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(54) Title: SUBSTITUTED IMIDAZOPYRIDINYL-AMINOPYRIDINE COMPOUNDS

(57) Abstract: The present invention relates to substituted imidazopyridinyl-aminopyridine compounds and methods of synthesizing these compounds. The present invention also relates to pharmaceutical compositions containing substituted imidazopyridinyl-aminopyridine compounds and methods of treating cell proliferative disorders, such as cancer, by administering these compounds and pharmaceutical compositions to subjects in need thereof.

WO 2011/082270 A2

SUBSTITUTED IMIDAZOPYRIDINYL-AMINOPYRIDINE COMPOUNDS

CROSS-REFERENCE TO RELATED APPLICATIONS

[0001] This application claims priority to, and the benefit of, U.S. Provisional Application No. 61/290,923, filed December 30, 2009, the contents of which are incorporated herein by reference in their entirety.

BACKGROUND OF THE INVENTION

[0002] Cancer is the second leading cause of death in the United States, exceeded only by heart disease. (*Cancer Facts and Figures 2004*, American Cancer Society, Inc.). Despite recent advances in cancer diagnosis and treatment, surgery and radiotherapy may be curative if a cancer is found early, but current drug therapies for metastatic disease are mostly palliative and seldom offer a long-term cure. Even with new chemotherapies entering the market, the need continues for new drugs effective in monotherapy or in combination with existing agents as first line therapy, and as second and third line therapies in treatment of resistant tumors.

[0003] Cancer cells are by definition heterogeneous. For example, within a single tissue or cell type, multiple mutational "mechanisms" may lead to the development of cancer. As such, heterogeneity frequently exists between cancer cells taken from tumors of the same tissue and same type that have originated in different individuals. Frequently observed mutational "mechanisms" associated with some cancers may differ between one tissue type and another (*e.g.,* frequently observed mutational "mechanisms" leading to colon cancer may differ from frequently observed "mechanisms" leading to leukemias). It is therefore often difficult to predict whether a particular cancer will respond to a particular chemotherapeutic agent (*Cancer Medicine*, 5th edition, Bast *et al.*, B. C. Decker Inc., Hamilton, Ontario).

[0004] Components of cellular signal transduction pathways that regulate the growth and differentiation of normal cells can, when dysregulated, lead to the development of cellular proliferative disorders and cancer. Mutations in cellular signaling proteins may cause such proteins to become expressed or activated at inappropriate levels or at inappropriate times during the cell cycle, which in turn may lead to uncontrolled cellular growth or changes in cell-cell attachment properties. For example, dysregulation of receptor tyrosine kinases by mutation, gene rearrangement, gene amplification, and overexpression of both receptor and ligand has been implicated in the development and progression of human cancers.

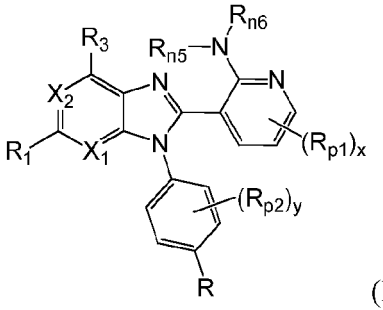
[0005] AKT protein family, which members are also called protein kinases B (PKB) plays an important role in mammalian cellular signaling. In humans, there are three genes in the AKT family: Akt1, Akt2, and Akt3. These genes code for enzymes that are members of the serine/threonine-specific protein kinase family. Akt1 is involved in cellular survival pathways, by inhibiting apoptotic processes. Akt1 is also able to induce protein synthesis pathways, and is therefore a key signaling protein in the cellular pathways that lead to skeletal muscle hypertrophy, and general tissue growth. Akt2 is an important signaling molecule in the Insulin signaling pathway and is required to induce glucose transport. The role of Akt3 is less clear, though it appears to be predominantly expressed in brain.

[0006] The AKT family regulates cellular survival and metabolism by binding and regulating many downstream effectors, e.g. Nuclear Factor-κB, Bcl-2 family proteins and murine double minute 2 (MDM2). Akt1 is known to play a role in the cell cycle. Moreover, activated Akt1 may enable proliferation and survival of cells that have sustained a potentially mutagenic impact and, therefore, may contribute to acquisition of mutations in other genes. Akt1 has also been implicated in angiogenesis and tumor development. Studies have shown that deficiency of Akt1 enhanced pathological angiogenesis and tumor growth associated with matrix abnormalities in skin and blood vessels. Since it can block apoptosis, and thereby promote cell survival, Akt1 is a major factor in many types of cancer.

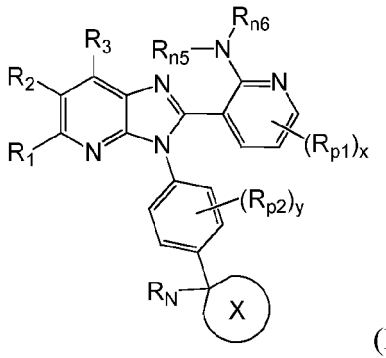
[0007] Accordingly, new compounds and methods for modulating AKT genes and treating proliferation disorders, including cancer, are needed. The present invention addresses these needs.

SUMMARY OF THE INVENTION

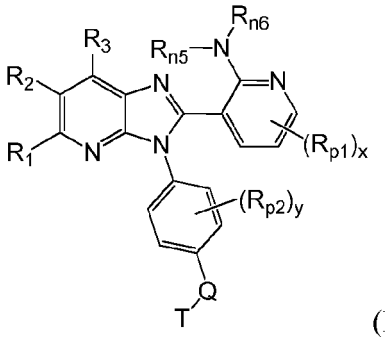
[0008] The present invention provides, in part, substituted imidazopyridinyl-aminopyridine compounds of formula I, II, III or IV and methods of preparing the compounds of formula I, II, III or IV:



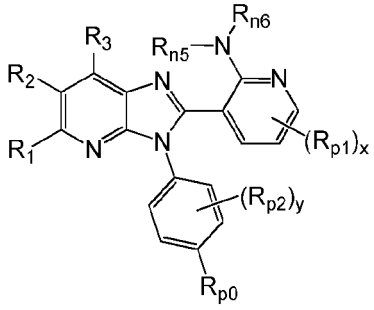
(I),



(II),



(III) or



(IV),

wherein:

one of X_1 and X_2 is CR_x and the other is N;

R_x, R_1, R_2 and R_3 are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

x is 0, 1, 2 or 3;

y is 0, 1, 2, 3 or 4;

R_{p0} is H, hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

each R_{p1} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, or unsubstituted or substituted amino;

each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$;

R_8 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R is H, hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 3-, 4-, 5-, 6- or 7-member rings and 1-4 heteroatoms selected from N, O and S, or Q-T;

R_N is NR_9R_{10} or $C(O)R_{11}$;

R_9 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, $S(O)R_{12}$, or $S(O)_2R_{12}$;

R_{11} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, OR_{14}, or $NR_{14}R_{15}$;

R_{10}, R_{12}, R_{13}, R_{14} and R_{15} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

is a 3-, 4-, 5-, 6- or 7-member carbocycle or heterocycle comprising 1-4 heteroatoms selected from N, O and S and is optionally substituted;

Q is a bond or unsubstituted or substituted C_1-C_6 alkyl linker;

T is $NR_{n1}R_{n2}$, $C(O)R_o$, $S(O)R_s$ or $S(O)_2R_s$;

R_{n1} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n2} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, $S(O)R_5$, $S(O)_2R_5$, $S(O)NR_5'R_5$, or $S(O)_2NR_5'R_5$, or R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_4, R_4', R_5 and R_5' are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_o is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $NR_{o1}R_{o2}$, or OR_{o3};

R_s is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or $NR_{s1}R_{s2}$;

R_{o1} and R_{o2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-

member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_{o3} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S; and

R_{s1} and R_{s2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{s1} and R_{s2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S.

[0009] The present invention also provides pharmaceutical compositions comprising one or more compounds of each of the formulae described herein and one or more pharmaceutically acceptable carriers.

[00010] The present invention also provides methods of treating a cell proliferative disorder by administering to a subject in need thereof, a therapeutically effective amount of a compound of each of the formulae described herein, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof, in combination with a pharmaceutically acceptable carrier, such that the disorder is treated.

[00011] The present invention also provides methods of treating cancer by administering to a subject in need thereof, a therapeutically effective amount of a compound of each of the formulae described herein, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof, in combination with a pharmaceutically acceptable carrier, such that the cancer is treated.

[00012] The present invention also provides methods of selectively inducing cell death in precancerous or cancerous cells by contacting a cell with an effective amount of a compound of each of the formulae described herein, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof, in combination with a pharmaceutically acceptable carrier, such that contacting the cell results in selective induction of cell death in the precancerous or cancer cells.

[00013] Unless otherwise defined, all technical and scientific terms used herein have the same meaning as commonly understood by one of ordinary skill in the art to which this invention belongs. In the specification, the singular forms also include the plural unless the context clearly dictates otherwise. Although methods and materials similar or equivalent to those described herein can be used in the practice or testing of the present invention, suitable methods and materials are described below. All publications, patent applications, patents, and other references mentioned herein are incorporated by reference. The references cited herein are not admitted to be prior art to the claimed invention. In the case of conflict, the present specification, including definitions, will control. In addition, the materials, methods, and examples are illustrative only and are not intended to be limiting.

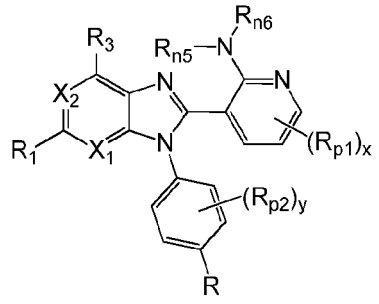
[00014] Other features and advantages of the invention will be apparent from the following detailed description and claims.

DETAILED DESCRIPTION OF THE INVENTION

1. Substituted Imidazopyridinyl-Aminopyridine Compounds

[00015] The present invention provides novel substituted imidazopyridinyl-aminopyridine compounds, synthetic methods for making the compounds, pharmaceutical compositions containing them and various uses of the disclosed compounds.

[00016] The present invention provides the compounds of Formula I:



(I),

wherein:

one of X_1 and X_2 is CR_x and the other is N;

R_x, R_1 and R_3 are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

x is 0, 1, 2 or 3;

y is 0, 1, 2, 3 or 4;

each R_{p1} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, or unsubstituted or substituted amino;

each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$;

R_8 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R is H, hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 3-, 4-, 5-, 6- or 7-member rings and 1-4 heteroatoms selected from N, O and S, or Q-T;

Q is a bond or unsubstituted or substituted C_1-C_6 alkyl linker;

T is $NR_{n1}R_{n2}$, $C(O)R_o$, $S(O)R_s$ or $S(O)_2R_s$;

R_{n1} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n2} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4

heteroatoms selected from N, O and S, $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, $S(O)R_5$, $S(O)_2R_5$, $S(O)NR_5'R_5$, or $S(O)_2NR_5'R_5$, or R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_4, R_4', R_5 and R_5' are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_o is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $NR_{o1}R_{o2}$, or OR_{o3};

R_s is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or $NR_{s1}R_{s2}$;

R_{o1} and R_{o2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_{o3} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S; and

R_{s1} and R_{s2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising

one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{s1} and R_{s2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S.

[00017] For example, X_1 is N and X_2 is CR_x.

[00018] For example, X_2 is N and X_1 is CR_x.

[00019] For example, R_1, R_x and R_3 are each H.

[00020] For example, R_1 and R_x are each H.

[00021] For example, R_x and R_3 are each H.

[00022] For example, R_1 and R_3 are each H.

[00023] For example, only R_1 is H, and R_x and R_3 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[00024] For example, only R_x is H, and R_1 and R_3 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[00025] For example, only R_3 is H, and R_1 and R_x are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[00026] For example, R_1 is fluorine, chlorine, bromine or iodine.

[00027] For example, R_1 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[00028] For example, R_1 is unsubstituted methyl.

[00029] For example, R_1 is trifluoromethyl (*i.e.*, CF_3) or methyl substituted with dimethylamino.

[00030] For example, R_1 is unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[00031] For example, R_1 is unsubstituted or substituted methoxy.

[00032] For example, R_1 is unsubstituted amino.

[00033] For example, R_1 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*,

methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[00034] For example, R_1 is amino substituted with one or two groups, each of which is independently selected from amino, benzyl, and phenyl.

[00035] For example, R_1 is unsubstituted phenyl or naphthyl.

[00036] For example, R_1 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl,

tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}'$, $NR_{16}'C(O)R_{16}$, $NR_{16}'C(O)OR_{16}$, $NR_{16}'S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[00037] For example, R_1 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[00038] For example, R_1 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[00039] For example, R_1 is phenyl substituted with methyl, which is optionally substituted.

[00040] For example, R_1 is phenyl substituted with methyl, which is optionally substituted with hydroxyl, methoxy, amino, or dimethylamino.

[00041] For example, R_1 is phenyl substituted with C_1-C_6 alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[00042] For example, R_1 is phenyl substituted with methoxy, trifluoromethoxy, ethoxy, propyloxy, or ethylenedioxy.

[00043] For example, R_1 is phenyl substituted with unsubstituted amino.

[00044] For example, R_1 is phenyl substituted with dimethylamino or diethylamino.

[00045] For example, R_1 is phenyl substituted with pyrazolyl.

[00046] For example, R_1 is phenyl substituted heterocycle, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl)

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) $C(O)R_{18}$, and $C(O)OR_{18}$, wherein:

R_{18} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[00047] For example, R_1 is phenyl substituted heterocycle, which is optionally substituted with hydroxyl, halogen, methyl, methoxy, dimethylamino, and $C(O)R_{18}$ wherein R_{18} is methyl.

[00048] For example, R_1 is phenyl substituted with $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$.

[00049] For example, R_{16} is H.

[00050] For example, R_{16} is C_1-C_6 alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[00051] For example, R_{16} is methyl, ethyl, propyl, i-propyl.

[00052] For example, R_{16} is dimethylamino.

[00053] For example, R_{16} is phenyl and is optionally substituted with one or more groups selected from hydroxyl, cyano, nitro, amino, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[00054] For example, R_{16} is cyclopropyl.

[00055] For example, R_{16} is morpholinyl.

[00056] For example, R_{16}' is H.

[00057] For example, R_{16}' is methyl or ethyl.

[00058] For example, R_1 is phenyl substituted with two or more groups and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a carbocycle ring (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl) or a heterocycle ring (*e.g.*, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, and morpholinonyl), each of which is optionally susbstituted.

[00059] For example, R_1 is phenyl substituted with two or more groups and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a morpholinyl or morpholinonyl ring, each of which is optionally susbsituted with unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[00060] For example, R_1 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl,

pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[00061] For example, R_1 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[00062] For example, R_1 is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, morpholinyl, $C(O)OR_{17}$, and $C(O)R_{17}$, wherein R_{17} is H, methyl or trifluoromethyl.

[00063] For example, R_1 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[00064] For example, R_1 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[00065] For example, R_1 is piperidinyl.

[00066] For example, R_x is fluorine, chlorine, bromine or iodine.

[00067] For example, R_x is bromine.

[00068] For example, R_x is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[00069] For example, R_x is unsubstituted methyl.

[00070] For example, R_x is trifluoromethyl (*i.e.*, CF_3).

[00071] For example, R_x is unsubstituted amino.

[00072] For example, R_x is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[00073] For example, R_x is unsubstituted phenyl or naphthyl.

[00074] For example, R_x is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[00075] For example, R_x is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[00076] For example, R_x is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[00077] For example, R_x is phenyl substituted with methyl, which is optionally substituted.

[00078] For example, R_x is phenyl substituted with methyl, which is optionally substituted with hydroxyl.

[00079] For example, R_x is phenyl substituted with C_1-C_6 alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[00080] For example, R_x is phenyl substituted with methoxy or ethoxy.

[00081] For example, R_x is phenyl substituted with unsubstituted amino.

[00082] For example, R_x is phenyl substituted with $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}'$, $NR_{16}'C(O)R_{16}$, $NR_{16}'C(O)OR_{16}$, $NR_{16}'S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$.

[00083] For example, R_{16} is H.

[00084] For example, R_{16} is C_1-C_6 alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[00085] For example, R_{16} is methyl, ethyl, propyl, i-propyl.

[00086] For example, R_x is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl,

quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[00087] For example, R_x is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[00088] For example, R_x is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, $C(O)OR_{17}$ wherein R_{17} is H or methyl.

[00089] For example, R_x is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[00090] For example, R_x is unsubstituted or substituted cyclopentyl.

[00091] For example, R_x is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[00092] For example, R_3 is fluorine, chlorine, bromine or iodine.

[00093] For example, R_3 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[00094] For example, R_3 is unsubstituted methyl.

[00095] For example, R_3 is unsubstituted amino.

[00096] For example, R_3 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*,

methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[00097] For example, R_3 is unsubstituted phenyl or naphthyl.

[00098] For example, R_3 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[00099] For example, R_3 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[000100] For example, R_3 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000101] For example, R_3 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000102] For example, R_3 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl,

imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000103] For example, R_3 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000104] For example, R_3 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000105] For example, x is 0.

[000106] For example, x is 1.

[000107] For example, each R_{p1} is independently fluorine, chlorine, bromine or iodine.

[000108] For example, each R_{p1} is independently chlorine.

[000109] For example, each R_{p1} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000110] For example, each R_{p1} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000111] For example, each R_{p1} is independently unsubstituted amino.

[000112] For example, each R_{p1} is independently amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000113] For example, y is 0.

[000114] For example, y is 1.

[000115] For example, each R_{p2} is independently fluorine, chlorine, bromine or iodine.

[000116] For example, each R_{p2} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000117] For example, each R_{p2} is independently methyl, ethyl, propyl, i-propyl or t-butyl.

[000118] For example, each R_{p2} is independently C_1-C_6 alkyl substituted with amino.

[000119] For example, each R_{p2} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000120] For example, each R_{p2} is independently unsubstituted amino.

[000121] For example, each R_{p2} is independently amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000122] For example, each R_{p2} is independently unsubstituted or substituted phenyl or naphthyl.

[000123] For example, each R_{p2} is independently heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000124] For example, each R_{p2} is independently oxazolyl.

[000125] For example, each R_{p2} is independently unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000126] For example, each R_{p2} is independently heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000127] For example, R_{n5} and R_{n6} are each H.

[000128] For example, R_{n5} is H and R_{n6} is unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[000129] For example, R_{n5} and R_{n6} are each unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[000130] For example, at least one of R_{n5} and R_{n6} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000131] For example, at least one of R_{n5} and R_{n6} is unsubstituted amino.

[000132] For example, at least one of R_{n5} and R_{n6} is amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000133] For example, at least one of R_{n5} and R_{n6} is $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[000134] For example, at least one of R_{n5} and R_{n6} is $S(O)_2R_8$.

[000135] For example, R_8 is H.

[000136] For example, R_8 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000137] For example, R_8 is methyl.

[000138] For example, R_8 is unsubstituted or substituted phenyl or naphthyl.

[000139] For example, R_8 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl,

isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000140] For example, R_8 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000141] For example, R_8 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000142] For example, R is H.

[000143] For example, R is fluorine, chlorine, bromine or iodine.

[000144] For example, R is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000145] For example, R is C_1-C_6 alkyl and is optionally substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted amino,

c) unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)), which is optionally substituted with one or two groups selected from:

(1) unsubstituted or substituted C_6-C_{10} aryl,

(2) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S,

(3) unsubstituted or substituted C_3-C_{10} carbocycle,

(4) unsubstituted or substituted heterocycle comprising one or two 3-, 4-, 5-, 6- or 7-member rings and 1-4 heteroatoms selected from N, O and S,

d) NR_9R_{10}, $C(O)R_{11}$, wherein:

R_9 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, $S(O)R_{12}$, or $S(O)_2R_{12}$;

R_{11} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, OR_{14}, or $NR_{14}R_{15}$;

R_{10}, R_{12}, R_{13}, R_{14} and R_{15} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, and

e) a 3-, 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S, which is optionally substituted.

[000146] For example, R is C_1-C_6 alkyl substituted with C_1-C_6 alkylamino or di-C_1-C_6 alkylamino, which is optionally substituted with phenyl.

[000147] For example, R is methyl, ethyl, n-propyl, i-propyl, n-butyl, or t-butyl.

[000148] For example, R is methyl substituted with a 3-, 4-, 5-, 6-, or 7-member ring selected from unsubstituted or substituted C_3-C_7 carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclobutenyl, cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl, cycloheptyl, and cycloheptenyl.

[000149] For example, R is methyl substituted with a C_3-C_7 carbocycle and is optionally subsitued with one or more groups selected from hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000150] For example, R is methyl substituted with cyclobutyl.

[000151] For example, R is methyl substituted with a 3-, 4-, 5-, 6-, or 7-member ring selected from unsubstituted or substituted heterocycle selected from aziridinyl, oxiranyl, thiiranyl, azetidinyl, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, tetrahyrofuranyl, tetrahyrothiophenyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, oxepanyl, thiepanyl, diazepanyl, oxazepanyl, and thiazepanyl, and the like, and is optionally substituted.

[000152] For example, R is methyl substituted with a 3-, 4-, 5-, 6-, or 7-member heterocycle and is optionally subsitued with one or more groups selected from hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000153] For example, R is methyl substituted with oxetanyl.

[000154] For example, R is methyl substituted with NR_9R_{10}.

[000155] For example, R_9 and R_{10} are each H (*i.e.*, R_N is NH_2).

[000156] For example, R_9 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000157] For example, R_9 is unsubstituted or substituted phenyl or naphthyl.

[000158] For example, R_9 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000159] For example, R_9 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000160] For example, R_9 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000161] For example, R_9 is $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, or $S(O)_2R_{12}$.

[000162] For example, R_{12} is H.

[000163] For example, R_{12} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000164] For example, R_{12} is methyl, ethyl, or t-butyl.

[000165] For example, R_{12} is unsubstituted or substituted phenyl or naphthyl.

[000166] For example, R_{12} is unsubstituted phenyl.

[000167] For example, R_{12} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000168] For example, R_{12} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000169] For example, R_{12} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000170] For example, R_{13} is H.

[000171] For example, R_{13} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000172] For example, R_{13} is methyl, ethyl, or t-butyl.

[000173] For example, R_{13} is unsubstituted or substituted phenyl or naphthyl.

[000174] For example, R_{13} is unsubstituted phenyl.

[000175] For example, R_{13} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000176] For example, R_{13} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000177] For example, R_{13} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000178] For example, R_{10} is H.

[000179] For example, R_{10} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl,

i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000180] For example, R_{10} is unsubstituted or substituted phenyl or naphthyl.

[000181] For example, R_{10} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000182] For example, R_{10} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000183] For example, R_{10} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000184] For example, R is methyl substituted with $C(O)R_{11}$.

[000185] For example, R_{11} is H.

[000186] For example, R_{11} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000187] For example, R_{11} is unsubstituted or substituted phenyl or naphthyl.

[000188] For example, R_{11} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000189] For example, R_{11} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000190] For example, R_{11} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000191] For example, R_{11} is OR_{14} or $NR_{14}R_{15}$.

[000192] For example, R_{14} is H.

[000193] For example, R_{14} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with hydroxyl or halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000194] For example, R_{14} methyl or ethyl.

[000195] For example, R_{14} is C_1-C_6 alkyl substituted with hydroxyl.

[000196] For example, R_{14} is unsubstituted or substituted phenyl or naphthyl.

[000197] For example, R_{14} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000198] For example, R_{14} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000199] For example, R_{14} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000200] For example, R_{15} is H.

[000201] For example, R_{15} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000202] For example, R_{15} is unsubstituted or substituted phenyl or naphthyl.

[000203] For example, R_{15} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000204] For example, R_{15} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000205] For example, R_{15} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000206] For example, R is methyl substituted with both a 3-, 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S and NR_9R_{10}.

[000207] For example, R is methyl substituted with both cyclobutyl and NR_9R_{10}.

[000208] For example, R is methyl substituted with both oxetanyl and NR_9R_{10}.

[000209] For example, R is methyl substituted with both a 3-, 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S and $C(O)R_{11}$.

[000210] For example, R is methyl substituted with both cyclobutyl and $C(O)R_{11}$.

[000211] For example, R is methyl substituted with both oxetanyl and $C(O)R_{11}$.

[000212] For example, R is unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000213] For example, R is unsubstituted or substituted methoxy.

[000214] For example, R is unsubstituted amino.

[000215] For example, R is amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl)

[000216] For example, R is unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), or unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino).

[000217] For example, R is dimethylamino or diethylamino.

[000218] For example, R is unsubstituted or substituted phenyl or naphthyl.

[000219] For example, R is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000220] For example, R is pyrazolyl or triazolyl, and is optionally substituted.

[000221] For example, R is carbocycle selected from cyclopropyl, cyclobutyl, cyclobutenyl, cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl, cycloheptyl, and

cycloheptenyl, and is optionally substituted one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino,

d) unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)), which is optionally substituted with one or two groups selected from:

(1) unsubstituted or substituted C_6-C_{10} aryl,

(2) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S,

(3) unsubstituted or substituted C_3-C_{10} carbocycle,

(4) unsubstituted or substituted heterocycle comprising one or two 3-, 4-, 5-, 6- or 7-member rings and 1-4 heteroatoms selected from N, O and S,

d) NR_9R_{10}, $C(O)R_{11}$, wherein:

R_9 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, $S(O)R_{12}$, or $S(O)_2R_{12}$;

R_{11} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, OR_{14}, or $NR_{14}R_{15}$;

R_{10}, R_{12}, R_{13}, R_{14} and R_{15} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10}

carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000222] For example, R is carbocycle substituted with NR_9R_{10}.

[000223] For example, R_9 and R_{10} are each H (*i.e.*, R_N is NH_2).

[000224] For example, R_9 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000225] For example, R_9 is unsubstituted or substituted phenyl or naphthyl.

[000226] For example, R_9 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000227] For example, R_9 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000228] For example, R_9 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000229] For example, R_9 is $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, or $S(O)_2R_{12}$.

[000230] For example, R_{12} is H.

[000231] For example, R_{12} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000232] For example, R_{12} is methyl, ethyl, or t-butyl.

[000233] For example, R_{12} is unsubstituted or substituted phenyl or naphthyl.

[000234] For example, R_{12} is unsubstituted phenyl.

[000235] For example, R_{12} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl,

isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000236] For example, R_{12} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000237] For example, R_{12} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000238] For example, R_{13} is H.

[000239] For example, R_{13} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000240] For example, R_{13} is methyl, ethyl, or t-butyl.

[000241] For example, R_{13} is unsubstituted or substituted phenyl or naphthyl.

[000242] For example, R_{13} is unsubstituted phenyl.

[000243] For example, R_{13} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000244] For example, R_{13} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000245] For example, R_{13} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000246] For example, R_{10} is H.

[000247] For example, R_{10} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000248] For example, R_{10} is unsubstituted or substituted phenyl or naphthyl.

[000249] For example, R_{10} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl,

pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000250] For example, R_{10} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000251] For example, R_{10} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000252] For example, R is carbocycle substituted with $C(O)R_{11}$.

[000253] For example, R_{11} is H.

[000254] For example, R_{11} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000255] For example, R_{11} is unsubstituted or substituted phenyl or naphthyl.

[000256] For example, R_{11} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000257] For example, R_{11} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000258] For example, R_{11} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000259] For example, R_{11} is OR_{14} or $NR_{14}R_{15}$.

[000260] For example, R_{14} is H.

[000261] For example, R_{14} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with hydroxyl or halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000262] For example, R_{14} methyl or ethyl.

[000263] For example, R_{14} is C_1-C_6 alkyl substituted with hydroxyl.

[000264] For example, R_{14} is unsubstituted or substituted phenyl or naphthyl.

[000265] For example, R_{14} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000266] For example, R_{14} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000267] For example, R_{14} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000268] For example, R_{15} is H.

[000269] For example, R_{15} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000270] For example, R_{15} is unsubstituted or substituted phenyl or naphthyl.

[000271] For example, R_{15} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000272] For example, R_{15} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000273] For example, R_{15} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000274] For example, R is cyclobutyl or cyclohexyl, and is optionally substituted.

[000275] For example, R is heterocycle selected from ziridinyl, oxiranyl, thiiranyl, azetidinyl, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, tetrahyrofuranyl, tetrahyrothiophenyl, imidazolidinyl,

pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, oxepanyl, thiepanyl, diazepanyl, oxazepanyl, thiazepanyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl, and the like, and is optionally substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino,

d) unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)), which is optionally substituted with one or two groups selected from:

(1) unsubstituted or substituted C_6-C_{10} aryl,

(2) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S,

(3) unsubstituted or substituted C_3-C_{10} carbocycle,

(4) unsubstituted or substituted heterocycle comprising one or two 3-, 4-, 5-, 6- or 7-member rings and 1-4 heteroatoms selected from N, O and S,

d) NR_9R_{10}, $C(O)R_{11}$, wherein:

R_9 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, $S(O)R_{12}$, or $S(O)_2R_{12}$;

R_{11} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted

heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, OR_{14}, or $NR_{14}R_{15}$;

R_{10}, R_{12}, R_{13}, R_{14} and R_{15} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000276] For example, R is heterocycle substituted with NR_9R_{10}.

[000277] For example, R_9 and R_{10} are each H (*i.e.*, R_N is NH_2).

[000278] For example, R_9 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000279] For example, R_9 is unsubstituted or substituted phenyl or naphthyl.

[000280] For example, R_9 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000281] For example, R_9 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000282] For example, R_9 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000283] For example, R_9 is $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, or $S(O)_2R_{12}$.

[000284] For example, R_{12} is H.

[000285] For example, R_{12} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000286] For example, R_{12} is methyl, ethyl, or t-butyl.

[000287] For example, R_{12} is unsubstituted or substituted phenyl or naphthyl.

[000288] For example, R_{12} is unsubstituted phenyl.

[000289] For example, R_{12} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000290] For example, R_{12} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000291] For example, R_{12} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000292] For example, R_{13} is H.

[000293] For example, R_{13} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000294] For example, R_{13} is methyl, ethyl, or t-butyl.

[000295] For example, R_{13} is unsubstituted or substituted phenyl or naphthyl.

[000296] For example, R_{13} is unsubstituted phenyl.

[000297] For example, R_{13} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000298] For example, R_{13} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000299] For example, R_{13} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000300] For example, R_{10} is H.

[000301] For example, R_{10} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl,

i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000302] For example, R_{10} is unsubstituted or substituted phenyl or naphthyl.

[000303] For example, R_{10} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000304] For example, R_{10} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000305] For example, R_{10} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000306] For example, R is heterocycle substituted with $C(O)R_{11}$.

[000307] For example, R_{11} is H.

[000308] For example, R_{11} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000309] For example, R_{11} is unsubstituted or substituted phenyl or naphthyl.

[000310] For example, R_{11} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000311] For example, R_{11} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000312] For example, R_{11} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000313] For example, R_{11} is OR_{14} or $NR_{14}R_{15}$.

[000314] For example, R_{14} is H.

[000315] For example, R_{14} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with hydroxyl or halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000316] For example, R_{14} methyl or ethyl.

[000317] For example, R_{14} is C_1-C_6 alkyl substituted with hydroxyl.

[000318] For example, R_{14} is unsubstituted or substituted phenyl or naphthyl.

[000319] For example, R_{14} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000320] For example, R_{14} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000321] For example, R_{14} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000322] For example, R_{15} is H.

[000323] For example, R_{15} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000324] For example, R_{15} is unsubstituted or substituted phenyl or naphthyl.

[000325] For example, R_{15} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000326] For example, R_{15} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000327] For example, R_{15} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000328] For example, R is oxetanyl, and is optionally substituted.

[000329] For example, R is Q-T.

[000330] For example, Q is a bond.

[000331] For example, Q is an unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl linker, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl linker.

[000332] For example, Q is a methyl linker.

[000333] For example, T is $NR_{n1}R_{n2}$.

[000334] For example, R_{n1} and R_{n2} are each H.

[000335] For example, R_{n1} is H.

[000336] For example, R_{n1} is H and R_{n2} is unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, $S(O)R_5$, $S(O)_2R_5$, $S(O)NR_5'R_5$, or $S(O)_2NR_5'R_5$.

[000337] For example, R_{n1} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000338] For example, R_{n1} is C_1-C_6 alkyl substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl,

thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), and

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl).

[000339] For example, R_{n1} is C_1-C_6 alkyl substituted with phenyl.

[000340] For example, R_{n1} is methyl substituted with phenyl.

[000341] For example, R_{n1} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl and R_{n2} is unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, $S(O)R_5$, $S(O)_2R_5$, $S(O)NR_5'R_5$, or $S(O)_2NR_5'R_5$.

[000342] For example, R_{n1} is unsubstituted or substituted phenyl or naphthyl.

[000343] For example, R_{n1} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000344] For example, R_{n1} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000345] For example, R_{n1} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000346] For example, R_{n2} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000347] For example, R_{n2} is C_1-C_6 alkyl substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), and

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl).

[000348] For example, R_{n2} is C_1-C_6 alkyl substituted with phenyl.

[000349] For example, R_{n2} is methyl substituted with phenyl.

[000350] For example, R_{n2} is unsubstituted or substituted phenyl or naphthyl.

[000351] For example, R_{n2} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl,

quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000352] For example, R_{n2} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000353] For example, R_{n2} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000354] For example, R_{n2} is $C(O)R_4$, $C(O)OR_4$, or $C(O)NR_4'R_4$.

[000355] For example, R_4' is H.

[000356] For example, R_4' is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000357] For example, R_4' is unsubstituted or substituted phenyl or naphthyl.

[000358] For example, R_4' is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000359] For example, R_4' is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000360] For example, R_4' is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000361] For example, R_4 is H.

[000362] For example, R_4 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, n-hexyl and 2-methylpropyl.

[000363] For example, R_4 is C_1-C_6 alkyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), and

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl).

[000364] For example, R_4 is C_1-C_6 alkyl substituted with one, two or more groups selected from phenyl, pyridinyl, tetrazolyl, cyclopentyl and cyclohexyl.

[000365] For example, R_4 is methyl, ethyl, propyl, i-propyl, t-butyl, or 2-methylpropyl.

[000366] For example, R_4 is unsubstituted or substituted phenyl, naphthyl, indenyl, or dihydroindenyl.

[000367] For example, R_4 is unsubstituted phenyl.

[000368] For example, R_4 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino),

and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, pyrazolonyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

i) $C(O)R_{19}$, $C(O)OR_{19}$, $NR_{19}'C(O)R_{19}$, and $NR_{19}'C(O)OR_{19}$,

wherein:

R_{19} and R_{19}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000369] For example, R_4 is phenyl substituted with one, two or more C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)), and

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000370] For example, R_4 is phenyl substituted with fluorine.

[000371] For example, R_4 is phenyl substituted with one, two or more groups independently selected from methyl, ethyl, i-propyl, t-butyl, trifluoromethyl, and benzyl.

[000372] For example, R_4 is phenyl substituted with one, two or more C_1-C_6 alkoxy, which is optionally substituted with one or more halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000373] For example, R_4 is phenyl substituted with one, two or more groups independently selected from methoxy, ethoxy, i-propyloxy, and trifluoromethoxy.

[000374] For example, R_4 is phenyl substituted with one, two or more groups independently selected from amino and dimethylamino.

[000375] For example, R_4 is phenyl substituted with phenyl.

[000376] For example, R_4 is phenyl substituted with heteroaryl, which is optionally substituted with unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000377] For example, R_4 is phenyl substituted with pyrazolyl or pyrazolonyl, each of which is optionally substituted with methyl.

[000378] For example, R_4 is phenyl substituted with $C(O)R_{19}$, $C(O)OR_{19}$, $NR_{19}'C(O)R_{19}$, and $NR_{19}'C(O)OR_{19}$.

[000379] For example, R_{19}' is H.

[000380] For example, R_{19} is H.

[000381] For example, R_{19} is methyl.

[000382] For example, R_{19} is phenyl and is optionally substituted with one or more groups, each of which is independently selected from hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), and unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000383] For example, R_{19} is phenyl substituted with halogen.

[000384] For example, R_4 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, indazolyl, and dihydrobenzofuranyl, and the like, and is optionally substituted.

[000385] For example, R_4 is heteroaryl optionally substituted with one or more groups, each of which is independently selected from hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), and unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000386] For example, R_4 is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000387] For example, R_4 is pyridinyl, isoquinolinyl, isoxazolyl, thiophenyl, furanyl, indolyl, dihydrobenzofuranyl, pyrrolyl, benzothiophenyl, quinolinyl, pyrimidinyl, thiazolyl, or pyrazinyl, each of which is optionally substituted.

[000388] For example, R_4 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000389] For example, R_4 is cyclopentyl or cyclohexyl, each of which is optionally substituted.

[000390] For example, R_4 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000391] For example, R_{n2} is $S(O)R_5$ or $S(O)_2R_5$.

[000392] For example, R_5 is H.

[000393] For example, R_5 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, n-hexyl and 2-methylpropyl.

[000394] For example, R_5 is unsubstituted or substituted phenyl or naphthyl.

[000395] For example, R_5 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino),

and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, pyrazolonyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

i) $C(O)R_{19}$, $C(O)OR_{19}$, $NR_{19}'C(O)R_{19}$, and $NR_{19}'C(O)OR_{19}$,

wherein:

R_{19} and R_{19}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000396] For example, R_5 is phenyl substituted with one, two or more groups, each of which is independently selected from methyl, methoxy, fluorine and chlorine.

[000397] For example, R_5 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, indazolyl, and dihydrobenzofuranyl, and the like, and is optionally substituted.

[000398] For example, R_5 is heteroaryl optionally substituted with one or more groups, each of which is independently selected from hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), and

unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000399] For example, R_5 is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000400] For example, R_5 is pyridinyl, isoxazolyl, thiophenyl, imidazolyl, pyrazolyl, dihydrobenzofuranyl, or quinolinyl, each of which is optionally substituted.

[000401] For example, R_5 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000402] For example, R_5 is cyclopropyl or cyclohexyl, each of which is optionally substituted.

[000403] For example, R_5 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000404] For example, R_5' is H.

[000405] For example, R_5' is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000406] For example, R_5' is unsubstituted or substituted phenyl or naphthyl.

[000407] For example, R_5' is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

[000408] For example, R_5' is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000409] For example, R_5' is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000410] For example, R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring selected from azetidinyl, diazetidinyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl,

hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, diazepanyl, oxazepanyl, and thiazepanyl, and the like, and is optionally substituted.

[000411] For example, R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring, which is optionally substituted with hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000412] For example, R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a morpholinyl or piperazinyl ring and is optionally substituted with methyl.

[000413] For example, T is $C(O)R_o$.

[000414] For example, R_o is H.

[000415] For example, R_o is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000416] For example, R_o is unsubstituted or substituted phenyl or naphthyl.

[000417] For example, R_o is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

[000418] For example, R_o is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000419] For example, R_o is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000420] For example, R_o is $NR_{o1}R_{o2}$.

[000421] For example, R_{o1} and R_{o2} are each H.

[000422] For example, at least one of R_{o1} and R_{o2} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000423] For example, at least one of R_{o1} and R_{o2} is C_1-C_6 alkyl substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

f) NR_{20}'$C(O)R_{20}$, and NR_{20}'$C(O)OR_{20}$,

wherein:

R_{20} and R_{20}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000424] For example, at least one of R_{o1} and R_{o2} is C_1-C_6 alkyl substituted with one or more groups selected from phenyl, cyclohexyl and $NHC(O)R_{20}$ wherein R_{20} is methyl.

[000425] For example, at least one of R_{o1} and R_{o2} is methyl, ethyl, i-propyl, or butyl, each of which is optionally substituted.

[000426] For example, at least one of R_{o1} and R_{o2} is unsubstituted or substituted phenyl or naphthyl.

[000427] For example, at least one of R_{o1} and R_{o2} is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, pyrazolonyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

i) $C(O)R_{21}$, $C(O)OR_{21}$, $NR_{21}'C(O)R_{21}$, and $NR_{21}'C(O)OR_{21}$,

wherein:

R_{21} and R_{21}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000428] For example, at least one of R_{o1} and R_{o2} is phenyl substituted with one, two or more groups, each of which is independently selected from cyano, halogen, methyl, t-butyl, methoxy and $NHC(O)R_{21}$ wherein R_{21} is methyl.

[000429] For example, at least one of R_{o1} and R_{o2} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, indazolyl and oxodihydrobenzofuranyl, and the like, and is optionally substituted.

[000430] For example, at least one of R_{o1} and R_{o2} is heteroaryl and is optionally substituted with one or more groups, each of which is independently selected from hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), and unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000431] For example, at least one of R_{o1} and R_{o2} is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000432] For example, at least one of R_{o1} and R_{o2} is pyridinyl, benzothiazolyl, benzoimidazolyl, quinolinyl, or oxodihydrobenzofuranyl, each of which is optionally substituted.

[000433] For example, at least one of R_{o1} and R_{o2} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000434] For example, at least one of R_{o1} and R_{o2} is cyclopentyl.

[000435] For example, at least one of R_{o1} and R_{o2} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000436] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring selected from azetidinyl, diazetidinyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl,

hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, diazepanyl, oxazepanyl, and thiazepanyl, and the like, and is optionally substituted.

[000437] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring, which is optionally substituted with one or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, pyrazolonyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzoimidazolonyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), and

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl).

[000438] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a piperidinyl ring and is optionally substituted with benzoimidazolonyl.

[000439] For example, R_o is OR_{o3}.

[000440] For example, R_{o3} is H.

[000441] For example, R_{o3} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, n-hexyl and 2-methylpropyl.

[000442] For example, R_{o3} is unsubstituted or substituted phenyl or naphthyl.

[000443] For example, R_{o3} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl,

pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

[000444] For example, R_{o3} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000445] For example, R_{o3} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000446] For example, T is $S(O)R_s$ or $S(O)_2R_s$.

[000447] For example, R_s is H.

[000448] For example, R_s is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000449] For example, R_s is unsubstituted or substituted phenyl or naphthyl.

[000450] For example, R_s is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

[000451] For example, R_s is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000452]

[000453] For example, R_s is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000454] For example, R_s is $NR_{s1}R_{s2}$.

[000455] For example, R_{s1} and R_{s2} are each H.

[000456] For example, at least one of R_{s1} and R_{s2} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000457] For example, at least one of R_{s1} and R_{s2} is methyl

[000458] For example, at least one of R_{s1} and R_{s2} is unsubstituted or substituted phenyl or naphthyl.

[000459] For example, at least one of R_{o1} and R_{o2} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

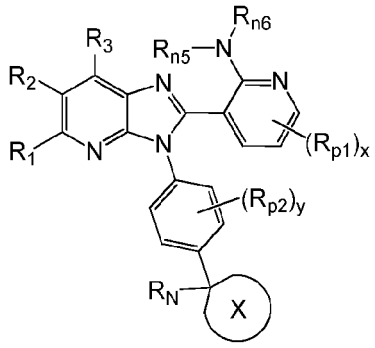
[000460] For example, at least one of R_{o1} and R_{o2} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000461] For example, at least one of R_{o1} and R_{o2} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000462] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring selected from azetidinyl, diazetidinyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, diazepanyl, oxazepanyl, and thiazepanyl, and the like, and is optionally substituted.

[000463] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a piperidinyl ring and is optionally substituted.

[000464] The present invention also provides the compounds of Formula II:



(II),

wherein:

R_1, R_2 and R_3 are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

x is 0, 1, 2 or 3;

y is 0, 1, 2, 3 or 4;

each R_{p1} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, or unsubstituted or substituted amino;

each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$,

R_8 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_N is NR_9R_{10} or $C(O)R_{11}$;

R_9 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, $S(O)R_{12}$, or $S(O)_2R_{12}$;

R_{11} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle,

unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, OR_{14}, or $NR_{14}R_{15}$;

R_{10}, R_{12}, R_{13}, R_{14} and R_{15} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S; and

is a 3-, 4-, 5-, 6- or 7-member carbocycle or heterocycle comprising 1-4 heteroatoms selected from N, O and S and is optionally substituted.

[000465] For example, R_1, R_2 and R_3 are each H.

[000466] For example, R_1 and R_2 are each H.

[000467] For example, R_2 and R_3 are each H.

[000468] For example, R_1 and R_3 are each H.

[000469] For example, only R_1 is H, and R_2 and R_3 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000470] For example, only R_2 is H, and R_1 and R_3 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000471] For example, only R_3 is H, and R_1 and R_2 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or

unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000472] For example, R_1 is fluorine, chlorine, bromine or iodine.

[000473] For example, R_1 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000474] For example, R_1 is unsubstituted methyl.

[000475] For example, R_1 is trifluoromethyl (*i.e.*, CF_3) or methyl substituted with dimethylamino.

[000476] For example, R_1 is unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000477] For example, R_1 is unsubstituted or substituted methoxy.

[000478] For example, R_1 is unsubstituted amino.

[000479] For example, R_1 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000480] For example, R_1 is amino substituted with one or two groups, each of which is independently selected from amino, benzyl, and phenyl.

[000481] For example, R_1 is unsubstituted phenyl or naphthyl.

[000482] For example, R_1 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}'$, $NR_{16}'C(O)R_{16}$, $NR_{16}'C(O)OR_{16}$, $NR_{16}'S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000483] For example, R_1 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[000484] For example, R_1 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000485] For example, R_1 is phenyl substituted with methyl, which is optionally substituted.

[000486] For example, R_1 is phenyl substituted with methyl, which is optionally substituted with hydroxyl, methoxy, amino, or dimethylamino.

[000487] For example, R_1 is phenyl substituted with C_1-C_6 alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000488] For example, R_1 is phenyl substituted with methoxy, trifluoromethoxy, ethoxy, propyloxy, or ethylenedioxy.

[000489] For example, R_1 is phenyl substituted with unsubstituted amino.

[000490] For example, R_1 is phenyl substituted with dimethylamino or diethylamino.

[000491] For example, R_1 is phenyl substituted with pyrazolyl.

[000492] For example, R_1 is phenyl substituted heterocycle, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl)

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) $C(O)R_{18}$, and $C(O)OR_{18}$, wherein:

R_{18} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000493] For example, R_1 is phenyl substituted heterocycle, which is optionally substituted with hydroxyl, halogen, methyl, methoxy, dimethylamino, and $C(O)R_{18}$ wherein R_{18} is methyl.

[000494] For example, R_1 is phenyl substituted with $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}'$, $NR_{16}'C(O)R_{16}$, $NR_{16}'C(O)OR_{16}$, $NR_{16}'S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$.

[000495] For example, R_{16} is H.

[000496] For example, R_{16} is C_1-C_6 alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000497] For example, R_{16} is methyl, ethyl, propyl, i-propyl.

[000498] For example, R_{16} is dimethylamino.

[000499] For example, R_{16} is phenyl and is optionally substituted with one or more groups selected from hydroxyl, cyano, nitro, amino, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000500] For example, R_{16} is cyclopropyl.

[000501] For example, R_{16} is morpholinyl.

[000502] For example, R_{16}' is H.

[000503] For example, R_{16}' is methyl or ethyl.

[000504] For example, R_1 is phenyl substituted with two or more groups and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a carbocycle ring (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl) or a heterocycle ring (*e.g.*, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, and morpholinonyl), each of which is optionally susbstituted.

[000505] For example, R_1 is phenyl substituted with two or more groups and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a morpholinyl or morpholinonyl ring, each of which is optionally susbsituted with unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000506] For example, R_1 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000507] For example, R_1 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000508] For example, R_1 is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, morpholinyl, $C(O)OR_{17}$, and $C(O)R_{17}$, wherein R_{17} is H, methyl or trifluoromethyl.

[000509] For example, R_1 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000510] For example, R_1 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000511] For example, R_1 is piperidinyl.

[000512] For example, R_2 is fluorine, chlorine, bromine or iodine.

[000513] For example, R_2 is bromine.

[000514] For example, R_2 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000515] For example, R_2 is unsubstituted methyl.

[000516] For example, R_2 is trifluoromethyl (*i.e.*, CF_3).

[000517] For example, R_2 is unsubstituted amino.

[000518] For example, R_2 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000519] For example, R_2 is unsubstituted phenyl or naphthyl.

[000520] For example, R_2 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000521] For example, R_2 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[000522] For example, R_2 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000523] For example, R_2 is phenyl substituted with methyl, which is optionally substituted.

[000524] For example, R_2 is phenyl substituted with methyl, which is optionally substituted with hydroxyl.

[000525] For example, R_2 is phenyl substituted with C_1-C_6 alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000526] For example, R_2 is phenyl substituted with methoxy or ethoxy.

[000527] For example, R_2 is phenyl substituted with unsubstituted amino.

[000528] For example, R_2 is phenyl substituted with $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}'$, $NR_{16}'C(O)R_{16}$, $NR_{16}'C(O)OR_{16}$, $NR_{16}'S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$.

[000529] For example, R_{16} is H.

[000530] For example, R_{16} is C_1-C_6 alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000531] For example, R_{16} is methyl, ethyl, propyl, i-propyl.

[000532] For example, R_2 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl,

quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000533] For example, R_2 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000534] For example, R_2 is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, $C(O)OR_{17}$ wherein R_{17} is H or methyl.

[000535] For example, R_2 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000536] For example, R_2 is unsubstituted or substituted cyclopentyl.

[000537] For example, R_2 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000538] For example, R_3 is fluorine, chlorine, bromine or iodine.

[000539] For example, R_3 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000540] For example, R_3 is unsubstituted methyl.

[000541] For example, R_3 is unsubstituted amino.

[000542] For example, R_3 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*,

methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000543] For example, R_3 is unsubstituted phenyl or naphthyl.

[000544] For example, R_3 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000545] For example, R_3 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[000546] For example, R_3 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000547] For example, R_3 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000548] For example, R_3 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl,

imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000549] For example, R_3 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000550] For example, R_3 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000551] For example, x is 0.

[000552] For example, x is 1.

[000553] For example, each R_{p1} is independently fluorine, chlorine, bromine or iodine.

[000554] For example, each R_{p1} is independently chlorine.

[000555] For example, each R_{p1} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000556] For example, each R_{p1} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000557] For example, each R_{p1} is independently unsubstituted amino.

[000558] For example, each R_{p1} is independently amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000559] For example, y is 0.

[000560] For example, y is 1.

[000561] For example, each R_{p2} is independently fluorine, chlorine, bromine or iodine.

[000562] For example, each R_{p2} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000563] For example, each R_{p2} is independently methyl, ethyl, propyl, i-propyl or t-butyl.

[000564] For example, each R_{p2} is independently C_1-C_6 alkyl substituted with amino.

[000565] For example, each R_{p2} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000566] For example, each R_{p2} is independently unsubstituted amino.

[000567] For example, each R_{p2} is independently amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000568] For example, each R_{p2} is independently unsubstituted or substituted phenyl or naphthyl.

[000569] For example, each R_{p2} is independently heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000570] For example, each R_{p2} is independently oxazolyl.

[000571] For example, each R_{p2} is independently unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000572] For example, each R_{p2} is independently heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000573] For example, R_{n5} and R_{n6} are each H.

[000574] For example, R_{n5} is H and R_{n6} is unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[000575] For example, R_{n5} and R_{n6} are each unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[000576] For example, at least one of R_{n5} and R_{n6} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000577] For example, at least one of R_{n5} and R_{n6} is unsubstituted amino.

[000578] For example, at least one of R_{n5} and R_{n6} is amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000579] For example, at least one of R_{n5} and R_{n6} is $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[000580] For example, at least one of R_{n5} and R_{n6} is $S(O)_2R_8$.

[000581] For example, R_8 is H.

[000582] For example, R_8 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000583] For example, R_8 is methyl.

[000584] For example, R_8 is unsubstituted or substituted phenyl or naphthyl.

[000585] For example, R_8 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl,

isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000586] For example, R_8 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000587] For example, R_8 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000588] For example, R_N is NR_9R_{10}.

[000589] For example, R_9 and R_{10} are each H (*i.e.*, R_N is NH_2).

[000590] For example, R_9 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000591] For example, R_9 is unsubstituted or substituted phenyl or naphthyl.

[000592] For example, R_9 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000593] For example, R_9 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000594] For example, R_9 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000595] For example, R_9 is $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, or $S(O)_2R_{12}$.

[000596] For example, R_{12} is H.

[000597] For example, R_{12} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000598] For example, R_{12} is methyl, ethyl, or t-butyl.

[000599] For example, R_{12} is unsubstituted or substituted phenyl or naphthyl.

[000600] For example, R_{12} is unsubstituted phenyl.

[000601] For example, R_{12} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000602] For example, R_{12} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000603] For example, R_{12} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000604] For example, R_{13} is H.

[000605] For example, R_{13} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000606] For example, R_{13} is methyl, ethyl, or t-butyl.

[000607] For example, R_{13} is unsubstituted or substituted phenyl or naphthyl.

[000608] For example, R_{13} is unsubstituted phenyl.

[000609] For example, R_{13} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000610] For example, R_{13} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000611] For example, R_{13} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000612] For example, R_{10} is H.

[000613] For example, R_{10} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl,

i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000614] For example, R_{10} is unsubstituted or substituted phenyl or naphthyl.

[000615] For example, R_{10} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000616] For example, R_{10} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000617] For example, R_{10} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000618] For example, R_N is $C(O)R_{11}$.

[000619] For example, R_{11} is H.

[000620] For example, R_{11} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000621] For example, R_{11} is unsubstituted or substituted phenyl or naphthyl.

[000622] For example, R_{11} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000623] For example, R_{11} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000624] For example, R_{11} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000625] For example, R_{11} is OR_{14} or $NR_{14}R_{15}$.

[000626] For example, R_{14} is H.

[000627] For example, R_{14} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with hydroxyl or halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000628] For example, R_{14} methyl or ethyl.

[000629] For example, R_{14} is C_1-C_6 alkyl substituted with hydroxyl.

[000630] For example, R_{14} is unsubstituted or substituted phenyl or naphthyl.

[000631] For example, R_{14} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000632] For example, R_{14} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000633] For example, R_{14} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000634] For example, R_{15} is H.

[000635] For example, R_{15} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000636] For example, R_{15} is unsubstituted or substituted phenyl or naphthyl.

[000637] For example, R_{15} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000638] For example, R_{15} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

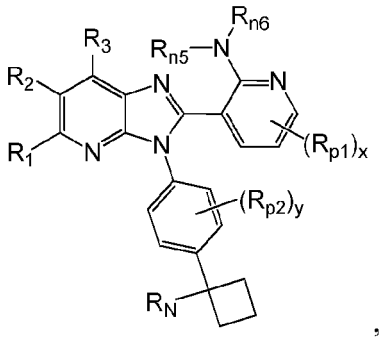
[000639] For example, R_{15} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000640] For example,  is a 3-, 4-, 5-, 6-, or 7-member carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclobutenyl, cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl, cycloheptyl, and cycloheptenyl.

[000641] For example,  is a 3-, 4-, 5-, 6-, or 7-member carbocycle and is optionally subsitued with one or more groups selected from hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000642] For example,  is cyclobutyl.

[000643] For example, the compounds of the present invention have the following formula:



,

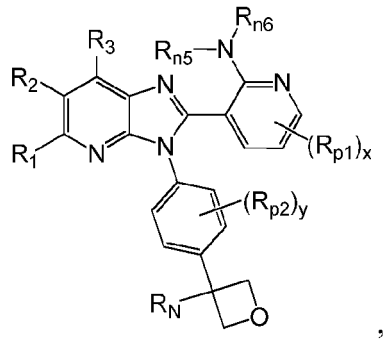
wherein each of substituent groups is defined according to Formula II.

[000644] For example,  is a 3-, 4-, 5-, 6-, or 7-member heterocycle selected from aziridinyl, oxiranyl, thiiranyl, azetidinyl, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, tetrahyrofuranyl, tetrahyrothiophenyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, oxepanyl, thiepanyl, diazepanyl, oxazepanyl, and thiazepanyl, and the like, and is optionally substituted.

[000645] For example,  is a 3-, 4-, 5-, 6-, or 7-member heterocycle and is optionally subsitued with one or more groups selected from hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000646] For example,  is oxetanyl.

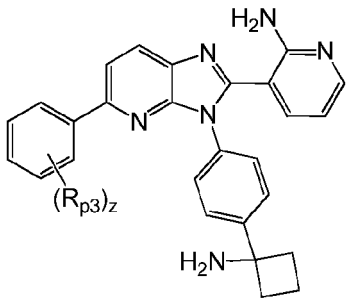
[000647] For example, the compounds of the present invention have the following formula:



,

wherein each of substituent groups is defined according to Formula II.

[000648] The present invention also provides the compounds of Formula IIa:



(IIa),

wherein:

z is 0, 1, 2, 3, 4 or 5;

each R_{p3} is independently hydroxyl, cyano, nitro, halogen, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$, or

any two adjacent R_{p3}, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S;

R_{16} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S; and

R_{16}' is H or unsubstituted or substituted C_1-C_6 alkyl.

[000649] For example, z is 0.

[000650] For example, z is 1 or 2.

[000651] For example, each R_{p3} is independently fluorine, chlorine, bromine or iodine.

[000652] For example, each R_{p3} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl

[000653] For example, each R_{p3} is independently C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000654] For example, each R_{p3} is independently methyl, which is optionally substituted.

[000655] For example, each R_{p3} is independently methyl, which is optionally substituted with hydroxyl, amino, or dimethylamino.

[000656] For example, each R_{p3} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy, each of which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000657] For example, each R_{p3} is independently methoxy, trifluoromethoxy, ethoxy, propyloxy, or ethylenedioxy.

[000658] For example, each R_{p3} is independently unsubstituted amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), or unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino).

[000659] For example, each R_{p3} is independently unsubstituted amino, dimethylamino or diethylamino.

[000660] For example, each R_{p3} is independently unsubstituted or substituted phenyl or naphthyl.

[000661] For example, each R_{p3} is independently heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000662] For example, each R_{p3} is independently pyrazolyl.

[000663] For example, each R_{p3} is independently carbocycle selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl, and is optionally substituted.

[000664] For example, each R_{p3} is independently heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl, and the like, and is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl)

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)), and

e) $C(O)R_{18}$, $C(O)OR_{18}$, wherein:

R_{18} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000665] For example, each R_{p3} is independently heterocycle, which is optionally substituted with hydroxyl, halogen, methyl, dimethylamino, and $C(O)R_{18}$ wherein R_{18} is methyl.

[000666] For example, each R_{p3} is independently $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$.

[000667] For example, R_{16} is H.

[000668] For example, R_{16} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000669] For example, R_{16} is C_1-C_6 alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000670] For example, R_{16} is methyl, ethyl, propyl, i-propyl.

[000671] For example, R_{16} is unsubstituted amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), or unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino).

[000672] For example, R_{16} is dimethylamino.

[000673] For example, R_{16} is unsubstituted or substituted phenyl or naphthyl.

[000674] For example, R_{16} is phenyl substituted with one or more groups selected from hydroxyl, cyano, nitro, amino, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000675] For example, R_{16} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000676] For example, R_{16} is carbocycle selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl, and is optionally substituted.

[000677] For example, R_{16} is cyclopropyl.

[000678] For example, R_{16} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000679] For example, R_{16} is morpholinyl.

[000680] For example, R_{16}' is H.

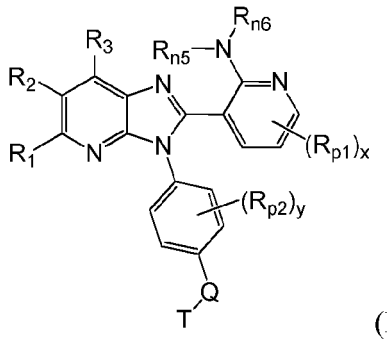
[000681] For example, R_{16}' is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000682] For example, R_{16}' is methyl or ethyl.

[000683] For example, any two adjacent R_{p3}, together with the carbon atoms to which they attach, form a carbocycle ring (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl) or a heterocycle ring (*e.g.*, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, and morpholinonyl), each of which is optionally susbstituted.

[000684] For example, any two adjacent R_{p3}, together with the carbon atoms to which they attach, form a morpholinyl or morpholinonyl ring, each of which is optionally susbsituted with unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000685] The present invention also provides the compounds of Formula III:



(III),

wherein:

R_1, R_2 and R_3 are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

x is 0, 1, 2 or 3;

y is 0, 1, 2, 3 or 4;

each R_{p1} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, or unsubstituted or substituted amino;

each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$;

R_8 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

Q is a bond or unsubstituted or substituted C_1-C_6 alkyl linker;

T is $NR_{n1}R_{n2}$, $C(O)R_o$, $S(O)R_s$ or $S(O)_2R_s$;

R_{n1} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n2} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, $S(O)R_5$, $S(O)_2R_5$, $S(O)NR_5'R_5$, or $S(O)_2NR_5'R_5$, or R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_4, R_4', R_5 and R_5' are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl

comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_o is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $NR_{o1}R_{o2}$, or OR_{o3};

R_s is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or $NR_{s1}R_{s2}$;

R_{o1} and R_{o2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_{o3} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S; and

R_{s1} and R_{s2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{s1} and R_{s2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S.

[000686] For example, Q is a bond.

[000687] For example, Q is an unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl linker, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl linker.

[000688] For example, Q is a methyl linker.

[000689] For example, T is $NR_{n1}R_{n2}$.

[000690] For example, R_{n1} and R_{n2} are each H.

[000691] For example, R_{n1} is H.

[000692] For example, R_{n1} is H and R_{n2} is unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, $S(O)R_5$, $S(O)_2R_5$, $S(O)NR_5'R_5$, or $S(O)_2NR_5'R_5$.

[000693] For example, R_{n1} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000694] For example, R_{n1} is C_1-C_6 alkyl substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), and

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl).

[000695] For example, R_{n1} is C_1-C_6 alkyl substituted with phenyl.

[000696] For example, R_{n1} is methyl substituted with phenyl.

[000697] For example, R_{n1} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl and R_{n2} is unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, $S(O)R_5$, $S(O)_2R_5$, $S(O)NR_5'R_5$, or $S(O)_2NR_5'R_5$.

[000698] For example, R_{n1} is unsubstituted or substituted phenyl or naphthyl.

[000699] For example, R_{n1} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000700] For example, R_{n1} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000701] For example, R_{n1} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000702] For example, R_{n2} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000703] For example, R_{n2} is C_1-C_6 alkyl substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), and

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl).

[000704] For example, R_{n2} is C_1-C_6 alkyl substituted with phenyl.

[000705] For example, R_{n2} is methyl substituted with phenyl.

[000706] For example, R_{n2} is unsubstituted or substituted phenyl or naphthyl.

[000707] For example, R_{n2} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000708] For example, R_{n2} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000709] For example, R_{n2} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000710] For example, R_{n2} is $C(O)R_4$, $C(O)OR_4$, or $C(O)NR_4'R_4$.

[000711] For example, R_4' is H.

[000712] For example, R_4' is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000713] For example, R_4' is unsubstituted or substituted phenyl or naphthyl.

[000714] For example, R_4' is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000715] For example, R_4' is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000716] For example, R_4' is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000717] For example, R_4 is H.

[000718] For example, R_4 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, n-hexyl and 2-methylpropyl.

[000719] For example, R_4 is C_1-C_6 alkyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), and

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl).

[000720] For example, R_4 is C_1-C_6 alkyl substituted with one, two or more groups selected from phenyl, pyridinyl, tetrazolyl, cyclopentyl and cyclohexyl.

[000721] For example, R_4 is methyl, ethyl, propyl, i-propyl, t-butyl, or 2-methylpropyl.

[000722] For example, R_4 is unsubstituted or substituted phenyl, naphthyl, indenyl, or dihydroindenyl.

[000723] For example, R_4 is unsubstituted phenyl.

[000724] For example, R_4 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, pyrazolonyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

i) $C(O)R_{19}$, $C(O)OR_{19}$, $NR_{19}'C(O)R_{19}$, and $NR_{19}'C(O)OR_{19}$,

wherein:

R_{19} and R_{19}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000725] For example, R_4 is phenyl substituted with one, two or more C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)), and

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000726] For example, R_4 is phenyl substituted with fluorine.

[000727] For example, R_4 is phenyl substituted with one, two or more groups independently selected from methyl, ethyl, i-propyl, t-butyl, trifluoromethyl, and benzyl.

[000728] For example, R_4 is phenyl substituted with one, two or more C_1-C_6 alkoxy, which is optionally substituted with one or more halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000729] For example, R_4 is phenyl substituted with one, two or more groups independently selected from methoxy, ethoxy, i-propyloxy, and trifluoromethoxy.

[000730] For example, R_4 is phenyl substituted with one, two or more groups independently selected from amino and dimethylamino.

[000731] For example, R_4 is phenyl substituted with phenyl.

[000732] For example, R_4 is phenyl substituted with heteroaryl, which is optionally substituted with unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000733] For example, R_4 is phenyl substituted with pyrazolyl or pyrazolonyl, each of which is optionally substituted with methyl.

[000734] For example, R_4 is phenyl substituted with $C(O)R_{19}$, $C(O)OR_{19}$, $NR_{19}'C(O)R_{19}$, and $NR_{19}'C(O)OR_{19}$.

[000735] For example, R_{19}' is H.

[000736] For example, R_{19} is H.

[000737] For example, R_{19} is methyl.

[000738] For example, R_{19} is phenyl and is optionally substituted with one or more groups, each of which is independently selected from hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), and unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000739] For example, R_{19} is phenyl substituted with halogen.

[000740] For example, R_4 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, indazolyl, and dihydrobenzofuranyl, and the like, and is optionally substituted.

[000741] For example, R_4 is heteroaryl optionally substituted with one or more groups, each of which is independently selected from hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl,

n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), and unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000742] For example, R_4 is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000743] For example, R_4 is pyridinyl, isoquinolinyl, isoxazolyl, thiophenyl, furanyl, indolyl, dihydrobenzofuranyl, pyrrolyl, benzothiophenyl, quinolinyl, pyrimidinyl, thiazolyl, or pyrazinyl, each of which is optionally substituted.

[000744] For example, R_4 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000745] For example, R_4 is cyclopentyl or cyclohexyl, each of which is optionally substituted.

[000746] For example, R_4 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000747] For example, R_{n2} is $S(O)R_5$ or $S(O)_2R_5$.

[000748] For example, R_5 is H.

[000749] For example, R_5 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, n-hexyl and 2-methylpropyl.

[000750] For example, R_5 is unsubstituted or substituted phenyl or naphthyl.

[000751] For example, R_5 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, pyrazolonyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

i) $C(O)R_{19}$, $C(O)OR_{19}$, $NR_{19}'C(O)R_{19}$, and $NR_{19}'C(O)OR_{19}$,

wherein:

R_{19} and R_{19}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000752] For example, R_5 is phenyl substituted with one, two or more groups, each of which is independently selected from methyl, methoxy, fluorine and chlorine.

[000753] For example, R_5 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, indazolyl, and dihydrobenzofuranyl, and the like, and is optionally substituted.

[000754] For example, R_5 is heteroaryl optionally substituted with one or more groups, each of which is independently selected from hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), and unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000755] For example, R_5 is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000756] For example, R_5 is pyridinyl, isoxazolyl, thiophenyl, imidazolyl, pyrazolyl, dihydrobenzofuranyl, or quinolinyl, each of which is optionally substituted.

[000757] For example, R_5 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000758] For example, R_5 is cyclopropyl or cyclohexyl, each of which is optionally substituted.

[000759] For example, R_5 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000760] For example, R_5' is H.

[000761] For example, R_5' is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000762] For example, R_5' is unsubstituted or substituted phenyl or naphthyl.

