administered to a patient at dosage levels in the range of about 0.1 to about 1,000 mg per day. For a normal human adult having a body weight of about 70 kilograms, a dosage in the range of about 0.01 to about 100 mg per kilogram of body weight per day is an example. The specific dosage used, however, can vary. For example, the dosage can depend on a number of factors including the requirements of the patient, the severity of the condition being treated, and the pharmacological activity of the compound being used. The determination of optimum dosages for a particular patient is well known to one of ordinary skill in the art.

[00255] If formulated as a fixed dose, such combination products employ the compounds of this invention within the dosage range described above and the other pharmaceutically active agent(s) within its approved dosage range. Compounds of the

instant invention may alternatively be used sequentially with known pharmaceutically acceptable agent(s) when a combination formulation is inappropriate.

[00256] Representative pharmaceutical formulations containing a compound of Formula I or II are described below in the Pharmaceutical Composition Examples.

UTILITY

[00257] Certain compounds of Formula I have been tested using the assay described in Biological Example 1 and have been determined to be PI3K inhibitors. As such compounds of Formula I are useful for treating diseases, particularly cancer in which PI3Kactivity contributes to the pathology and/or symptomatology of the disease. For example, cancer in which PI3K activity contributes to its pathology and/or symptomatology include breast cancer, colon cancer, rectal cancer, endometrial cancer, gastric carcinoma, glioblastoma, hepatocellular carcinoma, small cell lung cancer, non-small cell lung cancer, melanoma, ovarian cancer, pancreatic cancer, prostate carcinoma, acute myelogenous leukemia (AML), chronic myelogenous leukemia (CML), and thyroid carcinoma, and the like.

Suitable *in vitro* assays for measuring PI3K activity and the inhibition thereof by compounds are known. Typically, the assay will measure PI3K-induced ATP consumption. For further details of an *in vitro* assay for measuring PI3K activity see Biological Examples, Example 1 *infra*. Cellular activity can be determined using assays as described in Biological Examples 2, 3, and 4 *infra*. Suitable *in vivo* models of cancer are known to those of ordinary skill in the art. For further details of *in vivo* assays see Biological Examples 5-10, *infra*. Examples describing the administration of a Compound of Formula I in combination with anticancer agents are described in Biological Examples 11-14, *infra*. Following the examples disclosed herein, as well as that disclosed in the art, a person of ordinary skill in the art can determine what ombinations of a Compound of Formula I and anti-cancer agents would be effective for treating cancer.

PREPARATIONS OF THE INTERMEDIATES AND COMPOUNDS OF THE INVENTION

[00258] Compounds of this invention can be made by the synthetic procedures described in WO 2007/044729, the disclsoure of which is incorporated by reference herein.

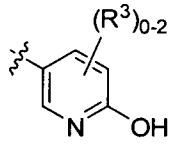
[00259] The starting materials and reagents used in preparing these compounds are either available from commercial suppliers such as Aldrich Chemical Co. (Milwaukee, Wis.), or Bachem (Torrance, Calif.), or are prepared by methods known to those skilled in the art following procedures set forth in references such as Fieser and Fieser's Reagents for Organic Synthesis, Volumes 1-17 (John Wiley and Sons, 1991); Rodd's Chemistry of Carbon Compounds, Volumes 1-5 and Supplementals (Elsevier Science Publishers, 1989); Organic Reactions, Volumes 1-40 (John Wiley and Sons, 1991), March's Advanced Organic Chemistry, (John Wiley and Sons, 4th Edition) and Larock's Comprehensive Organic Transformations (VCH Publishers Inc., 1989). These schemes are merely illustrative of some methods by which the compounds of this invention can be synthesized, and various modifications to these schemes can be made and will be suggested to one skilled in the art having referred to this disclosure. The starting materials and the intermediates of the reaction may be isolated and purified if desired using conventional techniques, including but not limited to filtration, distillation, crystallization, chromatography and the like. Such materials may be characterized using conventional means, including physical constants and spectral data.

[00260] Unless specified to the contrary, the reactions described herein take place at atmospheric pressure and over a temperature range from about -78 °C to about 150 °C, in another embodiment from about 0 °C. to about 125 °C and most specifically at about room (or ambient) temperature, e.g., about 20 °C. Unless otherwise stated (as in the case of a hydrogenation), all reactions are performed under an atmosphere of nitrogen.

[00261] Prodrugs can be prepared by techniques known to one skilled in the art. These techniques generally modify appropriate functional groups in a given compound. These modified functional groups regenerate original functional groups by routine manipulation or *in vivo*. Amides and esters of the compounds of the present invention may be prepared according to conventional methods. A thorough discussion of prodrugs is provided in T. Higuchi and V. Stella, "Pro-drugs as Novel Delivery Systems," Vol 14 of the A.C.S. Symposium Series, and in Bioreversible Carriers in Drug Design, ed. Edward B. Roche, American Pharmaceutical Association and Pergamon Press, 1987, both of which are incorporated herein by reference for all purposes.

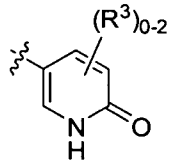
[00262] The compounds of the invention, or their pharmaceutically acceptable salts, may have asymmetric carbon atoms or quaternized nitrogen atoms in their structure. Compounds of Formula I that may be prepared through the syntheses described herein may exist as single stereoisomers, racemates, and as mixtures of enantiomers and diastereomers. The compounds may also exist as geometric isomers. All such single stereoisomers, racemates and mixtures thereof, and geometric isomers are intended to be within the scope of this invention. Some of the compounds of the invention may exist as tautomers. For example, where a ketone or aldehyde is present, the molecule may exist in the enol form; where an amide is present, the molecule may exist as the imidic acid; and where an enamine is present, the molecule may exist as an imine. All such tautomers are within the scope of the invention.

[00263] In particular, in this application B can be 2-hydroxy-pyridinyl, also described as its structure:



14.

Both 2-hydroxy-pyridinyl and the above structure **14** include, and are equivalent to, pyridin-2(1*H*)-one and its structure **15**:



15.

Regardless of which structure or which terminology is used, each tautomer is included within the scope of the Invention.

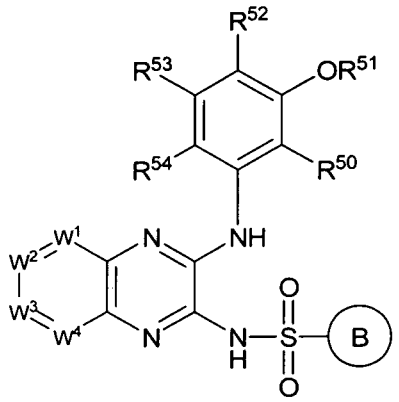
[00264] The present invention also includes N-oxide derivatives and protected derivatives of compounds of Formula I. For example, when compounds of Formula I contain an oxidizable nitrogen atom, the nitrogen atom can be converted to an N-oxide by methods well known in the art. When compounds of Formula I contain groups such as hydroxy, carboxy, thiol or any group containing a nitrogen atom(s), these groups can be protected with a suitable "protecting group" or "protective group". A comprehensive list

of suitable protective groups can be found in T.W. Greene, *Protective Groups in Organic Synthesis*, John Wiley & Sons, Inc. 1991, the disclosure of which is incorporated herein by reference in its entirety. The protected derivatives of compounds of Formula I can be prepared by methods well known in the art.

[00265] Methods for the preparation and/or separation and isolation of single stereoisomers from racemic mixtures or non-racemic mixtures of stereoisomers are well known in the art. For example, optically active (R)- and (S)- isomers may be prepared using chiral synthons or chiral reagents, or resolved using conventional techniques. Enantiomers (R- and S-isomers) may be resolved by methods known to one of ordinary skill in the art, for example by: formation of diastereoisomeric salts or complexes which may be separated, for example, by crystallization; via formation of diastereoisomeric derivatives which may be separated, for example, by crystallization, selective reaction of one enantiomer with an enantiomer-specific reagent, for example enzymatic oxidation or reduction, followed by separation of the modified and unmodified enantiomers; or gas-liquid or liquid chromatography in a chiral environment, for example on a chiral support, such as silica with a bound chiral ligand or in the presence of a chiral solvent. It will be appreciated that where a desired enantiomer is converted into another chemical entity by one of the separation procedures described above, a further step may be required to liberate the desired enantiomeric form. Alternatively, specific enantiomer may be synthesized by asymmetric synthesis using optically active reagents, substrates, catalysts or solvents or by converting on enantiomer to the other by asymmetric transformation. For a mixture of enantiomers, enriched in a particular enantiomer, the major component enantiomer may be further enriched (with concomitant loss in yield) by recrystallization.

[00266] In addition, the compounds of the present invention can exist in unsolvated as well as solvated forms with pharmaceutically acceptable solvents such as water, ethanol, and the like. In general, the solvated forms are considered equivalent to the unsolvated forms for the purposes of the present invention.

[00267] In Compounds of Formula I

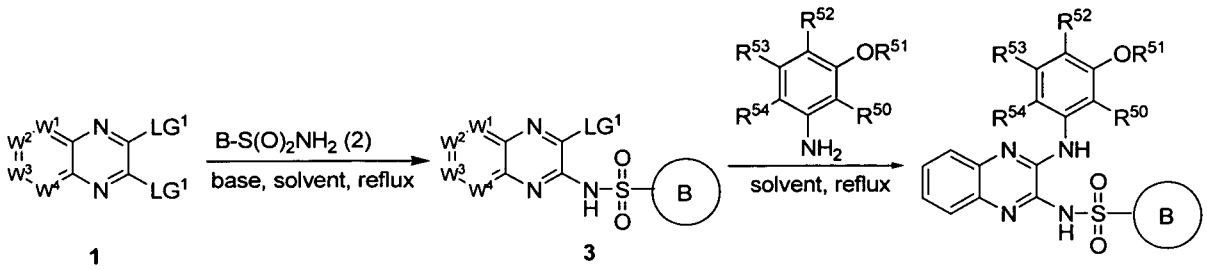


the hydrogen on the -NHS(O)$_2$- group is highly acidic. Thus, intermediates leading to Compounds of Formula I, as well as Compounds of Formula I themselves, can be recovered as uncharged or zwitterionic molecules, or cationic salts such a sodium or potassium, depending on the substitutions on the B ring and on reaction conditions. In the examples that follow, unless otherwise specified, the final form of the compound was assumed to be the uncharged molecule in the absence of analytical techniques that would have determined otherwise.

[00268] Compounds of Formula I can be prepared using methods known to one of ordinary skill in the art. In another embodiment, fusion of appropriate reagents at 180 °C in the presence of a base such as K_2CO_3 and metallic copper is known to provide intermediates of formula 1 (see S. H. Dandegaonker and C. K. Mesta, *J. Med. Chem.* **1965**, *8*, 884).

[00269] Alternatively, the intermediate of formula 3 can be prepared according to the scheme below where each LG^1 is a leaving group (in one embodiment halo, in another embodiment chloro) and all other groups are as defined in the Detailed Description of the Invention.

Scheme 1



[00270] In scheme 1, an intermediate of formula 3 can be prepared by briefly heating commercially available 2,3-dichloroquinoxaline and an intermediate of formula 2 (which are commercially available or can be prepared by one of ordinary skill in the art), a base such as K_2CO_3, in a solvent, such as DMF or DMSO. Upon completion (about 2 hours), the reaction mixture is then poured into water and followed by 2 N HCl. The product is then extracted into a solvent such as ethyl acetate and washed with water and brine. The organic layers are combined and dried over a drying agent such as sodium sulfate, filtered, and concentrated under vacuum.

[00271] The intermediate of formula 3 is then treated with an intermediate of formula 4 in a solvent such as DMF or p-xylene at reflux temperature. Upon completion of the reaction (about 16 hours or less), the reaction is allowed to cool, extracted into DCM, washed with 2 N HCl and brine, dried over a drying agent such as sodium sulfate or magnesium sulfate, filtered, and concentrated to give a compound of Formula I.

[00272] Alternatively, other methods to prepare quinoxaline derivatives are known to one skilled in the art and include, but are not limited to S. V. Litvinenko, V. I. Savich, D. D. Bobrovnik, *Chem. Heterocycl. Compd.* (Engl. Transl), **1994**, *30*, 340 and W. C. Lumma, R. D. Hartman, *J. Med. Chem.* **1981**, *24*, 93.

[00273] The following compounds were prepared in a manner similar to that described above.

Example 1: *N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide.

Example 2: *N*-(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-chlorobenzenesulfonamide.

Example 3: *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide.

Example 4: 4-chloro-*N*-(3-chloroquinoxalin-2-yl)benzenesulfonamide.

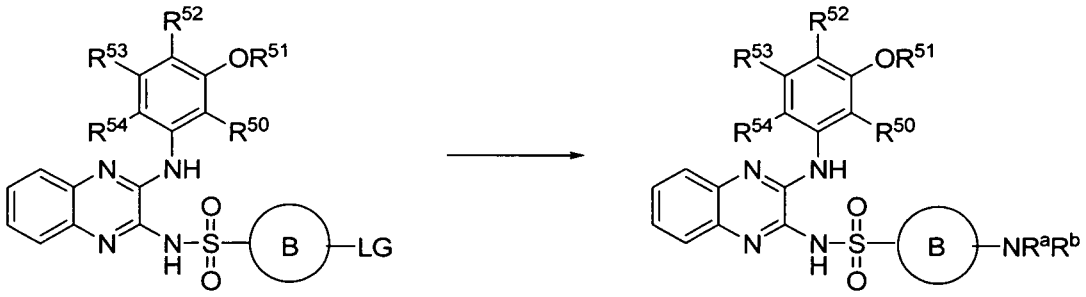
Example 5: 4-chloro-*N*-(3-(2,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.18 (s, 1H), 8.78 (s, 1H), 8.40-8.60 (m, 3H), 7.98 (t, 2H), 7.62 (d, 1H), 7.41 (m, 2H), 6.98 (d, 1H), 6.59 (d, 1H), 3.78 (s, 3H), 3.76 (s, 3H); MS (EI) m/z for $C_{22}H_{19}N_5O_6S$: 482.1 (MH^+).

Example 6: *N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.68 (br s, 1H), 9.18 (s, 1H), 8.55 (s, 1H), 8.08 (d, 2H), 7.98 (d, 1H), 7.78 (d, 2H), 7.62 (dd, 1H), 7.40 (m, 2H), 7.00 (d, 1H), 6.60 (dd, 1H), 3.78 (s, 6H) ; MS (EI) m/z for $C_{22}H_{19}ClN_4O_4S$: 471.1 (MH^+).

Example 7: *N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)-2-(dimethylamino)acetamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.0 (br s, 1 H), 10.6 (s, 1 H), 10.0 (br s, 1 H), 9.52 (s, 1 H), 8.91 (d, 1 H), 8.25 (d, 1 H), 7.69 (dd, 1H), 7.47 (m, 1 H), 7.39 (d, 1 H), 7.16 (m, 3 H), 6.01 (dd, 1 H); MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555 (MH^+).

[00274] Compounds of Formula I where B is phenyl substituted with R^{3a} where R^{3a} is alkylamino or dialkylamino or B is heteroaryl substituted with R^3 where R^3 is amino, alkylamino, or dialkylamino, and all other groups are as defined in the Summary of the Invention can be prepared according to Scheme 2.

Scheme 2



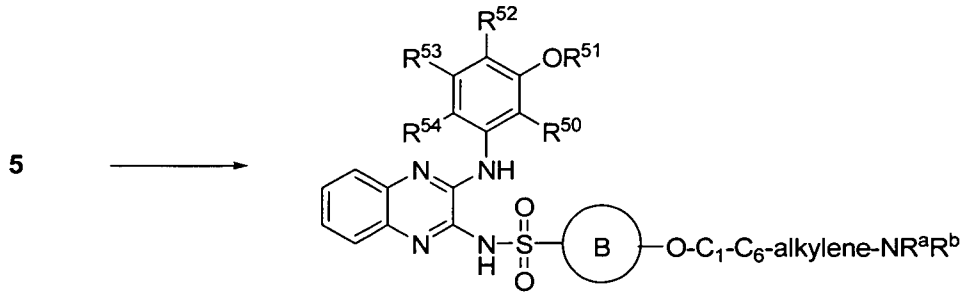
5 **I(c)**

LG is a leaving group such as chloro. **5** is reacted with NHR^aR^b or HO-C_1-C_6-alkylene-NHR^aR^b where R^a and R^b are independently hydrogen or alkyl. The reaction is carried out in the presence of a base, such as $KHCO_3$, in a solvent such as DMF.

[00275] Compounds of Formula I where B is phenyl substituted with R^{3a} where R^{3a} is aminoalkyloxy, alkylaminoalkyloxy, or dialkylaminoalkyloxy or B is heteroaryl

substituted with R^3 where R^3 is aminoalkyloxy, alkylaminoalkyloxy, or dialkylaminoalkyloxy, and all other groups are as defined in the Summary of the Invention can be prepared according to Scheme 3.

Scheme 3



I(c)

The reaction is carried out in the presence of a base such as NaH in a solvent such as DMF.

[00276] Compounds of Formula I where B is phenyl substituted with R^{3a} or B is heteroaryl substituted with R^3 where R^{3a} and R^3 are

i. $-N(R^7)C(O)-C_1-C_6$-alkylene-$N(R^{7a})(R^{7b})$ where R^7, R^{7a}, and R^{7b} are as defined in the Summary of the Invention;

ii. $-NR^9C(O)R^{9a}$ where R^9 is as defined in the Summary of the Invention;

iii. $-NR^{11}C(O)NR^{11a}R^{11b}$ where R^{11a}, R^{11a}, and R^{11b} are as defined in the Summary of the Invention;

iv. $-NR^{13}C(O)OR^{13a}$ where R^{13} and R^{13a} are as defined in the Summary of the Invention;

v. $-N(R^{18})C(O)-C_1-C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$ where R^{18}, R^{18a}, and R^{18b} are as defined in the Summary of the Invention;

vi. $-N(R^{20})C(O)-C_1-C_6$-alkylene-$C(O)R^{20a}$ where R^{20} and R^{20a} as defined in the Summary of the Invention;

vii. $-NR^{21}S(O)_2-C_1-C_6$-alkylene-$N(R^{21b})R^{21a}$ where R^{21}, R^{21a}, and R^{21b} are as defined in the Summary of the Invention;

viii. $-N(R^{22})C(O)-C_0-C_6$-alkylene-$N(R^{22b})$-$N(R^{22c})(R^{22a})$, where R^{22}, R^{22a} and R^{22b} are as defined in the Summary of the Invention;

ix. $-NR^{24}C(O)-C_1.C_6$-alkylene-OR^{24a} where R^{24} and R^{24a} are as defined in the Summary of the Invention;

and where the alkylene in R^3 and R^{3a} are independently optionally substituted as described in the Summary of the Invention can be prepared according to Scheme 4 by reacting with an intermediate of formula 9(a), 9(b), 9(c), 9(d), 9(e), 9(f), or 9(g):

9(a) $HOC(O)-C_1-C_6$-alkylene-$N(R^{7a})(R^{7b})$ where R^a is R^{7a} or a N-protecting group, such as Boc or Fmoc;

9(b) $HOC(O)R^{9a}$;

9(c) $HOC(O)NR^{11a}R^{11b}$;

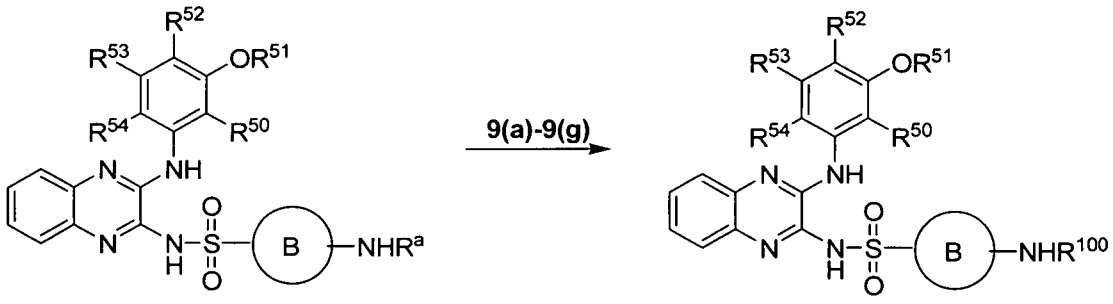
9(d) $HOC(O)OR^{13a}$;

9(e) $HOC(O)-C_1-C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$;

9(f) $HOC(O)-C_1-C_6$-alkylene-$C(O)R^{20a}$;

9(g) $LG-S(O)_2-C_1.C_6$-alkylene-$N(R^{21b})R^a$ where R^a is R^{21a} or a N-protecting group, such as Boc or Fmoc.

Scheme 4



8 **I(e)**

R^{100} in Scheme 4 is $-C(O)R^{9a}$, $-C(O)NR^{11a}R^{11b}$, $-C(O)OR^{13a}$, $-C(O)-C_1-C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$, $-C(O)-C_1-C_6$-alkylene-$C(O)R^{20a}$, or $-S(O)_2-C_1.C_6$-alkylene-$N(R^{21b})R^a$. The reaction is carried out under standard amide coupling conditions known to one of ordinary skill in the art. In particular, the reaction is carried out in the presence of a coupling agent such as HATU, a base such as DIEA, and in a solvent such as DMF. Where applicable, the N-protecting group is then removed using procedures known to one of ordinary skill in the art, such as treating with acid where PG is Boc.

[00277] Proceeding as described for Scheme 4, compounds of the invention where B is phenyl substituted with R^{3a} or B is heteroaryl substituted with R^3 where R^{3a} and R^3 are

a) $-C(O)NR^{8}R^{8a}$;

b) $-C(O)N(R^{10})-C_1-C_6$-alkylene-$N(R^{10a})R^{10b}$;

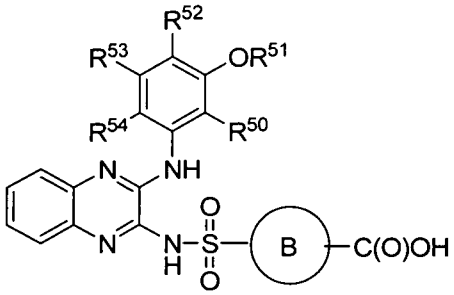
c) $-C(O)R^{12}$ where R^{12} is an N-substituted heterocycloalkyl;

d) $-C(O)N(R^{14})N(R^{14a})(R^{14b})$;

e) $-C(O)N(R^{16})-C_1-C_6$-alkylene-$C(O)OR^{16a}$; or

f) $-C(O)N(R^{19})-C_1-C_6$-alkylene-$C(O)R^{19a}$; or

can be prepared by exchanging the starting materials as necessary. In particular, the intermediate of formula 11:

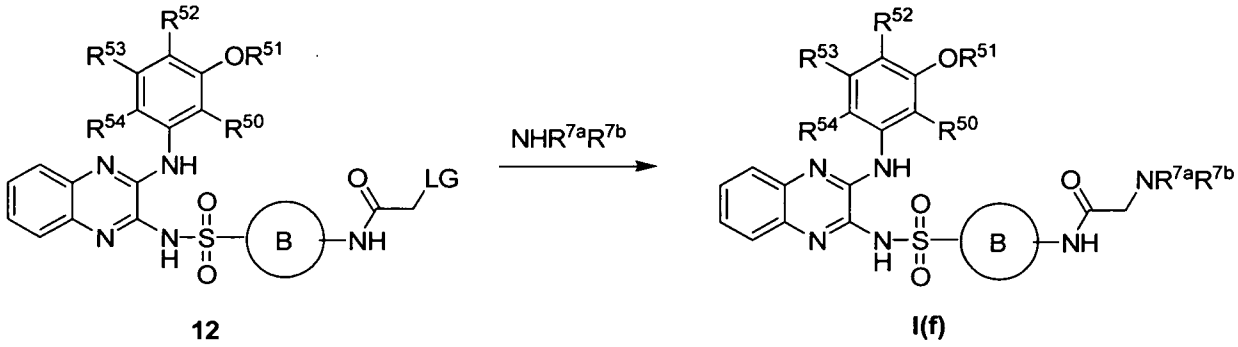


11

is used instead of **8**.

[00278] Compounds of Formula I where B is phenyl substituted with R^{3a} or B is heteroaryl substituted with R^3 where R^{3a} and R^3 are $-NHC(O)CH_2NR^{7a}R^{7b}$ where R^{7a} and R^{7b} are as defined in the Summary of the Invention can be prepared according to Scheme 5.

Scheme 5

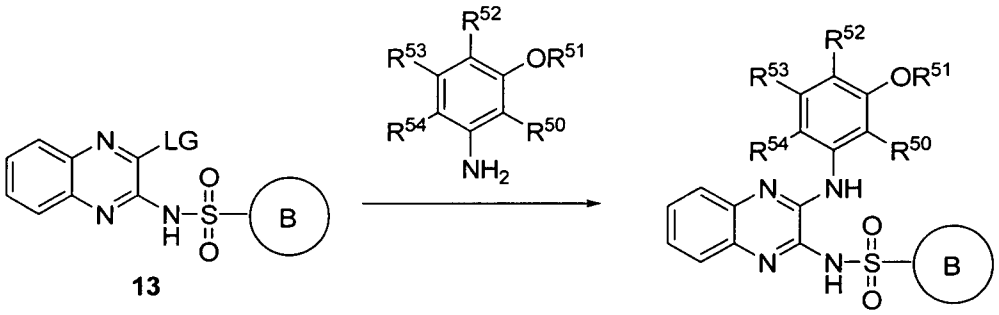


12 I(f)

LG is a leaving group such as bromo or chloro. **12** is reacted with $NH(R^{7b})R^{7a}$ in the presence of a base, such as DIEA, in a solvent such as ACN.

[00279] Compounds of Formula I can be prepared according to Scheme 6.

Scheme 6

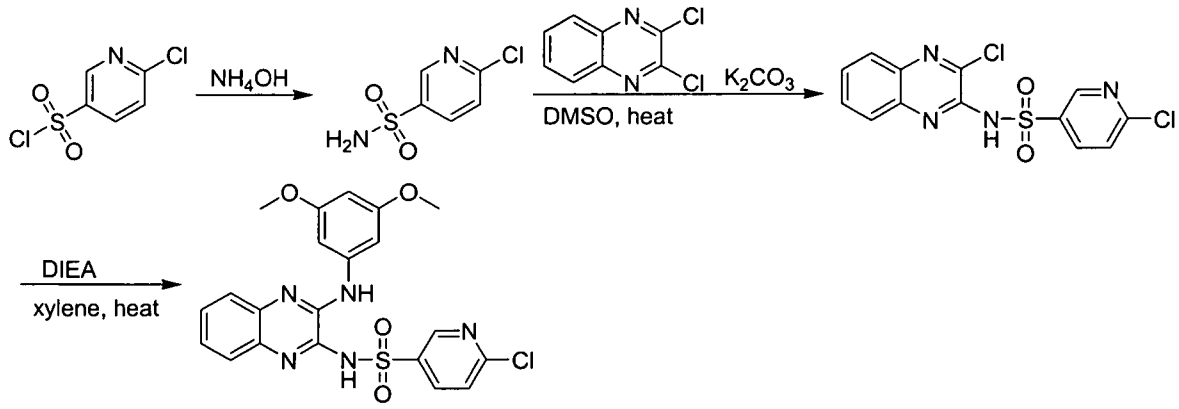


13 I(h)

LG in Scheme 6 is a leaving group such as chloro. The reaction can be carried out by irradiating in a solvent such as DMA. Alternatively, the reaction can be carried out in the presence of acetic acid in a solvent such as DMA and by heating.

Example 8

6-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide



[00280] 6-chloropyridine-3-sulfonamide. 6-chloropyridine-3-sulfonyl chloride (4.1 g, 19.3 mmol) was stirred in ammonium hydroxide (30 mL) at room temperature for 2 hr. The reaction mixture was diluted with EtOAc (150 mL) and any insoluble material filtered. The filtrate was transferred to a separatory funnel and the phases were separated. The aqueous phase was further extracted with EtOAc (1 x 15 mL). The combined EtOAc extractions were washed with H_2O (1 x 50 mL) and saturated NaCl (1 x 50 mL), dried over Na_2SO_4, and concentrated *in vacuo* to give 6-chloropyridine-3-sulfonamide (2.58 g, 69%). MS (EI) m/z for $C_5H_5Cl_2N_2O_2S$: 190.9 (MH^-).

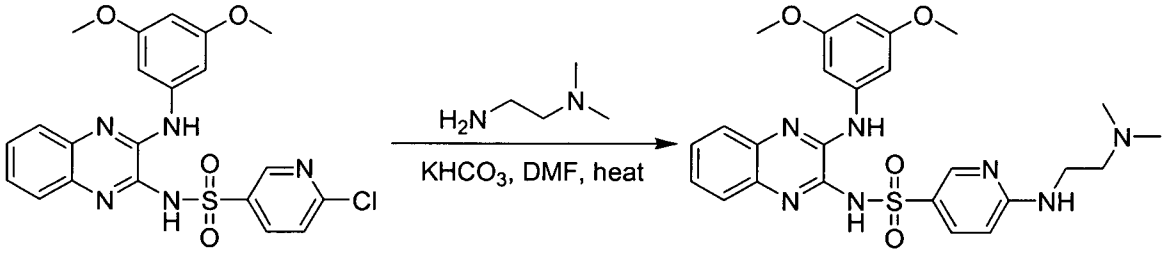
[00281] 6-chloro-*N*-(3-chloroquinoxalin-2-yl)pyridine-3-sulfonamide. 2,3-dichloroquinoxaline (1.09 g, 5.48 mmol), 6-chloropyridine-3-sulfonamide (1.05 g, 5.45 mmol), K_2CO_3 (753 mg, 5.45 mmol) and dry DMSO (30 mL) were combined and heated to 150 °C with vigorous stirring for 3-4 hr. The reaction mixture was allowed to cool to room temperature, then poured into 1% AcOH in ice water (300 mL) with vigorous stirring. The resulting solids were filtered, washed with H_2O and dried under high vacuum to give 6-chloro-*N*-(3-chloroquinoxalin-2-yl)pyridine-3-sulfonamide (1.87g, 96%). MS (EI) m/z for $C_{13}H_8Cl_2N_4O_2S$: 354.99 (MH^+).

[00282] 6-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide. 6 -Chloro-*N*-(3-chloroquinoxalin-2-yl)pyridine-3-sulfonamide (775 mg, 2.2 mmol), 3,5-dimethoxyaniline (355 mg, 2.3 mmol) and toluene (12 mL) were combined and heated to 125 °C with stirring overnight. The reaction was allowed to cool

to room temperature and diluted with Et_2O with vigorous stirring. The resulting solids were filtered, washed with Et_2O and dried to give 6-chloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide (920 mg, 89%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.20 (br s, 1H), 9.12 (d, 1H), 9.01 (br s, 1H), 8.53 (dd, 1H), 7.91 (br d, 1H), 7.77 (d, 1H), 7.60 (dd, 1H), 7.40 (m, 4H), 6.26 (m, 1H), 3.78 (s, 6H). MS (EI) m/z for $C_{21}H_{18}ClN_5O_4S$: 472.0 (MH^+).

Example 9

***N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)-ethylamino)pyridine-3-sulfonamide**



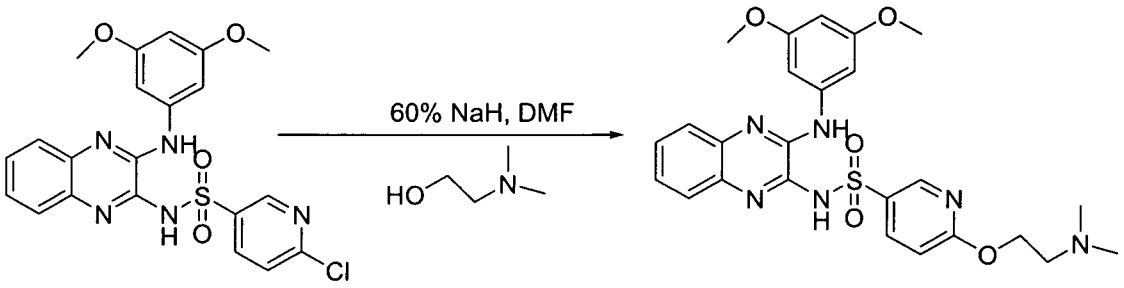
[00283] 6-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-pyridine-3-sulfonamide (100 mg, 0.21 mmol), prepared using procedures similar to those used in Example 8, $KHCO_3$ (40 mg, 0.40 mmol), N^1,N^1-dimethylethane-1,2-diamine (225 μL, 2.0 mmol) and dry DMF (1.0 mL) were combined and heated to 130 °C with stirring overnight. The reaction mixture was concentrated *in vacuo* and purified by preparative HPLC to give *N*-(3-(3,5-dimethoxy-phenylamino)-quinoxalin-2-yl)-6-(2-(dimethylamino)ethylamino)pyridine-3-sulfonamide (21.0 mg, 19%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.76 (br s, 1H), 8.63 (d, 1H), 8.07 (dd, 1H), 7.40 (m, 1H), 7.34 (m, 1H), 7.28 (d, 2H), 7.14 (m, 4H), 6.47 (d, 1H), 6.12 (m, 1H), 3.75 (s, 6H), 3.35 (m, 2H), 3.14 (m, 2H), 2.74 (s, 6H). MS (EI) m/z for $C_{25}H_{29}N_7O_4S$: 524.1 (MH^+).

[00284] Example 10: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(dimethylamino)pyridine-3-sulfonamide was prepared using procedures similar to those used in Example 9. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.00 (br s, 1H), 8.92 (br s, 1H), 8.74 (d, 1H), 8.10 (dd, 1H), 7.38 (br s, 1H), 7.54 (m, 1H), 7.33 (m, 4H), 6.70 (d,

1H), 6.22 (s, 1H), 3.77 (s, 6H), 3.08 (s, 6H). MS (EI) m/z for $C_{23}H_{24}N_6O_4S$: 481.1 (MH^+).

Example 11

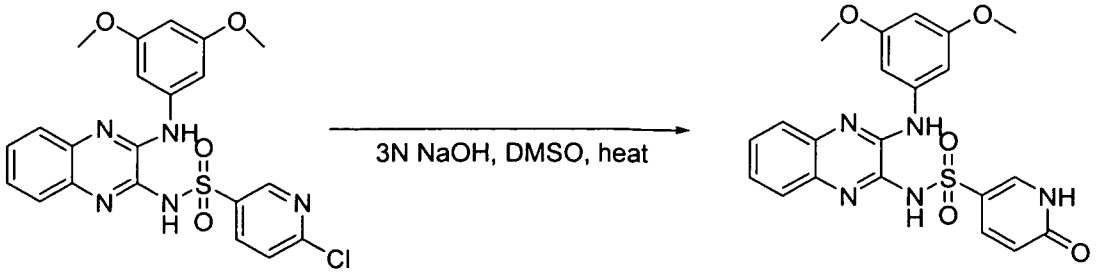
***N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)-ethoxy)pyridine-3-sulfonamide**



[00285] *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide (100 mg, 0.21 mmol), prepared using procedures similar to those described above in Example 1, 2-(dimethylamino)ethanol (50 μL, 0.50 mmol) and dry DMF were combined and 60% NaH in oil (80 mg, 2.0 mmol) was added. The mixture was stirred at room temperature overnight. The reaction mixture was concentrated in vacuo and purified by preparative HPLC to give *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)ethoxy)pyridine-3-sulfonamide (23 mg, 21%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.78 (d, 1H), 8.73 (s, 1H), 8.38 (dd, 1H), 7.40 (dd, 1H), 7.31 (m, 3H), 7.14 (m, 2H), 6.85 (d, 1H), 6.12 (m, 1H), 4.56 (m, 2H), 3.76 (s, 6H), 3.43 (m, 2H), 2.77 (s, 6H). MS (EI) m/z for $C_{25}H_{28}N_6O_5S$: 525.1 (MH^+).

Example 12

***N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide**

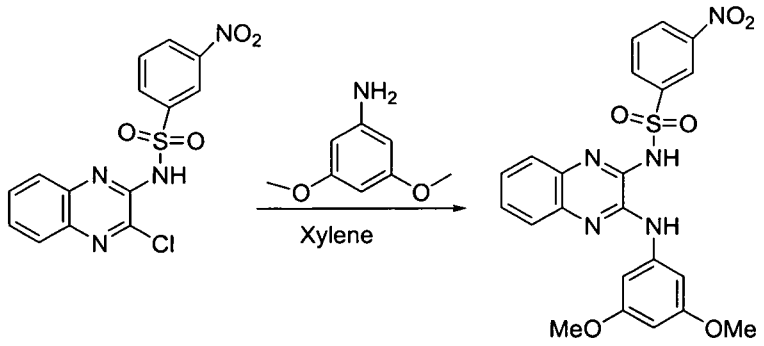


[00286] *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide (220 mg, 0.47 mmol), prepared using procedures similar to those described above in Example 8, DMSO (5 mL), and 3N NaOH (5 mL) are combined and heated to 100 °C overnight with stirring. Upon cooling to room temperature, the reaction mixture was diluted with H_20 and the pH was adjusted to 7.0 with 1N HCl. The resulting solid was filtered, washed with H_20, and air-dried. The solid was then sonicated in EtOAc, filtered, washed with EtOAc, and dried under high vacuum to give *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide (190 mg, 90%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.23 (br s, 1H), 12.10 (br s, 1H), 8.97 (s, 1H), 8.23 (s, 1H), 7.95 (m, 2H), 7.59 (m, 1H), 7.37 (m, 4H), 6.43 (d, 1H), 6.25 (s, 1H), 3.77 (s, 6H). MS (EI) m/z for $C_{21}H_{19}N_5O_5S$: 454.0 (MH^+).

[0185] **Example 13: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide.** The title compound was prepared according to the above Example 12. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.22 (br s, 1H), 12.10 (br s, 1H), 9.16 (s, 1H), 8.60 (s, 1H), 8.14 (d, 1H), 7.94 (m, 1H), 7.85 (dd, 1H), 7.62 (m, 1H), 7.40 (m, 3H) 6.69 (dd, 1H), 6.43 (d, 1H), 3.81 (s, 3H). MS (EI) m/z for $C_{20}H_{16}ClN_5O_4S$: 456.0 (MH^-).

Example 14

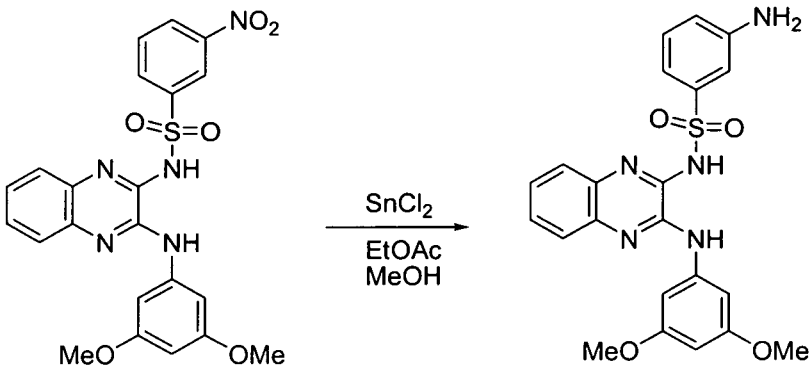
3-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide.



[00287] ***N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide**. A flask was charged with *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide (5 g, 13.7 mmol), prepared using procedures similar to those in

Example 1, 3,5-dimethoxyaniline (4.2 g, 27.4 mmol), and 80 mL of xylene. The reaction mixture was stirred under an N_2 atmosphere at 150 °C for 3 hours, after which time, solvent was removed on a rotary evaporator, and 10 mL of Dichloromethane and 50 mL of methanol were added. The slurry was heated to reflux and filtered while hot, resulting in 4.6 g (69.7 %) of *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide MS (EI) m/z for $C_{22}H_{19}N_5O_6S$: 482.2 (MH^+).

Example 153-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide



[00288] A flask was charged with *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitro-benzenesulfonamide (3.4g, 7.06 mmol), prepared using procedures similar to those in Example 14, tin chloride solvate (6.4 g, 28.2 mmol), and 30 mL of DMA. A few drops of water were added and the reaction mixture was stirred at 80 °C for 3 hours, after which time, solvent was removed on a rotary evaporator, and 50 mL of water and 10 mL of Methanol were added. The slurry was filtered, and the filtrate was washed with MeOH, water, and diethyl ether (20 mL of each), resulting in 3.25 g 3-amino-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. ^1H NMR (400 MHz, DMSO) δ 12.2 (br s, 1H), 8.85 (s, 1H), 7.90 (br s, 1H), 7.50-7.60 (m, 1H), 7.3-7.4 (m, 4H), 7.2 (m, 3H), 6.74 (m, 1H), 6.24 (m, 1H), 5.56 (br s, 2H), 3.76 (s, 6H). MS (EI) m/z for $C_{22}H_{21}N_5O_4S$: 452.0 (MH^+).

[00289] The following compounds were made using procedures similar to those used in Example 15.

Example 16: Proceeding as above, 3-amino-*N*-(3-(2,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide was prepared. ^{1}H NMR (400 MHz, DMSO) δ 12.4 (br s, 1H), 9.20 (s, 1H), 8.56 (d, 1H), 7.95 (d, 1H), 7.62 (m, 1H), 7.38 (m, 2H), 7.24 (q, 2H), 7.14 (d, 1H), 6.98 (d, 1H), 6.8 (m, 1H), 6.60 (m, 1H), 5.6 (br s, 2H), 3.78 (d, 6H). MS (EI) m/z for $C_{22}H_{21}N_5O_4S$: 452.3 (MH^+).

Example 17: Proceeding as above, **3-amino-*N*-(3-(2-chloro-5-hydroxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide** was prepared. MS (EI) m/z for $C_{20}H_{16}ClN_5O_3S$ 1.0 x $C_2H_1O_2F_3$: 442.2, 444.2 (MH^+).

Example 18: Proceeding as above, **3-amino-*N*-(3-(6-methoxyquinolin-8-ylamino)quinoxalin-2-yl)benzenesulfonamide** was prepared. MS (EI) m/z for $C_{24}H_{20}N_6O_3S$: 473.0 (MH^+).

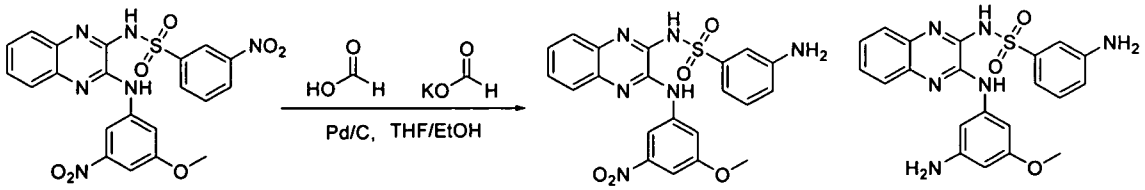
Example 19: 3-amino-*N*-(3-(3-fluoro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{21}H_{18}FN_5O_3S$: 439.99 (MH^+).

Example 20: 3-amino-*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{21}H_{18}ClN_5O_3S$: 457.02 (MH^+).

Example 21: 3-amino-*N*-(3-(5-methoxy-2-methyl-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{22}H_{21}N_5O_3S$: 436.32 (MH^+).

Example 22a and Example 22b

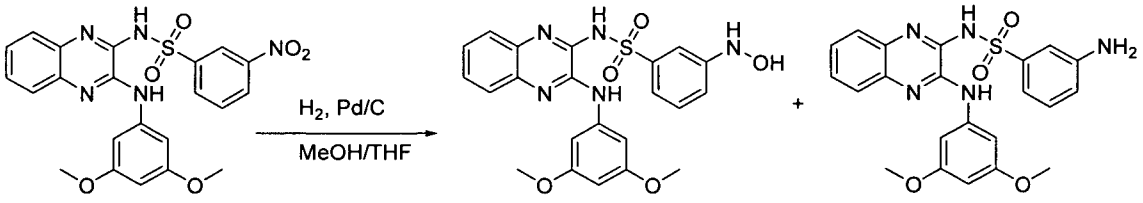
3-amino-*N*-(3-(3-methoxy-5-nitro-phenylamino)quinoxalin-2-yl)benzenesulfonamide and 3-amino-*N*-(3-(3-amino-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide



[00290] To a mixture of *N*-(3-{[3-(methyloxy)-5-nitrophenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide (400 mg), THF (2 mL) and EtOH (2 mL) was added formic acid (938 μL), potassium formate (203 mg). After the mixture was flushed with N_2, 10%wt Pd/C (50 mg) was added. The resulting mixture was heated at 60 °C with stirring. LC/MS analysis indicated that the reaction mixture contained the complete reduced di-amino compound as the major product and the partially reduced mono-amino compound as a minor product. A portion of the crude mixture was purified by HPLC to give the two products. Product A: 3-amino-*N*-(3-(3-methoxy-5-nitro-phenylamino)quinoxalin-2-yl)benzenesulfonamide. ^{1}H NMR (400 MHz, DMSO) δ 12.2 (br s, 1H), 9.51 (s, 1H), 8.77 (s, 1H), 8.21 (s, 1H), 7.92 (s, 1H), 7.48 (m, 1H), 7.43-7.38 (m, 3H), 7.24-7.16 (m, 3H), 6.75 (d, 1H), 5.57 (br s, 2H), 3.90 (s, 3H). MS (EI) for $C_{21}H_{18}N_6O_5S$: 467.00 (MH+). Product B: 3-amino-*N*-(3-(3-amino-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. ^{1}H NMR (400 MHz, DMSO) δ 12.0 (br. s, 1H), 8.53 (s, 1H), 7.84 (s, 1H), 7.56 (d, 1H), 7.37-7.30 (m, 2H), 7.21-7.17 (m, 3H), 6.87 (s, 1H), 6.81 (s, 1H), 6.74 (br s, 2H), 5.91 (s, 1H), 5.56 (br s, 3H), 3.69 (s, 3H). MS (EI) for $C_{21}H_{20}N_6O_3S$: 437.2 (MH+).

Example 23a and Example 23b

***N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-(hydroxyamino)-benzenesulfonamide** and **3-amino-N-(3-{[3,5-(dimethoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide**

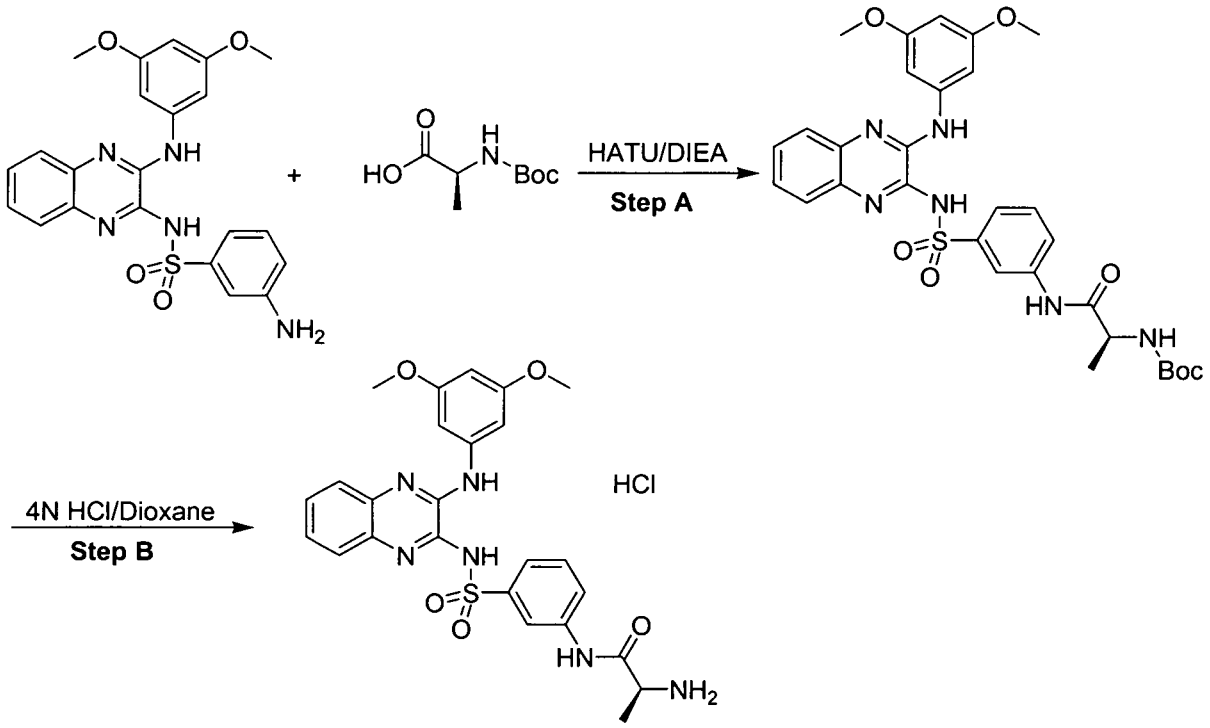


[00291] To a solution *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide (1.3g) in 20 mL of THF and 10 mL of MeOH was added 10%wt Pd/C (100 mg). The mixture was stirred under a H_2 balloon overnight. A portion of the reaction mixture was taken out and filtered, then purified by HPLC to afford two products. Product A: *N*-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-

(hydroxyamino)benzenesulfonamide. MS (EI) for $C_{22}H_{21}N_5O_5S$: 468.1 (MH^+). Product B: 3-amino-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. ^1H NMR (400 MHz, DMSO) δ 12.2 (br s, 1H), 8.85 (s, 1H), 7.90 (br s, 1H), 7.50-7.60 (m, 1H), 7.3-7.4 (m, 4H), 7.2 (m, 3H), 6.74 (m, 1H), 6.24 (m, 1H), 5.56 (br s, 2H), 3.76 (s, 6H). MS (EI) for $C_{22}H_{21}N_5O_4S$: 452.0 (MH^+).

Example 24

(*S*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide hydrochloride.



[00292] **(*S*)-*tert*-butyl 1-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenylamino)-1-oxopropan-2-ylcarbamate.** 3-amino-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide (1.1 mmol, 500 mg), prepared using procedures similar to those described above in Example 15, (L)-Boc-Ala-OH (1.5 mmol, 284 mg), dichloromethane (15 mL), DMF (10 mL), DIEA (2 mmol, 330 μL), and HATU (2 mmol, 760 mg) stirred at room temperature over night. The crude mixture was column purified using 1/1 ethyl acetate/hexanes on silica to gave 160 mg.

[00293] **(*S*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide hydrochloride.** 4 N HCl is dioxane (10 mL) was added to a solution of (*S*)-*tert*-butyl 1-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenylamino)-1-oxopropan-2-ylcarbamate (160 mg) and DCM (15 mL). The mixture was stirred at room temperature for 3 hours. The solvent decanted and ether added to the solid, ether decanted to gave 80 mg product as HCl salt. ^{1}H NMR (400 MHz, CD_3OD) δ 8.50-8.49 (t, 1H), 7.89-7.87 (m, 1H), 7.74-7.72 (m, 1H), 7.61-7.5 (m, 3H), 7.40-7.36 (m, 2H), 7.21-7.20 (d, 2H), 6.23-6.21 (t, 1H), 4.09-4.03 (q, 1H), 3.78 (s, 6H), 1.60-1.58 (d, 3H); MS (EI) m/z for $C_{25}H_{26}N_6O_5S$·HCl: 523.1 (MH^+).

[00294] The following compounds were prepared as the free amine and/or HCl salt using procedures similar to those in Example 24. Where the deprotection step is not necessary, Step B in the above scheme was not preformed.

Example25: *N*-(2-chloro-5-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. The title compound was prepared according to the Examples above. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.50 (s, 1H), 9.14 (s, 1H), 9.03 (m, 2H), 8.63 (d, 1H), 8.44 (d, 1H), 7.98 (m, 1H), 7.91 (dd, 1H), 7.80 (d, 1H), 7.67 (m, 1H), 7.44 (m, 3H), 6.71 (dd, 1H), 4.06 (m, 2H), 3.83 (s, 3H), 2.64 (t, 3H). MS (EI) m/z for $C_{24}H_{22}Cl_2N_6O_4S$: 561.0 (MH^+).

Example 26: (*S*)-2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide hydrochloride. ^{1}H NMR (400 MHz, CD_3OD) δ 8.72-8.71 (d, 1H), 8.48-8.46 (t, 1H), 7.86-7.84 (m, 1H), 7.80-7.78 (m, 1H), 7.63-7.59 (m, 2H), 7.58-7.55 (t, 1H), 7.41-7.38 (m, 2H), 7.24-7.22 (d, 1H), 6.60-6.58 (dd, 1H), 4.10-4.04 (q, 1H), 3.83 (s, 3H), 1.61-1.60 (d, 3H); MS (EI) m/z for $C_{24}H_{23}ClN_6O_4S$·HCl: 527.2 (MH^+).

Example 27: (*S*)-2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)butanamide hydrochloride. ^{1}H NMR (400 MHz, CD_3OD) δ 8.74-8.73 (d, 1H), 8.80-8.47 (t, 1H), 7.87-7.85 (m, 1H), 7.80-7.78 (m, 1H), 7.67-7.61 (m, 2H), 7.59-7.55 (t, 1H), 7.42-7.39 (m, 2H), 7.26-7.24 (d, 1H), 6.62-6.59 (dd, 1H), 3.96-3.93 (t, 1H), 3.84 (s, 3H), 2.02-1.94 (m, 2H, 1.09-1.06 (t, 3H); MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$·HCl: 541.3 (MH^+).

Example 28: (*S*)-*N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrrolidine-2-carboxamide hydrochloride. ^{1}H NMR (400 MHz, CD_3OD) δ 8.78-8.77 (d, 1H), 8.47-8.46 (t, 1H), 7.87-7.85 (m, 1H), 7.80-7.75 (m, 1H), 7.69-7.65 (m, 2H), 7.59-7.55 (t, 1H), 7.45-7.41 (m, 2H), 7.31-7.28 (d, 1H), 6.65-6.63 (dd, 1H), 4.42-4.38 (m, 1H), 3.86 (s, 3H), 3.48-3.42 (m, 2H), 2.55-2.49 (m, 1H), 2.18-2.08 (m, 3H); MS (EI) m/z for $C_{26}H_{25}ClN_6O_4S{\cdot}HCl$: 553.3 ($MH^+$).

Exmaple 29: (*S*)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrrolidine-2-carboxamide hydrochloride. ^{1}H NMR (400 MHz, CD_3OD) δ 10.62 (br s, 1H), 8.50-8.49 (t, 1H), 7.90-7.87 (m, 1H), 7.76-7.73 (m, 1H), 7.63-7.58 (m, 3H), 7.43-7.35 (m, 2H), 7.14 (s, 2H), 6.27-6.26 (t, 1H), 4.43-4.38 (m, 1H), 3.78 (s, 6H), 3.48-3.41 (m, 1H), 3.40-3.36 (m, 1H(, 2.54-2.48 (m, 1H), 2.19-2.05 (m, 3H); MS (EI) m/z for $C_{27}H_{28}N_6O_5S{\cdot}HCl$: 549.3 ($MH^+$).

Example 30: (*R*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-hydroxypropanamide hydrochloride. ^{1}H NMR (400 MHz, CD_3OD) δ 8.49-8.48 (t, 1H), 7.89-7.87 (m, 1H), 7.75-7.72 (m, 1H), 7.65-7.62 (m, 2H), 7.62-7.55 (t, 1H), 7.44-7.38 (m, 2H), 7.23-7.22 (d, 2H), 6.27-6.26 (t, 1H), 4.07-4.05 (m, 1H), 3.99-3.93 (m, 2H), 3.80 (s, 6H); MS (EI) m/z for $C_{25}H_{26}N_6O_6S{\cdot}HCl$: 539.1 ($MH^+$).

Example 31: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)piperidine-3-carboxamide hydrochloride. ^{1}H NMR (400 MHz, CD_3OD) δ 8.79-8.78 (d, 1H), 8.45 (m, 1H), 7.83-7.81 (d, 1H), 7.76-7.74 (m, 1H), 7.636 (m, 2H), 7.54-7.50 (t, 1H), 7.41 (m, 2H), 7.30-7.28 (d, 1H), 6.65-6.62 (dd, 1H), 3.86 (s, 3H), 3.40-3.32 (m, 2H), 3.20-3.13 (m, 3H), 2.93 (m, 1H), 2.15-2.11 (m, 1H), 1.98-1.93 (m, 2H), 1.83 (m, 1H); MS (EI) m/z for $C_{27}H_{27}ClN_6O_4S{\cdot}HCl$: 567.3 ($MH^+$).

Example32: (*S*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)butanamide hydrochloride. MS (EI) m/z for $C_{26}H_{28}N_6O_5S{\cdot}HCl$: 537.1 ($MH^+$).

Example 33: (*R*)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrrolidine-2-carboxamide hydrochloride. MS (EI) m/z for $C_{27}H_{28}N_6O_5S{\cdot}HCl$: 549.1 ($MH^+$).

Example 34: (*R*)-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrrolidine-2-carboxamide hydrochloride. MS (EI) m/z for $C_{26}H_{25}ClN_6O_4S \cdot HCl$: 553 ($MH^+$).

Example 35: (*R*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide. ^1H NMR (400 MHz, DMSO-d_6) δ 10.2 (br s, 1 H), 8.82 (s, 1 H), 8.27 (m, 1 H), 7.75 (m, 2 H), 7.33 (m, 5 H), 7.13 (m, 2 H), 6.14 (t, 1 H), 3.77 (s, 6 H), 1.39 (d, 3 H); MS (EI) m/z for $C_{25}H_{26}N_6O_5S$: 523 (MH^+).

Example 36:*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. ^1H NMR (400 MHz, DMSO-d_6) δ 10.6 (s, 1 H), 9.48 (s, 1 H), 8.95 (br s, 1 H), 8.75 (br s, 1 H), 8.19 (br s, 1 H), 7.77 (dd, 1 H), 7.69 (dd, 1 H), 7.41 (m, 4 H), 7.17 (m, 2 H), 6.60 (dd, 1 H), 3.91 (s, 2 H), 3.82 (s, 6 H), 2.62 (s, 3 H); MS (EI) m/z for $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+).

Example 37: (*R*)-2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide. ^1H NMR (400 MHz, DMSO-d_6) δ 10.5 (s, 1 H), 9.47 (s, 1 H), 8.95 (d, 1 H), 8.22 (d, 2 H), 8.14 (br s, 2 H), 7.76 (m, 2 H), 7.40 (m, 4 H), 7.17 (m, 2 H), 6.60 (m, 1 H), 3.97 (q, 1 H), 3.96 (s, 3 H), 1.45 (d, 3 H); MS (EI) m/z for $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+).

Example 38: 2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylpropanamide. ^1H NMR (400 MHz, DMSO-d_6) δ 10.1 (s, 1 H), 9.46 (s, 1 H), 8.95 (d, 1 H), 8.50 (br s, 1 H), 8.27 (m, 1 H), 7.81 (m, 2 H), 7.47 (m, 1 H), 7.37 (m, 3 H), 7.17 (m, 2 H), 6.61 (dd, 1 H), 3.83 (s, 3 H), 1.60 (s, 6 H); MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541 (MH^+).

Example 39: 2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylpropanamide. ^1H NMR (400 MHz, DMSO-d_6) δ 10.33 (s, 1 H), 8.89 (s, 1 H), 8.32 (br s, 4 H), 7.92 (m, 3 H), 7.59 (m, 2 H), 7.37 (m, 4 H), 6.24 (s, 1 H), 3.76 (s, 6 H), 1.61 (s, 6 H); MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 537 (MH^+).

Example 40: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)-2-(dimethylamino)acetamide. ^1H NMR (400 MHz, DMSO-d_6) δ 10.58 (s, 1 H), 9.80 (br s, 1 H), 8.85 (s, 1 H), 8.25 (s, 1 H), 7.67 (dd, 1 H), 7.30 (m, 7 H), 6.16 (m, 1 H), 4.02 (br s, 2 H), 3.77 (s, 6 H), 2.81 (s, 6 H), 2.54 (s, 3 H); MS (EI) m/z for $C_{27}H_{30}N_6O_5S$: 551 (MH^+).

Example 41: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-((2-(dimethylamino)ethyl)(methyl)amino)acetamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.0 (s, 1 H), 9.48 (s, 1 H), 8.96 (d, 1 H), 8.16 (m, 1 H), 7.76 (m, 2 H), 7.39 (m, 4 H), 7.17 (m, 2 H), 6.61 (dd, 1 H), 3.82 (s, 3 H), 3.40 (br s, 2 H), 2.94 (br s, 2 H), 2.71 (br t, 2 H), 2.60 (s, 6 H), 2.33 (s, 3 H); MS (EI) m/z for $C_{28}H_{32}ClN_7O_4S$: 598 (MH^+).

Example 42: 2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.5 (s, 1 H), 9.48 (s, 1 H), 8.94 (s, 1 H), 8.15 (s, 1 H), 8.06 (br s, 3 H), 7.74 (m, 2 H), 7.39 (m, 4 H), 7.18 (m, 2 H), 6.61 (dd, 1 H), 3.83 (s, 3 H), 3.77 (s, 2 H); MS (EI) m/z for $C_{23}H_{21}ClN_6O_4S$: 513 (MH^+).

Example 43: *N*-(3-(*N*-(3-(2-acetyl-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.4 (s, 1 H), 10.5 (s, 1 H), 9.27 (s, 1 H), 8.25 (s, 1 H), 8.01 (d, 1 H), 7.82 (d, 1 H), 7.71 (d, 1 H), 7.42 (m, 3 H), 7.21 (m, 2 H), 6.63 (dd, 1H),3.91 (m, 5 H), 2.75 (s, 6 H), 2.61 (s, 3 H); MS (EI) m/z for $C_{27}H_{28}N_6O_5S$: 549 (MH^+).

Example 44: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)formamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.6 (s, 1 H), 10.5 (s, 1 H), 9.16 (s, 1 H), 8.53 (br s, 1 H), 8.35 (m, 2 H), 8.02 (s, 1 H), 7.56 (m, 7 H), 6.70 (dd, 1 H), 3.83 (s, 3 H); MS (EI) m/z for $C_{22}H_{18}ClN_5O_4S$: 484 (MH^+).

Example 45: 2-amino-*N*-(5-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-2-methylphenyl)acetamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.4 (s, 1 H), 10.1 (br s, 1 H), 8.82 (s, 1 H), 8.20 (m, 3 H), 7.82 (m, 1 H), 7.30 (m, 6 H), 6.20 (s, 1 H), 3.85 (s, 2 H), 3.77 (s, 6 H), 2.26 (s, 3 H); MS (EI) m/z for $C_{25}H_{26}N_6O_5S$: 523 (MH^+).

Example 46: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methyl-2-(methylamino)propanamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.09 (s, 1 H), 9.46 (s, 1 H), 8.95 (m, 3 H), 8.28 (s, 1 H), 7.81 (m, 2 H), 7.41 (m, 4 H), 7.17 (m, 2 H), 6.60 (dd, 1 H), 3.82 (s, 3 H), 2.53 (s, 3 H), 1.60 (s, 6 H); MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555 (MH^+).