[000763] For example, R_5' is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

[000764] For example, R_5' is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000765] For example, R_5' is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000766] For example, R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring selected from azetidinyl, diazetidinyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, diazepanyl, oxazepanyl, and thiazepanyl, and the like, and is optionally substituted.

[000767] For example, R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring, which is optionally substituted with hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000768] For example, R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a morpholinyl or piperazinyl ring and is optionally substituted with methyl.

[000769] For example, T is $C(O)R_o$.

[000770] For example, R_o is H.

[000771] For example, R_o is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000772] For example, R_o is unsubstituted or substituted phenyl or naphthyl.

[000773] For example, R_o is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

[000774] For example, R_o is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000775] For example, R_o is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000776] For example, R_o is $NR_{o1}R_{o2}$.

[000777] For example, R_{o1} and R_{o2} are each H.

[000778] For example, at least one of R_{o1} and R_{o2} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000779] For example, at least one of R_{o1} and R_{o2} is C_1-C_6 alkyl substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

f) $NR_{20}'C(O)R_{20}$, and $NR_{20}'C(O)OR_{20}$,

wherein:

R_{20} and R_{20}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000780] For example, at least one of R_{o1} and R_{o2} is C_1-C_6 alkyl substituted with one or more groups selected from phenyl, cyclohexyl and $NHC(O)R_{20}$ wherein R_{20} is methyl.

[000781] For example, at least one of R_{o1} and R_{o2} is methyl, ethyl, i-propyl, or butyl, each of which is optionally substituted.

[000782] For example, at least one of R_{o1} and R_{o2} is unsubstituted or substituted phenyl or naphthyl.

[000783] For example, at least one of R_{o1} and R_{o2} is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, pyrazolonyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

i) $C(O)R_{21}$, $C(O)OR_{21}$, $NR_{21}'C(O)R_{21}$, and $NR_{21}'C(O)OR_{21}$,

wherein:

R_{21} and R_{21}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000784] For example, at least one of R_{o1} and R_{o2} is phenyl substituted with one, two or more groups, each of which is independently selected from cyano, halogen, methyl, t-butyl, methoxy and $NHC(O)R_{21}$ wherein R_{21} is methyl.

[000785] For example, at least one of R_{o1} and R_{o2} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, indazolyl and oxodihydrobenzofuranyl, and the like, and is optionally substituted.

[000786] For example, at least one of R_{o1} and R_{o2} is heteroaryl and is optionally substituted with one or more groups, each of which is independently selected from hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), and unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000787] For example, at least one of R_{o1} and R_{o2} is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000788] For example, at least one of R_{o1} and R_{o2} is pyridinyl, benzothiazolyl, benzoimidazolyl, quinolinyl, or oxodihydrobenzofuranyl, each of which is optionally substituted.

[000789] For example, at least one of R_{o1} and R_{o2} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000790] For example, at least one of R_{o1} and R_{o2} is cyclopentyl.

[000791] For example, at least one of R_{o1} and R_{o2} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000792] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring selected from azetidinyl, diazetidinyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, diazepanyl, oxazepanyl, and thiazepanyl, and the like, and is optionally substituted.

[000793] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring, which is optionally substituted with one or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, pyrazolonyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzoimidazolonyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), and

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl).

[000794] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a piperidinyl ring and is optionally substituted with benzoimidazolonyl.

[000795] For example, R_o is OR_{o3}.

[000796] For example, R_{o3} is H.

[000797] For example, R_{o3} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, n-hexyl and 2-methylpropyl.

[000798] For example, R_{o3} is unsubstituted or substituted phenyl or naphthyl.

[000799] For example, R_{o3} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl,

isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

[000800] For example, R_{o3} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000801] For example, R_{o3} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000802] For example, T is $S(O)R_s$ or $S(O)_2R_s$.

[000803] For example, R_s is H.

[000804] For example, R_s is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000805] For example, R_s is unsubstituted or substituted phenyl or naphthyl.

[000806] For example, R_s is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

[000807] For example, R_s is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000808]

[000809] For example, R_s is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000810] For example, R_s is $NR_{s1}R_{s2}$.

[000811] For example, R_{s1} and R_{s2} are each H.

[000812] For example, at least one of R_{s1} and R_{s2} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000813] For example, at least one of R_{s1} and R_{s2} is methyl

[000814] For example, at least one of R_{s1} and R_{s2} is unsubstituted or substituted phenyl or naphthyl.

[000815] For example, at least one of R_{o1} and R_{o2} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, and indazolyl, and the like, and is optionally substituted.

[000816] For example, at least one of R_{o1} and R_{o2} is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000817] For example, at least one of R_{o1} and R_{o2} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000818] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring selected from azetidinyl, diazetidinyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, diazepanyl, oxazepanyl, and thiazepanyl, and the like, and is optionally substituted.

[000819] For example, R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a piperidinyl ring and is optionally substituted.

[000820] For example, R_1, R_2 and R_3 are each H.

[000821] For example, R_1 and R_2 are each H.

[000822] For example, R_2 and R_3 are each H.

[000823] For example, R_1 and R_3 are each H.

[000824] For example, only R_1 is H, and R_2 and R_3 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000825] For example, only R_2 is H, and R_1 and R_3 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted

C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000826] For example, only R_3 is H, and R_1 and R_2 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000827] For example, R_1 is fluorine, chlorine, bromine or iodine.

[000828] For example, R_1 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000829] For example, R_1 is unsubstituted methyl.

[000830] For example, R_1 is trifluoromethyl (*i.e.*, CF_3) or methyl substituted with dimethylamino.

[000831] For example, R_1 is unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000832] For example, R_1 is unsubstituted or substituted methoxy.

[000833] For example, R_1 is unsubstituted amino.

[000834] For example, R_1 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000835] For example, R_1 is amino substituted with one or two groups, each of which is independently selected from amino, benzyl, and phenyl.

[000836] For example, R_1 is unsubstituted phenyl or naphthyl.

[000837] For example, R_1 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000838] For example, R_1 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[000839] For example, R_1 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000840] For example, R_1 is phenyl substituted with methyl, which is optionally substituted.

[000841] For example, R_1 is phenyl substituted with methyl, which is optionally substituted with hydroxyl, methoxy, amino, or dimethylamino.

[000842] For example, R_1 is phenyl substituted with C_1-C_6 alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000843] For example, R_1 is phenyl substituted with methoxy, trifluoromethoxy, ethoxy, propyloxy, or ethylenedioxy.

[000844] For example, R_1 is phenyl substituted with unsubstituted amino.

[000845] For example, R_1 is phenyl substituted with dimethylamino or diethylamino.

[000846] For example, R_1 is phenyl substituted with pyrazolyl.

[000847] For example, R_1 is phenyl substituted heterocycle, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl)

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) $C(O)R_{18}$, and $C(O)OR_{18}$, wherein:

R_{18} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000848] For example, R_1 is phenyl substituted heterocycle, which is optionally substituted with hydroxyl, halogen, methyl, methoxy, dimethylamino, and $C(O)R_{18}$ wherein R_{18} is methyl.

[000849] For example, R_1 is phenyl substituted with $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$.

[000850] For example, R_{16} is H.

[000851] For example, R_{16} is C_1-C_6 alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000852] For example, R_{16} is methyl, ethyl, propyl, i-propyl.

[000853] For example, R_{16} is dimethylamino.

[000854] For example, R_{16} is phenyl and is optionally substituted with one or more groups selected from hydroxyl, cyano, nitro, amino, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000855] For example, R_{16} is cyclopropyl.

[000856] For example, R_{16} is morpholinyl.

[000857] For example, R_{16}' is H.

[000858] For example, R_{16}' is methyl or ethyl.

[000859] For example, R_1 is phenyl substituted with two or more groups and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a carbocycle ring (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl) or a heterocycle ring (*e.g.*, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl,

isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, and morpholinonyl), each of which is optionally susbstituted.

[000860] For example, R_1 is phenyl substituted with two or more groups and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a morpholinyl or morpholinonyl ring, each of which is optionally susbsituted with unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000861] For example, R_1 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000862] For example, R_1 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000863] For example, R_1 is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, morpholinyl, $C(O)OR_{17}$, and $C(O)R_{17}$, wherein R_{17} is H, methyl or trifluoromethyl.

[000864] For example, R_1 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000865] For example, R_1 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000866] For example, R_1 is piperidinyl.

[000867] For example, R_2 is fluorine, chlorine, bromine or iodine.

[000868] For example, R_2 is bromine.

[000869] For example, R_2 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10}

carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000870] For example, R_2 is unsubstituted methyl.

[000871] For example, R_2 is trifluoromethyl (*i.e.*, CF_3).

[000872] For example, R_2 is unsubstituted amino.

[000873] For example, R_2 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000874] For example, R_2 is unsubstituted phenyl or naphthyl.

[000875] For example, R_2 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000876] For example, R_2 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[000877] For example, R_2 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000878] For example, R_2 is phenyl substituted with methyl, which is optionally substituted.

[000879] For example, R_2 is phenyl substituted with methyl, which is optionally substituted with hydroxyl.

[000880] For example, R_2 is phenyl substituted with C_1-C_6 alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000881] For example, R_2 is phenyl substituted with methoxy or ethoxy.

[000882] For example, R_2 is phenyl substituted with unsubstituted amino.

[000883] For example, R_2 is phenyl substituted with $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$.

[000884] For example, R_{16} is H.

[000885] For example, R_{16} is C_1-C_6 alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000886] For example, R_{16} is methyl, ethyl, propyl, i-propyl.

[000887] For example, R_2 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000888] For example, R_2 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000889] For example, R_2 is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, $C(O)OR_{17}$ wherein R_{17} is H or methyl.

[000890] For example, R_2 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000891] For example, R_2 is unsubstituted or substituted cyclopentyl.

[000892] For example, R_2 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000893] For example, R_3 is fluorine, chlorine, bromine or iodine.

[000894] For example, R_3 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000895] For example, R_3 is unsubstituted methyl.

[000896] For example, R_3 is unsubstituted amino.

[000897] For example, R_3 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000898] For example, R_3 is unsubstituted phenyl or naphthyl.

[000899] For example, R_3 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl,

methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000900] For example, R_3 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[000901] For example, R_3 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000902] For example, R_3 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000903] For example, R_3 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl,

thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000904] For example, R_3 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000905] For example, R_3 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000906] For example, x is 0.

[000907] For example, x is 1.

[000908] For example, each R_{p1} is independently fluorine, chlorine, bromine or iodine.

[000909] For example, each R_{p1} is independently chlorine.

[000910] For example, each R_{p1} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000911] For example, each R_{p1} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000912] For example, each R_{p1} is independently unsubstituted amino.

[000913] For example, each R_{p1} is independently amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl

(*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000914] For example, y is 0.

[000915] For example, y is 1.

[000916] For example, each R_{p2} is independently fluorine, chlorine, bromine or iodine.

[000917] For example, each R_{p2} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000918] For example, each R_{p2} is independently methyl, ethyl, propyl, i-propyl or t-butyl.

[000919] For example, each R_{p2} is independently C_1-C_6 alkyl substituted with amino.

[000920] For example, each R_{p2} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000921] For example, each R_{p2} is independently unsubstituted amino.

[000922] For example, each R_{p2} is independently amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000923] For example, each R_{p2} is independently unsubstituted or substituted phenyl or naphthyl.

[000924] For example, each R_{p2} is independently heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000925] For example, each R_{p2} is independently oxazolyl.

[000926] For example, each R_{p2} is independently unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000927] For example, each R_{p2} is independently heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000928] For example, R_{n5} and R_{n6} are each H.

[000929] For example, R_{n5} is H and R_{n6} is unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[000930] For example, R_{n5} and R_{n6} are each unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[000931] For example, at least one of R_{n5} and R_{n6} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000932] For example, at least one of R_{n5} and R_{n6} is unsubstituted amino.

[000933] For example, at least one of R_{n5} and R_{n6} is amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000934] For example, at least one of R_{n5} and R_{n6} is $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[000935] For example, at least one of R_{n5} and R_{n6} is $S(O)_2R_8$.

[000936] For example, R_8 is H.

[000937] For example, R_8 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl,

i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[000938] For example, R_8 is methyl.

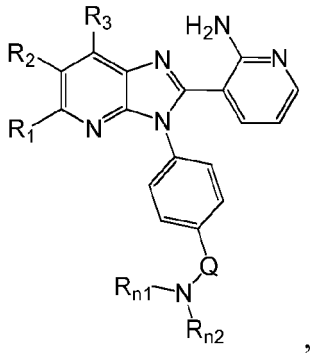
[000939] For example, R_8 is unsubstituted or substituted phenyl or naphthyl.

[000940] For example, R_8 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000941] For example, R_8 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000942] For example, R_8 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000943] The present invention also provides the compounds of the following formula: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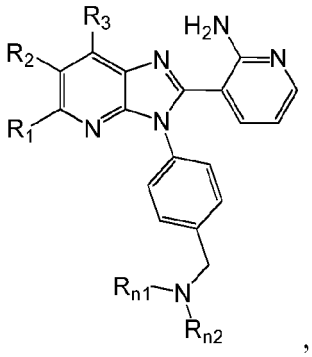
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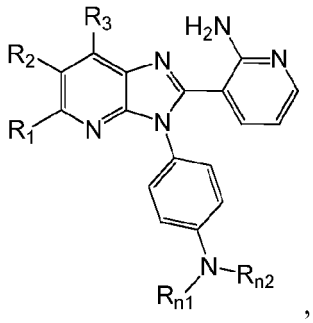
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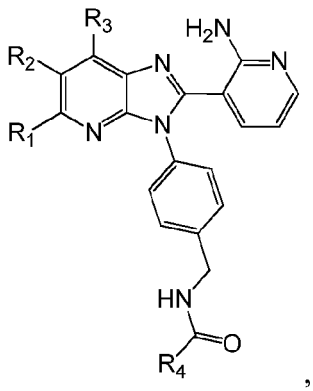
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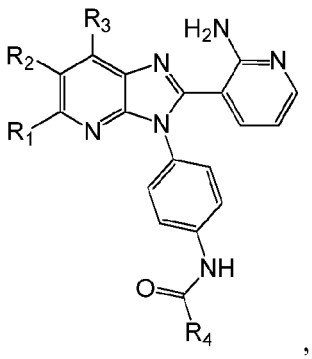
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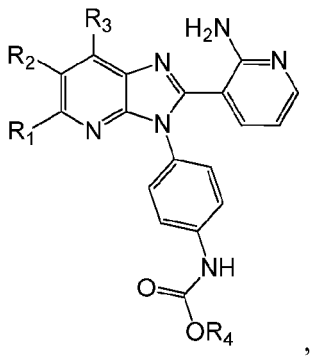
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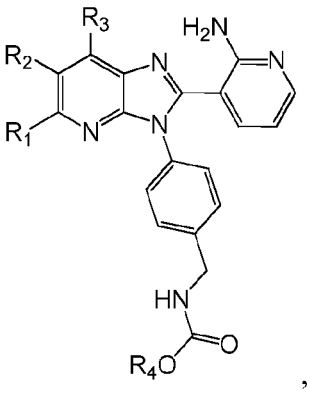
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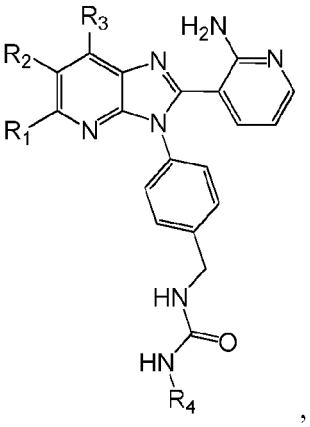
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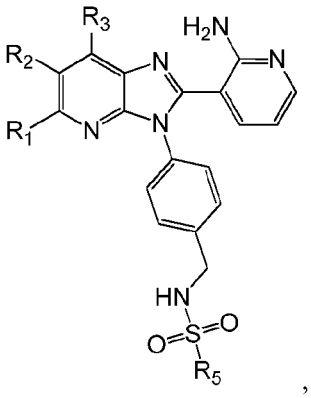
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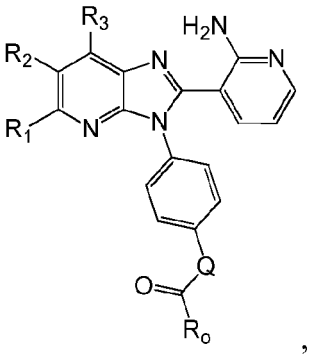
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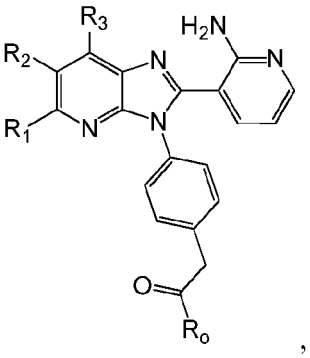
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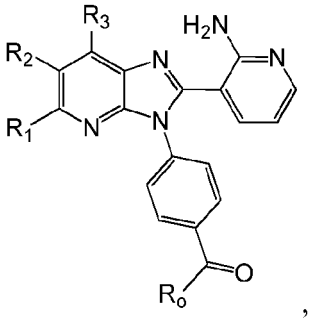
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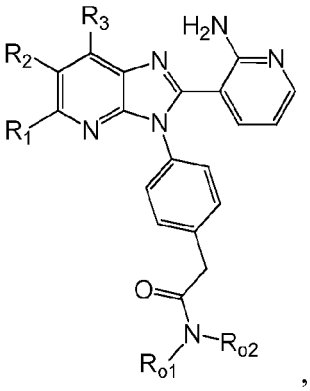
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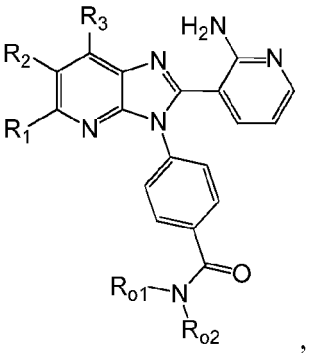
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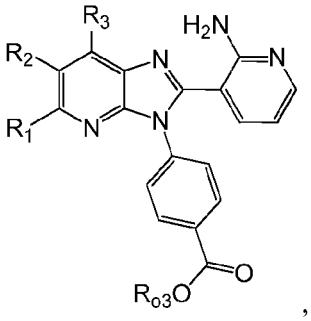
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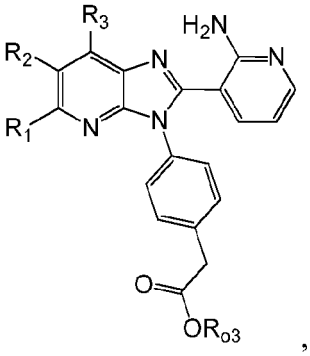
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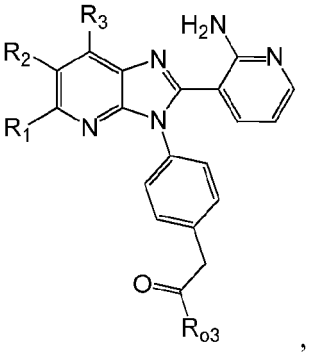
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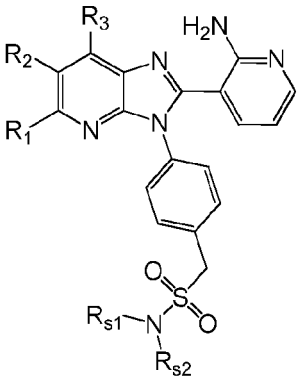
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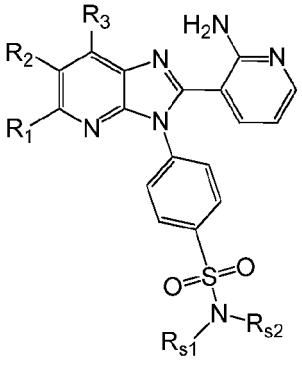
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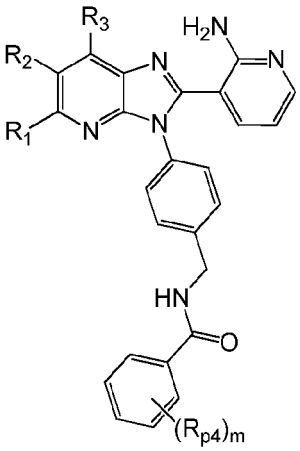
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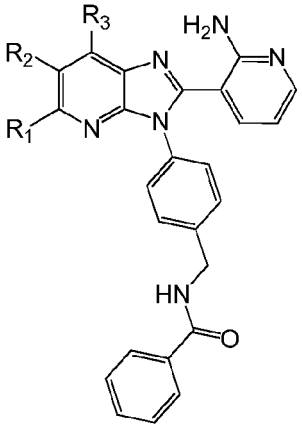
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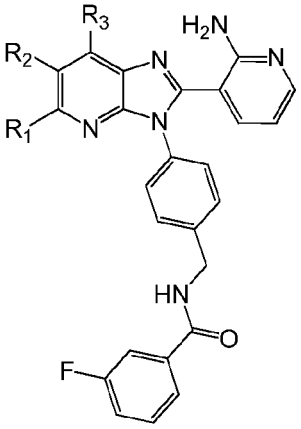
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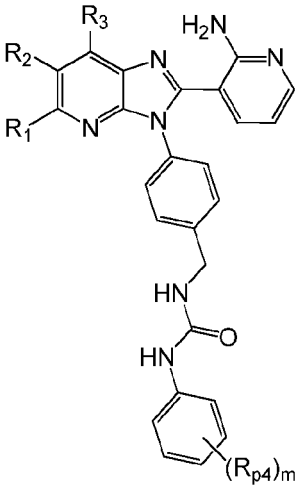
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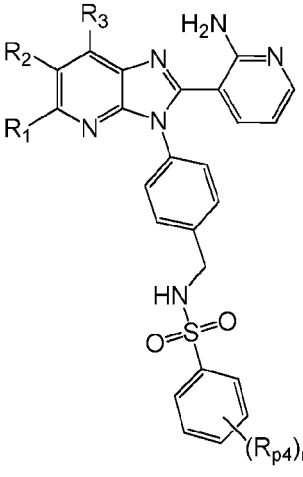
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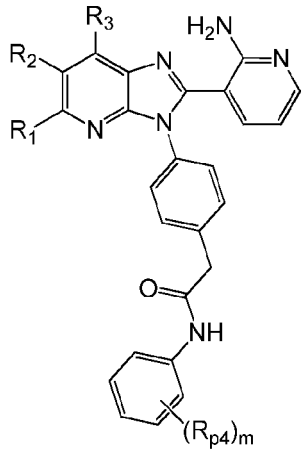
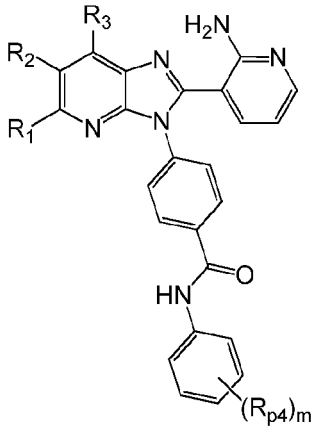



wherein:

R_1, R_2, R_3, R_4, R_5, R_{n1}, R_{n2}, R_o, R_{o1}, R_{o2}, R_{o3}, R_{s1} and R_{s2} are defined according to Formula III;

m is 0, 1, 2, 3, 4 or 5;

each R_{p4} is independently hydroxyl, cyano, nitro, halogen, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_{22}$, $C(O)OR_{22}$, $NR_{22}'C(O)R_{22}$, and $NR_{22}'C(O)OR_{22}$; and

R_{22} and R_{22}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl or unsubstituted or substituted C_6-C_{10} aryl.

[000944] For example, m is 0.

[000945] For example, m is 1, 2 or 3.

[000946] For example, each R_{p4} is independently fluorine, chlorine, bromine or iodine.

[000947] For example, each R_{p4} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000948] For example, each R_{p4} is independently C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)), and

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl).

[000949] For example, each R_{p4} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy

[000950] For example, each R_{p4} is independently unsubstituted amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), or unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino).

[000951] For example, each R_{p4} is independently unsubstituted or substituted phenyl and naphthyl.

[000952] For example, each R_{p4} is independently heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000953] For example, each R_{p4} is independently heteroaryl substituted with unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[000954] For example, each R_{p4} is independently unsubstituted or substituted carbocycle selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000955] For example, each R_{p4} is independently heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000956] For example, each R_{p4} is independently $C(O)R_{22}$, $C(O)OR_{22}$, $NR_{22}'C(O)R_{22}$, and $NR_{22}'C(O)OR_{22}$.

[000957] For example, R_{22} is H.

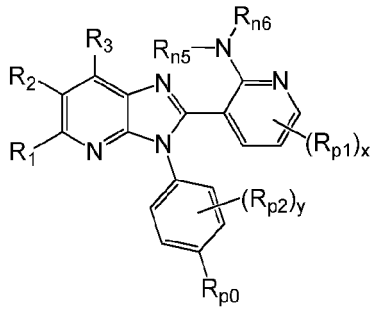
[000958] For example, R_{22} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000959] For example, R_{22} is phenyl and is optionally substituted with hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), and unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000960] For example, R_{22}' is H.

[000961] For example, R_{22}' is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000962] The present invention also provides the compounds of Formula IV:



(IV),

wherein:

R_1, R_2 and R_3 are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

x is 0, 1, 2 or 3;

y is 0, 1, 2, 3 or 4;

R_{p0} is H, hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

each R_{p1} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, or unsubstituted or substituted amino;

each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$; and

R_8 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000963] For example, R_{p0} is H.

[000964] For example, R_{p0} is fluorine, chlorine, bromine or iodine.

[000965] For example, R_{p0} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[000966] For example, R_{p0} is C_1-C_6 alkyl and is optionally substituted with

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted amino,

c) unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), or unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)), which is optionally substituted with one or two groups selected from:

(1) unsubstituted or substituted C_6-C_{10} aryl,

(2) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S,

(3) unsubstituted or substituted C_3-C_{10} carbocycle, and

(4) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000967] For example, R_{p0} is C_1-C_6 alkyl substituted with C_1-C_6 alkylamino or di-C_1-C_6 alkylamino, which is optionally substituted with phenyl.

[000968] For example, R_{p0} is methyl, ethyl, n-propyl, i-propyl, n-butyl, or t-butyl.

[000969] For example, R_{p0} is unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000970] For example, R_{p0} is unsubstituted or substituted methoxy.

[000971] For example, R_{p0} is unsubstituted amino.

[000972] For example, R_{p0} is amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl)

[000973] For example, R_{p0} is unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), or unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino).

[000974] For example, R_{p0} is dimethylamino or diethylamino.

[000975] For example, R_{p0} is unsubstituted or substituted phenyl or naphthyl.

[000976] For example, R_{p0} is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000977] For example, R_{p0} is pyrazolyl or triazolyl, and is optionally substituted.

[000978] For example, R_{p0} is carbocycle selected from cyclopropyl, cyclobutyl, cyclobutenyl, cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl, cycloheptyl, and cycloheptenyl, and is optionally substituted.

[000979] For example, R_{p0} is cyclobutyl or cyclohexyl, and is optionally substituted.

[000980] For example, R_{p0} is heterocycle selected from ziridinyl, oxiranyl, thiiranyl, azetidinyl, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, tetrahyrofuranyl, tetrahyrothiophenyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, oxepanyl, thiepanyl, diazepanyl, oxazepanyl, thiazepanyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl,

triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl, and the like, and is optionally substituted.

[000981] For example, R_{p0} is oxetanyl, and is optionally substituted.

[000982] For example, R_1, R_2 and R_3 are each H.

[000983] For example, R_1 and R_2 are each H.

[000984] For example, R_2 and R_3 are each H.

[000985] For example, R_1 and R_3 are each H.

[000986] For example, only R_1 is H, and R_2 and R_3 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000987] For example, only R_2 is H, and R_1 and R_3 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000988] For example, only R_3 is H, and R_1 and R_2 are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000989] For example, R_1 is fluorine, chlorine, bromine or iodine.

[000990] For example, R_1 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[000991] For example, R_1 is unsubstituted methyl.

[000992] For example, R_1 is trifluoromethyl (*i.e.*, CF_3) or methyl substituted with dimethylamino.

[000993] For example, R_1 is unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000994] For example, R_1 is unsubstituted or substituted methoxy.

[000995] For example, R_1 is unsubstituted amino.

[000996] For example, R_1 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000997] For example, R_1 is amino substituted with one or two groups, each of which is independently selected from amino, benzyl, and phenyl.

[000998] For example, R_1 is unsubstituted phenyl or naphthyl.

[000999] For example, R_1 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001000] For example, R_1 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[0001001] For example, R_1 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[0001002] For example, R_1 is phenyl substituted with methyl, which is optionally substituted.

[0001003] For example, R_1 is phenyl substituted with methyl, which is optionally substituted with hydroxyl, methoxy, amino, or dimethylamino.

[0001004] For example, R_1 is phenyl substituted with C_1-C_6 alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[0001005] For example, R_1 is phenyl substituted with methoxy, trifluoromethoxy, ethoxy, propyloxy, or ethylenedioxy.

[0001006] For example, R_1 is phenyl substituted with unsubstituted amino.

[0001007] For example, R_1 is phenyl substituted with dimethylamino or diethylamino.

[0001008] For example, R_1 is phenyl substituted with pyrazolyl.

[0001009] For example, R_1 is phenyl substituted heterocycle, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl)

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) $C(O)R_{18}$, and $C(O)OR_{18}$, wherein:

R_{18} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001010] For example, R_1 is phenyl substituted heterocycle, which is optionally substituted with hydroxyl, halogen, methyl, methoxy, dimethylamino, and $C(O)R_{18}$ wherein R_{18} is methyl.

[0001011] For example, R_1 is phenyl substituted with $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$.

[0001012] For example, R_{16} is H.

[0001013] For example, R_{16} is C_1-C_6 alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[0001014] For example, R_{16} is methyl, ethyl, propyl, i-propyl.

[0001015] For example, R_{16} is dimethylamino.

[0001016] For example, R_{16} is phenyl and is optionally substituted with one or more groups selected from hydroxyl, cyano, nitro, amino, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001017] For example, R_{16} is cyclopropyl.

[0001018] For example, R_{16} is morpholinyl.

[0001019] For example, R_{16}' is H.

[0001020] For example, R_{16}' is methyl or ethyl.

[0001021] For example, R_1 is phenyl substituted with two or more groups and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a carbocycle ring (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl) or a heterocycle ring (*e.g.*, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, and morpholinonyl), each of which is optionally susbstituted.

[0001022] For example, R_1 is phenyl substituted with two or more groups and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a morpholinyl or morpholinonyl ring, each of which is optionally susbsituted with unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001023] For example, R_1 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[0001024] For example, R_1 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001025] For example, R_1 is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, morpholinyl, $C(O)OR_{17}$, and $C(O)R_{17}$, wherein R_{17} is H, methyl or trifluoromethyl.

[0001026] For example, R_1 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[0001027] For example, R_1 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[0001028] For example, R_1 is piperidinyl.

[0001029] For example, R_2 is fluorine, chlorine, bromine or iodine.

[0001030] For example, R_2 is bromine.

[0001031] For example, R_2 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[0001032] For example, R_2 is unsubstituted methyl.

[0001033] For example, R_2 is trifluoromethyl (*i.e.*, CF_3).

[0001034] For example, R_2 is unsubstituted amino.

[0001035] For example, R_2 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[0001036] For example, R_2 is unsubstituted phenyl or naphthyl.

[0001037] For example, R_2 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl,

tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001038] For example, R_2 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[0001039] For example, R_2 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[0001040] For example, R_2 is phenyl substituted with methyl, which is optionally substituted.

[0001041] For example, R_2 is phenyl substituted with methyl, which is optionally substituted with hydroxyl.

[0001042] For example, R_2 is phenyl substituted with C_1-C_6 alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[0001043] For example, R_2 is phenyl substituted with methoxy or ethoxy.

[0001044] For example, R_2 is phenyl substituted with unsubstituted amino.

[0001045] For example, R_2 is phenyl substituted with $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}'$, $NR_{16}'C(O)R_{16}$, $NR_{16}'C(O)OR_{16}$, $NR_{16}'S(O)_2R_{16}$, $S(O)R_{16}$, or $S(O)_2R_{16}$.

[0001046] For example, R_{16} is H.

[0001047] For example, R_{16} is C_1-C_6 alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[0001048] For example, R_{16} is methyl, ethyl, propyl, i-propyl.

[0001049] For example, R_2 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[0001050] For example, R_2 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001051] For example, R_2 is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, $C(O)OR_{17}$ wherein R_{17} is H or methyl.

[0001052] For example, R_2 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[0001053] For example, R_2 is unsubstituted or substituted cyclopentyl.

[0001054] For example, R_2 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[0001055] For example, R_3 is fluorine, chlorine, bromine or iodine.

[0001056] For example, R_3 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[0001057] For example, R_3 is unsubstituted methyl.

[0001058] For example, R_3 is unsubstituted amino.

[0001059] For example, R_3 is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

b) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl, each of which is optionally substituted with hydroxyl, nitro, amino, cyano, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl), or unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C_6-C_{10} aryl-C_1-C_6 alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[0001060] For example, R_3 is unsubstituted phenyl or naphthyl.

[0001061] For example, R_3 is phenyl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, cyano, nitro, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, t-butoxy, methylenedioxy, and ethylenedioxy),

d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

f) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

g) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

h) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidinyl, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) $C(O)R_{16}$, $C(O)OR_{16}$, $C(O)NR_{16}R_{16}$', NR_{16}'$C(O)R_{16}$, NR_{16}'$C(O)OR_{16}$, NR_{16}'$S(O)_2R_{16}$, $S(O)R_{16}$, and $S(O)_2R_{16}$, wherein:

R_{16} is:

(1) H, unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

(2) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

(4) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl)

(5) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl), or

(6) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl); and

R_{16}' is:

H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001062] For example, R_3 is phenyl substituted with two or more groups, and any two adjacent substituent groups, together with the carbon atoms to which they attach, form a 4-, 5-, 6- or 7-member ring optionally comprising 1-4 heteroatoms selected from N, O and S.

[0001063] For example, R_3 is phenyl substituted with C_1-C_6 alkyl, which is optionally substituted with one or more groups selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[0001064] For example, R_3 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[0001065] For example, R_3 is heteroaryl substituted with one, two or more groups, each of which is independently selected from:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl),

e) unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (*e.g.*, pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),

f) unsubstituted or substituted C_3-C_{10} carbocycle (*e.g.*, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl),

g) unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S (pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) $C(O)R_{17}$, and $C(O)OR_{17}$, wherein:

R_{17} is H or unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001066] For example, R_3 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[0001067] For example, R_3 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[0001068] For example, x is 0.

[0001069] For example, x is 1.

[0001070] For example, each R_{p1} is independently fluorine, chlorine, bromine or iodine.

[0001071] For example, each R_{p1} is independently chlorine.

[0001072] For example, each R_{p1} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[0001073] For example, each R_{p1} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[0001074] For example, each R_{p1} is independently unsubstituted amino.

[0001075] For example, each R_{p1} is independently amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001076] For example, y is 0.

[0001077] For example, y is 1.

[0001078] For example, each R_{p2} is independently fluorine, chlorine, bromine or iodine.

[0001079] For example, each R_{p2} is independently unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted with:

a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),

b) unsubstituted or substituted C_1-C_6 alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C_1-C_6 alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino),

and unsubstituted or substituted di-C_1-C_6 alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C_6-C_{10} aryl (*e.g.*, phenyl and naphthyl), unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

[0001080] For example, each R_{p2} is independently methyl, ethyl, propyl, i-propyl or t-butyl.

[0001081] For example, each R_{p2} is independently C_1-C_6 alkyl substituted with amino.

[0001082] For example, each R_{p2} is independently unsubstituted or substituted C_1-C_6 alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[0001083] For example, each R_{p2} is independently unsubstituted amino.

[0001084] For example, each R_{p2} is independently amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001085] For example, each R_{p2} is independently unsubstituted or substituted phenyl or naphthyl.

[0001086] For example, each R_{p2} is independently heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[0001087] For example, each R_{p2} is independently oxazolyl.

[0001088] For example, each R_{p2} is independently unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[0001089] For example, each R_{p2} is independently heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[0001090] For example, R_{n5} and R_{n6} are each H.

[0001091] For example, R_{n5} is H and R_{n6} is unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[0001092] For example, R_{n5} and R_{n6} are each unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[0001093] For example, at least one of R_{n5} and R_{n6} is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[0001094] For example, at least one of R_{n5} and R_{n6} is unsubstituted amino.

[0001095] For example, at least one of R_{n5} and R_{n6} is amino substituted with one or two groups, each of which is independently selected from unsubstituted or substituted C_1-C_6 alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

[0001096] For example, at least one of R_{n5} and R_{n6} is $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$.

[0001097] For example, at least one of R_{n5} and R_{n6} is $S(O)_2R_8$.

[0001098] For example, R_8 is H.

[0001099] For example, R_8 is unsubstituted or substituted, straight chain C_1-C_6 alkyl or branched C_3-C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl, each of which is optionally substituted.

[0001100] For example, R_8 is methyl.

[0001101] For example, R_8 is unsubstituted or substituted phenyl or naphthyl.

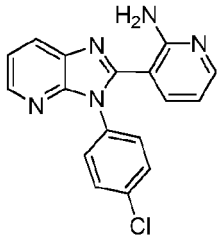
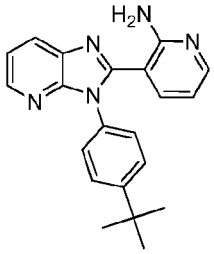
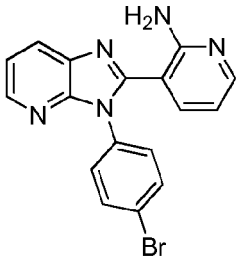
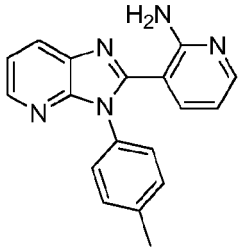
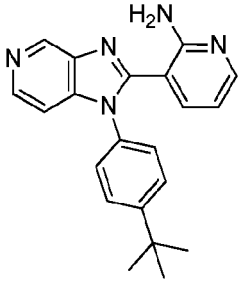
[0001102] For example, R_8 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

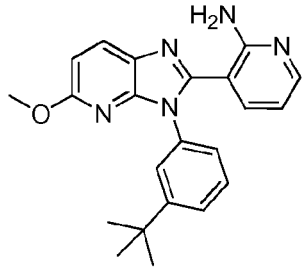
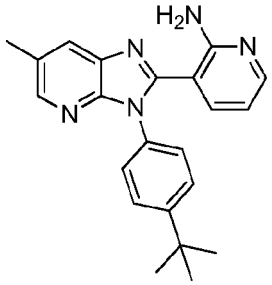
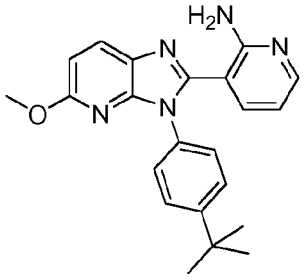
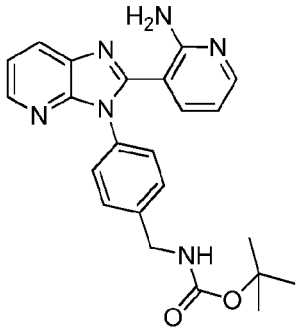
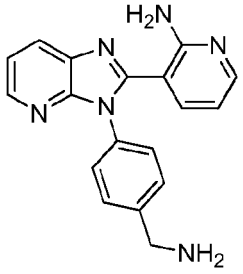
[0001103] For example, R_8 is unsubstituted or substituted C_3-C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

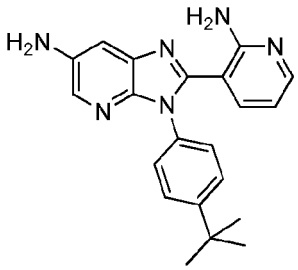
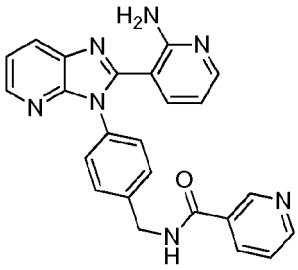
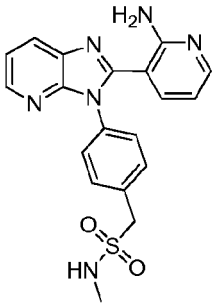
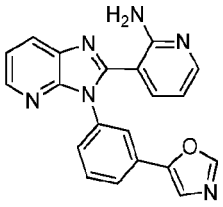
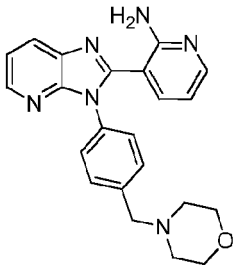
[0001104] For example, R_8 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahyrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

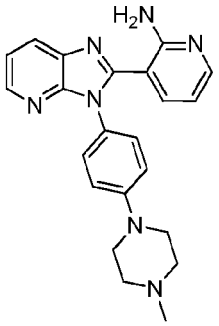
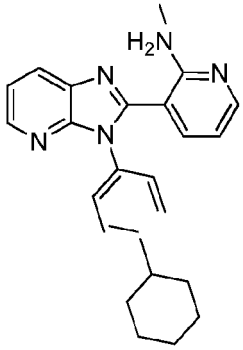
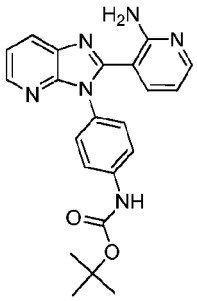
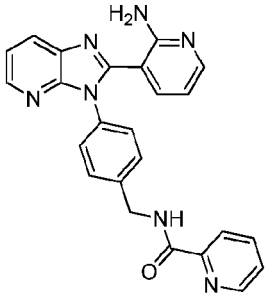
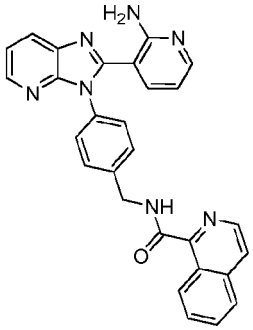
[0001105] Representative compounds of the present invention include compounds listed in Table 1.

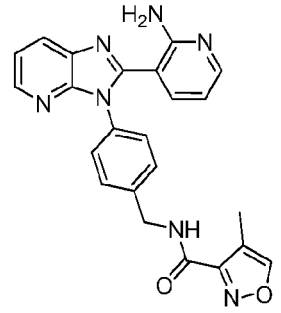
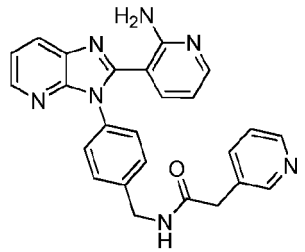
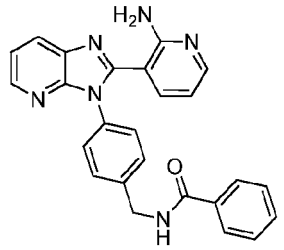
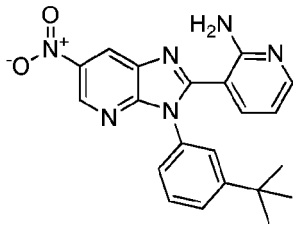
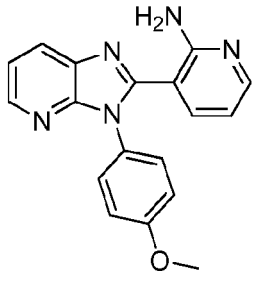
Table 1

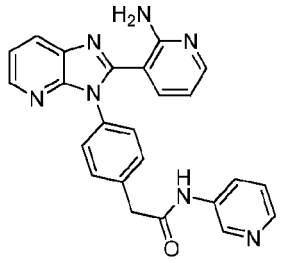
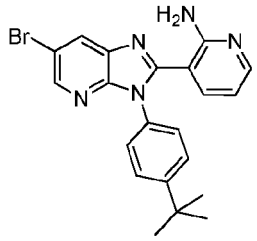
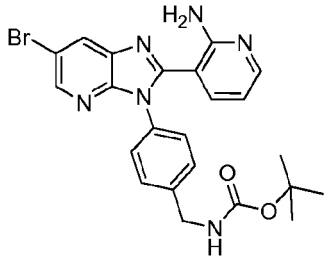
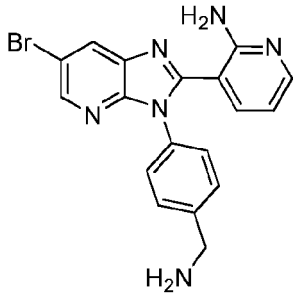
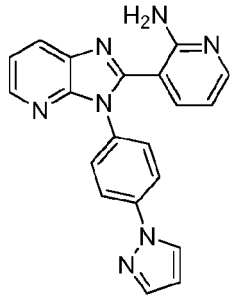
Cmpd	Structure	Chemical Name
1		3-(3-(4-chlorophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
2		3-(3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
3		3-[3-(4-bromophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine
4		3-(3-(p-tolyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
5		3-[1-(4-tert-butylphenyl)-1H-imidazo[4,5-c]pyridin-2-yl]pyridin-2-amine

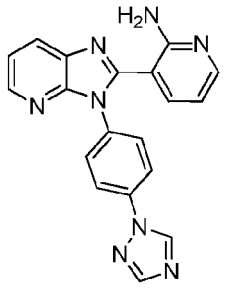
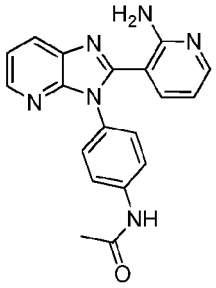
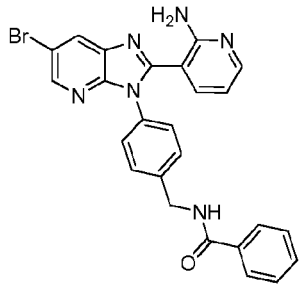
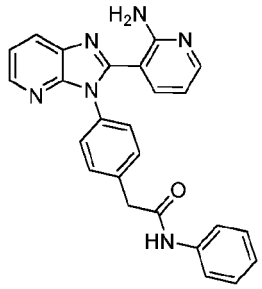
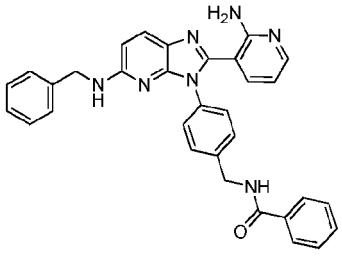
6		3-(3-(4-(tert-butyl)phenyl)-5-methoxy-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
7		3-(3-(4-(tert-butyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
8		3-(3-(4-(tert-butyl)phenyl)-5-methoxy-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
9		tert-butyl 4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate
10		3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

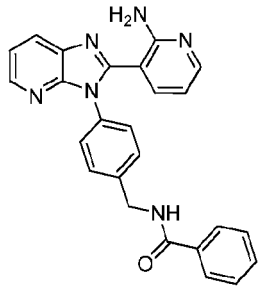
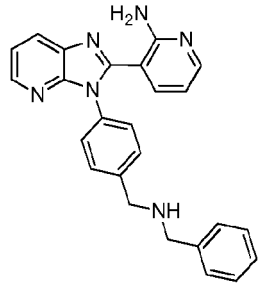
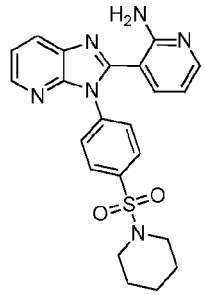
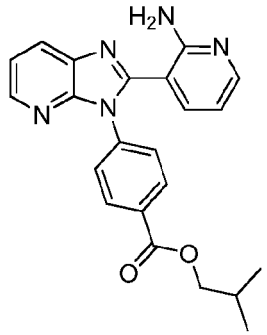
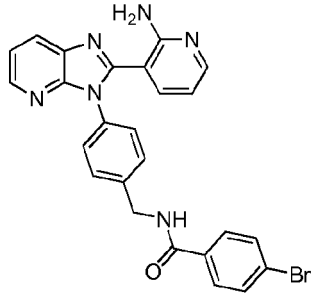
11		2-(2-aminopyridin-3-yl)-3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-amine
12		N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)nicotinamide
13		1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylmethanesulfonamide
14		3-{3-[3-(1,3-oxazol-5-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
15		3-{3-[4-(morpholin-4-ylmethyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

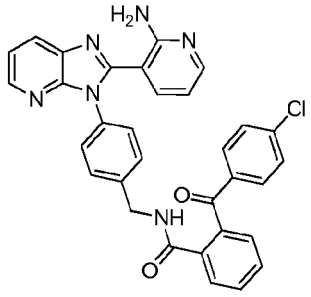
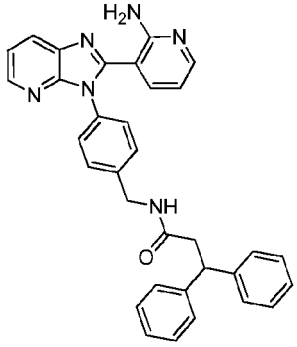
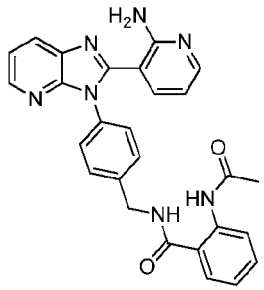
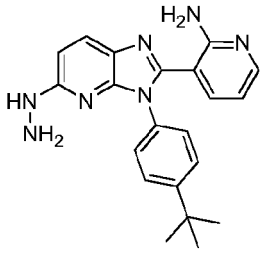
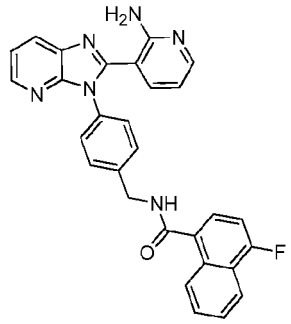
16		3-{3-[4-(4-methylpiperazin-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
17		3-[3-(4-cyclohexylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine
18		tert-butyl {4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}carbamate
19		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}pyridine-2-carboxamide
20		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}isoquinoline-1-carboxamide

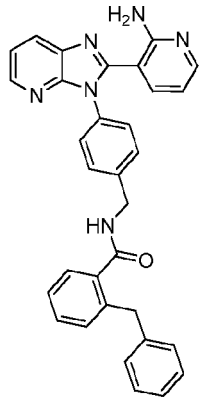
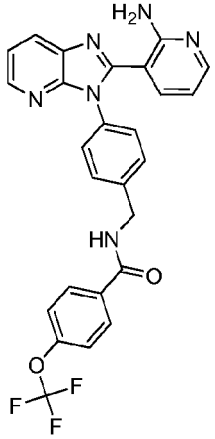
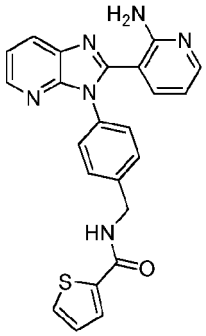
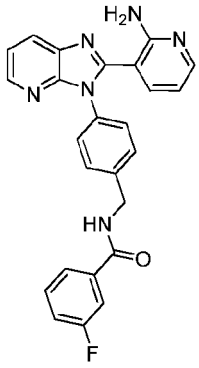
21		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methylisoxazole-3-carboxamide
22		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-pyridin-3-ylacetamide
23		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
24		3-[3-(3-tert-butylphenyl)-6-nitro-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine
25		3-[3-(4-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine

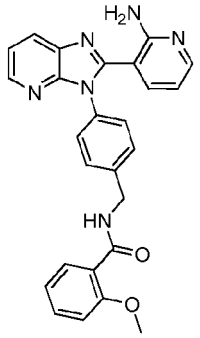
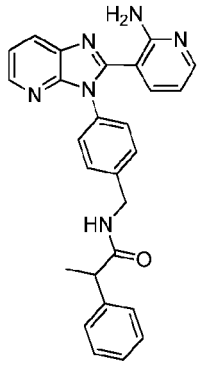
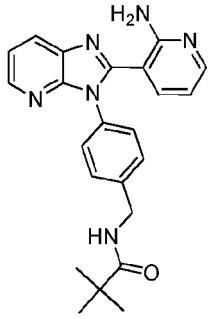
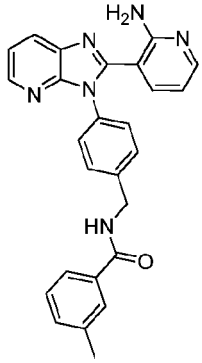
26		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-pyridin-3-ylacetamide
27		3-[6-bromo-3-(4-tert-butylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine
28		tert-butyl {4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}carbamate
29		3-{3-[4-(aminomethyl)phenyl]-6-bromo-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
30		3-{3-[4-(1H-pyrazol-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

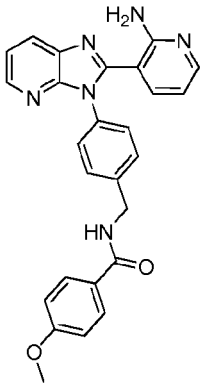
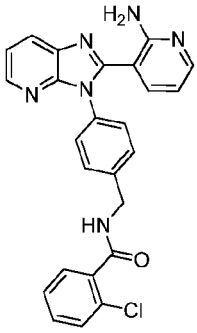
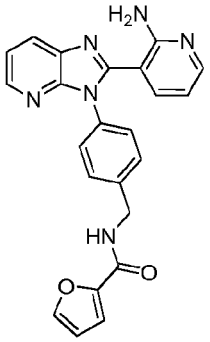
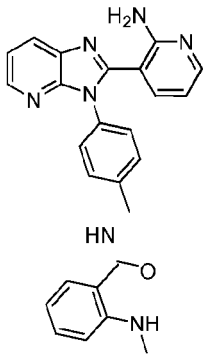
31		3-{3-[4-(1H-1,2,4-triazol-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
32		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide
33		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
34		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-phenylacetamide
35		N-{4-[2-(2-aminopyridin-3-yl)-5-(benzylamino)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

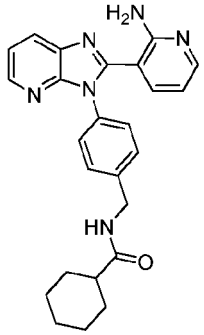
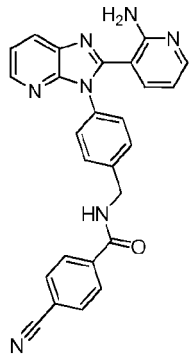
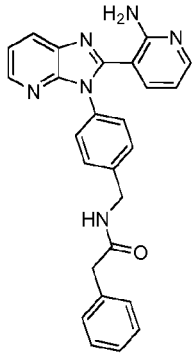
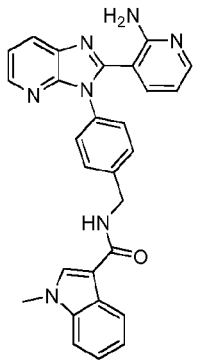
36		N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
37		3-(3-{4-[(benzylamino)methyl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
38		3-{3-[4-(piperidin-1-ylsulfonyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
39		isobutyl 4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzoate
40		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-bromobenzamide

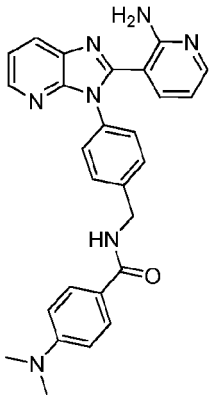
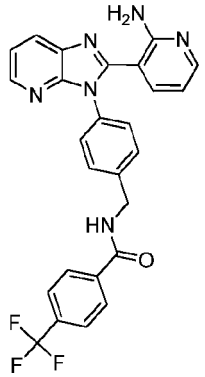
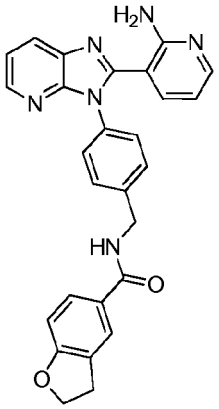
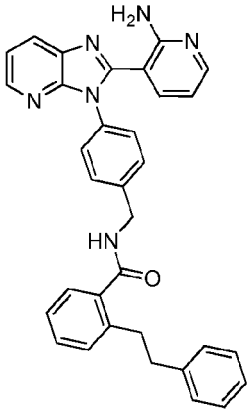
41		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(4-chlorobenzoyl)benzamide
42		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,3-diphenylpropanamide
43		2-acetamido-N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
44		3-[3-(4-tert-butylphenyl)-5-hydrazino-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine
45		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluoro-1-naphthamide

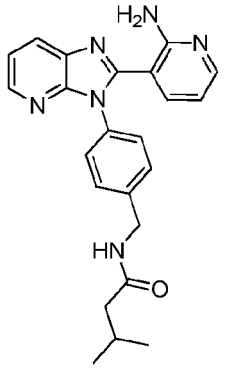
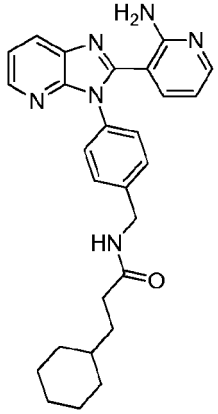
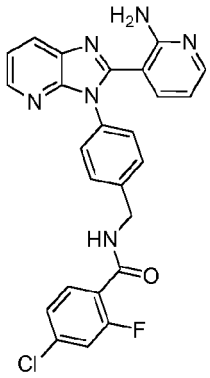
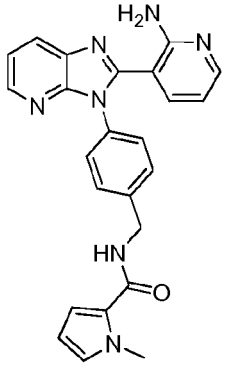
46		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-benzylbenzamide
47		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(trifluoromethoxy)benzamide
48		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-2-carboxamide
49		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzamide

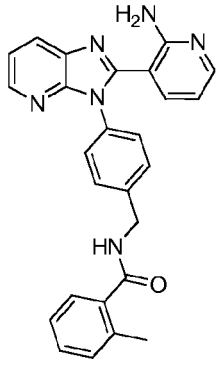
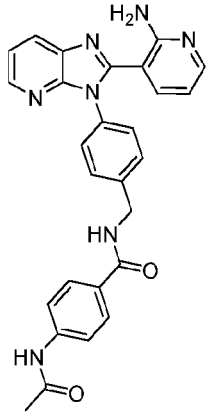
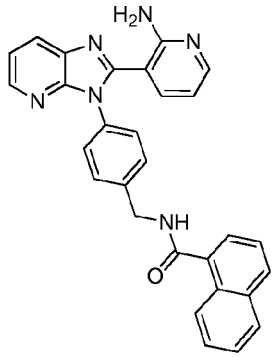
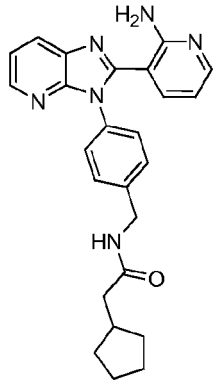
50		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methoxybenzamide
51		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-phenylpropanamide
52		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,2-dimethylpropanamide
53		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methylbenzamide

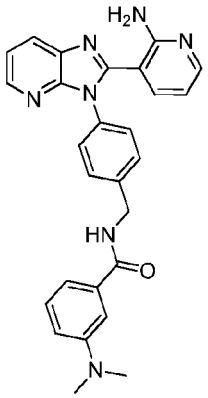
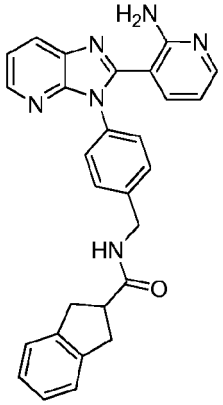
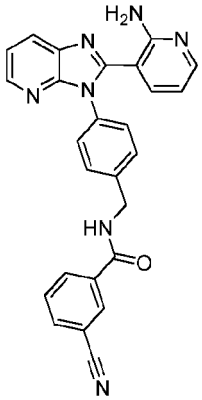
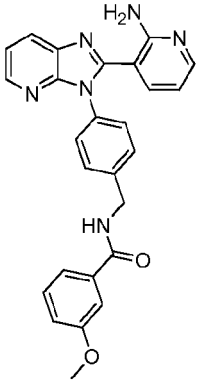
54		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzamide
55		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-chlorobenzamide
56		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}furan-2-carboxamide
57		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(methylamino)benzamide

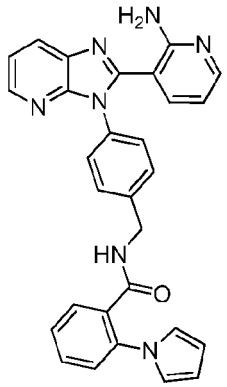
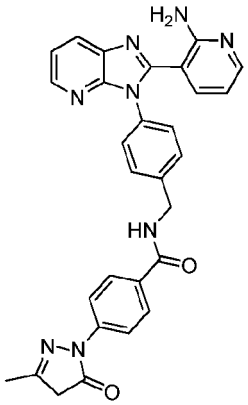
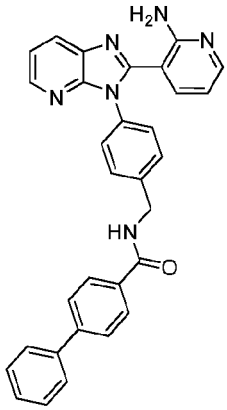
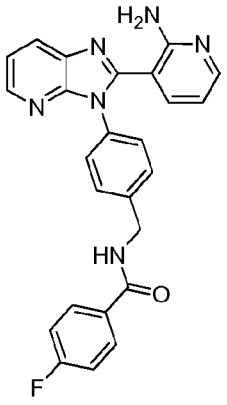
58		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclohexanecarboxamide
59		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-cyanobenzamide
60		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-phenylacetamide
61		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-indole-3-carboxamide

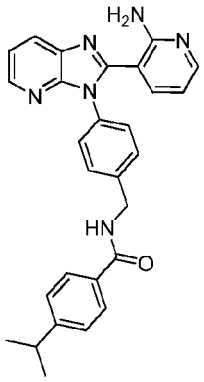
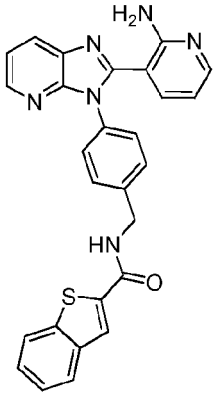
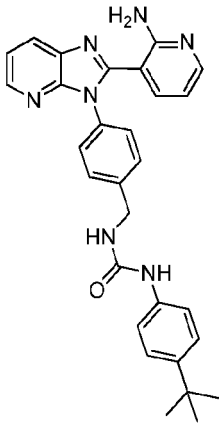
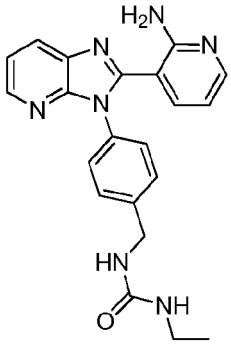
62		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(dimethylamino)benzamide
63		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(trifluoromethyl)benzamide
64		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1-benzofuran-5-carboxamide
65		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(2-phenylethyl)benzamide

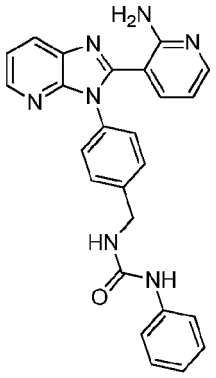
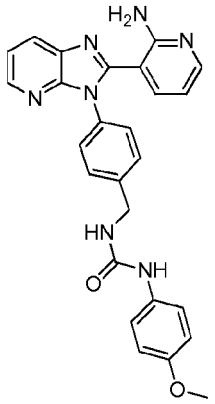
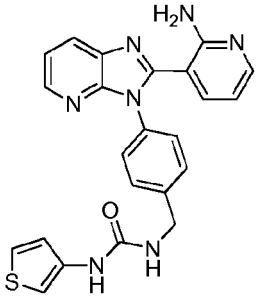
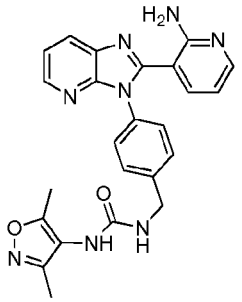
66		N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-methylbutanamide
67		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-cyclohexylpropanamide
68		N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-2-fluorobenzamide
69		N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide

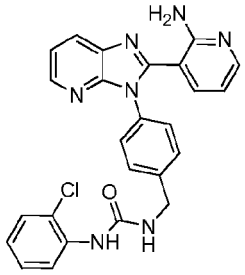
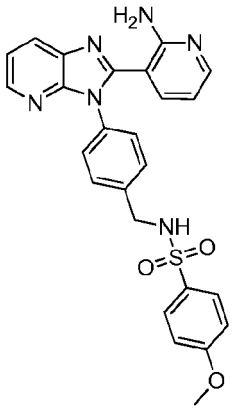
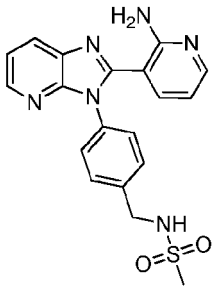
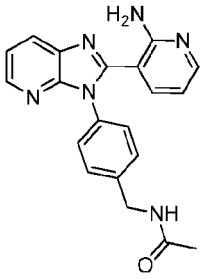
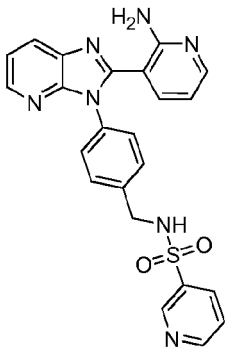
70		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methylbenzamide
71		4-(acetylamino)-N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
72		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}naphthalene-1-carboxamide
73		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-cyclopentylacetamide

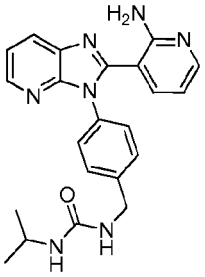
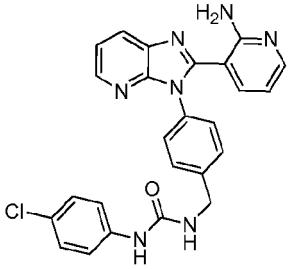
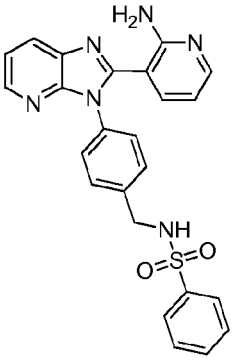
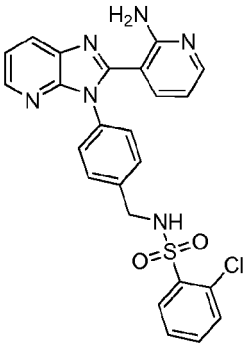
74		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(dimethylamino)benzamide
75		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1H-indene-2-carboxamide
76		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-cyanobenzamide
77		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methoxybenzamide

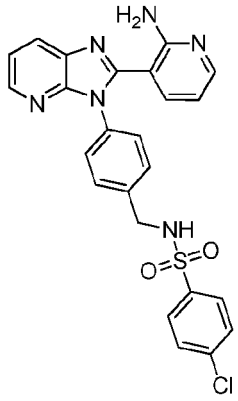
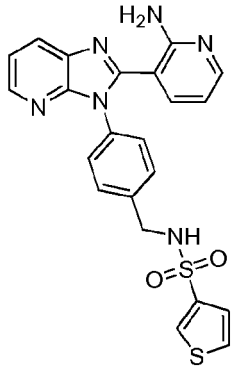
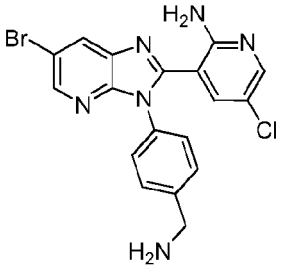
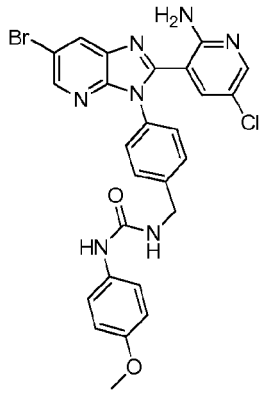
78		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(1H-pyrrol-1-yl)benzamide
79		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide
80		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}biphenyl-4-carboxamide
81		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluorobenzamide

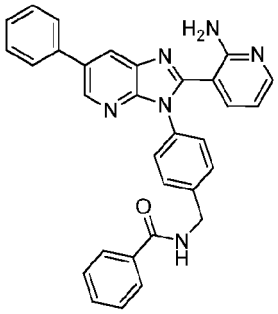
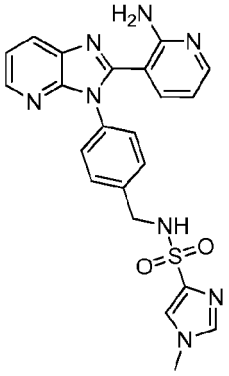
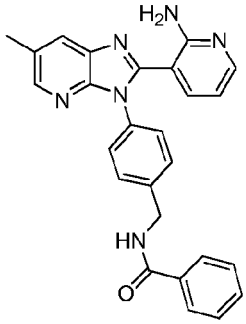
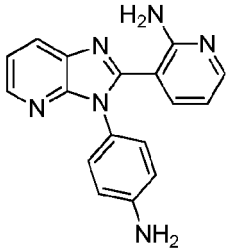
82		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(1-methylethyl)benzamide
83		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-benzothiophene-2-carboxamide
84		1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-tert-butylphenyl)urea
85		1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-ethylurea

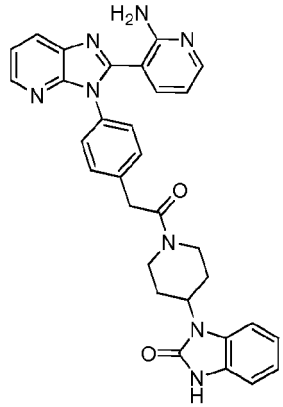
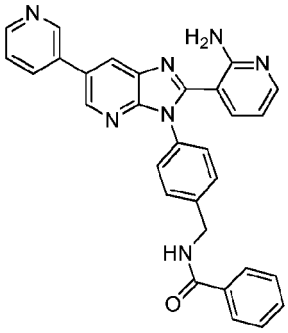
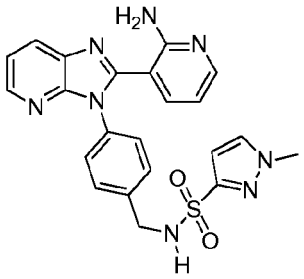
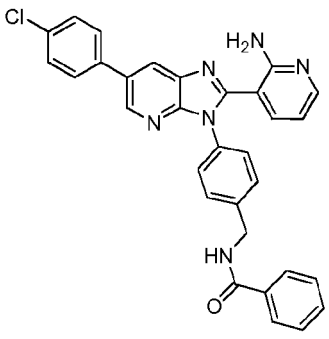
86		1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-phenylurea
87		1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea
88		1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-thiophen-3-ylurea
89		1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(3,5-dimethylisoxazol-4-yl)urea

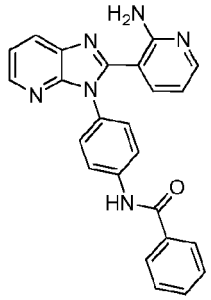
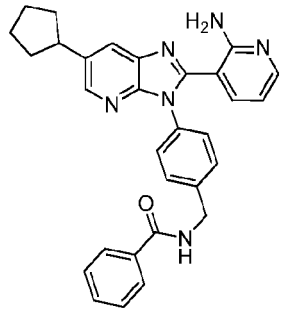
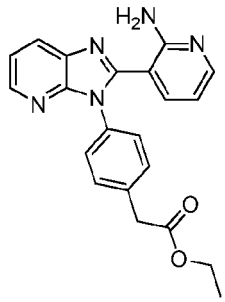
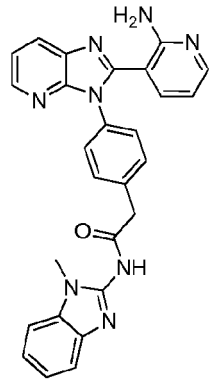
90		1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(2-chlorophenyl)urea
91		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzenesulfonamide
92		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}methanesulfonamide
93		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}acetamide
94		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}pyridine-3-sulfonamide

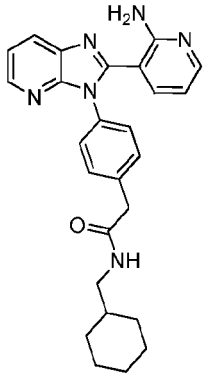
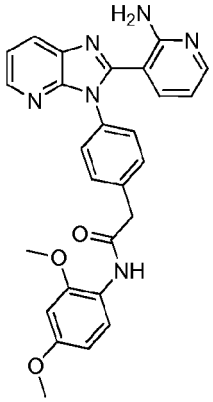
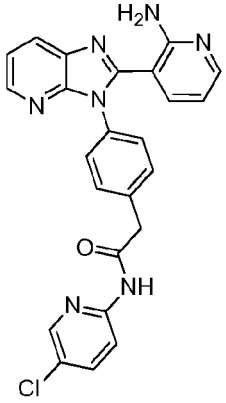
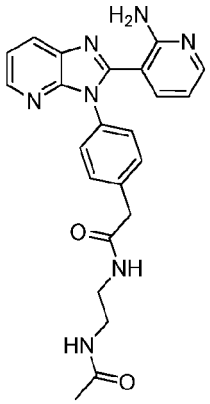
95		1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(1-methylethyl)urea
96		1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-chlorophenyl)urea
97		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzenesulfonamide
98		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-chlorobenzenesulfonamide

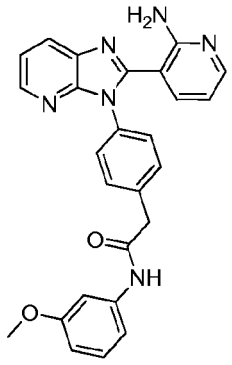
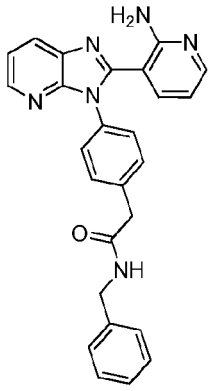
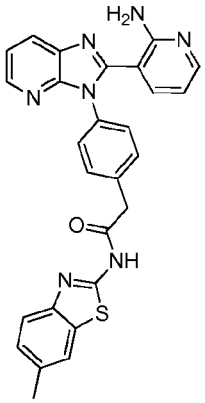
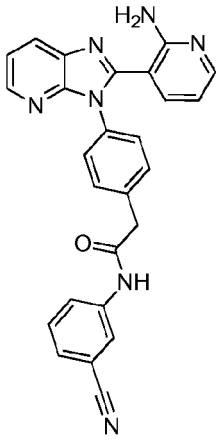
99		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-chlorobenzenesulfonamide
100		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-3-sulfonamide
101		3-{3-[4-(aminomethyl)phenyl]-6-bromo-3H-imidazo[4,5-b]pyridin-2-yl}-5-chloropyridin-2-amine
102		1-{4-[2-(2-amino-5-chloropyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea

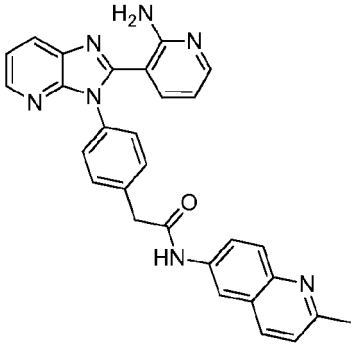
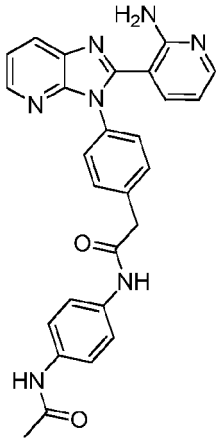
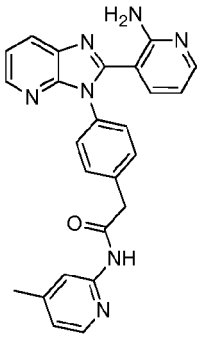
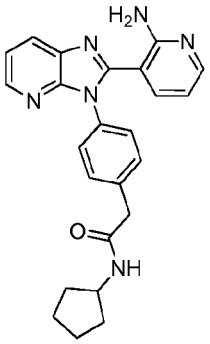
103		N-{4-[2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
104		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-imidazole-4-sulfonamide
105		N-{4-[2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
106		3-[3-(4-aminophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine

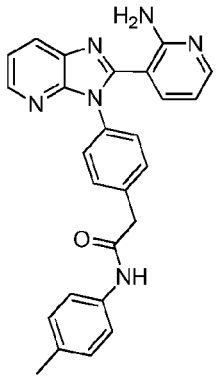
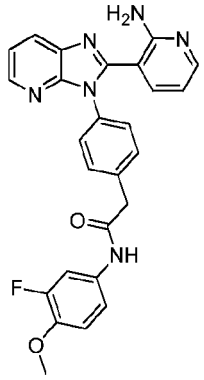
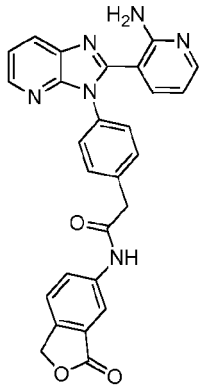
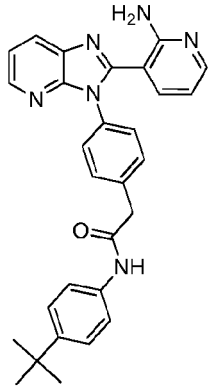
107		1-(1-(2-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetyl)piperidin-4-yl)-1H-benzo[d]imidazol-2(3H)-one
108		N-{4-[2-(2-aminopyridin-3-yl)-6-pyridin-3-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
109		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-pyrazole-3-sulfonamide
110		N-{4-[2-(2-aminopyridin-3-yl)-6-(4-chlorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

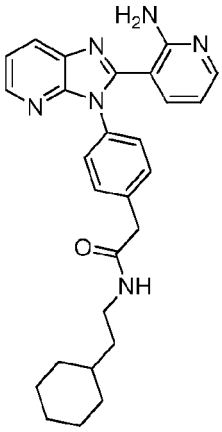
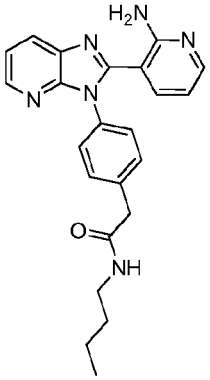
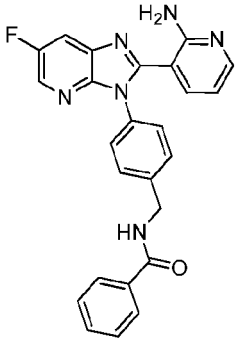
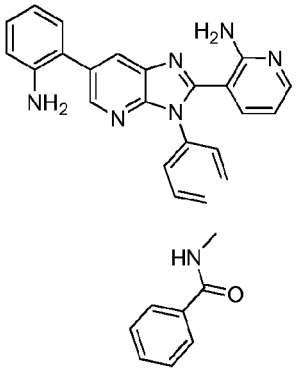
111		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}benzamide
112		N-{4-[2-(2-aminopyridin-3-yl)-6-cyclopentyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
113		ethyl {4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetate
114		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(1-methyl-1H-benzimidazol-2-yl)acetamide

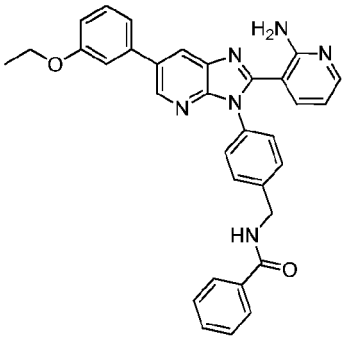
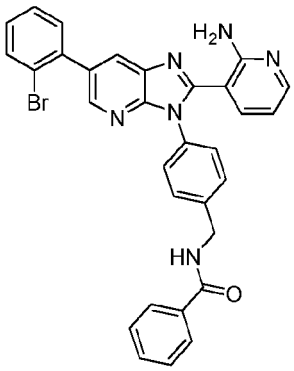
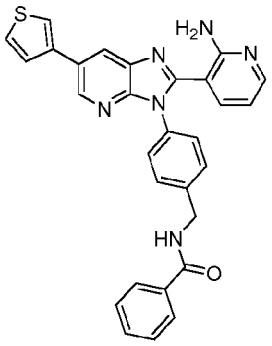
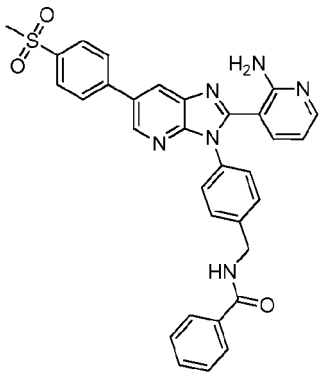
115		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(cyclohexylmethyl)acetamide
116		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2,4-dimethoxyphenyl)acetamide
117		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(5-chloropyridin-2-yl)acetamide
118		N-[2-(acetylamino)ethyl]-2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide

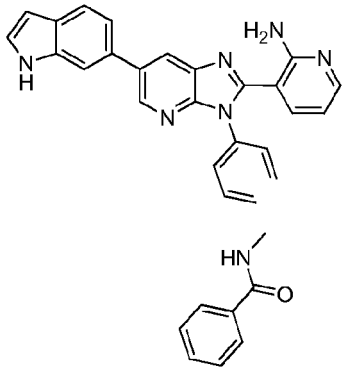
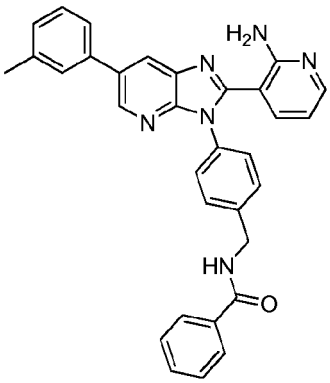
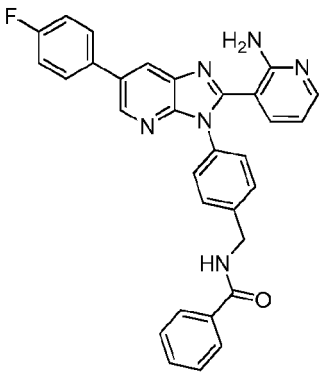
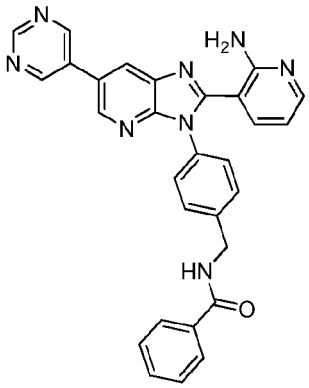
119		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-methoxyphenyl)acetamide
120		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-benzylacetamide
121		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(6-methyl-1,3-benzothiazol-2-yl)acetamide
122		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-cyanophenyl)acetamide

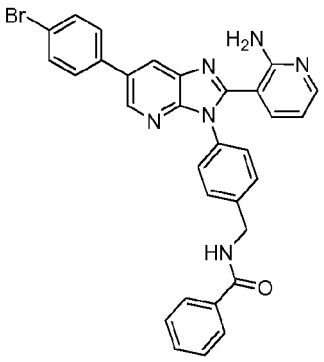
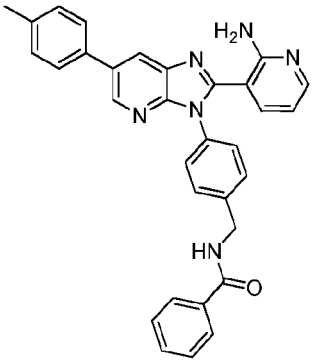
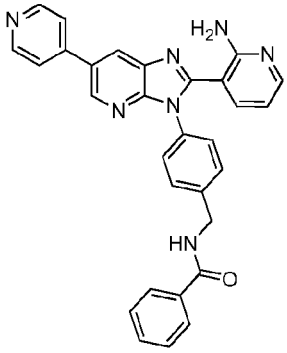
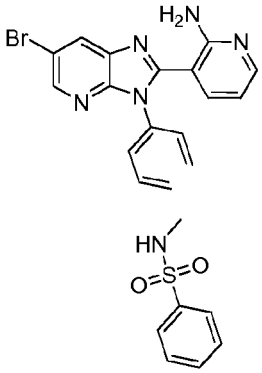
123		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2-methylquinolin-6-yl)acetamide
124		N-[4-(acetylamino)phenyl]-2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide
125		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-methylpyridin-2-yl)acetamide
126		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-cyclopentylacetamide

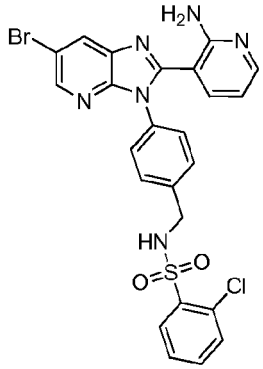
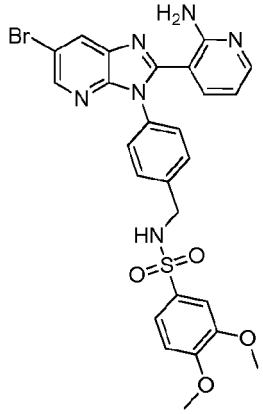
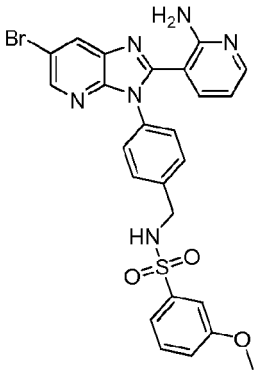
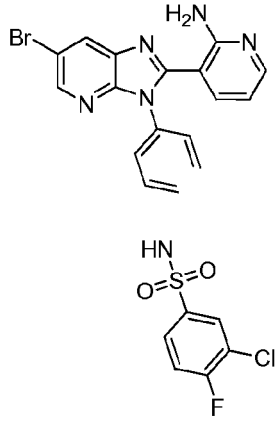
127		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-methylphenyl)acetamide
128		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-fluoro-4-methoxyphenyl)acetamide
129		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-oxo-1,3-dihydro-2-benzofuran-5-yl)acetamide
130		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-tert-butylphenyl)acetamide

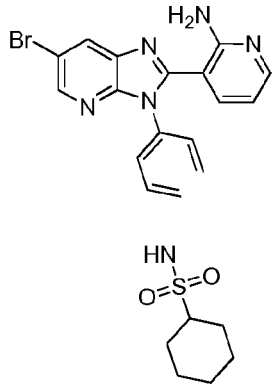
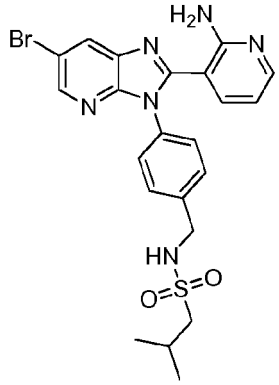
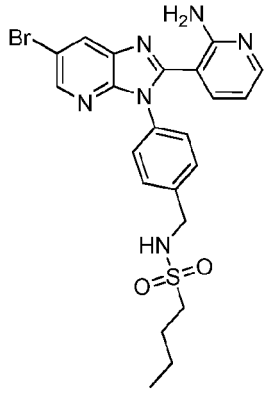
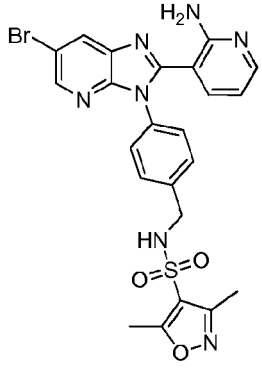
131		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2-cyclohexylethyl)acetamide
132		2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-butylacetamide
133		N-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
134		N-{4-[6-(2-aminophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

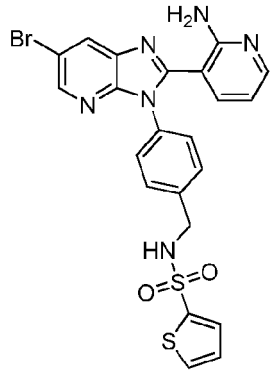
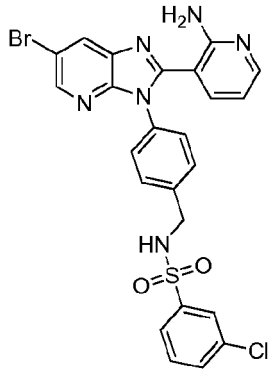
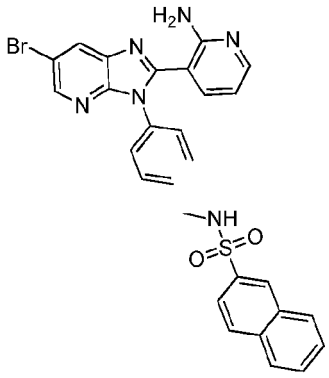
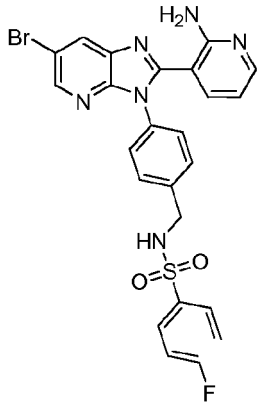
135		N-{4-[2-(2-aminopyridin-3-yl)-6-(3-ethoxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
136		N-{4-[2-(2-aminopyridin-3-yl)-6-(2-bromophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
137		N-{4-[2-(2-aminopyridin-3-yl)-6-thiophen-3-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
138		N-(4-{2-(2-aminopyridin-3-yl)-6-[4-(methylsulfonyl)phenyl]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide

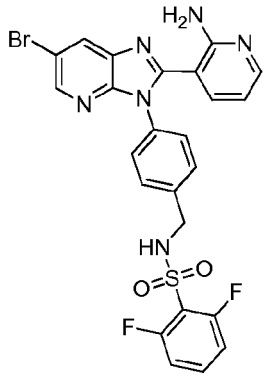
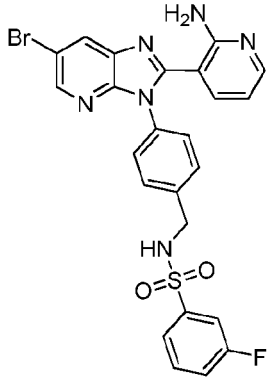
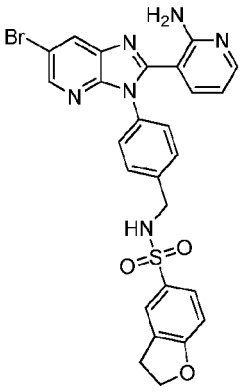
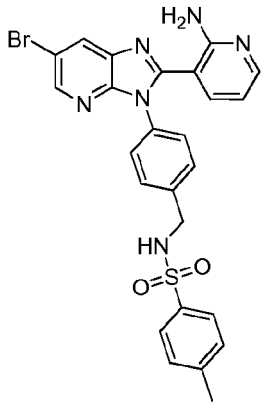
139		N-{4-[2-(2-aminopyridin-3-yl)-6-(1H-indol-6-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
140		N-{4-[2-(2-aminopyridin-3-yl)-6-(3-methylphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
141		N-{4-[2-(2-aminopyridin-3-yl)-6-(4-fluorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
142		N-{4-[2-(2-aminopyridin-3-yl)-6-pyrimidin-5-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

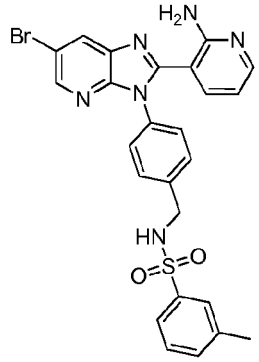
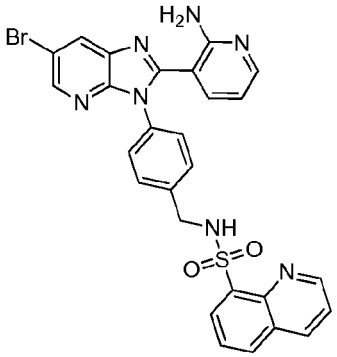
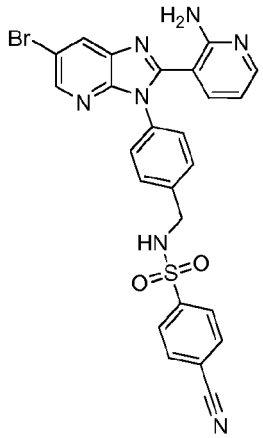
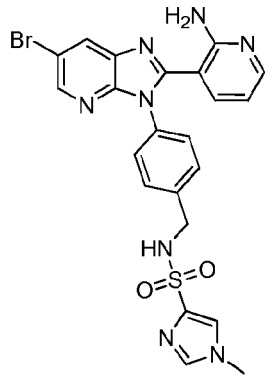
143		N-{4-[2-(2-aminopyridin-3-yl)-6-(4-bromophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
144		N-{4-[2-(2-aminopyridin-3-yl)-6-(4-methylphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
145		N-{4-[2-(2-aminopyridin-3-yl)-6-pyridin-4-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide
146		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzenesulfonamide

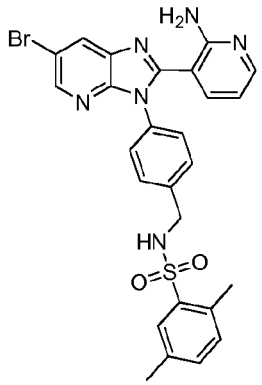
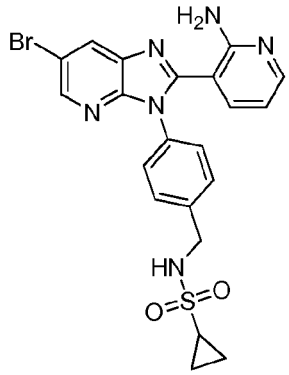
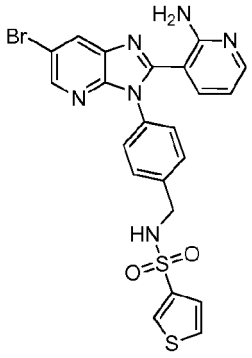
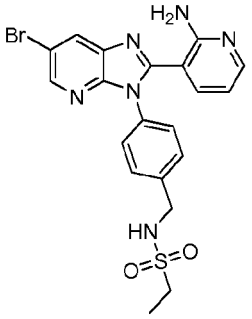
147		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-chlorobenzenesulfonamide
148		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,4-dimethoxybenzenesulfonamide
149		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methoxybenzenesulfonamide
150		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-chloro-4-fluorobenzenesulfonamide

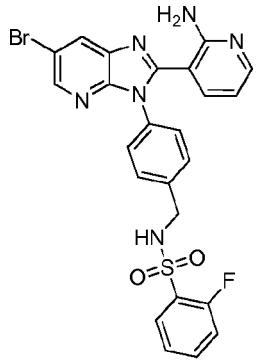
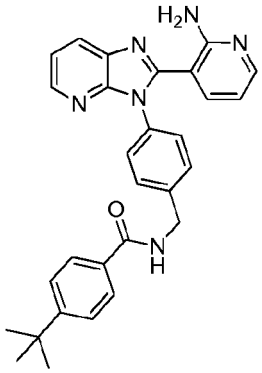
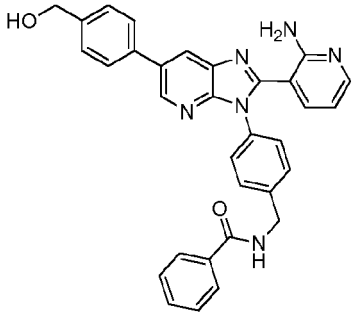
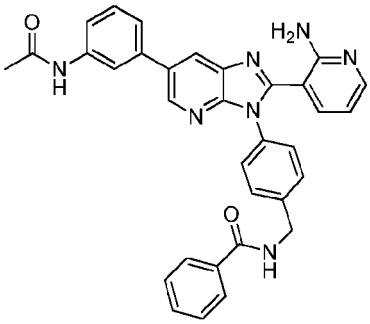
151		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclohexanesulfonamide
152		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methylpropane-1-sulfonamide
153		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}butane-1-sulfonamide
154		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,5-dimethylisoxazole-4-sulfonamide

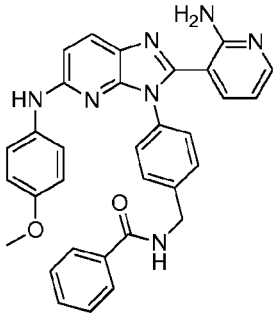
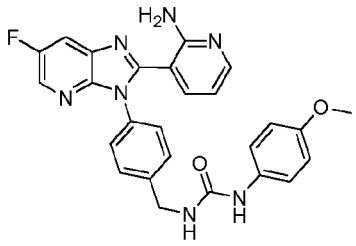
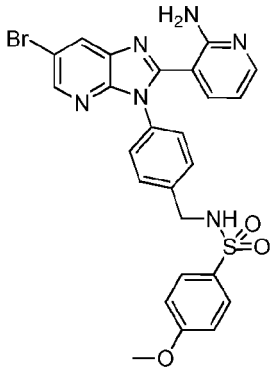
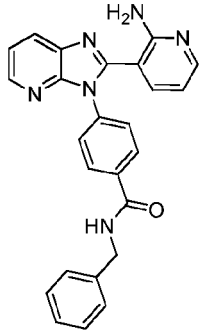
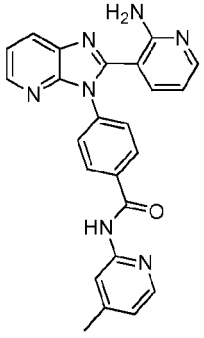
155		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-2-sulfonamide
156		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-chlorobenzenesulfonamide
157		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}naphthalene-2-sulfonamide
158		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluorobenzenesulfonamide

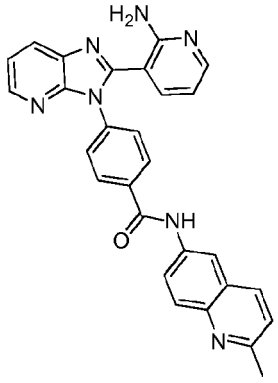
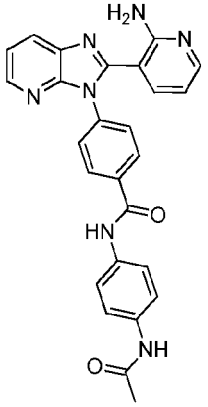
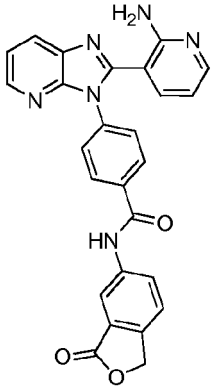
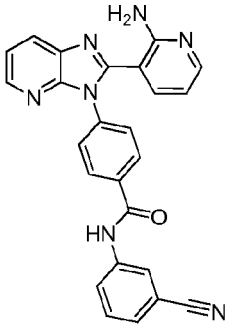
159		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,6-difluorobenzenesulfonamide
160		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzenesulfonamide
161		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1-benzofuran-5-sulfonamide
162		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methylbenzenesulfonamide

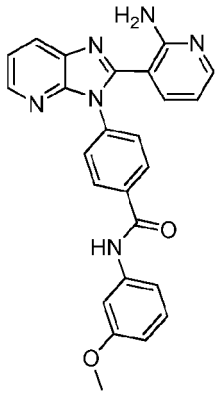
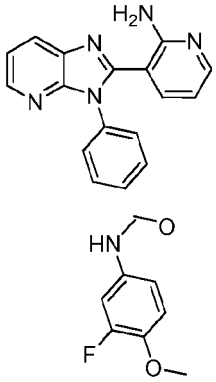
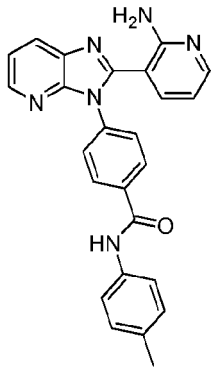
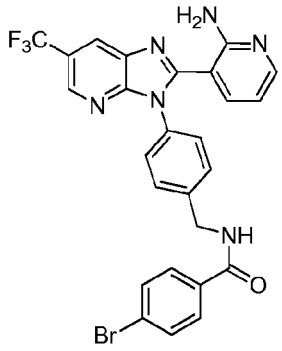
163		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methylbenzenesulfonamide
164		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}quinoline-8-sulfonamide
165		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-cyanobenzenesulfonamide
166		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-imidazole-4-sulfonamide

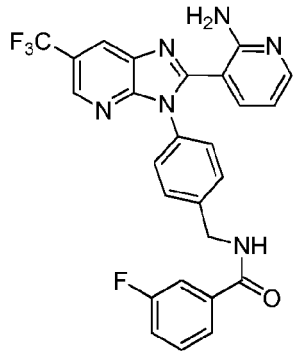
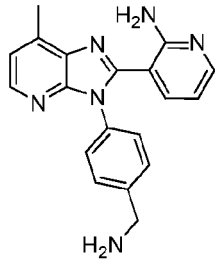
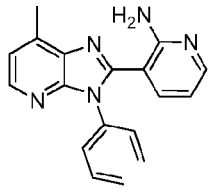
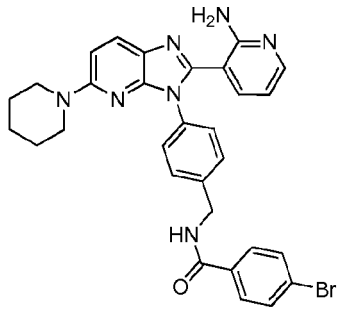
167		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,5-dimethylbenzenesulfonamide
168		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclopropanesulfonamide
169		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-3-sulfonamide
170		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}ethanesulfonamide

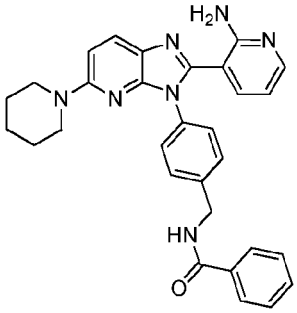
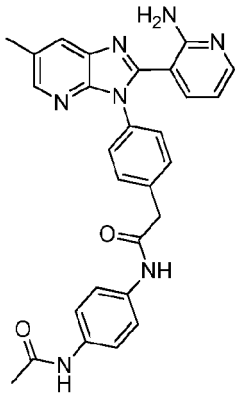
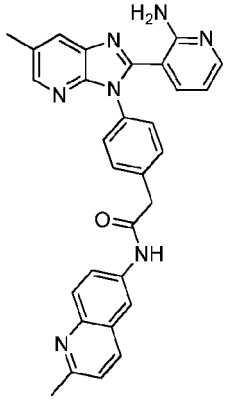
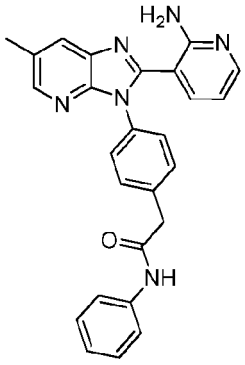
171		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-fluorobenzenesulfonamide
172		N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-tert-butylbenzamide
173		N-(4-{2-(2-aminopyridin-3-yl)-6-[4-(hydroxymethyl)phenyl]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide
174		N-(4-{6-[3-(acetylamino)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide

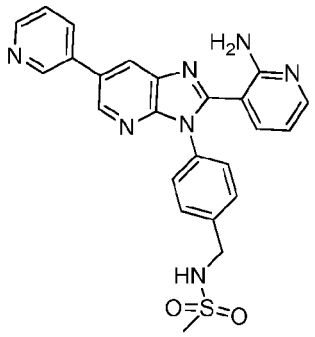
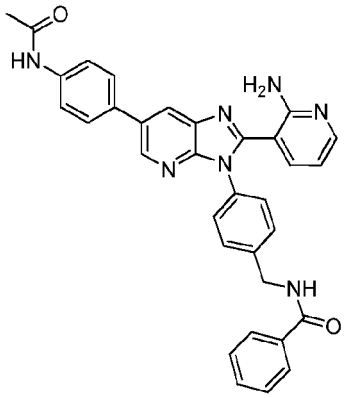
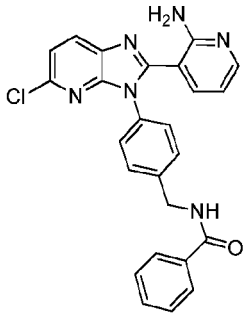
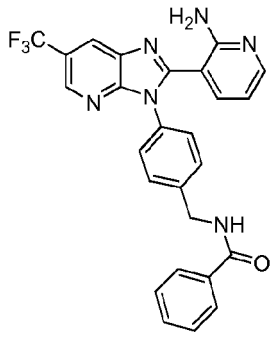
175		N-(4-{2-(2-aminopyridin-3-yl)-5-[(4-methoxyphenyl)amino]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide
176		1-{4-[2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea
177		N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzenesulfonamide
178		4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-benzylbenzamide
179		4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(4-methylpyridin-2-yl)benzamide

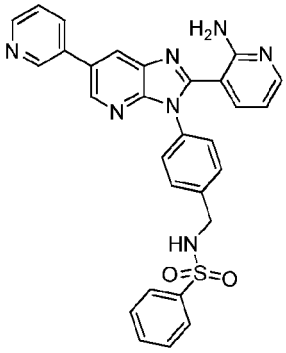
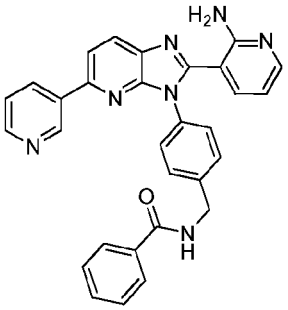
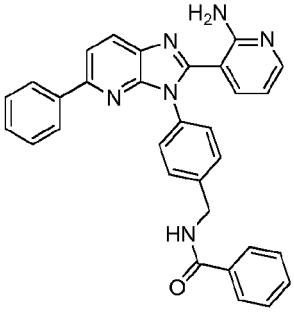
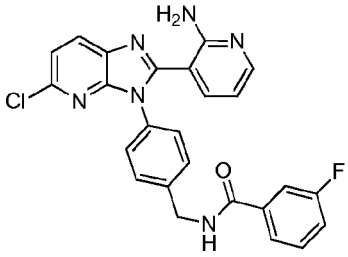
180		4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(2-methylquinolin-6-yl)benzamide
181		N-[4-(acetylamino)phenyl]-4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzamide
182		4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-oxo-1,3-dihydro-2-benzofuran-5-yl)benzamide
183		4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-cyanophenyl)benzamide

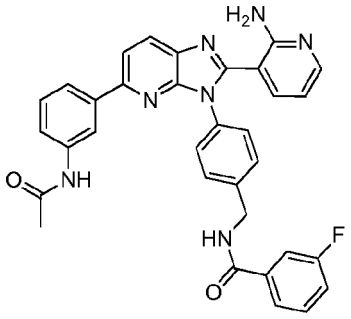
184		4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-methoxyphenyl)benzamide
185		4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-fluoro-4-methoxyphenyl)benzamide
186		4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(4-methylphenyl)benzamide
187		N-{4-[2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-bromobenzamide

188		N-{4-[2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzamide
189		3-(3-(4-(aminomethyl)phenyl)-7-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
190		N-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
191		N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-bromobenzamide

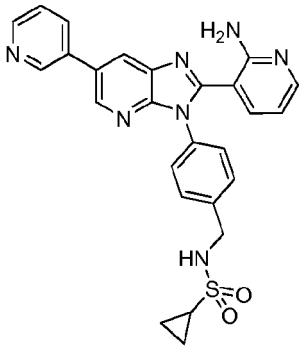
192		N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
193		N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide
194		2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide
195		2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide

196		N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)methanesulfonamide
197		N-(4-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
198		N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
199		N-(4-(2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide

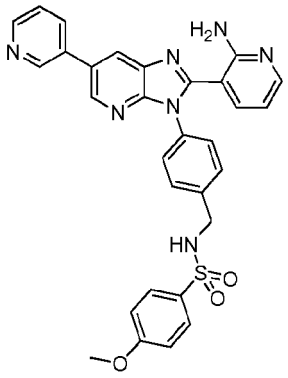
200		N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzenesulfonamide
201		N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
202		N-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
203		N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

204 

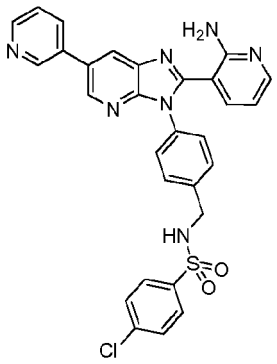
N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

205 

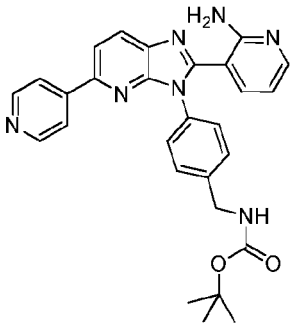
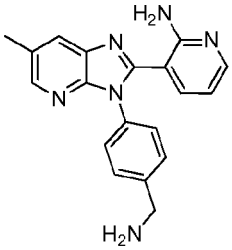
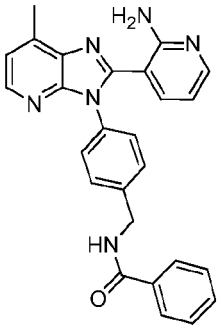
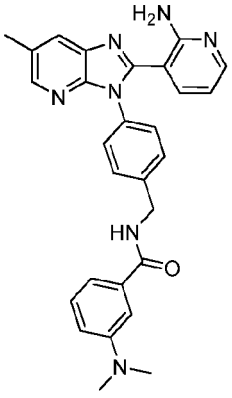
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)cyclopropanesulfonamide

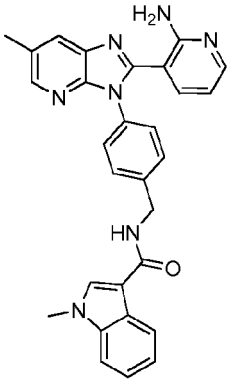
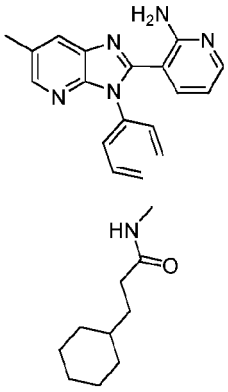
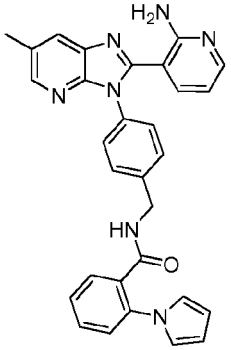
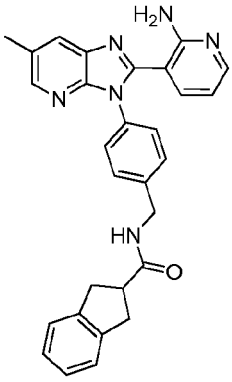
206 

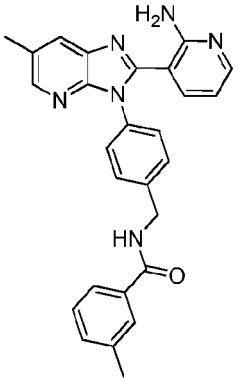
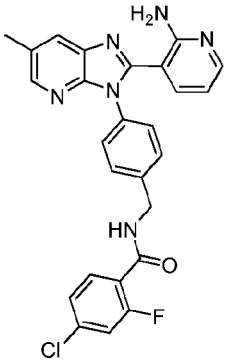
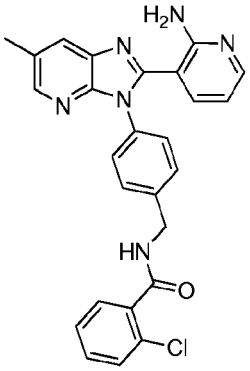
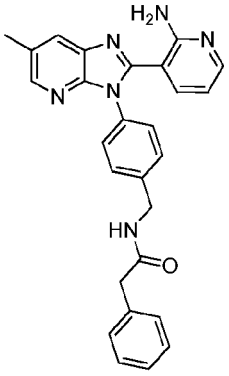
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-methoxybenzenesulfonamide

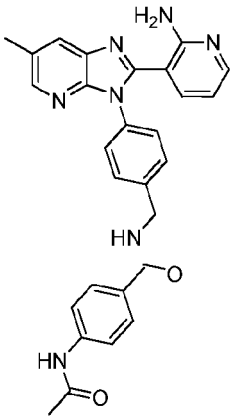
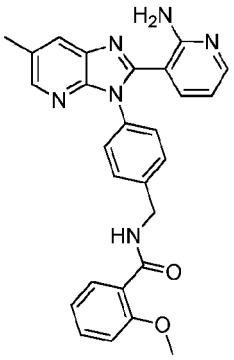
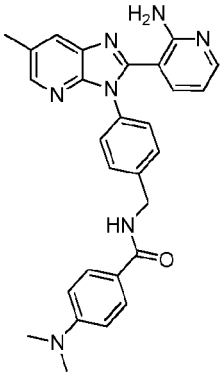
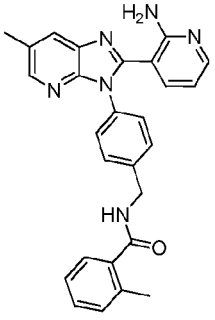
207 

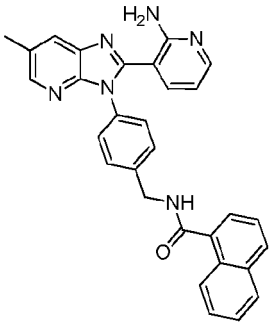
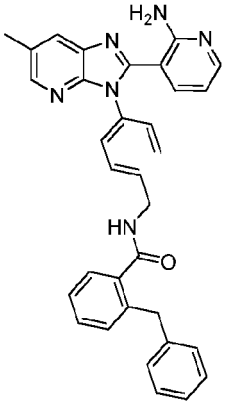
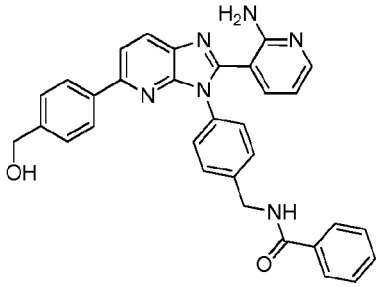
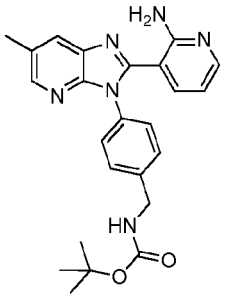
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chlorobenzenesulfonamide

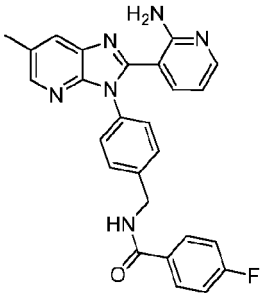
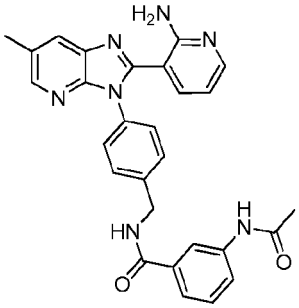
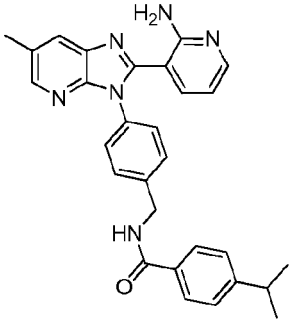
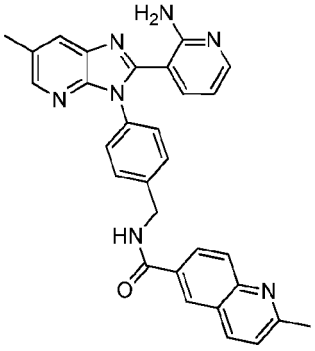
208		tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate
209		3-(3-(4-(aminomethyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
210		N-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
211		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(dimethylamino)benzamide

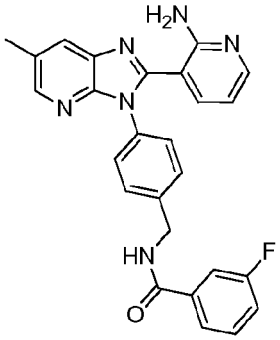
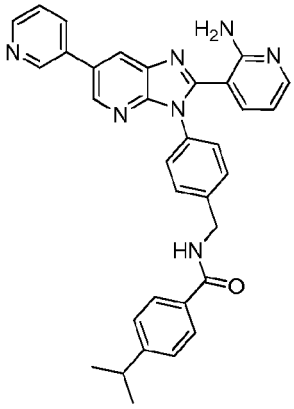
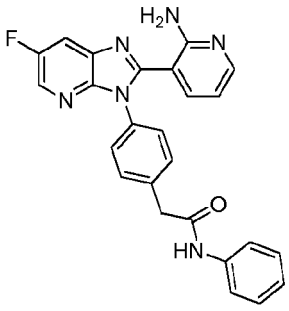
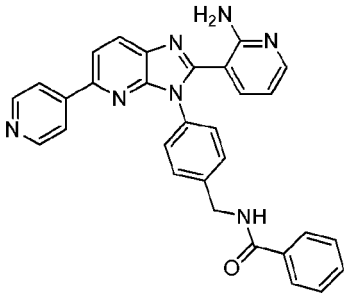
212		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-indole-3-carboxamide
213		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-cyclohexylpropanamide
214		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(1H-pyrrol-1-yl)benzamide
215		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,3-dihydro-1H-indene-2-carboxamide

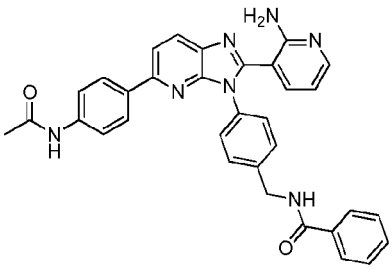
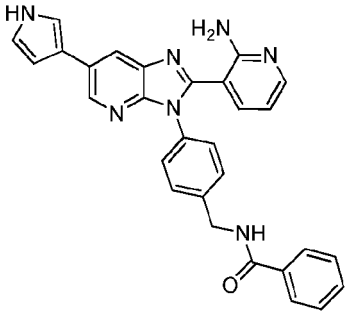
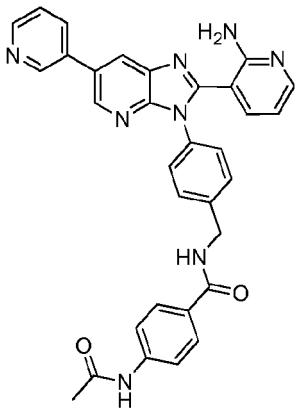
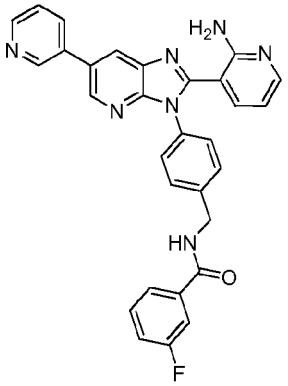
216		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-methylbenzamide
217		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-2-fluorobenzamide
218		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-chlorobenzamide
219		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-phenylacetamide

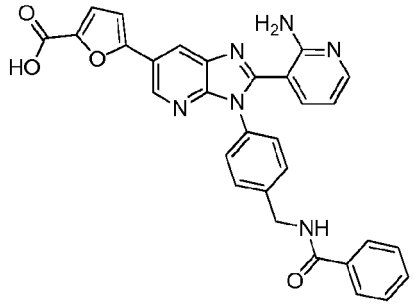
220		4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
221		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methoxybenzamide
222		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-(dimethylamino)benzamide
223		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylbenzamide

224		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-naphthamide
225		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-benzylbenzamide
226		N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
227		tert-butyl 4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate

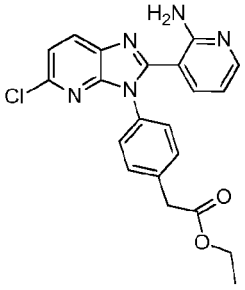
228		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-fluorobenzamide
229		3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
230		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide
231		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide

232		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
233		N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide
234		2-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide
235		N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide

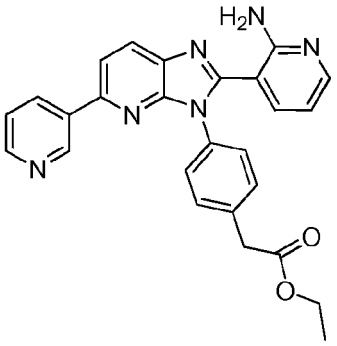
236		N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
237		N-(4-(2-(2-aminopyridin-3-yl)-6-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
238		4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
239		N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

240 

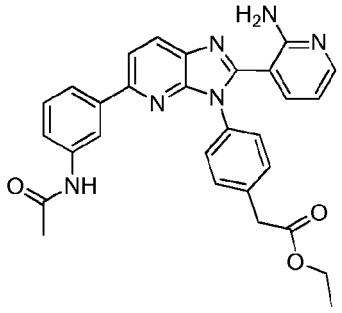
5-(2-(2-aminopyridin-3-yl)-3-(4-(benzamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-yl)furan-2-carboxylic acid

241 

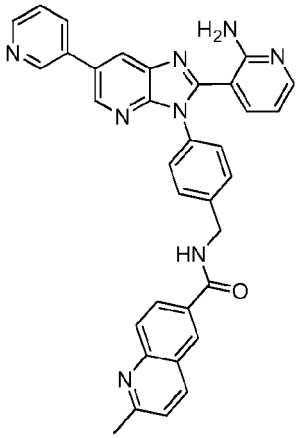
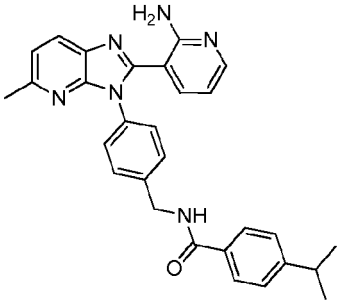
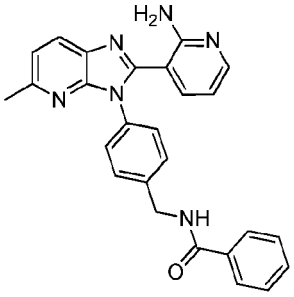
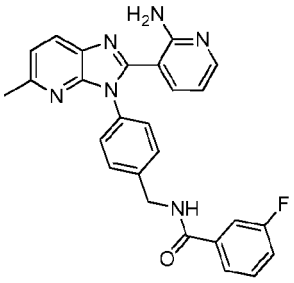
ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate

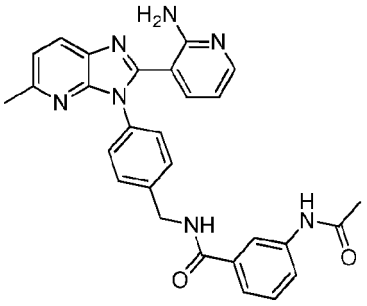
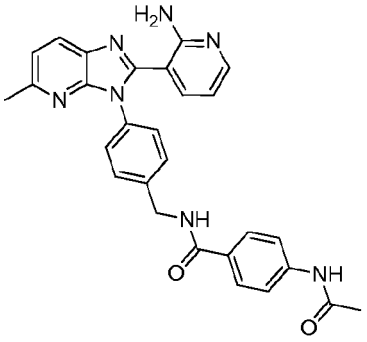
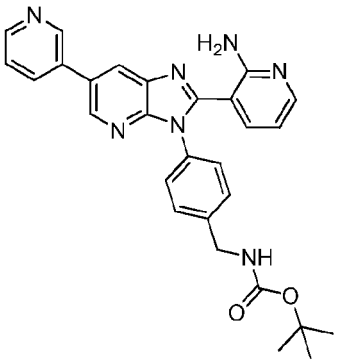
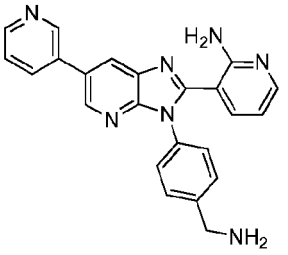
242 

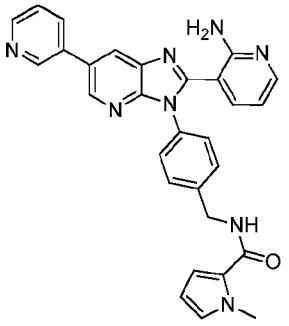
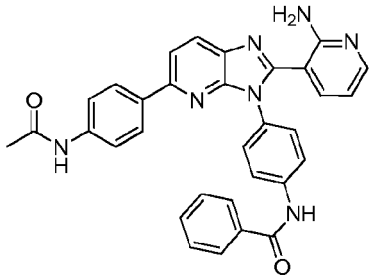
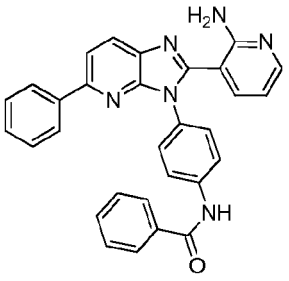
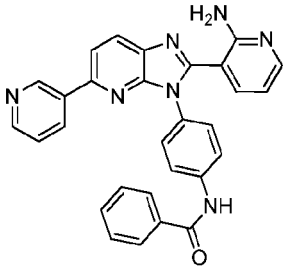
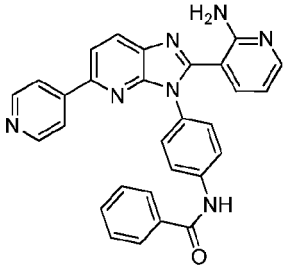
ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate

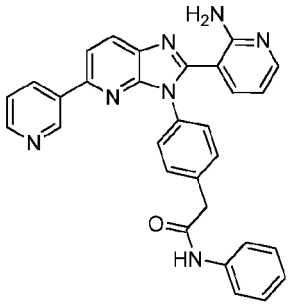
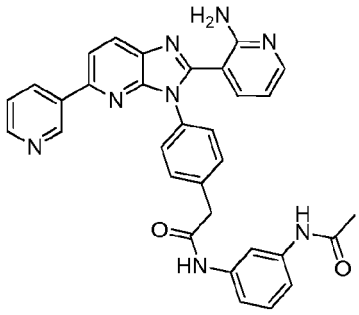
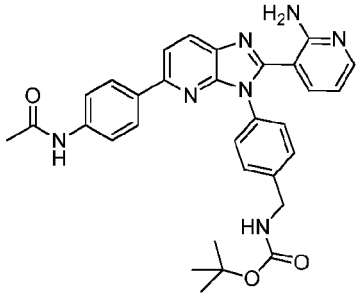
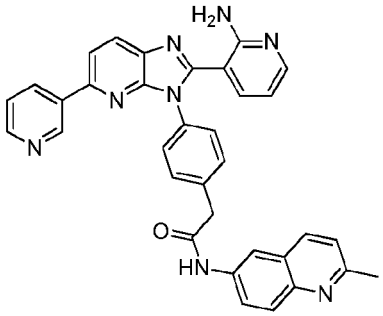
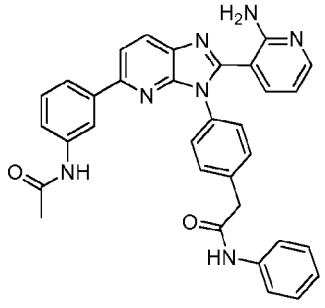
243 

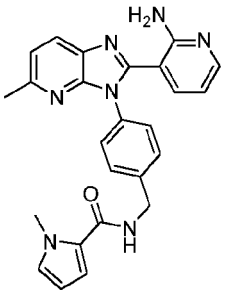
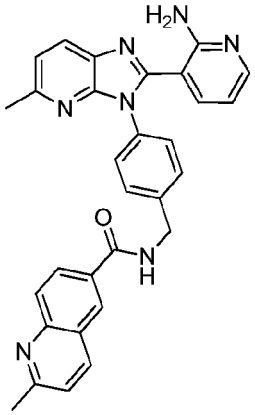
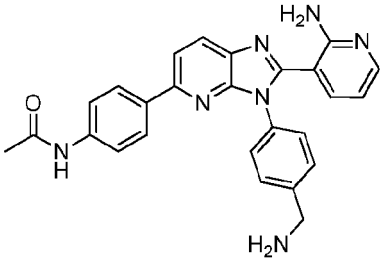
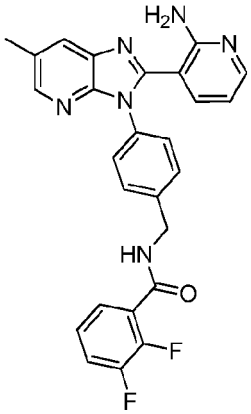
ethyl 2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate

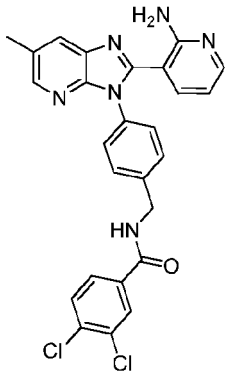
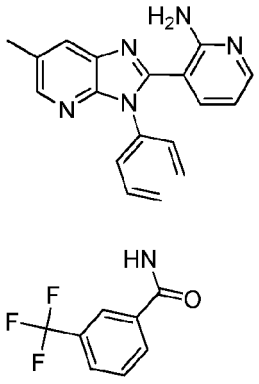
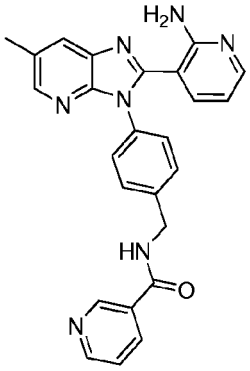
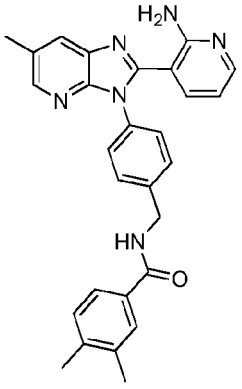
244		N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide
245		N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide
246		N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
247		N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

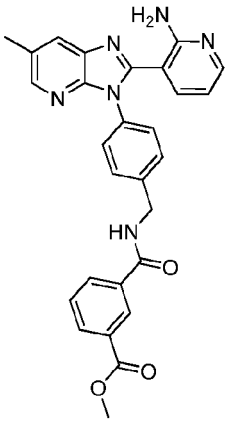
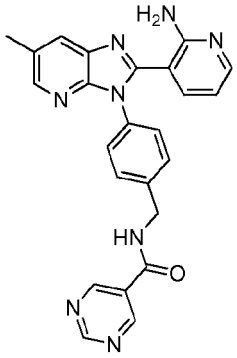
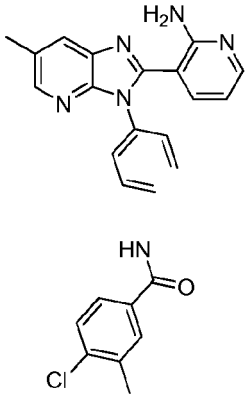
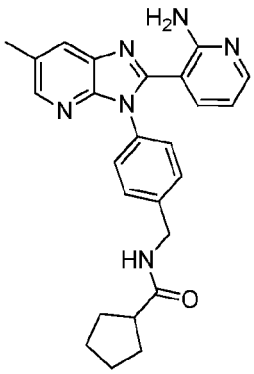
248		3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
249		4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
250		tert-butyl 4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate
251		3-(3-(4-(aminomethyl)phenyl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

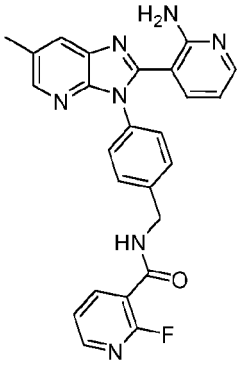
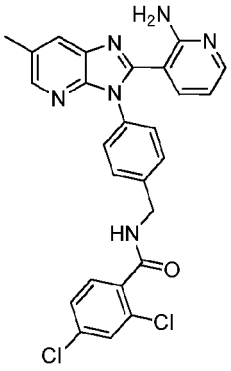
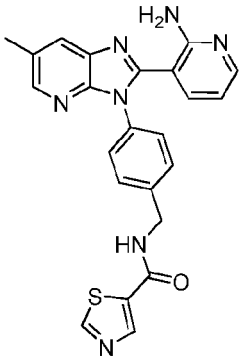
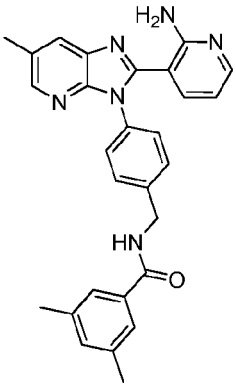
252		N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide
253		N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide
254		N-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide
255		N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide
256		N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide

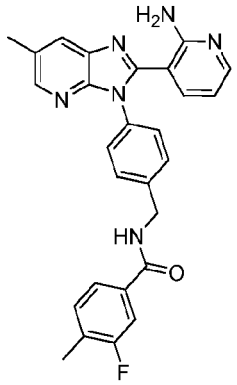
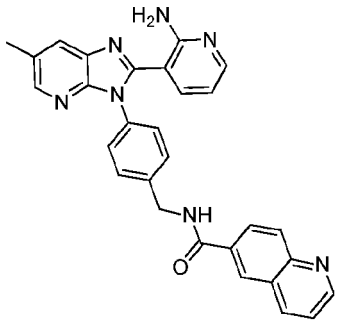
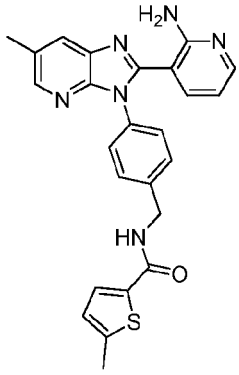
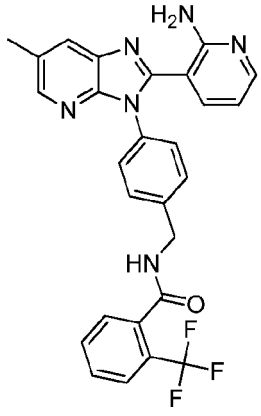
257		2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide
258		N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide
259		tert-butyl 4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate
260		2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide
261		2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide

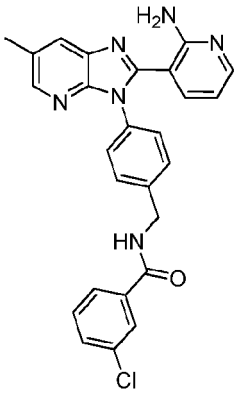
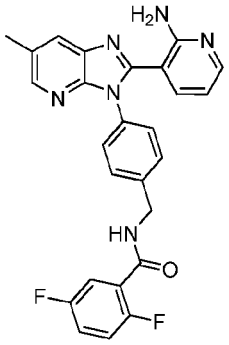
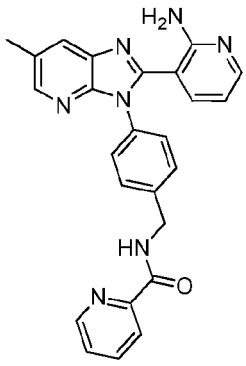
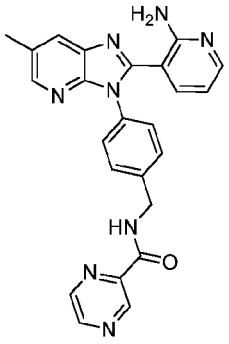
262		N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide
263		N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide
264		N-(4-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide
265		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,3-difluorobenzamide

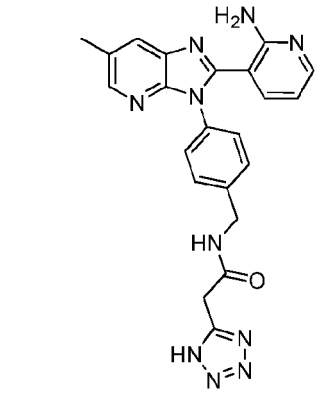
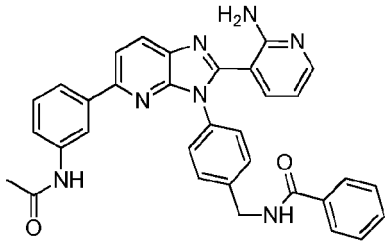
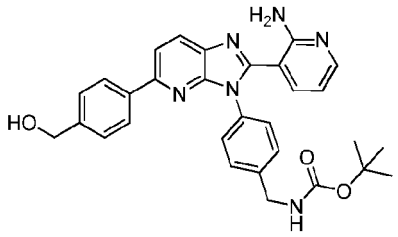
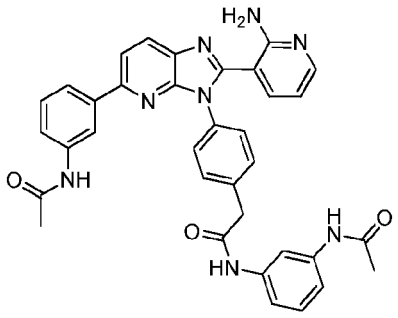
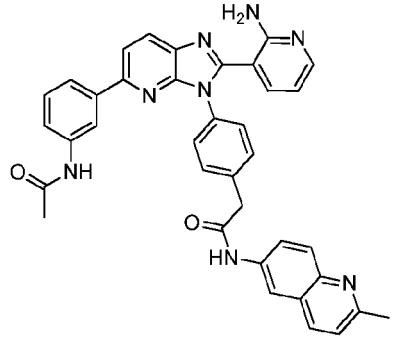
266		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,4-dichlorobenzamide
267		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(trifluoromethyl)benzamide
268		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)nicotinamide
269		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,4-dimethylbenzamide

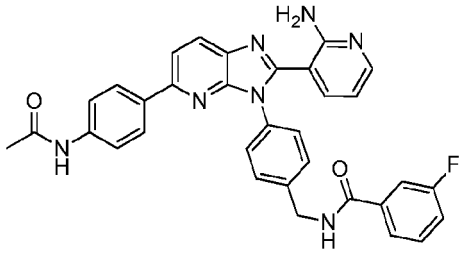
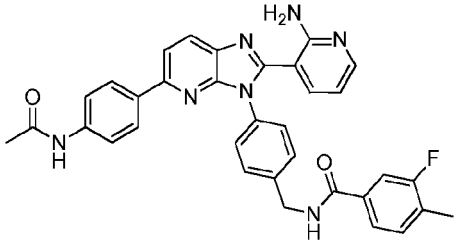
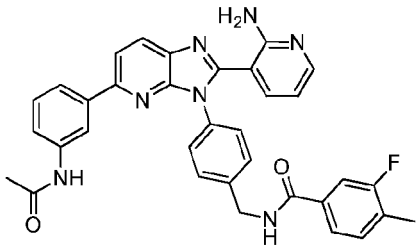
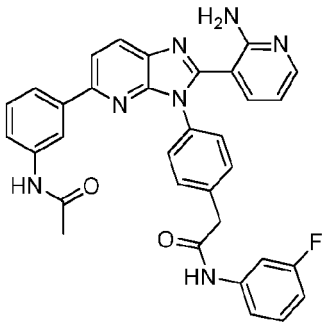
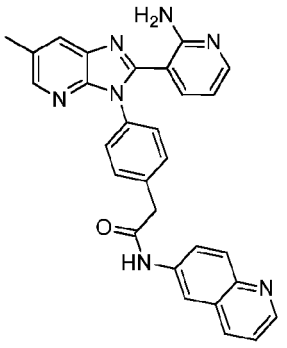
270		methyl 3-((4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)carbamoyl)benzoate
271		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)pyrimidine-5-carboxamide
272		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-3-methylbenzamide
273		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)cyclopentanecarboxamide

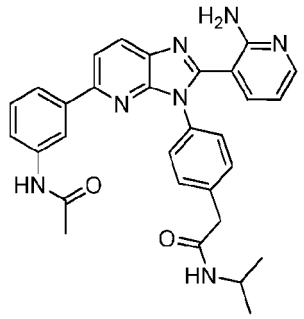
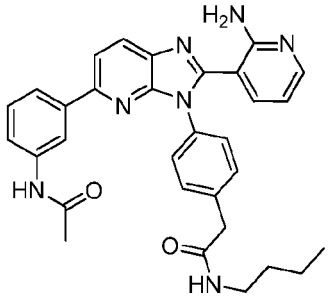
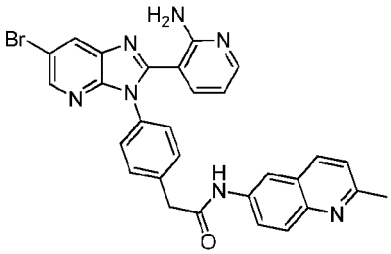
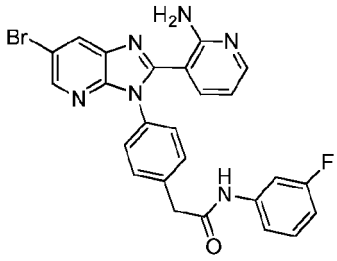
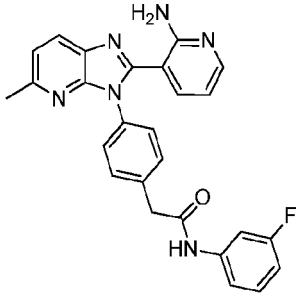
274		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-fluoronicotinamide
275		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,4-dichlorobenzamide
276		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)thiazole-5-carboxamide
277		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,5-dimethylbenzamide

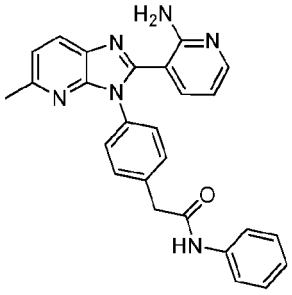
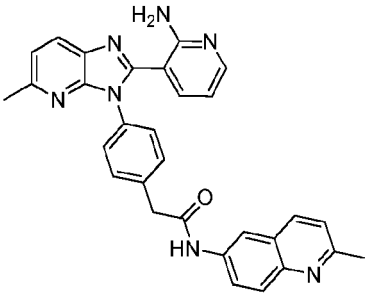
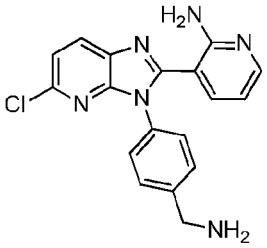
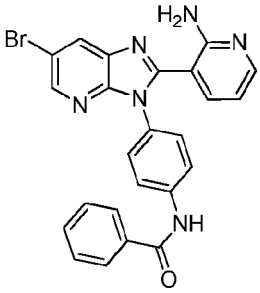
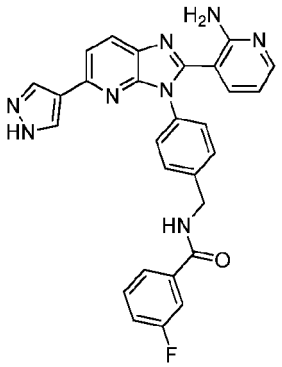
278		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluoro-4-methylbenzamide
279		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)quinoline-6-carboxamide
280		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-5-methylthiophene-2-carboxamide
281		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(trifluoromethyl)benzamide

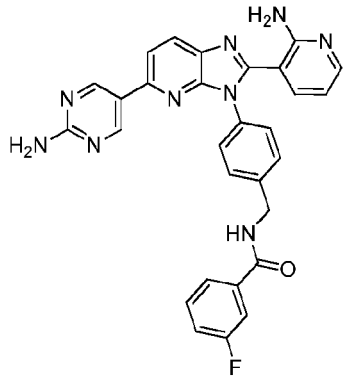
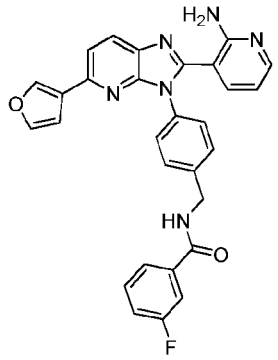
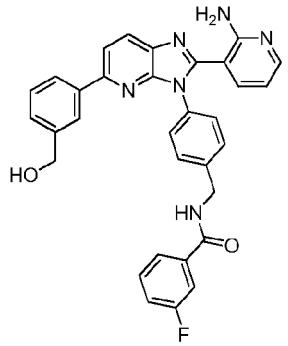
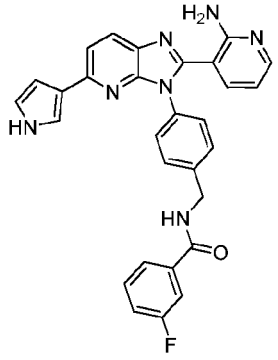
282		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-chlorobenzamide
283		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,5-difluorobenzamide
284		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)picolinamide
285		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)pyrazine-2-carboxamide

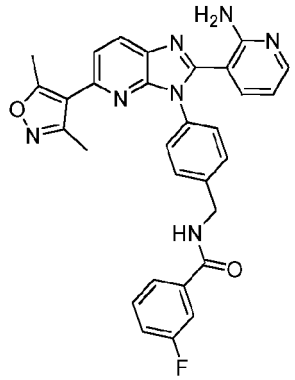
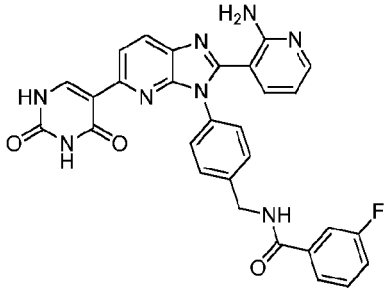
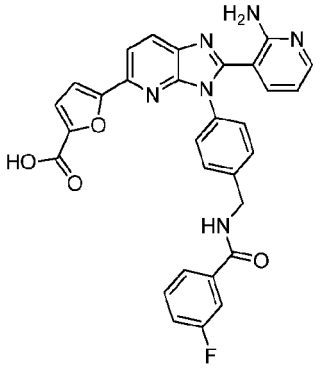
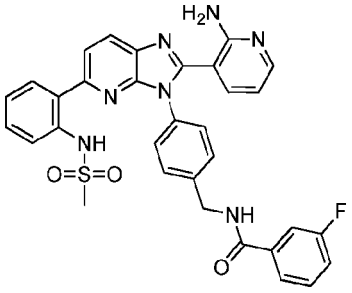
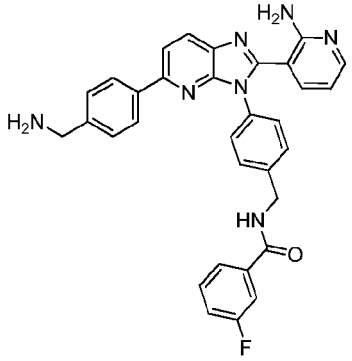
286		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(1H-tetrazol-5-yl)acetamide
287		N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
288		tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate
289		N-(3-acetamidophenyl)-2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide
290		2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide

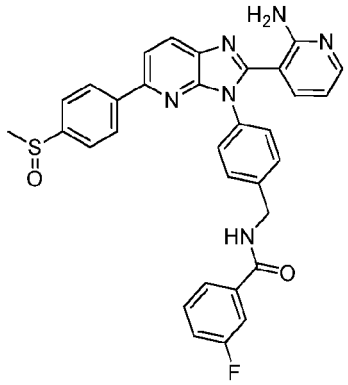
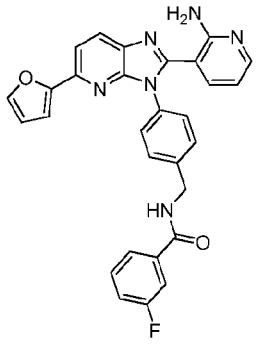
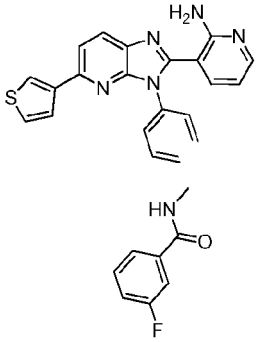
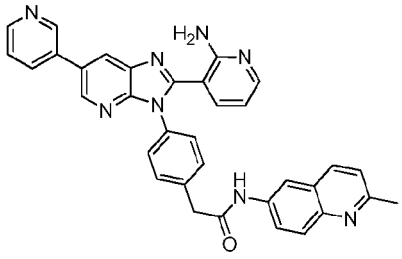
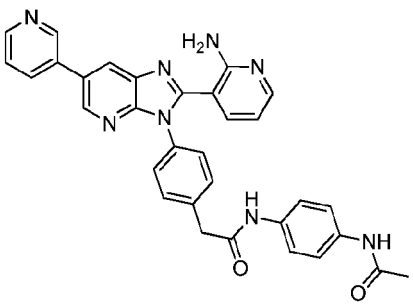
291		N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
292		N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluoro-4-methylbenzamide
293		N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluoro-4-methylbenzamide
294		2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide
295		2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(quinolin-6-yl)acetamide

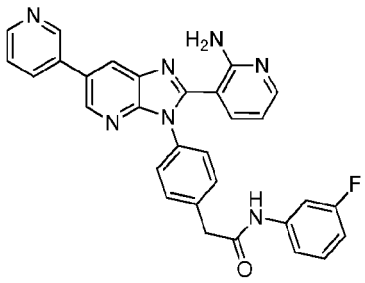
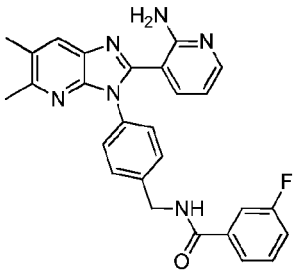
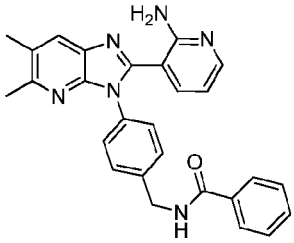
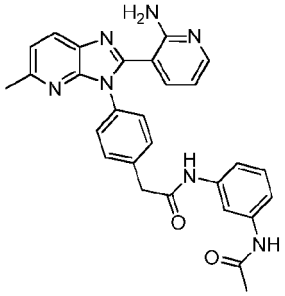
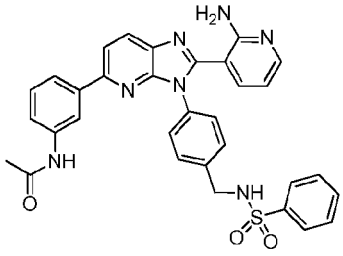
296		2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-isopropylacetamide
297		2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-butylacetamide
298		2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide
299		2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide
300		2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide

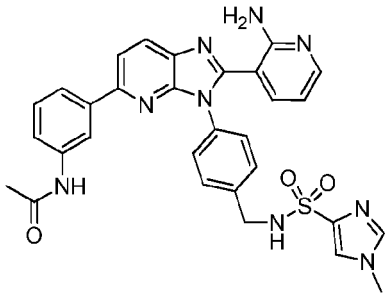
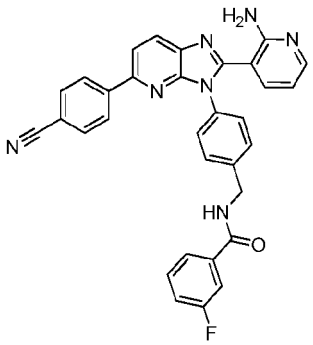
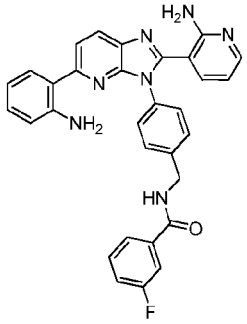
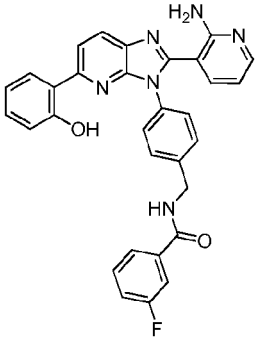
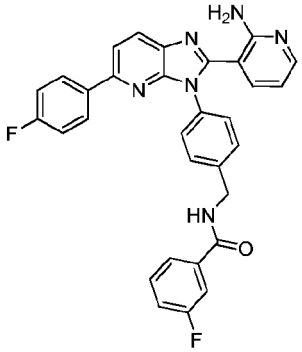
301		2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide
302		2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide
303		3-(3-(4-(aminomethyl)phenyl)-5-chloro-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
304		N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide
305		N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

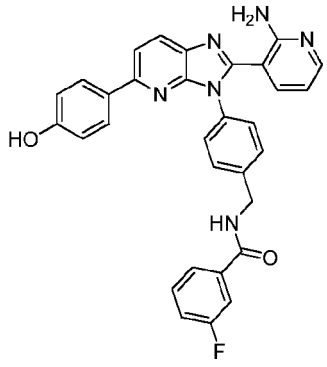
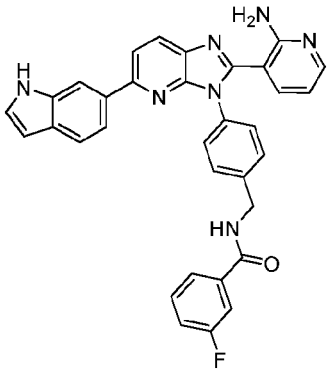
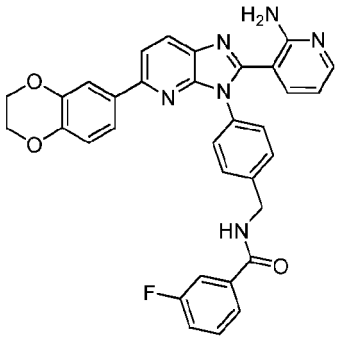
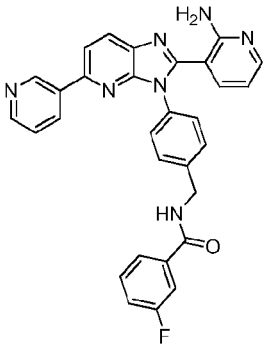
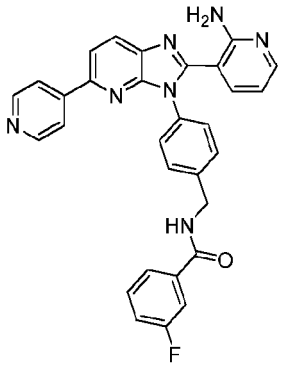
306		N-(4-(2-(2-aminopyridin-3-yl)-5-(2-aminopyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
307		N-(4-(2-(2-aminopyridin-3-yl)-5-(furan-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
308		N-(4-(2-(2-aminopyridin-3-yl)-5-(3-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
309		N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

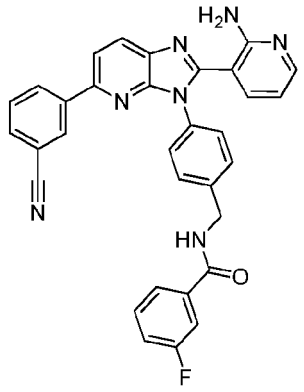
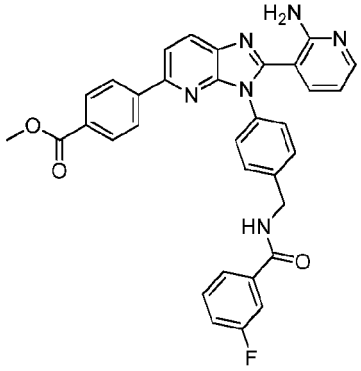
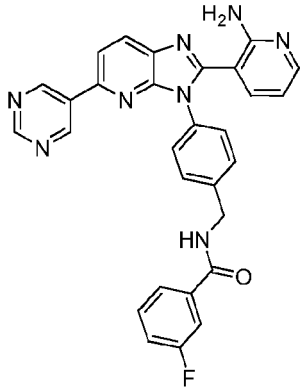
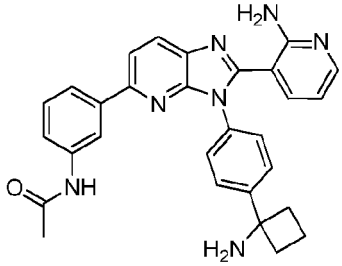
310		N-(4-(2-(2-aminopyridin-3-yl)-5-(3,5-dimethylisoxazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
311		N-(4-(2-(2-aminopyridin-3-yl)-5-(2,4-dioxo-1,2,3,4-tetrahydropyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
312		5-(2-(2-aminopyridin-3-yl)-3-(4-((3-fluorobenzamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)furan-2-carboxylic acid
313		N-(4-(2-(2-aminopyridin-3-yl)-5-(2-(methylsulfonamido)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
314		N-(4-(5-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

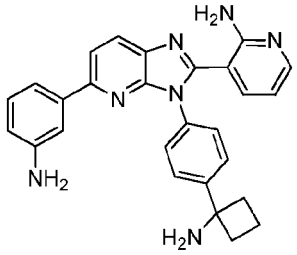
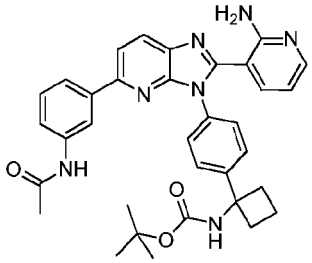
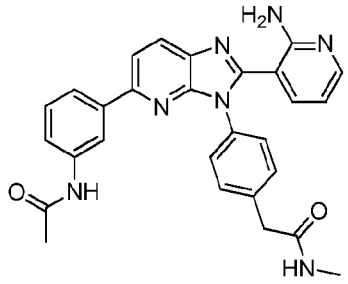
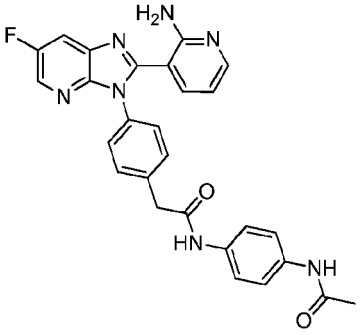
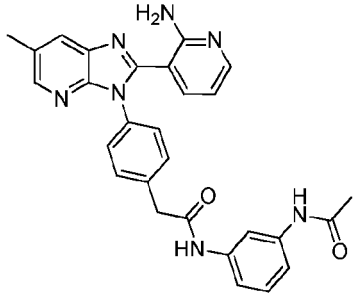
315		N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(methylsulfinyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
316		N-(4-(2-(2-aminopyridin-3-yl)-5-(furan-2-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
317		N-(4-(2-(2-aminopyridin-3-yl)-5-(thiophen-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
318		2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide
319		N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide

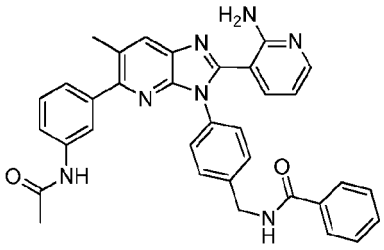
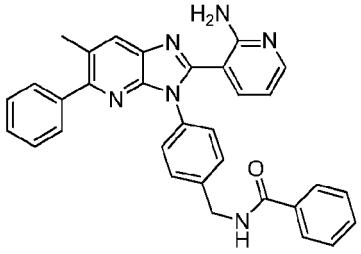
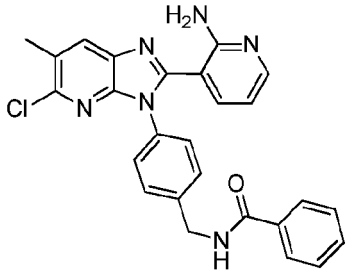
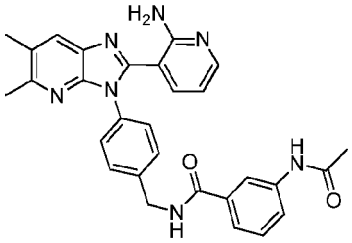
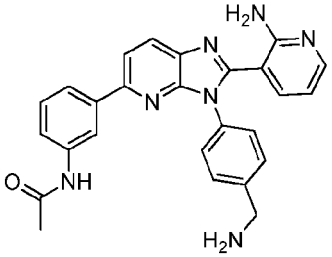
320		2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide
321		N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
322		N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
323		N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide
324		N-(3-(2-(2-aminopyridin-3-yl)-3-(4-(phenylsulfonamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide

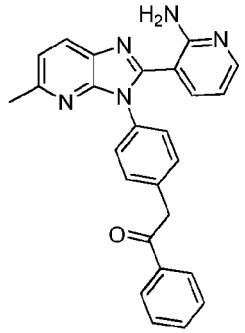
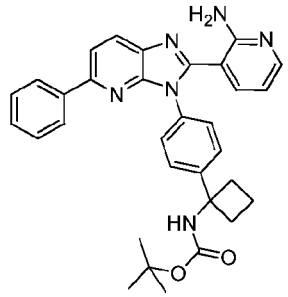
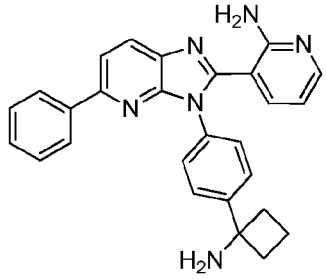
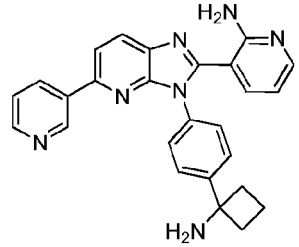
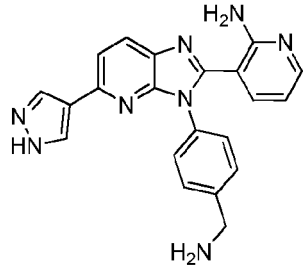
325		N-(3-(2-(2-aminopyridin-3-yl)-3-(4-((1-methyl-1H-imidazole-4-sulfonamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide
326		N-(4-(2-(2-aminopyridin-3-yl)-5-(4-cyanophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
327		N-(4-(5-(2-aminophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
328		N-(4-(2-(2-aminopyridin-3-yl)-5-(2-hydroxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
329		N-(4-(2-(2-aminopyridin-3-yl)-5-(4-fluorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

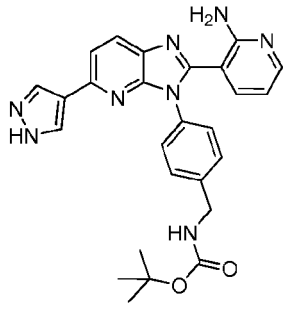
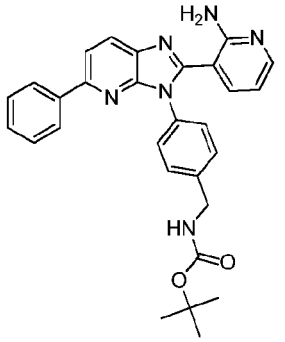
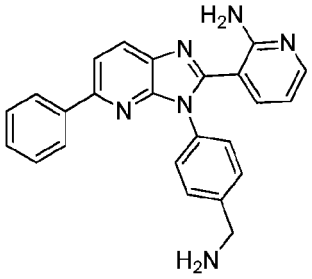
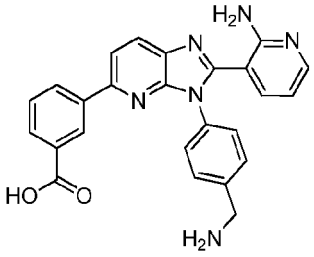
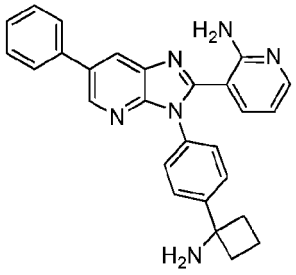
330		N-(4-(2-(2-aminopyridin-3-yl)-5-(4-hydroxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
331		N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-indol-6-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
332		N-(4-(2-(2-aminopyridin-3-yl)-5-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
333		N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
334		N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

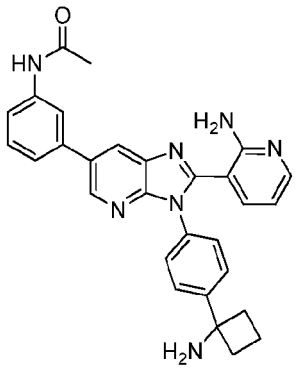
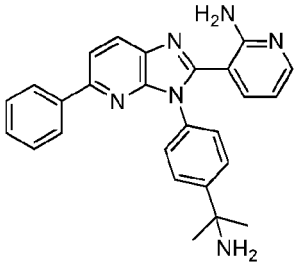
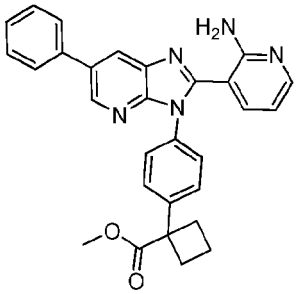
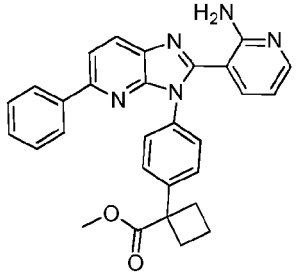
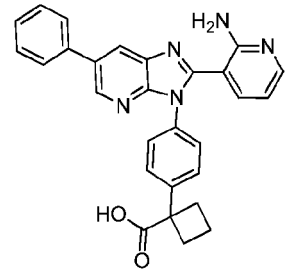
335		N-(4-(2-(2-aminopyridin-3-yl)-5-(3-cyanophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
336		methyl 4-(2-(2-aminopyridin-3-yl)-3-(4-((3-fluorobenzamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoate
337		N-(4-(2-(2-aminopyridin-3-yl)-5-(pyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
338		N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide

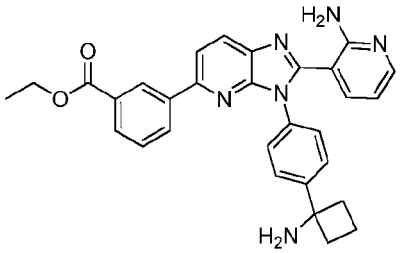
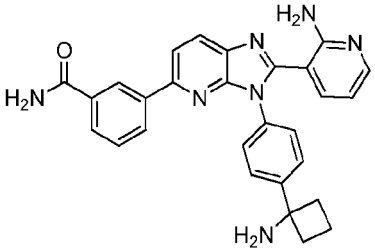
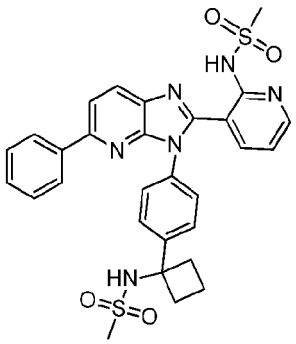
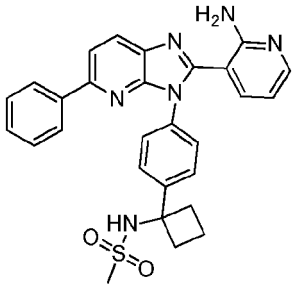
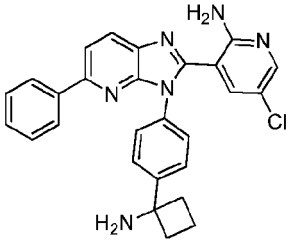
339		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-aminophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
340		tert-butyl (1-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate
341		2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylacetamide
342		N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide
343		N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide

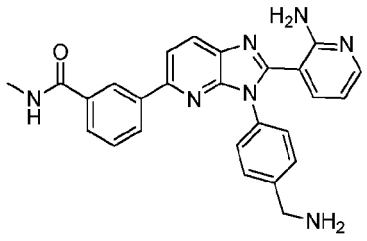
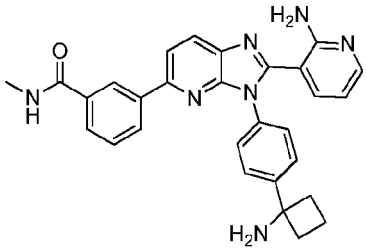
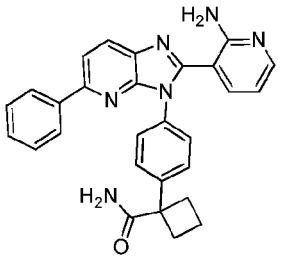
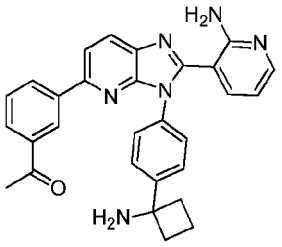
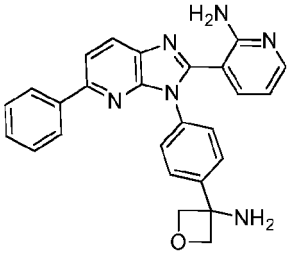
344		N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
345		N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
346		N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
347		3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide
348		N-(3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide

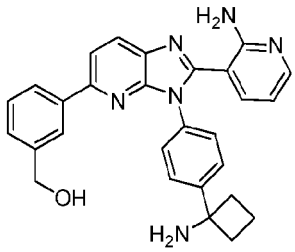
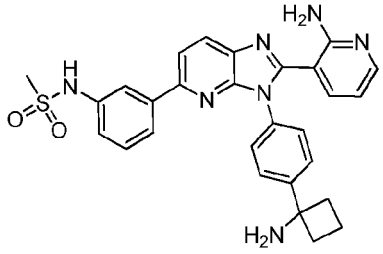
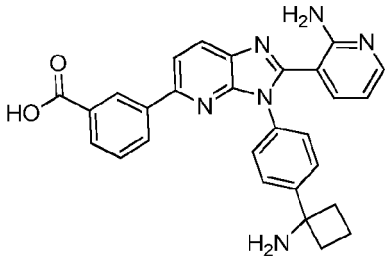
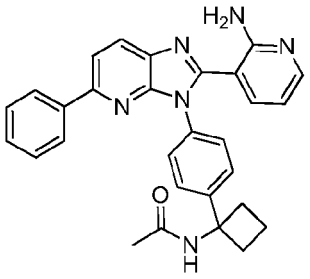
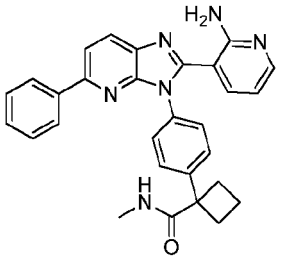
349		2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-1-phenylethanone
350		tert-butyl (1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate
351		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
352		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
353		3-(3-(4-(aminomethyl)phenyl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

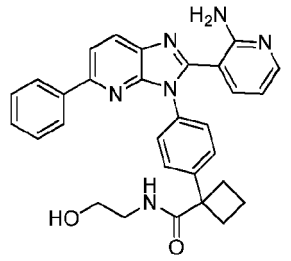
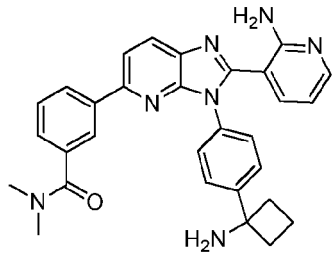
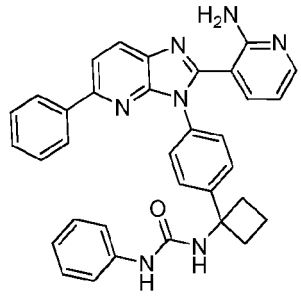
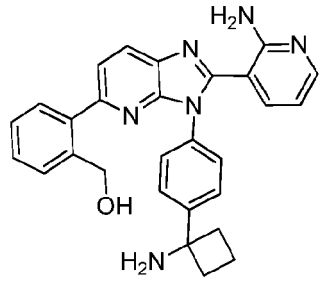
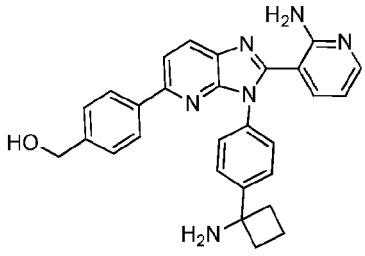
354		tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate
355		tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate
356		3-(3-(4-(aminomethyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
357		3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoic acid
358		3-(3-(4-(1-aminocyclobutyl)phenyl)-6-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

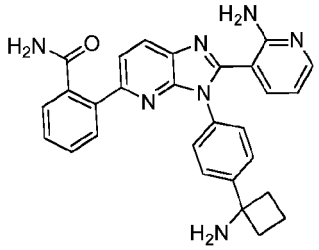
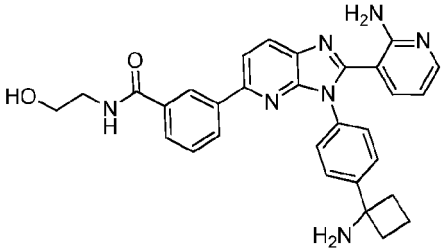
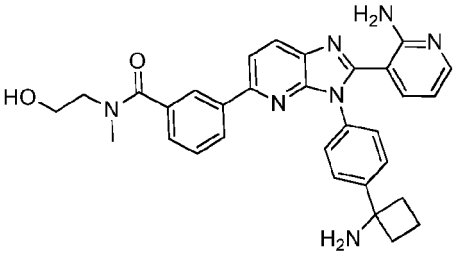
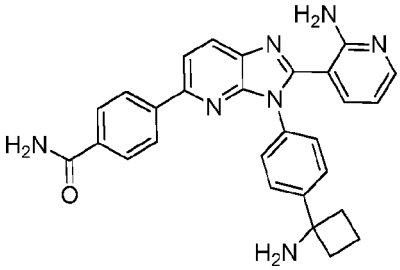
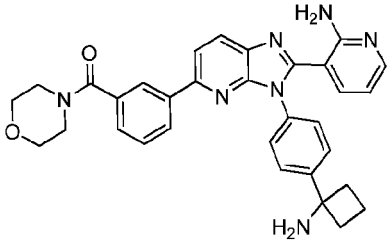
359		N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-6-yl)phenyl)acetamide
360		3-(3-(4-(2-aminopropan-2-yl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
361		methyl 1-(4-(2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylate
362		methyl 1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylate
363		1-(4-(2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylic acid

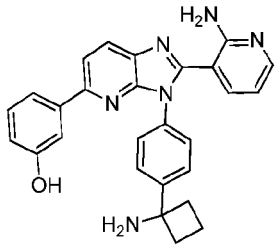
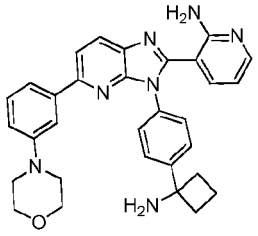
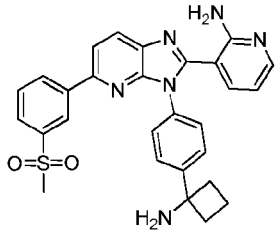
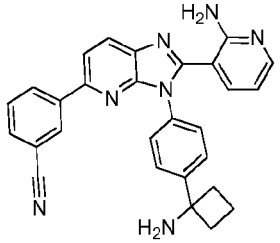
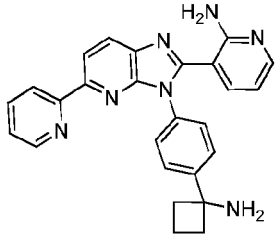
364		ethyl 3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoate
365		3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide
366		N-(3-(3-(4-(1-(methylsulfonamido)cyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-yl)methanesulfonamide
367		N-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)methanesulfonamide
368		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)-5-chloropyridin-2-amine

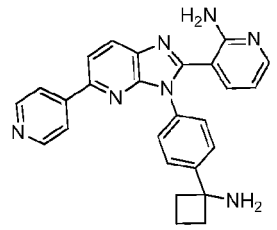
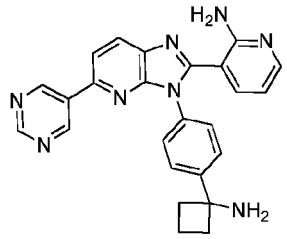
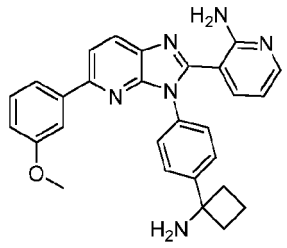
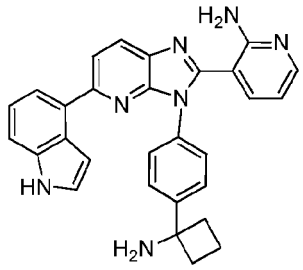
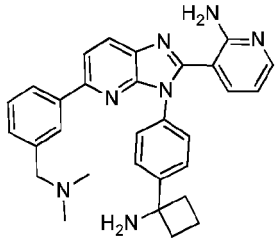
369		3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide
370		3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide
371		1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxamide
372		1-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)ethanone
373		3-(3-(4-(3-aminooxetan-3-yl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

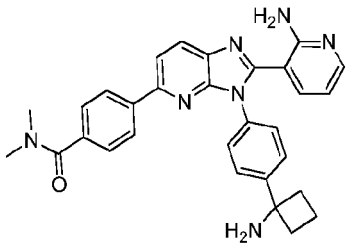
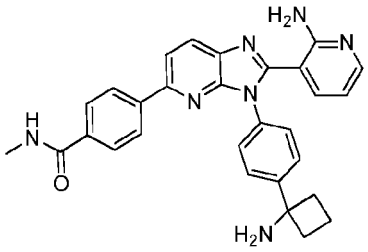
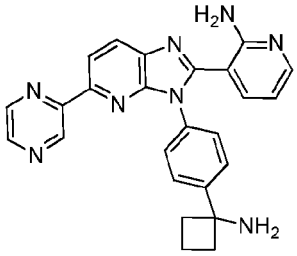
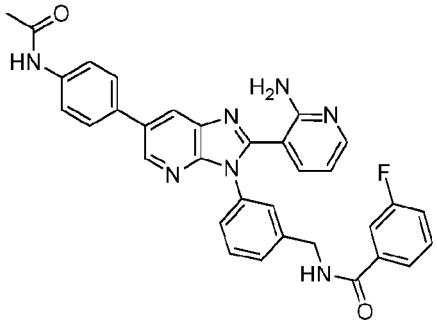
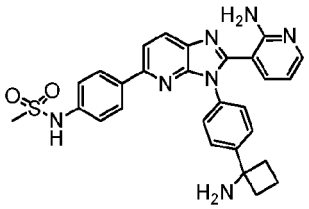
374		(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol
375		N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanesulfonamide
376		3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoic acid
377		N-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)acetamide
378		1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylcyclobutanecarboxamide

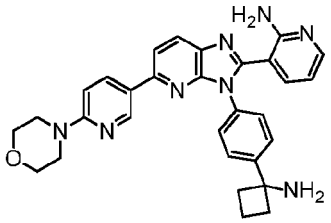
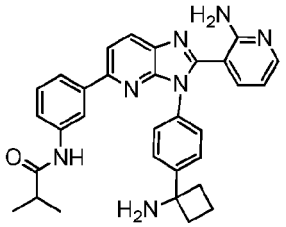
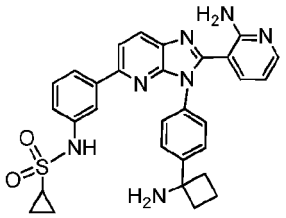
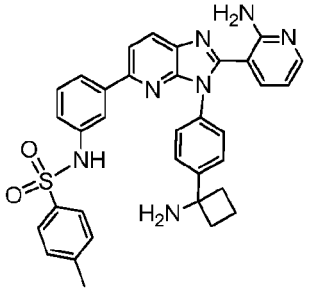
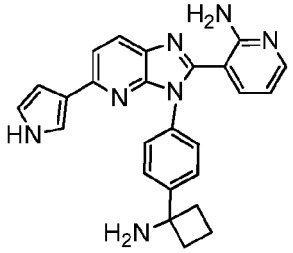
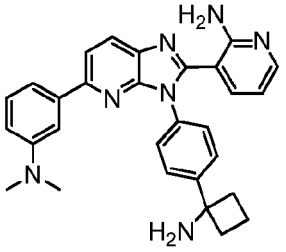
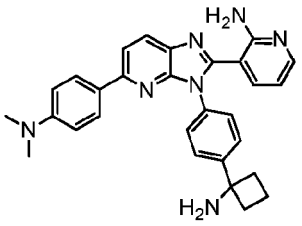
379		1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-hydroxyethyl)cyclobutanecarboxamide
380		3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N,N-dimethylbenzamide
381		1-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)-3-phenylurea
382		(2-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol
383		(4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol

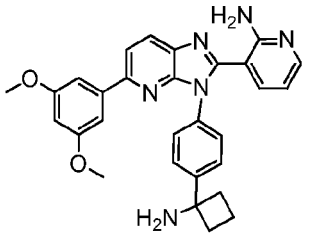
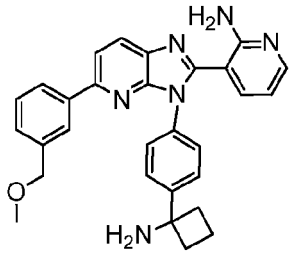
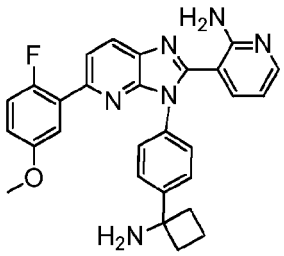
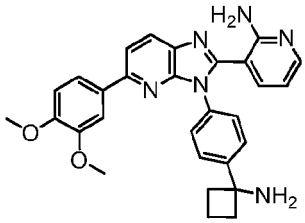
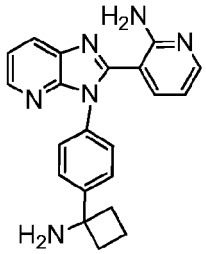
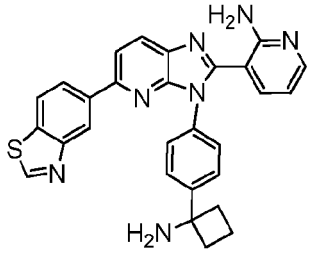
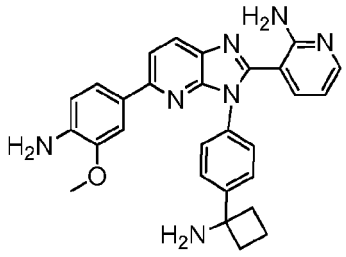
384		2-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide
385		3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-(2-hydroxyethyl)benzamide
386		3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-(2-hydroxyethyl)-N-methylbenzamide
387		4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide
388		(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)(morpholino)methanone

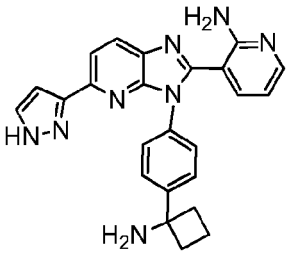
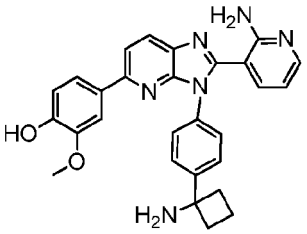
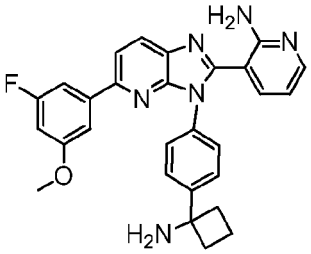
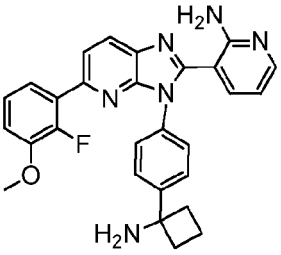
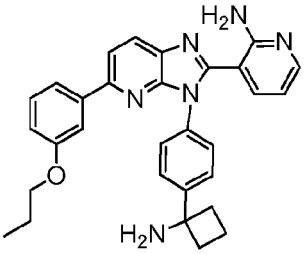
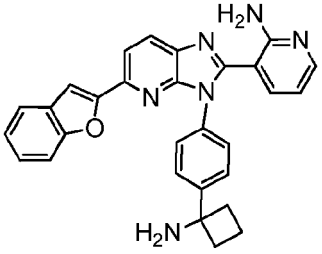
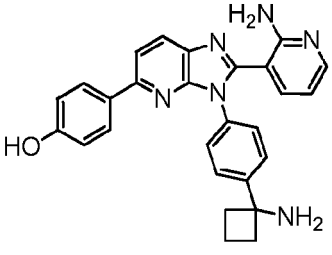
389		3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenol
390		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-morpholinophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
391		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-(methylsulfonyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
392		3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzonitrile
393		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

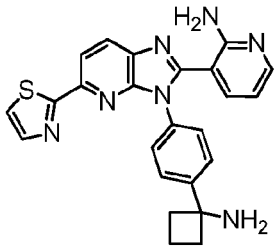
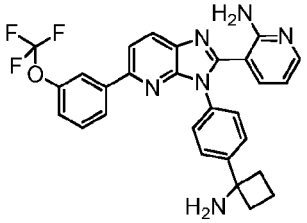
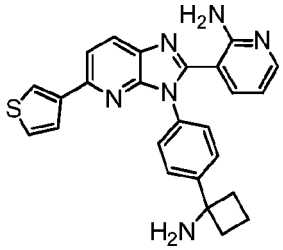
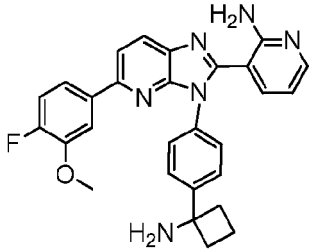
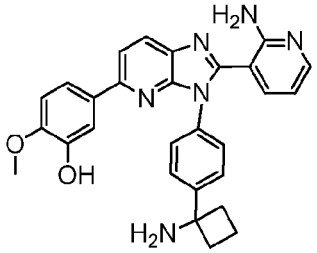
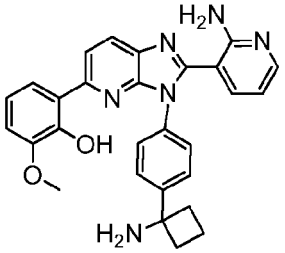
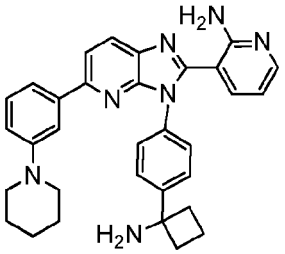
394		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
395		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
396		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
397		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
398		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-((dimethylamino)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

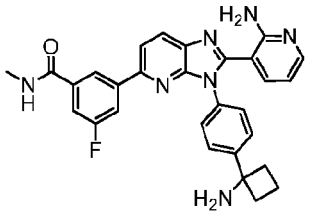
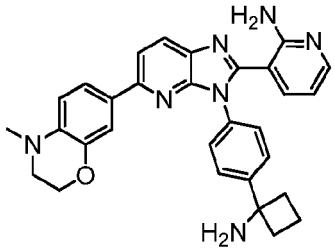
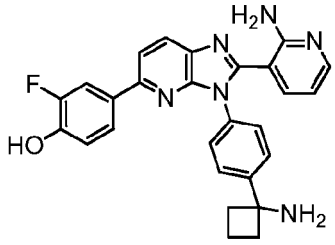
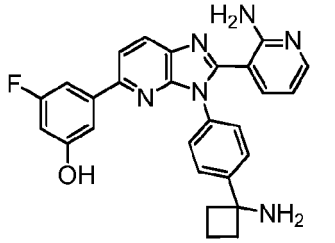
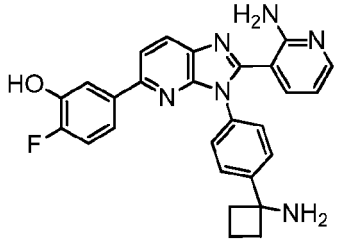
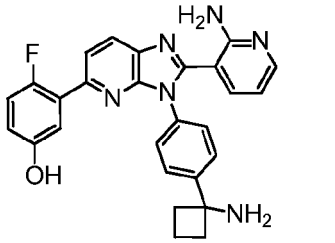
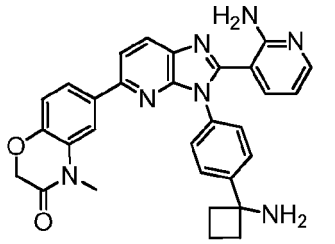
399		4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N,N-dimethylbenzamide
400		4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide
401		3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyrazin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
402		N-(3-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide
403		N-(4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)methanesulfonamide

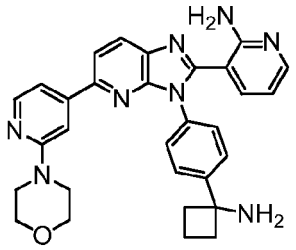
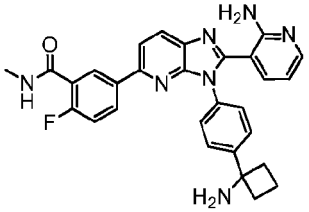
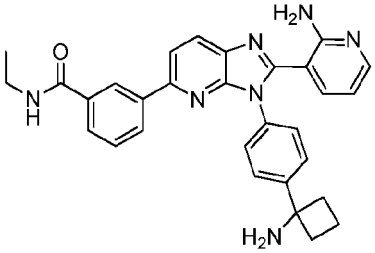
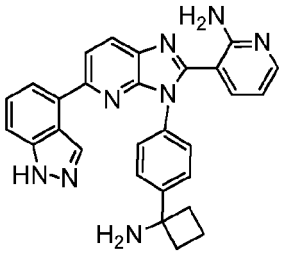
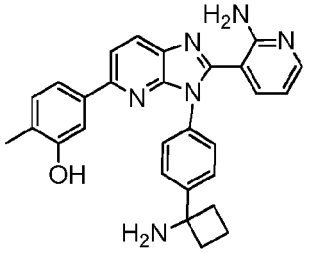
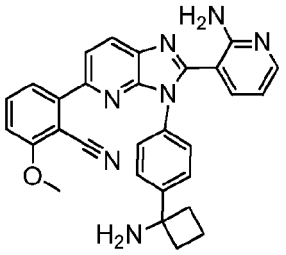
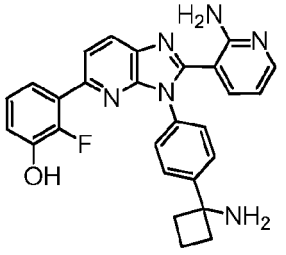
404		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
405		N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)-2-methylpropanamide
406		N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)cyclopropanesulfonamide
407		N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)-4-methylbenzenesulfonamide
408		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
409		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(dimethylamino)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
410		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[4-(dimethylamino)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

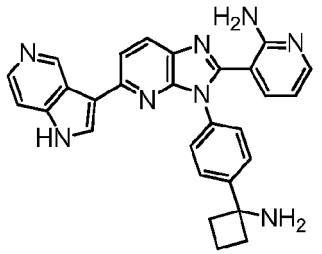
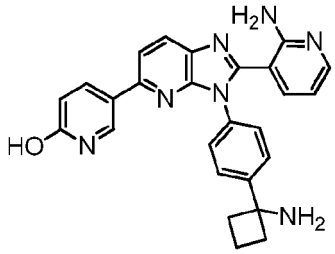
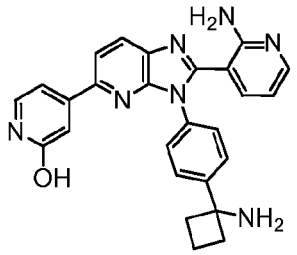
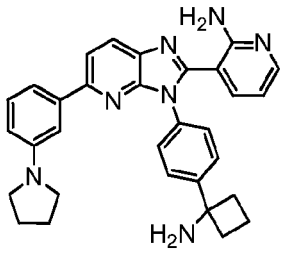
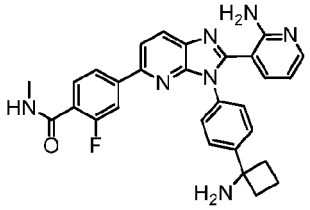
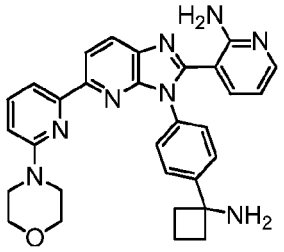
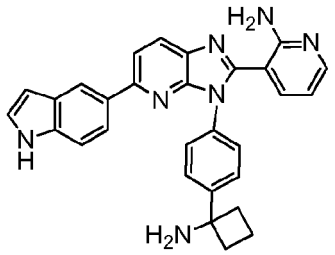
411		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3,5-dimethoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
412		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(methoxymethyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
413		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(2-fluoro-5-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
414		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3,4-dimethoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
415		3-{3-[4-(1-aminocyclobutyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
416		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1,3-benzothiazol-5-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
417		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-amino-3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

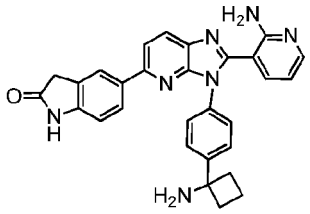
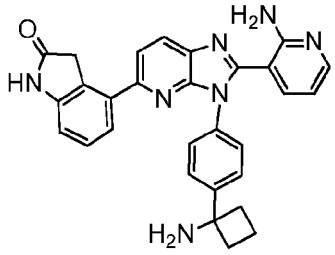
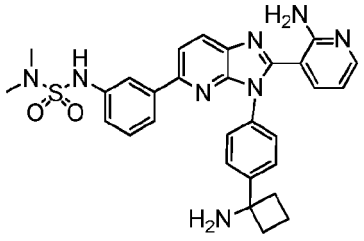
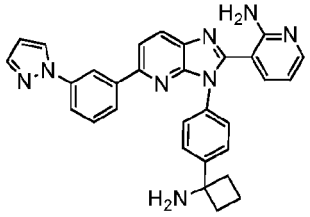
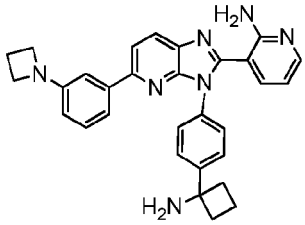
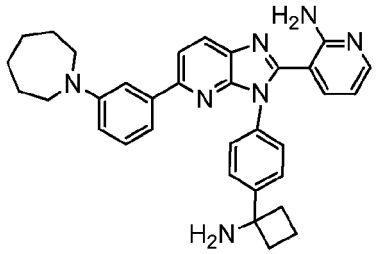
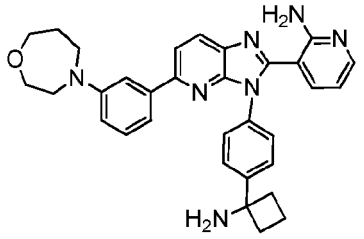
418		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-pyrazol-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
419		4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-methoxyphenol
420		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-fluoro-5-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
421		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(2-fluoro-3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
422		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-propoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
423		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1-benzofuran-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
424		4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenol

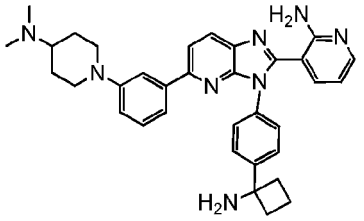
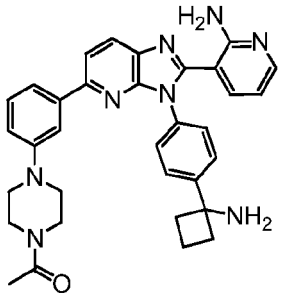
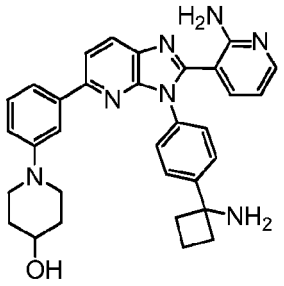
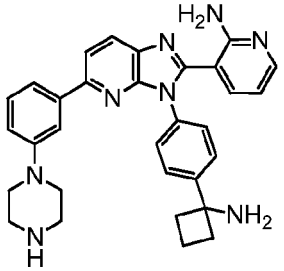
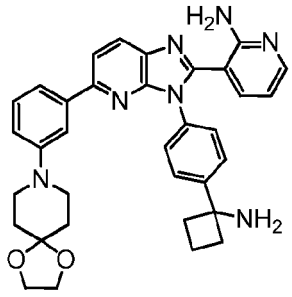
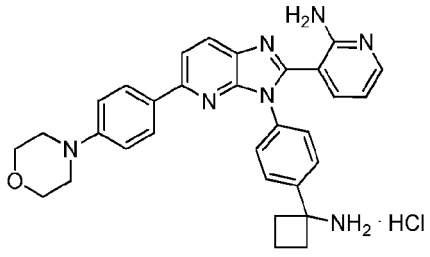
425		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1,3-thiazol-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
426		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(trifluoromethoxy)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
427		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-thienyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
428		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-fluoro-3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
429		5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-methoxyphenol
430		2-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-6-methoxyphenol
431		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-piperidin-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

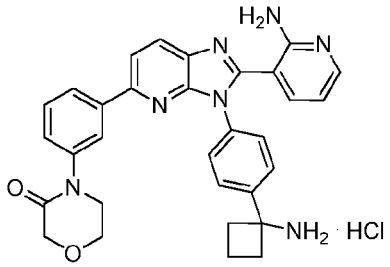
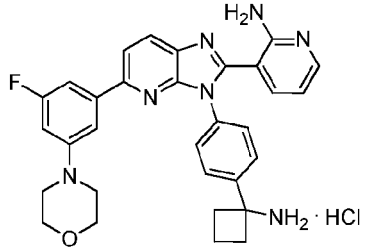
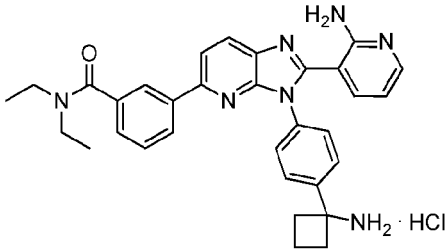
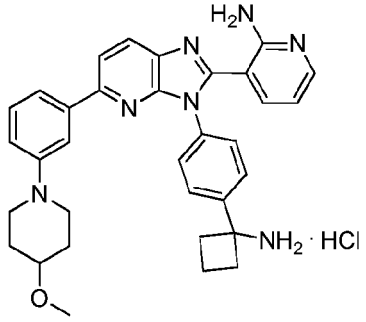
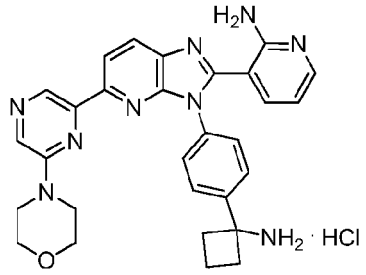
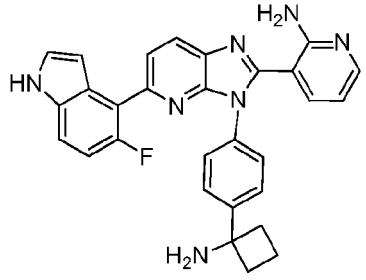
432		3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-5-fluoro-N-methylbenzamide
433		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
434		4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluorophenol
435		3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-5-fluorophenol
436		5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluorophenol
437		3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-4-fluorophenol
438		6-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-4-methyl-2H-1,4-benzoxazin-3(4H)-one

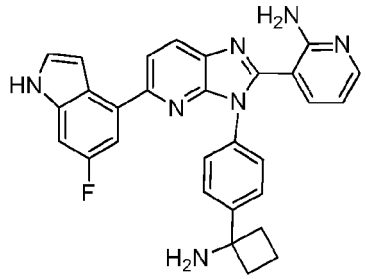
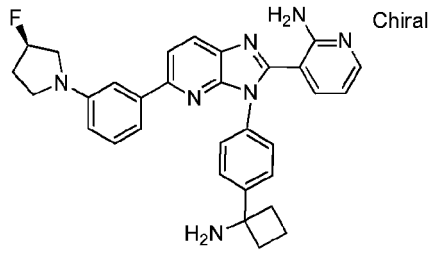
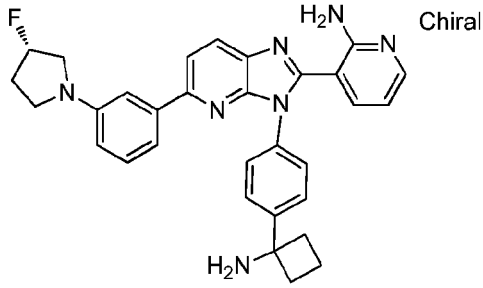
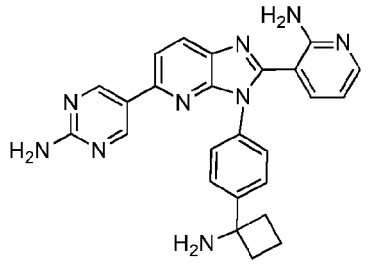
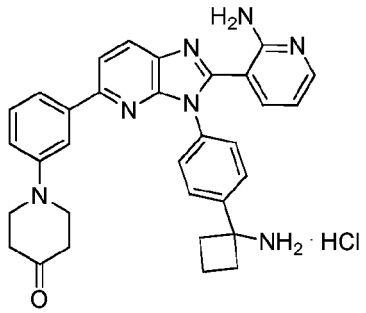
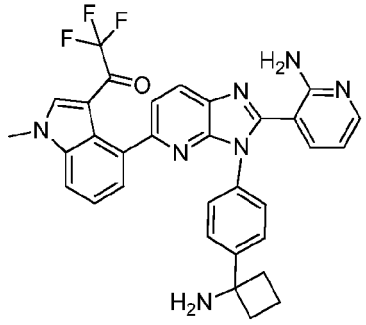
439		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(2-morpholin-4-ylpyridin-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
440		5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluoro-N-methylbenzamide
441		3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N-ethylbenzamide
442		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-indazol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
443		5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-methylphenol
444		2-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-6-methoxybenzonitrile
445		3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluorophenol

446		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-pyrrolo[3,2-c]pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
447		5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}pyridin-2-ol
448		4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}pyridin-2-ol
449		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-pyrrolidin-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
450		4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluoro-N-methylbenzamide
451		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
452		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-indol-5-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

453		5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-1,3-dihydro-2H-indol-2-one
454		4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-1,3-dihydro-2H-indol-2-one
455		N'-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)-N,N-dimethylsulfamide
456		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(1H-pyrazol-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
457		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-azetidin-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
458		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-azepan-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
459		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(1,4-oxazepan-4-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

460		3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[4-(dimethylamino)piperidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
461		1-[1-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)piperidin-4-yl]ethanone
462		1-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)piperidin-4-ol
463		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-piperazin-1-ylpyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
464		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
465		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride

466		4-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)morpholin-3-one hydrochloride
467		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-fluoro-5-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride
468		3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N,N-diethylbenzamide hydrochloride
469		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(4-methoxypiperidin-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride
470		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyrazin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride
471		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(5-fluoro-1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

472		3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-fluoro-1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine
473		3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[(3R)-3-fluoropyrrolidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
474		3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[(3S)-3-fluoropyrrolidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
475		5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}pyrimidin-2-amine
476		1-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)piperidin-4-one hydrochloride
477		1-(4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-1-methyl-1H-indol-3-yl)-2,2,2-trifluoroethanone

[0001106] As used herein, "alkyl", "C_1, C_2, C_3, C_4, C_5 or C_6 alkyl" or "C_1-C_6 alkyl" is intended to include C_1, C_2, C_3, C_4, C_5 or C_6 straight chain (linear) saturated aliphatic hydrocarbon groups and C_3, C_4, C_5 or C_6 branched saturated aliphatic hydrocarbon groups. For example, C_1-C_6 alkyl is intended to include C_1, C_2, C_3, C_4, C_5 and C_6 alkyl groups. Examples of alkyl include, moieties having from one to six carbon atoms, such as, but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl or n-hexyl.