Example 47: (*S*)-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)propanamide. ^{1}H NMR (400 MHz, DMSO-d_6)

δ 10.61 (s, 1 H), 9.47 (s, 1 H), 8.95 (s, 1 H), 8.82 (br s, 2 H), 8.27 (m, 1 H), 7.74 (m, 2 H), 7.42 (m, 4 H), 7.17 (m, 2 H), 6.60 (dd, 1 H), 3.90 (m, 1 H), 3.82 (s, 3 H), 2.59 (s, 3 H), 1.49 (d, 3 H); MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541 (MH^+).

Example 48: 3-amino-*N*-(5-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-2-methylphenyl)propanamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.25 (s, 1 H), 9.77 (s, 1 H), 8.82 (s, 1 H), 7.84 (m, 5 H), 7.50 (d, 1 H), 7.37 (m, 5 H), 6.22 (m, 1 H), 3.74 (s, 6 H), 3.08 (m, 2 H), 2.77 (m, 2 H), 2.27 (s, 3 H); MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 537 (MH^+).

Example 49: 1-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclopropanecarboxamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.54 (br s, 1 H), 9.42 (s, 1 H), 8.91 (s, 1 H), 8.21 (s, 1 H), 8.20 (br s, 2 H), 7.81 (m, 2 H), 7.48 (m, 4 H), 7.22 (m, 2 H), 6.61 (dd, 1 H), 3.82 (s, 3 H), 1.63 (m, 2 H), 1.26 (m, 2 H); MS (EI) m/z for $C_{25}H_{23}ClN_6O_4S$: 539 (MH^+).

Example 50: (*S*)-2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-6-(dimethylamino)hexanamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.47 (br s, 1 H), 8.95 (d, 1 H), 8.26 (m, 1 H), 7.73 (m, 2 H), 7.30 (m, 4 H), 7.26 (m, 4 H), 7.16 (m, 2 H), 6.59 (dd, 1 H), 3.82 (s, 3 H), 3.34 (m, 1 H), 2.20 (m, 2 H), 2.09 (s, 6 H), 1.50 (m, 6 H); MS (EI) m/z for $C_{29}H_{34}ClN_7O_4S$: 610 (MH^+).

Example 51: 1-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclopentanecarboxamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.12 (br s, 1 H), 9.46 (s, 1 H), 8.95 (d, 1 H), 8.26 (m, 1 H), 8.16 (m, 3 H), 7.84 (m, 2 H), 7.35 (m, 6 H), 6.60 (dd, 1 H), 3.82 (s, 3 H), 2.34 (m, 2 H), 1.91 (m, 6 H); MS (EI) m/z for $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Example 52: *N*-(5-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-2-methylphenyl)-2-(dimethylamino)acetamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.0 (br s, 1 H), 9.98 (s, 1 H), 9.43 (s, 1 H), 8.91 (m, 1 H), 8.08 (s, 1 H), 7.84 (dd, 1 H), 7.32 (m, 6 H), 6.61 (dd, 1 H), 4.07 (s, 2 H), 3.82 (s, 3 H), 2.82 (s, 6 H), 2.21 (s, 3 H); MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555 (MH^+).

Example 53: 1-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclobutanecarboxamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.34 (br s, 1 H), 8.81 (s, 1 H), 8.49 (br s, 3 H), 8.34 (s, 1 H), 7.83 (m, 2 H), 7.43 (m, 3

H), 7.31 (m, 2 H), 7.16 (m, 2 H), 6.16 (s, 1 H), 3.77 (s, 6 H), 2.83 (m, 2 H), 2.25 (m, 3 H), 2.05 (m, 1 H); MS (EI) m/z for $C_{27}H_{28}N_6O_5S$: 549 (MH^+).

Example 54: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(3-(2-(dimethylamino)ethyl)ureido)benzenesulfonamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.91 (br s, 1 H), 8.81 (s, 1 H), 8.08 (s, 1 H), 7.60 (s, 1 H), 7.38 (m, 9 H), 6.28 (m, 1 H), 6.15 (s, 1 H), 3.78 (s, 6 H), 3.40 (m, 2 H), 3.08 (m, 2 H), 2.74 (s, 6 H); MS (EI) m/z for $C_{27}H_{31}N_7O_5S$: 566 (MH^+).

Example 55: 1-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclopentanecarboxamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.40 (br s, 1 H), 10.58 (s, 1 H), 8.46 (m, 4 H), 7.80 (m, 3 H), 7.59 (m, 2 H), 7.34 (m, 4 H), 6.25 (m, 1 H), 3.76 (s, 6 H), 2.35 (m, 2 H), 1.90 (m, 8 H); MS (EI) m/z for $C_{28}H_{30}N_6O_5S$: 563 (MH^+).

Example 56: 1-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclopropanecarboxamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.54 (br s, 1 H), 8.84 (s, 1 H), 8.29 (s, 1 H), 7.75 (m, 2 H), 7.39 (m, 6 H), 7.17 (m, 2 H), 6.16 (m, 1 H), 3.78 (s, 6 H), 1.52 (m, 2 H), 1.17 (m, 2 H); MS (EI) m/z for $C_{26}H_{26}N_6O_5S$: 535 (MH^+).

Example 57: 2-(dimethylamino)ethyl 3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenylcarbamate. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.78 (br s, 1 H), 8.79 (s, 1 H), 8.19 (s, 1 H), 7.66 (d, 1 H), 7.31 (m, 9 H), 6.14 (m, 1 H), 4.17 (t, 2 H), 3.78 (s, 6 H), 2.54 (t, 2 H), 2.21 (s, 6 H): MS (EI) m/z for $C_{27}H_{30}N_6O_6S$: 567 (MH^+).

Example 58: 4-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)tetrahydro-2H-pyran-4-carboxamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.2 (br s, 1 H), 10.6 (s, 1 H), 8.74 (m, 5 H), 7.93 (m, 2 H), 7.47 (m, 6 H), 6.24 (m, 1 H), 3.77 (m, 10 H), 2.45 (m, 2 H), 1.81 (m, 2 H); MS (EI) m/z for $C_{28}H_{30}N_6O_6S$: 579 (MH^+).

Example 59: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-*N*3-(2-(dimethylamino)ethyl)benzene-1,3-disulfonamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.35 (m, 2 H), 8.92 (m, 1 H), 8.64 (s, 1 H), 8.30 (m, 1 H), 8.11 (s, 1 H), 7.86 (m, 1 H),

7.68 (m, 1 H), 7.49 (s, 1 H), 7.42 (m, 2 H), 7.21 (m, 2 H), 6.61 (m, 1 H), 3.82 (s, 3 H), 3.05 (m, 4 H), 2.74 (s, 6 H); MS (EI) m/z for $C_{25}H_{27}ClN_6O_5S_2$: 591 (MH^+).

Example 60: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-*N*3-(3-(dimethylamino)propyl)benzene-1,3-disulfonamide. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.38 (m, 2 H), 8.90 (m, 1 H), 8.60 (s, 1 H), 8.32 (m, 1 H), 8.12 (s, 1 H), 7.88 (m, 1 H), 7.72 (m, 1 H), 7.59 (s, 1 H), 7.40 (m, 2 H), 7.20 (m, 2 H), 6.67 (m, 1 H), 3.82 (s, 3 H), 2.97 (m, 2 H), 2.78 (m, 2 H), 2.71 (s, 6 H), 1.70 (m, 2 H); MS (EI) m/z for $C_{26}H_{29}ClN_6O_5S_2$: 605 (MH^+).

Example 61: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)-2-(methylamino)acetamide. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541.0 (MH^+).

Example 62: (*S*)-2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)propanamide. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541.2 (MH^+).

Example 63: (*R*)-2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)propanamide. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541.0 (MH^+).

Example 64: (*S*)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)propanamide. MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 537.1 (MH^+).

Example 65: (*R*)-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)propanamide. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541.1(MH^+).

Example 66: (*R*)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)propanamide. MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 537.3 (MH^+).

Example 67: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)piperidine-2-carboxamide. MS (EI) m/z for $C_{28}H_{30}N_6O_5S$: 563.1 (MH^+).

Example 68: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-(dimethylamino)ethylamino)acetamide. MS (EI) m/z for $C_{28}H_{33}N_7O_5S$: 580.1 (MH^+).

Example 69: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-(methylamino)piperidin-1-yl)acetamide. MS (EI) m/z for $C_{30}H_{35}N_7O_6S$: 606.1 (MH^+).

Example 70: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-(dimethylamino)piperidin-1-yl)acetamide. MS (EI) m/z for $C_{31}H_{37}N_7O_5S$: 620.1 (MH^+).

Example 71: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. ^1H NMR (400 MHz, DMSO) δ 12.4 (br s, 1H), 10.9 (s, 1H), 9.8 (s, 1H), 8.9 (s, 1H), 8.3 (br s, 1H), 7.9 (d, 2H), 7.8 (d, 1H), 7.6 (t, 2H), 7.4 (q, 2H), 7.3 (s, 1H), 6.25 (s, 1H), 4.15 (s, 2H), 3.8 (s, 6H), 2.9 (s, 6H). MS (EI) m/z for $C_{26}H_{28}N_6O_5S$ 2.0 x $C_2H_1O_2F_3$: 537.1 (MH^+).

Example 72: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethylamino)acetamide. ^1H NMR (400 MHz, DMSO) δ 10.8 (s, 1H), 9.20 (s, 1H), 8.84 (br s, 2H), 8.64 (br s, 1H), 8.30 (s, 1H), 7.9-8.0 (br s, 1H), 7.80 (t, 2H), 7.55-7.68 (m, 2H), 7.4 (d, 3H), 6.70 (m, 1H), 3.97 (br s, 2H), 3.83 (s, 3H), 3.04 (br s, 2H), 1.3 (t, 3H). MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$ 2.0 x $C_2H_1O_2F_3$: 541.3, 543.2 (MH^+).

Example 73: 2-(azetidin-1-yl)-*N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ^1H NMR (400 MHz, DMSO) δ 10.8 (s, 1H), 10.2 (s, 1H), 9.2 (s, 1H), 8.7 (s, 1H), 8.3 (s, 1H), 7.9-8.0 (br s, 1H), 7.80 (d, 1H), 7.72 (d, 1H), 7.65 (br s, 1H), 7.56 (t, 1H), 7.40 (d, 3H), 6.70 (m, 1H), 4.28 (s, 2H), 4.15 (m, 4H), 3.82 (s, 3H), 2.32 (br s, 1H). MS (EI) m/z for $C_{26}H_{25}ClN_6O_4S$ 2.0 x $C_2H_1O_2F_3$: 553.3, 555.2 (MH^+).

Example 74: *N*-(3-(*N*-(3-(2-bromo-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. The title compound was prepared according to the Examples above. ^1H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 9.5 (s, 1H), 8.95 (d, 1H), 8.18 (t, 1H), 7.78 (m, 1H), 7.70 (m, 1H), 7.54 (d, 1H), 7.46 (m, 1H),

7.38 (t, 1H), 7.32 (d, 1H), 7.12-7.22 (m, 2H), 6.56 (m, 1H), 3.90 (s, 2H), 3.82 (s, 3H), 2.62 (s, 3H). MS (EI) m/z for $C_{24}H_{23}BrN_6O_4S$: 572.77, 570.90 (MH^+).

Example 75: 2-(dimethylamino)-*N*-(3-(*N*-(3-(6-methoxy-quinolin-8-ylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. The title compound was prepared according to the Examples above. ^{1}H NMR (400 MHz, DMSO) δ 10.9 (s, 1H), 10.6 (s, 1H), 9.13 (s, 1H), 8.80 (d, 1H), 8.26-8.30 (m, 2H), 7.85 (d, 1H), 7.70 (d, 1H), 7.60 (q, 1H), 7.54 (m, 1H), 7.44 (t, 2H), 7.20 (t, 2H), 6.80 (d, 1H), 4.00 (s, 2H), 3.94 (s, 3H), 2.78 (s, 6H). MS (EI) m/z for $C_{28}H_{27}N_7O_4S$: 558.3 (MH^+).

Example 76: *N*-(3-(*N*-(3-(2-bromo-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. ^{1}H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 9.4 (s, 1H), 8.9 (s, 1H), 8.25 (s, 1H), 7.78 (d, 1H), 7.70 (d, 1H), 7.54 (d, 1H), 7.48 (d, 1H), 7.40 (t, 2H), 6.56 (d, 1H), 4.02 (s, 2H), 3.82 (s, 3H), 2.80 (s, 6H). MS (EI) m/z for $C_{25}H_{25}BrN_6O_4S$: 586.79, 584.91 (MH^+).

Example 77: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-fluoroethylamino)acetamide. ^{1}H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 9.4 (s, 1H), 8.9 (d, 1H), 8.20 (s, 1H), 7.78 (d, 1H), 7.70 (d, 1H), 7.48 (m, 1H), 7.36-7.44 (m, 3H), 7.20 (q, 3H), 6.6 (m, 1H), 4.78 (t, 1H), 4.66 (t, 1H), 3.94 (s, 2H), 3.82 (s, 3H), 3.4 (t, 1H), 3.3 (t, 1H). MS (EI) m/z for $C_{25}H_{24}ClFN_6O_4S$: 559.2, 561.2 (MH^+).

Example 78: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)formamide. ^{1}H NMR (400 MHz, DMSO) δ 12.4 (br s, 1H), 10.5 (s, 1H), 8.90 (s, 1H), 8.3 (s, 1H), 7.9 (br s, 1H), 7.85 (d, 1H), 7.75 (d, 1H), 7.5-7.6 (m, 2H), 7.3-7.4 (m, 4H), 6.2 (s, 1H), 3.8 (s, 3H). MS (EI) m/z for $C_{23}H_{21}N_5O_5S$: 480.1 (MH^+).

Example 79: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(dimethylamino)azetidin-1-yl)acetamide. ^{1}H NMR (400 MHz, DMSO) δ 10.2 (br s, 1H), 9.5 (s, 1H), 8.95 (d, 1H), 8.2 (s, 1H), 7.75 (d, 1H), 7.65 (d, 1H), 7.45 (d, 1H), 7.40 (d, 1H), 7.30-7.35 (t, 1H), 7.1-7.2 (q, 2H), 6.60 (m, 1H), 3.82 (s, 3H). MS (EI) m/z for $C_{28}H_{30}ClN_7O_4S$: 480.1 (MH^+).

Example 80: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyrrolidin-1-yl)acetamide. MS (EI) m/z for $C_{28}H_{30}N_6O_5S$: 563.18 (MH^+).

Example 81: *N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(methyl)amino)acetamide. ^1H NMR (400 MHz, DMSO) δ 12.0 (s, 1H), 10.6 (s, 1H), 9.65 (s, 1H), 9.5 (s, 1H), 8.95 (s, 1H), 8.25 (s, 1H), 7.8 (d, 1H), 7.70 (d, 1H), 7.45-7.50 (d, 1H), 7.3-7.4 (m, 3H), 7.2 (t, 2H), 6.60 (d, 1H), 4.02 (br s, 2H), 3.82 (s, 3H), 3.14 (br s, 2H), 2.80 (s, 3H) 1.2 (t, 3H). MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555.2, 557.3 (MH^+).

Example 82: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(piperidin-1-yl)azetidin-1-yl)acetamide. MS (EI) m/z for $C_{31}H_{34}ClN_7O_4S$ 2.0 x $C_2H_1O_2F_3$: 636.3, 638.3 (MH^+).

Example 83: *N*-(3-(*N*-(3-(3-fluoro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. MS (EI) m/z for $C_{24}H_{23}FN_6O_4S$: 511.04 (MH^+).

Example 84: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-methylpiperidine-4-carboxamide. MS (EI) m/z for $C_{29}H_{32}N_6O_5S$ 1.0 x $C_2H_4O_2$: 577.2 (MH^+).

Example 85: *N*-(3-(*N*-(3-(3-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. ^1H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 8.82 (s, 1H), 8.22 (t, 1H), 7.86 (t, 1H), 7.76 (m, 1H), 7.66 (m, 1H), 7.46 (m, 1H), 7.41 (m, 1H), 7.38 (t, 1H), 7.28 (m 1H), 7.24 (t, 1H), 7.12 (m, 2H), 6.56 (d, 1H), 3.88 (s, 2H), 3.80 (s, 3H), 2.60 (s, 3H). MS (EI) m/z for $C_{24}H_{24}N_6O_4S$: 492.99 (MH^+).

Example 86: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,2,2-trifluoroethylamino)acetamide. ^1H NMR (400 MHz, DMSO) δ 10.4 (s, 1H), 9.2 (s, 1H), 8.65 (s, 1H), 8.4 (s, 1H), 8.00 (m, 1H), 7.80 (d, 1H), 7.75 (d, 1H), 7.65 (q, 1H), 7.55 (t, 1H), 7.40-7.5 (m, 3H), 6.7 (m, 1H), 3.82 (s, 3H), 3.62 (br s, 2H), 3.55 (br d, 2H). MS (EI) m/z for $C_{25}H_{22}ClF_3N_6O_4S$ 1.0 x $C_2H_1O_2F_3$: 595.0, 597.0 (MH^+).

Example 87: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(piperidin-1-yl)propanamide. MS (EI) m/z for $C_{30}H_{34}N_6O_5S$: 591.2 (MH^+).

Example 88: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-(dimethylamino)butanamide. MS (EI) m/z for $C_{28}H_{32}N_6O_5S$ 1.0 x $C_2H_4O_2$: 565.2 (MH^+).

Example 89: 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-fluoro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ^1H NMR (400 MHz, DMSO) δ 10.9 (s, 1H), 9.8 (br s, 1H), 9.1 (s, 1H), 8.34 (s, 1H), 7.90 (d, 1H), 7.76 (d, 1H), 7.52-7.68 (m, 4H), 7.40 (m, 2H), 6.54 (m, 1H), 4.16 (s, 2H), 3.82 (s, 3H), 2.86 (s, 6H). MS (EI) m/z for $C_{25}H_{25}FN_6O_4S$: 525.05 (MH^+).

Example 90: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(piperidin-1-yl)acetamide. MS (EI) m/z for $C_{29}H_{32}N_6O_5S$: 577.37 (MH^+).

Example 91: 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ^1H NMR (400 MHz, DMSO) δ 10.5 (s, 1H), 8.8 (s, 1H), 8.25 (s, 1H), 7.83 (t, 1H), 7.76 (d, 1H), 7.64 (d, 1H), 7.3-7.48 (m, 4H), 7.22 (t, 1H), 7.12 (t, 2H), 6.56 (m, 1H), 3.96 (s, 2H), 3.78 (s, 3H), 2.76 (s, 6H). MS (EI) m/z for $C_{25}H_{26}N_6O_4S$: 507.1 (MH^+).

Example 92: *N*-(3-(*N*-(3-(2-chloro-5-hydroxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. ^1H NMR (400 MHz, DMSO) δ 10.8 (s, 1H), 9.9 (s, 1H), 9.8 (s, 1H), 9.1 (s, 1H), 8.55 (s, 1H), 8.34 (s, 1H), 7.9-8.0 (br s, 1H), 7.82 (d, 1H), 7.76 (d, 1H), 7.52-7.66 (m, 2H), 7.42 (t, 1H), 7.26 (d, 1H), 6.50 (m, 1H), 4.16 (s, 2H), 2.86 (s, 6H). MS (EI) m/z for $C_{24}H_{23}ClN_6O_4S$: 527.1, 529.0 (MH^+).

Example 93: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-morpholinoacetamide. MS (EI) m/z for $C_{28}H_{30}N_6O_6S$: 579.1 (MH^+).

Example 94: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z for $C_{24}H_{23}N_5O_5S$: 494.0 (MH^+).

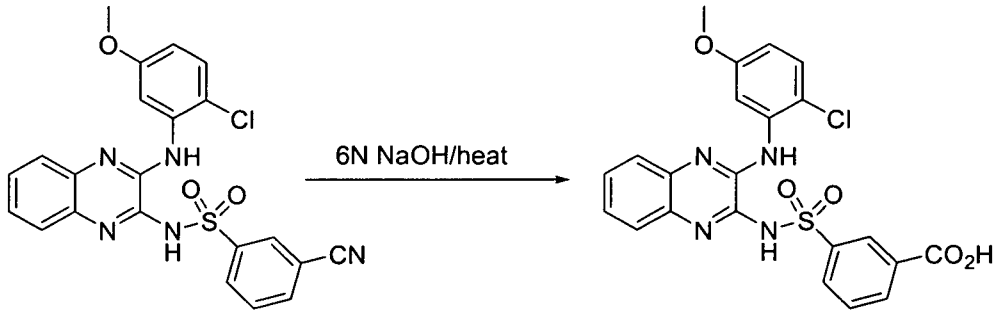
Example 97: 2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)-2-methylpropanamide. MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 556.12 (MH^+).

Example 98: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 542.05 (MH^+).

Example 99: 2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z for $C_{24}H_{24}N_6O_5S$: 509.59 (MH^+).

Example 100

3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzoic acid



[00295] To a solution of *N*-(3-{[2-chloro-5-(methoxy)-phenyl]amino}quinoxalin-2-yl)-3-cyanobenzenesulfonamide (6.02 g, 12.95 mmol), prepared using procedures similar to those in Example 115 or Example 423, in methanol (20 mL) and 1,4-dioxane (20 mL) was added 6.0 *N* aqueous sodium hydroxide (40 mL) at room temperature. The solution was stirred at 90 ^{0}C for 3.5 h. The reaction was cooled to room temperature and neutralized slowly by adding 2.0 *N* hydrochloric acid until the pH of the solution became in the 2-3 range at 0° C. The solution was diluted with ethyl acetate (300 mL). The organic layer was washed with saturated aqueous sodium chloride (50 mL) and dried over magnesium sulfate. Filtration and concentration at reduced pressure afforded 3-{[(3-{[2-chloro-5-(methoxy)-phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzoic acid (5.921 g, 94%). MS (EI) m/z for $C_{22}H_{17}ClN_4O_5S$: 485.0 (MH^+).

[00296] The following compounds were preapred using procedures ismilar to those used in Example 100.

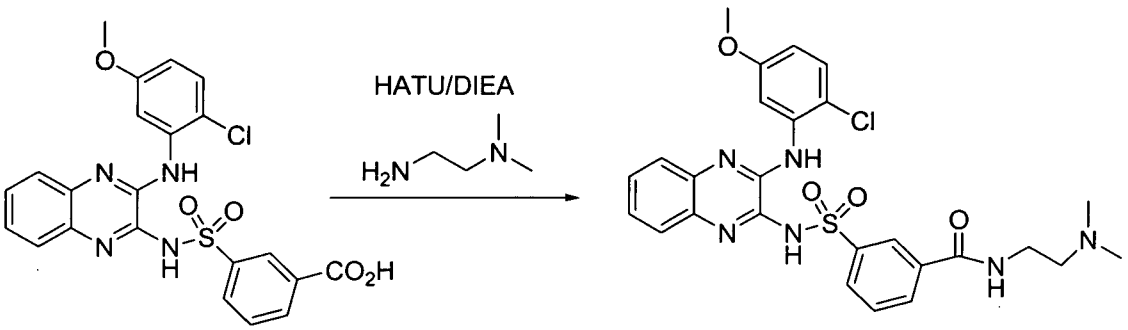
Example 101: Proceeding as above, **3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzoic acid** was prepared. MS (EI) m/z for $C_{23}H_{20}N_4O_6S$: 481.0 (MH^+).

Example 102: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-methyl-1-(piperidin-1-yl)propan-2-yl)benzamide. MS (EI) m/z for $C_{31}H_{35}ClN_6O_4S$: 623.06 (MH^+).

Example 103: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-methyl-1-oxo-1-(piperidin-1-yl)propan-2-yl)benzamide. MS (EI) m/z for $C_{31}H_{33}ClN_6O_5S$: 637.65 (MH^+).

Example 104

3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]benzamide



[00297] To a solution of 3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzoic acid (0.20 g, 0.42 mmol), prepared using procedures similar to Example 100, in dimethylformamide (4 mL) were added 2-(7-aza-1*H*-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HATU, 0.32 g, 0.83 mmol) and *N*-ethyldiisopropylamine (DIEA, 0.13 g, 1.04 mmol) at room temperature. The reaction was stirred for 15 min before *N*, *N*-dimethylethane-1,2-diamine (73 mg, 0.83 mmol) was added. The reaction mixture was allowed to stir overnight. The reaction was diluted with ethyl acetate (200 mL) and washed with water (50 mL), saturated aqueous sodium bicarbonate (40 mL), 1.0 *N* aqueous hydrochloric acid (30 mL), and saturated aqueous sodium chloride (25 mL). The organic layer was dried over magnesium sulfate, filtered and concentrated at reduced pressure to afford 3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-

(dimethylamino)ethyl]benzamide (0.20 g, 87%) as yellow solid. MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555.1 (MH^+).

[00298] The following compounds were prepared using procedures similar to those in Example 104.

Example 105: 5-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-2-methoxybenzamide. ^1H NMR (400 MHz, DMSO-d_6) δ 9.45 (s, 1H), 8.95 (d, 1H), 8.57 (d, 1H), 8.28 (t, 1H), 8.14 (dd, 1H), 7.46 (dd, 1H), 7.39 (m, 2H), 7.17 (m, 4H), 6.60 (dd, 1H), 3.89 (s, 3H), 3.82 (s, 3H), 3.38 (m, 2H), 2.43 (m, 2H), 2.21 (s, 6H). MS (EI) m/z for $C_{27}H_{29}ClN_6O_5S$: 585.3 (MH^+).

Example 106: 5-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-2-fluorobenzamide. ^1H NMR (400 MHz, DMSO-d_6) δ 9.40 (br s, 1H), 9.16 (s, 1H), 8.73 (m, 1H), 8.67 (d, 1H), 8.36 (dd, 1H), 8.26 (m, 1H), 7.94 (br s, 1H), 7.66 (m, 1H), 7.59 (t, 1H), 7.43 (m, 3H), 6.71 (dd, 1H), 3.83 (s, 3H), 3.62 (m, 2H), 3.27 (m, 2H), 2.85 (d, 6H). MS (EI) m/z for $C_{26}H_{26}ClFN_6O_4S$: 573.1 (MH^+).

Example 107: 3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)benzamide. MS (EI) m/z for $C_{27}H_{30}N_6O_5S$: 551.1 (MH^+).

Example 108: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-*N*-methylbenzamide. MS (EI) m/z for $C_{27}H_{29}ClN_6O_4S$: 569.1 (MH^+).

Example 109: 3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-*N*-methylbenzamide. MS (EI) m/z for $C_{28}H_{32}N_6O_5S$: 565.1 (MH^+).

Example 110: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) m/z for $C_{22}H_{18}ClN_5O_4S$: 484.0 (MH^+).

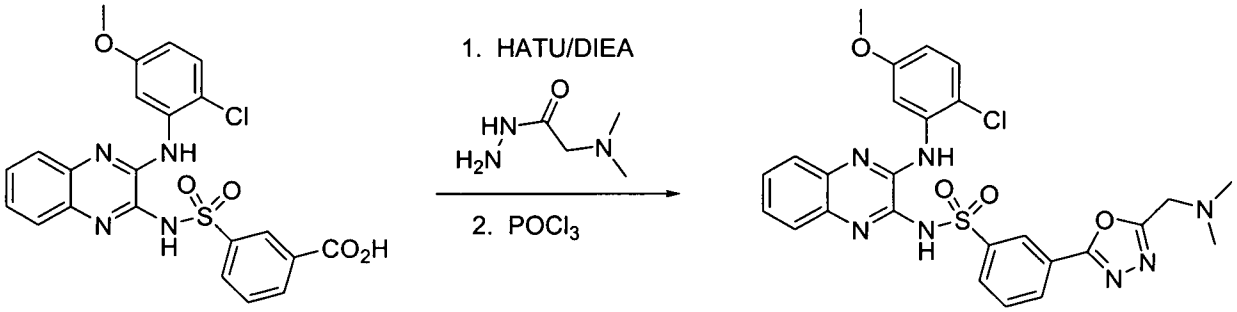
Example 111: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-morpholinoethyl)benzamide. MS (EI) m/z for $C_{28}H_{29}ClN_6O_5S$: 597.0 (MH^+).

Example 112: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-methylbenzamide. MS (EI) m/z for $C_{23}H_{20}ClN_5O_4S$: 498.0 (MH^+).

Example 113: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-morpholinobenzamide. MS (EI) m/z for $C_{26}H_{25}ClN_6O_5S$: 569.0 (MH^+).

Example 114

***N*-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-{5-[(dimethylamino)methyl]-1,3,4-oxadiazol-2-yl}benzenesulfonamide**

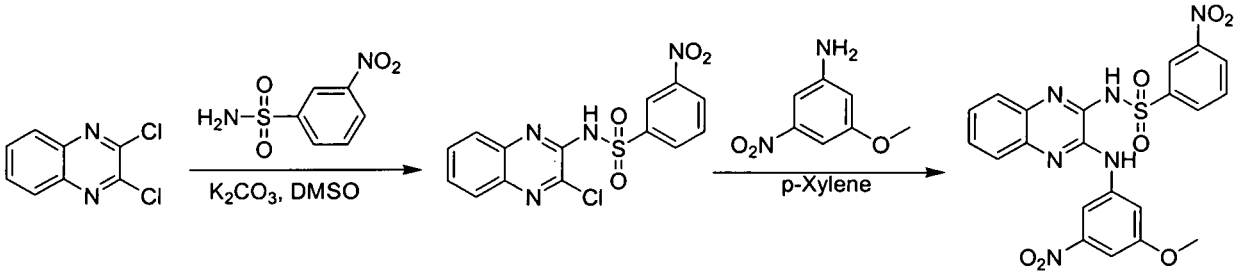


[00299] To a solution of 3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzoic acid (0.25 g, 0.52 mmol), prepared as described above in Example 100, in dimethylformamide (2.6 mL) were added 2-(7-aza-1*H*-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HATU, 0.25 g, 0.67 mmol) and *N*-ethyldiisopropylamine (DIEA, 0.11 g, 0.88 mmol) at room temperature. The reaction was stirred for 15 min before 2-(dimethylamino)acetohydrazide (78 mg, 0.67 mmol) was added. The reaction mixture was allowed to stir overnight. The reaction was diluted with ethyl acetate (200 mL) and washed with water (30 mL), saturated aqueous sodium bicarbonate (30 mL), 1.0 N aqueous hydrochloric acid (20 mL), and saturated aqueous sodium chloride (25 mL). The organic layer was dried over magnesium sulfate, filtered and concentrated at reduced pressure to afford 180 mg of a coupled intermediate which was then heated in phosphorus oxychloride (5 mL) at 100 0C for 4h. The reaction was cooled to room temperature and treated with ice water (50 mL) and extracted with dichloromethane (3 X 50 mL). The organic layer was dried over magnesium sulfate, filtered and concentrated at reduced pressure to afford a crude product which was subjected to reverse phase HPLC to afford *N*-(3-{[2-chloro-5-(methoxy)-phenyl]amino}quinoxalin-2-yl)-3-{5-[(dimethylamino)methyl]-1,3,4-oxadiazol-2-yl}-

benzenesulfonamide (16 mg, 5 %) as yellow solid. MS (EI) m/z for $C_{26}H_{24}ClN_7O_4S$: 566.0 (MH^+).