[0001107] In certain embodiments, a straight chain or branched alkyl has six or fewer carbon atoms (*e.g.*, C_1-C_6 for straight chain, C_3-C_6 for branched chain), and in another embodiment, a straight chain or branched alkyl has four or fewer carbon atoms.

[0001108] "Heteroalkyl" groups are alkyl groups, as defined above, that have an oxygen, nitrogen, sulfur or phosphorous atom replacing one or more hydrocarbon backbone carbon atoms.

[0001109] As used herein, the term "cycloalkyl", "C_3, C_4, C_5, C_6, C_7 or C_8 cycloalkyl" or "C_3-C_8 cycloalkyl" is intended to include hydrocarbon rings having from three to eight carbon atoms in their ring structure. In one embodiment, a cycloalkyl group has five or six carbons in the ring structure.

[0001110] The term "substituted alkyl" refers to alkyl moieties having substituents replacing one or more hydrogen atoms on one or more carbons of the hydrocarbon backbone. Such substituents can include, for example, alkyl, alkenyl, alkynyl, halogen, hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, carboxylate, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkylthiocarbonyl, alkoxyl, phosphate, phosphonato, phosphinato, amino (including alkylamino, dialkylamino, arylamino, diarylamino and alkylarylamino), acylamino (including alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido), amidino, imino, sulfhydryl, alkylthio, arylthio, thiocarboxylate, sulfates, alkylsulfinyl, sulfonato, sulfamoyl, sulfonamido, nitro, trifluoromethyl, cyano, azido, heterocyclyl, alkylaryl, or an aromatic or heteroaromatic moiety. Cycloalkyls can be further substituted, *e.g.*, with the substituents described above. An "alkylaryl" or an "aralkyl" moiety is an alkyl substituted with an aryl (*e.g.*, phenylmethyl (benzyl)).

[0001111] Unless the number of carbons is otherwise specified, "lower alkyl" includes an alkyl group, as defined above, having from one to six, or in another embodiment from one to four, carbon atoms in its backbone structure. "Lower alkenyl" and "lower alkynyl" have chain lengths of, for example, two to six or of two to four carbon atoms.

[0001112] As used herein, "alkyl linker" is intended to include C_1, C_2, C_3, C_4, C_5 or C_6 straight chain (linear) saturated aliphatic hydrocarbon groups and C_3, C_4, C_5 or C_6 branched saturated aliphatic hydrocarbon groups. For example, C_1-C_6 alkyl linker is intended to include C_1, C_2, C_3, C_4, C_5 and C_6 alkyl linker groups. Examples of alkyl linker include, moieties having from one to six carbon atoms, such as, but not limited to, methyl (-CH_2-), ethyl (-CH_2CH_2-), n-propyl (-$CH_2CH_2CH_2$-), i-propyl (-$CHCH_3CH_2$-), n-butyl (-$CH_2CH_2CH_2CH_2$-), s-butyl (-$CHCH_3CH_2CH_2$-), i-butyl (-$C(CH_3)_2CH_2$-), n-pentyl (-$CH_2CH_2CH_2CH_2CH_2$-), s-pentyl (-$CHCH_3CH_2CH_2CH_2$-) or n-hexyl (-$CH_2CH_2CH_2CH_2CH_2CH_2$-).

[0001113] "Alkenyl" includes unsaturated aliphatic groups analogous in length and possible substitution to the alkyls described above, but that contain at least one double bond. For example, the term "alkenyl" includes straight chain alkenyl groups (*e.g.*, ethenyl, propenyl, butenyl, pentenyl, hexenyl, heptenyl, octenyl, nonenyl, decenyl), branched alkenyl groups, cycloalkenyl (*e.g.,* alicyclic) groups (*e.g.,* cyclopropenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl), alkyl or alkenyl substituted cycloalkenyl groups, and cycloalkyl or cycloalkenyl substituted alkenyl groups. In certain embodiments, a straight chain or branched alkenyl group has six or fewer carbon atoms in its backbone (*e.g.*, C_2-C_6 for straight chain, C_3-C_6 for branched chain). Likewise, cycloalkenyl groups may have from five to eight carbon atoms in their ring structure, and in one embodiment, cycloalkenyl groups have five or six carbons in the ring structure. The term "C_2-C_6" includes alkenyl groups containing two to six carbon atoms. The term "C_3-C_6" includes alkenyl groups containing three to six carbon atoms.

[0001114] "Heteroalkenyl" includes alkenyl groups, as defined herein, having an oxygen, nitrogen, sulfur or phosphorous atom replacing one or more hydrocarbon backbone carbons.

[0001115] The term "substituted alkenyl" refers to alkenyl moieties having substituents replacing one or more hydrogen atoms on one or more hydrocarbon backbone carbon atoms. Such substituents can include, for example, alkyl, alkenyl, alkynyl, halogen, hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, carboxylate, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkylthiocarbonyl, alkoxyl, phosphate, phosphonato, phosphinato, amino (including alkylamino, dialkylamino, arylamino, diarylamino and alkylarylamino), acylamino (including alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido), amidino, imino, sulfhydryl, alkylthio, arylthio, thiocarboxylate, sulfates, alkylsulfinyl,

sulfonato, sulfamoyl, sulfonamido, nitro, trifluoromethyl, cyano, heterocyclyl, alkylaryl, or an aromatic or heteroaromatic moiety.

[0001116] "Alkynyl" includes unsaturated aliphatic groups analogous in length and possible substitution to the alkyls described above, but which contain at least one triple bond. For example, "alkynyl" includes straight chain alkynyl groups (*e.g.*, ethynyl, propynyl, butynyl, pentynyl, hexynyl, heptynyl, octynyl, nonynyl, decynyl), branched alkynyl groups, and cycloalkyl or cycloalkenyl substituted alkynyl groups. In certain embodiments, a straight chain or branched alkynyl group has six or fewer carbon atoms in its backbone (*e.g.*, C_2-C_6 for straight chain, C_3-C_6 for branched chain). The term "C_2-C_6" includes alkynyl groups containing two to six carbon atoms. The term "C_3-C_6" includes alkynyl groups containing three to six carbon atoms.

[0001117] "Heteroalkynyl" includes alkynyl groups, as defined herein, having an oxygen, nitrogen, sulfur or phosphorous atom replacing one or more hydrocarbon backbone carbons.

[0001118] The term "substituted alkynyl" refers to alkynyl moieties having substituents replacing one or more hydrogen atoms on one or more hydrocarbon backbone carbon atoms. Such substituents can include, for example, alkyl, alkenyl, alkynyl, halogen, hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, carboxylate, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkylthiocarbonyl, alkoxyl, phosphate, phosphonato, phosphinato, amino (including alkylamino, dialkylamino, arylamino, diarylamino and alkylarylamino), acylamino (including alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido), amidino, imino, sulfhydryl, alkylthio, arylthio, thiocarboxylate, sulfates, alkylsulfinyl, sulfonato, sulfamoyl, sulfonamido, nitro, trifluoromethyl, cyano, azido, heterocyclyl, alkylaryl, or an aromatic or heteroaromatic moiety.

[0001119] "Aryl" includes groups with aromaticity, including "conjugated", or multicyclic, systems with at least one aromatic ring. Examples include phenyl, benzyl, etc.

[0001120] "Heteroaryl" groups are aryl groups, as defined above, having from one to four heteroatoms in the ring structure, and may also be referred to as "aryl heterocycles" or "heteroaromatics". As used herein, the term "heteroaryl" is intended to include a stable 5-, 6-, or 7-membered monocyclic or 7-, 8-, 9-, 10-, 11- or 12-membered bicyclic aromatic heterocyclic ring which consists of carbon atoms and one or more heteroatoms, *e.g.*, 1 or 1-2 or 1-3 or 1-4 or 1-5 or 1-6 heteroatoms, independently selected from the group consisting of nitrogen, oxygen and sulfur. The nitrogen atom may be substituted or unsubstituted (*i.e.*, N

or NR wherein R is H or other substituents, as defined). The nitrogen and sulfur heteroatoms may optionally be oxidized (*i.e.*, N→O and $S(O)_p$, where p = 1 or 2). It is to be noted that total number of S and O atoms in the aromatic heterocycle is not more than 1.

[0001121] Examples of heteroaryl groups include pyrrole, furan, thiophene, thiazole, isothiazole, imidazole, triazole, tetrazole, pyrazole, oxazole, isoxazole, pyridine, pyrazine, pyridazine, pyrimidine, and the like.

[0001122] Furthermore, the terms "aryl" and "heteroaryl" include multicyclic aryl and heteroaryl groups, *e.g.*, tricyclic, bicyclic, *e.g.*, naphthalene, benzoxazole, benzodioxazole, benzothiazole, benzoimidazole, benzothiophene, methylenedioxyphenyl, quinoline, isoquinoline, naphthridine, indole, benzofuran, purine, benzofuran, deazapurine, indolizine.

[0001123] In the case of multicyclic aromatic rings, only one of the rings needs to be aromatic (*e.g.*, 2,3-dihydroindole), although all of the rings may be aromatic (*e.g.*, quinoline). The second ring can also be fused or bridged.

[0001124] The aryl or heteroaryl aromatic ring can be substituted at one or more ring positions with such substituents as described above, for example, alkyl, alkenyl, akynyl, halogen, hydroxyl, alkoxy, alkylcarbonyloxy, arylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, carboxylate, alkylcarbonyl, alkylaminocarbonyl, aralkylaminocarbonyl, alkenylaminocarbonyl, alkylcarbonyl, arylcarbonyl, aralkylcarbonyl, alkenylcarbonyl, alkoxycarbonyl, aminocarbonyl, alkylthiocarbonyl, phosphate, phosphonato, phosphinato, amino (including alkylamino, dialkylamino, arylamino, diarylamino and alkylarylamino), acylamino (including alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido), amidino, imino, sulfhydryl, alkylthio, arylthio, thiocarboxylate, sulfates, alkylsulfinyl, sulfonato, sulfamoyl, sulfonamido, nitro, trifluoromethyl, cyano, azido, heterocyclyl, alkylaryl, or an aromatic or heteroaromatic moiety. Aryl groups can also be fused or bridged with alicyclic or heterocyclic rings, which are not aromatic so as to form a multicyclic system (*e.g.*, tetralin, methylenedioxyphenyl).

[0001125] As used herein, "carbocycle" or "carbocyclic ring" is intended to include any stable monocyclic, bicyclic or tricyclic ring having the specified number of carbons, any of which may be saturated, unsaturated, or aromatic. For example, a C_3-C_{14} carbocycle is intended to include a monocyclic, bicyclic or tricyclic ring having 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13 or 14 carbon atoms. Examples of carbocycles include, but are not limited to, cyclopropyl, cyclobutyl, cyclobutenyl, cyclopentyl, cyclopentenyl, cyclohexyl, cycloheptenyl, cycloheptyl, cycloheptenyl, adamantyl, cyclooctyl, cyclooctenyl, cyclooctadienyl, fluorenyl, phenyl, naphthyl, indanyl, adamantyl and tetrahydronaphthyl.

Bridged rings are also included in the definition of carbocycle, including, for example, [3.3.0]bicyclooctane, [4.3.0]bicyclononane, [4.4.0]bicyclodecane and [2.2.2]bicyclooctane. A bridged ring occurs when one or more carbon atoms link two non-adjacent carbon atoms. In one embodiment, bridge rings are one or two carbon atoms. It is noted that a bridge always converts a monocyclic ring into a tricyclic ring. When a ring is bridged, the substituents recited for the ring may also be present on the bridge. Fused (*e.g.*, naphthyl, tetrahydronaphthyl) and spiro rings are also included.

[0001126] As used herein, "heterocycle" includes any ring structure (saturated or partially unsaturated) which contains at least one ring heteroatom (*e.g.*, N, O or S). Examples of heterocycles include, but are not limited to, morpholine, pyrrolidine, tetrahydrothiophene, piperidine, piperazine and tetrahydrofuran.

[0001127] Examples of heterocyclic groups include, but are not limited to, acridinyl, azocinyl, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzoxazolinyl, benzthiazolyl, benztriazolyl, benztetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazolinyl, carbazolyl, 4a*H*-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, decahydroquinolinyl, 2*H*,6*H*-1,5,2-dithiazinyl, dihydrofuro[2,3-*b*]tetrahydrofuran, furanyl, furazanyl, imidazolidinyl, imidazolinyl, imidazolyl, 1*H*-indazolyl, indolenyl, indolinyl, indolizinyl, indolyl, 3H-indolyl, isatinoyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, methylenedioxyphenyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazol5(4H)-one, oxazolidinyl, oxazolyl, oxindolyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, piperidonyl, 4-piperidonyl, piperonyl, pteridinyl, purinyl, pyranyl, pyrazinyl, pyrazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, pyridooxazole, pyridoimidazole, pyridothiazole, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4*H*-quinolizinyl, quinoxalinyl, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, tetrazolyl, 6*H*-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl and xanthenyl.

[0001128] The term "substituted", as used herein, means that any one or more hydrogen atmos on the designated atom is replaced with a selection from the indicated groups, provided that the designated atom's normal valency is not exceeded, and that the substitution results in

a stable compound. When a substituent is keto (*i.e.*, =O), then 2 hydrogen atoms on the atom are replaced. Keto substituents are not present on aromatic moieties. Ring double bonds, as used herein, are double bonds that are formed between two adjacent ring atoms (*e.g.*, C=C, C=N or N=N). "Stable compound" and "stable structure" are meant to indicate a compound that is sufficiently robust to survive isolation to a useful degree of purity from a reaction mixture, and formulation into an efficacious therapeutic agent.

[0001129] When a bond to a substituent is shown to cross a bond connecting two atoms in a ring, then such substituent may be bonded to any atom in the ring. When a substituent is listed without indicating the atom via which such substituent is bonded to the rest of the compound of a given formula, then such substituent may be bonded via any atom in such formula. Combinations of substituents and/or variables are permissible, but only if such combinations result in stable compounds.

[0001130] When any variable (*e.g.*, R_1) occurs more than one time in any constituent or formula for a compound, its definition at each occurrence is independent of its definition at every other occurrence. Thus, for example, if a group is shown to be substituted with 0-2 R_1 moieties, then the group may optionally be substituted with up to two R_1 moieties and R_1 at each occurrence is selected independently from the definition of R_1. Also, combinations of substituents and/or variables are permissible, but only if such combinations result in stable compounds.

[0001131] The term "hydroxy" or "hydroxyl" includes groups with an -OH or $-O^-$.

[0001132] As used herein, "halo" or "halogen" refers to fluoro, chloro, bromo and iodo. The term "perhalogenated" generally refers to a moiety wherein all hydrogen atoms are replaced by halogen atoms.

[0001133] The term "carbonyl" or "carboxy" includes compounds and moieties which contain a carbon connected with a double bond to an oxygen atom. Examples of moieties containing a carbonyl include, but are not limited to, aldehydes, ketones, carboxylic acids, amides, esters, anhydrides, etc.

[0001134] "Acyl" includes moieties that contain the acyl radical (-C(O)-) or a carbonyl group. "Substituted acyl" includes acyl groups where one or more of the hydrogen atoms are replaced by, for example, alkyl groups, alkynyl groups, halogen, hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, carboxylate, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkylthiocarbonyl, alkoxyl, phosphate, phosphonato, phosphinato, amino (including alkylamino, dialkylamino, arylamino, diarylamino and alkylarylamino), acylamino (including

alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido), amidino, imino, sulfhydryl, alkylthio, arylthio, thiocarboxylate, sulfates, alkylsulfinyl, sulfonato, sulfamoyl, sulfonamido, nitro, trifluoromethyl, cyano, azido, heterocyclyl, alkylaryl, or an aromatic or heteroaromatic moiety.

[0001135] "Aroyl" includes moieties with an aryl or heteroaromatic moiety bound to a carbonyl group. Examples of aroyl groups include phenylcarboxy, naphthyl carboxy, etc.

[0001136] "Alkoxyalkyl", "alkylaminoalkyl" and "thioalkoxyalkyl" include alkyl groups, as described above, wherein oxygen, nitrogen or sulfur atoms replace one or more hydrocarbon backbone carbon atoms.

[0001137] The term "alkoxy" or "alkoxyl" includes substituted and unsubstituted alkyl, alkenyl and alkynyl groups covalently linked to an oxygen atom. Examples of alkoxy groups or alkoxyl radicals include, but are not limited to, methoxy, ethoxy, isopropyloxy, propoxy, butoxy and pentoxy groups. Examples of substituted alkoxy groups include halogenated alkoxy groups. The alkoxy groups can be substituted with groups such as alkenyl, alkynyl, halogen, hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, carboxylate, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkylthiocarbonyl, alkoxyl, phosphate, phosphonato, phosphinato, amino (including alkylamino, dialkylamino, arylamino, diarylamino, and alkylarylamino), acylamino (including alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido), amidino, imino, sulfhydryl, alkylthio, arylthio, thiocarboxylate, sulfates, alkylsulfinyl, sulfonato, sulfamoyl, sulfonamido, nitro, trifluoromethyl, cyano, azido, heterocyclyl, alkylaryl, or an aromatic or heteroaromatic moieties. Examples of halogen substituted alkoxy groups include, but are not limited to, fluoromethoxy, difluoromethoxy, trifluoromethoxy, chloromethoxy, dichloromethoxy and trichloromethoxy.

[0001138] The term "ether" or "alkoxy" includes compounds or moieties which contain an oxygen bonded to two carbon atoms or heteroatoms. For example, the term includes "alkoxyalkyl", which refers to an alkyl, alkenyl, or alkynyl group covalently bonded to an oxygen atom which is covalently bonded to an alkyl group.

[0001139] The term "ester" includes compounds or moieties which contain a carbon or a heteroatom bound to an oxygen atom which is bonded to the carbon of a carbonyl group. The term "ester" includes alkoxycarboxy groups such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, pentoxycarbonyl, etc.

[0001140] The term "thioalkyl" includes compounds or moieties which contain an alkyl group connected with a sulfur atom. The thioalkyl groups can be substituted with groups such as alkyl, alkenyl, alkynyl, halogen, hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, carboxylate, carboxyacid, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkylthiocarbonyl, alkoxyl, amino (including alkylamino, dialkylamino, arylamino, diarylamino and alkylarylamino), acylamino (including alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido), amidino, imino, sulfhydryl, alkylthio, arylthio, thiocarboxylate, sulfates, alkylsulfinyl, sulfonato, sulfamoyl, sulfonamido, nitro, trifluoromethyl, cyano, azido, heterocyclyl, alkylaryl, or an aromatic or heteroaromatic moieties.

[0001141] The term "thiocarbonyl" or "thiocarboxy" includes compounds and moieties which contain a carbon connected with a double bond to a sulfur atom.

[0001142] The term "thioether" includes moieties which contain a sulfur atom bonded to two carbon atoms or heteroatoms. Examples of thioethers include, but are not limited to alkthioalkyls, alkthioalkenyls and alkthioalkynyls. The term "alkthioalkyls" include moieties with an alkyl, alkenyl or alkynyl group bonded to a sulfur atom which is bonded to an alkyl group. Similarly, the term "alkthioalkenyls" refers to moieties wherein an alkyl, alkenyl or alkynyl group is bonded to a sulfur atom which is covalently bonded to an alkenyl group; and alkthioalkynyls" refers to moieties wherein an alkyl, alkenyl or alkynyl group is bonded to a sulfur atom which is covalently bonded to an alkynyl group.

[0001143] As used herein, "amine" or "amino" includes moieties where a nitrogen atom is covalently bonded to at least one carbon or heteroatom. "Alkylamino" includes groups of compounds wherein nitrogen is bound to at least one alkyl group. Examples of alkylamino groups include benzylamino, methylamino, ethylamino, phenethylamino, etc. "Dialkylamino" includes groups wherein the nitrogen atom is bound to at least two additional alkyl groups. Examples of dialkylamino groups include, but are not limited to, dimethylamino and diethylamino. "Arylamino" and "diarylamino" include groups wherein the nitrogen is bound to at least one or two aryl groups, respectively. "Alkylarylamino", "alkylaminoaryl" or "arylaminoalkyl" refers to an amino group which is bound to at least one alkyl group and at least one aryl group. "Alkaminoalkyl" refers to an alkyl, alkenyl, or alkynyl group bound to a nitrogen atom which is also bound to an alkyl group. "Acylamino" includes groups wherein nitrogen is bound to an acyl group. Examples of acylamino include, but are not limited to, alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido groups.

[0001144] The term "amide" or "aminocarboxy" includes compounds or moieties that contain a nitrogen atom that is bound to the carbon of a carbonyl or a thiocarbonyl group. The term includes "alkaminocarboxy" groups that include alkyl, alkenyl or alkynyl groups bound to an amino group which is bound to the carbon of a carbonyl or thiocarbonyl group. It also includes "arylaminocarboxy" groups that include aryl or heteroaryl moieties bound to an amino group that is bound to the carbon of a carbonyl or thiocarbonyl group. The terms "alkylaminocarboxy", "alkenylaminocarboxy", "alkynylaminocarboxy" and "arylaminocarboxy" include moieties wherein alkyl, alkenyl, alkynyl and aryl moieties, respectively, are bound to a nitrogen atom which is in turn bound to the carbon of a carbonyl group. Amides can be substituted with substituents such as straight chain alkyl, branched alkyl, cycloalkyl, aryl, heteroaryl or heterocycle. Substituents on amide groups may be further substituted.

[0001145] Compounds of the present invention that contain nitrogens can be converted to N-oxides by treatment with an oxidizing agent (*e.g.*, 3-chloroperoxybenzoic acid (*m*-CPBA) and/or hydrogen peroxides) to afford other compounds of the present invention. Thus, all shown and claimed nitrogen-containing compounds are considered, when allowed by valency and structure, to include both the compound as shown and its N-oxide derivative (which can be designated as $N \rightarrow O$ or $N^{+}\text{-}O^{-}$). Furthermore, in other instances, the nitrogens in the compounds of the present invention can be converted to N-hydroxy or N-alkoxy compounds. For example, N-hydroxy compounds can be prepared by oxidation of the parent amine by an oxidizing agent such as *m*-CPBA. All shown and claimed nitrogen-containing compounds are also considered, when allowed by valency and structure, to cover both the compound as shown and its N-hydroxy (*i.e.*, N-OH) and N-alkoxy (*i.e.*, N-OR, wherein R is substituted or unsubstituted C_1-C_6 alkyl, C_1-C_6 alkenyl, C_1-C_6 alkynyl, 3-14-membered carbocycle or 3-14-membered heterocycle) derivatives.

[0001146] In the present specification, the structural formula of the compound represents a certain isomer for convenience in some cases, but the present invention includes all isomers, such as geometrical isomers, optical isomers based on an asymmetrical carbon, stereoisomers, tautomers, and the like. In addition, a crystal polymorphism may be present for the compounds represented by the formula. It is noted that any crystal form, crystal form mixture, or anhydride or hydrate thereof is included in the scope of the present invention. Furthermore, so-called metabolite which is produced by degradation of the present compound *in vivo* is included in the scope of the present invention.

[0001147] "Isomerism" means compounds that have identical molecular formulae but differ in the sequence of bonding of their atoms or in the arrangement of their atoms in space. Isomers that differ in the arrangement of their atoms in space are termed "stereoisomers". Stereoisomers that are not mirror images of one another are termed "diastereoisomers", and stereoisomers that are non-superimposable mirror images of each other are termed "enantiomers" or sometimes optical isomers. A mixture containing equal amounts of individual enantiomeric forms of opposite chirality is termed a "racemic mixture".

[0001148] A carbon atom bonded to four nonidentical substituents is termed a "chiral center".

[0001149] "Chiral isomer" means a compound with at least one chiral center. Compounds with more than one chiral center may exist either as an individual diastereomer or as a mixture of diastereomers, termed "diastereomeric mixture". When one chiral center is present, a stereoisomer may be characterized by the absolute configuration (R or S) of that chiral center. Absolute configuration refers to the arrangement in space of the substituents attached to the chiral center. The substituents attached to the chiral center under consideration are ranked in accordance with the *Sequence Rule* of Cahn, Ingold and Prelog. (Cahn *et al.*, *Angew. Chem. Inter. Edit.* 1966, 5, 385; errata 511; Cahn *et al.*, *Angew. Chem.* 1966, 78, 413; Cahn and Ingold, *J. Chem. Soc.* 1951 (London), 612; Cahn *et al.*, *Experientia* 1956, 12, 81; Cahn, *J. Chem. Educ.* 1964, 41, 116).

[0001150] "Geometric isomer" means the diastereomers that owe their existence to hindered rotation about double bonds. These configurations are differentiated in their names by the prefixes cis and trans, or Z and E, which indicate that the groups are on the same or opposite side of the double bond in the molecule according to the Cahn-Ingold-Prelog rules.

[0001151] Furthermore, the structures and other compounds discussed in this invention include all atropic isomers thereof. "Atropic isomers" are a type of stereoisomer in which the atoms of two isomers are arranged differently in space. Atropic isomers owe their existence to a restricted rotation caused by hindrance of rotation of large groups about a central bond. Such atropic isomers typically exist as a mixture, however as a result of recent advances in chromatography techniques; it has been possible to separate mixtures of two atropic isomers in select cases.

[0001152] "Tautomer" is one of two or more structural isomers that exist in equilibrium and is readily converted from one isomeric form to another. This conversion results in the formal migration of a hydrogen atom accompanied by a switch of adjacent conjugated double bonds. Tautomers exist as a mixture of a tautomeric set in solution. In solid form, usually

one tautomer predominates. In solutions where tautomerization is possible, a chemical equilibrium of the tautomers will be reached. The exact ratio of the tautomers depends on several factors, including temperature, solvent and pH. The concept of tautomers that are interconvertable by tautomerizations is called tautomerism.

[0001153] Of the various types of tautomerism that are possible, two are commonly observed. In keto-enol tautomerism a simultaneous shift of electrons and a hydrogen atom occurs. Ring-chain tautomerism arises as a result of the aldehyde group (-CHO) in a sugar chain molecule reacting with one of the hydroxy groups (-OH) in the same molecule to give it a cyclic (ring-shaped) form as exhibited by glucose.

[0001154] Common tautomeric pairs are: ketone-enol, amide-nitrile, lactam-lactim, amide-imidic acid tautomerism in heterocyclic rings (*e.g.*, in nucleobases such as guanine, thymine and cytosine), amine-enamine and enamine-enamine.

[0001155] It is to be understood that the compounds of the present invention may be depicted as different tautomers. It should also be understood that when compounds have tautomeric forms, all tautomeric forms are intended to be included in the scope of the present invention, and the naming of the compounds does not exclude any tautomer form.

[0001156] The term "crystal polymorphs", "polymorphs" or "crystal forms" means crystal structures in which a compound (or a salt or solvate thereof) can crystallize in different crystal packing arrangements, all of which have the same elemental composition. Different crystal forms usually have different X-ray diffraction patterns, infrared spectral, melting points, density hardness, crystal shape, optical and electrical properties, stability and solubility. Recrystallization solvent, rate of crystallization, storage temperature, and other factors may cause one crystal form to dominate. Crystal polymorphs of the compounds can be prepared by crystallization under different conditions.

[0001157] Additionally, the compounds of the present invention, for example, the salts of the compounds, can exist in either hydrated or unhydrated (the anhydrous) form or as solvates with other solvent molecules. Nonlimiting examples of hydrates include monohydrates, dihydrates, etc. Nonlimiting examples of solvates include ethanol solvates, acetone solvates, etc.

[0001158] "Solvate" means solvent addition forms that contain either stoichiometric or non stoichiometric amounts of solvent. Some compounds have a tendency to trap a fixed molar ratio of solvent molecules in the crystalline solid state, thus forming a solvate. If the solvent is water the solvate formed is a hydrate; and if the solvent is alcohol, the solvate formed is an alcoholate. Hydrates are formed by the combination of one or more molecules of

water with one molecule of the substance in which the water retains its molecular state as H_2O.

[0001159] As used herein, the term "analog" refers to a chemical compound that is structurally similar to another but differs slightly in composition (as in the replacement of one atom by an atom of a different element or in the presence of a particular functional group, or the replacement of one functional group by another functional group). Thus, an analog is a compound that is similar or comparable in function and appearance, but not in structure or origin to the reference compound.

[0001160] As defined herein, the term "derivative" refers to compounds that have a common core structure, and are substituted with various groups as described herein. For example, all of the compounds represented by Formula I are imidazopyridinyl-aminopyridine derivatives, and have Formula I as a common core.

[0001161] The term "bioisostere" refers to a compound resulting from the exchange of an atom or of a group of atoms with another, broadly similar, atom or group of atoms. The objective of a bioisosteric replacement is to create a new compound with similar biological properties to the parent compound. The bioisosteric replacement may be physicochemically or topologically based. Examples of carboxylic acid bioisosteres include, but are not limited to, acyl sulfonimides, tetrazoles, sulfonates and phosphonates. See, *e.g.*, Patani and LaVoie, *Chem. Rev.* 96, 3147-3176, 1996.

[0001162] The present invention is intended to include all isotopes of atoms occurring in the present compounds. Isotopes include those atoms having the same atomic number but different mass numbers. By way of general example and without limitation, isotopes of hydrogen include tritium and deuterium, and isotopes of carbon include C-13 and C-14.

2. Synthesis of Substituted Imidazopyridinyl-Aminopyridine Compounds

[0001163] The present invention provides methods for the synthesis of the compounds of each of the formulae described herein. The present invention also provides detailed methods for the synthesis of various disclosed compounds of the present invention according to the following schemes as shown in the examples.

[0001164] Throughout the description, where compositions are described as having, including, or comprising specific components, it is contemplated that compositions also consist essentially of, or consist of, the recited components. Similarly, where methods or processes are described as having, including, or comprising specific process steps, the processes also consist essentially of, or consist of, the recited processing steps. Further, it

should be understood that the order of steps or order for performing certain actions is immaterial so long as the invention remains operable. Moreover, two or more steps or actions can be conducted simultaneously.

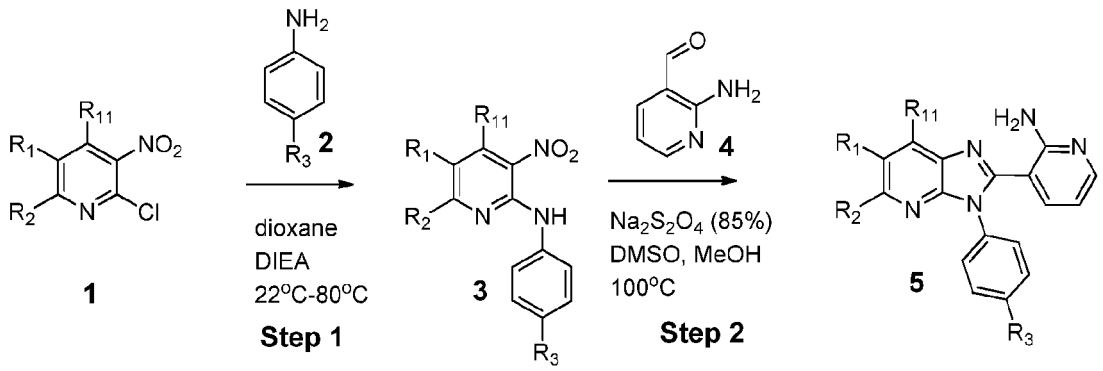
[0001165] The synthetic processes of the invention can tolerate a wide variety of functional groups, therefore various substituted starting materials can be used. The processes generally provide the desired final compound at or near the end of the overall process, although it may be desirable in certain instances to further convert the compound to a pharmaceutically acceptable salt, ester or prodrug thereof.

[0001166] Compounds of the present invention can be prepared in a variety of ways using commercially available starting materials, compounds known in the literature, or from readily prepared intermediates, by employing standard synthetic methods and procedures either known to those skilled in the art, or which will be apparent to the skilled artisan in light of the teachings herein. Standard synthetic methods and procedures for the preparation of organic molecules and functional group transformations and manipulations can be obtained from the relevant scientific literature or from standard textbooks in the field. Although not limited to any one or several sources, classic texts such as Smith, M. B., March, J., *March's Advanced Organic Chemistry: Reactions, Mechanisms, and Structure*, 5th edition, John Wiley & Sons: New York, 2001; and Greene, T.W., Wuts, P.G. M., *Protective Groups in Organic Synthesis*, 3rd edition, John Wiley & Sons: New York, 1999, incorporated by reference herein, are useful and recognized reference textbooks of organic synthesis known to those in the art. The following descriptions of synthetic methods are designed to illustrate, but not to limit, general procedures for the preparation of compounds of the present invention.

[0001167] Compounds of the present invention can be conveniently prepared by a variety of methods familiar to those skilled in the art. The compounds each of the formulae described herein may be prepared according to the following procedures from commercially available starting materials or starting materials which can be prepared using literature procedures. These procedures show the preparation of representative compounds of this invention.

General Procedure A

[0001168] One general procedure for imidazo-pyridine formation is described below in Scheme 1-1: Imidazo-pyridine formation

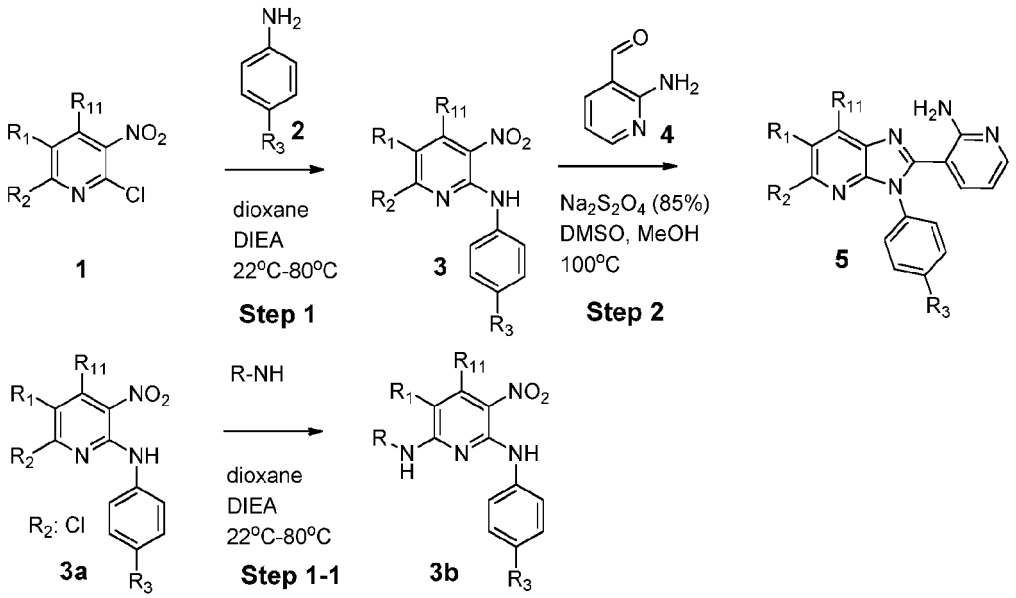


[0001169] Step 1. Synthesis of 3-nitro-N-phenylpyridin-2-amine (structure **3** as shown in Scheme 1-1). 2-chloro-3-nitropyridine **1** was dissolved in dioxane (10 mL/ mmol) in a round bottom flask. Aniline (structure **2** as shown in Scheme 1-1) was added (1.1 eq.) and diisopropylethylamine (3 eq.). The reaction mixture was heated to the appropriate temperature for 4 to 36 hours. After cooling to room temperature the solvent was removed under reduced pressure. The residue was dissolved in ethyl acetate (20 mL/ mmol) and washed with water and brine (20 mL/ mmol respectively). The organic phase was separated and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude product (red to brown solid) was carried on to the next step without further purification.

[0001170] Step 2. Synthesis of 3-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine (structure **5** as shown in Scheme 1-1). 3-nitro-N-phenylpyridin-2-amine (structure **3** as shown in Scheme 1-1) was dissolved in dimethylsulfoxide (8 mL/ mmol) and methanol (1.5 mL/ mmol) in a round bottom flask. 2-aminonicotinaldehyde (structure **4** as shown in Scheme 1-1) (1.1 eq.) was added and $Na_2S_2O_4$ (85%, 2.5 eq.). The reaction mixture was heated to 100°C for 15 to 36 hours. After cooling to room temperature the reaction mixture was diluted with dichloromethane (20 mL/ mmol) and washed with water and brine. The organic phase was separated and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude product was purified by silica gel chromatography (dichloromethane/ methanol; 0-20% methanol over 60 min) to give a yellow to brown solid.

General Procedure A-1

[0001171] One general procedure for R_2-amino-substituted imidazopyridine formation is described below in Scheme 1-2: Imidazol pyridine formation with amino substitution on pyridine



[0001172] Step 1. Synthesis of 3-nitro-N-phenylpyridin-2-amine (structure **3** as shown in Scheme 1-2). 2-chloro-3-nitropyridine (structure **1** as shown in Scheme 1-2) was dissolved in dioxane (10 mL/ mmol) in a round bottom flask. Aniline (structure **2** as shown in Scheme 1-2) was added (1.1 eq.) and diisopropylethylamine (3 eq.). The reaction mixture was heated to the appropriate temperature for 4 to 36 hours. After cooling to room temperature the solvent was removed under reduced pressure. The residue was dissolved in ethyl acetate (20 mL/ mmol) and washed with water and brine (20 mL/ mmol respectively). The organic phase was separated and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude product (red to brown solid) was carried on to the next step without further purification.

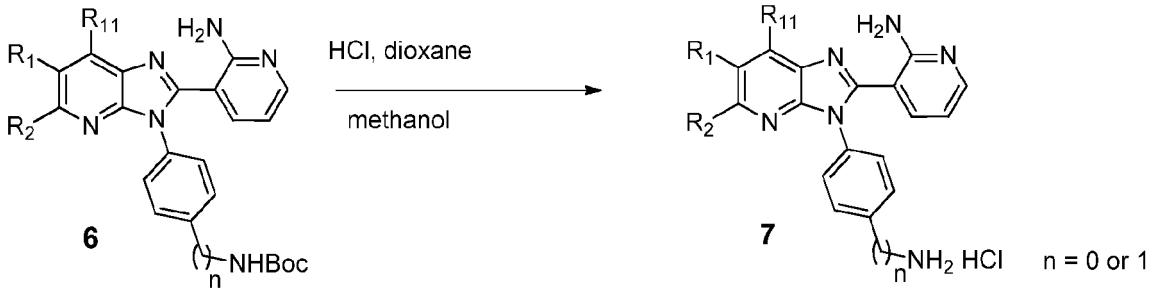
[0001173] Step 1-1. Synthesis of N^1-alkyl/aryl-3-nitro-N^2-phenylpyridine-2,6-diamine (structure **3b** as shown in Scheme 1-2). Intermediate (structure **3a** as shown in Scheme 1-2) (1 eq.) was dissolved in dioxane (5 mL/ mmol) in a round bottom flask. Alky/ arylamine (2 eq.) was added and diisopropylamine (2.5 eq.). The reaction mixture was heated to 80°C in an oil bath for 24 h. After cooling to room temperature the solvent was removed under reduced pressure. The residue was dissolved in ethyl acetate (10 mL/ mmol) and washed with water and brine (5 mL/ mmol respectively). The organic phase was separated and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude product (structure **3b** as shown in Scheme 1-2) was carried on to the next step without further purification.

[0001174] Step 2. Synthesis of 3-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine (structure **5** as shown in Scheme 1-2). 3-nitro-N-phenylpyridin-2-amine (structure **3** as

shown in Scheme 1-2) was dissolved in dimethylsulfoxide (8 mL/ mmol) and methanol (1.5 mL/ mmol) in a round bottom flask. 2-aminonicotinaldehyde 4 (1.1 eq.) was added and $Na_2S_2O_4$ (85%, 2.5 eq.). The reaction mixture was heated to 100°C for 15 to 36 hours. After cooling to room temperature the reaction mixture was diluted with dichloromethane (20 mL/ mmol) and washed with water and brine. The organic phase was separated and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude product was purified by silica gel chromatography (dichloromethane/ methanol; 0-20% methanol over 60 min) to give a yellow to brown solid.

General Procedure B

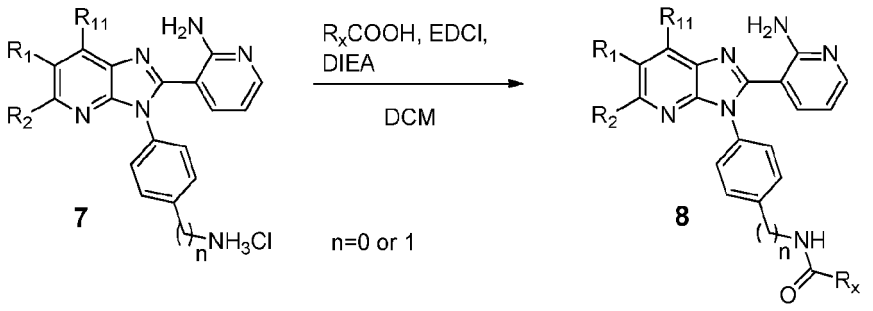
[0001175] One general procedure for BOC group deprotection is described below in Scheme 2.: Deprotection of BOC-group



[0001176] Carbamate (structure **6** as shown in Scheme 2) (1 eq.) was dissolved in methanol. HCl (20 eq., 4 M in dioxane) was added and stirred at room temperature for 2 to 4 hours. Concentration of the solution under reduced pressure gave the deprotected amine (structure **7** as shown in Scheme 2) as hydrochloric acid salt, which was used for the next step without further purification.

General Procedure C

[0001177] One general procedure for amide formation is described below in Scheme 3: Amide formation

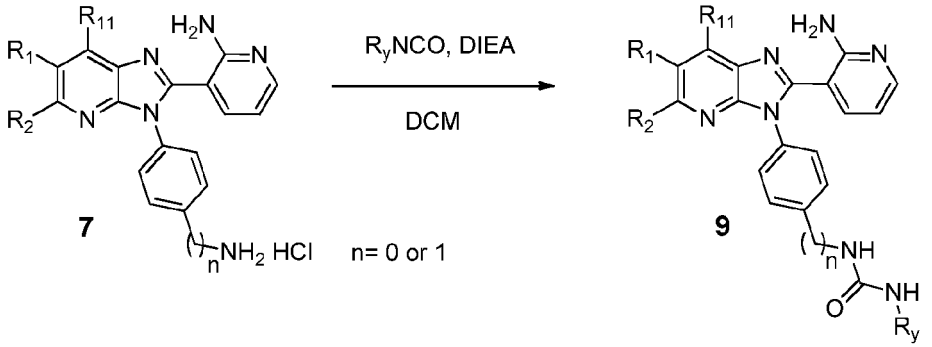


[0001178] To a mixture of amine (structure **7** as shown in Scheme 3) (1 eq.) and aryl/ alkyl acid (1.1 eq.) in dichloromethane (5 mL/ mmol) was added 1-ethyl-3-(3-

dimethylaminopropyl) carbodiimide (1.2 eq.) and diisopropylethylamine (3 eq.). The reaction mixture was stirred for 15 hours at room temperature then quenched with water (2 mL/mmol). The organic phase was separated, washed with brine (5mL/ mmol) and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude product (structure **8** as shown in Scheme 3) was purified by silica gel chromatography (hexanes/ ethyl acetate; 0-100 % ethyl acetate).

General Procedure D

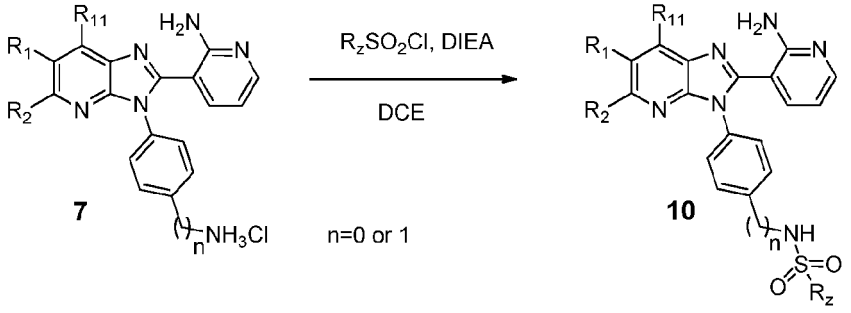
[0001179] One general procedure for urea formation is described below in Scheme 4: Urea formation



[0001180] To a mixture of amine (structure **7** as shown in Scheme 4) (1 eq.) and diisopropylethylamine (2 eq.) in DCM (6 mL/ mmol) was added alkyl/ aryl isocyanate (1 eq.). After 20 min the reaction was quenched by adding water. The organic phase was separated, diluted with dichloromethane, washed with brine and dried over Na_2SO_4. The solvent was removed under reduced pressure. The crude product (structure **9** as shown in Scheme 4) was purified by silica gel chromatography (0-20 % methanol in dichloromethane).

General Procedure E

[0001181] One general procedure for sulfone amide formation is described below in Scheme 5: Sulfone amide formation

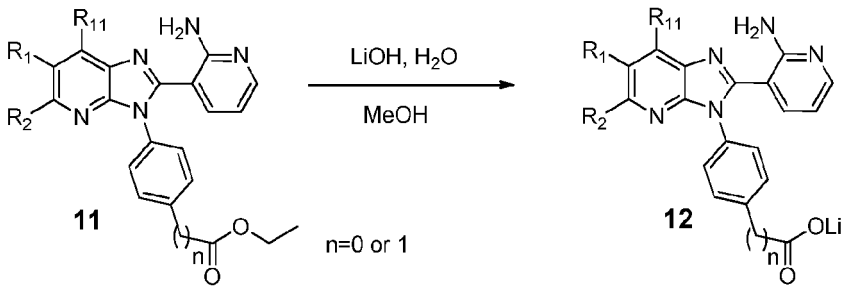


[0001182] To a mixture of amine (structure **7** as shown in Scheme 5) (1 eq.) and sulfonyl chloride (1.1 eq.) in dichloromethane (5 mL/ mmol) was added diisopropylethylamine (3 eq.). The reaction mixture was stirred for 15 hours at room temperature then quenched with water

(2 mL/mmol). The organic phase was separated, washed with brine (5 mL/ mmol) and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude product (structure **10** as shown in Scheme 5) was purified by silica gel chromatography (0-100% ethyl acetate in hexanes).

General Procedure F

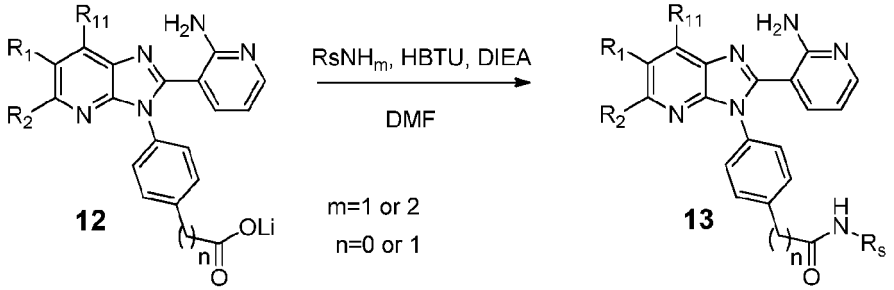
[0001183] One general procedure for saponification is described below in Scheme 6: Saponification



[0001184] Ethyl 2-(4-(2-(2-aminopyridin-3yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetate (structure **11** as shown in Scheme 6) (obtained by General Procedure A) was dissolved in tetrahydrofuran (2 mL/mmol) and methanol (0.7 mL/ mmol) in a round bottom flask. $LiOH \cdot H_2O$ (1.2 eq.) in H_2O (0.5 mL/ mmol) was added. The reaction mixture was carried out at room temperature for 5 hours. The solvent was removed under reduced pressure. The residue was dried in high vacuum to yield product (structure **12** as shown in Scheme 6). The product **12** was carried on to the next step without further purification.

General Procedure G

[0001185] One general procedure for reversed amide formation is described below in Scheme 7: Amide formation



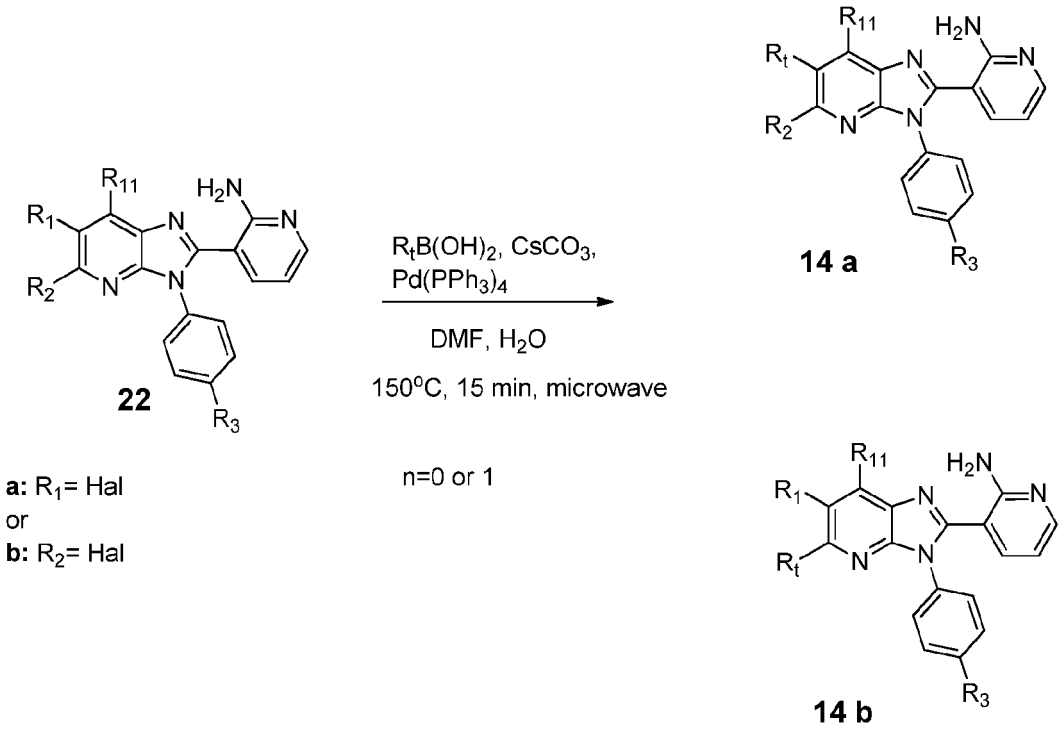
[0001186] To a mixture of lithium 2-(4-(2-(2-aminopyridin-3yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetate (structure **12** as shown in Scheme 7) in dimethylformamide (13 mL/ mmol) was added 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HBTU) (1.5 eq.) and amine (1.5 eq.). The reaction mixture was carried out at room temperature for overnight. The mixture was diluted with dichloromethane (20

mL/ mmol) and washed with water and brine. The organic phase was separated and dried over sodium sulfate. After removing solvent, the crude product was purified by preparative reversed phase HPLC to give product (structure **13** as shown in Scheme 7).

General Procedure H

[0001187] The general procedures for Suzuki couplings are described below in scheme 8 and scheme 9.

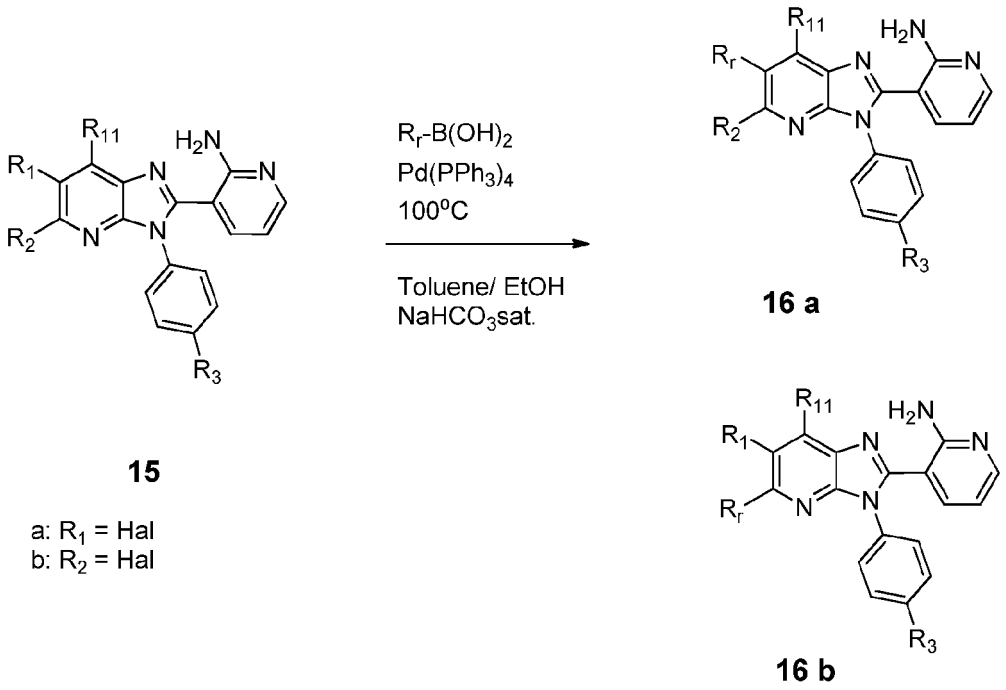
Scheme 8: Suzuki coupling



[0001188] Organo halide (structure **22** as shown in Scheme 8) (1 eq.), $CsCO_3$ (1 eq.), $Pd(PPh_3)_4$ (0.1 eq.) and aryl boronic acid (2 eq.) were dissolved in DMF. After degassing with nitrogen for 10 min the reaction mixture was heated in the microwave for 15 min to 150°C. The reaction mixture was filtered through a Bakerbound filtration column and purified by reverse phase preparative HPLC (water 0.05 M TFA / ACN 0.05M TFA 0-100 % ACN) without prior removal of the solvent or the solvent was removed under reduced pressure and the crude product (structure **14** as shown in Scheme 8) was purified by silica gel chromatography (0-20 % methanol in dichloromethane).

General Procedure I

Scheme 9: Suzuki coupling



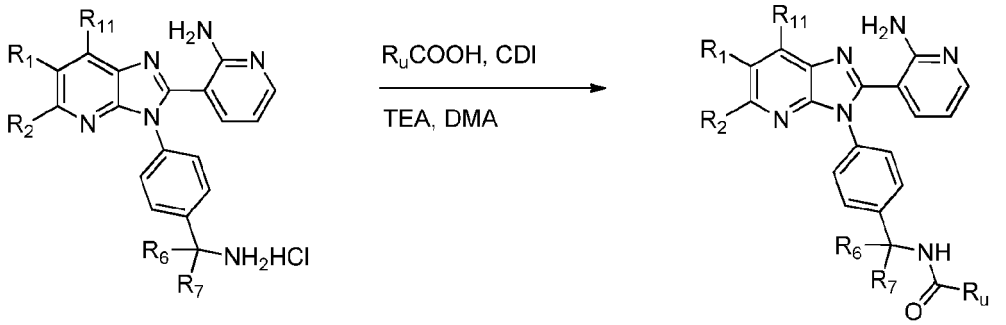
15

a: R_1 = Hal
b: R_2 = Hal

[0001189] Organo halide (structure **15** as shown in Scheme 9) (1 eq.) was suspended in a mixture of ethanol and toluene, 10 mL/ mmol respectively. A solution of $NaHCO_3$ sat. was added (3 mL/ mmol). The reaction mixture was degassed with nitrogen for 30 min. Subsequently it was heated to 100°C overnight under nitrogen. After cooling down to room temperature it was diluted with dichloromethane (20 mL/ mmol) and water (10 mL/ mmol). The organic phase was separated and washed with brine (10 mL/ mmol) and dried over Na_2SO_4. After filtration the solvent was removed in vacuo. The crude residue (structure **16** as shown in Scheme 9) was purified by silica gel chromatography (3-20% methanol in ethyl acetate).

General Procedure J

Scheme 10: Amide formation by CDI coupling

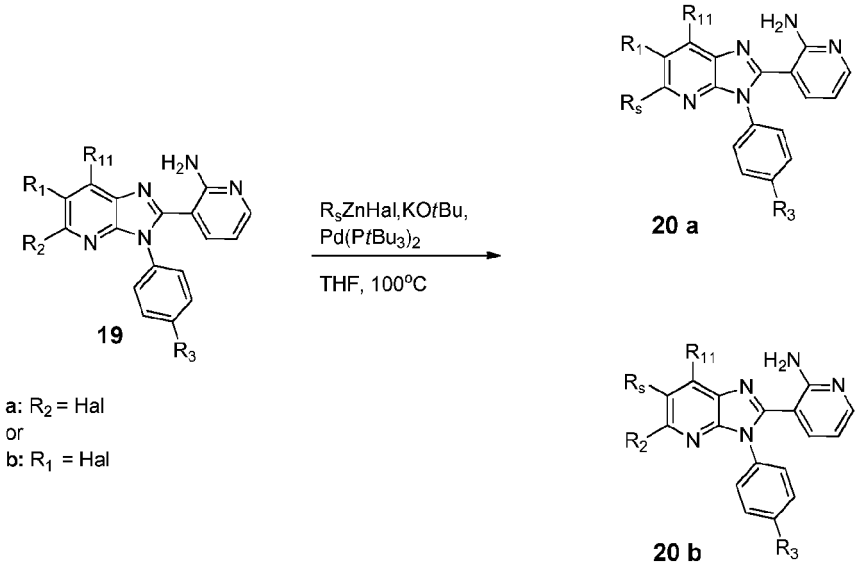


17 18

[0001190] Alkyl or aryl acid (1 eq.) and carbonyl diimidazole (CDI) (1 eq.) were dissolved in dimethylacetamide (DMA) (5 mL/ mmol). The reaction mixture was stirred for 1 h. 3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride (structure **17** as shown in Scheme 10) was dissolved in DMA (5 mL/ mmol) and triethylamine (4 eq.) was added. The solution of acid and CDI was added over 10 min at room temperature to the solution of 3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride (structure **17** as shown in Scheme 10) and triethylamine. The reaction was stirred for two hours at room temperature and quenched by adding water (10 mL/ mmol). The desired product (structure **18** as shown in Scheme 10) precipitated. It was isolated by filtration and washed with water and ether.

General Procedure K

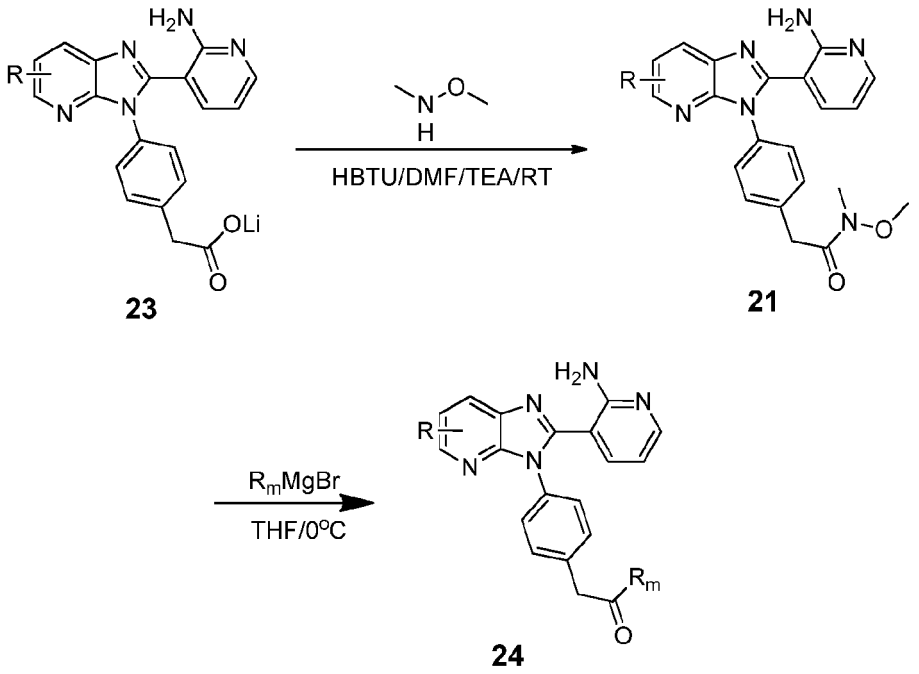
Scheme 11: Negishi coupling



[0001191] Intermediate (structure **19** as shown in Scheme 11) (1 eq.) was dissolved in tetrahydrofuran, 10 mL/ mmol. Under inert atmosphere conditions, the alkyl/ aryl zinc halide (1.5 eq.), $Pd(PtBu_3)_2$ (0.1 eq.) and potassium *tert*-butoxide (1 eq.) were added. The reaction mixture was degassed with nitrogen for 30 min. Subsequently it was heated to 100°C for 15 min in the microwave. After cooling down to room temperature the reaction mixture was filtered through celite. It was diluted with dichloromethane (20 mL/ mmol) and water (20 mL/ mmol). Ethylenediamine tetraacetic acid (EDTA) (1 eq.) was added. The organic phase was separated and washed with brine (10 mL/ mmol) and dried over Na_2SO_4. After filtration the solvent was removed in under reduced pressure. The crude residue **20** was purified by silica gel chromatography (3-20% methanol in ethyl acetate).

General Procedure L

Scheme 12: Ketone formation



[0001192] Step 1: To a mixture of lithium salt (structure **23** as shown in Scheme 12) in DMF (3.7 mL/ mmol) was added HBTU (1.6 eq.), triethylamine (2.0 eq) and N,O-dimethylhydroxylamine hydrochloride (1.5 eq.). The reaction mixture was stirred at room temperature overnight. The mixture was diluted with ethyl acetate (20 mL/ mmol) and washed with water and brine. The organic phase was separated and dried over sodium sulfate. After removing solvent, the crude product was purified by silica gel chromatography (100% ethyl acetate) to give the pure desired product (structure **21** as shown in Scheme 12) as a yellow solid.

[0001193] Step 2: A mixture of 2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methoxy-N-methylacetamide (structure **23** as shown in Scheme 12) in tetrahydrofuran was cooled to 0°C, and R_r magnesium bromide (1M in THF) (1.2 eq.) was added. The mixture was allowed to warm to room temperature while stirring and monitoring reaction progress. Upon completion, the mixture was quenched with saturated aqueous NH_4Cl solution. The organic layer was washed with brine, dried over Na_2SO_4, filtered and evaporated under reduced pressure. The crude material was purified by column chromatography on silica gel (ethyl acetate / cyclohexane, 1:3) to give the desired product ketone (structure **24** as shown in Scheme 12) as an off-white solid.

3. Methods of Treatment

[0001194] The present invention provides methods for the treatment of a cell proliferative disorder in a subject in need thereof by administering to a subject in need of such treatment, a therapeutically effective amount of a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof. The cell proliferative disorder can be cancer or a precancerous condition. The present invention further provides the use of a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, for the preparation of a medicament useful for the treatment of a cell proliferative disorder.

[0001195] The present invention also provides methods of protecting against a cell proliferative disorder in a subject in need thereof by administering a therapeutically effective amount of compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, to a subject in need of such treatment. The cell proliferative disorder can be cancer or a precancerous condition. The present invention also provides the use of compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, for the preparation of a medicament useful for the prevention of a cell proliferative disorder.

[0001196] As used herein, a "subject in need thereof" is a subject having a cell proliferative disorder, or a subject having an increased risk of developing a cell proliferative disorder relative to the population at large. A subject in need thereof can have a precancerous condition. Preferably, a subject in need thereof has cancer. A "subject" includes a mammal. The mammal can be *e.g.*, any mammal, *e.g.*, a human, primate, bird, mouse, rat, fowl, dog, cat, cow, horse, goat, camel, sheep or a pig. Preferably, the mammal is a human.

[0001197] As used herein, the term "cell proliferative disorder" refers to conditions in which unregulated or abnormal growth, or both, of cells can lead to the development of an unwanted condition or disease, which may or may not be cancerous. Exemplary cell proliferative disorders of the invention encompass a variety of conditions wherein cell division is deregulated. Exemplary cell proliferative disorder include, but are not limited to, neoplasms, benign tumors, malignant tumors, pre-cancerous conditions, *in situ* tumors, encapsulated tumors, metastatic tumors, liquid tumors, solid tumors, immunological tumors, hematological tumors, cancers, carcinomas, leukemias, lymphomas, sarcomas, and rapidly dividing cells. The term "rapidly dividing cell" as used herein is defined as any cell that divides at a rate that exceeds or is greater than what is expected or observed among neighboring or juxtaposed cells within the same tissue. A cell proliferative disorder includes a precancer or a precancerous condition. A cell proliferative disorder includes cancer.

Preferably, the methods provided herein are used to treat or alleviate a symptom of cancer. The term "cancer" includes solid tumors, as well as, hematologic tumors and/or malignancies. A "precancer cell" or "precancerous cell" is a cell manifesting a cell proliferative disorder that is a precancer or a precancerous condition. A "cancer cell" or "cancerous cell" is a cell manifesting a cell proliferative disorder that is a cancer. Any reproducible means of measurement may be used to identify cancer cells or precancerous cells. Cancer cells or precancerous cells can be identified by histological typing or grading of a tissue sample (*e.g.*, a biopsy sample). Cancer cells or precancerous cells can be identified through the use of appropriate molecular markers.

[0001198] Exemplary non-cancerous conditions or disorders include, but are not limited to, rheumatoid arthritis; inflammation; autoimmune disease; lymphoproliferative conditions; acromegaly; rheumatoid spondylitis; osteoarthritis; gout, other arthritic conditions; sepsis; septic shock; endotoxic shock; gram-negative sepsis; toxic shock syndrome; asthma; adult respiratory distress syndrome; chronic obstructive pulmonary disease; chronic pulmonary inflammation; inflammatory bowel disease; Crohn's disease; psoriasis; eczema; ulcerative colitis; pancreatic fibrosis; hepatic fibrosis; acute and chronic renal disease; irritable bowel syndrome; pyresis; restenosis; cerebral malaria; stroke and ischemic injury; neural trauma; Alzheimer's disease; Huntington's disease; Parkinson's disease; acute and chronic pain; allergic rhinitis; allergic conjunctivitis; chronic heart failure; acute coronary syndrome; cachexia; malaria; leprosy; leishmaniasis; Lyme disease; Reiter's syndrome; acute synovitis; muscle degeneration, bursitis; tendonitis; tenosynovitis; herniated, ruptures, or prolapsed intervertebral disk syndrome; osteopetrosis; thrombosis; restenosis; silicosis; pulmonary sarcosis; bone resorption diseases, such as osteoporosis; graft-versus-host reaction; Multiple Sclerosis; lupus; fibromyalgia; AIDS and other viral diseases such as Herpes Zoster, Herpes Simplex I or II, influenza virus and cytomegalovirus; and diabetes mellitus.

[0001199] Exemplary cancers include, but are not limited to, adrenocortical carcinoma, AIDS-related cancers, AIDS-related lymphoma, anal cancer, anorectal cancer, cancer of the anal canal, appendix cancer, childhood cerebellar astrocytoma, childhood cerebral astrocytoma, basal cell carcinoma, skin cancer (non-melanoma), biliary cancer, extrahepatic bile duct cancer, intrahepatic bile duct cancer, bladder cancer, uringary bladder cancer, bone and joint cancer, osteosarcoma and malignant fibrous histiocytoma, brain cancer, brain tumor, brain stem glioma, cerebellar astrocytoma, cerebral astrocytoma/malignant glioma, ependymoma, medulloblastoma, supratentorial primitive neuroectodeimal tumors, visual pathway and hypothalamic glioma, breast cancer, bronchial adenomas/carcinoids, carcinoid tumor,

gastrointestinal, nervous system cancer, nervous system lymphoma, central nervous system cancer, central nervous system lymphoma, cervical cancer, childhood cancers, chronic lymphocytic leukemia, chronic myelogenous leukemia, chronic myeloproliferative disorders, colon cancer, colorectal cancer, cutaneous T-cell lymphoma, lymphoid neoplasm, mycosis fungoides, Seziary Syndrome, endometrial cancer, esophageal cancer, extracranial germ cell tumor, extragonadal germ cell tumor, extrahepatic bile duct cancer, eye cancer, intraocular melanoma, retinoblastoma, gallbladder cancer, gastric (stomach) cancer, gastrointestinal carcinoid tumor, gastrointestinal stromal tumor (GIST), germ cell tumor, ovarian germ cell tumor, gestational trophoblastic tumor glioma, head and neck cancer, hepatocellular (liver) cancer, Hodgkin lymphoma, hypopharyngeal cancer, intraocular melanoma, ocular cancer, islet cell tumors (endocrine pancreas), Kaposi Sarcoma, kidney cancer, renal cancer, kidney cancer, laryngeal cancer, acute lymphoblastic leukemia, acute myeloid leukemia, chronic lymphocytic leukemia, chronic myelogenous leukemia, hairy cell leukemia, lip and oral cavity cancer, liver cancer, lung cancer, non-small cell lung cancer, small cell lung cancer, AIDS-related lymphoma, non-Hodgkin lymphoma, primary central nervous system lymphoma, Waldenstram macroglobulinemia, medulloblastoma, melanoma, intraocular (eye) melanoma, merkel cell carcinoma, mesothelioma malignant, mesothelioma, metastatic squamous neck cancer, mouth cancer, cancer of the tongue, multiple endocrine neoplasia syndrome, mycosis fungoides, myelodysplastic syndromes, myelodysplastic/ myeloproliferative diseases, chronic myelogenous leukemia, acute myeloid leukemia, multiple myeloma, chronic myeloproliferative disorders, nasopharyngeal cancer, neuroblastoma, oral cancer, oral cavity cancer, oropharyngeal cancer, ovarian cancer, ovarian epithelial cancer, ovarian low malignant potential tumor, pancreatic cancer, islet cell pancreatic cancer, paranasal sinus and nasal cavity cancer, parathyroid cancer, penile cancer, pharyngeal cancer, pheochromocytoma, pineoblastoma and supratentorial primitive neuroectodermal tumors, pituitary tumor, plasma cell neoplasm/multiple myeloma, pleuropulmonary blastoma, prostate cancer, rectal cancer, renal pelvis and ureter, transitional cell cancer, retinoblastoma, rhabdomyosarcoma, salivary gland cancer, ewing family of sarcoma tumors, Kaposi Sarcoma, soft tissue sarcoma, uterine cancer, uterine sarcoma, skin cancer (non-melanoma), skin cancer (melanoma), merkel cell skin carcinoma, small intestine cancer, soft tissue sarcoma, squamous cell carcinoma, stomach (gastric) cancer, supratentorial primitive neuroectodermal tumors, testicular cancer, throat cancer, thymoma, thymoma and thymic carcinoma, thyroid cancer, transitional cell cancer of the renal pelvis and ureter and other urinary organs, gestational trophoblastic tumor,

urethral cancer, endometrial uterine cancer, uterine sarcoma, uterine corpus cancer, vaginal cancer, vulvar cancer, and Wilm's Tumor.

[0001200] A "cell proliferative disorder of the hematologic system" is a cell proliferative disorder involving cells of the hematologic system. A cell proliferative disorder of the hematologic system can include lymphoma, leukemia, myeloid neoplasms, mast cell neoplasms, myelodysplasia, benign monoclonal gammopathy, lymphomatoid granulomatosis, lymphomatoid papulosis, polycythemia vera, chronic myelocytic leukemia, agnogenic myeloid metaplasia, and essential thrombocythemia. A cell proliferative disorder of the hematologic system can include hyperplasia, dysplasia, and metaplasia of cells of the hematologic system. Preferably, compositions of the present invention may be used to treat a cancer selected from the group consisting of a hematologic cancer of the present invention or a hematologic cell proliferative disorder of the present invention. A hematologic cancer of the present invention can include multiple myeloma, lymphoma (including Hodgkin's lymphoma, non-Hodgkin's lymphoma, childhood lymphomas, and lymphomas of lymphocytic and cutaneous origin), leukemia (including childhood leukemia, hairy-cell leukemia, acute lymphocytic leukemia, acute myelocytic leukemia, chronic lymphocytic leukemia, chronic myelocytic leukemia, chronic myelogenous leukemia, and mast cell leukemia), myeloid neoplasms and mast cell neoplasms.

[0001201] A "cell proliferative disorder of the lung" is a cell proliferative disorder involving cells of the lung. Cell proliferative disorders of the lung can include all forms of cell proliferative disorders affecting lung cells. Cell proliferative disorders of the lung can include lung cancer, a precancer or precancerous condition of the lung, benign growths or lesions of the lung, and malignant growths or lesions of the lung, and metastatic lesions in tissue and organs in the body other than the lung. Preferably, compositions of the present invention may be used to treat lung cancer or cell proliferative disorders of the lung. Lung cancer can include all forms of cancer of the lung. Lung cancer can include malignant lung neoplasms, carcinoma *in situ*, typical carcinoid tumors, and atypical carcinoid tumors. Lung cancer can include small cell lung cancer ("SCLC"), non-small cell lung cancer ("NSCLC"), squamous cell carcinoma, adenocarcinoma, small cell carcinoma, large cell carcinoma, adenosquamous cell carcinoma, and mesothelioma. Lung cancer can include "scar carcinoma", bronchioalveolar carcinoma, giant cell carcinoma, spindle cell carcinoma, and large cell neuroendocrine carcinoma. Lung cancer can include lung neoplasms having histologic and ultrastructual heterogeneity (*e.g.,* mixed cell types).

[0001202] Cell proliferative disorders of the lung can include all forms of cell proliferative disorders affecting lung cells. Cell proliferative disorders of the lung can include lung cancer, precancerous conditions of the lung. Cell proliferative disorders of the lung can include hyperplasia, metaplasia, and dysplasia of the lung. Cell proliferative disorders of the lung can include asbestos-induced hyperplasia, squamous metaplasia, and benign reactive mesothelial metaplasia. Cell proliferative disorders of the lung can include replacement of columnar epithelium with stratified squamous epithelium, and mucosal dysplasia. Individuals exposed to inhaled injurious environmental agents such as cigarette smoke and asbestos may be at increased risk for developing cell proliferative disorders of the lung. Prior lung diseases that may predispose individuals to development of cell proliferative disorders of the lung can include chronic interstitial lung disease, necrotizing pulmonary disease, scleroderma, rheumatoid disease, sarcoidosis, interstitial pneumonitis, tuberculosis, repeated pneumonias, idiopathic pulmonary fibrosis, granulomata, asbestosis, fibrosing alveolitis, and Hodgkin's disease.

[0001203] A "cell proliferative disorder of the colon" is a cell proliferative disorder involving cells of the colon. Preferably, the cell proliferative disorder of the colon is colon cancer. Preferably, compositions of the present invention may be used to treat colon cancer or cell proliferative disorders of the colon. Colon cancer can include all forms of cancer of the colon. Colon cancer can include sporadic and hereditary colon cancers. Colon cancer can include malignant colon neoplasms, carcinoma *in situ*, typical carcinoid tumors, and atypical carcinoid tumors. Colon cancer can include adenocarcinoma, squamous cell carcinoma, and adenosquamous cell carcinoma. Colon cancer can be associated with a hereditary syndrome selected from the group consisting of hereditary nonpolyposis colorectal cancer, familial adenomatous polyposis, Gardner's syndrome, Peutz-Jeghers syndrome, Turcot's syndrome and juvenile polyposis. Colon cancer can be caused by a hereditary syndrome selected from the group consisting of hereditary nonpolyposis colorectal cancer, familial adenomatous polyposis, Gardner's syndrome, Peutz-Jeghers syndrome, Turcot's syndrome and juvenile polyposis.

[0001204] Cell proliferative disorders of the colon can include all forms of cell proliferative disorders affecting colon cells. Cell proliferative disorders of the colon can include colon cancer, precancerous conditions of the colon, adenomatous polyps of the colon and metachronous lesions of the colon. A cell proliferative disorder of the colon can include adenoma. Cell proliferative disorders of the colon can be characterized by hyperplasia, metaplasia, and dysplasia of the colon. Prior colon diseases that may predispose individuals

to development of cell proliferative disorders of the colon can include prior colon cancer. Current disease that may predispose individuals to development of cell proliferative disorders of the colon can include Crohn's disease and ulcerative colitis. A cell proliferative disorder of the colon can be associated with a mutation in a gene selected from the group consisting of p53, *ras*, *FAP* and *DCC*. An individual can have an elevated risk of developing a cell proliferative disorder of the colon due to the presence of a mutation in a gene selected from the group consisting of p53, *ras*, *FAP* and *DCC*.

[0001205] A "cell proliferative disorder of the pancreas" is a cell proliferative disorder involving cells of the pancreas. Cell proliferative disorders of the pancreas can include all forms of cell proliferative disorders affecting pancreatic cells. Cell proliferative disorders of the pancreas can include pancreas cancer, a precancer or precancerous condition of the pancreas, hyperplasia of the pancreas, and dysaplasia of the pancreas, benign growths or lesions of the pancreas, and malignant growths or lesions of the pancreas, and metastatic lesions in tissue and organs in the body other than the pancreas. Pancreatic cancer includes all forms of cancer of the pancreas. Pancreatic cancer can include ductal adenocarcinoma, adenosquamous carcinoma, pleomorphic giant cell carcinoma, mucinous adenocarcinoma, osteoclast-like giant cell carcinoma, mucinous cystadenocarcinoma, acinar carcinoma, unclassified large cell carcinoma, small cell carcinoma, pancreatoblastoma, papillary neoplasm, mucinous cystadenoma, papillary cystic neoplasm, and serous cystadenoma. Pancreatic cancer can also include pancreatic neoplasms having histologic and ultrastructual heterogeneity (*e.g.,* mixed cell types).

[0001206] A "cell proliferative disorder of the prostate" is a cell proliferative disorder involving cells of the prostate. Cell proliferative disorders of the prostate can include all forms of cell proliferative disorders affecting prostate cells. Cell proliferative disorders of the prostate can include prostate cancer, a precancer or precancerous condition of the prostate, benign growths or lesions of the prostate, and malignant growths or lesions of the prostate, and metastatic lesions in tissue and organs in the body other than the prostate. Cell proliferative disorders of the prostate can include hyperplasia, metaplasia, and dysplasia of the prostate.

[0001207] A "cell proliferative disorder of the skin" is a cell proliferative disorder involving cells of the skin. Cell proliferative disorders of the skin can include all forms of cell proliferative disorders affecting skin cells. Cell proliferative disorders of the skin can include a precancer or precancerous condition of the skin, benign growths or lesions of the skin, melanoma, malignant melanoma and other malignant growths or lesions of the skin, and

metastatic lesions in tissue and organs in the body other than the skin. Cell proliferative disorders of the skin can include hyperplasia, metaplasia, and dysplasia of the skin.

[0001208] A "cell proliferative disorder of the ovary" is a cell proliferative disorder involving cells of the ovary. Cell proliferative disorders of the ovary can include all forms of cell proliferative disorders affecting cells of the ovary. Cell proliferative disorders of the ovary can include a precancer or precancerous condition of the ovary, benign growths or lesions of the ovary, ovarian cancer, malignant growths or lesions of the ovary, and metastatic lesions in tissue and organs in the body other than the ovary. Cell proliferative disorders of the skin can include hyperplasia, metaplasia, and dysplasia of cells of the ovary.

[0001209] A "cell proliferative disorder of the breast" is a cell proliferative disorder involving cells of the breast. Cell proliferative disorders of the breast can include all forms of cell proliferative disorders affecting breast cells. Cell proliferative disorders of the breast can include breast cancer, a precancer or precancerous condition of the breast, benign growths or lesions of the breast, and malignant growths or lesions of the breast, and metastatic lesions in tissue and organs in the body other than the breast. Cell proliferative disorders of the breast can include hyperplasia, metaplasia, and dysplasia of the breast.

[0001210] A cell proliferative disorder of the breast can be a precancerous condition of the breast. Compositions of the present invention may be used to treat a precancerous condition of the breast. A precancerous condition of the breast can include atypical hyperplasia of the breast, ductal carcinoma *in situ* (DCIS), intraductal carcinoma, lobular carcinoma *in situ* (LCIS), lobular neoplasia, and stage 0 or grade 0 growth or lesion of the breast (*e.g.,* stage 0 or grade 0 breast cancer, or carcinoma *in situ*). A precancerous condition of the breast can be staged according to the TNM classification scheme as accepted by the American Joint Committee on Cancer (AJCC), where the primary tumor (T) has been assigned a stage of T0 or Tis; and where the regional lymph nodes (N) have been assigned a stage of N0; and where distant metastasis (M) has been assigned a stage of M0.

[0001211] The cell proliferative disorder of the breast can be breast cancer. Preferably, compositions of the present invention may be used to treat breast cancer. Breast cancer includes all forms of cancer of the breast. Breast cancer can include primary epithelial breast cancers. Breast cancer can include cancers in which the breast is involved by other tumors such as lymphoma, sarcoma or melanoma. Breast cancer can include carcinoma of the breast, ductal carcinoma of the breast, lobular carcinoma of the breast, undifferentiated carcinoma of the breast, cystosarcoma phyllodes of the breast, angiosarcoma of the breast, and primary lymphoma of the breast. Breast cancer can include Stage I, II, IIIA, IIIB, IIIC

and IV breast cancer. Ductal carcinoma of the breast can include invasive carcinoma, invasive carcinoma *in situ* with predominant intraductal component, inflammatory breast cancer, and a ductal carcinoma of the breast with a histologic type selected from the group consisting of comedo, mucinous (colloid), medullary, medullary with lymphcytic infiltrate, papillary, scirrhous, and tubular. Lobular carcinoma of the breast can include invasive lobular carcinoma with predominant *in situ* component, invasive lobular carcinoma, and infiltrating lobular carcinoma. Breast cancer can include Paget's disease, Paget's disease with intraductal carcinoma, and Paget's disease with invasive ductal carcinoma. Breast cancer can include breast neoplasms having histologic and ultrastructual heterogeneity (*e.g.,* mixed cell types).

[0001212] Preferably, compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, may be used to treat breast cancer. A breast cancer that is to be treated can include familial breast cancer. A breast cancer that is to be treated can include sporadic breast cancer. A breast cancer that is to be treated can arise in a male subject. A breast cancer that is to be treated can arise in a female subject. A breast cancer that is to be treated can arise in a premenopausal female subject or a postmenopausal female subject. A breast cancer that is to be treated can arise in a subject equal to or older than 30 years old, or a subject younger than 30 years old. A breast cancer that is to be treated has arisen in a subject equal to or older than 50 years old, or a subject younger than 50 years old. A breast cancer that is to be treated can arise in a subject equal to or older than 70 years old, or a subject younger than 70 years old.

[0001213] A breast cancer that is to be treated can be typed to identify a familial or spontaneous mutation in BRCA1, BRCA2, or p53. A breast cancer that is to be treated can be typed as having a HER2/neu gene amplification, as overexpressing HER2/neu, or as having a low, intermediate or high level of HER2/neu expression. A breast cancer that is to be treated can be typed for a marker selected from the group consisting of estrogen receptor (ER), progesterone receptor (PR), human epidermal growth factor receptor-2, Ki-67, CA15-3, CA 27-29, and c-Met. A breast cancer that is to be treated can be typed as ER-unknown, ER-rich or ER-poor. A breast cancer that is to be treated can be typed as ER-negative or ER-positive. ER-typing of a breast cancer may be performed by any reproducible means. ER-typing of a breast cancer may be performed as set forth in Onkologie 27: 175-179 (2004). A breast cancer that is to be treated can be typed as PR-unknown, PR-rich or PR-poor. A breast cancer that is to be treated can be typed as PR-negative or PR-positive. A breast cancer that is to be treated can be typed as receptor positive or receptor negative. A breast cancer that is

to be treated can be typed as being associated with elevated blood levels of CA 15-3, or CA 27-29, or both.

[0001214] A breast cancer that is to be treated can include a localized tumor of the breast. A breast cancer that is to be treated can include a tumor of the breast that is associated with a negative sentinel lymph node (SLN) biopsy. A breast cancer that is to be treated can include a tumor of the breast that is associated with a positive sentinel lymph node (SLN) biopsy. A breast cancer that is to be treated can include a tumor of the breast that is associated with one or more positive axillary lymph nodes, where the axillary lymph nodes have been staged by any applicable method. A breast cancer that is to be treated can include a tumor of the breast that has been typed as having nodal negative status (*e.g.,* node-negative) or nodal positive status (*e.g.,* node-positive). A breast cancer that is to be treated can include a tumor of the breast that has metastasized to other locations in the body. A breast cancer that is to be treated can be classified as having metastasized to a location selected from the group consisting of bone, lung, liver, or brain. A breast cancer that is to be treated can be classified according to a characteristic selected from the group consisting of metastatic, localized, regional, local-regional, locally advanced, distant, multicentric, bilateral, ipsilateral, contralateral, newly diagnosed, recurrent, and inoperable.

[0001215] A compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, may be used to treat or prevent a cell proliferative disorder of the breast, or to treat or prevent breast cancer, in a subject having an increased risk of developing breast cancer relative to the population at large. A subject with an increased risk of developing breast cancer relative to the population at large is a female subject with a family history or personal history of breast cancer. A subject with an increased risk of developing breast cancer relative to the population at large is a female subject having a germ-line or spontaneous mutation in BRCA1 or BRCA2, or both. A subject with an increased risk of developing breast cancer relative to the population at large is a female subject with a family history of breast cancer and a germ-line or spontaneous mutation in BRCA1 or BRCA2, or both. A subject with an increased risk of developing breast cancer relative to the population at large is a female who is greater than 30 years old, greater than 40 years old, greater than 50 years old, greater than 60 years old, greater than 70 years old, greater than 80 years old, or greater than 90 years old. A subject with an increased risk of developing breast cancer relative to the population at large is a subject with atypical hyperplasia of the breast, ductal carcinoma *in situ* (DCIS), intraductal carcinoma, lobular

carcinoma *in situ* (LCIS), lobular neoplasia, or a stage 0 growth or lesion of the breast (*e.g.,* stage 0 or grade 0 breast cancer, or carcinoma *in situ*).

[0001216] A breast cancer that is to be treated can histologically graded according to the Scarff-Bloom-Richardson system, wherein a breast tumor has been assigned a mitosis count score of 1, 2, or 3; a nuclear pleiomorphism score of 1, 2, or 3; a tubule formation score of 1, 2, or 3; and a total Scarff-Bloom-Richardson score of between 3 and 9. A breast cancer that is to be treated can be assigned a tumor grade according to the International Consensus Panel on the Treatment of Breast Cancer selected from the group consisting of grade 1, grade 1-2, grade 2, grade 2-3, or grade 3.

[0001217] A cancer that is to be treated can be staged according to the American Joint Committee on Cancer (AJCC) TNM classification system, where the tumor (T) has been assigned a stage of TX, T1, T1mic, T1a, T1b, T1c, T2, T3, T4, T4a, T4b, T4c, or T4d; and where the regional lymph nodes (N) have been assigned a stage of NX, N0, N1, N2, N2a, N2b, N3, N3a, N3b, or N3c; and where distant metastasis (M) can be assigned a stage of MX, M0, or M1. A cancer that is to be treated can be staged according to an American Joint Committee on Cancer (AJCC) classification as Stage I, Stage IIA, Stage IIB, Stage IIIA, Stage IIIB, Stage IIIC, or Stage IV. A cancer that is to be treated can be assigned a grade according to an AJCC classification as Grade GX (*e.g.,* grade cannot be assessed), Grade 1, Grade 2, Grade 3 or Grade 4. A cancer that is to be treated can be staged according to an AJCC pathologic classification (pN) of pNX, pN0, PN0 (I-), PN0 (I+), PN0 (mol-), PN0 (mol+), PN1, PN1(mi), PN1a, PN1b, PN1c, pN2, pN2a, pN2b, pN3, pN3a, pN3b, or pN3c.

[0001218] A cancer that is to be treated can include a tumor that has been determined to be less than or equal to about 2 centimeters in diameter. A cancer that is to be treated can include a tumor that has been determined to be from about 2 to about 5 centimeters in diameter. A cancer that is to be treated can include a tumor that has been determined to be greater than or equal to about 3 centimeters in diameter. A cancer that is to be treated can include a tumor that has been determined to be greater than 5 centimeters in diameter. A cancer that is to be treated can be classified by microscopic appearance as well differentiated, moderately differentiated, poorly differentiated, or undifferentiated. A cancer that is to be treated can be classified by microscopic appearance with respect to mitosis count (*e.g.,* amount of cell division) or nuclear pleiomorphism (*e.g.,* change in cells). A cancer that is to be treated can be classified by microscopic appearance as being associated with areas of necrosis (*e.g.,* areas of dying or degenerating cells). A cancer that is to be treated can be classified as having an abnormal karyotype, having an abnormal number of chromosomes, or

having one or more chromosomes that are abnormal in appearance. A cancer that is to be treated can be classified as being aneuploid, triploid, tetraploid, or as having an altered ploidy. A cancer that is to be treated can be classified as having a chromosomal translocation, or a deletion or duplication of an entire chromosome, or a region of deletion, duplication or amplification of a portion of a chromosome.

[0001219] A cancer that is to be treated can be evaluated by DNA cytometry, flow cytometry, or image cytometry. A cancer that is to be treated can be typed as having 10%, 20%, 30%, 40%, 50%, 60%, 70%, 80%, or 90% of cells in the synthesis stage of cell division (*e.g.,* in S phase of cell division). A cancer that is to be treated can be typed as having a low S-phase fraction or a high S-phase fraction.

[0001220] As used herein, a "normal cell" is a cell that cannot be classified as part of a "cell proliferative disorder". A normal cell lacks unregulated or abnormal growth, or both, that can lead to the development of an unwanted condition or disease. Preferably, a normal cell possesses normally functioning cell cycle checkpoint control mechanisms.

[0001221] As used herein, "contacting a cell" refers to a condition in which a compound or other composition of matter is in direct contact with a cell, or is close enough to induce a desired biological effect in a cell.

[0001222] As used herein, "candidate compound" refers to a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, that has been or will be tested in one or more *in vitro* or *in vivo* biological assays, in order to determine if that compound is likely to elicit a desired biological or medical response in a cell, tissue, system, animal or human that is being sought by a researcher or clinician. A candidate compound is a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof. The biological or medical response can be the treatment of cancer. The biological or medical response can be treatment or prevention of a cell proliferative disorder. *In vitro* or *in vivo* biological assays can include, but are not limited to, enzymatic activity assays, electrophoretic mobility shift assays, reporter gene assays, *in vitro* cell viability assays, and the assays described herein.

[0001223] As used herein, "monotherapy" refers to the administration of a single active or therapeutic compound to a subject in need thereof. Preferably, monotherapy will involve administration of a therapeutically effective amount of an active compound. For example, cancer monotherapy with one of the compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof, to a subject in need of treatment of cancer. Monotherapy may be contrasted with combination

therapy, in which a combination of multiple active compounds is administered, preferably with each component of the combination present in a therapeutically effective amount. In one aspect, monotherapy with a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, is more effective than combination therapy in inducing a desired biological effect.

[0001224] As used herein, "treating" or "treat" describes the management and care of a patient for the purpose of combating a disease, condition, or disorder and includes the administration of a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, to alleviate the symptoms or complications of a disease, condition or disorder, or to eliminate the disease, condition or disorder.

[0001225] A compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, can also be used to prevent a disease, condition or disorder. As used herein, "preventing" or "prevent" describes reducing or eliminating the onset of the symptoms or complications of the disease, condition or disorder.

[0001226] As used herein, the term "alleviate" is meant to describe a process by which the severity of a sign or symptom of a disorder is decreased. Importantly, a sign or symptom can be alleviated without being eliminated. In a preferred embodiment, the administration of pharmaceutical compositions of the invention leads to the elimination of a sign or symptom, however, elimination is not required. Effective dosages are expected to decrease the severity of a sign or symptom. For instance, a sign or symptom of a disorder such as cancer, which can occur in multiple locations, is alleviated if the severity of the cancer is decreased within at least one of multiple locations.

[0001227] As used herein, the term "severity" is meant to describe the potential of cancer to transform from a precancerous, or benign, state into a malignant state. Alternatively, or in addition, severity is meant to describe a cancer stage, for example, according to the TNM system (accepted by the International Union Against Cancer (UICC) and the American Joint Committee on Cancer (AJCC)) or by other art-recognized methods. Cancer stage refers to the extent or severity of the cancer, based on factors such as the location of the primary tumor, tumor size, number of tumors, and lymph node involvement (spread of cancer into lymph nodes). Alternatively, or in addition, severity is meant to describe the tumor grade by art-recognized methods (see, National Cancer Institute, www.cancer.gov). Tumor grade is a system used to classify cancer cells in terms of how abnormal they look under a microscope and how quickly the tumor is likely to grow and spread. Many factors are considered when

determining tumor grade, including the structure and growth pattern of the cells. The specific factors used to determine tumor grade vary with each type of cancer. Severity also describes a histologic grade, also called differentiation, which refers to how much the tumor cells resemble normal cells of the same tissue type (see, National Cancer Institute, www.cancer.gov). Furthermore, severity describes a nuclear grade, which refers to the size and shape of the nucleus in tumor cells and the percentage of tumor cells that are dividing (see, National Cancer Institute, www.cancer.gov).

[0001228] In another aspect of the invention, severity describes the degree to which a tumor has secreted growth factors, degraded the extracellular matrix, become vascularized, lost adhesion to juxtaposed tissues, or metastasized. Moreover, severity describes the number of locations to which a primary tumor has metastasized. Finally, severity includes the difficulty of treating tumors of varying types and locations. For example, inoperable tumors, those cancers which have greater access to multiple body systems (hematological and immunological tumors), and those which are the most resistant to traditional treatments are considered most severe. In these situations, prolonging the life expectancy of the subject and/or reducing pain, decreasing the proportion of cancerous cells or restricting cells to one system, and improving cancer stage/tumor grade/histological grade/nuclear grade are considered alleviating a sign or symptom of the cancer.

[0001229] As used herein the term "symptom" is defined as an indication of disease, illness, injury, or that something is not right in the body. Symptoms are felt or noticed by the individual experiencing the symptom, but may not easily be noticed by others. Others are defined as non-health-care professionals.

[0001230] As used herein the term "sign" is also defined as an indication that something is not right in the body. But signs are defined as things that can be seen by a doctor, nurse, or other health care professional.

[0001231] Cancer is a group of diseases that may cause almost any sign or symptom. The signs and symptoms will depend on where the cancer is, the size of the cancer, and how much it affects the nearby organs or structures. If a cancer spreads (metastasizes), then symptoms may appear in different parts of the body.

[0001232] As a cancer grows, it begins to push on nearby organs, blood vessels, and nerves. This pressure creates some of the signs and symptoms of cancer. If the cancer is in a critical area, such as certain parts of the brain, even the smallest tumor can cause early symptoms.

[0001233] But sometimes cancers start in places where it does not cause any symptoms until the cancer has grown quite large. Pancreas cancers, for example, do not usually grow

large enough to be felt from the outside of the body. Some pancreatic cancers do not cause symptoms until they begin to grow around nearby nerves (this causes a backache). Others grow around the bile duct, which blocks the flow of bile and leads to a yellowing of the skin known as jaundice. By the time a pancreatic cancer causes these signs or symptoms, it has usually reached an advanced stage.

[0001234] A cancer may also cause symptoms such as fever, fatigue, or weight loss. This may be because cancer cells use up much of the body's energy supply or release substances that change the body's metabolism. Or the cancer may cause the immune system to react in ways that produce these symptoms.

[0001235] Sometimes, cancer cells release substances into the bloodstream that cause symptoms not usually thought to result from cancers. For example, some cancers of the pancreas can release substances which cause blood clots to develop in veins of the legs. Some lung cancers make hormone-like substances that affect blood calcium levels, affecting nerves and muscles and causing weakness and dizziness

[0001236] Cancer presents several general signs or symptoms that occur when a variety of subtypes of cancer cells are present. Most people with cancer will lose weight at some time with their disease. An unexplained (unintentional) weight loss of 10 pounds or more may be the first sign of cancer, particularly cancers of the pancreas, stomach, esophagus, or lung.

[0001237] Fever is very common with cancer, but is more often seen in advanced disease. Almost all patients with cancer will have fever at some time, especially if the cancer or its treatment affects the immune system and makes it harder for the body to fight infection. Less often, fever may be an early sign of cancer, such as with leukemia or lymphoma.

[0001238] Fatigue may be an important symptom as cancer progresses. It may happen early, though, in cancers such as with leukemia, or if the cancer is causing an ongoing loss of blood, as in some colon or stomach cancers.

[0001239] Pain may be an early symptom with some cancers such as bone cancers or testicular cancer. But most often pain is a symptom of advanced disease.

[0001240] Along with cancers of the skin (see next section), some internal cancers can cause skin signs that can be seen. These changes include the skin looking darker (hyperpigmentation), yellow (jaundice), or red (erythema); itching; or excessive hair growth.

[0001241] Alternatively, or in addition, cancer subtypes present specific signs or symptoms. Changes in bowel habits or bladder function could indicate cancer. Long-term constipation, diarrhea, or a change in the size of the stool may be a sign of colon cancer. Pain

with urination, blood in the urine, or a change in bladder function (such as more frequent or less frequent urination) could be related to bladder or prostate cancer.

[0001242] Changes in skin condition or appearance of a new skin condition could indicate cancer. Skin cancers may bleed and look like sores that do not heal. A long-lasting sore in the mouth could be an oral cancer, especially in patients who smoke, chew tobacco, or frequently drink alcohol. Sores on the penis or vagina may either be signs of infection or an early cancer.

[0001243] Unusual bleeding or discharge could indicate cancer. Unusual bleeding can happen in either early or advanced cancer. Blood in the sputum (phlegm) may be a sign of lung cancer. Blood in the stool (or a dark or black stool) could be a sign of colon or rectal cancer. Cancer of the cervix or the endometrium (lining of the uterus) can cause vaginal bleeding. Blood in the urine may be a sign of bladder or kidney cancer. A bloody discharge from the nipple may be a sign of breast cancer.

[0001244] A thickening or lump in the breast or in other parts of the body could indicate the presence of a cancer. Many cancers can be felt through the skin, mostly in the breast, testicle, lymph nodes (glands), and the soft tissues of the body. A lump or thickening may be an early or late sign of cancer. Any lump or thickening could be indicative of cancer, especially if the formation is new or has grown in size.

[0001245] Indigestion or trouble swallowing could indicate cancer. While these symptoms commonly have other causes, indigestion or swallowing problems may be a sign of cancer of the esophagus, stomach, or pharynx (throat).

[0001246] Recent changes in a wart or mole could be indicative of cancer. Any wart, mole, or freckle that changes in color, size, or shape, or loses its definite borders indicates the potential development of cancer. For example, the skin lesion may be a melanoma.

[0001247] A persistent cough or hoarseness could be indicative of cancer. A cough that does not go away may be a sign of lung cancer. Hoarseness can be a sign of cancer of the larynx (voice box) or thyroid.

[0001248] While the signs and symptoms listed above are the more common ones seen with cancer, there are many others that are less common and are not listed here. However, all art-recognized signs and symptoms of cancer are contemplated and encompassed by the instant invention.

[0001249] Treating cancer can result in a reduction in size of a tumor. A reduction in size of a tumor may also be referred to as "tumor regression". Preferably, after treatment, tumor size is reduced by 5% or greater relative to its size prior to treatment; more preferably, tumor

size is reduced by 10% or greater; more preferably, reduced by 20% or greater; more preferably, reduced by 30% or greater; more preferably, reduced by 40% or greater; even more preferably, reduced by 50% or greater; and most preferably, reduced by greater than 75% or greater. Size of a tumor may be measured by any reproducible means of measurement. The size of a tumor may be measured as a diameter of the tumor.

[0001250] Treating cancer can result in a reduction in tumor volume. Preferably, after treatment, tumor volume is reduced by 5% or greater relative to its size prior to treatment; more preferably, tumor volume is reduced by 10% or greater; more preferably, reduced by 20% or greater; more preferably, reduced by 30% or greater; more preferably, reduced by 40% or greater; even more preferably, reduced by 50% or greater; and most preferably, reduced by greater than 75% or greater. Tumor volume may be measured by any reproducible means of measurement.

[0001251] Treating cancer results in a decrease in number of tumors. Preferably, after treatment, tumor number is reduced by 5% or greater relative to number prior to treatment; more preferably, tumor number is reduced by 10% or greater; more preferably, reduced by 20% or greater; more preferably, reduced by 30% or greater; more preferably, reduced by 40% or greater; even more preferably, reduced by 50% or greater; and most preferably, reduced by greater than 75%. Number of tumors may be measured by any reproducible means of measurement. The number of tumors may be measured by counting tumors visible to the naked eye or at a specified magnification. Preferably, the specified magnification is 2x, 3x, 4x, 5x, 10x, or 50x.

[0001252] Treating cancer can result in a decrease in number of metastatic lesions in other tissues or organs distant from the primary tumor site. Preferably, after treatment, the number of metastatic lesions is reduced by 5% or greater relative to number prior to treatment; more preferably, the number of metastatic lesions is reduced by 10% or greater; more preferably, reduced by 20% or greater; more preferably, reduced by 30% or greater; more preferably, reduced by 40% or greater; even more preferably, reduced by 50% or greater; and most preferably, reduced by greater than 75%. The number of metastatic lesions may be measured by any reproducible means of measurement. The number of metastatic lesions may be measured by counting metastatic lesions visible to the naked eye or at a specified magnification. Preferably, the specified magnification is 2x, 3x, 4x, 5x, 10x, or 50x.

[0001253] Treating cancer can result in an increase in average survival time of a population of treated subjects in comparison to a population receiving carrier alone.

Preferably, the average survival time is increased by more than 30 days; more preferably, by more than 60 days; more preferably, by more than 90 days; and most preferably, by more than 120 days. An increase in average survival time of a population may be measured by any reproducible means. An increase in average survival time of a population may be measured, for example, by calculating for a population the average length of survival following initiation of treatment with an active compound. An increase in average survival time of a population may also be measured, for example, by calculating for a population the average length of survival following completion of a first round of treatment with an active compound.

[0001254] Treating cancer can result in an increase in average survival time of a population of treated subjects in comparison to a population of untreated subjects. Preferably, the average survival time is increased by more than 30 days; more preferably, by more than 60 days; more preferably, by more than 90 days; and most preferably, by more than 120 days. An increase in average survival time of a population may be measured by any reproducible means. An increase in average survival time of a population may be measured, for example, by calculating for a population the average length of survival following initiation of treatment with an active compound. An increase in average survival time of a population may also be measured, for example, by calculating for a population the average length of survival following completion of a first round of treatment with an active compound.

[0001255] Treating cancer can result in increase in average survival time of a population of treated subjects in comparison to a population receiving monotherapy with a drug that is not a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof. Preferably, the average survival time is increased by more than 30 days; more preferably, by more than 60 days; more preferably, by more than 90 days; and most preferably, by more than 120 days. An increase in average survival time of a population may be measured by any reproducible means. An increase in average survival time of a population may be measured, for example, by calculating for a population the average length of survival following initiation of treatment with an active compound. An increase in average survival time of a population may also be measured, for example, by calculating for a population the average length of survival following completion of a first round of treatment with an active compound.

[0001256] Treating cancer can result in a decrease in the mortality rate of a population of treated subjects in comparison to a population receiving carrier alone. Treating cancer can

result in a decrease in the mortality rate of a population of treated subjects in comparison to an untreated population. Treating cancer can result in a decrease in the mortality rate of a population of treated subjects in comparison to a population receiving monotherapy with a drug that is not a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof. Preferably, the mortality rate is decreased by more than 2%; more preferably, by more than 5%; more preferably, by more than 10%; and most preferably, by more than 25%. A decrease in the mortality rate of a population of treated subjects may be measured by any reproducible means. A decrease in the mortality rate of a population may be measured, for example, by calculating for a population the average number of disease-related deaths per unit time following initiation of treatment with an active compound. A decrease in the mortality rate of a population may also be measured, for example, by calculating for a population the average number of disease-related deaths per unit time following completion of a first round of treatment with an active compound.

[0001257] Treating cancer can result in a decrease in tumor growth rate. Preferably, after treatment, tumor growth rate is reduced by at least 5% relative to number prior to treatment; more preferably, tumor growth rate is reduced by at least 10%; more preferably, reduced by at least 20%; more preferably, reduced by at least 30%; more preferably, reduced by at least 40%; more preferably, reduced by at least 50%; even more preferably, reduced by at least 50%; and most preferably, reduced by at least 75%. Tumor growth rate may be measured by any reproducible means of measurement. Tumor growth rate can be measured according to a change in tumor diameter per unit time.

[0001258] Treating cancer can result in a decrease in tumor regrowth. Preferably, after treatment, tumor regrowth is less than 5%; more preferably, tumor regrowth is less than 10%; more preferably, less than 20%; more preferably, less than 30%; more preferably, less than 40%; more preferably, less than 50%; even more preferably, less than 50%; and most preferably, less than 75%. Tumor regrowth may be measured by any reproducible means of measurement. Tumor regrowth is measured, for example, by measuring an increase in the diameter of a tumor after a prior tumor shrinkage that followed treatment. A decrease in tumor regrowth is indicated by failure of tumors to reoccur after treatment has stopped.

[0001259] Treating or preventing a cell proliferative disorder can result in a reduction in the rate of cellular proliferation. Preferably, after treatment, the rate of cellular proliferation is reduced by at least 5%; more preferably, by at least 10%; more preferably, by at least 20%; more preferably, by at least 30%; more preferably, by at least 40%; more preferably, by at least 50%; even more preferably, by at least 50%; and most preferably, by at least 75%. The

rate of cellular proliferation may be measured by any reproducible means of measurement. The rate of cellular proliferation is measured, for example, by measuring the number of dividing cells in a tissue sample per unit time.

[0001260] Treating or preventing a cell proliferative disorder can result in a reduction in the proportion of proliferating cells. Preferably, after treatment, the proportion of proliferating cells is reduced by at least 5%; more preferably, by at least 10%; more preferably, by at least 20%; more preferably, by at least 30%; more preferably, by at least 40%; more preferably, by at least 50%; even more preferably, by at least 50%; and most preferably, by at least 75%. The proportion of proliferating cells may be measured by any reproducible means of measurement. Preferably, the proportion of proliferating cells is measured, for example, by quantifying the number of dividing cells relative to the number of nondividing cells in a tissue sample. The proportion of proliferating cells can be equivalent to the mitotic index.

[0001261] Treating or preventing a cell proliferative disorder can result in a decrease in size of an area or zone of cellular proliferation. Preferably, after treatment, size of an area or zone of cellular proliferation is reduced by at least 5% relative to its size prior to treatment; more preferably, reduced by at least 10%; more preferably, reduced by at least 20%; more preferably, reduced by at least 30%; more preferably, reduced by at least 40%; more preferably, reduced by at least 50%; even more preferably, reduced by at least 50%; and most preferably, reduced by at least 75%. Size of an area or zone of cellular proliferation may be measured by any reproducible means of measurement. The size of an area or zone of cellular proliferation may be measured as a diameter or width of an area or zone of cellular proliferation.

[0001262] Treating or preventing a cell proliferative disorder can result in a decrease in the number or proportion of cells having an abnormal appearance or morphology. Preferably, after treatment, the number of cells having an abnormal morphology is reduced by at least 5% relative to its size prior to treatment; more preferably, reduced by at least 10%; more preferably, reduced by at least 20%; more preferably, reduced by at least 30%; more preferably, reduced by at least 40%; more preferably, reduced by at least 50%; even more preferably, reduced by at least 50%; and most preferably, reduced by at least 75%. An abnormal cellular appearance or morphology may be measured by any reproducible means of measurement. An abnormal cellular morphology can be measured by microscopy, *e.g.,* using an inverted tissue culture microscope. An abnormal cellular morphology can take the form of nuclear pleiomorphism.

[0001263] As used herein, the term "selectively" means tending to occur at a higher frequency in one population than in another population. The compared populations can be cell populations. Preferably, a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, acts selectively on a cancer or precancerous cell but not on a normal cell. Preferably, a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, acts selectively to modulate one molecular target (*e.g.,* a target kinase) but does not significantly modulate another molecular target (*e.g.,* a non-target kinase). The invention also provides a method for selectively inhibiting the activity of an enzyme, such as a kinase. Preferably, an event occurs selectively in population A relative to population B if it occurs greater than two times more frequently in population A as compared to population B. An event occurs selectively if it occurs greater than five times more frequently in population A. An event occurs selectively if it occurs greater than ten times more frequently in population A; more preferably, greater than fifty times; even more preferably, greater than 100 times; and most preferably, greater than 1000 times more frequently in population A as compared to population B. For example, cell death would be said to occur selectively in cancer cells if it occurred greater than twice as frequently in cancer cells as compared to normal cells.

[0001264] A compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, can modulate the activity of a molecular target (*e.g.*, a target kinase). Modulating refers to stimulating or inhibiting an activity of a molecular target. Preferably, a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, modulates the activity of a molecular target if it stimulates or inhibits the activity of the molecular target by at least 2-fold relative to the activity of the molecular target under the same conditions but lacking only the presence of said compound. More preferably, a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, modulates the activity of a molecular target if it stimulates or inhibits the activity of the molecular target by at least 5-fold, at least 10-fold, at least 20-fold, at least 50-fold, at least 100-fold relative to the activity of the molecular target under the same conditions but lacking only the presence of said compound. The activity of a molecular target may be measured by any reproducible means. The activity of a molecular target may be measured *in vitro* or *in vivo*. For example, the activity of a molecular target may be measured *in vitro* by an enzymatic activity assay or a DNA binding assay, or the activity of a molecular target may be measured *in vivo* by assaying for expression of a reporter gene.

[0001265] A compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, does not significantly modulate the activity of a molecular target if the addition of the compound does not stimulate or inhibit the activity of the molecular target by greater than 10% relative to the activity of the molecular target under the same conditions but lacking only the presence of said compound.

[0001266] As used herein, the term "isozyme selective" means preferential inhibition or stimulation of a first isoform of an enzyme in comparison to a second isoform of an enzyme (*e.g.,* preferential inhibition or stimulation of a kinase isozyme alpha in comparison to a kinase isozyme beta). Preferably, a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, demonstrates a minimum of a four fold differential, preferably a ten fold differential, more preferably a fifty fold differential, in the dosage required to achieve a biological effect. Preferably, a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, demonstrates this differential across the range of inhibition, and the differential is exemplified at the IC_{50}, *i.e.,* a 50% inhibition, for a molecular target of interest.

[0001267] Administering a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, to a cell or a subject in need thereof can result in modulation (*i.e.,* stimulation or inhibition) of an activity of a kinase of interest.

[0001268] The present invention provides methods to assess biological activity of a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof,. In one method, an assay based on enzymatic activity can be utilized. In one specific enzymatic activity assay, the enzymatic activity is from a kinase. As used herein, "kinase" refers to a large class of enzymes which catalyze the transfer of the γ-phosphate from ATP to the hydroxyl group on the side chain of Ser/Thr or Tyr in proteins and peptides and are intimately involved in the control of various important cell functions, perhaps most notably: signal transduction, differentiation, and proliferation. There are estimated to be about 2,000 distinct protein kinases in the human body, and although each of these phosphorylates particular protein/peptide substrates, they all bind the same second substrate ATP in a highly conserved pocket. About 50% of the known oncogene products are protein tyrosine kinases (PTKs), and their kinase activity has been shown to lead to cell transformation. Preferably, the kinase assayed is a tyrosine kinase.

[0001269] A change in enzymatic activity caused by a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate

thereof, can be measured in the disclosed assays. The change in enzymatic activity can be characterized by the change in the extent of phosphorylation of certain substrates. As used herein, "phosphorylation" refers to the addition of phosphate groups to a substrate, including proteins and organic molecules; and, plays an important role in regulating the biological activities of proteins. Preferably, the phosphorylation assayed and measured involves the addition of phosphate groups to tyrosine residues. The substrate can be a peptide or protein.

[0001270] In some assays, immunological reagents, *e.g.*, antibodies and antigens, are employed. Fluorescence can be utilized in the measurement of enzymatic activity in some assays. As used herein, "fluorescence" refers to a process through which a molecule emits a photon as a result of absorbing an incoming photon of higher energy by the same molecule. Specific methods for assessing the biological activity of the disclosed compounds are described in the examples.

[0001271] As used herein, an activity of c-Met refers to any biological function or activity that is carried out by c-Met. For example, a function of c-Met includes phosphorylation of downstream target proteins. Other functions of c-Met include autophosphorylation, binding of adaptor proteins such as Gab-1, Grb-2, Shc, SHP2 and c-Cbl, and activation of signal transducers such as Ras, Src, PI3K, PLC-γ, STATs, ERK1 and 2 and FAK.

[0001272] Administering a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, to a cell or a subject in need thereof results in modulation (*i.e.,* stimulation or inhibition) of an activity of an intracellular target (*e.g.*, substrate). Several intracellular targets can be modulated with the compounds of the present invention, including, but not limited to, adaptor proteins such as Gab-1, Grb-2, Shc, SHP2 and c-Cbl, and signal transducers such as Ras, Src, PI3K, PLC-γ, STATs, ERK1 and 2 and FAK.

[0001273] Activating refers to placing a composition of matter (*e.g.*, protein or nucleic acid) in a state suitable for carrying out a desired biological function. A composition of matter capable of being activated also has an unactivated state. An activated composition of matter may have an inhibitory or stimulatory biological function, or both.

[0001274] Elevation refers to an increase in a desired biological activity of a composition of matter (*e.g.*, a protein or a nucleic acid). Elevation may occur through an increase in concentration of a composition of matter.

[0001275] As used herein, "a cell cycle checkpoint pathway" refers to a biochemical pathway that is involved in modulation of a cell cycle checkpoint. A cell cycle checkpoint

pathway may have stimulatory or inhibitory effects, or both, on one or more functions comprising a cell cycle checkpoint. A cell cycle checkpoint pathway is comprised of at least two compositions of matter, preferably proteins, both of which contribute to modulation of a cell cycle checkpoint. A cell cycle checkpoint pathway may be activated through an activation of one or more members of the cell cycle checkpoint pathway. Preferably, a cell cycle checkpoint pathway is a biochemical signaling pathway.

[0001276] As used herein, "cell cycle checkpoint regulator" refers to a composition of matter that can function, at least in part, in modulation of a cell cycle checkpoint. A cell cycle checkpoint regulator may have stimulatory or inhibitory effects, or both, on one or more functions comprising a cell cycle checkpoint. A cell cycle checkpoint regulator can be a protein or not a protein.

[0001277] Treating cancer or a cell proliferative disorder can result in cell death, and preferably, cell death results in a decrease of at least 10% in number of cells in a population. More preferably, cell death means a decrease of at least 20%; more preferably, a decrease of at least 30%; more preferably, a decrease of at least 40%; more preferably, a decrease of at least 50%; most preferably, a decrease of at least 75%. Number of cells in a population may be measured by any reproducible means. A number of cells in a population can be measured by fluorescence activated cell sorting (FACS), immunofluorescence microscopy and light microscopy. Methods of measuring cell death are as shown in Li *et al.*, *Proc Natl Acad Sci U S A.* 100(5): 2674-8, 2003. In an aspect, cell death occurs by apoptosis.

[0001278] Preferably, an effective amount of a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, is not significantly cytotoxic to normal cells. A therapeutically effective amount of a compound is not significantly cytotoxic to normal cells if administration of the compound in a therapeutically effective amount does not induce cell death in greater than 10% of normal cells. A therapeutically effective amount of a compound does not significantly affect the viability of normal cells if administration of the compound in a therapeutically effective amount does not induce cell death in greater than 10% of normal cells. In an aspect, cell death occurs by apoptosis.

[0001279] Contacting a cell with a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, can induce or activate cell death selectively in cancer cells. Administering to a subject in need thereof a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, can induce or activate cell death selectively in

cancer cells. Contacting a cell with a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, can induce cell death selectively in one or more cells affected by a cell proliferative disorder. Preferably, administering to a subject in need thereof a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, induces cell death selectively in one or more cells affected by a cell proliferative disorder.

[0001280] The present invention relates to a method of treating or preventing cancer by administering a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, to a subject in need thereof, where administration of the compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, results in one or more of the following: accumulation of cells in G1 and/or S phase of the cell cycle, cytotoxicity via cell death in cancer cells without a significant amount of cell death in normal cells, antitumor activity in animals with a therapeutic index of at least 2, and activation of a cell cycle checkpoint. As used herein, "therapeutic index" is the maximum tolerated dose divided by the efficacious dose.

[0001281] One skilled in the art may refer to general reference texts for detailed descriptions of known techniques discussed herein or equivalent techniques. These texts include Ausubel *et al., Current Protocols in Molecular Biology*, John Wiley and Sons, Inc. (2005); Sambrook *et al.*, *Molecular Cloning, A Laboratory Manual* (3rd edition), Cold Spring Harbor Press, Cold Spring Harbor, New York (2000); Coligan *et al.*, *Current Protocols in Immunology*, John Wiley & Sons, N.Y.; Enna *et al.*, *Current Protocols in Pharmacology*, John Wiley & Sons, N.Y.; Fingl *et al.*, *The Pharmacological Basis of Therapeutics* (1975), *Remington's Pharmaceutical Sciences*, Mack Publishing Co., Easton, PA, 18th edition (1990). These texts can, of course, also be referred to in making or using an aspect of the invention

[0001282] As used herein, "combination therapy" or "co-therapy" includes the administration of a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, and at least a second agent as part of a specific treatment regimen intended to provide the beneficial effect from the co-action of these therapeutic agents. The beneficial effect of the combination includes, but is not limited to, pharmacokinetic or pharmacodynamic co-action resulting from the combination of therapeutic agents. Administration of these therapeutic agents in combination typically is carried out over a defined time period (usually minutes, hours, days or weeks depending upon the combination selected). "Combination therapy" may be, but generally is not, intended to

encompass the administration of two or more of these therapeutic agents as part of separate monotherapy regimens that incidentally and arbitrarily result in the combinations of the present invention.

[0001283] "Combination therapy" is intended to embrace administration of these therapeutic agents in a sequential manner, wherein each therapeutic agent is administered at a different time, as well as administration of these therapeutic agents, or at least two of the therapeutic agents, in a substantially simultaneous manner. Substantially simultaneous administration can be accomplished, for example, by administering to the subject a single capsule having a fixed ratio of each therapeutic agent or in multiple, single capsules for each of the therapeutic agents. Sequential or substantially simultaneous administration of each therapeutic agent can be effected by any appropriate route including, but not limited to, oral routes, intravenous routes, intramuscular routes, and direct absorption through mucous membrane tissues. The therapeutic agents can be administered by the same route or by different routes. For example, a first therapeutic agent of the combination selected may be administered by intravenous injection while the other therapeutic agents of the combination may be administered orally. Alternatively, for example, all therapeutic agents may be administered orally or all therapeutic agents may be administered by intravenous injection. The sequence in which the therapeutic agents are administered is not narrowly critical.

[0001284] "Combination therapy" also embraces the administration of the therapeutic agents as described above in further combination with other biologically active ingredients and non-drug therapies (*e.g.,* surgery or radiation treatment). Where the combination therapy further comprises a non-drug treatment, the non-drug treatment may be conducted at any suitable time so long as a beneficial effect from the co-action of the combination of the therapeutic agents and non-drug treatment is achieved. For example, in appropriate cases, the beneficial effect is still achieved when the non-drug treatment is temporally removed from the administration of the therapeutic agents, perhaps by days or even weeks.

[0001285] A compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof, may be administered in combination with a second chemotherapeutic agent. The second chemotherapeutic agent (also referred to as an anti-neoplastic agent or anti-proliferative agent) can be an alkylating agent; an antibiotic; an anti-metabolite; a detoxifying agent; an interferon; a polyclonal or monoclonal antibody; an EGFR inhibitor; a HER2 inhibitor; a histone deacetylase inhibitor; a hormone; a mitotic inhibitor; an MTOR inhibitor; a multi-kinase inhibitor; a serine/threonine kinase inhibitor; a tyrosine kinase inhibitors; a VEGF/VEGFR inhibitor; a taxane or taxane derivative, an

aromatase inhibitor, an anthracycline, a microtubule targeting drug, a topoisomerase poison drug, an inhibitor of a molecular target or enzyme (*e.g.,* a kinase inhibitor), a cytidine analogue drug or any chemotherapeutic, anti-neoplastic or anti-proliferative agent listed in www.cancer.org/docroot/cdg/cdg_0.asp.

[0001286] Exemplary alkylating agents include, but are not limited to, cyclophosphamide (Cytoxan; Neosar); chlorambucil (Leukeran); melphalan (Alkeran); carmustine (BiCNU); busulfan (Busulfex); lomustine (CeeNU); dacarbazine (DTIC-Dome); oxaliplatin (Eloxatin); carmustine (Gliadel); ifosfamide (Ifex); mechlorethamine (Mustargen); busulfan (Myleran); carboplatin (Paraplatin); cisplatin (CDDP; Platinol); temozolomide (Temodar); thiotepa (Thioplex); bendamustine (Treanda); or streptozocin (Zanosar).

[0001287] Exemplary antibiotics include, but are not limited to, doxorubicin (Adriamycin); doxorubicin liposomal (Doxil); mitoxantrone (Novantrone); bleomycin (Blenoxane); daunorubicin (Cerubidine); daunorubicin liposomal (DaunoXome); dactinomycin (Cosmegen); epirubicin (Ellence); idarubicin (Idamycin); plicamycin (Mithracin); mitomycin (Mutamycin); pentostatin (Nipent); or valrubicin (Valstar).

[0001288] Exemplary anti-metabolites include, but are not limited to, fluorouracil (Adrucil); capecitabine (Xeloda); hydroxyurea (Hydrea); mercaptopurine (Purinethol); pemetrexed (Alimta); fludarabine (Fludara); nelarabine (Arranon); cladribine (Cladribine Novaplus); clofarabine (Clolar); cytarabine (Cytosar-U); decitabine (Dacogen); cytarabine liposomal (DepoCyt); hydroxyurea (Droxia); pralatrexate (Folotyn); floxuridine (FUDR); gemcitabine (Gemzar); cladribine (Leustatin); fludarabine (Oforta); methotrexate (MTX; Rheumatrex); methotrexate (Trexall); thioguanine (Tabloid); TS-1 or cytarabine (Tarabine PFS).

[0001289] Exemplary detoxifying agents include, but are not limited to, amifostine (Ethyol) or mesna (Mesnex).

[0001290] Exemplary interferons include, but are not limited to, interferon alfa-2b (Intron A) or interferon alfa-2a (Roferon-A).

[0001291] Exemplary polyclonal or monoclonal antibodies include, but are not limited to, trastuzumab (Herceptin); ofatumumab (Arzerra); bevacizumab (Avastin); rituximab (Rituxan); cetuximab (Erbitux); panitumumab (Vectibix); tositumomab/iodine^{131} tositumomab (Bexxar); alemtuzumab (Campath); ibritumomab (Zevalin; In-111; Y-90 Zevalin); gemtuzumab (Mylotarg); eculizumab (Soliris) ordenosumab.

[0001292] Exemplary EGFR inhibitors include, but are not limited to, gefitinib (Iressa); lapatinib (Tykerb); cetuximab (Erbitux); erlotinib (Tarceva); panitumumab (Vectibix); PKI-166; canertinib (CI-1033); matuzumab (Emd7200) or EKB-569.

[0001293] Exemplary HER2 inhibitors include, but are not limited to, trastuzumab (Herceptin); lapatinib (Tykerb) or AC-480.

[0001294] Histone Deacetylase Inhibitors include, but are not limited to, vorinostat (Zolinza).

[0001295] Exemplary hormones include, but are not limited to, tamoxifen (Soltamox; Nolvadex); raloxifene (Evista); megestrol (Megace); leuprolide (Lupron; Lupron Depot; Eligard; Viadur) ; fulvestrant (Faslodex); letrozole (Femara); triptorelin (Trelstar LA; Trelstar Depot) ; exemestane (Aromasin) ; goserelin (Zoladex) ; bicalutamide (Casodex); anastrozole (Arimidex); fluoxymesterone (Androxy; Halotestin); medroxyprogesterone (Provera; Depo-Provera); estramustine (Emcyt); flutamide (Eulexin); toremifene (Fareston); degarelix (Firmagon); nilutamide (Nilandron); abarelix (Plenaxis); or testolactone (Teslac).

[0001296] Exemplary mitotic inhibitors include, but are not limited to, paclitaxel (Taxol; Onxol; Abraxane); docetaxel (Taxotere); vincristine (Oncovin; Vincasar PFS); vinblastine (Velban); etoposide (Toposar; Etopophos; VePesid); teniposide (Vumon); ixabepilone (Ixempra); nocodazole; epothilone; vinorelbine (Navelbine); camptothecin (CPT); irinotecan (Camptosar); topotecan (Hycamtin); amsacrine or lamellarin D (LAM-D).

[0001297] Exemplary MTOR inhibitors include, but are not limited to, everolimus (Afinitor) or temsirolimus (Torisel); rapamune, ridaforolimus; or AP23573.

[0001298] Exemplary multi-kinase inhibitors include, but are not limited to, sorafenib (Nexavar); sunitinib (Sutent); BIBW 2992; E7080; Zd6474; PKC-412; motesanib; or AP24534.

[0001299] Exemplary serine/threonine kinase inhibitors include, but are not limited to, ruboxistaurin; eril/easudil hydrochloride; flavopiridol; seliciclib (CYC202; Roscovitrine); SNS-032 (BMS-387032); Pkc412; bryostatin; KAI-9803;SF1126; VX-680; Azd1152; Arry-142886 (AZD-6244); SCIO-469; GW681323; CC-401; CEP-1347 or PD 332991.

[0001300] Exemplary tyrosine kinase inhibitors include, but are not limited to, erlotinib (Tarceva); gefitinib (Iressa); imatinib (Gleevec); sorafenib (Nexavar); sunitinib (Sutent); trastuzumab (Herceptin); bevacizumab (Avastin); rituximab (Rituxan); lapatinib (Tykerb); cetuximab (Erbitux); panitumumab (Vectibix); everolimus (Afinitor); alemtuzumab (Campath); gemtuzumab (Mylotarg); temsirolimus (Torisel); pazopanib (Votrient); dasatinib (Sprycel); nilotinib (Tasigna); vatalanib (Ptk787; ZK222584); CEP-701; SU5614; MLN518;

XL999; VX-322; Azd0530; BMS-354825; SKI-606 CP-690; AG-490; WHI-P154; WHI-P131; AC-220; or AMG888.

[0001301] Exemplary VEGF/VEGFR inhibitors include, but are not limited to, bevacizumab (Avastin); sorafenib (Nexavar); sunitinib (Sutent); ranibizumab; pegaptanib; or vandetinib.

[0001302] Exemplary microtubule targeting drugs include, but are not limited to, paclitaxel, docetaxel, vincristin, vinblastin, nocodazole, epothilones and navelbine.

[0001303] Exemplary topoisomerase poison drugs include, but are not limited to, teniposide, etoposide, adriamycin, camptothecin, daunorubicin, dactinomycin, mitoxantrone, amsacrine, epirubicin and idarubicin.

[0001304] Exemplary taxanes or taxane derivatives include, but are not limited to, paclitaxel and docetaxol.

[0001305] Exemplary general chemotherapeutic, anti-neoplastic, anti-proliferative agents include, but are not limited to, altretamine (Hexalen); isotretinoin (Accutane; Amnesteem; Claravis; Sotret); tretinoin (Vesanoid); azacitidine (Vidaza); bortezomib (Velcade) asparaginase (Elspar); levamisole (Ergamisol); mitotane (Lysodren); procarbazine (Matulane); pegaspargase (Oncaspar); denileukin diftitox (Ontak); porfimer (Photofrin); aldesleukin (Proleukin); lenalidomide (Revlimid); bexarotene (Targretin); thalidomide (Thalomid); temsirolimus (Torisel); arsenic trioxide (Trisenox); verteporfin (Visudyne); mimosine (Leucenol); (1M tegafur - 0.4 M 5-chloro-2,4-dihydroxypyrimidine - 1 M potassium oxonate) or lovastatin.

[0001306] In another aspect, the second chemotherapeutic agent can be a cytokine such as G-CSF (granulocyte colony stimulating factor). In another aspect, a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof, may be administered in combination with radiation therapy. Radiation therapy can also be administered in combination with a compound of the present invention and another chemotherapeutic agent described herein as part of a multiple agent therapy. In yet another aspect, a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof, may be administered in combination with standard chemotherapy combinations such as, but not restricted to, CMF (cyclophosphamide, methotrexate and 5-fluorouracil), CAF (cyclophosphamide, adriamycin and 5-fluorouracil), AC (adriamycin and cyclophosphamide), FEC (5-fluorouracil, epirubicin, and cyclophosphamide), ACT or ATC (adriamycin, cyclophosphamide, and paclitaxel), rituximab, Xeloda (capecitabine), Cisplatin (CDDP), Carboplatin, TS-1 (tegafur, gimestat and

otastat potassium at a molar ratio of 1:0.4:1), Camptothecin-11 (CPT-11, Irinotecan or Camptosar™) or CMFP (cyclophosphamide, methotrexate, 5-fluorouracil and prednisone).

[0001307] In preferred embodiments, a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, may be administered with an inhibitor of an enzyme, such as a receptor or non-receptor kinase. Receptor and non-receptor kinases of the invention are, for example, tyrosine kinases or serine/threonine kinases. Kinase inhibitors of the invention are small molecules, polynucleic acids, polypeptides, or antibodies.

[0001308] Exemplary kinase inhibitors include, but are not limited to, Bevacizumab (targets VEGF), BIBW 2992 (targets EGFR and Erb2), Cetuximab/Erbitux (targets Erb1), Imatinib/Gleevic (targets Bcr-Abl), Trastuzumab (targets Erb2), Gefitinib/Iressa (targets EGFR), Ranibizumab (targets VEGF), Pegaptanib (targets VEGF), Erlotinib/Tarceva (targets Erb1), Nilotinib (targets Bcr-Abl), Lapatinib (targets Erb1 and Erb2/Her2), GW-572016/lapatinib ditosylate (targets HER2/Erb2), Panitumumab/Vectibix (targets EGFR), Vandetinib (targets RET/VEGFR), E7080 (multiple targets including RET and VEGFR), Herceptin (targets HER2/Erb2), PKI-166 (targets EGFR), Canertinib/CI-1033 (targets EGFR), Sunitinib/SU-11464/Sutent (targets EGFR and FLT3), Matuzumab/Emd7200 (targets EGFR), EKB-569 (targets EGFR), Zd6474 (targets EGFR and VEGFR), PKC-412 (targets VEGR and FLT3), Vatalanib/Ptk787/ZK222584 (targets VEGR), CEP-701 (targets FLT3), SU5614 (targets FLT3), MLN518 (targets FLT3), XL999 (targets FLT3), VX-322 (targets FLT3), Azd0530 (targets SRC), BMS-354825 (targets SRC), SKI-606 (targets SRC), CP-690 (targets JAK), AG-490 (targets JAK), WHI-P154 (targets JAK), WHI-P131 (targets JAK), sorafenib/Nexavar (targets RAF kinase, VEGFR-1, VEGFR-2, VEGFR-3, PDGFR- ß, KIT, FLT-3, and RET), Dasatinib/Sprycel (BCR/ABL and Src), AC-220 (targets Flt3), AC-480 (targets all HER proteins, "panHER"), Motesanib diphosphate (targets VEGF1-3, PDGFR, and c-kit), Denosumab (targets RANKL, inhibits SRC), AMG888 (targets HER3), and AP24534 (multiple targets including Flt3).

[0001309] Exemplary serine/threonine kinase inhibitors include, but are not limited to, Rapamune (targets mTOR/FRAP1), Deforolimus (targets mTOR), Certican/Everolimus (targets mTOR/FRAP1), AP23573 (targets mTOR/FRAP1), Eril/Fasudil hydrochloride (targets RHO), Flavopiridol (targets CDK), Seliciclib/CYC202/Roscovitrine (targets CDK), SNS-032/BMS-387032 (targets CDK), Ruboxistaurin (targets PKC), Pkc412 (targets PKC), Bryostatin (targets PKC), KAI-9803 (targets PKC), SF1126 (targets PI3K), VX-680 (targets Aurora kinase), Azd1152 (targets Aurora kinase), Arry-142886/AZD-6244 (targets

MAP/MEK), SCIO-469 (targets MAP/MEK), GW681323 (targets MAP/MEK), CC-401 (targets JNK), CEP-1347 (targets JNK), and PD 332991 (targets CDK).

4. Pharmaceutical Compositions

[0001310] The present invention also provides pharmaceutical compositions comprising a compound of each of the formulae described herein in combination with at least one pharmaceutically acceptable excipient or carrier.

[0001311] A "pharmaceutical composition" is a formulation containing the compounds of the present invention in a form suitable for administration to a subject. In one embodiment, the pharmaceutical composition is in bulk or in unit dosage form. The unit dosage form is any of a variety of forms, including, for example, a capsule, an IV bag, a tablet, a single pump on an aerosol inhaler or a vial. The quantity of active ingredient (*e.g.*, a formulation of the disclosed compound or salt, hydrate, solvate or isomer thereof) in a unit dose of composition is an effective amount and is varied according to the particular treatment involved. One skilled in the art will appreciate that it is sometimes necessary to make routine variations to the dosage depending on the age and condition of the patient. The dosage will also depend on the route of administration. A variety of routes are contemplated, including oral, pulmonary, rectal, parenteral, transdermal, subcutaneous, intravenous, intramuscular, intraperitoneal, inhalational, buccal, sublingual, intrapleural, intrathecal, intranasal, and the like. Dosage forms for the topical or transdermal administration of a compound of this invention include powders, sprays, ointments, pastes, creams, lotions, gels, solutions, patches and inhalants. In one embodiment, the active compound is mixed under sterile conditions with a pharmaceutically acceptable carrier, and with any preservatives, buffers or propellants that are required.