Example 115

***N*-(3-(3-methoxy-5-nitro-phenylamino)-quinoxalin-2-yl)-3-nitrobenzenesulfonamide**



[00300] ***N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide.** 2,3-Dichloroquinoxaline (26.1 g, 131.1 mmol), m-Nitrobenzene sulfonamide (26.5 g, 131.1 mmol) and potassium carbonate (18.1 g, 131.1) were dissolved in anhydrous DMSO (500 mL). The reaction was heated to 150 °C for 2 h. The reaction mixture was poured into water (400 mL), followed by addition of 2M HCl (60 mL). The product was extracted with EtOAc (3 x 500 mL). The organic layers were combined and washed water (2 x 500 mL) and brine (2 x 500 mL). The product was then dried with sodium sulfate to give *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{14}H_9ClN_4O_4S$: 364.94, 366.97 (MH^+)

[00301] ***N*-(3-(3-methoxy-5-nitrophenylamino)quinoxalin-2-yl)-3-nitro-benzenesulfonamide.** *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide (700 mg, 1.92 mmol), 3-methoxy-5-nitroaniline (645 mg, 3.84 mmol) and p-xylene (7 mL) were combined and heated to 140°C, then stirred for 16 hours at 130 °C. The reaction was allowed to cool, placed in a sep. funnel, diluted with DCM, and washed with 2M HCl and brine and concentrated in vacuo. The resulting solid was washed with Et_2O to give *N*-(3-(3-methoxy-5-nitro-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide (400 mg, 42%). MS (EI) m/z for $C_{21}H_{16}N_6O_7S$: 496.94 (MH^+).

[00302] The following compounds were prepared using procedures similar to those in Example 115.

Example 116: *N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-cyanobenzenesulfonamide. MS (EI) m/z for $C_{22}H_{16}ClN_5O_3S$: 465.9 (MH^+).

Example 117: 3-cyano-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{23}H_{19}N_5O_4S$: 462.3 (MH^+).

Example 118: *N*-(3-(2,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-fluorobenzenesulfonamide. MS (EI) m/z for $C_{22}H_{19}FN_4O_4S$: 456.0 (MH^+).

Example 119: 3-bromo-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{22}H_{19}BrN_4O_4S$: 516.9 (MH^+).

Example 120: 3-bromo-*N*-(3-(2,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{22}H_{19}BrN_4O_4S$: 516.9 (MH^+).

Example 121: *N*-(3-(3-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{21}H_{18}N_4O_3S$: 407.0 (MH^+).

Example 122: *N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{21}H_{17}FN_4O_3S$: 425.0 (MH^+).

Example 123: *N*-(3-(2,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-methoxybenzenesulfonamide. MS (EI) m/z for $C_{23}H_{22}N_4O_5S$: 467.0 (MH^+).

Example 124: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-methoxybenzenesulfonamide. MS (EI) m/z for $C_{23}H_{22}N_4O_5S$: 467.0 (MH^+).

Example 125: *N*-(3-(4-chloro-3-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{21}H_{17}ClN_4O_3S$: 440.9 (MH^+).

Example 126: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)thiophene-2-sulfonamide. MS (EI) m/z for $C_{20}H_{18}N_4O_4S_2$: 443.0 (MH^+).

Example 127: *N*-(3-(6-methoxyquinolin-8-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{24}H_{18}N_6O_5S$: 502.95 (MH^+).

Example 128: 3-nitro-*N*-(3-(pyridin-4-ylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{19}H_{14}N_6O_4S$: 423.2 (MH^+).

Example 129: *N*-(3-(2-chloropyridin-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{19}H_{13}ClN_6O_4S$: 456.93, 458.90 (MH^+).

Example 130: *N*-(3-(4,6-dimethoxypyrimidin-2-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{20}H_{17}N_7O_6S$: 484.03 (MH^+).

Example 131: *N*-(3-(4-hydroxy-6-methoxypyrimidin-2-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{19}H_{15}N_7O_6S$: 469.97 (MH^+).

Example 132: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-2-fluorobenzenesulfonamide. MS (EI) m/z for $C_{22}H_{19}FN_4O_4S$: 455.3 (MH^+).

Example 133: *N*-(3-(2-bromo-5-methoxy-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{21}H_{16}BrN_5O_5S$: 531.82, 532.84 (MH^+).

Example 134: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-methylbenzenesulfonamide. MS (EI) m/z for $C_{23}H_{22}N_4O_4S$: 451.0 (MH^+).

Example 136: *N*-(3-(2,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-methylbenzenesulfonamide. MS (EI) m/z for $C_{23}H_{22}N_4O_4S$: 451.0 (MH^+).

Example 137: *N*-(3-(3-fluoro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{21}H_{16}FN_5O_5S$: 470.0 (MH^+).

Example 138: 4-bromo-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{22}H_{19}BrN_4O_4S$: 516.9, 514.9 (MH^+).

Example 139: *N*-(3-(3-methoxyphenylamino)quinoxalin-2-yl)-3-nitro-benzenesulfonamide. MS (EI) m/z for $C_{21}H_{17}N_5O_5S$: 451.93 (MH^+).

Example 140: *N*-(3-(2-chloro-5-hydroxy-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{20}H_{14}ClN_5O_5S$: 472.15, 474.13 (MH^+).

Example 141: 3-acetyl-*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{23}H_{19}ClN_4O_4S$: 483.08 (MH^+).

Example 142: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{22}H_{20}N_4O_4S$: 437.49 (MH^+).

Example 143: *N*-(3-(5-methoxy-2-methyl-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{22}H_{20}N_4O_3S$: 421.46 (MH^+).

Example 144: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{21}H_{17}ClN_4O_3S$: 440.59 (MH^+).

Example 145: *N*-(3-(2,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{22}H_{20}N_4O_4S$: 437.53 (MH^+).

Example 146: 4-chloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{22}H_{19}ClN_4O_4S$: 470.54 (MH^+).

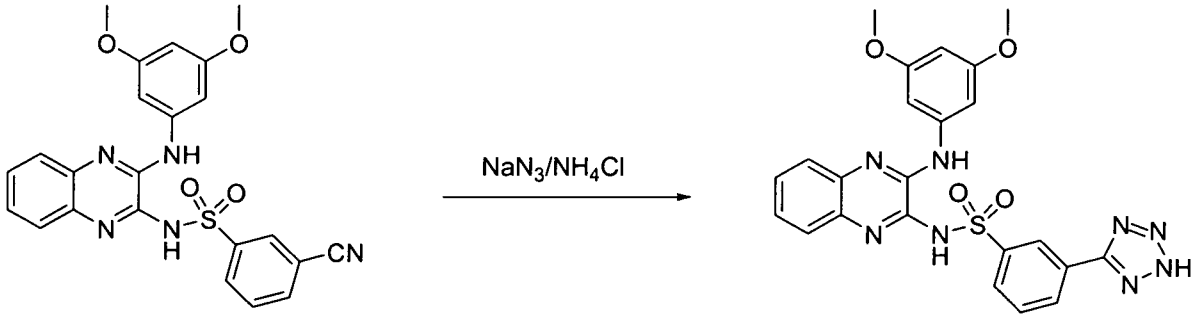
Example 147: *N*-(3-(5-methoxy-2-methyl-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{22}H_{19}N_5O_5S$: 466.32 (MH^+).

Example 148: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) m/z for $C_{21}H_{16}ClN_5O_5S$: 485.86 (MH^+).

Example 149: *N*-(3-(4-chloro-2,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{22}H_{19}ClN_4O_4S$: 470.99 (MH^+).

Example 150

***N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(2H-tetrazol-5-yl)benzenesulfonamide**



[00303] To a stirred solution of 3-cyano-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide (0.20 g, 0.44 mmol), prepared using procedures similar to those described in Example 115, in dimethylformamide (1.2 mL) at 50 °C were added sodium azide (0.11 g, 1.76 mmol) and ammonium chloride (94 mg, 1.76 mmol). The crude mixture was heated at 100 ^{0}C overnight. The reaction was cooled to room temperature treated with ice water (20 mL) followed by concentrated hydrochloric acid (10 mL). The solid obtained was filtered under reduced pressure and washed with hexane (20 mL), diethyl ether (20 mL), and ethyl acetate (5 mL) to afford *N*-(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(2*H*-tetrazol-5-yl)benzenesulfonamide (55 mg, 25%) as light yellow solid. MS (EI) m/z for $C_{23}H_{20}N_8O_4S$: 505.0 (MH^+).

Example 151

N-(3-(2,6-dichloropyridin-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide.

[00304] A mixture of *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide (1 g), 2,6-dichloropyridin-4-amine (760 mg) and p-xylene (10 mL) was heated at 135 °C with stirring overnight. Upon cooling to room temperature, the mixture was dissolved in dichloromethane, washed with 2 N HCl (2 x) and brine, concentrated in vacuo to give a crude product of *N*-{3-[(2,6-dichloropyridin-4-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide. A small portion of this crude product was purified by HPLC to give *N*-{3-[(2,6-dichloropyridin-4-yl)amino]quinoxalin-2-yl}-3-nitro-benzenesulfonamide. ^{1}H NMR (400 MHz, DMSO) δ 9.71 (s, 1H), 8.90 (s, 1H), 8.50 (d, 2H), 8.8.41 (d, 1H), 8.30 (s, 2H), 7.88-7.78 (m, 27.65 (d, 1H), 7.47-7.37 (m, 2H); MS (EI) m/z for $C_{19}H_{12}Cl_2N_6O_4S$: 491.1, 493.1 (MH^+).

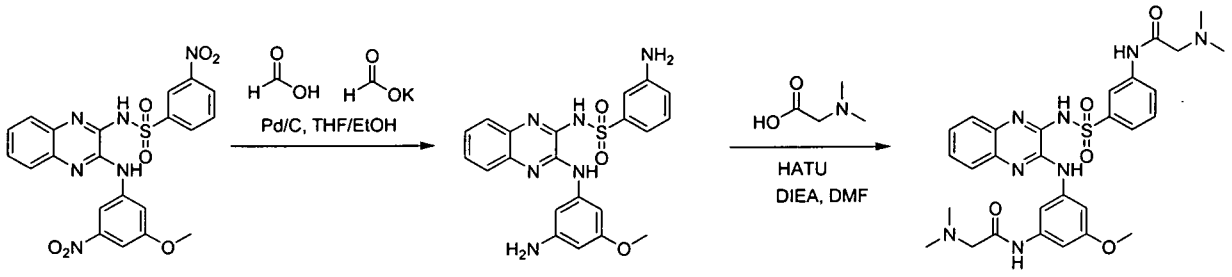
Example 152

N-(3-(2-chloro-6-methoxypyridin-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide

[00305] To a crude product of N-{3-[(2,6-dichloropyridin-4-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide (1.24 g) prepared using procedures similar to those for Example 151, was added anhydrous DMSO (10 mL), followed by sodium methoxide (273 mg). The resulting mixture was heated at 100 °C for 3 days. The mixture was diluted with EtOAc and water, and the pH was adjusted to about 4 by adding acetic acid. The product was extracted with EtOAc (3 x). The combined extracts were washed with brine to give the crude product. A portion of the crude product was purified by prep HPLC to give *N*-(3-{[2-chloro-6-(methyloxy)pyridin-4-yl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide. 1H NMR (400 MHz, DMSO) δ 9.44 (s, 1H), 8.90 (s, 1H), 8.50 (d, 1H), 8.42 (d, 1H), 7.88-7.84 (m, 2H), 7.77 (s, 1H), 7.74 (s, 1H), 7.64 (d, 1H), 7.45-7.38 (m, 2H), 3.82 (s, 3H); MS (EI) m/z for $C_{20}H_{15}ClN_6O_5S$: 496.94 (MH^+).

Example 153

2-(dimethylamino)-*N*-(3-(*N*-(3-(3-(2-(dimethylamino)acetamido)-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide



[00306] 3-amino-*N*-(3-(3-amino-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. *N*-(3-(3-Methoxy-5-nitrophenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide (400 mg, 0.81 mmol), prepared as described above in Example 115, was dissolved in 1:1 THF:EtOH (4 mL), to which was added formic acid (938 μl, 2.42 mmol) and potassium formate (203 mg, 2.42 mmol). The system was flushed with nitrogen, and then 10%wt Pd/C (50 mg) was added. The reaction was then heated to 60°C. Once the reaction was determined complete by LC-MS, it was allowed to cool, and DMF was added for solubility. The solution was then filtered through a nylon frit to remove the catalyst. The filtrate was diluted water and the pH adjusted to 7 and extracted with DCM (2x) and EtOAc (2x). All organic layers were combined and evaporated to dryness to give 3-amino-*N*-(3-(3-amino-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide (330 mg, 93%). MS (EI) m/z for $C_{21}H_{20}N_6O_3S$: 437.06 (MH^+)

[00307] 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-(2-(dimethylamino)-acetamido)-5-methoxyphenylamino)quinoxalin-2-yl)-sulfamoyl)phenyl)acetamide. 3-Amino-*N*-(3-(3-amino-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide (330 mg, 0.76 mmol), DMF (4 mL), *N,N*,-Dimethylglycine (312 mg, 3.02 mmol), HATU (1.15 g, 3.02 mmol), and 1.29(mL) (7.56 mmol) DIEA (1.29 mL, 7,56 mmol) were combined and heated to 90°C, followed by heating at 50°C for over 16 hours. The reaction was allowed to cool, placed into a sep. funnel diluted with water and aqueous LiCl and extracted with EtOAc. The final compound was then purified by prep. HPLC to give 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-(2-(dimethylamino)acetamido)-5-methoxy-

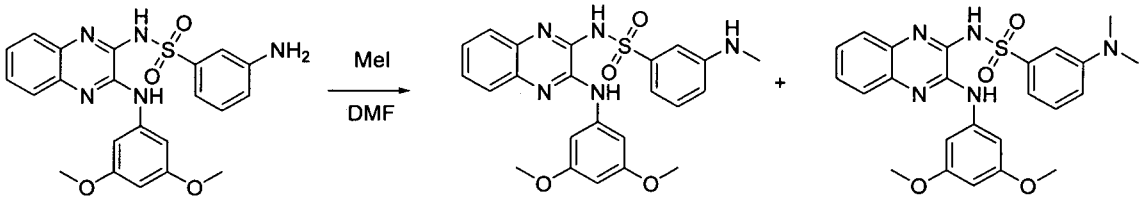
phenylamino)-quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ^{1}H NMR (400 MHz, CD_3OD) δ 8.45 (t, 1H), 7.93 (t, 1H), 7.85-7.88 (m, 1H), 7.70-7.74 (m, 1H), 7.65-7.68 (m, 1H), 7.58-7.62 (m, 1H), 7.58 (t, 1H), 7.34-7.42 (m, 3H), 7.0 (t, 1H), 4.05 (d, 2H), 3.8 (s, 3H), 2.9-3.0 (d, 12H). MS (EI) m/z for $C_{29}H_{34}N_8O_5S$: 607.2 (MH^+).

[00308] The following title compounds were prepared using procedures similar to those in Example 153.

Example 154: *N*-(3-(2,5-dimethoxyphenylamino)-7-methylquinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z for $C_{23}H_{22}N_4O_4S$: 451.0 (MH^+).

Example 155a and Example 155b

N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-(methylamino)benzenesulfonamide and N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-(dimethylamino)benzenesulfonamide.

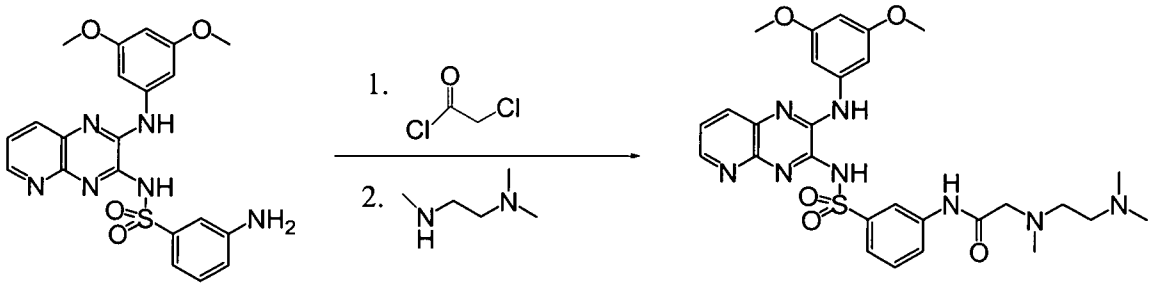


[00309] To a solution of 3-amino-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide (414 mg) in DMF (4.5 mL) was added iodomethane (114 μL). The reaction mixture was heated at 35-50 °C until the formation of both mono-methylated and di-methylated products was detected by LC/MS. The mixture was diluted with EtOAc, washed with water, 10% LiCl (2 x) and brine. After removal of solvent in vacuo, the crude mixture was purified by flash silica column chromatography eluting with 15% EtOAc in hexanes, affording the mono-methylated and di-methylated products. Product A: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(methylamino)-benzenesulfonamide (35 mg). ^{1}H NMR (400 MHz, DMSO) δ 12.2 (s, 1H), 8.93 (s, 1H), 7.85 (d, 1H), 7.58 (d, 1H), 7.40-7.20 (m, 7H), 6.76 (m, 1H), 6.24 (m, 1H), 6.16 (br s, 1H), 3.77 (s, 6H), 2.71 (s, 3H). MS (EI) for $C_{23}H_{23}N_5O_4S$: 466.05 (MH+). Product B: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(dimethylamino)benzenesulfonamide (33 mg). ^{1}H NMR (400 MHz, DMSO) δ 1220 (s,

1H), 8.98 (s, 1H), 7.98 (d, 1H), 7.56 (d, 1H), 7.42-7.32 (m, 7H), 6.74 (m, 1H), 6.24 (m, 1H), 3.77 (s, 6H), 2.97 (s, 6H). MS (EI) for $C_{24}H_{25}N_5O_4S$: 480.04 (MH+).

Example 156

***N*-(3-{[(2-{[3,5-bis(methoxy)phenyl]amino}pyrido[2,3-b]pyrazin-3-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(dimethylamino)ethyl]-*N*-2-methylglycinamide**



[00310] To a THF suspension (1.3 mL) of 3-amino-*N*-(3-{[3,5-(dimethoxy)-phenyl]amino}-quinoxalin-2-yl)benzenesulfonamide (126 mg, 0.28 mmol), prepared using procedures similar to those described for Example 15, was added 0.143 mL of 2M aqeuos Na_2CO_3. To this yellow suspension is added dropwise 33 μL (0.42 mmol) of chlororacetyl chloride. The reaction mixture turns clear after a few minutes and is allowed to stir at 23°C for 1h. To the reaction is added a DMSO (1 mL) solution containing 180 μL (1.4 mmol) of *N*,*N*',*N*' trimethylethelyenediamine. The reaction is then warmed to 60°C and stirred for 18h. The product is isolated by preparative RP-HPLC (*N*H_4OAc/AC*N*) gradient, the appropriate fractions were pooled and lyophilize to give a solid yellow as the acetic acid salt: 59 mg (51%). ^{1}H-NMR (400 MHz, $CDCL_3$): δ 10.1 (br s, 1H), 8.37 (br s, 2H), 8.18 (d, 1H), 7.97 (d, 1H), 7.60 (br d, 1H), 7.27 (s, 2H), 7.20 (br s, 3H), 6.15 (s, 1H), 3.82 (m, 2H), 3.65 (s, 6H), 3.20 (br m, 2H), 2.82 (br s, 8H), 2.42 (s, 3H), 2.02 (s, 3H). MS (EI) m/z for $C_{28}H_{34}N_8O_5S$: 595.84 (MH^+).

[00311] The following title compounds were prepared using similar procedures to those in Example 156.

Example 157: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-((3-(dimethylamino)propyl)(methyl)amino)acetamide. MS (EI) m/z for $C_{30}H_{37}N_7O_5S$: 608.1 (MH^+).

Example 158: 2-(1,4'-bipiperidin-1'-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z for $C_{34}H_{41}N_7O_5S$: 660.1 (MH^+).

Example 159: *tert*-butyl 2-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenylcarbamoyl)piperidine-1-carboxylate. MS (EI) m/z for $C_{33}H_{38}N_6O_7S$: 663.1 (MH^+).

Example 160: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1-(dimethylamino)propan-2-yl)benzamide. MS (EI) m/z for $C_{27}H_{29}ClN_6O_4S$: 569.0 (MH^+).

Example 161: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-ureidobenzenesulfonamide. MS (EI) m/z for $C_{23}H_{22}N_6O_5S$: 495.40 (MH^+).

Example 162: 2-(dimethylamino)-*N*-(3-(*N*-(3-(5-methoxy-2-methylphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z for $C_{26}H_{28}N_6O_4S$: 521.69 (MH^+).

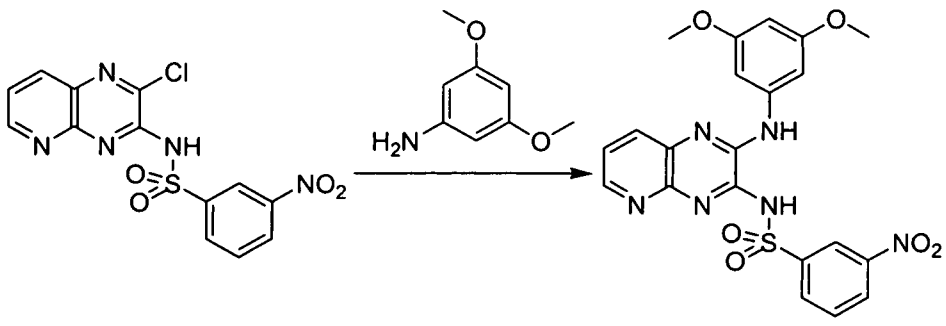
Example 163: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methylpiperazin-1-yl)acetamide. MS (EI) m/z for $C_{29}H_{33}N_7O_5S$: 592.61 (MH^+).

Example 164: 2-acetamido-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z for $C_{26}H_{26}N_6O_6S$: 550.59 (MH^+).

Example 165: *tert*-butyl 2-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenylamino)-2-oxoethylcarbamate. MS (EI) m/z for $C_{29}H_{32}N_6O_7S$: 609.32 (MH^+).

Example 166

***N*-(2-(3,5-dimethoxy-phenylamino)pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzenesulfonamide**



[00312] To a xylene suspension (15 mL) of *N*-(2-chloropyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzenesulfonamide (1 g, 2.7 mmol) (prepared using procedures similar to those in Asier, et al *J. Org Chem* **2005,** *70(7),* 2878 and Leeson, et al *J. Med.Chem* **1991,** *34,* 1243) was added 420 mg (2.7 mmol) of 3,5 dimethoxyaniline. After refluxing the reaction for 1h, the reaction is cooled , the precipitate is collected by filtration and dried under vacumn to give 830 mg of the product as a ~6:1 mixture of isomers with the major being N-(2-(3,5-dimethoxy-phenylamino)pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzenesulfonamide which was assigned by known chemical reactivity. Analytical HPLC, ret. time = 3.3 min (14%), 3.05 min (86%), (conditions: Phenomenex Gemini C18 50x4.6 column, gradient 5% to 95% MeCN/H_2O, in the presence of 0.1% TFA, 5 min run at 3.5 ml/min flow rate, λ =254 nm). ^{1}H-NMR (400 MHz, DMSO-d6): major isomer δ 9.14 (br s, 1H), 8.69 (dd, 1H), 8.60 (dd, 1H), 8.33 (dt, 2H), 7.77 (t, 1H), 7.49 (dd, 1H), 7.37 d, 2H), 7.05 (s, 1H), 6.26 (t, 1H), 3.77 (s, 6H); MS (EI) m/z for $C_{21}H_{18}N_6O_6S$: 483.08 (MH^+).

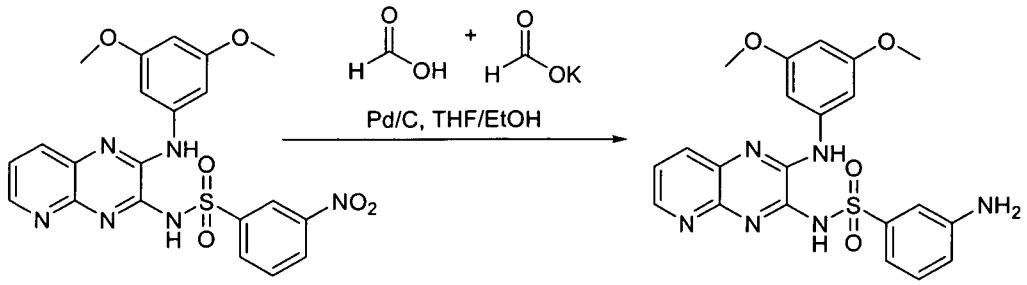
Example 167

3-amino-*N*-(2-(3,5-dimethoxy-phenylamino)pyrido[2,3-b]pyrazin-3-yl)benzenesulfonamide.

[00313] To a 1:1 THF/EtOH suspension (1 mL) of *N*-(3-(3,5-dimethoxyphenylamino)-pyrido[3,2-b]pyrazin-2-yl)-3-nitrobenzenesulfonamide (190 mg, 0.21 mmol) (prepared using procedures similar to those in Examples 166) was added 47 μL (1.26 mmol) of formic acid plus 99 mg (1.17 mmol) of potassium formate and 50 mg of 10% palladium on charcoal. After refluxing the reaction for 1h, hot filtration through celite (washing with a small portion of DMF), dilution with 30 mL of water, the pH was adjusted to 5.5 with 5% $NaHCO_3$, the product is isolated as a precipitate 140 mg (80%) of white powder. Analytical HPLC, ret. time = 2.6 min (90%), 3.05 min (10%), 100% pure (conditions: YMC C18 5x4.6 column, gradient 10% to 90% MeCN/H_2O, in the presence of 0.1% TFA, 9 min run at 1 ml/min flow rate, λ =254 nm). ^{1}H-NMR (400 MHz, $CDCL_3$): δ 8.48 (br s, 1H), 8.34 (dd, 1H), 7.92 (dd, 1H), 7.41 (dd, 1H), 7.15 (m, 3H), 7.13 (d, 2H), 6.86 (dd, 1H), 6. 28 (t, 1H), 3.83 (s, 6H); MS (EI) m/z for $C_{21}H_{20}N_6O_4S$: 453.03 (MH^+).

Example 168

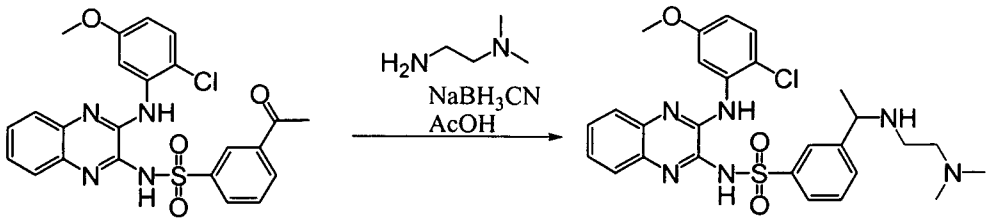
3-amino-*N*-(3-{[3,5-bis(methoxy)phenyl]amino}pyrido[2,3-b]pyrazin-2-yl)benzenesulfonamide



[00314] To a 1:1 THF/EtOH suspension (1 mL) of 3-nitro-*N*-(3-{[3,5-bis(methoxy)-phenyl]amino}pyrido[2,3-b]pyrazin-2-yl)benzenesulfonamide (100 mg, 0.21 mmol) (prepared using procedures similar to those used in Example 166) was added 46 μL (0.63 mmol) of formic acid plus 100mg (0.63 mmol) of potassium formate and 100 mg of 10% palladium on charcoal. After refluxing the reaction for 1h, hot filtration through celite, and concentration, the product is isolated by preparative RP-HPLC (*N*H_4OAc/AC*N*) gradient. The appropriate fractions were pooled and lyophilize to give solid yellow product: 3.2 mg (4%). ^{1}H-NMR (400 MHz, $CDCl_3$): δ 8.62 (d, 1H), 8.52 (s, 1H), 7.62 (d, 1H), 7.3 (m, 4H), 7.18 (d, 2H), 6.88 (d, 1H), 6.27 (t, 1H), 3.96 (br s, 2H), 3.83 (s, 6H). MS (EI) m/z for $C_{21}H_{20}N_6O_4S$: 453.22 (MH^+).

Example 169

N-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(1-{[2-(dimethylamino)-ethyl]amino}ethyl)benzenesulfonamide trifluoracetic acid salt

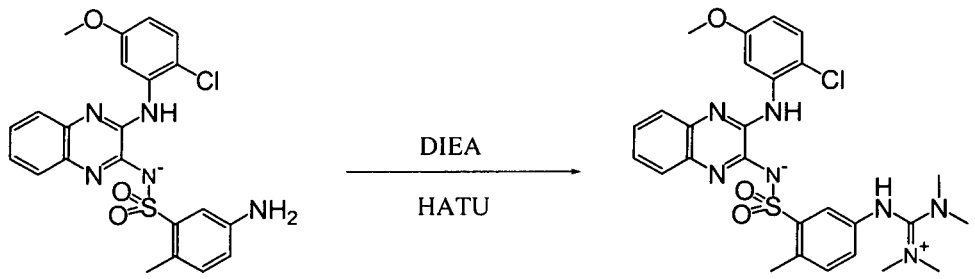


[00315] To a dichloroethane solution (0.6 mL) of 3-acetyl-*N*-(3-{[2-chloro-5-(methoxy)-phenyl]amino}quinoxalin-2-yl)benzenesulfonamide (150 mg, 0.31 mmol),

prepared using procedures similar to those in Example 115, and 51 μL (0.37 mmol) of *N,N*-dimethylethylenediamine was added 19 μL of acetic acid followed by 132 mg (0.62 mmol) of sodium cyanoborohydride. The reaction mixture was refluxed for 18h under a nitrogen atmosphere. After concentration (in vacuo), the product is isolated by preparative RP-HPLC (0.1 % TFA/AC*N*) gradient, followed by lyophilization of appropriate fractions to give solid yellow solid: 189 mg (90%). ^{1}H-NMR (400 MHz, d_3-MeOD): δ 8.74 (s, 1H), 8.18 (s, 1H), 8.12 (d, 1H), 7.71 (m, 3H), 7.48 (m, 4H), 7.28 (d, 1H), 6.63 (d, 1H), 4.38 (q, 1H), 3.80 (s, 3H), 3.30 (m, 3H), 3.12 (m, 1H), 2.84 (s, 3H), 1.60 (d, 3H). MS (EI) m/z for $C_{27}H_{31}ClN_6O_3S$: 555.56 (MH^+).

Example 170

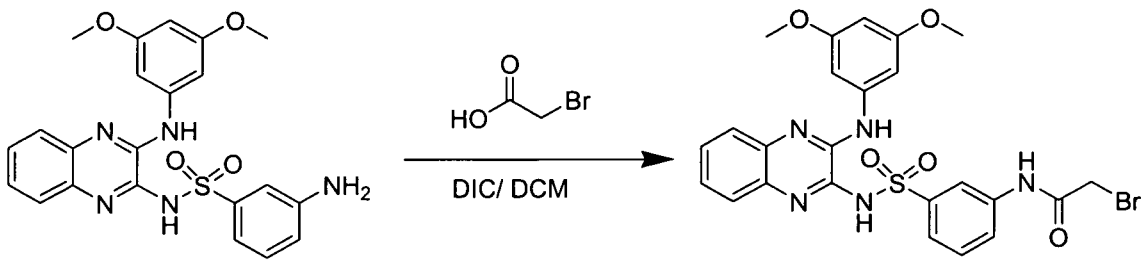
N,N-{[(3-{[(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)amino](dimethylamino)methylidene}-*N*-methylmethanaminium



[00316] To a dimethylformamide solution (1 mL) of 3-amino-*N*-(3-{[2-chloro-5-(methoxy)-phenyl]amino}quinoxalin-2-yl)2-methylbenzenesulfonamide (200 mg, 0.40 mmol), prepared using procedures similar to those described in Example 115, is added 312 μL (1.8 mmol) of DIEA and 122 mg (0.6 mmol) of HATU. After stirring for 18h at 60 °C, the product was precipitated from a 1:1 mixture of hexane/ethyl acetate, filtered and dried to afford 60 mg (26%). ^{1}H NMR (400 MHz, DMSO-d_6): δ 9.26 (b rs, 1H), 8.96 (br s, 1H), 7.80 (s, 1H), 7.51 (br s, 1H), 7.45 (d, 1H), 7.18 (brm, 4H), 6.91 (br s, 1H), 6.60 (br d, 1H), 3.82 (s, 3H), 3.36 (s, 3H), 2.85 (s, 6H), 2.58 (s, 3H). MS (EI) m/z for $C_{27}H_{31}ClN_7O_3S^+$: 569.32 (MH^+).

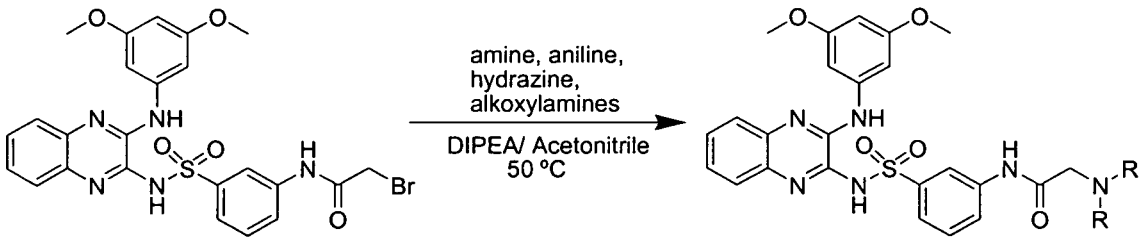
Example 171

2-Bromo-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide



[00317] In a 50 mL round-bottom flask was added 2-bromoacetic acid (1.87 g, 13.5 mmol), *N,N*-diisopropylcarbodiimide (860 mg, 6.8 mmol) and 10 mL DCM. To this mixture was added 3-amino-*N*-(3-(3,5-dimethoxyphenylamino) quinoxalin-2-yl) benzenesulfonamide (2.03 g, 4.5 mmol), prepared using procedures similar to those in Example 168. The reaction was stirred overnight at room temperature. Complete consumption of the starting aniline was confirmed by LCMS. The solvent was evaporated off to yield the crude product (2-bromo-N-(3-(N-(3-(3,5-dimethoxyphenylamino) quinoxalin-2-yl)sulfamoyl) phenyl) acetamide). This was used directly in the next step without purther purification.

General Alkylation Procedure 1



[00318] Into a 2-dram vial was placed 2-bromo-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl) sulfamoyl) phenyl) acetamide (86 mg, 0.15 mmol), prepared using procedures similar to those in Example 171,along with 2 mL of acetonitrile. Eight equivalents (1.2 mmol) of the desired amine, aniline, hydrazine or alkoxyamine were added followed by the addition of Hunig's Base (41 μL, 0.25 mmol).

The reaction then was stirred at 50 °C for one hour (overnight for aniline reagents). Preparative reverse-phase HPLC was used to isolate the desired product directly from the crude reaction mixture. A Waters Fractionlynx preparative reverse-phase HPLC – equipped with a Waters SunFire Prep C18, OCD 5 μM, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile – was used to carry out the purification.

[0186] The following title compounds were prepared according to **General Library Alkylation Procedure 1.**

Example 172: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. ^{1}H-NMR (400MHz, d_6-DMSO): 8.81 (s, 1H), 8.23 (t, 1H), 7.75 (d, 1H), 7.66 (d, 1H), 7.41-7.38 (m, 1H), 7.35 (m, 1H), 7.32 (d, 2H), 7.29-7.27 (m, 1H), 7.14-7.11 (m, 2H), 6.14 (t, 1H), 3.80 (s, 1H), 3.78 (s, 6H), 2.58 (s, 3H), 1.91 (s, 2H); MS (EI) m/z $C_{25}H_{26}N_6O_5S$: 523.6 (MH^+).

Example 173: 2-(cyclopropylmethylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ^{1}H-NMR (400MHz, d_6-DMSO): 10.58 (s, 1H), 8.81 (s, 1H), 8.20 (t, 1H), 7.76 (d, 1H), 7.67 (d, 1H), 7.42-7.36 (m, 2H), 7.32 (d, 2H), 7.27 (s, 1H), 7.14-7.12 (m, 2H), 6.15 (t, 1H), 3.93 (s, 2H), 3.78 (s, 6H), 2.89 (s, 1H), 2.88 (s, 1H), 1.05-1.00 (m, 1H), 0.59 (d, 1H), 0.57 (d, 1H), 0.35 (d, 1H), 0.34 (d, 1H); MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563.6 (MH^+).

Example 174: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-hydroxy-propylamino)acetamide. ^{1}H-NMR (400MHz, d_6-DMSO): 10.49 ppm (s, 1H), 8.81 ppm (s, 1H), 8.23 ppm (t, 1H), 8.13 ppm (s, 1H), 7.76 ppm (d, 1H), 7.765-7.763 (dd, 1H), 7.41-7.37 ppm (m, 2H), 7.33-7.32 ppm (d, 1H), 7.30-7.28 ppm (m, 1H), 7.16-7.09 ppm (m, 2H), 6.55 ppm (s, 1H), 6.14 ppm (t, 1H), 5.49 ppm (d, 2H), 5.25 ppm (s, 1H), 3.85 ppm (s, 1H), 3.78 ppm (s, 6H) 3.67-3.59 ppm (m, 1H), 3.00-2.89 ppm (dd, 1H), 2.79-2.76 ppm (m, 1H), 1.10 ppm (d, 1H), 1.01-0.99 ppm (d, 1H); MS (EI) m/z $C_{27}H_{30}N_6O_6S$: 566.6 (MH^+).

Example 175: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-fluorobenzylamino)acetamide. ^{1}H-NMR (400MHz, d_6-DMSO): 10.42 ppm (s, 1H), 8.82 ppm (s, 1H), 8.23 ppm (s, 1H), 8.14 ppm (s, 1H), 7.75 ppm (d, 1H), 7.65 ppm (d, 1H), 7.49-7.32 ppm (m, 6H), 7.25-7.20 ppm (m, 1H), 7.14-

7.12 ppm (m, 2H), 6.55 ppm (s, 1H), 6.15 ppm (t, 1H), 4.14 ppm (s, 2H), 3.78 ppm (s, 6H), 3.74 ppm (s, 2H); MS (EI) m/z $C_{31}H_{29}FN_6O_5S$: 616.7 (MH^+).

Example 176: 2-(benzylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Example 177: 2-(diethylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Example 178: 2-(4-(3,4-dichlorophenyl)piperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{34}H_{33}Cl_2N_7O_5S$: 722 (MH^+).

Example 179: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,2-dimethylhydrazinyl)acetamide. MS (EI) m/z $C_{26}H_{29}N_7O_5S$: 552 (MH^+).

Example 180: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(p-tolylamino)acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Example 181: 2-(benzyloxyamino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH^+).

Example 182: 2-(2-chlorophenylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{27}ClN_6O_5S$: 619 (MH^+).

Example 183: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isopropylamino)acetamide. MS (EI) m/z $C_{27}H_{30}N_6O_5S$: 551 (MH^+).

Example 184: 2-(4-cyclopentylpiperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{33}H_{39}N_7O_5S$: 646 (MH^+).

Example 185: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-propylpiperidin-1-yl)acetamide. MS (EI) m/z $C_{32}H_{38}N_6O_5S$: 619 (MH^+).

Example 186: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isobutoxyamino)acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_6S$: 581 (MH^+).

Example 187: 2-(3-*tert*-butylphenylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{34}H_{36}N_6O_5S$: 641 (MH^+).

Example 188: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-phenylpropan-2-ylamino)acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Example 189: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-fluoro-4-hydroxyphenylamino)acetamide. MS (EI) m/z $C_{30}H_{27}FN_6O_6S$: 619 (MH^+).

Example 190: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-(methylthio)benzylamino)acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S_2$: 645 (MH^+).

Example 191: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(5-fluoro-2-methylbenzylamino)acetamide. MS (EI) m/z $C_{32}H_{31}FN_6O_5S$: 631 (MH^+).

Example192: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-phenylpyrrolidin-1-yl)acetamide. MS (EI) m/z $C_{34}H_{34}N_6O_5S$: 639 (MH^+).

Example 193: 2-(2-benzylpyrrolidin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{35}H_{36}N_6O_5S$: 653 (MH^+).

Example 194: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-phenylmorpholino)acetamide. MS (EI) m/z $C_{34}H_{34}N_6O_6S$: 655 (MH^+).

Example 195: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-(pyridin-4-yl)piperidin-1-yl)acetamide. MS (EI) m/z $C_{34}H_{35}N_7O_5S$: 654 (MH^+).

Example 196: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(o-tolylamino)acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Example 197: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,4-dimethylbenzylamino)acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Example 198: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methyl(pyridin-3-ylmethyl)amino)acetamide. MS (EI) m/z $C_{31}H_{31}N_7O_5S$: 614 (MH^+).

Example 199: 2-(3-chloro-4-methylbenzylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{32}H_{31}ClN_6O_5S$: 647 (MH^+).

Example 200: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-((2-(dimethylamino)-ethyl)(methyl)amino)acetamide. MS (EI) m/z $C_{29}H_{35}N_7O_5S$: 594 (MH^+).

Example 201: 2-(4-acetylpiperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{33}N_7O_6S$: 620 (MH^+).

Example 202: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methyl(1-methylpyrrolidin-3-yl)amino)acetamide. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+).

Example 203: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methyl-1,4-diazepan-1-yl)acetamide. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+).

Example 204: 2-(4-allylpiperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{31}H_{35}N_7O_5S$: 618 (MH^+).

Example 205: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-isopropylpiperazin-1-yl)acetamide MS (EI) m/z $C_{31}H_{37}N_7O_5S$: 620 (MH^+).

Example 206: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(dimethylamino)pyrrolidin-1-yl)acetamide. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+).

Example 207: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(dimethylamino)azetidin-1-yl)acetamide. MS (EI) m/z $C_{29}H_{33}N_7O_5S$: 592 (MH^+).

Example 208: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-oxopiperidin-1-yl)acetamide. MS (EI) m/z $C_{29}H_{30}N_6O_6S$: 591 (MH^+).

Example 209: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-((2-methoxyethyl)(methyl)amino)acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_6S$: 581 (MH^+).

Example 210: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methylbenzyloxyamino)acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_6S$: 629 (MH^+).

Example 211: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxybenzyloxyamino)acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_7S$: 645 (MH^+).

Example 212: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(propylamino)acetamide. MS (EI) m/z $C_{27}H_{30}N_6O_5S$: 551 (MH^+).

Example 213: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(methyl)amino)acetamide. MS (EI) m/z $C_{27}H_{30}N_6O_5S$: 551 (MH^+).

Example 214: 2-(allyl(methyl)amino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563 (MH^+).

Example 215: 2-(*tert*-butylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Example 216: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isobutylamino)acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Example 217: 2-(butylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Example 218: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isopropyl(methyl)amino)acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Example 219: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-formylpiperazin-1-yl)acetamide. MS (EI) m/z $C_{29}H_{31}N_7O_6S$: 606 (MH^+).

Example 220: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-ethylpiperazin-1-yl)acetamide. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+).

Example 221: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-formyl-1,4-diazepan-1-yl)acetamide. MS (EI) m/z $C_{30}H_{33}N_7O_6S$: 620 (MH^+).

Example 222: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(2-hydroxyethyl)amino)acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_6S$: 581 (MH^+).

Example 223: (*S*)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-hydroxypyrrolidin-1-yl)acetamide. MS (EI) m/z $C_{28}H_{30}N_6O_6S$: 579 (MH^+).

Example 224: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,6-dimethylmorpholino)acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_6S$: 607 (MH^+).

Example 225: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methylbenzylamino)acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 226: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxy-ethylamino)acetamide. MS (EI) m/z $C_{27}H_{30}N_6O_6S$: 567 (MH^+).

Example 227: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(thiazolidin-3-yl)acetamide. MS (EI) m/z $C_{27}H_{28}N_6O_5S_2$: 581 (MH^+).

Example 228: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(hydroxymethyl)piperidin-1-yl)acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_6S$: 607 (MH^+).

Example 229: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-phenylpropylamino)acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Example 230: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isobutyl(methyl)amino)acetamide. MS (EI) m/z $C_{29}H_{34}N_6O_5S$: 579 (MH^+).

Example 231: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(phenylamino)acetamide. MS (EI) m/z $C_{30}H_{28}N_6O_5S$: 585 (MH^+).

Example 232: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-propylphenylamino)acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Example 233: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-isopropylphenylamino)acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Example 234: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-fluoro-4-methylphenylamino)acetamide. MS (EI) m/z $C_{31}H_{29}FN_6O_5S$: 617 (MH^+).

Example 235: 2-(4-chlorophenylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{27}ClN_6O_5S$: 619 (MH^+).

Example 236: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxyphenylamino)acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH^+).

Example 237: 2-(3-chlorophenylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{27}ClN_6O_5S$: 619 (MH^+).

Example 238: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,3-dimethylphenylamino)acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 239: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-fluorophenylamino)acetamide. MS (EI) m/z $C_{30}H_{27}FN_6O_5S$: 603 (MH^+).

Example 240: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-fluorophenylamino)acetamide. MS (EI) m/z $C_{30}H_{27}FN_6O_5S$: 603 (MH^+).

Example 241: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(thiophen-2-ylmethylamino)acetamide. MS (EI) m/z $C_{29}H_{28}N_6O_5S_2$: 605 (MH^+).

Example 242: 2-(cyclohexyl(ethyl)amino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{32}H_{38}N_6O_5S$: 619 (MH^+).

Example 243: 2-((cyclopropylmethyl)(propyl)amino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{31}H_{36}N_6O_5S$: 605 (MH^+).

Example 244: 2-(allyl(cyclopentyl)amino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{32}H_{36}N_6O_5S$: 617 (MH^+).

Example 245: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(isopropyl)amino)acetamide. MS (EI) m/z $C_{29}H_{34}N_6O_5S$: 579 (MH^+).

Example 246: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(phenyl)amino)acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 247: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methylpyrrolidin-1-yl)acetamide. MS (EI) m/z $C_{29}H_{32}N_6O_5S$: 577 (MH^+).

Example 248: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methylpiperidin-1-yl)acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

Example 249: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-2-ylmethylamino)acetamide. MS (EI) m/z $C_{30}H_{29}N_7O_5S$: 600 (MH^+).

Example 250: 2-(benzyl(methyl)amino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 251: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(1-phenylethylamino)acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 252: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-methylpiperidin-1-yl)acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

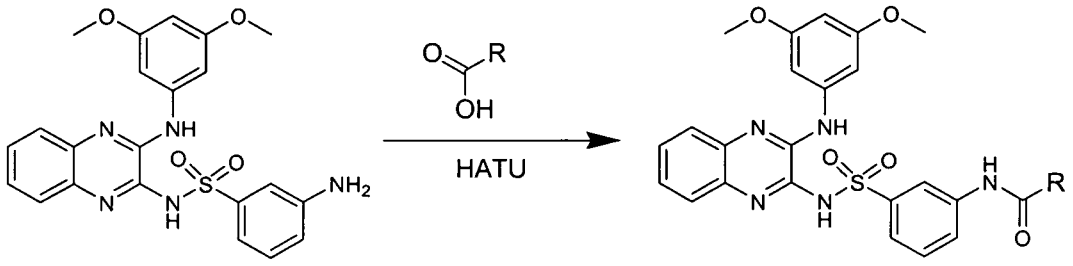
Example 253: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methylpiperidin-1-yl)acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

Example 254: 2-(3,4-dihydroisoquinolin-2(1H)-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{33}H_{32}N_6O_5S$: 625 (MH^+).

Example 255: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,6-dimethylpiperidin-1-yl)acetamide. MS (EI) m/z $C_{31}H_{36}N_6O_5S$: 605 (MH^+).

Example 256: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-hydroxybenzylamino)acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH^+).

General Library Acylation Procedure 1



[00319] Into a 2-dram vial were added 3-amino-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide (54 mg, 0.12 mmol), prepared using procedures similar to those described in Example 15, DMA (2 mL) and the desired carboxylic acid (0.17 mmol). DIEA (70 µL, 0.4 mmol) followed by HATU (53 mg,0.14 mmol) were added to the vial and the reaction mixture stirred at 50 °C overnight. Preparative reverse-phase HPLC was used to isolate the desired product directly from the crude reaction mixture. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 µM, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.

[00320] The following title compounds were prepared according to **General Library Acylation Procedure 1.**

Example 257: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)morpholine-4-carboxamide: MS (EI) m/z for $C_{26}H_{25}ClN_6O_5S$: 567 (MH^-).

Example 258: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 535.1 (MH^-).

Example 259: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propionamide. ^{1}H-NMR (400MHz, d_6-DMSO): 12.37 (s,1H),

10.20 (s, 1H), 8.88 (s, 1H), 8.37 (s, 1H), 7.93 (s, 1H), 7.77 (t, 2H), 7.59 (t, 1H), 7.51 (t, 1H), 7.41-7.34 (m, 4H), 6.24 (t, 1H), 3.76 (s, 6H), 2.36-2.31 (dd, 2H), 1.10 (s, 1H), 1.08 (s, 1H), 1.06 (s, 1H); MS (EI) m/z $C_{25}H_{25}N_5O_5S$: 508.6 (MH^+).

Example 260: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyridazine-4-carboxamide. ^{1}H-NMR (400MHz, d_6-DMSO): 11.01 (s, 1H), 9.66 (dd, 1H), 9.52 (dd, 1H), 8.90 (s, 1H), 8.55 (s, 1H), 8.13 (dd, 1H), 7.99 (d, 1H), 7.93 (d, 1H), 7.65-7.58 (m, 2H), 7.42-7.35 (m, 4H), 6.24 (t, 1H), 3.75 (s, 6H); MS (EI) m/z $C_{27}H_{23}N_7O_5S$: 558.6 (MH^+).

Example 261: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylnicotinamide. ^{1}H-NMR (400MHz, d_6-DMSO): 10.78 ppm (s, 1H), 8.90 ppm (s, 1H), 8.58-8.57 ppm (dd, 2H), 7.90-7.86 (m, 4H), 7.60-7.56 ppm (m, 2H), 7.42-7.34 (m, 5H), 6.23 ppm (t, 1H), 3.74 ppm (s, 6H), 2.57 ppm (s, 3H); MS (EI) m/z $C_{29}H_{26}N_5O_5S$: 570.6 (MH^+).

Example 262: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(o-tolyloxy)acetamide. ^{1}H-NMR (400MHz, d_6-DMSO): 12.37 ppm (s, 1H), 10.41 ppm (s, 1H), 8.90 ppm (s, 1H), 8.41 ppm (s, 1H), 7.93 ppm (s, 1H), 7.90-7.8 (m, 2H), 7.59-7.53 ppm (m, 2H), 7.42-7.33 ppm (m, 4H), 7.17-7.12 ppm (m, 2H), 6.89-6.85 ppm (m, 2H), 6.24 ppm (t, 1H), 4.74 ppm (s, 2H), 3.76 ppm (s, 6H), 2.33 ppm (s, 2H); MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 599.7 (MH^+).

Example 263: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxy-4-methylbenzamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 264: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxy-4-methylbenzamide. MS (EI) m/z $C_{28}H_{24}N_6O_5S$: 557 (MH^+).

Example 265: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiazole-4-carboxamide. MS (EI) m/z $C_{26}H_{22}N_6O_5S_2$: 563 (MH^+).

Example 266: 2-bromo-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiophene-3-carboxamide. MS (EI) m/z $C_{27}H_{22}BrN_5O_5S_2$ 640 (MH^+).

Example 267: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pivalamide. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH^+).

Example 268: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pent-4-enamide. MS (EI) m/z $C_{27}H_{27}N_5O_5S$: 534 (MH^+).

Example 269: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{25}N_5O_5S$: 556 (MH^+).

Example 270: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)butyramide. MS (EI) m/z $C_{26}H_{27}N_5O_5S$: 522 (MH^+).

Example 271: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxyacetamide. MS (EI) m/z $C_{25}H_{25}N_5O_6S$: 524 (MH^+).

Example 272: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclobutanecarboxamide. MS (EI) m/z $C_{27}H_{27}N_5O_5S$: 534 (MH^+).

Example 273: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylcyclopropanecarboxamide. MS (EI) m/z $C_{27}H_{27}N_5O_5S$: 534 (MH^+).

Example 274: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-methylcyclopropanecarboxamide. MS (EI) m/z $C_{27}H_{27}N_5O_5S$: 534 (MH^+).

Example 275: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methylbutanamide. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH^+).

Example 276: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-ethoxyacetamide. MS (EI) m/z $C_{26}H_{27}N_5O_6S$: 538 (MH^+).

Example 277: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxypropanamide. MS (EI) m/z $C_{26}H_{27}N_5O_6S$: 538 (MH^+).

Example 278: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-hydroxyacetamide. MS (EI) m/z $C_{24}H_{23}N_5O_6S$: 510 (MH^+).

Example279: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isobutyramide. MS (EI) m/z $C_{26}H_{27}N_5O_5S$: 522 (MH^+).

Example 280: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-hydroxycyclopropanecarboxamide.** MS (EI) m/z $C_{26}H_{25}N_5O_6S$: 536 (MH^+).

Example 281: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)furan-3-carboxamide.** MS (EI) m/z $C_{27}H_{23}N_5O_6S$: 546 (MH^+).

Example 282: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)tetrahydrofuran-3-carboxamide.** MS (EI) m/z $C_{27}H_{27}N_5O_6S$: 550 (MH^+).

Example 283: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)tetrahydrofuran-2-carboxamide.** MS (EI) m/z $C_{27}H_{27}N_5O_6S$: 550 (MH^+).

Example 284: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)furan-2-carboxamide.** MS (EI) m/z $C_{27}H_{23}N_5O_6S$: 546 (MH^+).

Example 285: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isonicotinamide.** MS (EI) m/z $C_{28}H_{24}N_6O_5S$: 557 (MH^+).

Example 286: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1H-pyrrole-2-carboxamide.** MS (EI) m/z $C_{27}H_{24}N_6O_5S$: 545 (MH^+).

Example 287: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrazine-2-carboxamide.** MS (EI) m/z $C_{27}H_{23}N_7O_5S$: 558 (MH^+).

Example 288: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-methyl-1H-pyrrole-2-carboxamide.** MS (EI) m/z $C_{28}H_{26}N_6O_5S$: 559 (MH^+).

Example 289: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-5-methylisoxazole-3-carboxamide.** MS (EI) m/z $C_{27}H_{24}N_6O_6S$: 561 (MH^+).

Example 290: ***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiophene-2-carboxamide.** MS (EI) m/z $C_{27}H_{23}N_5O_5S_2$: 562 (MH^+).

Example 291: (*S*)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-methylpyrrolidine-2-carboxamide. MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563 (MH^+).

Example 292: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylbenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_5S$: 570 (MH^+).

Example 293: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-phenylacetamide. MS (EI) m/z $C_{30}H_{27}N_5O_5S$: 570 (MH^+).

Example 294: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methylpicolinamide. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+).

Example 295: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-3-yl)acetamide. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+).

Example 296: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-6-hydroxypicolinamide. MS (EI) m/z $C_{28}H_{24}N_6O_6S$: 573 (MH^+).

Example 297: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-fluorobenzamide MS (EI) m/z $C_{29}H_{24}FN_5O_5S$: 574 (MH^+).

Example 298: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-fluorobenzamide. MS (EI) m/z $C_{29}H_{24}FN_5O_5S$: 574 (MH^+).

Example 299: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluorobenzamide. MS (EI) m/z $C_{29}H_{24}FN_5O_5S$: 574 (MH^+).

Example 300: 2-cyclohexyl-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{33}N_5O_5S$: 576 (MH^+).

Example 301: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-oxocyclopentyl)acetamide. MS (EI) m/z $C_{29}H_{29}N_5O_6S$: 576 (MH^+).

Example 302: 4-cyclopropyl-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-oxobutanamide. MS (EI) m/z $C_{29}H_{29}N_5O_6S$: 576 (MH^+).

Example 303: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-oxocyclohexanecarboxamide. MS (EI) m/z $C_{29}H_{29}N_5O_6S$: 576 (MH^+).

Example 304: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(pyridin-3-yl)propanamide. MS (EI) m/z $C_{30}H_{28}N_6O_5S$: 585 (MH^+).

Example 305: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxybenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+).

Example 306: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxybenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+).

Example 307: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-phenoxyacetamide. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+).

Example 308: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methoxybenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+).

Example 309: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-fluorophenyl)acetamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 310: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-fluorophenyl)acetamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 311: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-fluorophenyl)acetamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 312: 2-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{24}ClN_5O_5S$: 590 (MH^+).

Example 313: 4-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{24}ClN_5O_5S$: 590 (MH^+).

Example 314: 3-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{24}ClN_5O_5S$: 590 (MH^+).

Example 315: (1R,2R)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-phenylcyclopropanecarboxamide. MS (EI) m/z $C_{32}H_{29}N_5O_5S$: 596 (MH^+).

Example 316: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-phenylcyclopropanecarboxamide. MS (EI) m/z $C_{32}H_{29}N_5O_5S$: 596 (MH^+).

Example 317: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(1H-imidazol-4-yl)acetamide. MS (EI) m/z $C_{27}H_{25}N_7O_5S$: 560 (MH^+).

Example 318: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methoxy-2-methylbenzamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 319: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-fluorophenoxy)acetamide. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

Example 320: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-5-fluoro-2-methoxybenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

Example 321: 2-(4-chlorophenyl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{26}ClN_5O_5S$: 604 (MH^+).

Example 322: 2-(2-chlorophenyl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{26}ClN_5O_5S$: 604 (MH^+).

Example 323: 2-(3-chlorophenyl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{26}ClN_5O_5S$: 604 (MH^+).

Example 324: 1-acetyl-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)piperidine-4-carboxamide. MS (EI) m/z $C_{30}H_{32}N_6O_6S$: 605 (MH^+).

Example 325: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-4-yl)acetamide. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+).

Example 326: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-2-yl)acetamide. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+).

Example 327: 2,4-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Example 328: 3,4-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Example 329: 2,5-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Example 330: 3,5-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Example 331: 2,3-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Example 332: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pentanamide. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH^+).

Example 333: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylbutanamide. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH^+).

Example 334: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1H-imidazole-2-carboxamide. MS (EI) m/z $C_{26}H_{23}N_7O_5S$: 546 (MH^+).

Example 335: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1H-imidazole-4-carboxamide. MS (EI) m/z $C_{26}H_{23}N_7O_5S$: 546 (MH^+).

Example 336: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isoxazole-5-carboxamide. MS (EI) m/z $C_{26}H_{22}N_6O_6S$: 547 (MH^+).

Example 337: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3,3-dimethylbutanamide. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Example 338: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylpentanamide. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Example 339: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2,2-dimethylbutanamide. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Example 340: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methylpentanamide. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Example 341: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrimidine-5-carboxamide. MS (EI) m/z $C_{27}H_{23}N_7O_5S$: 558 (MH^+).

Example 342: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methylfuran-2-carboxamide. MS (EI) m/z $C_{28}H_{25}N_5O_6S$: 560 (MH^+).

Example 343: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiophene-3-carboxamide. MS (EI) m/z $C_{27}H_{23}N_5O_5S_2$: 562 (MH^+).

Example 344: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-oxocyclopentanecarboxamide. MS (EI) m/z $C_{28}H_{27}N_5O_6S$: 562 (MH^+).

Example 345: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxyethoxy)acetamide. MS (EI) m/z $C_{27}H_{29}N_5O_7S$: 568 (MH^+).

Example 346: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methylbenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_5S$: 570 (MH^+).

Example 347: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-methylisoxazol-4-yl)acetamide. MS (EI) m/z $C_{28}H_{26}N_6O_6S$: 575 (MH^+).

Example 348: 3-cyclopentyl-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide. MS (EI) m/z $C_{30}H_{33}N_5O_5S$: 576 (MH^+).

Example 349: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-o-tolylacetamide. MS (EI) m/z $C_{31}H_{29}N_5O_5S$: 584 (MH^+).

Example 350: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxynicotinamide. MS (EI) m/z $C_{29}H_{26}N_6O_6S$: 587 (MH^+).

Example 351: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-fluoro-3-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 352: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluoro-2-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 353 : *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluoro-4-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 354: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-fluoro-5-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 355: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-5-fluoro-2-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 356: 6-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)nicotinamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_5S$: 591 (MH^+).

Example 357: 2-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)nicotinamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_5S$: 591 (MH^+).

Example 358: 2-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isonicotinamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_5S$: 591 (MH^+).

Example 359: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-(dimethylamino)benzamide. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Example 360: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(dimethylamino)benzamide. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Example 361: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzo[d][1,3]dioxole-5-carboxamide. MS (EI) m/z $C_{30}H_{25}N_5O_7S$: 600 (MH^+).

Example 362: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(m-tolyloxy)acetamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 363: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methoxyphenyl)acetamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 364: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxyphenyl)acetamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 365: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-methoxyphenyl)acetamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 366: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxy-4-methylbenzamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 367: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluoro-4-methoxybenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

Example 368: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-fluoro-6-methoxybenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

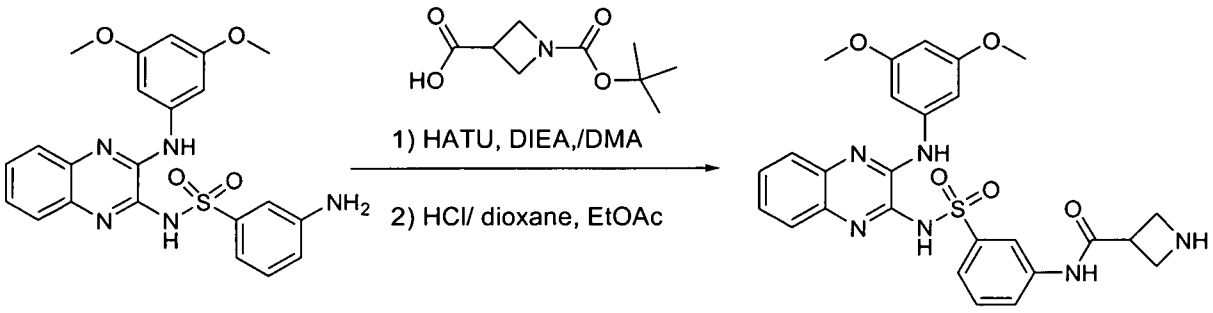
Example 369: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(4-methoxyphenyl)propanamide. MS (EI) m/z $C_{32}H_{31}N_5O_6S$: 614 (MH^+).

Example 370: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(2-methoxyphenyl)propanamide. MS (EI) m/z $C_{32}H_{31}N_5O_6S$: 614 (MH^+).

Example 371: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(3-methoxyphenyl)propanamide. MS (EI) m/z $C_{32}H_{31}N_5O_6S$: 614 (MH^+).