[0001312] As used herein, the phrase "pharmaceutically acceptable" refers to those compounds, materials, compositions, carriers, and/or dosage forms which are, within the scope of sound medical judgment, suitable for use in contact with the tissues of human beings and animals without excessive toxicity, irritation, allergic response, or other problem or complication, commensurate with a reasonable benefit/risk ratio.

[0001313] "Pharmaceutically acceptable excipient" means an excipient that is useful in preparing a pharmaceutical composition that is generally safe, non-toxic and neither biologically nor otherwise undesirable, and includes excipient that is acceptable for veterinary use as well as human pharmaceutical use. A "pharmaceutically acceptable

excipient" as used in the specification and claims includes both one and more than one such excipient.

[0001314] A pharmaceutical composition of the invention is formulated to be compatible with its intended route of administration. Examples of routes of administration include parenteral, *e.g.,* intravenous, intradermal, subcutaneous, oral (*e.g.*, inhalation), transdermal (topical), and transmucosal administration. Solutions or suspensions used for parenteral, intradermal, or subcutaneous application can include the following components: a sterile diluent such as water for injection, saline solution, fixed oils, polyethylene glycols, glycerine, propylene glycol or other synthetic solvents; antibacterial agents such as benzyl alcohol or methyl parabens; antioxidants such as ascorbic acid or sodium bisulfite; chelating agents such as ethylenediaminetetraacetic acid; buffers such as acetates, citrates or phosphates, and agents for the adjustment of tonicity such as sodium chloride or dextrose. The pH can be adjusted with acids or bases, such as hydrochloric acid or sodium hydroxide. The parenteral preparation can be enclosed in ampoules, disposable syringes or multiple dose vials made of glass or plastic.

[0001315] A compound or pharmaceutical composition of the invention can be administered to a subject in many of the well-known methods currently used for chemotherapeutic treatment. For example, for treatment of cancers, a compound of the invention may be injected directly into tumors, injected into the blood stream or body cavities or taken orally or applied through the skin with patches. The dose chosen should be sufficient to constitute effective treatment but not as high as to cause unacceptable side effects. The state of the disease condition (*e.g.*, cancer, precancer, and the like) and the health of the patient should preferably be closely monitored during and for a reasonable period after treatment.

[0001316] The term "therapeutically effective amount", as used herein, refers to an amount of a pharmaceutical agent to treat, ameliorate, or prevent an identified disease or condition, or to exhibit a detectable therapeutic or inhibitory effect. The effect can be detected by any assay method known in the art. The precise effective amount for a subject will depend upon the subject's body weight, size, and health; the nature and extent of the condition; and the therapeutic or combination of therapeutics selected for administration. Therapeutically effective amounts for a given situation can be determined by routine experimentation that is within the skill and judgment of the clinician. In a preferred aspect, the disease or condition to be treated is cancer. In another aspect, the disease or condition to be treated is a cell proliferative disorder.

[0001317] For any compound, the therapeutically effective amount can be estimated initially either in cell culture assays, *e.g.*, of neoplastic cells, or in animal models, usually rats, mice, rabbits, dogs, or pigs. The animal model may also be used to determine the appropriate concentration range and route of administration. Such information can then be used to determine useful doses and routes for administration in humans. Therapeutic/prophylactic efficacy and toxicity may be determined by standard pharmaceutical procedures in cell cultures or experimental animals, *e.g.*, ED_{50} (the dose therapeutically effective in 50% of the population) and LD_{50} (the dose lethal to 50% of the population). The dose ratio between toxic and therapeutic effects is the therapeutic index, and it can be expressed as the ratio, LD_{50}/ED_{50}. Pharmaceutical compositions that exhibit large therapeutic indices are preferred. The dosage may vary within this range depending upon the dosage form employed, sensitivity of the patient, and the route of administration.

[0001318] Dosage and administration are adjusted to provide sufficient levels of the active agent(s) or to maintain the desired effect. Factors which may be taken into account include the severity of the disease state, general health of the subject, age, weight, and gender of the subject, diet, time and frequency of administration, drug combination(s), reaction sensitivities, and tolerance/response to therapy. Long-acting pharmaceutical compositions may be administered every 3 to 4 days, every week, or once every two weeks depending on half-life and clearance rate of the particular formulation.

[0001319] The pharmaceutical compositions containing active compounds of the present invention may be manufactured in a manner that is generally known, *e.g.*, by means of conventional mixing, dissolving, granulating, dragee-making, levigating, emulsifying, encapsulating, entrapping, or lyophilizing processes. Pharmaceutical compositions may be formulated in a conventional manner using one or more pharmaceutically acceptable carriers comprising excipients and/or auxiliaries that facilitate processing of the active compounds into preparations that can be used pharmaceutically. Of course, the appropriate formulation is dependent upon the route of administration chosen.

[0001320] Pharmaceutical compositions suitable for injectable use include sterile aqueous solutions (where water soluble) or dispersions and sterile powders for the extemporaneous preparation of sterile injectable solutions or dispersion. For intravenous administration, suitable carriers include physiological saline, bacteriostatic water, Cremophor EL™ (BASF, Parsippany, N.J.) or phosphate buffered saline (PBS). In all cases, the composition must be sterile and should be fluid to the extent that easy syringeability exists. It must be stable under the conditions of manufacture and storage and must be preserved against

the contaminating action of microorganisms such as bacteria and fungi. The carrier can be a solvent or dispersion medium containing, for example, water, ethanol, polyol (for example, glycerol, propylene glycol, and liquid polyethylene glycol, and the like), and suitable mixtures thereof. The 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 dispersion and by the use of surfactants. Prevention of the action of microorganisms can be achieved by various antibacterial and antifungal agents, for example, parabens, chlorobutanol, phenol, ascorbic acid, thimerosal, and the like. In many cases, it will be preferable to include isotonic agents, for example, sugars, polyalcohols such as manitol, sorbitol, sodium chloride in the composition. Prolonged absorption of the injectable compositions can be brought about by including in the composition an agent which delays absorption, for example, aluminum monostearate and gelatin.

[0001321] Sterile injectable solutions can be prepared by incorporating the active compound in the required amount in an appropriate solvent with one or a combination of ingredients enumerated above, as required, followed by filtered sterilization. Generally, dispersions are prepared by incorporating the active compound into a sterile vehicle that contains a basic dispersion medium and the required other ingredients from those enumerated above. In the case of sterile powders for the preparation of sterile injectable solutions, methods of preparation are vacuum drying and freeze-drying that yields a powder of the active ingredient plus any additional desired ingredient from a previously sterile-filtered solution thereof.

[0001322] Oral compositions generally include an inert diluent or an edible pharmaceutically acceptable carrier. They can be enclosed in gelatin capsules or compressed into tablets. For the purpose of oral therapeutic administration, the active compound can be incorporated with excipients and used in the form of tablets, troches, or capsules. Oral compositions can also be prepared using a fluid carrier for use as a mouthwash, wherein the compound in the fluid carrier is applied orally and swished and expectorated or swallowed. Pharmaceutically compatible binding agents, and/or adjuvant materials can be included as part of the composition. The tablets, pills, capsules, troches and the like can contain any of the following ingredients, or compounds of a similar nature: a binder such as microcrystalline cellulose, gum tragacanth or gelatin; an excipient such as starch or lactose, a disintegrating agent such as alginic acid, Primogel, or corn starch; a lubricant such as magnesium stearate or Sterotes; a glidant such as colloidal silicon dioxide; a sweetening agent such as sucrose or saccharin; or a flavoring agent such as peppermint, methyl salicylate, or orange flavoring.

[0001323] For administration by inhalation, the compounds are delivered in the form of an aerosol spray from pressured container or dispenser, which contains a suitable propellant, *e.g.*, a gas such as carbon dioxide, or a nebulizer.

[0001324] Systemic administration can also be by transmucosal or transdermal means. For transmucosal or transdermal administration, penetrants appropriate to the barrier to be permeated are used in the formulation. Such penetrants are generally known in the art, and include, for example, for transmucosal administration, detergents, bile salts, and fusidic acid derivatives. Transmucosal administration can be accomplished through the use of nasal sprays or suppositories. For transdermal administration, the active compounds are formulated into ointments, salves, gels, or creams as generally known in the art.

[0001325] The active compounds can be prepared with pharmaceutically acceptable carriers that will protect the compound against rapid elimination from the body, such as a controlled release formulation, including implants and microencapsulated delivery systems. Biodegradable, biocompatible polymers can be used, such as ethylene vinyl acetate, polyanhydrides, polyglycolic acid, collagen, polyorthoesters, and polylactic acid. Methods for preparation of such formulations will be apparent to those skilled in the art. The materials can also be obtained commercially from Alza Corporation and Nova Pharmaceuticals, Inc. Liposomal suspensions (including liposomes targeted to infected cells with monoclonal antibodies to viral antigens) can also be used as pharmaceutically acceptable carriers. These can be prepared according to methods known to those skilled in the art, for example, as described in U.S. Pat. No. 4,522,811.

[0001326] It is especially advantageous to formulate oral or parenteral compositions in dosage unit form for ease of administration and uniformity of dosage. Dosage unit form as used herein refers to physically discrete units suited as unitary dosages for the subject to be treated; each unit containing a predetermined quantity of active compound calculated to produce the desired therapeutic effect in association with the required pharmaceutical carrier. The specification for the dosage unit forms of the invention are dictated by and directly dependent on the unique characteristics of the active compound and the particular therapeutic effect to be achieved.

[0001327] In therapeutic applications, the dosages of the pharmaceutical compositions used in accordance with the invention vary depending on the agent, the age, weight, and clinical condition of the recipient patient, and the experience and judgment of the clinician or practitioner administering the therapy, among other factors affecting the selected dosage. Generally, the dose should be sufficient to result in slowing, and preferably regressing, the

growth of the tumors and also preferably causing complete regression of the cancer. Dosages can range from about 0.01 mg/kg per day to about 5000 mg/kg per day. In preferred aspects, dosages can range from about 1 mg/kg per day to about 1000 mg/kg per day. In an aspect, the dose will be in the range of about 0.1 mg/day to about 50 g/day; about 0.1 mg/day to about 25 g/day; about 0.1 mg/day to about 10 g/day; about 0.1 mg to about 3 g/day; or about 0.1 mg to about 1 g/day, in single, divided, or continuous doses (which dose may be adjusted for the patient's weight in kg, body surface area in m^2, and age in years). An effective amount of a pharmaceutical agent is that which provides an objectively identifiable improvement as noted by the clinician or other qualified observer. For example, regression of a tumor in a patient may be measured with reference to the diameter of a tumor. Decrease in the diameter of a tumor indicates regression. Regression is also indicated by failure of tumors to reoccur after treatment has stopped. As used herein, the term "dosage effective manner" refers to amount of an active compound to produce the desired biological effect in a subject or cell.

[0001328] The pharmaceutical compositions can be included in a container, pack, or dispenser together with instructions for administration.

[0001329] The compounds of the present invention are capable of further forming salts. All of these forms are also contemplated within the scope of the claimed invention.

[0001330] As used herein, "pharmaceutically acceptable salts" refer to derivatives of the compounds of the present invention wherein the parent compound is modified by making acid or base salts thereof. Examples of pharmaceutically acceptable salts include, but are not limited to, mineral or organic acid salts of basic residues such as amines, alkali or organic salts of acidic residues such as carboxylic acids, and the like. The pharmaceutically acceptable salts include the conventional non-toxic salts or the quaternary ammonium salts of the parent compound formed, for example, from non-toxic inorganic or organic acids. For example, such conventional non-toxic salts include, but are not limited to, those derived from inorganic and organic acids selected from 2-acetoxybenzoic, 2-hydroxyethane sulfonic, acetic, ascorbic, benzene sulfonic, benzoic, bicarbonic, carbonic, citric, edetic, ethane disulfonic, 1,2-ethane sulfonic, fumaric, glucoheptonic, gluconic, glutamic, glycolic, glycollyarsanilic, hexylresorcinic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxymaleic, hydroxynaphthoic, isethionic, lactic, lactobionic, lauryl sulfonic, maleic, malic, mandelic, methane sulfonic, napsylic, nitric, oxalic, pamoic, pantothenic, phenylacetic, phosphoric, polygalacturonic, propionic, salicyclic, stearic, subacetic, succinic, sulfamic,

sulfanilic, sulfuric, tannic, tartaric, toluene sulfonic, and the commonly occurring amine acids, *e.g.*, glycine, alanine, phenylalanine, arginine, etc.

[0001331] Other examples of pharmaceutically acceptable salts include hexanoic acid, cyclopentane propionic acid, pyruvic acid, malonic acid, 3-(4-hydroxybenzoyl)benzoic acid, cinnamic acid, 4-chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, 4-toluenesulfonic acid, camphorsulfonic acid, 4-methylbicyclo-[2.2.2]-oct-2-ene-1-carboxylic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, muconic acid, and the like. The present invention also encompasses salts formed when an acidic proton present in the parent compound either is replaced by a metal ion, *e.g.*, an alkali metal ion, an alkaline earth ion, or an aluminum ion; or coordinates with an organic base such as ethanolamine, diethanolamine, triethanolamine, tromethamine, N-methylglucamine, and the like.

[0001332] It should be understood that all references to pharmaceutically acceptable salts include solvent addition forms (solvates) or crystal forms (polymorphs) as defined herein, of the same salt.

[0001333] The compounds of the present invention can also be prepared as esters, for example, pharmaceutically acceptable esters. For example, a carboxylic acid function group in a compound can be converted to its corresponding ester, *e.g.*, a methyl, ethyl or other ester. Also, an alcohol group in a compound can be converted to its corresponding ester, *e.g.*, an acetate, propionate or other ester.

[0001334] The compounds of the present invention can also be prepared as prodrugs, for example, pharmaceutically acceptable prodrugs. The terms "pro-drug" and "prodrug" are used interchangeably herein and refer to any compound which releases an active parent drug *in vivo*. Since prodrugs are known to enhance numerous desirable qualities of pharmaceuticals (*e.g.*, solubility, bioavailability, manufacturing, etc.), the compounds of the present invention can be delivered in prodrug form. Thus, the present invention is intended to cover prodrugs of the presently claimed compounds, methods of delivering the same and compositions containing the same. "Prodrugs" are intended to include any covalently bonded carriers that release an active parent drug of the present invention *in vivo* when such prodrug is administered to a subject. Prodrugs in the present invention are prepared by modifying functional groups present in the compound in such a way that the modifications are cleaved, either in routine manipulation or *in vivo*, to the parent compound. Prodrugs include compounds of the present invention wherein a hydroxy, amino, sulfhydryl, carboxy or carbonyl group is bonded to any group that may be cleaved *in vivo* to form a free hydroxyl, free amino, free sulfhydryl, free carboxy or free carbonyl group, respectively.

[0001335] Examples of prodrugs include, but are not limited to, esters (*e.g.*, acetate, dialkylaminoacetates, formates, phosphates, sulfates and benzoate derivatives) and carbamates (*e.g.*, N,N-dimethylaminocarbonyl) of hydroxy functional groups, esters (*e.g.*, ethyl esters, morpholinoethanol esters) of carboxyl functional groups, N-acyl derivatives (*e.g.*, N-acetyl) N-Mannich bases, Schiff bases and enaminones of amino functional groups, oximes, acetals, ketals and enol esters of ketone and aldehyde functional groups in compounds of the invention, and the like, See Bundegaard, H., *Design of Prodrugs*, p1-92, Elesevier, New York-Oxford (1985).

[0001336] The compounds, or pharmaceutically acceptable salts, esters or prodrugs thereof, are administered orally, nasally, transdermally, pulmonary, inhalationally, buccally, sublingually, intraperintoneally, subcutaneously, intramuscularly, intravenously, rectally, intrapleurally, intrathecally and parenterally. In one embodiment, the compound is administered orally. One skilled in the art will recognize the advantages of certain routes of administration.

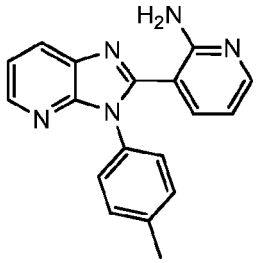
[0001337] The dosage regimen utilizing the compounds is selected in accordance with a variety of factors including type, species, age, weight, sex and medical condition of the patient; the severity of the condition to be treated; the route of administration; the renal and hepatic function of the patient; and the particular compound or salt thereof employed. An ordinarily skilled physician or veterinarian can readily determine and prescribe the effective amount of the drug required to prevent, counter or arrest the progress of the condition.

[0001338] Techniques for formulation and administration of the disclosed compounds of the invention can be found in *Remington: the Science and Practice of Pharmacy*, 19th edition, Mack Publishing Co., Easton, PA (1995). In an embodiment, the compounds described herein, and the pharmaceutically acceptable salts thereof, are used in pharmaceutical preparations in combination with a pharmaceutically acceptable carrier or diluent. Suitable pharmaceutically acceptable carriers include inert solid fillers or diluents and sterile aqueous or organic solutions. The compounds will be present in such pharmaceutical compositions in amounts sufficient to provide the desired dosage amount in the range described herein.

[0001339] All percentages and ratios used herein, unless otherwise indicated, are by weight. Other features and advantages of the present invention are apparent from the different examples. The provided examples illustrate different components and methodology useful in practicing the present invention. The examples do not limit the claimed invention. Based on the present disclosure the skilled artisan can identify and employ other components and methodology useful for practicing the present invention.

5. Examples

[0001340] Example 1: Synthesis of 3-(3-p-tolyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine.

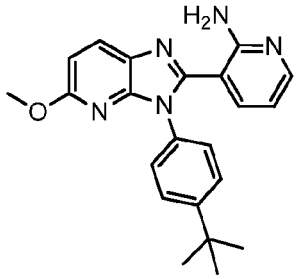


[0001341] 3-(3-p-tolyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine was synthesized according to General Procedure A.

[0001342] Step 1: Synthesis of 3-nitro-N-*p*-tolylpyridin-2-amine. 2-chloro-3-nitropyridine (100 mg, 0.63 mmol) was dissolved in dioxane (4 mL) in a round bottom flask to which *p*-tolylaniline (68 mg, 0.63mmol) was added followed by addition of diisopropylethylamine (0.22 mL). The reaction mixture was heated to 80°C for 24 hours and stopped when complete as observed by LCMS. After cooling to room temperature, the solvent was removed under reduced pressure to afford an oily residue. The residue was dissolved in ethyl acetate (20 mL) and washed with water and brine (5 mL each respectively). The organic phase was separated and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude product was carried on to the next step without further purification. LCMS [M+H]: 230.

[0001343] Step 2: Synthesis of 3-(3-*p*-tolyl-3*H*-imidazo[4,5-b]pyridine-2-yl)pyridine-2-amine. 3-nitro-N-phenylpyridin-2-amine was dissolved in dimethylsulfoxide (4 mL) and methanol (2 mL) in a round bottom flask. 2-aminonicotinaldehyde 4 (77 mg, 1.1 eq.) was added and $Na_2S_2O_4$ (274 mg). The reaction mixture was heated to 100°C for 18 hours. After cooling to room temperature the reaction mixture was diluted with dichloromethane (50 mL) and washed with water and brine. The organic phase was separated and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude product was purified by silica gel chromatography (ethyl acetate / hexanes) to afford 20 mg of desired product. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.29 (dd, J= 1.6 Hz and 5.0 Hz, 1H), 8.17 (dd, J = 1.1 Hz and 7.8 Hz, 1H), 7.96 (dd, J = 1.6 Hz and 4.7 Hz, 1H), 7.35 (dd, J = 5.09 Hz and 8.21 Hz, 1H), 7.31-7.26 (m, 4H), 7.18 (dd, J = 1.9 Hz and 7.8 Hz, 1H), 6.96 (s, 2H), 6.37 (dd, J = 4.6 Hz and 7.8 Hz, 1H), 2.36 (s, 3H); LCMS[M+H]: 302.

[0001344] Example 2: Synthesis of 3-(3-(4-*tert*-butylphenyl)-5-methoxy-3H-imidazo[4,5-*b*]pyridin-2-yl)pyridin-2-amine.

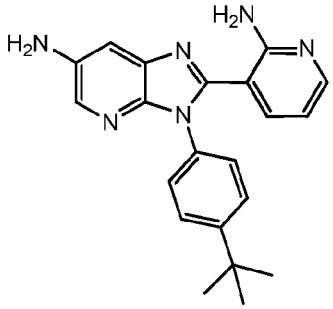


[0001345] 3-(3-(4-*tert*-butylphenyl)-5-methoxy-3*H*-imidazo[4,5-*b*]pyridin-2-yl)pyridin-2-amine was synthesized according to General Procedure A.

[0001346] Step 1: Synthesis of N-(4-tert-butylphenyl)-6-methoxy-3-nitropyridin-2-amine. LCMS [M+H]: 302.

[0001347] Step 2: Synthesis of 3-(3-(4-*tert*-butylphenyl)-5-methoxy-3H-imidazo[4,5-*b*]pyridin-2-yl)pyridin-2-amine. Silica gel column chromatography using ethyl acetate/hexanes gave 46 mg of the desired product. 400 MHz ^{1}H NMR (DMSO-d_6) δ: 8.11 (d, J = 8.6 Hz, 2H), 7.96 (dd, J = 1.6 Hz and 4.7 Hz, 1H), 7.53 (d, J = 8.6 Hz, 2H), 7.33 (d, J = 8.6 Hz, 2H), 7.04 (dd, J = 1.6 Hz and 7.4 Hz, 1H), 6.89 (s, 2H), 6.82 (d, J = 8.6 Hz, 2H), 6.36 (dd, J = 5.0 Hz and 7.8 Hz, 1H), 3.79 (s, 3H), 1.21 (s, 9H); LCMS [M+H]: 374.

[0001348] Example 3: Synthesis of 2-(2-aminopyridin-3-yl)-3-(4-tert-butylphenyl)-3H-imidazo[4,5-b]pyridin-6-amine.



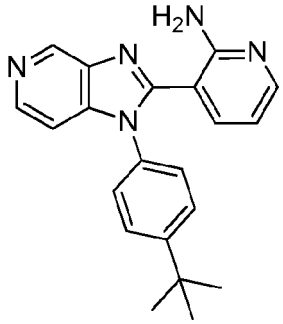
[0001349] 2-(2-aminopyridin-3-yl)-3-(4-tert-butylphenyl)-3H-imidazo[4,5-b]pyridin-6-amine was synthesized according to General Pocedure A.

[0001350] Step 1: Synthesis of N-(4-tert-butylphenyl)-3,5-dinitropyridin-2-amine. LCMS [M+H]: 317.

[0001351] Step 2: Synthesis of 2-(2-aminopyridin-3-yl)-3-(4-*tert*-butylphenyl)-3H-imidazo[4,5-*b*]pyridine-6-amine. The crude product was purified by reverse phase HPLC using acetonitrile / water (0.05 % TFA). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.04 (dd, J = 1.6

Hz and 5.9 Hz, 1H), 7.99 (s, 1H), 7.59-7.36 (m, 6H), 6.72-6.69 (m, 1H), 1.32 (s, 9H); LCMS [M+H]: 359.

[0001352] Example 4: Synthesis of 3-(1-(4-*tert*-butylphenyl)-1H-imidazo[4,5-*c*]pyridine-2-yl)pyridine-2-amine.

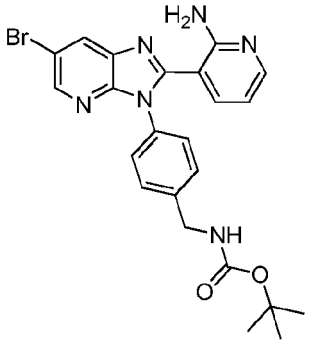


[0001353] 3-(1-(4-*tert*-butylphenyl)-1H-imidazo[4,5-*c*]pyridine-2-yl)pyridine-2-amine was synthesized according to General Procedure A using 4-chloro-3-nitropyridine instead of 2-chloro-3-nitropyridine as building block 1.

[0001354] Step 1: Synthesis of *N*-(4-*tert*-butylphenyl)-3-nitropyridin-4-amine. LCMS [M+H]: 272.

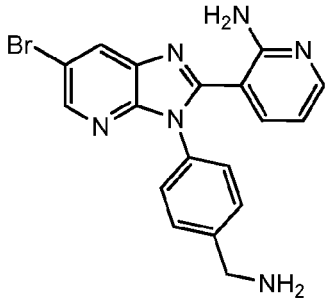
[0001355] Step 2: Synthesis of 3-(1-(4-*tert*-butylphenyl)-1H-imidazo[4,5-*c*]pyridine-2-yl)pyridine-2-amine. LCMS [M+H]: 344.

[0001356] Example 5: Synthesis of *tert*-butyl 4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazolo[4,5-*b*]pyridine-3-yl)benzylcarbamate.



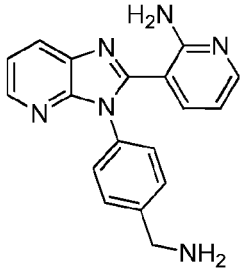
[0001357] *tert*-butyl 4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazolo[4,5-*b*]pyridine-3-yl)benzylcarbamate was synthesized according to General Procedure A. Mp. 129-131°C; ^{1}H NMR (DMSO-d_6) 400 M Hz δ: 8.48 (d, J = 2.0 Hz, 1H), 8.37 (d, J = 2.4 Hz, 1H), 7.97 (dd, J = 2.0 and 4.7 Hz, 1H), 7.46 (t, J = 5.6 Hz, 1H), 7.38-7.31 (m, 3H), 7.21 (dd, J = 2.0 Hz and 7.8 Hz, 1H), 6.94 (s, 2H), 6.38 (dd, J = 4.4 Hz and 7.7 Hz, 1H), 4.17 (d, J = 6.2 Hz, 1H), 1.38 (s, 9H), LC/MS [M+H, M+2+H]: 495.00, 497.00.

[0001358] Example 6: Synthesis of (3-(3-(4-aminomethyl)phenyl)-6-bromo-3H-imidazolo[4,5-*b*]pyridin-2-yl)pyridine-2-amine hydro chloride



[0001359] 3-(3-(4-aminomethyl)phenyl)-6-bromo-3H-imidazolo[4,5-*b*]pyridin-2-yl)pyridine-2-amine was synthesized according to General Procedure A followed by General Procedure B. Mp. 221-223°C; ^{1}H NMR (DMSO-d_6) 400 M Hz δ: 8.60 (d, J = 2.0 Hz, 1H), 8.56 (bs, 2H), 8.51 (d, J = 2.4 Hz, 1H), 8.38 (bs, 2H), 8.13 (dd, J = 2.0 Hz and 4.7 Hz, 1H), 7.95 (dd, J = 1.6 Hz and 7.4 Hz, 1H), 7.63 (d, J = 8.6 Hz, 2H), 7.53 (d, J = 8.6 Hz, 2H), 6.89 (dd, J = 6.2 Hz and 7.4 Hz, 1H), 4.17 (app q, J = 5.5 Hz, 1H), LC/MS [M+H, M+2+H]: 394.94, 396.94.

[0001360] Example 7: Synthesis of 3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride.

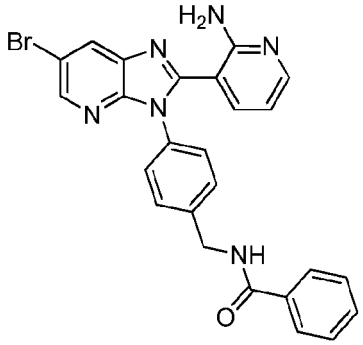


[0001361] 3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedure B.

[0001362] To a slurry of tert-butyl 4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate (2.9 g, 7.0 mmol) in dioxane (10 mL) was added a solution of 4M HCl in dioxane (10 mL) slowly. Solids were observed to precipitate and the mixture was stir vigorously for 16 h. The solvent was removed under reduced pressure, yielding the desired as an off white powder (3.5 g, quantitative). Mp. 212-214^{0}C. ^{1}H NMR 400 M Hz (DMSO-d_6) δ 8.58 (s, 2H), 8.46 (br s, 1H), 8.43 (dd, J = 1.6 Hz and 4.8 Hz, 1H), 8.31 (dd, J = 1.6 Hz and 8.0, 1H), 8.15 (dd, J =1.6 Hz and 6.4, 1H), 7.94 (dd, J = 1.6 Hz and 7.6 Hz, 1H), 7.62 (dd, J =

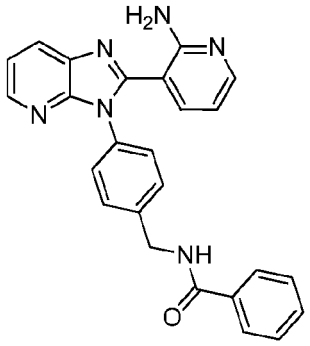
8.4 Hz and 4.2 Hz, 2H), 7.48 (dd, J = 8.0 Hz and 4.8 Hz, 2H), 6.90 (dd, J = 6.4 Hz and 7.6 Hz, 2H), 4.10 (dd, J = 6.4 Hz and 11.6 Hz, 2H). LCMS [M+H]: 317.

[0001363] Example 8: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridine-3-yl)benzyl)benzamide.



[0001364] N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridine-3-yl)benzyl)benzamide was synthesized according to General Procedure A, followed by General Procedures B and C. Mp. 195-197°C. ^{1}H NMR (DMSO-d_6) 400 MHz δ 9.12 (t, J = 5.9 Hz, 1H), 8.46 (d, J = 1.9 Hz, 1H), 8.36 (d, J = 1.9 Hz, 1H), 7.96 (dd, J = 1.9 Hz and 5.0 Hz, 1H), 7.88 (d, J = 8.3 Hz, 2H), 7.54-7.34 (m, 8H), 7.23 (dd, J = 1.5 Hz and 7.2 Hz, 1H), 7.21 (dd, J = 1.9 Hz and 7.4 Hz, 1H), 6.92 (bs, 2H), 4.53 (d, J = 6.3 Hz, 2H); LC/MS [M+H, M+2+H]: 499.50, 501.51; Calc. for $C_{25}H_{19}BrN_6O$, 60.06 %C, 3.90 %H, 16.62 %N, found, 60.03 %C, 3.30 %H, 16.37 %N.

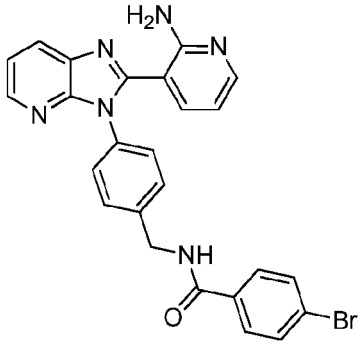
[0001365] Example 9: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide.



[0001366] N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B and (General Procedure C was modified by replacing EDCI with HBTU and dichloromethane with DMF). Mp. 226-228 ^{0}C. ^{1}H NMR 400 M Hz (DMSO-d_6) δ: 9.15 (t, J = 6.0 Hz, 1H), 8.31 (dd, J = 5.2, 1.6 Hz, 1H), 8.20 (dd, J = 8.4 Hz and 1.6 Hz, 1H), 7.99 (dd, J = 5.2 Hz and 2.0 Hz, 1H), 7.92 (m, 2H), 7.37-7.57 (m, 7H), 7.23 (dd, J = 8.0 Hz and 2.0 Hz,

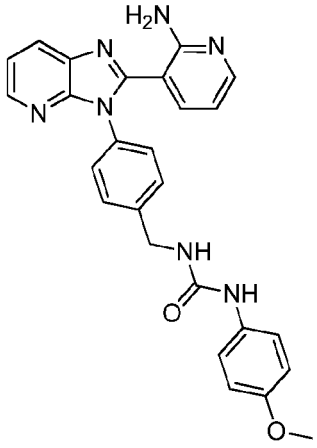
1H), 6.98 (s, 2H), 6.41 (dd, J = 7.8 Hz and 4.8 Hz, 1H), 4.57 (d, J = 6.0 Hz, 2H); LC/MS [M+H]: 421.

[0001367] Example 10: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-bromobenzamide.



[0001368] N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-bromobenzamide was synthesized according to General Procedure A followed by General Procedures B and C. Procedure C was modified by replacing EDCI with HBTU and DCM with DMF. LC/MS [M+H]: 500.

[0001369] Example 11: Synthesis of 1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(4-methoxyphenyl)urea.

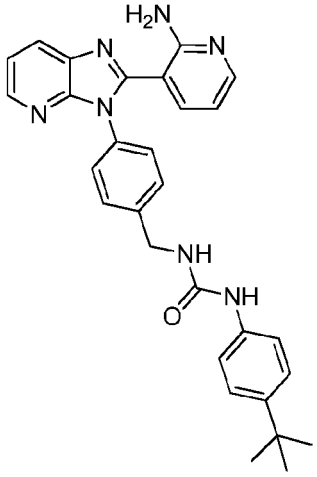


[0001370] 1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(4-methoxyphenyl)urea was synthesized according to General Procedures A, B and D.

[0001371] 3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine HCl salt (63 mg, 0.2 mmol) was suspended in DCM (2 mL). Diisopropylethylamine (0.07 mL, 0.4 mmol) was added. After everything went into solution 1-isocyanato-4-methoxybenzene (29 mg, 0.2 mmol) were added. After 20 minutes, water (5 mL) was added and diluted with dichloromethane. The organic phase was separated and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure and it was purified by silica

gel chromatography (0-20 % methanol in dichloromethane) to yield the desired product (14 mg, 15 % yield). Mp. 224-226 °C; 400 M Hz ^{1}H NMR (CD_3OD) δ: 8.36-8.28 (m, 1H), 8.22-8.15 (m, 1H), 8.0-7.92 (m, 1H), 7.56-7.47 (m, 2H), 7.46-7.36 (m, 3H), 7.36-7.29 (m, 1H), 7.29-7.22 (m, 2H), 6.89-6.81 (m, 2H), 6.5-6.42 (m, 1H), 4.47 (s, 2H), 3.75 (s, 3H); LCMS [M+H]: 466.

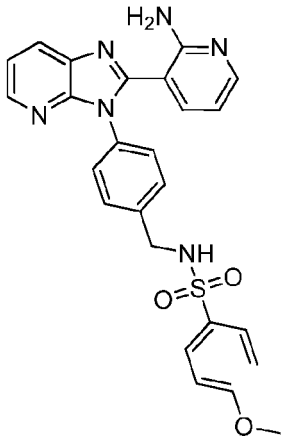
[0001372] Example 12: Synthesis of 1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(4-*tert*-butylphenyl)urea.



[0001373] 1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(4-*tert*-butylphenyl)urea was synthesized according to General Procedure A, followed by General Procedures B and D.

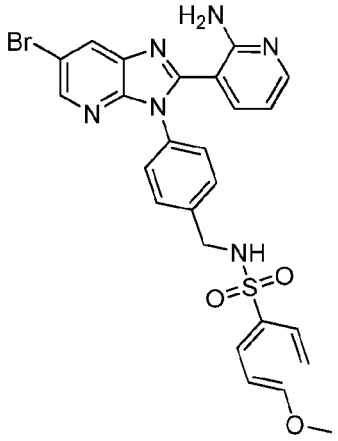
[0001374] 3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine HCl salt (63 mg, 0.2 mmol) was suspended in dichloromethane (2 mL). Diisopropylethylamine (0.07 mL, 0.4 mmol) was added. After everything went into solution 1-tert-butyl-4-isocyanatobenzene (32 mg, 0.2 mmol) were added. After 20 min water (10 mL) was added and diluted with dichloromethane (10 mL). The organic phase was separated and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure and it was purified by silica gel chromatography (0-20 % methanol in dichloromethane) to yield the desired product (14 mg, 15 % yield). Mp. 210-212 °C; 400 M Hz ^{1}H NMR (CD_3OD) δ: 8.32 (dd, J = 0.8 Hz and 2.4 Hz, 1H), 8.19 (dd, J = 0.6 Hz and 3.8 Hz, 1H), 7.98-7.94 (m, 1H), 7.55-7.49 (m, 2H), 7.45-7.37 (m, 3H), 7.35-7.24 (m, 5H), 6.48-6.23 (m, 1H), 4.48 (s, 2H), 1.29 (s, 9H); LCMS [M+H]: 492.

[0001375] Example 13: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-methoxybenzenesulfonamide.



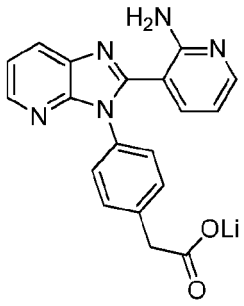
[0001376] N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-methoxybenzenesulfonamide was synthesized according to General Procedure A, followed by General Procedures B and E in an array. LCMS [M+H]: 487.

[0001377] Example 14: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-methoxybenzenesulfonamide.



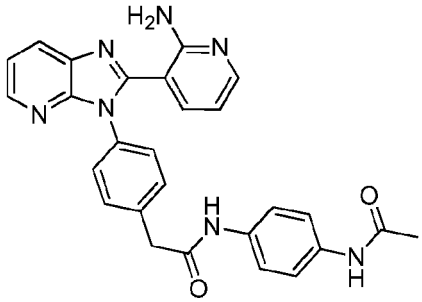
[0001378] N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-methoxybenzenesulfonamide was synthesized according to General Procedure A, followed by General Procedures B and E. Mp. 188-190°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.47 (d, J = 1.9 Hz, 1H), 8.38 (d, J = 1.9 Hz, 1H), 8.08 (t, J = 6.5 Hz, 1H), 7.98 (dd, J = 2.0 Hz and 4.7 Hz, 1H), 7.78-7.74 (m, 2H), 7.41-7.32 (m, 3H), 7.21 (dd, J = 1.9 Hz and 7.4 Hz, 1H), 7.13-7.06 (m, 2H), 6.93 (bs, 2H), 6.38 (dd, J = 4.7 Hz and 7.8 Hz, 1H), 3.99 (d, J = 6.3 Hz, 2H), 3.80 (s, 3H), LC/MS [M+H, M+2+H] 565.48, 567.48. Calc. for $C_{25}H_{21}BrN_6O_3S$, C 53.10, H 3.74, N 14.86, Found, C 52.89, H 3.42, N 14.52.

[0001379] Example 15: Synthesis of lithium 2-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate.



[0001380] Lithium 2-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate was synthesized according to General Procedure A, followed by General Procedure F. LC/MS [M+H]: 346.

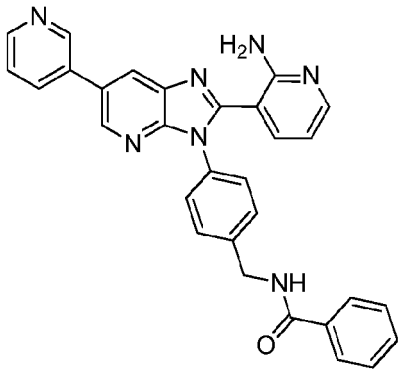
[0001381] Example 16: Synthesis of N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetamide.



[0001382] N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetamide was synthesized according to General Procedure A, followed by General Procedures F and G.

[0001383] To a mixture of lithium 2-(4-(2-(2-aminopyridin-3yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetate (26.4 mg, 0.075 mmol) in DMF (1 mL) was added HBTU (42.6 mg, 0.113 mmol) and N-(4-aminophenyl)acetamide (17 mg, 0.113 mmol). The reaction was stirred at room temperature overnight. The mixture was diluted with dichloromethane (2 mL) and washed with water (20 mL) and brine (10 mL). The organic phase was separated and dried over sodium sulfate. After removing solvent, the crude product was purified by reversed phase HPLC to give the desired product as TFA salt (28 mg). LC/MS [M+H]: 478.

[0001384] Example 17: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide.



[0001385] N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A, followed by General Procedures I, B and J.

[0001386] Step 1: 5-bromo-2-chloro-3-nitropyridine (15.0 g, 63.2 mmol), *tert*-butyl 4-aminobenzyl carbamate (14.89 g, 67.0 mmol), diisopropylethyl amine (35 mL, 25.97 g, 201 mmol), and dioxane (200 mL) were placed in a round bottomed flask, which was allowed to stir at 75°C for 18 h. The mixture was concentrated to yield the crude product as an orange solid (4.6 g). Column chromatography (1-5% methanol in dichloromethane) yielded *tert*-butyl 4-((5-bromo-3-nitropyridin-2-yl)amino)benzylcarbamate (25.0 g, 58.9 mmol, 93%) as an orange solid. Mp. 178-180°C; 400 M Hz , 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.95 (s, 1H), 8.65 (d, 1H), 8.54 (s, 1H), 7.51 (d, J = 6.4 Hz, 2H), 7.23 (d, J = 6.4 Hz, 2H), 4.21-4.12 (m, 2H), 1.41 (s, 9H), MS 367.39, 369.34 [M(-*t*-Bu)+H, M(-*t*-Bu)+2+H].

[0001387] Step 2: *tert*-butyl 4-((5-bromo-3-nitropyridin-2-yl)amino)benzylcarbamate (25.0 g, 58.9 mmol), 2-aminonicotinaldehyde (10.2 g, 83.5 mmol), sodium dithionite (31.0 g, 178 mmol), methanol (80 mL), and DMSO (500 mL) were placed in a round-bottomed flask which was allowed to stir at 100°C for 36 h. After cooling to room temperature the mixture was diluted with ethyl acetate (500 mL) and water (500 mL). The layers were separated, the organic layer was washed with water (2 x 300 mL) and concentrated to yield the crude product as a black oily solid (29.2 g). Column chromatography (1-5% methanol in dichloromethane) yielded an orange solid which could be further purified by re-crystallization (ethyl acetate / hexanes, 1:1) to yield *tert*-butyl 4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridine-3-yl)benzylcarbamate (11.8 g, 23.8 mmol, 41%) as an off-white solid. Mp. 176-178°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.50 (d, J = 2.0 Hz, 1H), 8.40 (d, J = 2.4 Hz, 1H), 7.99 (dd, J = 2.0 Hz and 4.7 Hz, 1H), 7.48 (t, J = 5.6 Hz, 1H), 7.40-7.31 (m, 3H), 7.23 (dd, J = 2.0 Hz and 7.8 Hz, 1H), 6.97 (s, 2H), 6.40 (dd, J = 4.4 Hz and 7.7 Hz, 1H), 4.121 (d, J = 6.2 Hz, 2H), 1.41 (s, 9H), MS 495.34, 497.23 [M+H, M+2+H].

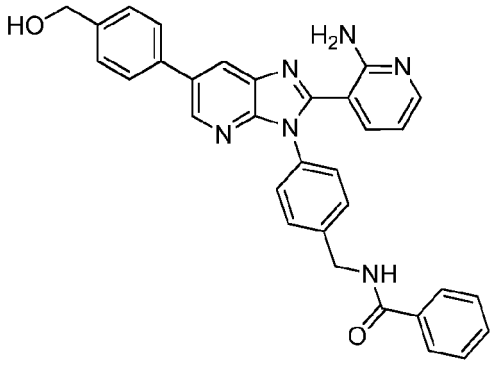
[0001388] Step 3: *tert*-butyl 4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate (4 g, 8 mmol) was suspended in a mixture of ethanol and toluene, 160 ml respectively and a solution of $NaHCO_3$sat. was added (27 ml). The reaction mixture was degassed with nitrogen for 30 min. Subsequently it was heated to 100°C overnight under nitrogen. After cooling down to room temperature it was diluted with 300 ml dichloromethane and 200 ml of water were added. The organic phase was separated and washed with brine (200 ml) and dried over Na_2SO_4. After filtration the solvent was removed under reduced pressure. The crude residue was purified by silica gel chromatography (2-20% methanol in ethyl acetate). The solvent was removed under reduced pressure, yielding 3.9 g *tert*-butyl 4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate (7.9 mmol, 99% yield). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.98 (d, J = 1.9 Hz, 1H), 8.64 (d, J = 2.0 Hz, 1H), 8.59 (dd, J = 1.6 Hz and 4.7 Hz, 1H), 8.54 (d, J = 2.0 Hz, 1H), 8.20 (m, 1H), 7.98 (m, 1H), 7.52 (m, 2H), 7.48-7.34 (m, 4H), 7.23 (m, 1H), 7.01 (s, br, 2H), 6.38 (m, 1H), 4.19 (m, 2H), 1.38 (s, 9H), MS 438.22, 494.00, [M-(*t*-Bu)+H, M+H].

[0001389] Step 4: *tert*-butyl 4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate (3.5 g, 7.1 mmol) were suspended in a mixture of dioxane and methanol (80 ml respectively). Hydrochloric acid in dioxane (4M, 100 ml) was added. The reaction was allowed to stir at room temperature for 8 h. The solvent was removed under reduced pressure and the product was dried in high vacuum. The product, 3-(3-(4-(aminomethyl)phenyl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride, was used for the next step with no further purification. 400 M Hz ^{1}H NMR (CD_3OD) δ: 9.38 (d, J = 2.0 Hz, 1H), 9.11 (d, J = 2.0 Hz, 1H), 8.95-8.93 (m, 1H), 8.89 (d, J = 2.0 Hz, 1H), 8.78 (m, 1H), 8.83-8.25 (m, 1H), 8.06-8.04 (m, 1H), 7.88-7.86 (m, 1H), 7.77-7.66 (m, 4H), 6.86-6.83 (m, 1H), 4.23 (m, 2H), MS 394.12, [M+H].

[0001390] Step 5: Benzoic acid (0.85 g, 7.1 mmol) and CDI (1.14 g, 7.1 mmol) were dissolved in DMA (36 ml). The reaction mixture was stirred for 1 h. 3-(3-(4-(aminomethyl)phenyl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride was dissolved in DMA (40 ml) and the solution of benzoic acid and CDI was added over 10 min at room temperature. The reaction was stirred for two hours at room temperature and quenched by addition of water. The product N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide precipitated and was isolated by filtration, washed with water and ether, yielding 2.9 g (5.9 mmol, 84 %) of the desired product in 98 % purity. ^{1}H NMR (DMSO-d_6) 400 MHz δ: 9.15 (t, J = 5.6 Hz, 1H), 9.01-9.00 (m, 1H), 8.67-8.66 (m, 1H), 8.63-8.62 (m, 1H), 8.58-8.57 (m, 1H), 8.22-8.19 (m,

1H), 8.02-8.00 (m, 1H), 7.95-7.92 (m, 2H), 7.57-7.45 (m, 8H), 7.29-7.27 (m, 1H), 7.03 (s, br, 2H), 6.45-6.42 (m, 1H), 4.59-4.58 (m, 2H) MS 498.55, [M+H].

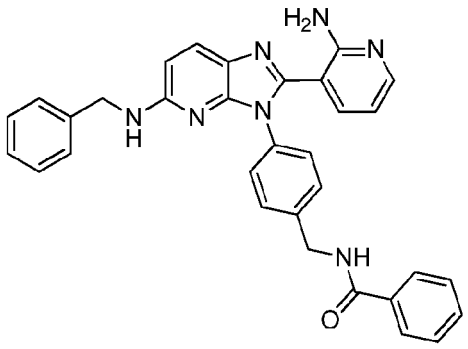
[0001391] Example 18: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide.



[0001392] N-(4-(2-(2-aminopyridin-3-yl)-6-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A, followed by General Procedures B, C and H.

[0001393] N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide (62.4 mg, 0.125 mmol), $CsCO_3$ (40.6 mg, 0.125 mmol), $Pd(PPh_3)_4$ (14.4 mg, 0.013 mmol) and 4-(hydroxymethyl)phenylboronic acid (33.5 mg, 0.25 mmol) were dissolved in DMF (3 mL). The reaction mixture was heated to 150°C for 15 min and after cooling to room temperature filtered through a Bakerbond filtration column. The reaction mixture was directly purified by reversed phase HPLC to give the desired product as the mono-TFA salt (21 mg, 25 % yield). Mp. 171-174°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.15 (t, J = 6 Hz, 1H), 8.68-8.64 (m, 1H), 8.5-8.47 (m, 1H), 8.08-8.03 (m, 1H), 7.96-7.9 (m, 3H), 7.77-7.72 (m, 3H), 7.6-7.42 (m, 8H), 4.63-4.53 (m, 4H); LCMS [M+H]: 527.

[0001394] Example 19: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(benzylamino)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide.



[0001395] N-(4-(2-(2-aminopyridin-3-yl)-5-(benzylamino)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A-1, followed by General Procedures B and C.

[0001396] Intermediates: according to General Procedure A-1.

[0001397] Step 1: *tert*-butyl 4-(6-chloro-3-nitropyridin-2-ylamino)benzylcarbamate. LC/MS [M+H]: 379.

[0001398] Step 1-1: *tert*-butyl 4-(6-(benzylamino)-3-nitropyridin-2-ylamino)benzylcarbamate, LC/MS [M+H]: 450.

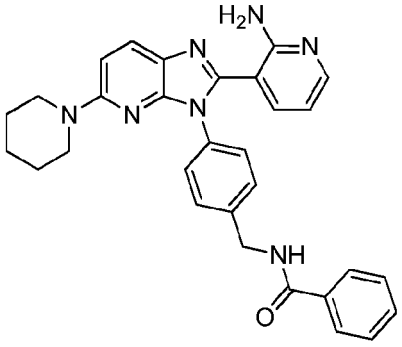
[0001399] Step 2: *tert*-butyl 4-(2-(2-aminopyridin-3-yl)-5-(benzylamino)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate. LC/MS [M+H] = 522.

[0001400] Intermediates: according to General Procedure B.

[0001401] 3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-N-benzyl-3H-imidazo[4,5-b]pyridin-5-amine hydrochloride. LC/MS [M+H]: 422.

[0001402] According to General Procedure C: N-(4-(2-(2-aminopyridin-3-yl)-5-(benzylamino)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.15 (s, 1H), 7.93-7.91 (m, 3H), 7.42 (m, 5H), 7.26-7.35 (m, 1H), 7.21-7.24 (m, 5H), 7.15-7.21 (m, 1H), 7.02 (d, 1H, J = 7.8 Hz), 6.96 (brs, 1H), 6.52 (d, 1H, J = 8.6 Hz), 6.31 (dd, 1H, J = 4.6 Hz and 5.0 Hz), 4.58 (d, 2H, J = 5.8 Hz), 4.31(d, J = 6.2 Hz, 2H). LC/MS [M+H]: 526.

[0001403] Example 20: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide.



[0001404] N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A-1, followed by General Procedures B and C.

[0001405] Intermediates: according to General Procedure A-1.

[0001406] Step 1: *tert*-butyl 4-(6-chloro-3-nitropyridin-2-ylamino)benzylcarbamate. LC/MS [M+H]: 379.

[0001407] Step 1-1: *tert*-butyl 4-(3-nitro-6-(piperidin-1-yl)pyridin-2-ylamino)benzylcarbamate. LC/MS [M+H]: 428.

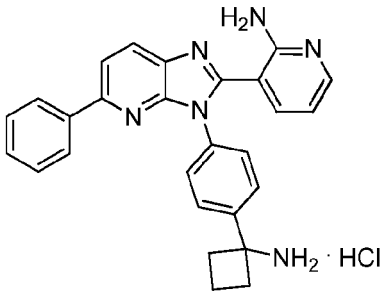
[0001408] Step 2: *tert*-butyl 4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate. LC/MS [M+H]: 500.

[0001409] Intermediates: according to General Procedure B.

[0001410] 3-(3-(4-(aminomethyl)phenyl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride. LC/MS [M+H]: 400.

[0001411] Accroding to General Procedure C: N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.16 (s, 1H), 7.91-7.94 (m, 4H), 7.41-7.55 (m, 5H), 7.32 (d, 2H, J = 8.6 Hz), 6.96-7.03 (m, 3H), 6.86 (d, 1H, J = 8.6 Hz), 6.33 (dd, 1H, J = 4.6 Hz and 5.0 Hz), 4.58 (d, 2H, J = 6.2 Hz), 3.45 (brs, 4H), 1.52 (brs, 6H); LC/MS [M+H]: 504.

[0001412] Example 21: Synthesis of 3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride



[0001413] 3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B.

[0001414] Step 1: *tert*-butyl (1-(4-((6-chloro-3-nitropyridin-2-yl)amino)phenyl)cyclobutyl) carbamate

[0001415] To a solution of 2,6-dichloro-3-nitropyridine (5.11 g) in DMA (50 ml) and triethylamine (5 ml) chilled to 0° C was added drop-wise a solution of *tert*-butyl (1-(4-aminophenyl) cyclobutyl)carbamate (6.3 g) in DMA (25 ml) over the course of 20 minutes. The reaction was allowed to stir at 0°C of one hour and then slowly allowed to warm to room temperature and react overnight. Upon completion, the reaction was diluted with water (250 mL) and extracted with ethyl acetate (2 x 200 ml). The organics were combined, washed with saturated sodium bicarbonate solution (1 x 200 ml), water (1 x 200 ml) and brine (1x 100 ml). The organics were dried over sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (15% ethyl acetate in hexanes) gave the product as

an orange solid (5.05 g, 50%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 10.05 (s, 1H), 8.52 (d, J = 8.8Hz, 1H), 7.56 – 7.52 (m, 2H), 7.42 – 7.37 (m, 3H), 6.98 (d, J = 8.8 Hz, 1H), 2.47 – 2.34 (m, 4H), 2.04 – 1.96 (m, 1H), 1.84 – 1.74 (m, 1H), 1.30 (bs, 9H); LCMS: 419 [M+H].

[0001416] Step 2: *tert*-butyl (1-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate

[0001417] To a solution of *tert*-butyl (1-(4-((6-chloro-3-nitropyridin-2-yl)amino)phenyl)cyclobutyl) carbamate (5.0 g) in anhydrous DMSO (60 ml) and anhydrous methanol (10 ml) was added 2-aminonicotinaldehyde (1.53 g) followed by $Na_2S_2O_4$ (6.25 g). The reaction mixture was heated to 100°C for 2 days. Upon completion of the reaction, water (250 ml) was added and the reaction was allowed to stir for 1 day at room temperature. The reaction was extracted with dichloromethane (2 x 200 ml). Upon extracting the second time, a large amount of yellow solid precipitated from the water layer and the organic layer. The solid was filtered off and found to be product. The product was combined with the organic layers and dried under reduced pressure, giving the product as a yellow solid (3.1 g, 52%). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.26 (d, J = 8.0 Hz, 1H), 8.00 – 7.96 (m, 1H), 7.69 (bs, 1H), 7.54 – 7.35 (m, 5H), 7.24 – 7.08 (m, 1H), 7.04 – 6.96 (m, 2H), 6.32 – 6.28 (m, 1H), 2.48 – 2.35 (m, 4H), 2.06 – 1.96 (m, 1H), 1.86 – 1.76 (m, 1H), 1.40 – 1.06 (m, 9H); LCMS: 491 [M+H].

[0001418] Step 3: tert-butyl (1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate

[0001419] To a suspension of *tert*-butyl (1-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate (20 g) in toluene (200 mL) and ethanol (200 mL) was added saturated aqueous sodium bicarbonate (150 mL) and phenyl boronic acid (9.9 g). The reaction was degassed for 5 minutes and the Pd $(PPh_3)_4$ (1.0 g) was added. The reaction was again degassed for 5 minutes and then heated to 100°C for 2 days or until reaction is complete by LCMS. The reaction mixture was cooled to room temperature, and dichloromethane (250 ml x 3) and water (100 mL) were added to the reaction. The organics were washed with saturated sodium bicarbonate (1 x 250 mL) and water (1 x 250 mL), dried over sodium sulfate and concentrated. Purification by column chromatography (10-100% ethyl acetate in hexanes) gave the product with some impurities. The solid was re-crystallized with ethyl acetate affording an off-white solid (7.2 g). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.23 (d, J = 8.0 Hz, 1H), 8.04 – 7.98 (m, 3H), 7.94 (d, J = 8.0 Hz, 1H), 7.55 (d, J = 8.8 Hz, 2H), 7.46 – 7.35 (m, 6H), 7.18 – 7.14 (m, 1H), 6.90 (bs, 1H), 6.33 (dd, J = 7.6Hz

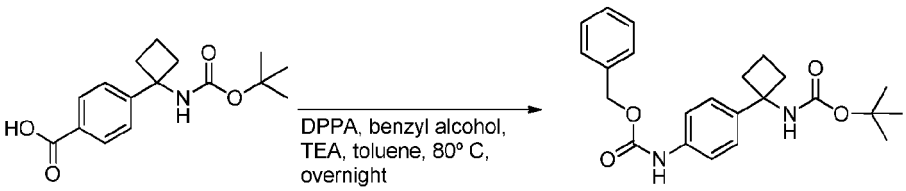
and 4.4 Hz, 1H), 2.48 – 2.40 (m, 4H), 2.09 – 2.00 (m, 1H), 1.89 – 1.79 (m, 1H), 1.30 (m, 9H); LCMS: 533 [M+H].

[0001420] Step 4: 3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride

[0001421] To a solution of *tert*-butyl (1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3*H*-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate (4.1 g) in dichloromethane (100 mL) was slowly added 4.0 M HCl in dioxane (20 mL). The reaction was allowed to stir at room temperature for 2.5 hours. Upon completion of the reaction, ether (50 mL) was added to the suspension and the solid was filtered to give product (4.032 g) as a white solid. ^{1}H NMR (DMSO-d_6) 400 MHz δ: 8.94 (s, 3H), 8.47 (bs, 1H) 8.38 (d, J = 8.8Hz, 1H), 8.19 – 8.15 (m, 1H), 8.10 – 8.03 (m, 3H), 7.93 – 7.88 (m, 1H), 7.76 (d, J = 8.4 Hz, 1H), 7.69 (d, J = 8.4 Hz, 2H), 7.52 – 7.40 (m, 3H), 6.92 (t, J = 7.6 Hz, 1H), 2.70 – 2.57 (m, 4H), 2.29 – 2.20 (m, 1H), 1.90 – 1.80 (m, 1H); LCMS: 433 [M+H]. Calc. for $C_{29}H_{27}ON_7 \cdot 3.06$ hydrochloric acid $\cdot 0.01$ dioxane $\cdot 0.03$ diethylether: C 59.61, H 5.28, N 15.36; Found C 59.62, H 5.05, N 15.36.

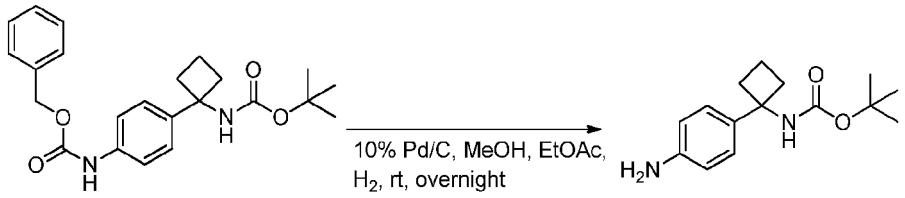
[0001422] Synthesis of *tert*-butyl (1-(4-aminophenyl)cyclobutyl)carbamate, building block **2** for example 21, General Procedure A:

[0001423] Step 1: Cbz protection of (1-(4-(((benzyloxy)carbonyl)amino)phenyl)cyclobutyl) carbamic acid



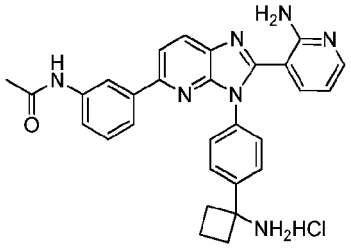
[0001424] To a solution of 4-(1-((*tert*-butoxycarbonyl)amino)cyclobutyl)benzoic acid (15 g) in toluene (75 ml) and triethylamine (14.36 ml, 2 eq.) was added diphenyl phosphoryl azide (12.22 ml, 1.1 eq.). The reaction was heated to 100°C and allowed to react for 2 hours, or until vigorous bubbling stopped. Benzyl alcohol (26.6 ml, 5 eq.) was added and the reaction was allowed to proceed at 100°C for 2 hours. The reaction was cooled to room temperature and placed on an ice bath to cool. Following the precipitation of white solid from the reaction was allowed warm to room temperature and stir overnight. Ether (200 ml) was added to the reaction and the product was filtered to give 13.1 g white solid. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.55 (s, 1H), 7.44–7.24 (m, 10H), 5.14 (s, 2H), 2.4 –2.28 (m, 4H), 2.00–1.90 (m, 1H), 1.79–1.69 (m, 1H), 1.28 (bs, 9H); LCMS: 397 [M+H].

[0001425] Step 2: *tert*-butyl (1-(4-aminophenyl)cyclobutyl)carbamate; intermediate **2** for General Procedure A



[0001426] A suspension of the cbz protected 4-(1-((*tert*-butoxycarbonyl)amino)cyclobutyl) benzoic acid (9.545 g) in ethyl acetate (125 mL) and methanol (125 mL) was heated until in solution. The solution was allowed to cool room temperature and 10% Pd/C (1.1 g) was added. The flask was charged with hydrogen and allowed to react overnight at room temperature. Upon completion, the reaction was filtered through a pad of celite, and the celite washed with methanol (2 x 100 mL). The organics were concentrated under reduced pressure, giving the product as a colorless oil (6.3 g, 100%) which was used with no further purification. LCMS: 263 [M+H].

[0001427] Example 22: Synthesis of N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide hydrochloride



[0001428] N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide hydrochloride was synthesized according to General

Procedure A followed by General Procedures I and B.

[0001429] Step 1: *tert*-Butyl (1-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3*H*-imidazo[4,5-*b*]pyridin-3-yl)phenyl)cyclobutyl)carbamate

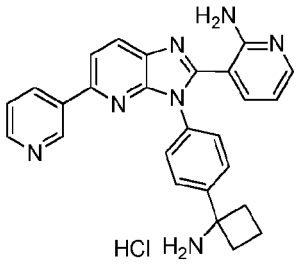
[0001430] To a suspension of *tert*-butyl (1-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3*H*-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate (3.0 g) in toluene (100 mL) and ethanol (100 mL) was added saturated aqueous sodium bicarbonate (15 ml) and (3-acetamidophenyl) boronic acid (2.18 g). The reaction was degassed for 5 minutes and $Pd(PPh_3)_4$ (0.300 g) was added. The reaction was again degassed for 5 minutes with nitrogen and then heated to 100°C overnight. The reaction mixture was cooled to room temperature,

dichloromethane (250 ml) and water (100 ml) were added to the reaction. The organics were separated, the aqueous washed with dichloromethane (1 x 100 ml) and the organics combined. The organics were washed with saturated sodium bicarbonate (1 x 100 ml) and brine (1 x 100 ml). The organics were dried over sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (0-10% methanol in dichloromethane) gave the product as a brown solid with some co-eluting impurities. The fractions with the product were combined and concentrated to give a dark brown solid. The solid was triturated with ethyl acetate to give a tan solid (2.6 g, 70%). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.08 (s, 1H), 8.28 (d, J = 8.0 Hz, 1H), 8.11 (bs, 1H), 7.99 (dd, J = 5.2 Hz and 2.0 Hz 1H), 7.84 (d, J = 8.4Hz, 1H), 7.72–7.63 (m, 3H), 7.55–7.51 (m, 2H), 7.46–7.42 (m, 6H), 7.39–7.34 (m, 1H), 7.14–7.06 (m, 1H), 7.02 (s, 2H), 6.32 (dd, J = 7.6 Hz and 4.8 Hz 1H), 2.48–2.40 (m, 4H), 2.07–1.98 (m, 4H), 1.89 – 1.79 (m, 1H), 1.38 – 1.12 (m, 9H); LCMS: 590 [M+H].

[0001431] Step 2: N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide hydrochloride

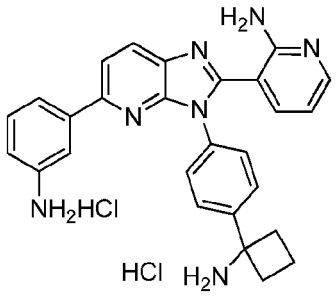
[0001432] To a suspension of *tert*-butyl (1-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3*H*-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate in dichloromethane (100 ml) was added dioxane (20 ml) and methanol (5 mL). To the solution was added 4.0 M HCl in dioxane (15 ml). The reaction was allowed to stir at room temperature for 2 hours. Upon completion of the reaction, the solvents were concentrated under reduced pressure, the residual solid was stirred for 2 hours in ether (150 ml) and filtered to give product (2.5 g) as a yellow solid. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.25 (s, 1H), 8.98 (bs, 3H), 8.56–8.43 (m, 2H), 8.38 (d, J = 8.4 Hz, 1H), 8.24–8.22 (m, 1H), 8.18 (dd, J = 4.8 Hz and 1.6 Hz, 1H), 7.96–7.92 (m, 1H), 7.79–7.66 (m, 6H), 7.33 (t, J = 8.0 Hz, 1H), 6.93 (dd, J = 7.6 Hz and 6.0 Hz 1H), 2.70–2.58 (m, 4H), 2.32–2.20 (m, 1H), 2.08 (s, 3H), 1.92–1.82 (m, 1H); LCMS: 473 [M+H]. Calc. for $C_{29}H_{27}ON_7 \cdot 3.36$ hydrochloric acid $\cdot 0.23$ dioxane $\cdot 0.06$ diethylether: C 56.89, H 5.18, N 15.40; Found C 56.89, H 5.19, N 15.40.

[0001433] Example 23: Synthesis of 3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-3-yl)-3*H*-imidazo[4,5-*b*]pyridin-2-yl)pyridin-2-amine hydrochloride



[0001434] 3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-3-yl)-3*H*-imidazo[4,5-*b*]pyridin-2-yl)pyridin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.45 (s, 1H), 9.10–9.00 (m, 4H), 8.90 (d, J = 4.8 Hz, 1H), 8.59–8.49 (m, 3H), 8.33 (d, J = 8.8 Hz, 1H), 8.20 (d, J = 6.0 Hz, 1H), 8.10–8.04 (m, 1H), 7.94 (d, J = 7.6 Hz, 1H), 7.79 (d, J = 8.4 Hz, 2H), 7.71 (d, J = 8.8 Hz, 2H), 6.95 (t, J = 6.4 Hz, 1H), 2.64 (t, J = 8.0 Hz, 4H), 2.32 – 2.20 (m, 1H), 1.92 – 1.82 (m, 1H); LCMS: 434 [M+H]. Calc. for $C_{26}H_{23}N_7 \cdot 3.94$ hydrochloric acid · 0.26 dioxane: C 54.12, H 4.87, N 16.34; Found C 54.12, H 5.11, N 16.33.

[0001435] Example 24: Synthesis of 3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-aminophenyl)-3H-imidazo[4,5-*b*]pyridin-2-yl)pyridin-2-amine dihydrochloride

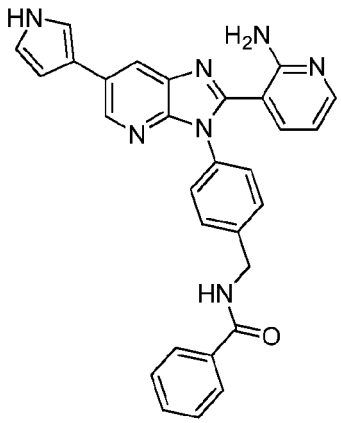


[0001436] 3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-aminophenyl)-3H-imidazo[4,5-*b*]pyridin-2-yl)pyridin-2-amine dihydrochloride was synthesized according to General Procedure A followed by General Procedures I and B.