Example 372

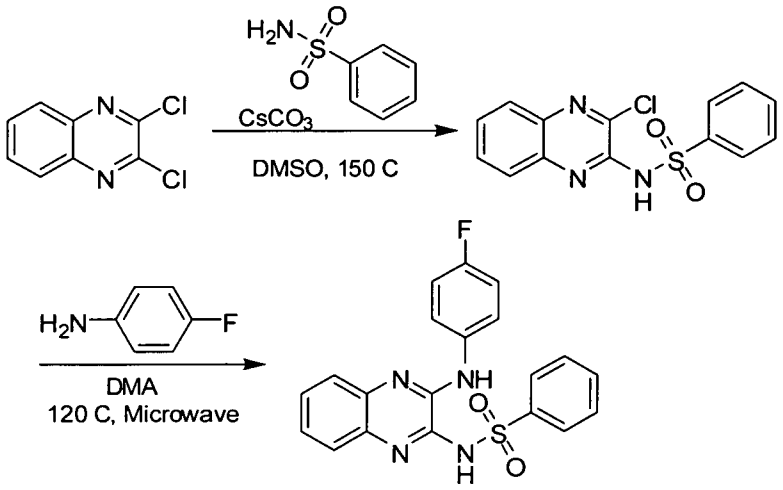
***N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide.**



[00321] Into a 20 mL vial was added 3-amino-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide (0.24 mmol, 1 equiv), prepared using procedures similar to those described in Example 15, DMA (5 mL) and 1-(*tert*-butoxycarbonyl)azetidine-3-carboxylic acid (0.336 mmol, 1.4 equiv). Hunig's Base (0.792 mmol, 3.3 equiv) and HATU (0.288 mmol, 1.2 equiv) were added to the vial and the reaction mixture was then stirred at room temperature overnight. Completion of the reaction was indicated by LCMS. The solvent was removed by rotary evaporation. The crude mixture was carried forward without further purification. The residue was suspended in 5 mL ethyl acetate and chilled in an ice bath. A solution of 4 N HCl in dioxane (3 mL, 5 equiv) was added with stirring. The reaction mixture was then stirred at room temperature overnight. The solid materials were collected by filtration, washed with ethylacetate then purified further by preparative reverse-phase HPLC (ammonium acetate/ACN). A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μM, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification. *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide was obtained (26 mg, 20%). ^{1}H-NMR (400MHz, d_6-DMSO): 10.26 (s, 1H), 8.81 (s, 1H), 8.25 (t, 1H), 8.14 (s, 1H), 7.74 (d, 1H), 7.69 (d, 1H), 7.41-7.39 (m, 1H), 7.36 (d, 1H), 7.32 (d, 2H), 7.30-7.28 (dd, 1H), 7.14-7.11 (m, 2H), 6.14 (t, 1H), 4.09 (d, 4H), 3.78 (s, 6H); MS (EI) m/z $C_{26}H_{26}N_6O_5S$: 535.6 (MH^+).

Example 373

N-(3-(4-fluorophenylamino)quinoxalin-2-yl)benzenesulfonamide



[00322] A flask was charged with 2,3-dichloroquinoxaline (3.5 g, 18 mmol), 85 mL of dimethylsulfoxide, benzene sulfonamide (2.8 g, 18 mmol), and cesium carbonate (5.8 g, 18 mmol). The reaction mixture was stirred under an N_2 atmosphere for 15 h at 150 °C, after which time, it was transferred to a separatory funnel and 100 mL of water were added. Concentrated HCl was then added in order to acidify the reaction mixture to pH<2. The aqueous layer was subsequently washed three times with 90 mL ethyl acetate. The ethyl acetate layers were then washed two times with 150 mL water, three times with 100 mL brine and then dried over sodium sulfate. The ethyl acetate was removed on a rotary-evaporator. A slurry was formed by adding ethyl acetate and dichloromethane to the dried crude product, filtration yielded *N*-(3-chloroquinoxalin-2-yl)-benzenesulfonamide which was used without further purification. MS (EI) m/z $C_{14}H_{10}ClN_3O_2S$: 319.9 (MH^+).

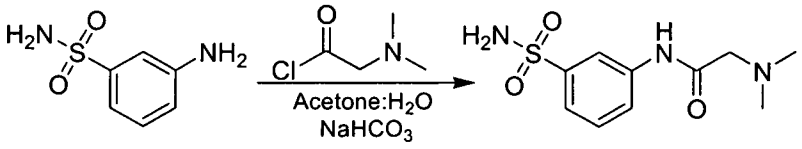
[00323] A CEM microwave reaction vessel was charged with *N*-(3-chloroquinoxalin-2-yl)benzenesulfonamide (52 mg, 0.16 mmol), prepared using procedures similar to those described in the above step, 4-fluoroaniline (36 mg, 0.32 mmol), and 0.8 mL of dimethylacetamide. The vessel was sealed and the reaction mixture was heated under microwave radiation for 25 m at 120 °C in a CEM Discover microwave instrument. Methanol (1 mL) was added to the reaction mixture and after 20 minutes the product

precipitated out of the solution. Filtration yielded *N*-(3-(4-fluorophenylamino)quinoxalin-2-yl)benzenesulfonamide (39 mg, 62 %). ^{1}H-NMR (400MHz, d_6-DMSO): δ 12.30 (s, 1H), 9.11 (s, 1H), 8.16-8.10 (d, 2H), 8.02-7.90 (m, 3H), 7.68-7.58 (m, 3H), 7.55-7.51 (m, 1H), 7.41-7.32 (m, 2H), 7.25-7.16 (m, 2H); MS (EI) m/z $C_{20}H_{15}FN_4O_2S$: 395.0 (MH^+).

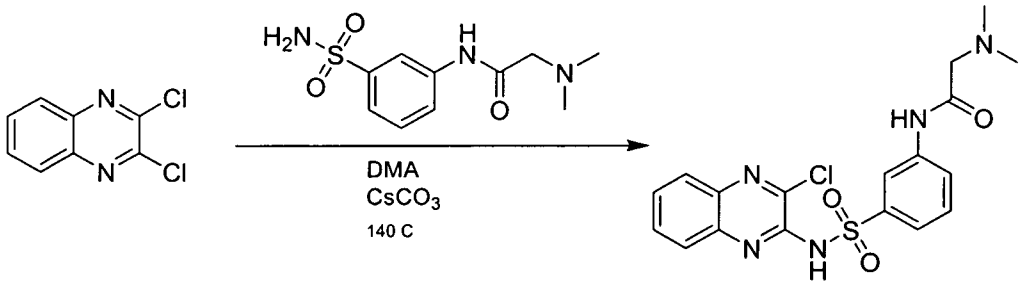
Example 374

N-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide

Scheme A



Scheme B



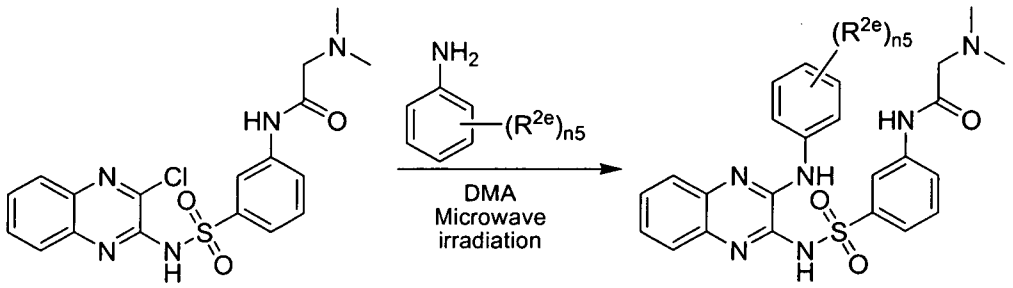
Scheme A

[00324] A flask was charged with 3-aminobenzene sulfonamide (3.3 g, 19 mmol), and 20 mL of 1:1 acetone:H_2O. The solution was stirred at room temperature until the aminobenzene sulfonamide had dissolved. The flask was then cooled in an ice bath and dimethylamino-acetyl chloride HCl (4.6 g, 29 mmol) was added. To the resulting slurry sodium bicarbonate (4.8 g, 57 mmol) was added over a 15 m period. After 30 min the reaction was removed from the ice bath and allowed to stir at room temperature for 15 h. The reaction mixture was then filtered and washed with methanol and acetonitrile. The filtrate was dried on a rotary evaporator to yield 2-(dimethylamino)-*N*-(3-sulfamoyl-phenyl)acetamide, which was submitted to the next step without further purification. MS (EI) m/z $C_{10}H_{15}N_3O_3S$: 258.0 (MH^+).

Scheme B

[00325] A flask was charged with dichloroquinozaline (1.0 g, 5.8 mmol), 10 mL of dimethylacetamide, 2-(dimetyhlamino)-*N*-(3-sulfamoylphenyl)acetamide (0.70 g, 2.7 mmol), and cesium carbonate (1.8 g, 5.5 mmol). The reaction mixture was stirred for 3 h at 140 °C and then filtered. The solvent was evaporated from the filtrate on a rotary-evaporator to yield (*N*-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide) which was submitted to the next step without further purification. MS (EI) m/z $C_{18}H_{18}ClN_5O_3S$: 420.0 (MH^+).

General Amination Procedure 1a



[00326] A CEM microwave reaction vessel was charged with *N*-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide (30 mg, 0.071 mmol), prepared using procedures similar to those described in Example 374, the desired aniline (16 mg, 0.14 mmol, 2 eq), and 0.5 mL of dimethylacetamide. The vessel was sealed and the reaction mixture was heated under microwave radiation for 70 min at 140 °C in a CEM Discover microwave instrument. The solvent was then removed by rotary-evaporation. Purification of the final product was accomplished by preparatory reverse-phase HPLC with the eluents 25 mM aqueous NH_4OAc/ACN to the desired product.

[00327] The following compounds were prepared according to the above **General Amination Procedure 1a.**

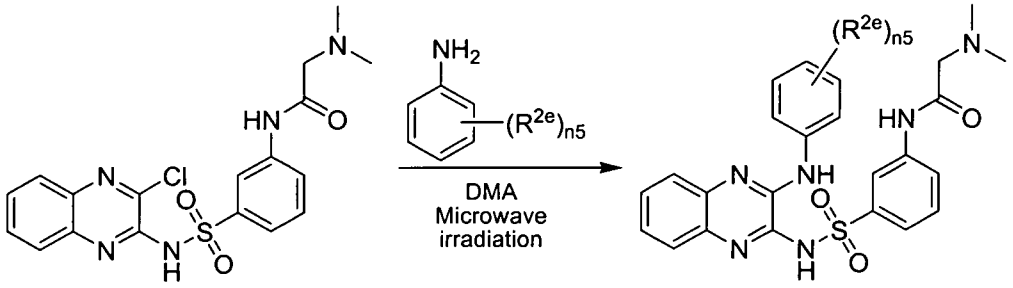
Example 375: 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-fluorophenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ^{1}H-NMR (400MHz, $CDCl_3$): 9.40 ppm (s, 1H), 8.43 ppm (s, 1H), 8.22 ppm (s, 1H), 8.07-8.02 ppm (d, 1H), 7.97-7.93 ppm (d, 1H), 7.76-7.71 (m, 2H), 7.53-7.48 ppm (t, 1H), 7.45-7.36 ppm (m, 4H), 7.35-7.28 ppm (m, 2H), 6.84-

6.77 ppm (t, 1H), 3.10 ppm (s, 2H), 2.38 ppm (s, 6H); MS (EI) m/z $C_{24}H_{23}FN_6O_3S$: 495 (MH^+).

Example 376: 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluorophenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{24}H_{23}FN_6O_3S$: 495 (MH^+).

Example 377: *N*-(3-(*N*-(3-(4-chloro-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) m/z $C_{24}H_{23}ClN_6O_3S$: 511 (MH^+).

General Amination Procedure 1b



[00328] A CEM microwave reaction vessel was charged with *N*-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide (62 mg, 0.147 mmol), prepared using procedures similar to those in Example 374, the desired aniline (0.567 mmol, 4 eq), and 1.0 mL of toluene. The vessel was sealed and the reaction mixture was heated under microwave radiation for 60 min at 180 °C in a CEM Discover microwave instrument. The solvent was removed on a rotary-evaporator. Purification of the final product was done by preparatory HPLC with NH_4OAc/ACN as eluent to yield the desired product.

[00329] The following compounds were prepared according to the above **General Amination Procedure 1b.**

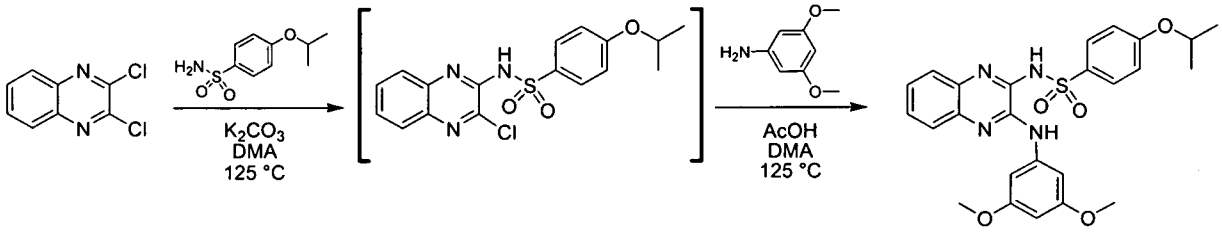
Example 378*N*-(3-(*N*-(3-(3-chloro-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) m/z $C_{24}H_{23}ClN_6O_3S$: 511 (MH^+).

Example 379: 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl). ^{1}H-NMR (400MHz, $CDCl_3$): δ 9.47 (s, 1H), 8.36 (s, 1H), 8.29 (s, 1H), 7.91-7.87 (d, 1H), 7.80-7.73 (m, 2H), 7.66-7.63 (d, 1H), 7.53-7.47 (t, 1H), 7.43-7.30

(m, 4H), 7.10-7.04 (t, 1H), 6.55-5.95 (br s, 1H), 3.96 (s, 3H), 3.12 (s, 2H), 2.39 (s, 6H), 2.08 (s,3H(*Ac*0H*)*; MS (EI) m/z $C_{25}H_{25}FN_6O_4S$: 525 (MH^+).

Example 380

***N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-isopropoxybenzenesulfonamide**



[00330] ***N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-isopropoxy-benzenesulfonamide.** A solution of 2,3-dichloroquinoxaline (2.0 mL, 0.38 M) was combined with K_2CO_3 (105 mg, 0.76 mmol) in a glass vial. A solution of 4-isopropoxybenzene sulfonamide (1.75 mL, 0.43 M) was added and the solution was stirred overnight at 125 °C. After cooling, acetic acid (45 mL, 0.79 mmol) and 3,5-dimethoxyaniline (230 mg, 1.5 mmol) were added. The reaction mixture was stirred again at 125 °C overnight. Upon cooling, the reaction mixture was diluted with 8 mL of methanol and then 8 mL of water. The precipitate was collected by filtration and recrystallized from *N,N*-dimethylacetamide/water to give *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-isopropoxy-benzenesulfonamide (45 mg, 12%). ^{1}H-NMR (400MHz, d_6-DMSO): 12.16 (bs, 1H), 8.93 (s, 1H), 8.03 (d, 2H), 7.92 (bs, 1H), 7.56 (d, 1H), 7.36 (m, 4H), 7.07 (d, 2H), 6.24 (s, 1H), 4.72 (m, 1H), 3.76 (s, 6H), 1.27 (d, 6H); MS (EI) m/z $C_{25}H_{26}N_4O_5S$: 495 (MH^+).

[0187] Examples 381-411 were synthesized proceeding as above in Example 423. In the cases where the product did not precipitate, the mixture was purified by reverse phase HPLC.

Example 381: 3-chloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-methylbenzenesulfonamide. ^{1}H-NMR (400MHz, d_6-DMSO): 12.31 (bs, 1H), 8.96 (s, 1H), 8.18 (s, 1H), 7.98 (d, 1H), 7.92 (bs, 1H), 7.58 (d, 2H), 7.43-7.33 (m, 4H), 6.24 (t, 1H), 3.76 (s, 6H), 2.39 (s, 3H); MS (EI) m/z $C_{23}H_{21}ClN_4O_4S$: 485 (MH^+).

Example 382: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)naphthalene-1-sulfonamide. MS (EI) m/z $C_{26}H_{22}N_4O_4S$: 487 (MH^+).

Example 383: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-fluorobenzenesulfonamide. MS (EI) m/z $C_{22}H_{19}FN_4O_4S$: 455 (MH^+).

Example 384: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-fluorobenzenesulfonamide. MS (EI) m/z $C_{22}H_{19}FN_4O_4S$: 455 (MH^+).

Example 385: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-(trifluoromethyl)benzenesulfonamide. MS (EI) m/z $C_{23}H_{19}F_3N_4O_4S$: 505 (MH^+).

Example 386: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-(trifluoromethyl)benzenesulfonamide. MS (EI) m/z $C_{23}H_{19}F_3N_4O_4S$: 505 (MH^+).

Example 387: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-(trifluoromethoxy)benzenesulfonamide. MS (EI) m/z $C_{23}H_{19}F_3N_4O_5S$: 521 (MH^+).

Example 388: *N*-(4-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{24}H_{23}N_5O_5S$: 494 (MH^+).

Example 389: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-fluoro-2-methylbenzenesulfonamide. MS (EI) m/z $C_{23}H_{21}FN_4O_4S$: 469 (MH^+).

Example 390: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-2-methylbenzenesulfonamide. MS (EI) m/z $C_{23}H_{22}N_4O_4S$: 451 (MH^+).

Example 391: 2-chloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z $C_{22}H_{19}ClN_4O_4S$: 471 (MH^+).

Example 392: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3,5-difluorobenzenesulfonamide. MS (EI) m/z $C_{22}H_{18}F_2N_4O_4S$: 473 (MH^+).

Example 393: 3,5-dichloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z $C_{22}H_{18}Cl_2N_4O_4S$: 505 (MH^+).

Example 394: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-fluoro-4-methylbenzenesulfonamide. MS (EI) m/z $C_{23}H_{21}FN_4O_4S$: 469 (MH^+).

Example 395: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-2-(trifluoromethyl)benzenesulfonamide. MS (EI) m/z $C_{23}H_{19}F_3N_4O_4S$: 505 (MH^+).

Example 396: 4-cyano-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z $C_{23}H_{19}N_5O_4S$: 462 (MH^+).

Example 397: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-1-phenylmethanesulfonamide. MS (EI) m/z $C_{23}H_{22}N_4O_4S$: 451 (MH^+).

Example 398: 4,5-dichloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)thiophene-2-sulfonamide. MS (EI) m/z $C_{20}H_{16}Cl_2N_4O_4S_2$: 511 ($MH^+$).

Example 399: 1-(3-chlorophenyl)-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)methanesulfonamide. MS (EI) m/z $C_{23}H_{21}ClN_4O_4S$: 485 (MH^+).

Example 400: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-2,5-dimethylthiophene-3-sulfonamide. MS (EI) m/z $C_{22}H_{22}N_4O_4S_2$: 471 (MH^+).

Example 401: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3,5-bis(trifluoromethyl)benzenesulfonamide. MS (EI) m/z $C_{24}H_{18}F_6N_4O_4S$: 573 (MH^+).

Example 402: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-fluoro-3-(trifluoromethyl)benzenesulfonamide. MS (EI) m/z $C_{23}H_{18}F_4N_4O_4S$: 523 (MH^+).

Example 403: 5-chloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-1,3-dimethyl-1H-pyrazole-4-sulfonamide. MS (EI) m/z $C_{21}H_{21}ClN_6O_4S$: 489 (MH^+).

Example 404: 5-chloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-2-methoxybenzenesulfonamide. MS (EI) m/z $C_{23}H_{21}ClN_4O_5S$: 501 (MH^+).

Example 405: 5-bromo-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-2-methoxybenzenesulfonamide. MS (EI) m/z $C_{23}H_{21}BrN_4O_5S$: 545 (MH^+).

Example 406: 2,5-dichloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)thiophene-3-sulfonamide. MS (EI) m/z $C_{20}H_{16}Cl_2N_4O_4S_2$: 511 ($MH^+$).

Example 407: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3,5-dimethylisoxazole-4-sulfonamide. MS (EI) m/z $C_{21}H_{21}N_5O_5S$: 456 (MH^+).

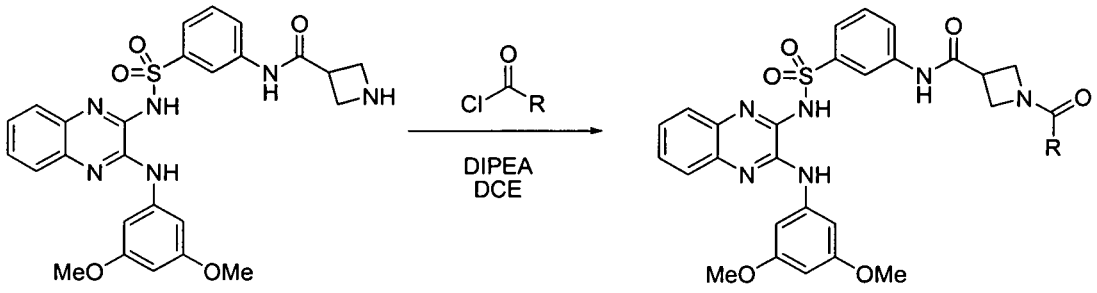
Example 408: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-2,5-dimethoxybenzenesulfonamide. MS (EI) m/z $C_{24}H_{24}N_4O_6S$: 497 (MH^+).

Example 409: 3-chloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-fluorobenzenesulfonamide. MS (EI) m/z $C_{22}H_{18}ClFN_4O_4S$: 489 (MH^+).

Example 410: 4-(difluoromethoxy)-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide MS (EI) m/z $C_{23}H_{20}F_2N_4O_5S$: 503 (MH^+).

Example 411: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-(methylsulfonyl)benzenesulfonamide. MS (EI) m/z $C_{23}H_{22}N_4O_6S_2$: 515 (MH^+).

General Acylation Procedure 2



[00331] *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-sulfamoyl)phenyl)azetidine-3-carboxamide (125 mg, 0.23 mmol), prepared using procedures similar to those described in Example 372, was dissolved into 5 mL DCE in a 10 mL round-bottom flask. DIEA (1.17 mmol, 5.0 equiv.) was then added with stirring followed by acid chloride (0.47 mmol, 2.0 equiv.). The reaction was then stirred at room temperature for 1 hour or until complete as indicated by LCMS. The solvent was subsequently removed under reduced pressure on a rotary evaporator. The crude material was then redissolved in methanol. Purification of the final product was accomplished by preparatory reverse-phase HPLC with the eluents 25 mM aqueous NH_4OAc/CAN. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μM, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.

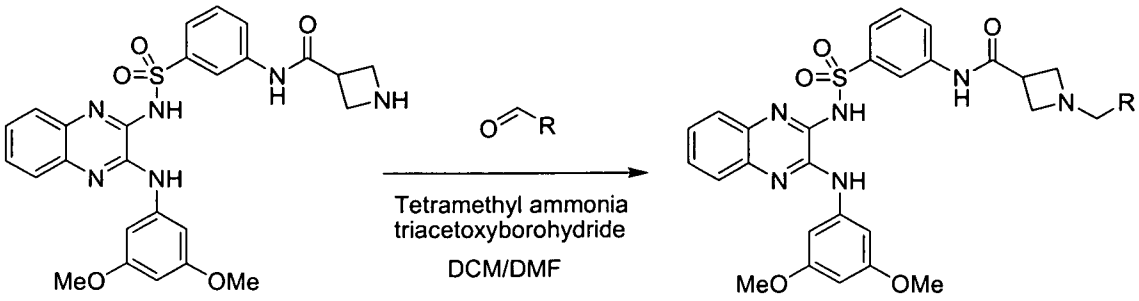
[00332] The following compounds were prepared according to **General Acylation Procedure 2.**

Example 412: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-propionylazetidine-3-carboxamide. ^{1}H-NMR (400MHz, d_6-DMSO): 12.40 (s, 1H), 10.45 (s, 1H), 8.88 (s, 1H), 8.40 (s, 1H), 7.93 (s, 1H), 7.82 (d, 1H), 7.77 (d, 1H), 7.60-7.45 (m, 2H), 7.41-7.30 (m, 4H), 6.24 (s, 1H), 4.26 (t, 1H), 4.22-4.17 (m, 1H), 3.99 (t, 1H), 3.95-3.89 (m, 1H), 3.76 (s, 6H), 3.59-3.45 (m, 1H), 2.05 (dd, 2H), 0.95 (t, 3H); MS (EI) m/z $C_{29}H_{30}N_6O_6S$: 591 (MH^+).

Example 413: 1-acetyl-*N*-(3-{[(3-{[3,5-bis(methoxy)-phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)azetidine-3-carboxamide. MS (EI) m/z $C_{28}H_{28}N_6O_6S$: 577 (MH^+).

Example 414: 1-(cyclopropanecarbonyl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. MS (EI) m/z $C_{30}H_{30}N_6O_6S$: 603 (MH^+).

General Reductive Amination Procedure 1



[00333] To a solution of *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide (110 mg, 0.19 mmol), prepared using procedures similar to those described in Example 372, in 3 mL of DCE and 200 μL of DMF, aldehyde (0.77 mmol, 4.0 eq.)was added slowly followed by tetramethylammonium triacetoxyborohydride (1.16 mmol, 6.0 eq). The reaction was stirred at room temperature overnight. LC/MS indicated the reaction was completed. The solvent was subsequently removed under reduced pressure on a rotary evaporator. The crude material was then redissolved in methanol. Purification of the final product was accomplished by preparatory reverse-phase HPLC with the eluents 25 mM aqueous NH_4OAc/CAN. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μM, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.

[00334] The following title compounds were prepared according to **General Reductive Amination Procedure 1.**

Example 415: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-ethylazetidine-3-carboxamide. ^{1}H-NMR (400MHz, d_6-DMSO): 10.29 (s, 1H), 8.82 (s, 1H), 8.25 (t, 1H), 7.75-7.68 (m, 2H), 7.43-7.38 (m, 1H), 7.375-7.340 (m, 1H), 7.338-7.310 (d, 2H), 7.305-7.262 (m, 1H), 7.15-7.08 (m, 2H), 6.56

(s, 1H), 6.15 (t, 1H), 4.15-4.08 (m, 2H), 4.06-3.95 (m, 2H), 3.78 (s, 6H), 3.65-3.56 (m, 1H), 3.12-3.04 (m, 2H), 1.03 (t, 3H); MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563 (MH^+).

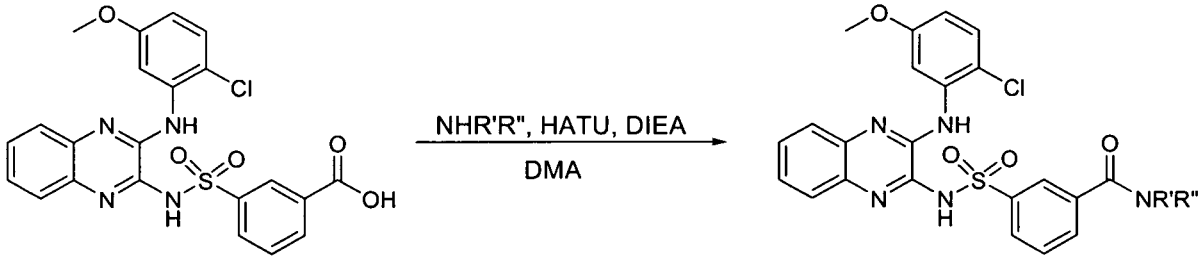
Example 416: 1-(cyclopropylmethyl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. MS (EI) m/z $C_{30}H_{32}N_6O_5S$: 589 (MH^+).

Example 417: 1-benzyl-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. MS (EI) m/z $C_{33}H_{32}N_6O_5S$: 625 (MH^+).

Example 418: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-(furan-2-ylmethyl)azetidine-3-carboxamide. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH^+).

Example 419: 1-((1H-imidazol-5-yl)methyl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. MS (EI) m/z $C_{30}H_{30}N_8O_5S$: 615 (MH^+).

General Amide Formation Procedure 1a



[00335] Into a small 1 dram vial was added 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)-quinoxalin-2-yl)sulfamoyl)benzoic acid (61 mg, 0.13 mmol, 1.1 equiv), prepared using procedures described for Example 100. The acid was dissolved in DMA (1 mL) and DIEA (42 μL, 0.24 mmol, 2 equiv) was added then added to the solution. The amine reagent (1 mL of 0.12 M solution in DMA) was added to solution with stirring followed by HATU (64 mg, 0.17 mMol, 1.4 equiv). The reaction was stirred overnight at room temperature. Upon completion as indicated by LCMS analysis, 2 mL of methanol was added to the solution. Preparative reverse-phase HPLC was used to isolate the desired product. A Waters Fractionlynx preparative reverse-phase HPLC – equipped with

a Waters SunFire Prep C18, OCD 5 μM, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile – was used to carry out the purification.

[00336] The following compounds were prepared according to **General Amide Formation Procedure 1.**

Example 420: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-(dimethylamino)propyl)benzamide. 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-(dimethylamino)propyl)benzamide: ^{1}H NMR (400 MHz, d_6-DMSO): 9.44 (s, 1H), 8.94 (s, 1H), 8.79 (t, 1H), 8.54 (s, 1H), 8.24 (d, 1H), 7.87 (d, 1H), 7.48 (m, 3H), 7.33 (d, 1H), 7.18 (m, 2H), 6.60 (dd, 1H), 3.82 (1H), 3.04 (m, 3H), 2.51 (m, 5H), 1.91 (s, 1H), 1.86 (m, 3H); MS (EI) m/z for $C_{27}H_{29}ClN_6O_4S$: 569 (MH^+).

Example 421: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1-methylazetidin-3-yl)benzamide. 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1-methylazetidin-3-yl)benzamide: ^{1}H NMR (400 MHz, d_6-DMSO): 9.43 (s, 1H), 9.23 (d, 1H), 8.94 (d, 1H), 8.58 (s, 1H), 8.29 (d, 1H), 7.89 (d, 1H), 7.56 (t, 1H), 7.47 (d, 1H), 7.44 (d, 1H), 7.33 (d, 1H), 7.18 (m, 2H), 6.60 (dd, 1H), 4.81 (m, 1H), 4.33 (m, 2H), 4.19 (m, 2H), 3.82 (s, 1H), 2.51 (s, 3H); MS (EI) m/z for $C_{26}H_{25}ClN_6O_4S$: 553 (MH^+).

Example 422: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(pyridin-4-ylmethyl)benzamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_4S$: 575 (MH^+).

Example 423: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-(dimethylamino)propyl)benzamide. MS (EI) m/z $C_{28}H_{26}ClN_7O_4S$: 592 (MH^+).

Example 424: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-(2,2-dimethylhydrazinecarbonyl)benzenesulfonamide. MS (EI) m/z $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+).

Example 425: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-methoxyethyl)benzamide. MS (EI) m/z $C_{25}H_{24}ClN_5O_5S$: 542 (MH^+).

Example 426: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-(4-methylpiperazine-1-carbonyl)benzenesulfonamide. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Example 427: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(pyrrolidin-1-yl)ethyl)benzamide. MS (EI) m/z $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Example 428: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(pyridin-4-yl)ethyl)benzamide. MS (EI) m/z $C_{29}H_{25}ClN_6O_4S$: 589 (MH^+).

Example 429: *N*-(2-(1H-imidazol-4-yl)ethyl)-3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) m/z $C_{27}H_{24}ClN_7O_4S$: 578 (MH^+).

Example 430: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-1-yl)benzamide. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Example 431: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-hydroxyethyl)benzamide. MS (EI) m/z $C_{24}H_{22}ClN_5O_5S$: 528 (MH^+).

Example 432: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-ethoxypropyl)benzamide. MS (EI) m/z $C_{27}H_{28}ClN_5O_5S$: 570 (MH^+).

Example 433: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-(pyrrolidin-1-yl)propyl)benzamide. MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

Example 434: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-(diethylamino)propyl)benzamide. MS (EI) m/z $C_{29}H_{33}ClN_6O_4S$: 597 (MH^+).

Example 435: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-(2-oxopyrrolidin-1-yl)propyl)benzamide. MS (EI) m/z $C_{29}H_{29}ClN_6O_5S$: 609 (MH^+).

Example 436: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(pyridin-2-ylmethyl)benzamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_4S$: 575 (MH^+).

Example 437: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-cyanoethyl)-*N*-methylbenzamide. MS (EI) m/z $C_{26}H_{23}ClN_6O_4S$: 551 (MH^+).

Example 438: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-cyanoethyl)-*N*-ethylbenzamide. MS (EI) m/z $C_{27}H_{25}ClN_6O_4S$: 565 (MH^+).

Example 439: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(ethylthio)ethyl)benzamide. MS (EI) m/z $C_{26}H_{26}ClN_5O_4S_2$: 572 (MH^+).

Example 440: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-propoxypropyl)benzamide. MS (EI) m/z $C_{28}H_{30}ClN_5O_5S$: 584 (MH^+).

Example 441: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(5-(diethylamino)pentan-2-yl)benzamide. MS (EI) m/z $C_{31}H_{37}ClN_6O_4S$: 625 (MH^+).

Example 442: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-methoxypropyl)benzamide. MS (EI) m/z $C_{26}H_{26}ClN_5O_5S$: 556 (MH^+).

Example 443: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-morpholinopropyl)benzamide MS (EI) m/z $C_{29}H_{31}ClN_6O_5S$: 611 (MH^+).

Example 444: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(pyridin-3-ylmethyl)benzamide MS (EI) m/z $C_{28}H_{23}ClN_6O_4S$: 575 (MH^+).

Example 445: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-cyanoethyl)benzamide. MS (EI) m/z $C_{25}H_{21}ClN_6O_4S$: 537 (MH^+).

Example 446: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1-methoxypropan-2-yl)benzamide. MS (EI) m/z $C_{26}H_{26}ClN_5O_5S$: 556 (MH^+).

Example 447: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(methylthio)ethyl)benzamide. MS (EI) m/z $C_{25}H_{24}ClN_5O_4S_2$: 558 (MH^+).

Example 448: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-(dimethylamino)propyl)-*N*-methylbenzamide. MS (EI) m/z $C_{28}H_{31}ClN_6O_4S$: 583 (MH^+).

Example 449: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-isopropoxypropyl)benzamide. MS (EI) m/z $C_{28}H_{30}ClN_5O_5S$: 584 (MH^+).

Example 450: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-*N*-ethylbenzamide. MS (EI) m/z $C_{28}H_{31}ClN_6O_4S$: 583 (MH^+).

Example 451: *N*-(3-butoxypropyl)-3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) m/z $C_{29}H_{32}ClN_5O_5S$: 598 (MH^+).

Example 452: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(diethylamino)ethyl)benzamide. MS (EI) m/z $C_{28}H_{31}ClN_6O_4S$: 583 (MH^+).

Example 453: methyl 3-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzamido)propanoate. MS (EI) m/z $C_{26}H_{24}ClN_5O_6S$: 570 (MH^+).

Example 454: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-methyl-*N*-propylbenzamide. MS (EI) m/z $C_{26}H_{26}ClN_5O_4S$: 540 (MH^+).

Example 455: ethyl 3-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzamido)propanoate. MS (EI) m/z $C_{27}H_{26}ClN_5O_6S$: 584 (MH^+).

Example 456: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(piperidin-1-yl)ethyl)benzamide. MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

Example 457: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-((1-ethylpyrrolidin-2-yl)methyl)benzamide. MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

Example 458: *N*-(2-(bis(2-hydroxyethyl)amino)ethyl)-3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) m/z $C_{28}H_{31}ClN_6O_6S$: 615 (MH^+).

Example 459: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-(3-(diethylamino)pyrrolidine-1-carbonyl)benzenesulfonamide. MS (EI) m/z $C_{30}H_{33}ClN_6O_4S$: 609 (MH^+).

Example 460: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-methyl-*N*-(1-methylpyrrolidin-3-yl)benzamide. MS (EI) m/z $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Example 461: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-(3-(dimethylamino)pyrrolidine-1-carbonyl)benzenesulfonamide. MS (EI) m/z $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Example 462: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-methyl-1-morpholinopropan-2-yl)benzamide. MS (EI) m/z $C_{30}H_{33}ClN_6O_5S$: 625 (MH^+).

Example 463: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1H-pyrrol-1-yl)benzamide. MS (EI) m/z $C_{26}H_{21}ClN_6O_4S$: 549 (MH^+).

Example 464: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-oxopyrazolidin-4-yl)benzamide. MS (EI) m/z $C_{25}H_{22}ClN_7O_5S$: 568 (MH^+).

Example 465: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-(2-((dimethylamino)methyl)piperidine-1-carbonyl)benzenesulfonamide. MS (EI) m/z $C_{30}H_{33}ClN_6O_4S$: 609 (MH^+).

Example 466: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-(2-(piperidin-1-ylmethyl)piperidine-1-carbonyl)benzenesulfonamide. MS (EI) m/z $C_{33}H_{37}ClN_6O_4S$: 649 (MH^+).

Example 467: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1-ethylpiperidin-3-yl)benzamide MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

General Amide Formation Procedure 1b

[00337] The procedure outlined in **General Amide Formation Procedure 1a** was used to incorporate a number of amines that contained a second amine group protected as the *tert*-butylcarbamate (i.e. where R', within NHR'R", contained a Boc-protected amine group). The deprotection was carried out after HPLC purification of the Boc-protected precursor.

[00338] Into a small 1 dram vial was added 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzoic acid (61 mg, 0.13 mmol, 1.1 equiv). The acid was dissolved in 1 mL of DMA and DIEA (42 μL, 0.24 mmol, 2 equiv) was added then added to the solution. The mono-Boc-protected diamine reagent (1 mL of 0.12 M solution in DMA, 1 equiv) was added to solution with stirring followed by HATU (64 mg, 0.17 mmol, 1.4 equiv). The reaction was stirred overnight at room temperature. Upon completion as indicated by LCMS analysis, 2 mL of methanol was added to the solution. Preparative reverse-phase HPLC was used to isolate the desired product directly from this crude reaction solution. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μM, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification. The product fractions were combined and concentrated to dryness under reduced pressure by rotary evaporation. A solution of 4 N HCl in dioxane (2 mL) was added. The solution was then stirred at room temperature until no starting material was detected. The deprotected product precipitated out of solution as an HCL salt and was collected by filtration, washed with ether and dried under vacuum.

[00339] The following compounds were prepared according to the above **General Amide Formation Procedure 1b.**

Example 468: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-3-yl)benzamide. 3-(*N*-(3-(2-chloro-

5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-3-yl)benzamide: ^{1}H NMR (400 MHz, d_6-DMSO): 12.82 (s, 1H), 9.12 (s, 1H), 9.04 (s, 1H), 8.85 (d, 1H), 8.65 (s, 1H), 8.55 (s, 1H), 8.18 (m, 1H), 7.98 (s, 1H), 7.69 (m, 2H), 7.43 (m, 2H), 6.69 (dd, 1H), 4.21 (s, 1H), 3.83 (s, 3H), 3.69 (m, 1H), 3.48 (m, 1H), 3.18 (s, 1H), 2.84 (q, 2H), 1.91 (s, 2H); MS (EI) m/z for $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Example 469: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-2-ylmethyl)benzamide. 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-2-ylmethyl)benzamide: NMR (400 MHz, d_6-DMSO): 12.78 (s, 1H), 9.16 (s, 1H), 9.09 (s, 1H), 8.79 (s, 1H), 8.59 (d, 2H), 8.22 (t, 2H), 7.99 (s, 1H), 7.74 (t, 1H), 7.66 (s, 1H), 7.42 (m, 2H), 6.69 (dd, 1H), 3.82 (s, 3H), 3.69 (dd, 1H), 3.57 (m, 1H), 3.50 (m, 3H), 3.22 (s, 2H), 2.82 (d, 1H), 1.68 (m, 5H); MS (EI) m/z for $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Example 470: 3-(3-aminopyrrolidine-1-carbonyl)-*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z $C_{26}H_{25}ClN_6O_4S$: 553 (MH^+).

Example 471: 3-(3-aminoazetidine-1-carbonyl)-*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z $C_{25}H_{23}ClN_6O_4S$: 539 (MH^+).

Example 472: 3-(3-aminopiperidine-1-carbonyl)-*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Example 473: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(pyrrolidin-3-yl)benzamide. MS (EI) m/z $C_{26}H_{25}ClN_6O_4S$: 553 (MH^+).

Example 474: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-(3-(methylamino)pyrrolidine-1-carbonyl)benzenesulfonamide. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Example 475: *N*-(2-aminoethyl)-3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) m/z $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+).

Example 476: 3-(4-amino-3-oxopyrazolidine-1-carbonyl)-*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) m/z $C_{25}H_{22}ClN_7O_5S$: 568 (MH^+).

Example 477

3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-((1-methylpiperidin-2-yl)methyl)benzamide

[00340] 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-2-ylmethyl)benzamide (299 mg, 0.51 mmol, 1 equiv), prepared using procedures similar to those described for Example 514, was dissolved in 2.3 mL of DMA. Formic acid (388 μL, 10.28 mmol, 20 equiv) was added to solution with stirring followed by the addition of formaldehyde (508 μL of 37% aq. solution). The reaction was then stirred at room temperature overnight. Analysis of an aliquot of the reaction mixture by LCMS indicated the complete consumption of starting material. The reaction was diluted with methanol (2 mL). Preparative reverse-phase HPLC was used to isolate the desired product directly from the crude reaction mixture. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μM, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.^{1}H NMR (400 MHz, d_6-DMSO): 9.44 (s, 1H), 8.94 (s, 1H), 8.79 (t, 1H), 8.57 (s, 1H), 8.27 (d, 1H), 7.90 (d, 1H) 7.54 (t, 1H), 7.46 (d, 1H), 7.39 (d, 1H), 7.33 (d, 1H), 7.18 (m, 2H), 6.60 (dd, 1H), 3.82 (s, 3H), 3.59 (m, 2H), 3.00 (s, 1H), 2.90 (s, 3H), 1.62 (m, 7H); MS (EI) m/z for $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

Example 478

3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1-methylpiperidin-3-yl)benzamide

[00341] The title compound was prepared using similar procedures to those used in Example 522. ^{1}H NMR (400 MHz, d_6-DMSO): 9.43 (s, 1H), 8.93 (s, 1H), 8.59 (s, 1H), 8.24 (d, 1H), 7.87 (d, 1H), 7.47 (m, 2H), 7.40 (d, 1H), 7.33 (d, 1H), 7.19 (m, 2H), 6.60 (dd, 1H), 4.21 (s, 1H), 3.82 (s, 1H), 2.76 (s, 1H), 2.50 (m, 7H), 1.91 (m, 2H), 1.63 (m, 2H); MS (EI) m/z for $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Biological Examples

Biological Example 1

PI3Kalpha Luciferase-Coupled Chemiluminescence Assay Protocol

[00342] PI3Kα activity is measured as the percent of ATP consumed following the kinase reaction using luciferase-luciferin-coupled chemiluminescence. Reactions were conducted in 384-well white, medium binding microtiter plates (Greiner). Kinase reactions were initiated by combining test compounds, ATP, substrate (PIP2), and kinase in a 20 μL volume in a buffer solution. The standard PI3Kalpha assay buffer is composed 50 mM Tris, pH 7.5, 1 mM EGTA, 10 mM $MgCl_2$, 1 mM DTT and 0.03% CHAPS. The standard assay concentrations for enzyme, ATP, and substrate are 0.5-1.1 nM, 1μM, and 7.5 μM, respectively. The reaction mixture was incubated at ambient temperature for approximately 2 h. Following the kinase reaction, a 10 μL aliquot of luciferase-luciferin mix (Promega Kinase-Glo) was added and the chemiluminescence signal measured using a Victor2 plate reader (Perkin Elmer). Total ATP consumption was limited to 40-60% and IC50 values of control compounds correlate well with literature references.

[00343] Certain compounds of the invention demonstrated the ability to bind to PI3K when tested in this assay. The following embodiments are directed to the compounds themselves as well as their use in a method of treating. For example, in one embodiment of the invention, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 8 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 4 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 3 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 2 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 1.5 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 1 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding

affinity of about 0.750 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.5 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.3 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.2 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.1 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.075 μM or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.050 μM or less.

Biological Example 2

Phospho AKT assay

[00344] PC-3 cells were seeded on 6-well plates at 150,000 cells/well. Cells were cultured for 3 days, then treated with compounds in serum-free medium for 3 hr. EGF (100 ng/ml) was added for the last 10 min. Cells were lysed in TENN buffer. Phospho T308 Akt and total Akt were quantfied by ELISA performed according to the Biosource assay protocol. The readings of phospho Akt were normalized to total Akt readings.

Biological Example 3

Phospho S6 assay

[00345] PC-3 cells were seeded on 96-well plates at 8,000 cells/well. For each experiment, cells were seeded and treated in duplicated plates: one plate for phospho S6 CellELISA, and one plate for total S6 CellELISA. Cells were cultured on the plates for 3 days, then treated with compounds in serum-free medium for 3 hr in triplicate. Cells were fixed with 4% formaldehyde, quenched with 0.6% H2O2, blocked with 5% BSA, incubated with either phospho S6 antibody or total S6 antibody overnight, incubated with goat-anti-rabbit-IgG-HRP for 1 hr, and developed in chemiluminescent substrate.

Biological Example 4

PIP_3 assay

[00346] MCF-7 cells grown in 10-cm dishes were starved for 3 hours in DMEM, and then treated with compounds for 20 minutes. In the last 2 minutes of the incubation with the compounds, EGF (100 ng/ml) was added to stimulate the production of PIP3. The medium was aspirated and the cells were scraped with 10% trichloroacetic acid. The lipids were extracted from the pellet after the cell lysates were centrifuged. PIP3 in the cellular lipid extraction was quantified with the AlphaScreen [Registered TM of PerkinElmer] assay in which Grp1-PH is used as the PIP3 specific probe. The amount of cellular PIP3 was calculated from the standard curve of diC_8 PI (3,4,5) P3.

Biological Example 5-10

In vivo models

[00347] Compound A is a Compound of Formula I. Compound B is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine.

[00348] Female and male athymic nude mice (NCr) 5-8 weeks of age and weighing approximately 20-25 g were used in the following model. Prior to initiation of a study, the animals were allowed to acclimate for a minimum of 48 h. During these studies, animals were provided food and water ad libitum and housed in a room conditioned at 70-75°F and 60% relative humidity. A 12 h light and 12 h dark cycle was maintained with automatic timers. All animals were examined daily for compound-induced or tumor-related deaths.

[00349] PC-3 human prostate adenocarcinoma cells were cultured in vitro in DMEM (Mediatech) supplemented with 20% Fetal Bovine Serum (Hyclone), Penicillin-Streptomycin and non-essential amino acids at 37 °C in a humidified 5% CO_2 atmosphere. On day 0, cells were harvested by trypsinization and $3x10^6$ cells (passage 13, 99% viability) in 0.1 mL of ice-cold Hank's balanced salt solution were implanted subcutaneously into the hindflank of 5-8 week old male nude mice. A transponder was implanted in each mouse for identification, and animals were monitored daily for clinical symptoms and survival. Body weights were recorded daily. Experiments were conducted

with Compound A as a single agent as well as Compound A in combination with Taxol and Compound A in combination with Rapamycin. This model can be used to assess the desirability of treating with Compound A in combination with other anti-cancer agents.

[00350] U-87 MG human glioblastoma cells were cultured in vitro in DMEM (Mediatech) supplemented with 10% Fetal Bovine Serum (Hyclone), Penicillin-Streptomycin and non-essential amino acids at 37°C in a humidified 5% CO_2 atmosphere. On day 0, cells were harvested by trypsinization and $2x10^6$ cells (passage 5, 96% viability) in 0.1 mL of ice-cold Hank's balanced salt solution were implanted intradermally into the hindflank of 5-8 week old female nude mice. A transponder was implanted in each mouse for identification, and animals were monitored daily for clinical symptoms and survival. Body weights were recorded daily. Experiments were conducted with Compound A as a single agent and the results are not included. This model can be used to assess the desirability of treating with Compound A in combination with other anti-cancer agents.

[00351] A549 human lung carcinoma cells were cultured in vitro in DMEM (Mediatech) supplemented with 10% Fetal Bovine Serum (Hyclone), Penicillin-Streptomycin and non-essential amino acids at 37°C in a humidified 5% CO_2 atmosphere. On day 0, cells were harvested by trypsinization and $10x10^6$ cells (passage 12, 99% viability) in 0.1 mL of ice-cold Hank's balanced salt solution were implanted intradermally into the hindflank of 5-8 week old female nude mice. A transponder was implanted in each mouse for identification, and animals were monitored daily for clinical symptoms and survival. Body weights were recorded daily. Experiments were conducted with Compound A as a single agent as well as Compound A in combination with Compound B. This model can be used to assess the desirability of treating with Compound A in combination with other anti-cancer agents.

[00352] MDA-MB-468 human breast adenocarcinoma cells, passage number <6, were maintained and propagated in log-phase growth in Dulbecco's Modification of Eagles's Medium (DMEM; Mediatech) containing L-Glutamine supplemented with 10% Fetal Bovine Serum (Hyclone), Penicillin-Streptomycin and non-essential amino acids at 37 °C in a humidified, 5% CO_2 atmosphere. On day 0, cells were harvested by trypsinization, and 10×10^6 cells (passage 10, 98% viability) in 50% cold Hanks balanced salt

solution/50% Matrigel (100 μL total volume per mouse) were implanted subcutaneously into the mammary fat pads of female nude mice. Experiments were conducted with Compound A as a single agent as well as Compound A in combination with erlotinib. This model can be used to assess the desirability of treating with Compound A in combination with other anti-cancer agents.

[00353] Calu-6 human lung anaplastic carcinoma cells were cultured in vitro in DMEM (Mediatech) supplemented with 10% Fetal Bovine Serum (Hyclone), Penicillin-Streptomycin and non-essential amino acids at 37 °C in a humidified, 5% CO_2 atmosphere. On day 0, cells were harvested by trypsinization, and $5x10^6$ cells (passage #8, 96% viability) in 0.1 mL ice-cold Hank's balanced salt solution were implanted intradermally in the hind-flank of 5-8 week old female athymic nude mice. A transponder was implanted in each mouse for identification, and animals were monitored daily for clinical symptoms and survival. Body weights were recorded daily. Experiments were conducted with Compound A as a single agent as well as Compound A in combination with carboplatin. This model can be used to assess the desirability of treating with Compound A in combination with other anti-cancer agents.

[00354] MCF7 human mammary adenocarcinoma cells were cultured in vitro in DMEM (Cellgro) supplemented with 10% Fetal Bovine Serum (Cellgro), Penicillin-Streptomycin and non-essential amino acids at 37 °C in a humidified 5% CO_2 atmosphere. On day 0, cells were harvested by trypsinization, and 5×10^6 cells (passage 10 and 95.4% viability for Study 1, passage 9 and 90% viability for Study 2) in 100 μL of a solution made of 50% cold Hanks balanced salt solution with 50% growth factor reduced matrigel (R&D Systems for Study 1 and Becton Dickinson for Study 2) implanted subcutaneously into the hindflank of female nude mice.

[00355] For subcutaneous or intradermal tumors, the mean tumor weight of each animal in the respective control and treatment groups was determined twice weekly during the study. Tumor weight (TW) was determined by measuring perpendicular diameters with a caliper, using the following formula:

$$\text{tumor weight (mg)} = [\text{tumor volume} = \text{length (mm)} \times \text{width}^2 (\text{mm}^2)]/2$$

These data were recorded and plotted on a tumor weight vs. days post-implantation line graph and presented graphically as an indication of tumor growth rates. Percent inhibition of tumor growth (TGI) is determined with the following formula:

$$\left[1 - \left[\frac{(X_f - X_0)}{(Y_f - X_0)}\right]\right] * 100$$

where X_0 = average TW of all tumors on group day

X_f = TW of treated group on Day f

Y_f = TW of vehicle control group on Day f

If tumors regress below their starting sizes, then the percent tumor regression is determined with the following formula:

$$\left[\frac{(X_0 - X_f)}{X_0}\right] * 100$$

Tumor size is calculated individually for each tumor to obtain a mean ± SEM value for each experimental group. Statistical significance is determined using the 2-tailed Student's t-test (significance defined as $P<0.05$).

Biological Examples 11-16

[00356] Compound A is a Compound of Formula I and is an inhibitor of class I PI3-kinases. Compound B is *N*-(3,4-dichloro-2-fluorophenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-methyloctahydrocyclopenta-[*c*]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine.

Prostate Cancer Xenograft Model – A Compound of Formula I in Combination with Taxol

[00357] Compound A was tested alone and in combination with taxol in a prostate carcinoma tumor model. PC-3 is a human prostate carcinoma cell line that harbors a homozygous deletion mutation in PTEN, which results in constitutive activation of the PI3K pathway. In single-dose pharmacodynamic experiments, oral administration of

Compound A results in a dose-dependent decrease in the phosphorylation of AKT, p70S6K, and S6 in PC-3 tumors grown ectopically in mice. Repeat-dose administration of a Compound A also inhibits the growth of these tumors, but does not induce regressions.

[00358] Oral administration of Compound A at 100mg/kg qd or 300 mg/kg biweekly (biw) resulted in substantial tumor growth inhibition in mice. See Figure 1. Comparable tumor growth inhibition was achieved with 7.5 mg/kg taxol administered i.v. twice weekly. While tumor growth was inhibited substantially with Compound A alone, the combination of either dose ofCompound A with taxol was superior to either agent alone and induced significant regression of the tumors. Body weight loss and dose skipping was minimal in all groups, and was not exacerbated in the combination group indicating that the combination was well tolerated. These results support the use of a Compound of Formula I in combination with taxol in tumors with constitutively activated PI3K signaling.

Prostate Cancer Xenograft Model – A Compound of Formula I in Combination with Rapamycin

[00359] Compound A was tested alone and in combination with rapamycin in a prostate carcinoma tumor model (PC-3 cell line). Oral administration of Compound A at 100mg/kg qd resulted in substantial tumor growth inhibition. See Figure 2. Comparable tumor growth inhibition was achieved with 5 mg/kg rapamycin administered i.p. daily. While tumor growth was inhibited substantially with Compound A alone, the combination of Compound A with rapamycin was superior to either agent alone and induced significant regression of the tumors. Body weight loss and dose skipping was minimal with each agent alone, but body weight loss was exacerbated in the combination group necessitating dose skipping. The fact that tumor regression was observed despite dose skipping suggests that using an intermittent dosing schedule would maintain efficacy and improve tolerability. These results support the use of a Compound of Formula I in combination with rapamycin in tumors with constitutively activated PI3K signaling.

Non-Small Cell Lung Cancer Xenograft Model – A Compound of Formula I in Combination with Carboplatin

[00360] Compound A was tested both as a single agent and in combination with carboplatin in a NSCLC tumor model.

[00361] Calu-6 is a human NSCLC cell line that harbors a heterozygous activating mutation in K-Ras (Q61K). Oral administration of a Compound A at 100mg/kg qd or 300 mg/kg every fourth day (q4d) to mice bearing Calu-6 tumors resulted in substantial tumor growth inhibition. See Figure 3. Both dose schedules resulted in similar inhibition of tumor growth. Significant tumor growth inhibition was also observed with 50 mg/kg carboplatin administered i.v. q4d, but was not as pronounced as with Compound A. While tumor growth was inhibited substantially with Compound A alone, the combination of the two agents was superior to either agent alone and resulted in almost complete inhibition of tumor growth. Body weight loss and dose skipping was minimal in all groups, and was not exacerbated in the combination group indicating that the combination was well tolerated. These results support the use of a Compound of Formula I both as a single agent and in combination with platins in tumors with activating mutations in K-Ras.

Non-small Cell Lung Cancer Xenograft Model – A Compound of Formula I in Combination with Compound B

[00362] Compound A was tested both as a single agent and in combination with Compound B. The A549 human non-small cell lung carcinoma cell line harbors a homozygous stop mutation in the gene encoding LKB1, and an activating G12S mutation in K-Ras, promoting activation of both PI3K and mTOR. A549 cells also express wild-type EGFR.

[00363] As a single agent, Compound B, an inhibitor of EGFR, was orally administered once-daily at 30 mg/kg and Compound A was orally administered either at 30 mg/kg qd or 100 mg/kg q2d. Combination therapies consisted of Compound B with Compound A at 30 mg/kg or 100 mg/kg. Administration of each agent in the combination groups was separated by 6 h. Single agent administration of Compound B for 18 days caused a significant tumor growth inhibition of 80%. See Figure 4a. A significant tumor growth inhibition of 96% was observed with Compound A at 100 mg/kg q2d, whereas

Compound A at 30 mg/kg qd lead to a lower but still significant TGI of 76%. The combination of Compound B 30 mg/kg qd with Compound A 30 mg/kg qd or with Compound A 100 mg/kg q2d resulted in significant efficacy associated with 15% and 39% regression, respectively, which was significantly higher than either of the single agent treatments alone.

[00364] As a single agent Compound B dosed at 30 mg/kg qd was generally well tolerated, with a body weight loss of 1.5-6.9% and no dose omission. Administration of Compound A dosed at 30 mg/kg qd was well tolerated with 4 doses skipped at the beginning of the study, which were not compound-related. Compound A dosed at 100 mg/kg q2d was also well tolerated with 1 dose skipped at the beginning of the study, which was not compound-related. The combination of Compound B at 30 mg/kg qd with Compound A at 30 mg/kg qd was fairly well tolerated with body weight loss of 1 to 8% and 2 doses skipped). However, the combination of Compound B at 30 mg/kg qd with Compound A at 100 mg/kg q2d was associated with body weight loss of 2 to 11% and 13 doses skipped within the first 10 days; however, body weights at the end of the study were not significantly different from the vehicle-treated control group. Single agent administration of Compound B and Compound A were well tolerated with minimal dose skipping. When administered in combination, minor body weight loss was observed that necessitated dose skipping primarily in the 100 mg/kg q2d group.

Breast Cancer Xenograft Model – A Compound of Formula I in Combination with Compound B

[00365] Compound A was tested both as a single agent and in combination with Compound B, an EGFR inhibitor, in a breast tumor model. The MCF7 human breast carcinoma cell line harbors a heterozygous, activating mutation in PI3K (PI3KCA/E545K) and expresses wild-type EGFR.

[00366] Compound B was administered orally once-daily (qd) at 30 mg/kg, and Compound A was administered once-daily at 30 mg/kg or once every other day (q2d) at 100 mg/kg. Combination therapies consisted of Compound B together with Compound A at 30 mg/kg qd or 100 mg/kg q2d. Single agent administration of Compound B at 30 mg/kg qd for 14 days caused a tumor growth inhibition of 38%-61%. See Figures 4b-1 and 4b-2. A significant tumor growth inhibition of 83%-91% was observed with

Compound A at 100 mg/kg q2d, whereas Compound A at 30 mg/kg qd lead to a lower but still significant TGI of 57%. The combination of Compound B at 30 mg/kg qd with Compound A at 100 mg/kg q2d resulted in a significant efficacy associated with 16-22% regression, which was significantly higher than either of the single agent treatments alone. Combining Compound B at 30 mg/kg qd with Compound A at 30 mg/kg qd lead to a lower but still significant tumor growth inhibition of 66%, but did not add any benefit to the anti-tumor efficacy of the single treatments.

[00367] As a single agent Compound B dosed at 30 mg/kg qd was generally well tolerated, with a non significant final body weight loss of 4.5 to 6.1% and 7 to 13 dose omissions. Administration of Compound A at 30 mg/kg qd and 100 mg/kg q2d was well tolerated with minimal dose skipping and body weight loss. The combination of Compound B at 30 mg/kg qd with Compound A at 30 mg/kg qd lead to a body weight loss of 4 to 13% throughout the study and 14 doses skipped mostly within the first 9 days. The combination of Compound B at 30 mg/kg qd with Compound A at 100 mg/kg q2d was associated with a body weight loss of 3.7 to 13% throughout the study and 20 to 32 dose omissions.

Breast Cancer Xenograft Model – A Compound of Formula I in Combination with Erlotinib

[00368] Compound A was tested both as a single agent and in combination with erlotinib, in an erolitinib-resistant tumor model with elevated PI3K signaling.

[00369] MDA-MB-468 is a human breast carcinoma cell line that has an increase in the copy number of the EGFR gene and a homozygous deletion of PTEN. In vitro treatment of these cells with EGFR inhibitors such as erlotinib inhibits EGFR activity but fails to downregulate the PI3K pathway. Oral administration of erlotinib at 100 mg/kg qd to mice bearing MDA-MB-468 tumors resulted in significant but incomplete tumor growth inhibition. See Figure 5. Oral administration of Compound A at 100mg/kg qd resulted in a similar level of tumor growth inhibition. While tumor growth was inhibited substantially with Compound A alone, the combination of the two agents was superior to either agent alone and resulted in a regression of the tumors.

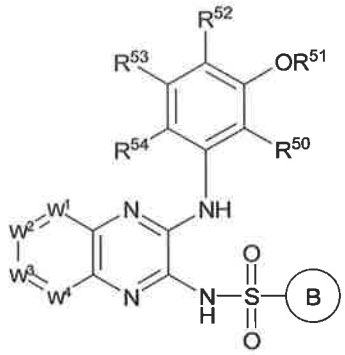
[00370] Mice administered Compound A at 100 mg/kg qd exhibited a modest (~3%) loss in body weight comparable to vehicle controls. Mice administered erlotinib exhibited

an apparent decrease in their rate of body weight gain relative to vehicle controls. Coadministration with erlotinib resulted in a substantial loss in body weight in mice treated with Compound A (19% body weight loss from start of dosing). Consistent with these data, only minimal dose-skipping was required when Compound A was administered as monotherapy (1-3 doses skipped), but substantial dose-skipping was required for Compound A when erlotinib was coadministered. The fact that tumor regression was observed despite dose skipping suggests that use of an intermittent dosing schedule could maintain efficacy and improve tolerability. These results support the use of a Compound of Formula I in combination with erlotinib in tumors expressing EGF receptors and harboring PTEN deletions.

[00371] The foregoing invention has been described in some detail by way of illustration and example, for purposes of clarity and understanding. It will be obvious to one of skill in the art that changes and modifications may be practiced within the scope of the appended claims. Therefore, it is to be understood that the above description is intended to be illustrative and not restrictive. The scope of the invention should, therefore, be determined not with reference to the above description, but should instead be determined with reference to the following appended claims, along with the full scope of equivalents to which such claims are entitled. All patents, patent applications and publications cited in this application are hereby incorporated by reference in their entirety for all purposes to the same extent as if each individual patent, patent application or publication were so individually denoted.

Claims

1. A method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a compound of Formula I:



I

or a single isomer thereof where the compound is optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof; or administering a pharmaceutical composition comprising a therapeutically effective amount of a Compound of Formula I and at least one of a pharmaceutically acceptable carrier, excipient, or diluent in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more of the hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation where the Compound of Formula I is that wherein:

W^1, W^2, W^3, and W^4 are $-C(R^1)=$; or one or two of W^1, W^2, W^3, and W^4 are independently $-N=$ and the remaining are $-C(R^1)=$; and where each R^1 is independently hydrogen, alkyl, haloalkyl, nitro, alkoxy, haloalkoxy, halo, hydroxy, cyano, amino, alkylamino, or dialkylamino;

R^{51} is hydrogen or alkyl;

R^{52} is hydrogen or halo;

R^{50}, R^{53}, and R^{54} are independently hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, $-N(R^{55})C(O)-C_1-C_6$-alkylene-$N(R^{55a})R^{55b}$, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, $-S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino and where R^{55} and R^{55b} are indepedently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; or R^{53} and R^{54}

together with the carbons to which they are attached form a 5- or 6-membered heteroaryl or 5- or 6-membered heterocycloalkyl;

B is phenyl substituted with R^{3a} and optionally further substituted with one, two, or three R^3; or

B is heteroaryl optionally substituted with one, two, or three R^3;

R^{3a} is cyano; hydroxyamino; carboxy; alkoxycarbonyl; alkylamino; dialkylamino; alkylcarbonyl; haloalkoxy; alkylsulfonyl; aminoalkyloxy; alkylaminoalkyloxy; dialkylaminoalkyloxy; or

a) $-N(R^7)C(O)-C_1-C_6$-alkylene-$N(R^{7a})(R^{7b})$ where R^7 is hydrogen, alkyl, or alkenyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, alkenyl, hydroxyalkyl, haloalkyl, alkoxy, alkoxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, arylalkyl, or arylalkyloxy and where the aryl, cycloalkyl, heterocycloalkyl and heteroaryl rings in R^{7a} and R^{7b} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, amino, alkylamino, dialkylamino, hydroxy, halo, alkoxy, alkylthio, and oxo);

b) $-C(O)NR^8R^{8a}$ where R^8 is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{8a} is hydrogen, alkyl, alkenyl, hydroxyalkyl, cyanoalkyl, alkoxyalkyl, alkylthioalkyl, heterocycloalkyl, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl and where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{8a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxy, hydroxyalkyl, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkoxycarbonyl, and -C(O)H;

c) $-NR^9C(O)R^{9a}$ where R^9 is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{9a} is hydrogen, C_2-C_6-alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl,

heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl; where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{9a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, hydroxy, hydroxyalkyl, halo, haloalkyl, haloalkoxy, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, alkoxycarbonyl, -C(O)H, aryl (optionally substituted with one or two halo), arylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl, heterocycloalkylalkyl, cyloalkyl, cyloalkylalkyl, and cycloalkylcarbonyl;

d) $-C(O)N(R^{10})-C_1-C_6$-alkylene-$N(R^{10a})R^{10b}$ where R^{10a} is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, or hydroxyalkyl and R^{10} and R^{10b} are independently hydrogen, alkyl, alkenyl, haloalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, or hydroxyalkyl;

e) $-NR^{11}C(O)NR^{11a}R^{11b}$ where R^{11a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy and R^{11} and R^{11b} are independently hydrogen, alkyl, alkenyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;

f) $-C(O)R^{12}$ where R^{12} is heterocycloalkyl optionally substituted with 1, 2, or 3 groups selected from alkyl, oxo, amino, alkylamino, and heterocycloalkylalkyl;

g) $-NR^{13}C(O)OR^{13a}$ where R^{13} is hydrogen, alkyl, or alkenyl and R^{13a} is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aryl, or arylalkyl;

h) $-C(O)N(R^{14})N(R^{14a})(R^{14b})$ where R^{14}, R^{14a}, and R^{14b} are independently hydrogen, alkyl, or alkenyl;

i) $-S(O)_2N(R^{15})-C_1-C_6$-alkylene-$N(R^{15a})R^{15b}$ where R^{15}, R^{15a}, and R^{15b} are independently hydrogen, alkyl, or alkenyl;

j) $-C(O)N(R^{16})-C_1-C_6$-alkylene-$C(O)OR^{16a}$ where R^{16} is hydrogen, alkyl, or alkenyl and R^{16a} is alkyl or alkenyl;

k) heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;

l) $-N(R^{17})-C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$ where R^{17}, R^{17a}, R^{17b}, R^{17c}, and R^{17d} are independently hydrogen, alkyl, or alkenyl;

m) $-N(R^{18})C(O)-C_1-C_6$-alkylene-$N(R^{18b})C(O)R^{18a}$ where R^{18a} is hydrogen, alkyl, alkenyl, or alkoxy and R^{18} and R^{18b} are independently hydrogen, alkyl, or alkenyl;

n) $-C(O)N(R^{19})-C_1-C_6$-alkylene-$C(O)R^{19a}$ where R^{19} is hydrogen, alkyl, or alkenyl and R^{19a} is amino, alkylamino, dialkylamino, or heterocycloalkyl;

o) $-N(R^{20})C(O)-C_1-C_6$-alkylene-$C(O)R^{20a}$ where R^{20} is hydrogen, alkyl, or alkenyl and R^{20a} is cycloalkyl or heterocycloalkyl;

p) $-NR^{21}S(O)_2-C_1-C_6$-alkylene-$N(R^{21b})R^{21a}$ where R^{21} is hydrogen, alkyl, or alkenyl and R^{21a} and R^{21b} are independently hydrogen, alkyl, or alkenyl;

q) $-N(R^{22})C(O)-C_1-C_6$-alkylene-$N(R^{22b})-N(R^{22c})(R^{22a})$ where R^{22}, R^{22a} and R^{22b} are independently hydrogen, alkyl, or alkenyl;

r) $-C_0-C_6$-alkylene-$N(R^{23})-C_1-C_6$-alkylene-$N(R^{23b})R^{23a}$ where R^{23}, R^{23a} and R^{23b} are independently hydrogen, alkyl, or alkenyl; or

s) $-NR^{24}C(O)-C_1-C_6$-alkylene-OR^{24a} where R^{24} is hydrogen, alkyl, or alkenyl and R^{24a} is alkoxyalkyl or aryl optionally substituted with one or two halo or alkyl; and

where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and

each R^3 (when R^3 is present) is independently alkyl; alkenyl; alkynyl; halo; hydroxy; oxo; alkoxy; cyano; hydroxyamino; carboxy; alkoxycarbonyl; amino; alkylamino; dialkylamino; alkylcarbonyl; haloalkoxy; alkylsulfonyl; aminoalkyloxy; alkylaminoalkyloxy; dialkylaminoalkyloxy; or

a) $-N(R^7)C(O)-C_1-C_6$-alkylene-$N(R^{7a})(R^{7b})$ where R^7 is hydrogen, alkyl, or alkenyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, alkenyl, hydroxyalkyl, haloalkyl, alkoxy, alkoxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, arylalkyl, or arylalkyloxy and where the aryl, cycloalkyl, heterocycloalkyl and heteroaryl rings in R^{7a} and R^{7b} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently

selected from alkyl, amino, alkylamino, dialkylamino, hydroxy, halo, alkoxy, alkylthio, and oxo);

b) $-C(O)NR^8R^{8a}$ where R^8 is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{8a} is hydrogen, alkyl, alkenyl, hydroxyalkyl, cyanoalkyl, alkoxyalkyl, alkylthioalkyl, heterocycloalkyl, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl and where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{8a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxy, hydroxyalkyl, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkoxycarbonyl, and -C(O)H;

c) $-NR^9C(O)R^{9a}$ where R^9 is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{9a} is hydrogen, C_2-C_6-alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl; where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{9a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, hydroxy, hydroxyalkyl, halo, haloalkyl, haloalkoxy, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, alkoxycarbonyl, -C(O)H, aryl (optionally substituted with one or two halo), arylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl, heterocycloalkylalkyl, cyloalkyl, cyloalkylalkyl, and cycloalkylcarbonyl;

d) $-C(O)N(R^{10})$-C_1-C_6-alkylene-$N(R^{10a})R^{10b}$ where R^{10a} is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or hydroxyalkyl and R^{10} and R^{10b} are independently hydrogen, alkyl, alkenyl, haloalkyl, or hydroxyalkyl;

e) $-NR^{11}C(O)NR^{11a}R^{11b}$ where R^{11a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy and R^{11} and R^{11b} are independently hydrogen, alkyl, alkenyl, aminoalkyl, alkylaminooalkyl, dialkylaminoalkyl;

f) $-C(O)R^{12}$ where R^{12} is heterocycloalkyl optionally substituted with 1, 2, or 3 groups selected from alkyl, oxo, amino, alkylamino, and heterocycloalkylalkyl;

g) $-NR^{13}C(O)OR^{13a}$ where R^{13} is hydrogen, alkyl, or alkenyl and R^{13a} is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aryl, or arylalkyl);

h) $-C(O)N(R^{14})N(R^{14a})(R^{14b})$ where R^{14}, R^{14a}, and R^{14b} are independently hydrogen, alkyl, or alkenyl;

i) $-S(O)_2N(R^{15})$-C_1-C_6-alkylene-$N(R^{15a})R^{15b}$ where R^{15}, R^{15a}, and R^{15b} are independently hydrogen, alkyl, or alkenyl;

j) $-C(O)N(R^{16})$-C_1-C_6-alkylene-$C(O)OR^{16a}$ where R^{16} is hydrogen, alkyl, or alkenyl and R^{16a} is alkyl or alkenyl;

k) heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;

l) $-N(R^{17})-C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$ where R^{17}, R^{17a}, R^{17b}, R^{17c}, and R^{17d} are independently hydrogen, alkyl, or alkenyl;

m) $-N(R^{18})C(O)$-C_1-C_6-alkylene-$N(R^{18b})C(O)R^{18a}$ where R^{18a} is hydrogen, alkyl, alkenyl, or alkoxy and R^{18} and R^{18b} are independently hydrogen, alkyl, or alkenyl;

n) $-C(O)N(R^{19})$-C_1-C_6-alkylene-$C(O)R^{19a}$ where R^{19} is hydrogen, alkyl, or alkenyl and R^{19a} is amino, alkylamino, dialkylamino, or heterocycloalkyl;

o) $-N(R^{20})C(O)$-C_1-C_6-alkylene-$C(O)R^{20a}$ where R^{20} is hydrogen, alkyl, or alkenyl and R^{20a} is cycloalkyl or heterocycloalkyl;

p) $-NR^{21}S(O)_2$-C_1-C_6-alkylene-$N(R^{21b})R^{21a}$ where R^{21} is hydrogen, alkyl, or alkenyl and R^{21a} and R^{21b} are independently hydrogen, alkyl, or alkenyl;

q) $-N(R^{22})C(O)$-C_1-C_6-alkylene-$N(R^{22b})$-$N(R^{22c})(R^{22a})$, where R^{22}, R^{22a} and R^{22b} are independently hydrogen, alkyl, or alkenyl;

r) $-C_0$-C_6-alkylene-$N(R^{23})$-C_1-C_6-alkylene-$N(R^{23b})R^{23a}$ where R^{23}, R^{23a} and R^{23b} are independently hydrogen, alkyl, or alkenyl; or

s) $-NR^{24}C(O)$-C_1-C_6-alkylene-OR^{24a} where R^{24} is hydrogen, alkyl, or alkenyl and R^{24a} is alkoxyalkyl or aryl optionally substituted with one or two halo or alkyl;

wherein each of the alkylene in R^3 is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and

provided that when R^{50} and R^{52} are hydrogen, R^{51} is hydrogen or methyl, R^{53} is hydrogen or methoxy, and R^{54} is hydrogen or methoxy, then B is not 2,3-dihydro-1,4-benzodioxinyl, thien-2-yl, or thien-2-yl substituted with one R^3 where R^3 is halo.

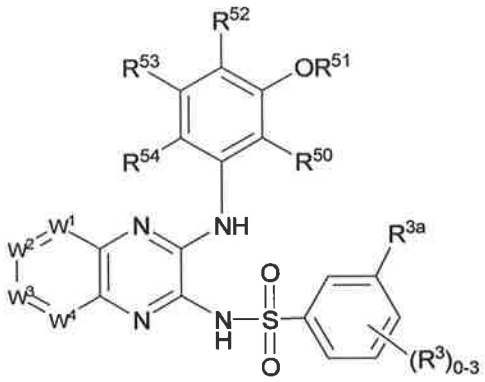
2. The method of Claim 1 where the cancer is selected from breast cancer, colon cancer, rectal cancer, endometrial cancer, gastrointestinal carcinoid tumors, gastrointestinal stromal tumors, glioblastoma, hepatocellular carcinoma, small cell lung cancer, non-small cell lung cancer, melanoma, ovarian cancer, cervical cancer, pancreatic cancer, prostate carcinoma, acute myelogenous leukemia, chronic myelogenous leukemia, non-Hodgkin's lymphoma, and thyroid carcinoma.

3. The method of Claim 1 or 2 where the treatment is one chemotherapeutic agent and the chemotherapeutic agent is a platin.

4. The method of Claim 1 or 2 where the treatment is one chemotherapeutic agent and the chemotherapeutic agent is a taxane.

5. The method of Claim 1 or 2 where the treatment is one chemotherapeutic agent and the chemotherapeutic agent is rapamycin or a rapamycin analogue.

6. The method of Claim 1 where the Compound of Formula I is according to Formula I(a)



I(a)

where

W^1, W^2, W^3, and W^4 are $-C(R^1)=$; or one or two of W^1, W^2, W^3, and W^4 are independently $-N=$ and the remaining are $-C(R^1)=$; and where each R^1 is independently hydrogen, alkyl, haloalkyl, nitro, alkoxy, haloalkoxy, halo, hydroxy, cyano, amino, alkylamino, or dialkylamino;

R^{51} is hydrogen or alkyl;

R^{52} is hydrogen or halo;

R^{50}, R^{53}, and R^{54} are independently hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, $-N(R^{55})C(O)-C_1-C_6$-alkylene-$N(R^{55a})R^{55b}$, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, $-S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino and where R^{55} and R^{55b} are indepedently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; or R^{53} and R^{54} together with the carbons to which they are attached form a 5- or 6-membered heteroaryl or 5- or 6-membered heterocycloalkyl;

R^3 is not present or R^3 is alkyl; and

R^{3a} is $-N(R^7)C(O)-C_1-C_6$-alkylene-$N(R^{7a})(R^{7b})$, $-C(O)NR^8R^{8a}$, $-NR^9C(O)R^{9a}$, or $-C(O)N(R^{10})-C_1-C_6$-alkylene-$N(R^{10a})R^{10b}$; where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and

where the compound is optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

7. The method of Claim 1 or 2 where the Compound of Formula I is selected from:

N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2,5-dimethylthiophene-3-sulfonamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2,5-dichlorothiophene-3-sulfonamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-chloropyridine-3-sulfonamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3,5-dimethylisoxazole-4-sulfonamide;

N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-5-chloro-1,3-dimethyl-1*H*-pyrazole-4-sulfonamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-methyl-*N*-propylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylbutanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-methylbutanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pent-4-enamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)propanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)butanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,2-dimethylpropanamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)-1-methylethyl]benzamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-N^2-methylglycinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]benzamide;
5-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]-2-fluorobenzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-pyrrolidin-3-ylbenzamide;
3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]benzamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-pyrrolidin-1-ylethyl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide;
N-(2-chloro-5-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methylglycinamide;
2-(dimethylamino)-*N*-(3-(*N*-(3-(3-(2-(dimethylamino)acetamido)-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-L-alaninamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-N^2,N^2-dimethylglycinamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-2-methylalaninamide;
N-(2-aminoethyl)-3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-alaninamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-

(dimethylamino)ethyl]-*N*-methylbenzamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylalaninamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-D-alaninamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methylglycinamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methylglycinamide;
N-(3-{[(3-{[2-acetyl-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2,N^2-dimethylglycinamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-L-alaninamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-ethylglycinamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-alaninamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(piperidin-2-ylmethyl)benzamide;
N-(5-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-2-methylphenyl)glycinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1-methylazetidin-3-yl)benzamide;
2-azetidin-1-yl-*N*-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-L-prolinamide;
N-(3-{[(3-{[2-bromo-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methylglycinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-piperidin-1-ylethyl)benzamide;
N^2,N^2-dimethyl-*N*-(3-{[(3-{[6-(methyloxy)quinolin-8-yl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(diethylamino)ethyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-L-alaninamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylalaninamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)-N^2,N^2-dimethylglycinamide;
3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]-*N*-methylbenzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1-methylpiperidin-

3-yl)benzamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-D-alaninamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-L-prolinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-piperidin-3-ylbenzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[(1-methylpiperidin-2-yl)methyl]benzamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-cyanobenzenesulfonamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[2-(dimethylamino)ethyl]-N^2-methylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-serinamide;
(2S)-2-amino-*N*-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)butanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)azetidine-3-carboxamide;
N-{2-[bis(2-hydroxyethyl)amino]ethyl}-3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1-ethylpiperidin-3-yl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[2-(dimethylamino)ethyl]-N^2-methylglycinamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2,N^2-dimethylglycinamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2,2-dimethylalaninamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-D-alaninamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzamide;
3-[(3-aminopyrrolidin-1-yl)carbonyl]-*N*-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide;
N-(3-{[(3-{[2-bromo-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2,N^2-dimethylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-propylglycinamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-L-alaninamide;
N-(5-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-2-methylphenyl)-beta-alaninamide;
5-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]-2-(methyloxy)benzamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(hydroxyamino)benzenesulfonamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)piperidine-3-

carboxamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-{[3-(methylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzoicacid;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-morpholin-4-ylethyl)benzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[(1-ethylpyrrolidin-2-yl)methyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-methyl-1,4-diazepan-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2,N^2-dimethylglycinamide;
3-[(4-amino-3-oxopyrazolidin-1-yl)carbonyl]-*N*-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide;
(2S)-2-amino-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)butanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-hydroxypropyl)glycinamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-fluoroethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[(2-methylpropyl)oxy]glycinamide;
1-amino-*N*-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopropanecarboxamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(cyclopropylmethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-L-alaninamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-prolinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-methylbenzamide;
3-[(3-aminoazetidin-1-yl)carbonyl]-*N*-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(dimethylamino)azetidin-1-yl]acetamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-D-prolinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(pyridin-3-ylmethyl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)piperidine-2-carboxamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)morpholine-4-carboxamide;

N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-cyanobenzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-pyrrolidin-1-ylacetamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N~6~,N~6~-dimethyl-L-lysinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-ethyl-N^2-methylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(1*H*-imidazol-4-yl)acetamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(pyridin-2-ylmethyl)benzamide;
1-amino-*N*-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopentanecarboxamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-hydroxyethyl)benzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(3-oxopyrazolidin-4-yl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-methylpropyl)glycinamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-ethyl-N^2-methylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(1*H*-imidazol-4-ylmethyl)azetidine-3-carboxamide;
N-(5-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-2-methylphenyl)-N^2,N^2-dimethylglycinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(1*H*-imidazol-4-yl)ethyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-ethylazetidine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-N^2-(1-methylpyrrolidin-3-yl)glycinamide;
N-(3-{[(2-{[3,5-bis(methyloxy)phenyl]amino}pyrido[2,3-b]pyrazin-3-yl)amino]sulfonyl}phenyl)-N^2-[2-(dimethylamino)ethyl]-N^2-methylglycinamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(methylamino)benzenesulfonamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-{[3-(dimethylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(3S)-3-hydroxypyrrolidin-1-yl]acetamide;
1-amino-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclobutanecarboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-butylglycinamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-piperidin-1-ylazetidin-1-yl)acetamide;

3-[(aminocarbonyl)amino]-*N*-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-hydroxycyclopropanecarboxamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(pyridin-4-ylmethyl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2,2-dimethylhydrazino)acetamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-[({[2-(dimethylamino)ethyl]amino}carbonyl)amino]benzenesulfonamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-methyl-*N*-(1-methylpyrrolidin-3-yl)benzamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-{[3-(diethylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonamide;
N-(3-{[(3-{[3-fluoro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-hydroxyacetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyridazine-4-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methylpiperidine-4-carboxamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-1*H*-pyrrol-1-ylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(1-methylethyl)glycinamide;
1-amino-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopentanecarboxamide;
1-amino-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopropanecarboxamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(3-pyrrolidin-1-ylpropyl)benzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-cyanoethyl)-*N*-methylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(dimethylamino)pyrrolidin-1-yl]acetamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(methyloxy)ethyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[2-(dimethylamino)ethyl]glycinamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-cyanobenzenesulfonamide;
2-(dimethylamino)ethyl(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)carbamate;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(cyclopropylmethyl)azetidine-3-carboxamide;

N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(1,1-dimethylethyl)glycinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-cyanoethyl)-*N*-ethylbenzamide;
3-[(3-aminopiperidin-1-yl)carbonyl]-*N*-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(1-{[2-(dimethylamino)ethyl]amino}ethyl)benzenesulfonamide;
N^2-methyl-*N*-(3-{[(3-{[3-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1*H*-imidazole-2-carboxamide;
3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzoicacid;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(dimethylamino)propyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)isoxazole-5-carboxamide;
N-(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2,2,2-trifluoroethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-piperidin-1-ylpropanamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-morpholin-4-ylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-oxocyclopentanecarboxamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-[(2,2-dimethylhydrazino)carbonyl]benzenesulfonamide;
3-acetyl-*N*-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(1*H*-imidazol-1-yl)propyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-6-hydroxypyridine-2-carboxamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(diethylamino)propyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(3-fluoro-4-hydroxyphenyl)glycinamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-N'-[2-(dimethylamino)ethyl]benzene-1,3-disulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-(dimethylamino)butanamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-cyanoethyl)benzamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-[(difluoromethyl)oxy]benzenesulfonamide;
methyl*N*-[(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-

yl)amino]sulfonyl}phenyl)carbonyl]-beta-alaninate;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(methylthio)ethyl]benzamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-N'-[3-(dimethylamino)propyl]benzene-1,3-disulfonamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(ethylthio)ethyl]benzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[2-(dimethylamino)ethyl]-*N*-ethylbenzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(2-oxopyrrolidin-1-yl)propyl]benzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(2-pyridin-4-ylethyl)benzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(ethyloxy)propyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(furan-2-ylmethyl)azetidine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyrimidine-5-carboxamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(2H-tetrazol-5-yl)benzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1*H*-pyrrole-2-carboxamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(3-morpholin-4-ylpropyl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-N^2-(1-methylethyl)glycinamide;
N-(3-{[(3-{[3-fluoro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2,N^2-dimethylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1*H*-imidazole-4-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2,N^2-diethylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-methylisoxazol-5-yl)acetamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(methyloxy)propyl]benzamide;
N^2,N^2-dimethyl-*N*-(3-{[(3-{[2-methyl-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[(3-hydroxyphenyl)methyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methyl-1*H*-pyrrole-2-carboxamide;
4-amino-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)tetrahydro-2H-pyran-4-carboxamide;

N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(methylamino)piperidin-1-yl]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-piperidin-1-ylacetamide;
N-(4-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2,N^2-dimethylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methyl-L-prolinamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(methylsulfonyl)benzenesulfonamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(dimethylamino)propyl]-*N*-methylbenzamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[3-(propyloxy)propyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)thiophene-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(cyclopropylcarbonyl)azetidine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-methylpiperazin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(phenylmethyl)azetidine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-chloropyridine-3-carboxamide;
ethyl*N*-[(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)carbonyl]-beta-alaninate;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-{3-[(1-methylethyl)oxy]propyl}benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-pyridin-4-ylacetamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1,1-dimethyl-2-piperidin-1-ylethyl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-N^2-prop-2-en-1-ylglycinamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-{5-[(dimethylamino)methyl]-1,3,4-oxadiazol-2-yl}benzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(phenylmethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(methyloxy)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-propanoylazetidine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyridine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[2-(methyloxy)ethyl]glycinamide;

1-acetyl-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)piperidine-4-carboxamide;
N-{[(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)amino](dimethylamino)methylidene}-*N*-methylmethanaminium;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-[(trifluoromethyl)oxy]benzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-methylpyrrolidin-1-yl)acetamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-piperidin-1-ylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)furan-3-carboxamide;
N^2,N^2-dimethyl-*N*-(3-{[(3-{[3-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[1-methyl-2-(methyloxy)ethyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-6-chloropyridine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-chlorobenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-pyridin-2-ylacetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(dimethylamino)azetidin-1-yl]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(dimethylamino)piperidin-1-yl]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-pyridin-3-ylacetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-chlorophenyl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[3-(dimethylamino)propyl]-N^2-methylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-ethyl-N^2-(2-hydroxyethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[2-(phenylmethyl)pyrrolidin-1-yl]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)furan-2-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-chloropyridine-4-carboxamide;
N^2-acetyl-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-chlorobenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methylbenzamide;

1,1-dimethylethyl{2-[(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)amino]-2-oxoethyl}carbamate;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1,1-dimethyl-2-morpholin-4-ylethyl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1,3-benzodioxole-5-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-({[2-(methyloxy)phenyl]methyl}oxy)glycinamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-({2-[(dimethylamino)methyl]piperidin-1-yl}carbonyl)benzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyridine-4-carboxamide;
N-(3-{[(3-{[4-fluoro-3-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2,N^2-dimethylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(3,4-dichlorophenyl)piperazin-1-yl]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-pyridin-3-ylpropanamide;
N-[3-(butyloxy)propyl]-3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)tetrahydrofuran-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[(2-methylphenyl)methyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-fluorophenyl)acetamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-[4-(diethylamino)-1-methylbutyl]benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(1-methyl-1-phenylethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylcyclopropanecarboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methyl-4-(methyloxy)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylpyridine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-(methyloxy)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-ethylpiperazin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)thiophene-2-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-fluoro-2-methylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-

bromothiophene-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-fluorobenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-methylpiperidin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylpropanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pentanamide
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(ethyloxy)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-fluorophenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-(dimethylamino)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-methylpiperidin-1-yl)acetamide;
3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-*N*-(1,1-dimethyl-2-oxo-2-piperidin-1-ylethyl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-propylphenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyrazine-2-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-fluoro-4-(methyloxy)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,2-dimethylbutanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(4-fluorophenyl)oxy]acetamide;
1-acetyl-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)azetidine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(4-methylphenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-phenylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-prop-2-en-1-ylpiperazin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-(methyloxy)propanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-methylfuran-2-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-

[(phenylmethyl)oxy]glycinamide;
N-{3-[({3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl}-N^2,N^2-dimethylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(3-chlorophenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclobutanecarboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(methyloxy)phenyl]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methylcyclopropanecarboxamide;
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-[(4-methylpiperazin-1-yl)carbonyl]benzenesulfonamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-{[2-(dimethylamino)ethyl]amino}pyridine-3-sulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-fluorobenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-(dimethylamino)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3,4-dichlorobenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-{[2-(methylthio)phenyl]methyl}glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-fluorophenyl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-ethyl-N^2-(1-methylethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1,3-thiazole-4-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-N^2-(phenylmethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-thienylmethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(pyridin-2-ylmethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-(methyloxy)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[(3-chloro-4-methylphenyl)methyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-methylpentanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-chlorophenyl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-fluoro-4-methylbenzamide;

N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(2-methylphenyl)oxy]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-cyclohexylacetamide;
(1R,2R)-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-phenylcyclopropanecarboxamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(dimethylamino)benzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-chlorobenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[2-(methyloxy)phenyl]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-[3-(methyloxy)phenyl]propanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-fluoro-4-methylphenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[(3-fluorophenyl)methyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(methyloxy)phenyl]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-phenylacetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,4-dichlorobenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-oxocyclohexanecarboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(3-fluorophenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-chlorophenyl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-phenylpropyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[(2,4-dimethylphenyl)methyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-methylpiperidin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[2-(methyloxy)phenyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3,4-dihydroisoquinolin-2(1*H*)-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-methylphenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-oxopiperidin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-fluorobenzamide;

N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-{[2-(dimethylamino)ethyl]oxy}pyridine-3-sulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(1-phenylethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-fluoro-6-(methyloxy)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methyl-3-(methyloxy)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[2-(1-methylethyl)phenyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-[2-(methyloxy)phenyl]propanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methylpentanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-phenylmorpholin-4-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-[4-(methyloxy)phenyl]propanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-cyclopentyl-N^2-prop-2-en-1-ylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-N^2-[2-(methyloxy)ethyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-cyclopropyl-4-oxobutanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[3-(1,1-dimethylethyl)phenyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(cyclopropylmethyl)-N^2-propylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-oxocyclopentyl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(4-chlorophenyl)glycinamide;
2-(1,4'-bipiperidin-1'-yl)-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-cyclopentylpiperazin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-methylphenyl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-[(5-fluoro-2-methylphenyl)methyl]glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3,3-dimethylbutanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2-chlorophenyl)glycinamide
N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-{[2-(piperidin-1-ylmethyl)piperidin-1-

yl]carbonyl}benzenesulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-5-fluoro-2-methylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-fluoro-3-methylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,3-dichlorobenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(phenyloxy)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-(2,3-dimethylphenyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-fluoro-5-methylbenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-{[(4-methylphenyl)methyl]oxy}glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(1-methylethyl)piperazin-1-yl]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-fluorophenyl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methyl-2-(methyloxy)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-propylpiperidin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(3-methylphenyl)oxy]acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)tetrahydrofuran-2-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(hydroxymethyl)piperidin-1-yl]acetamide;
1,1-dimethylethyl2-{[(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)amino]carbonyl}piperidine-1-carboxylate;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-N^2-(pyridin-3-ylmethyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-ethyl-N^2-phenylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-{[2-(methyloxy)ethyl]oxy}acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-cyclopentylpropanamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,5-dichlorobenzamide;
2-(4-acetylpiperazin-1-yl)-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-5-fluoro-2-(methyloxy)benzamide;

N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-cyclohexyl-N^2-ethylglycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-5-methylisoxazole-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-methylpyridine-2-carboxamide;
N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-(dimethylamino)pyridine-3-sulfonamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(methyloxy)pyridine-3-carboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3,5-dichlorobenzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(1,3-thiazolidin-3-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-formylpiperazin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-pyridin-4-ylpiperidin-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(methyloxy)benzamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N^2-methyl-N^2-(2-methylpropyl)glycinamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-formyl-1,4-diazepan-1-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-phenylcyclopropanecarboxamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2,6-dimethylmorpholin-4-yl)acetamide;
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-phenylpyrrolidin-1-yl)acetamide; and
N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-morpholin-4-ylacetamide;

where the Compound is optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

8. The method of Claims 1, 2, 6, or 7 where the treatment is one antibody selected from an EGFR antibody and an ErbB2 antibody, or the treatment is one or two chemotherapeutic agents independently selected from rapamycin, a rapamycin analogue, an alkylating agent, a taxane, a platin, an EGFR inhibitor, and an ErbB2 inhibitor.

9. The method of Claim 8 where the treatment is one or two chemotherapeutic agents independently selected from rapamycin, paclitaxel, carboplatin, lapatinib, and erlotinib.

10. The method of Claim 1, 2, 6, or 7 where the treatment is one chemotherapeutic agent where the chemotherapeutic agent is erlotinib.

11. The method of Claim 1, 2, 6, or 7 where the treatment is one chemotherapeutic agent where the chemotherapeutic agent is lapatinib.

12. The method of Claim 1, 2, 6, or 7 where the treatment is one chemotherapeutic agent where the chemotherapeutic agent is carboplatin.

13. The method of Claim 1, 2, 6, or 7 where the treatment is one chemotherapeutic agent where the chemotherapeutic agent is paclitaxel.

14. The method of Claim 1, 2, 6, or 7 where the treatment is one chemotherapeutic agent where the chemotherapeutic agent is rapamycin.

15. The method of Claim 1, 2, 6, or 7 where the treatment is one antibody selected from bevacizumab, trastuzumab, cetuximab, and panitumumab.

16. The method of Claim 1, 2, 6, or 7 where the treatment is one hormone therapy where the hormone therapy is an aromatase inhibitor.

17. The method of Claim 16 where the aromatase inhibitor is selected from letrozole, anastrozole, and exemestane.

18. The method of Claim 1 or 2 where the treatment is one antibody and the antibody is an ErbB2 antibody.

19. The method of Claim 6, 7, or 18 where the treatment is one antibody and the antibody is an ErbB2 antibody where the ErbB2 antibody is trastuzumab.

20. The method of Claim 1, 6, or 7 where the treatment is one or two agents independently selected from rapamycin, temozolomide, paclitaxel, docetaxel, carboplatin, trastuzumab, erlotinib, and lapatinib.