[0001437] To a solution of N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-*b*]pyridin-5-yl)phenyl)acetamide (0.180 g) in dichloromethane (5 ml) and methanol (1 ml) was added 4.0 M HCl in dioxane (1 ml). The reaction was capped and heated at 50°C for 3 days. Upon completion, the reaction was diluted with ether (20 ml) and the yellow solid was filtered to give product (0.162 g). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.96 (bs, 3H), 8.44–8.30 (m, 3H), 8.16 (bs, 1H), 8.04 (d, J = 8.4 Hz, 1H), 8.01–8.79 (m, 2H), 7.89

(d, J = 5.2 Hz, 1H), 7.77 (d, J = 8.0 Hz, 2H), 7.68 (d, J = 8.0Hz, 2H), 7.57–7.50 (m, 1H), 7.32–7.28 (m, 1H), 6.94–6.86 (m, 1H), 2.72–2.58 (m, 4H), 2.32–2.20 (m, 1H), 1.92–1.82 (m, 1H); LCMS: 448 [M+H]. Calc. for $C_{27}H_{25}N_7 \cdot 3.73$ hydrochloric acid $\cdot 0.17$ dioxane $\cdot 0.73$ dichloromethane: C 51.66, H 4.81, N 14.84; Found C 51.66, H 4.81, N 14.84.

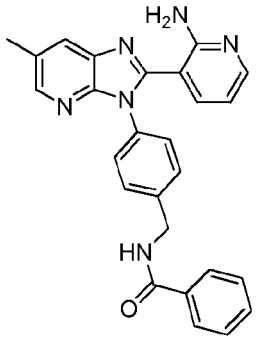
[0001438] Example 25: Synthesis of *N*-(4-(2-(2-aminopyridin-3-yl)-6-(1H-pyrrol-3-yl)-3H-imidazo[4,5-*b*]pyridin-3-yl)benzyl)benzamide



[0001439] *N*-(4-(2-(2-aminopyridin-3-yl)-6-(1H-pyrrol-3-yl)-3H-imidazo[4,5-*b*]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures I, B and C and subsequent TBAF-deprotection.

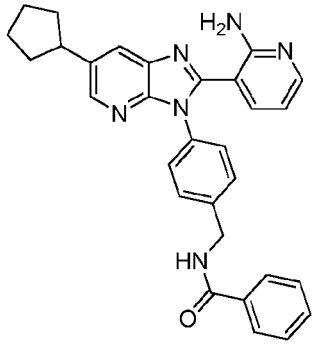
[0001440] TBAF-deprotection: To a solution of N-(4-(2-(2-aminopyridin-3-yl)-6-(1-(triisopropylsilyl)-1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide (5.2 g) in anhydrous tetrahydrofuran (100 ml) was added 1.0 M tetrabutylammonium fluoride (TBAF) in tetrahydrofuran (3 ml). The reaction was stirred at room temperature for 1 hour. The reaction was diluted with water (300 ml), dichloromethane (200 ml) and methanol (50 ml) and stirred for 1 hour. The organics were separated and the aqueous was washed with dichloromethane (1 x 200 ml). The organics were combined, washed with water (2 x 200 ml), brine (1 x 100 ml), dried over sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (30% ethyl acetate in dichloromethane to 5% methanol/ 25% ethyl acetate in dichloromethane) gave the product as a yellow solid. Further trituration with ether and hexanes gave a light yellow solid (1.2g, 41%). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 11.02 (s, 1H), 9.17–9.11 (m, 1H), 8.55 (s, 1H), 8.29 (s, 1H), 8.00–7.96 (m, 1H), 7.93 (d, J = 7.6 Hz, 2H), 7.58–7.33 (m, 8H), 7.21(d, J = 7.6 Hz, 1H), 7.04 (s, 2H), 6.85 (s, 1H), 6.56 (s, 1H), 6.40 (t, J = 6.0 Hz, 1H), 4.58 (d, J = 6.0Hz, 2H); LCMS: 486 [M+H]. Calc. for $C_{29}H_{23}N_7O \cdot 0.18$ water $\cdot 0.02$ ethyl acetate $\cdot 0.08$ dichloromethane: C 70.42, H 4.80, N 19.71; Found C 70.41, H 4.78, N 19.71.

[0001441] Example 26: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



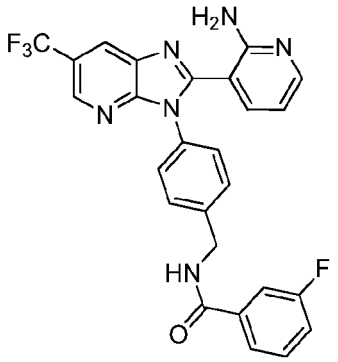
[0001442] N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B and C. LC/MS [M+H]: 435.

[0001443] Example 27: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-cyclopentyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



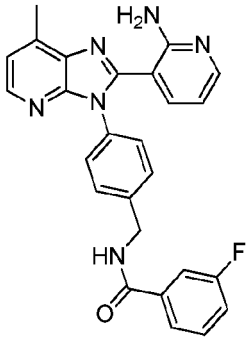
[0001444] N-(4-(2-(2-aminopyridin-3-yl)-6-cyclopentyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B, C and K. Mp. 210-211°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.28 (d, J = 2.0 Hz, 1H), 8.05 (dd, J = 2.0 Hz and 6.0 Hz, 1 H), 7.96 (d, J = 2.0 Hz, 1 H), 7.82-7.84 (m, 5 H), 7.34-7.37 (m, 2 H), 7.09-7.12 (m, 1 H), 6.62 (bs, 2 H), 6.37-6.40 (m, 1 H), 4.74 (d, J = 5.1 Hz, 2 H), 3.14-3.19 (m, 1 H), 2.13-2.18 (m, 2 H), 1.85-1.89 (m, 8H); LC/MS [M+H]: 489.

[0001445] Example 28: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



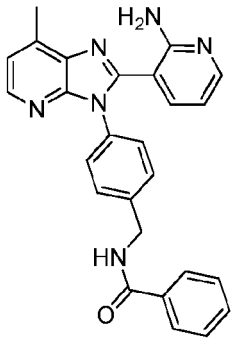
[0001446] N-(4-(2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide was synthesized according to General Procedure A followed by General Procedures B and C. Mp. 230-231°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.23 (t, J = 5.9 Hz, 1 H), 8.69 (d, J = 0.8 Hz, 1 H), 8.66 (s, 1 H), 8.01-8.03 (m, 1 H), 7.78 (d, J = 7.8 Hz, 1 H), 7.72 (d, J = 10.2 Hz, 1 H), 7.32-7.56 (m, 6 H), 7.30 (d, J = 1.6 Hz, 1 H), 6.98 (s, 2H), 6.91 (bs, 2 H), 6.43-6.46 (m, 1 H), 4.57 (d, J = 5.9 Hz, 2 H; LC/MS [M+H]: 507. Calc. for $C_{26}H_{18}F_4N_6O \cdot 0.01$ water: C 61.64, H 3.58, N 16.59; Found : C 61.61, H 3.76, N 16.66.

[0001447] Example 29: Synthesis of 2-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide



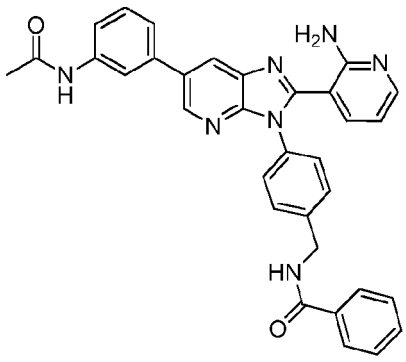
[0001448] 2-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide was synthesized according to General Procedure A followed by General Procedures B and C. Mp. 241-243°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.21 (t, J = 5.9 Hz, 1H), 8.16 (d, J = 4.8 Hz, 1 H), 7.98 (d, J = 4.4 Hz, 1 H), 7.77 (d, J = 8 Hz, 1 H), 7.70 (d, J = 10 Hz, 1 H), 7.52-7.57 (m, 1 H), 7.36-7.46 (m, 5 H), 7.20-7.23 (m, 2 H), 6.95 (bs, 2 H), 6.39-6.42 (m, 1 H), 4.56 (d, J = 5.9 Hz, 2 H), 2.63 (s, 3 H); LC/MS [M+H]: 453. Calc. for $C_{26}H_{21}FN_6O \cdot 0.6$ water: C 67.41, H 4.83, N 18.14; Found C 67.41, H 4.34, N 17.96.

[0001449] Example 30: Synthesis of 2-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide



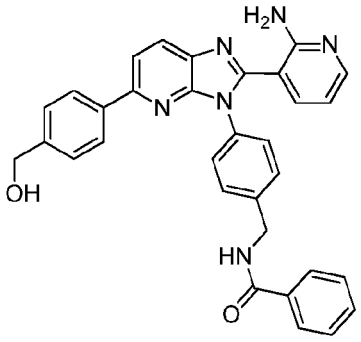
[0001450] 2-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide was synthesized according to General Procedure A followed by General Procedures B and C. Mp. 236-238°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.12 (t, J = 5.2 Hz, 1H), 8.16 (d, J = 4.8 Hz, 1 H), 7.99 (d, J = 4.8 Hz, 1 H), 7.91 (d, J = 7.6 Hz, 2 H), 7.36-7.55 (m,7 H), 7.20-7.23 (m, 2 H), 6.97 (m, 2 H), 6.39-6.42 (m, 1 H), 4.56 (d, J = 5.9 Hz, 2 H), 2.66 (s, 3 H); LC/MS [M+H]: 435. Calc. for $C_{26}H_{22}N_6O$: C 71.87, H 5.10, N 19.34; Found C 72.26, H 4.66, N 19.33.

[0001451] Example 31: Synthesis of N-(4-(6-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



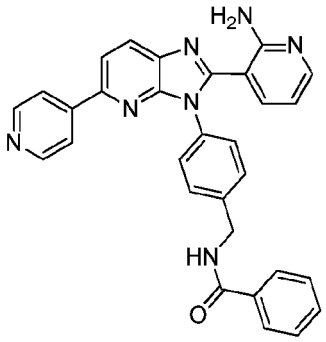
[0001452] N-(4-(6-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B, C and H. Mp. 76-81°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.11 (s, 1H), 9.16 (t, J = 5.6 Hz, 1H), 8.61 (d, J = 2.0 Hz, 1 H), 8.42 (d, J = 2.0 Hz, 1 H), 8.08 (dd, J = 1.6 and 6.0 Hz, 1 H), 7.98 (s, 1H), 7.91-7.94 (m, 2 H), 7.77 (d, J = 7.6 Hz, 1 H), 7.43-7.63 (m, 11 H), 6.79 (dd, J = 7.6 and 7.6 Hz, 1 H), 4.59 (s, 2 H), 2.10 (s, 3 H); LC/MS [M+H]: 555. Calc. for $C_{33}H_{27}N_7O_2 \cdot 2.2$ water $\cdot$ 1.76 trifluoroacetic acid: C 55.25, H 4.21, N 12.35; Found C 55.39, H 4.21, N 11.55.

[0001453] Example 32: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



[0001454] N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures I, B and J. Mp. 118-120°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.18 (t, J = 6.4 Hz, 1H), 8.27 (d, J = 8.4 Hz, 1 H), 7.94-8.01 (m, 6 H), 7.46-7.58 (m, 7 H), 7.40 (d, J = 8.4 Hz, 2H), 7.22 (dd, J = 1.6 Hz and 7.2 Hz, 1 H), 6.96 (s, 1 H), 6.42 (dd, J = 4.8 Hz and 7.6 Hz, 1 H), 5,24 (t, J = 6.0 Hz, 1 H), 4.62 (d, J = 6.0 Hz, 2 H), 4.54 (d, J = 5.6 Hz, 2 H); LC/MS [M+H]: 528. Calc. for $C_{32}H_{26}N_6O_2 \cdot 1.03$ hydrochloric acid: C 68.13, H 4.83, N 14.90; Found C 68.12, H 4.69, N 14.94.

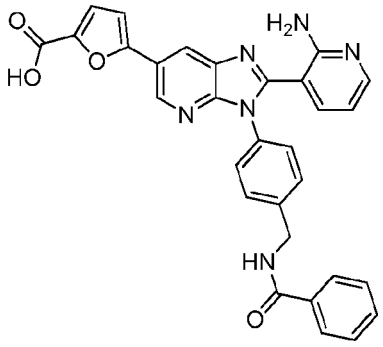
[0001455] Example 33: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



[0001456] N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to general Procedure A followed by General Procedures I, B and J. Mp. 231-233°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.17 (t, J = 6.4 Hz, 1H), 8.66 (d, J = 6.0 Hz, 2 H), 8.34 (d, J = 8.4 Hz, 1H), 8.15 (d, J = 8.8 Hz, 1H), 7.93-8.02 (m, 5 H), 7.48-7.56 (m, 7 H), 7.24 (dd, J = 2.0 Hz and 8.0 Hz, 1H), 6.95 (s, 2 H), 6.43 (dd, J = 5.2 Hz and 8.0 Hz, 1 H), 4.62 (d, J = 6.3 Hz, 2 H); LC/MS [M+H]: 498. Calc. for

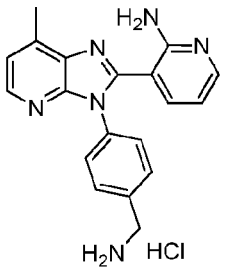
$C_{30}H_{23}N_7O \cdot 0.1$ water $\cdot 0.1$ acetamide: C 71.72, H 4.83, N 19.54; Found C 71.72, H 4.83, N 19.54.

[0001457] Example 34: Synthesis of 5-(2-(2-aminopyridin-3-yl)-3-(4-(benzamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-yl)furan-2-carboxylic acid



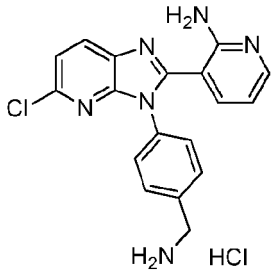
5-(2-(2-aminopyridin-3-yl)-3-(4-(benzamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-yl)furan-2-carboxylic acid was synthesized according to General Procedure A followed by General Procedures B, C and H. Mp. 211-217°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.15 (t, J = 5.6 Hz, 1 H), 8.89 (d, J = 2.0 Hz, 1 H), 8.64 (d, J = 2.0 Hz, 1 H), 7.98 (dd, J = 1.6 Hz and 6.0 Hz, 1 H), 7.88-7.90 (m, 3 H), 7.73-7.76 (m, 1 H), 7.47-7.62 (m, 9 H), 7.35 (d, J = 3.2 Hz, 1 H), 7.13 (d, J = 3.2 Hz, 1 H), 6.75 (dd, J = 6.0 Hz and 7.6 Hz, 1 H), 4.70 (d, J = 5.9 Hz, 2 H); LC/MS [M+H]: 531. Calc. for $C_{30}H_{22}N_6O_4 \cdot 0.7$ water $\cdot 0.93$ trifluoro acetic acid: C 58.95, H 3.78, N 12.95; Found C 58.96, H 3.78, N 12.50.

[0001458] Example 35: Synthesis of 3-(3-(4-(aminomethyl)phenyl)-7-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydro chloride



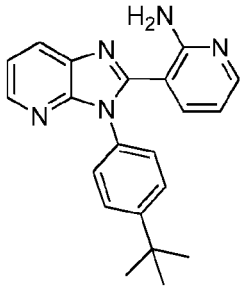
[0001459] 3-(3-(4-(aminomethyl)phenyl)-7-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine was synthesized according to General Procedure A followed by General Procedure B. Mp. 210-212°C; ^{1}H 400 M Hz NMR (DMSO-d_6) δ: 8.27 (d, J = 4.4 Hz, 1 H), 8.14 (dd, J = 1.6 Hz and 6.0 Hz, 1 H), 7.91 (dd, J = 1.6 Hz and 7.2 Hz, 1 H), 7.65 (d, J = 8.4 Hz, 2 H), 7.55 (d, J = 8.4 Hz, 2 H), 7.30 (d, J = 5.2 Hz, 1 H), 6.88 (dd, J = 6.4Hz and 7.6 Hz, 1 H), 4.10 (d, J = 6.0 Hz, 2 H), 2.70 (s, 3 H); LC/MS [M+H]: 331.

[0001460] Example 36: Synthesis of 3-(3-(4-(aminomethyl)phenyl)-5-chloro-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride



[0001461] 3-(3-(4-(aminomethyl)phenyl)-5-chloro-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedure B. Mp. 205-209°C; ^{1}H NMR (DMSO-d_6) 400 MHz δ: 8.55 (s, 4 H), 8.37 (d, J = 8.4 Hz, 2 H), 8.15 (d, J = 6.4 Hz, 1 H), 7.54-7.70 (m, 5 H), 6.89 (dd, J = 6.8 Hz and 6.8 Hz, 1 H), 4.11 (d, J = 5.6 Hz, 2 H); LC/MS [M+H]: 352.

[0001462] Example 37: Synthesis of 3-(3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridine-2-yl)pyridine-2-amine

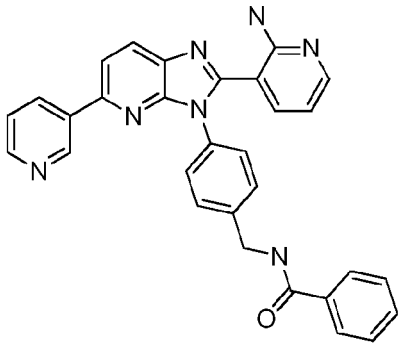


[0001463] 3-(3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridine-2-yl)pyridine-2-amine was synthesized according to General Procedure A.

[0001464] Step 1: N-(4-isopropylphenyl)-3-nitropyridin-2-amine. LCMS [M+H] 258.

[0001465] Step 2: 3-(3-(4-(*tert*-butyl)phenyl)-3H-imidazo[4,5-b]pyridine-2-yl)pyridine-2-amine. Purification was carried out on preparative HPLC using acetonitrile/water/and trifluoroacetic acid as eluent. 400 M Hz ^{1}H NMR (Acetone-d_6) δ: 8.44–8.42 (dd, J = 1.2 Hz and 4.7 Hz, 1H), 8.26-8.23 (dd, J= 1.6 Hz and 8.2 Hz, 1H), 8.05-8.02 (dd, J = 1.6 Hz and 6.3 Hz, 1H), 7.75-7.73 (dd, J =1.6 Hz and 7.8 Hz, 1H), 7.70-7.68 (dd, J = 2.3 Hz and 6.6 Hz, 2H), 7.57-7.55 (dd, J = 1.9 Hz and 8.6 Hz, 2H), 7.48-7.44 (dd, J = 4.7 Hz and 8.2 Hz, 1H), 6.82-6.78 (dd, J= 6.3 Hz and 7.8 Hz, 1H), 1.41 (s, 9H); LCMS [M+H]: 344.

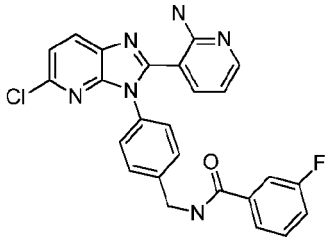
[0001466] Example 38: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



[0001467] N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was prepared using General Procedures A, B, C and H.

Mp. 253-256°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.23 (s, 1H), 9.16 (t, J = 5.8 Hz, 1H), 8.58 (d, J = 7.1 Hz, 1H), 8.37 (d, J = 8.2 Hz, 1H), 8.31 (d, J = 8.2 Hz, 1H), 8.08 (d, J = 8.6 Hz, 1H), 7.95 (m, 1H), 7.93 (d, J = 8.6 Hz, 1H), 7.48-7.55 (m, 6H), 7.24 (d, J = 7.8 Hz, 1H), 6.97 (s, 2H), 6.42 (m, 1H), 4.62 (d, J = 6.2 Hz, 2H); LCMS[M+H]: 498.

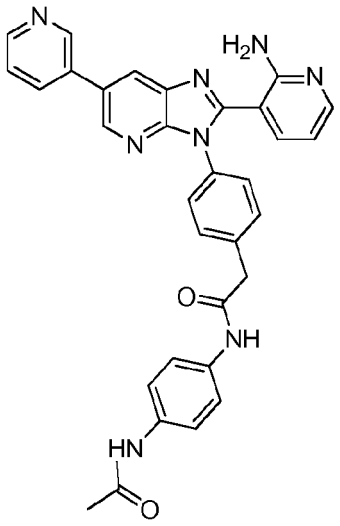
[0001468] Example 39: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



[0001469] N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide was prepared using General Procedures A, B, and C.

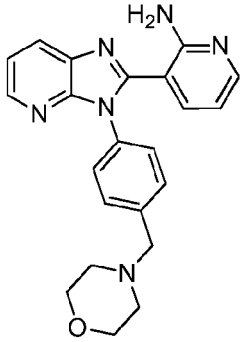
Mp. 240-243°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.22 (t, J = 5.8 Hz, 1H), 8.23 (d, J = 8.2 Hz, 1H), 7.96-7.97 (m, 1H), 7.7-7.75 (m, 1H), 7.77-7.75 (m, 1H), 7.55-7.53 (m, 1H), 7.45-7.41 (m, 5H), 7.22 (d, J = 7.8 Hz, 1H), 6.86 (brs, 2H), 6.39-6.37 (m, 1H), 4.55 (d, J = 5.8 Hz, 2H); LCMS[M+H]: 473.

[0001470] Example 40: Synthesis of N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide



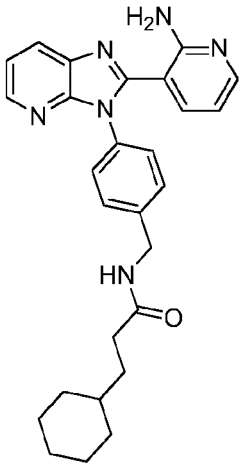
[0001471] N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide was synthesized by General Procedure A followed by General Procedures F, G and H. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.16 (s, 1H), 9.85 (s, 1H), 9.05 (s, 1H), 8.73 (s, 1H), 8.67-8.64 (m, 2H), 8.32 (d, J = 7.6 Hz, 1H), 8.05 (d, J = 5.6 Hz, 1H), 7.92 (br s, 2H), 7.69 (d, J = 7.2 Hz, 1H), 7.65-7.62 (m, 1H), 7.48-7.44 (m, 6H), 6.72 (t, J = 6.4Hz, 1H), 3.70 (s, 2H), 1.99 (s, 3H); LCMS [M+H]: 554.

[0001472] Example 41: Synthesis of 3-(3-(4-(morpholinomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



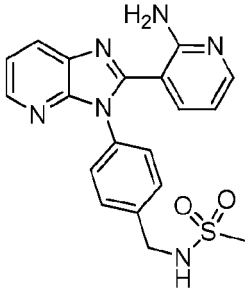
[0001473] 3-(3-(4-(morpholinomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine was synthesized by General Procedure A in an array. LCMS [M+H]: 387.

[0001474] Example 42: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-cyclohexylpropanamide



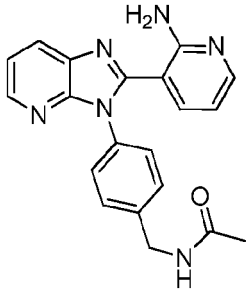
[0001475] N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-cyclohexylpropanamide was synthesized by General Procedure A followed by General Procedures B and C in an array. LCMS [M+H]: 455.

[0001476] Example 43: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)methanesulfonamide



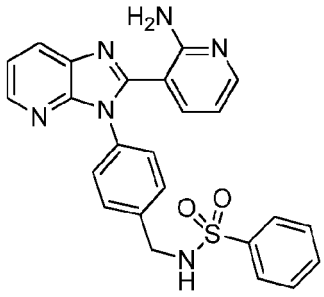
[0001477] N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)methanesulfonamide was synthesized by General Procedure A followed by General Procedures B and E. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.32 (dd, J = 1.2 Hz and 4.8 Hz, 1H), 8.20 (dd, J=1.2 Hz and 8.0 Hz, 1H), 7.98 (dd, J = 1.6 Hz and 4.8 Hz, 1H) 7.69 (t, J = 6.4 Hz, 1H), 7.50-7.38 (m, 5H), 7.21 (dd, J = 2.0 Hz and 7.2 Hz, 1H), 6.97 (s, 2H), 6.39 (dd, J = 5.2 Hz and 8.0 Hz, 1H), 4.25 (d, J = 6.8 Hz, 2H), 2.87 (s, 3H); LCMS [M+H]: 395.

[0001478] Example 44: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)acetamide



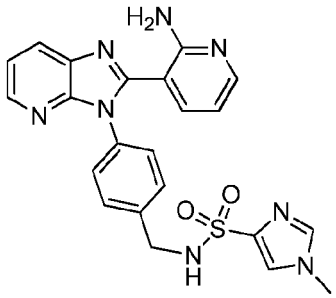
[0001479] N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)acetamide was synthesized by general procedure A followed by General Procedures B and C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.44 (t, J = 6.0 Hz, 1H), 8.31 (dd, J = 1.2 Hz and 4.8 Hz, 1H), 8.20 (dd, J = 1.6 Hz and 8.4 Hz, 1H), 7.99 (dd, J = 2.0 Hz and 4.8 Hz, 1H), 7.40-7.37 (m, 5H), 7.23 (dd, J = 2.0 Hz and 8.0 Hz, 1H), 6.97 (s, 2H), 6.43-6.40 (dd, J = 4.8 Hz and 7.6 Hz, 1H), 4.34-4.33 (d, J = 6.0 Hz, 2H), 1.90 (s, 3H); LCMS [M+H]: 359.

[0001480] Example 45: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzenesulfonamide



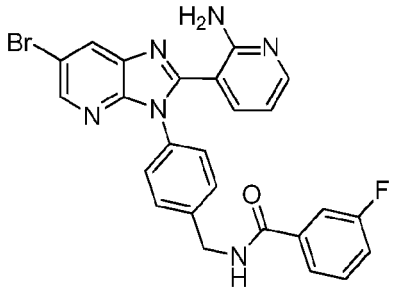
[0001481] N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzene sulfonamide was synthesized by General Procedure A followed by General Procedures B and E. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.33–8.29 (m, 2H), 8.20 (dd, J =1.2 Hz and 8.0 Hz, 1H), 8.00 (dd, J = 1.6 Hz and 4.8 Hz, 1H), 7.87-7.84 (m, 2H), 7.66-7.61 (m, 3H), 7.43-7.35 (m, 5H), 7.20 (dd, J = 2.0 Hz and 8.0 Hz, 1H), 6.96 (s, 2H), 6.41 (dd, J = 4.8 Hz and 8.0 Hz, 1H), 4.07 (d, J = 6.0 Hz, 2H); LCMS [M+H]: 457.

[0001482] Example 46: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-imidazole-4-sulfonamide



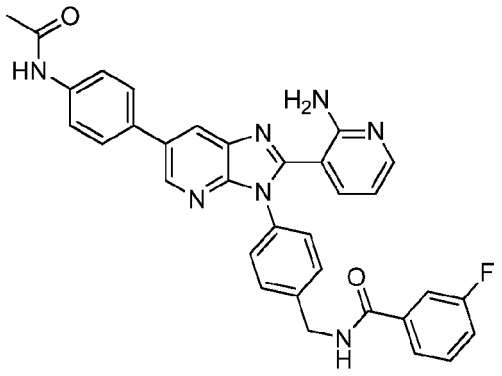
[0001483] N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-imidazole-4-sulfonamide was synthesized according to General Procedure A followed by General Procedures B and E. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.86 (br s, 2H), 8.30 (dd, J = 1.6 Hz and 4.8 Hz, 1H), 8.17 (dd, J = 1.2 Hz and 8.0 Hz, 1H), 8.09 (t, J = 6.4 Hz, 1H), 7.97 (dd, J = 1.6 Hz and 8.8 Hz, 1H), 7.76-7.72 (m, 1H), 7.40-7.32 (m, 4H), 7.19 (dd, J = 2.0 Hz and 8.0 Hz, 1H), 6.97 (s, 2H), 6.39 (dd, J = 4.8 Hz and 7.6 Hz, 1H), 4.09 (d, J = 6.4 Hz, 2H), 3.67 (s, 3H); LCMS [M+H]: 461.

[0001484] Example 47: Synthesis of 2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide



[0001485] 2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide was synthesized according to General Procedure A followed by General Procedures B and C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.42 (s, 1H), 8.47 (s, 1H), 8.37 (s, 1H), 7.98 (d, J = 4.8 Hz, 1H), 7.59 (d, J = 11.6 Hz, 1H), 7.22-7.60 (m, 7H), 6.90-6.83 (m, 3H), 6.38 (dd, J = 4.8 Hz and 7.6 Hz, 1H), 3.73 (s, 2H); LCMS [M+H]: 518.

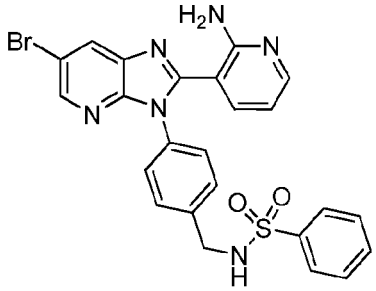
[0001486] Example 48: Synthesis of N-(4-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



[0001487] N-(4-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide was synthesized according to General Procedure A followed by General Procedures B, C and H. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.04 (s,

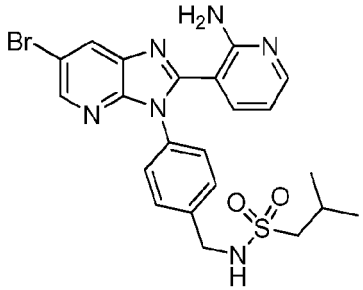
1H), 9.16 (t, *J* = 6.0 Hz, 1H), 8.56 (s, 1H), 8.40 (s, 1H), 7.92-7.90 (d, *J* = 4.8 Hz, 1H), 7.69-7.15 (m, 12H), 7.16 (d, *J* = 8.0Hz, 1H), 7.08 (s, 2H), 6.31 (dd, *J* = 8.0 Hz and 4.8 Hz, 1H), 4.50 (d, *J* = 6.0 Hz, 2H), 2.05 (s, 3H); LCMS [M+H]: 572.

[0001488] Example 49: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-*b*] pyridin -3-yl)benzyl)benzenesulfonamide



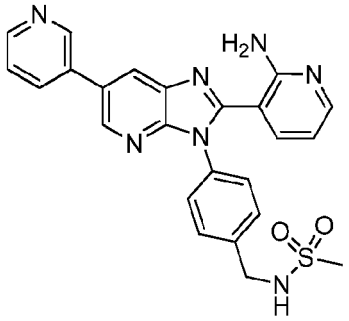
[0001489] N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-*b*]pyridin-3-yl)benzyl)benzenesulfonamide was synthesized according to general procedure A followed by General Procedures B and E in an array. LC/MS [M+H, M+2+H]: 534.05, 536.05.

[0001490] Example 50; Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3*H*-imidazo[4,5-*b*] pyridin-3-yl)benzyl)-2-methylpropane-1-sulfonamide



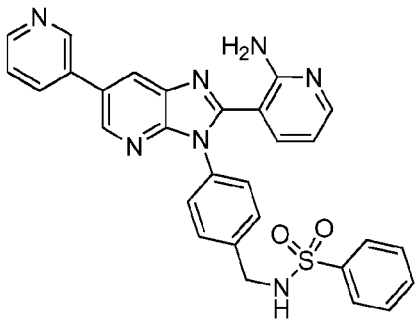
[0001491] N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-*b*]pyridin-3-yl)benzyl)-2-methylpropane-1-sulfonamide was synthesized according to the general procedure A followed by General Procedures B and E in an array. LC/MS [M+H, M+2+H]: 514.08, 516.08.

[0001492] Example 51-1: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo [4,5-*b*]pyridin-3-yl)benzyl)methanesulfonamide



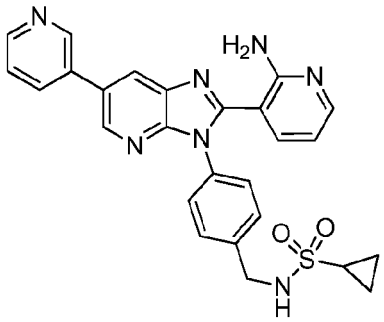
[0001493] N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo[4,5-*b*]pyridin-3-yl)benzyl)methanesulfonamide was synthesized according to general procedure A followed by General Procedures B and E. Mp. 127-129°C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.00 (d, *J* = 1.6 Hz, 1H), 8.67 (d, *J* = 1.9 Hz, 1H), 8.60 (d, *J* = 4.7 Hz, 1H), 8.56 (d, *J* = 1.9 Hz, 1H), 8.19 (d, *J* = 8.2 Hz, 1H), 7.99 (dd, *J* = 1.9 Hz and 5.0 Hz, 1H), 7.68 (t, *J* = 6.3 Hz, 1H), 7.54-7.40 (m, 5H), 7.23 (dd, *J* = 1.5 Hz and 7.2 Hz, 1H), 6.99 (s, 2H), 6.39 (dd, *J* = 5.1 Hz and 7.4 Hz, 2H), 4.23 (d, *J* = 6.3 Hz, 2H) 2.86 (s, 3H); LC/MS [M+H]: 472.59; Calc. for $C_{24}H_{21}N_7O_2S \cdot 0.11$ dichloromethane: C 60.22, H 4.45, N 20.39, Found, C 60.12, H 4.42, N 20.15.

[0001494] Example 51-2: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo[4,5-*b*]pyridin-3-yl)benzyl)benzenesulfonamide



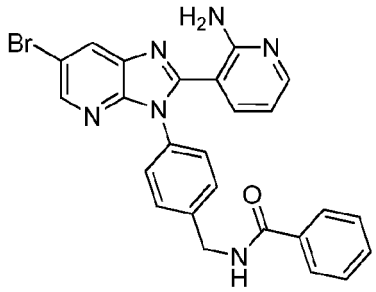
[0001495] N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo[4,5-*b*]pyridin-3-yl)benzyl)benzenesulfonamide was synthesized according to general procedure A, B followed by General Procedure E. Mp. 217-219°C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.00 (d, *J* = 2.4 Hz, 1H), 8.67 (d, *J* = 1.9 Hz, 1H), 8.60 (dd, *J* = 1.9, 4.7 Hz, 1H), 8.56 (d, *J* = 1.9 Hz, 1H), 8.28 (t, *J* = 6.3 Hz, 1H), 8.19 (d, *J* = 8.2 Hz, 1H), 7.99 (dd, *J* = 1.9 Hz and 5.0 Hz, 1H), 7.83 (d, *J* = 7.1 Hz, 2H), 7.62-7.32 (m, 8H), 7.23 (dd, *J* = 1.5 Hz and 7.2 Hz, 1H), 6.99 (s, 2H), 6.41 (dd, *J* = 5.1 Hz and 7.4 Hz, 2H), 4.07 (d, *J* = 6.3 Hz, 2H); LC/MS [M+H]: 534.59; Calc. for $C_{29}H_{23}N_7O_2S \cdot 0.17$ water: C 64.90, H 4.38, N 18.27, Found, C 64.90, H 4.40, N 17.85.

[0001496] Example 52-1: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-*b*]pyridin-3-yl)benzyl)cyclopropanesulfonamide



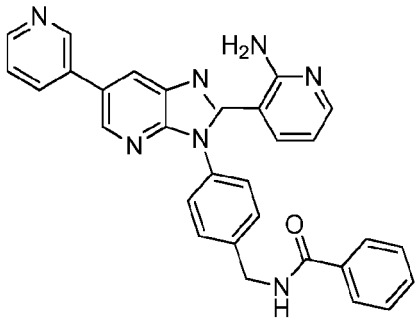
[0001497] N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-*b*]pyridin-3-yl)benzyl)cyclopropanesulfonamide was synthesized according to General Procedure A, B followed by General Procedure E. Mp. 197-199°C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.99 (d, J = 2.0 Hz, 1H), 8.66 (d, J = 1.9 Hz, 1H), 8.60 (dd, J = 1.9 and 4.7 Hz, 1H), 8.56 (d, J = 1.9 Hz, 1H), 8.18 (ddd, J = 1.6 Hz, 4.3 Hz and 5.4 Hz, 1H), 7.99 (dd, J = 1.9 Hz and 5.3 Hz, 1H), 7.76 (app t, J = 6.3 Hz, 2H), 7.54-7.38 (m, 5H), 7.23 (dd, J = 1.5 Hz and 7.2 Hz, 1H), 6.96 (s, 2H), 6.37 (dd, J = 5.1 Hz and 7.4 Hz, 2H), 4.26 (d, J = 6.3 Hz, 2H), 2.44-2.37 (m, 1H), 0.92-0.79 (m, 4H); LC/MS [M+H]: 498.62; Calc. for $C_{26}H_{23}N_7O_2S$: C 62.76, H 4.66, N 19.71, found, C 62.42, H 4.58, N 18.87.

[0001498] Example 52-2: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-*b*] pyridin-3-yl)phenyl)benzamide



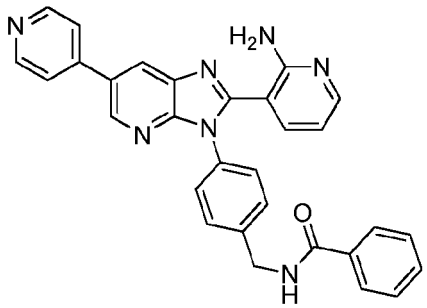
[0001499] N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-*b*]pyridin-3-yl)phenyl)benzamide was synthesized according to general procedure A, B followed by General Procedure C. Mp. 242-244°C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.47 (s, 1H), 8.51 (s, 1H), 8.43 (s, 1H), 8.05-7.88 (m, 5H), 7.72-7.52 (m, 3H), 7.42 (d, J = 8.6 Hz, 2H), 7.29 (d, J = 7.0 Hz, 1H), 6.97 (s, 2H), 6.46 (dd, J = 5.2 and 7.6 Hz, 1H); LC/MS [M+H, M+2+H]: 485.48, 487.49; Calc. for $C_{24}H_{17}N_6O \cdot 0.27$ water: C 58.81, H 3.61, N 17.14, Found: C 58.80, H 3.47, N 17.06.

[0001500] Example 53: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo [4,5-*b*]pyridin-3-yl)phenyl)benzamide



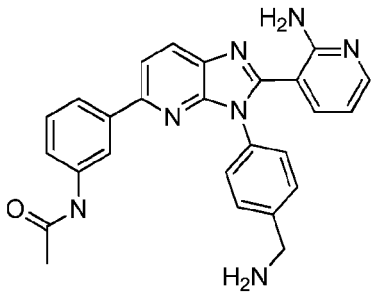
[0001501] N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-*b*]pyridin-3-yl)phenyl)benzamide was synthesized according to general procedure A, B followed by General Procedure C. Mp. 222-224°C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.47 (s, 1H), 9.23 (s, 1H), 8.61 (d, J = 4.2 Hz, 1H), 8.38 (d, J = 5.2 Hz, 1H), 8.32 (d, J = 5.8 Hz, 1H), 8.05-7.88 (m, 5H), 7.72-7.52 (m, 6H), 7.32 (d, J = 8.6 Hz, 2H), 7.06 (s, 2H), 6.46 (dd, J = 5.2 Hz and 7.6 Hz, 1H); LC/MS [M+H]: 498.62; Calc. for $C_{29}H_{21}N_7O \cdot 1.40$ water $\cdot$ 0.10 dioxane $\cdot$ 0.10 triphenlyphosphine oxide: C 68.71, H 4.82, N 17.98, Found: C 68.92, H 4.53, N 17.95.

[0001502] Example 54: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo [4,5-*b*]pyridin-3-yl)phenyl)benzamide



[0001503] N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-*b*]pyridin-3-yl)phenyl)benzamide was synthesized according to General Procedure A, B followed by General Procedure C. Mp. 210°C (decomposed). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.50 (s, 1H), 8.66 (d, J = 5.9 Hz, 2H), 8.35 (d, J = 8.3 Hz, 1H), 8.16 (d, J = 8.2 Hz, 1H), 8.05-7.88 (m, 6H), 7.72-7.52 (m, 6H), 7.32 (d, J = 8.6 Hz, 1H), 7.04 (s, 2H), 6.46 (dd, J = 5.2 Hz and 7.6 Hz, 1H); LC/MS [M+H]: 498.62; Calc. for $C_{29}H_{21}N_7O \cdot 1.40$ water $\cdot$ 0.25 dioxane $\cdot$ 0.08 triphenylphosphine oxide: C 68.71, H 4.82, N 17.98, Found: C 68.92, H 4.53, N 17.95.

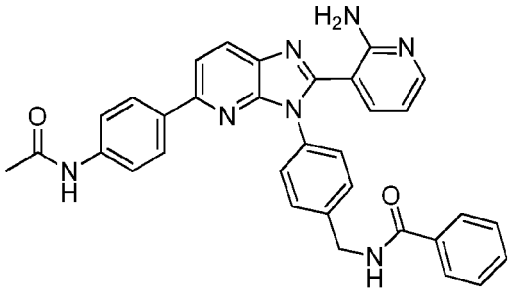
[0001504] Example 55: Synthesis of N-(4-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide



[0001505] N-(4-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide was synthesized according to General Procedure A, H and B.

Mp. 245-248°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.15 (bs, 1H), 8.47 (bs, 3H), 8.35 (d, J = 8.4 Hz, 2H), 8.17 (s, 1H), 8.12 (d, J = 6.4 Hz, 1H), 7.89 (d, J = 8.4 Hz, 2H), 7.63 (m, 5H), 7.36 (t, J = 8 Hz, 1H), 6.86 (m, 1H), 4.10 (d, J = 6.0 Hz, 2H), 2.04 (s, 3H); LC/MS [M+H]: 450.24; Calc. for $C_{26}H_{23}N_7O \cdot 3.35$ HCl: C 54.63, H 4.65, N 17.15; Found C 54.62, H 4.67, N 16.81.

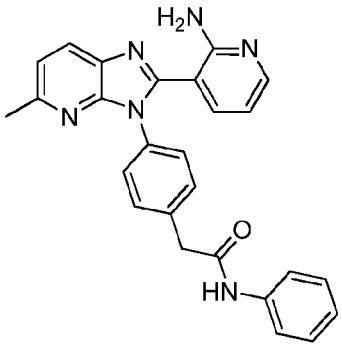
[0001506] Example 56: Synthesis of N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



[0001507] N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedures A, I , B and J.

Mp. 299-300°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.07 (s, 1H), 9.15 (m, 1H), 8.22 (d, J = 8.4 Hz, 1H), 7.95 (m, 5H), 7.65 (d, J = 8.4 Hz, 2H), 7.57-7.44 (m, 6H), 7.20 (d, J = 7.2 Hz, 1H), 6.96 (s, 2H), 6.41 (m, 1H), 4.62 (d, J = 6.0 Hz, 2H), 2.06 (s, 3H); LC/MS [M+H]: 554.29. Calc. for $C_{33}H_{27}N_7O_2 \cdot 0.18$ water $\cdot 0.16$ dimethylacetamide: C 70.81, H 5.08, N 17.57; Found C 70.75, H 4.85, N 17.61.

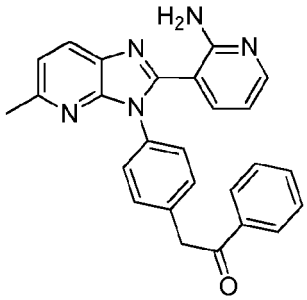
[0001508] Example 57: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



[0001509] N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was prepared using General Procedures A, F, and G.

Mp. 203-205°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.22 (s, 1H), 8.04 (d, J = 7.2 Hz, 1H), 7.94 (m, 1H), 7.59 (d, J = 8.4 Hz, 2H), 7.45 (d, J = 8.0 Hz, 2H), 7.35-7.20 (m, 5H), 7.13 (d, J = 7.6 Hz, 1H), 7.02 (dd, J = 6.4 Hz and 7.6 Hz, 1H), 6.95 (s, 2H), 6.35 (m, 1H), 3.72 (s, 2H), 2.47 (s, 3H); LC/MS [M+H]: 435.24. Calc. for $C_{26}H_{22}N_6O \cdot 0.01$ water $\cdot 0.33$ dimethylformamide $\cdot 0.86$ dichloromethane: C 62.90, H 4.94, N 16.67; Found C 62.87, H 4.61, N 17.07.

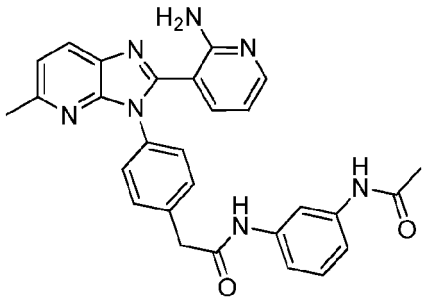
[0001510] Example 58: Synthesis of 2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-1-phenylethanone



[0001511] 2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-1-phenylethanone was synthesized General Procedures A, F and L.

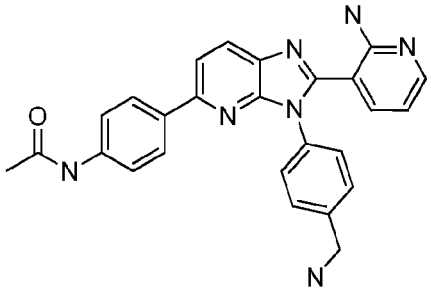
Mp. 194-196°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.05 (m, 3H), 7.94 (d, J = 4.8 Hz, 1H), 7.65 (m, 1H), 7.54 (m, 2H), 7.39-7.21 (m, 6H), 7.09 (d, J = 7.2 Hz, 1H), 6.98 (s, 2H), 6.31 (m, 1H), 4.50 (s, 2H), 2.47 (s, 3H); LC/MS [M+H]: 420.18.

[0001512] Example 59: Synthesis of N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide



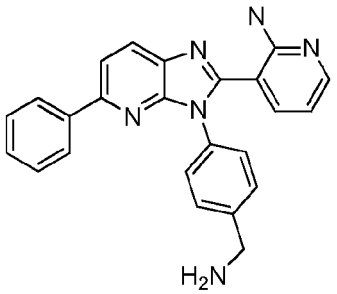
[0001513] N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide was synthesized according to General Procedures A, F, and G. Mp. 207-209°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.22 (s, 1H), 9.90 (s, 1H), 8.04 (d, J = 7.6 Hz, 1H), 7.93 (m, 2H), 7.44 (d, J = 8.4 Hz, 2H), 7.32 (m, 3H), 7.22-7.12 (m, 4H), 6.95 (s, 2H), 6.35 (m, 1H), 3.71 (s, 2H), 2.48 (s, 3H), 2.00 (s, 3H); LC/MS [M+H]: 492.21.

[0001514] Example 60: Synthesis of N-(4-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide



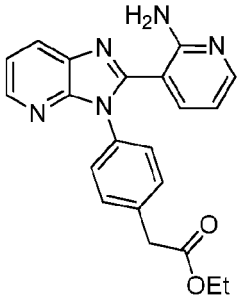
[0001515] N-(4-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide was synthesized according to General Procedures A, I and B. Mp. 227-23^{0o}C; 400 M Hz ^{1}H NMR (DMSO-$_{d6}$) δ: 10.25 (s, 1H), 8.58 (bs, 4H), 8.32 (d, J = 8.4 Hz, 1H), 8.16 (d, J = 6.4 Hz, 1H), 8.00 (m, 3H), 7.90 (d, J = 7.2 Hz, 1H), 7.63 (m, 6H), 6.90 (m, 1H), 4.13 (d, J = 4.8 Hz, 2H), 2.07 (s, 3H); LC/MS [M+H]: 450.24. Calc. for $_{C26H23N7O}$ · 3.29 HCl · 0.3 water · 0.28 Ethyl acetate: C 54.33, H 4.90, N 16.35; Found C 54.35, H 4.96, N 16.11.

[0001516] Example 61: Synthesis of 3-(3-(4-(aminomethyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



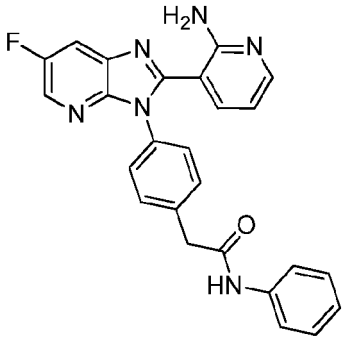
[0001517] 3-(3-(4-(aminomethyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine was synthesized according to General Procedures A, I and B. Mp. 215-218°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.52 (bs, 4H), 8.35 (d, J = 8.4 Hz, 1H), 8.13 (d, J = 6.0 Hz, 1H), 8.04 (m, 3H), 7.89 (m, 1H), 7.65 (dd, J = 8.4 Hz and 1.6 Hz, 4H), 7.48-7.38 (m, 3H), 6.89 (m, 1H), 4.11 (d, J = 5.6 Hz, 2H), LC/MS [M+H]: 393.24.

[0001518] Example 62 : Synthesis of ethyl 2-(4-(2-(2-amoinopyridin-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetate



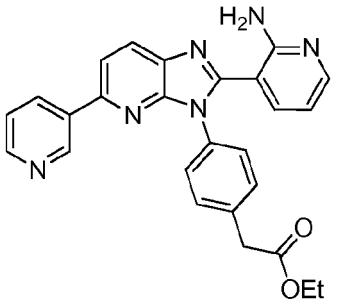
[0001519] Ethyl 2-(4-(2-(2-amoinopyridin-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetate was synthesized according to General Procedure A. Mp. 138-140°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ 8.33 (dd, J = 5.2 Hz and 1.6 Hz, 1H), 8.22 (dd, J = 8.0 Hz and 1.6 Hz, 1H), 8.00 (dd, J = 4.8 Hz and 2.0 Hz, 1H), 7.44-7.38 (m, 5H), 7.20 (dd, J = 8.4 Hz and 1.6 Hz, 1H), 6.98 (s, 2H), 6.42-6.38 (dd, J = 8.0 Hz and 5.2 Hz, 1H), 4.12 (q, J = 7.6 Hz, 2H), 3.78 (s, 2H), 1.21 (t, J = 6.8 Hz, 3H); LCMS[M+H]: 374.

[0001520] Example 63: Synthesis of 2-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-phenylacetamide



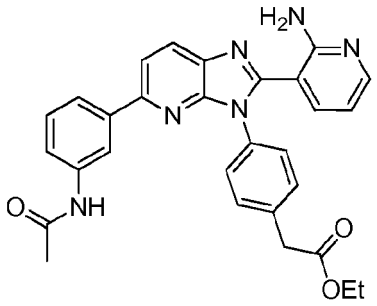
[0001521] 2-(4-(2-(2-Aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-phenylacetamide was synthesized according to General Procedure A, F and G. The crude product was purified by flash chromatography eluting with dichloromethane / methanol (18:1) to give the title compound. Mp. 240-242°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ 10.24 (s, 1H), 8.34-8.32 (m, 1H), 8.21-8.28 (dd, J = 9.6 Hz and 2.8 Hz, 1H), 8.00 (dd, J = 4.4 Hz and 1.2 Hz, 1H), 7.61 (d, J = 8.0 Hz, 2H), 7.47 (d, J = 8.0 Hz, 2H), 7.40 (d, J = 8.0 Hz, 2H), 7.30 (t, J = 8.4 Hz, 1H), 7.26 (dd, J = 7.6 Hz and 2.0 Hz, 1H), 7.05 (t, J = 7.6 Hz, 1H), 6.96 (s, 2H), 6.42 (dd, J = 7.2 Hz and 4.8 Hz, 1H), 3.74 (s, 2H); LCMS[M+H]: 438.

[0001522] Example 64: Synthesis of ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetate



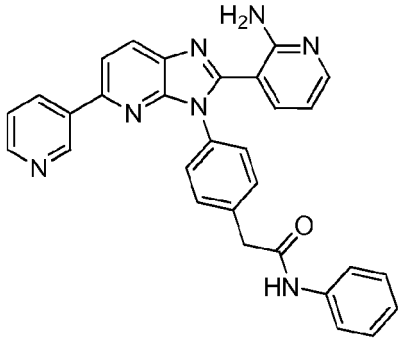
[0001523] Ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetate was synthesized according to General Procedures A and H. The crude product was purified by flash chromatography eluting with ethyl acetate / tetrahydrofuran (18:1) to give the title compound. Mp. 155-157°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ 9.24 (m, 1H), 8.59 (dd, J = 4.8 Hz and 1.2 Hz, 1H), 8.38 (d, J = 8.4 Hz, 1H), 8.32 (d, J = 8.0 Hz, 1H), 8.08 (d, J = 8.4 Hz, 1H), 8.01 (dd, J = 5.2 Hz, 2.0 Hz, 1H), 7.52-7.44 (m, 5H), 7.22-7.20 (dd, J = 7.6 Hz and 2.0 Hz, 1H), 6.99 (s, 2H), 6.41 (dd, J = 6.8 Hz and 4.4 Hz, 1H), 4.13 (q, J = 7.2 Hz, 2H), 3.80 (s, 2H), 1.21 (t, J = 6.8 Hz, 3H); LCMS[M+H]: 451.

[0001524] Example 65: Synthesis of ethyl 2-(4-(5-(3-acetamidophenyl)-2-(2-amoinopyridin-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetate



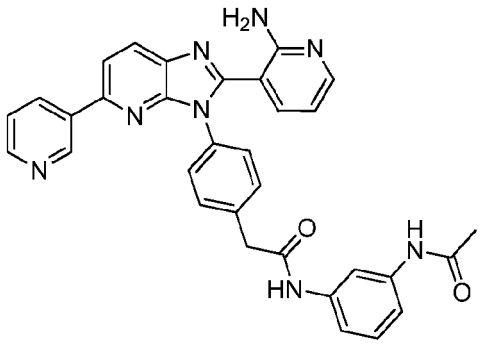
[0001525] Ethyl 2-(4-(5-(3-acetamidophenyl)-2-(2-amoinopyridin-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetate was synthesized according to General Procedures A and I. The crude product was purified by flash chromatography eluting with ethyl acetate / tetrahydrofuran (18:1) to give the title compound. Mp. 93-95°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ 10.08 (s, 1H), 8.28 (d, J = 8.4 Hz, 1H), 8.10 (s. 1H), 8.00 (dd, J = 4.4 Hz and 1.6 Hz, 1H), 7.85 (d, J = 8.4 Hz and 1H), 7.11-7.65 (dd, J = 18.0 Hz and 6.8 Hz, 2H), 7.45 (s, 4H), 7.38 (t, J = 8.0 Hz, 1H), 7.19-7.17 (dd, J = 8.0 Hz and 2.0 Hz, 1H), 6.92 (s, 2H), 6.41 (dd, J = 8.0 Hz, 4.8 Hz, 1H), 4.13 (q, J = 7.2 Hz, 2H), 3.79 (s, 2H), 2.06 (s, 3H), 1.21 (t, J = 6.8 Hz, 3H); LCMS[M+H]: 507.

[0001526] Example 66: Synthesis of 2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-phenylacetamide



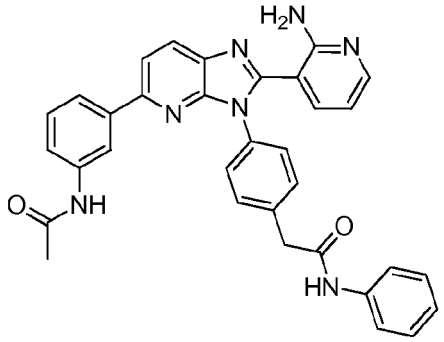
[0001527] 2-(4-(2-(2-Aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-phenylacetamide was synthesized according to General Procedures A, F, G and H. The crude product was purified by preparative HPLC to give the title compound. Mp. 162-164°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ 10.28 (s, 1H), 9.33 (s, 1H), 8.72 (d, J = 4.0 Hz, 1H), 8.63 (d, J = 8.0 Hz, 1H), 8.43 (d, J = 8.4 Hz, 1H), 8.19 (d, J = 8.0 Hz, 1H), 8.13-8.09 (dd, J = 6.4 Hz and 2.0 Hz, 1H), 7.81 (d, J = 7.6 Hz, 1H), 7.72 (dd, J = 8.4 Hz and 5.2 Hz, 1H), 7.62 (d, J = 8.0 Hz, 1H), 7.57-7.54 (m, 4H), 7.33 (t, J = 6.0 Hz, 2H), 7.06 (t, J = 7.6 Hz, 1H), 6.82 (t, J = 6.8 Hz, 1H), 3.78 (s, 2H); LCMS[M+H]: 498; Calc. for $C_{30}H_{23}N_7O \cdot 3.26$ TFA: C 50.46, H 3.04, N 11.28. Found: C 50.47, H 2.97, N 11.25.

[0001528] Example 67: Synthesis of N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetamide



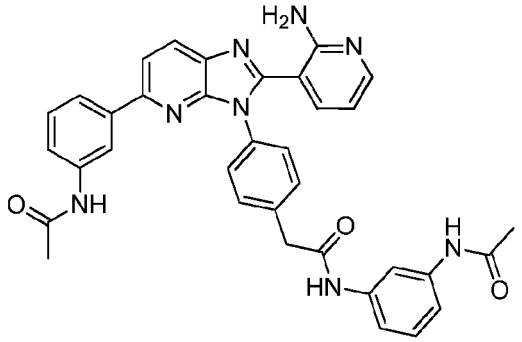
[0001529] N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetamide was synthesized according to General Procedures A, F, G and I. The crude product was purified by preparative HPLC to give the title compound. Mp. 142-144°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ 10.28 (s, 1H), 9.94 (s, 1H), 9.33 (s, 1H), 8.72 (d, J = 4.4 Hz, 1H), 8.64 (d, J = 6.8 Hz, 1H), 8.43 (d, J = 8.8 Hz, 1H), 8.19 (d, J = 8.4 Hz, 1H), 8.10 (dd, J = 6.4 Hz, 2.0 Hz, 1H), 7.98 (s, 1H), 7.80 (d, J = 7.6 Hz, 1H), 7.77-7.71 (m, 1H), 7.57-7.49 (m, 4H), 7.37-7.34 (m, 1H), 7.21-7.19 (m, 2H), 6.82 (t, J = 6.0 Hz, 1H), 3.77 (s, 2H), 2.03 (s, 3H); LCMS[M+H]: 555; Calc. for $C_{32}H_{26}N_8O_2 \cdot 3.30$ TFA·0.01 DMF: C 49.80, H 3.18, N 12.04, Found: C 49.79, H 3.18, N 11.87.

[0001530] Example 68: Synthesis of 2-(4-(5-(3-acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-phenylacetamide



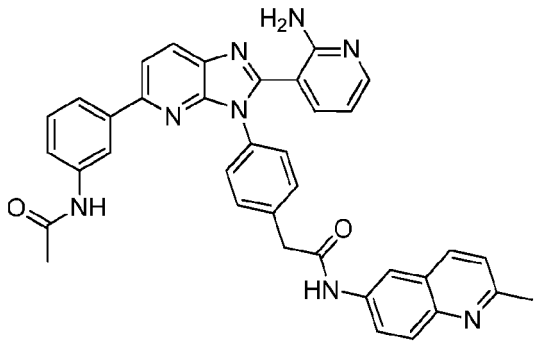
[0001531] 2-(4-(5-(3-Acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-phenylacetamide was synthesized according to General Procedures A, F, G and H. The crude product was purified by preparative HPLC to give the title compound. Mp. 166-168°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.25 (s, 1H), 10.03 (s, 1H), 8.33 (d, J = 8.8 Hz, 1H), 8.12 (s, 1H), 8.05 (dd, J = 5.6 Hz, 1.6 Hz, 1H), 7.71-7.61 (m, 5H), 7.51 (s, 4H), 7.39 (t, J = 8.0 Hz, 1H), 7.33 (t, J = 8.0 Hz, 2H), 7.06 (t, J = 7.2 Hz, 1H), 6.72 (t, J = 6.0 Hz, 1H), 3.77 (s, 2H), 2.04 (s, 3H); LCMS[M+H]: 554; Calc. for $C_{33}H_{27}N_7O_2 \cdot 1.82$ TFA: C 57.82, H 3.82, N 12.88, Found: C 57.84; H 3.93, N 12.93.

[0001532] Example 69: Synthesis of N-(3-acetamidophenyl)-2-(4-(5-(3-acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetamide



[0001533] N-(3-Acetamidophenyl)-2-(4-(5-(3-acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)acetamide was synthesized according to General Procedures A, F, G and H. The crude product was purified by preparative HPLC to give the title compound. Mp. 175-177°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.26 (s, 1H), 10.08 (s, 1H), 9.92 (s, 1H), 8.33 (d, J = 8.8 Hz, 1H), 8.11 (s, 1H), 8.05 (d, J = 6.0 Hz, 1H), 7.96 (s, 1H), 7.89 (d, J = 8.4 Hz, 1H), 7.71-7.61 (m, 4H), 7.51 (s, 4H), 7.41-7.33 (m, 2H), 7.21-7.19 (m, 2H), 6.71 (br, 1H), 3.76 (s, 2H), 2.04 (s, 3H), 2.03 (s, 3H); LCMS[M+H]: 611; Calc. for $C_{35}H_{30}N_8O_3$·2.25 TFA·0.46 ACN: C, 54.79; H, 3.83; N, 13.37, Found: C, 54.79; H, 3.96; N, 13.37.

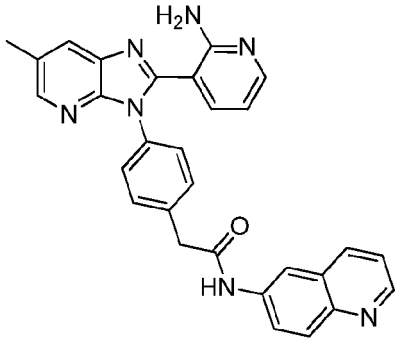
[0001534] Example 70: Synthesis of 2-(4-(5-(3-acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide



[0001535] 2-(4-(5-(3-Acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide was synthesized according to General Procedures A, F, G and H. The crude product was purified by preparative HPLC to give the title compound. Mp. 176-178°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.85 (s, 1H), 10.08 (s, 1H), 8.71 (d, J = 7.6 Hz, 1H), 8.61 (s, 1H), 8.34 (d, J = 8.4 Hz,

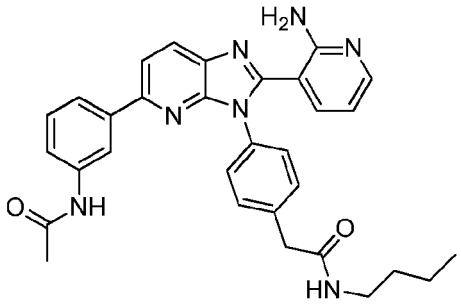
1H), 8.13-8.01 (m, 5H), 7.90 (d, J = 8.4 Hz, 1H), 7.75-7.65 (m, 5H), 7.55 (s, 4H), 7.39 (t, J = 7.6 Hz, 1H), 6.76 (t, J = 7.2 Hz, 1H), 3.89 (s, 2H), 2.82 (s, 3H), 2.02 (s, 3H); LCMS[M+H]: 619; Calc. for $C_{37}H_{30}N_8O_2$ 3.51 TFA·0.67 ACN: C 52.07, H 3.42, N 11.61, Found: C 52.05, H 3.41, N 11.60.

[0001536] Example 71: Synthesis of 2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-(quinolin-6-yl)acetamide



[0001537] 2-(4-(2-(2-Aminopyridin-3-yl)-5-(pyridine-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-(quinolin-6-yl)acetamide was synthesized according to General Procedures A, F, and G. The crude product was purified by preparative HPLC to give the title compound. Mp. 176-178°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.76 (s, 1H), 8.94 (s, 1H), 8.59 (d, J = 8.0 Hz, 1H), 8.55 (s, 1H), 8.25 (s, 1H), 8.25-8.06 (m, 3H), 7.95 (d, J = 8.8 Hz, 1H), 7.75 (d, J = 7.2 Hz, 1H), 7.70-7.68 (m, 1H), 7.53 (d, J = 8.0 Hz, 2H), 7.46 (d, J = 8.4 Hz, 2H), 6.79 (t, J = 7.6 Hz, 1H), 3.86 (s, 2H), 2.48 (s, 3H); LCMS[M+H]: 486; Calc. for $C_{29}H_{23}N_7O$·3.24 TFA·2.10 ACN: C 50.64, H 3.48, N 13.54, Found: C 50.63, H 3.38, N 13.54.

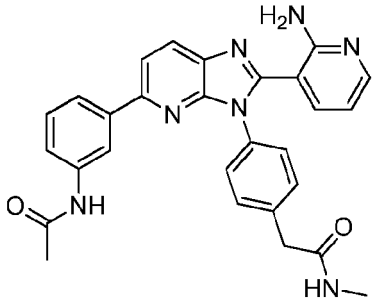
[0001538] Example 72: Synthesis of 2-(4-(5-(3-Acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-butylacetamide



[0001539] 2-(4-(5-(3-Acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-butylacetamide was synthesized according to General Procedures A, F, G and H. The crude product was purified by preparative HPLC to give the title compound. Mp. 135-137°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.09 (s, 1H), 8.34 (d, J = 8.4 Hz, 1H), 8.12-8.05 (m, 4H), 7.90 (d, J = 8.4 Hz, 1H), 7.72-7.65 (m, 3H), 7.50-7.37 (m,

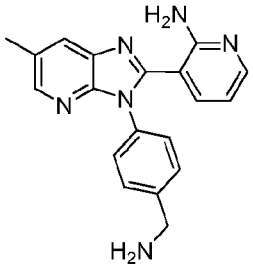
5H), 6.75 (t, J = 7.2 Hz, 1H), 3.51 (s, 2H), 3.07 (q, J = 6.8 Hz, 2H), 2.06 (s, 3H), 1.42-1.37 (m, 2H), 1.32-1.25 (m, 2H), 0.87 (t, J = 7.6 Hz, 3H); LCMS[M+H]: 534; Calc. for $C_{31}H_{31}N_7O_2 \cdot 3.12$ TFA·1.34 ACN: C 50.77, H 4.07, N 12.37, Found: C 50.78, H 4.25, N 12.37.

[0001540] Example 73: Synthesis of 2-(4-(5-(3-acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-methylacetamide



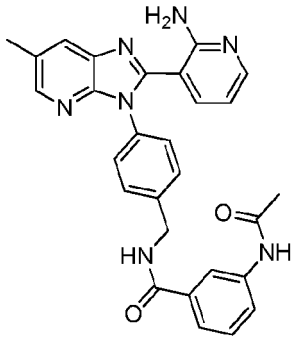
[0001541] 2-(4-(5-(3-Acetamidophenyl-2-(2-aminopyridin-3-yl)-5-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)phenyl)-N-methylacetamide was synthesized according to General Procedures A, F, G and H. The crude product was purified by preparative HPLC to give the title compound. Mp. 198-200°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.11 (s, 1H), 8.32 (d, J = 8.4 Hz, 1H), 8.10-8.05 (m, 3H), 7.88 (d, J = 8.4 Hz, 1H), 7.71 (d, J = 8.0 Hz, 1H), 7.66 (d, J = 7.6 Hz, 1H), 7.59 (d, J = 6.8 Hz, 1H), 7.48-7.37 (m, 5H), 6.69 (t, J = 7.2 Hz, 1H), 3.50 (s, 2H), 3.07 (q, J = 6.8 Hz, 2H), 2.50 (s, 3H), 2.06 (s, 3H); LCMS[M+H]: 492; Calc. for $C_{28}H_{25}N_7O_2 \cdot 0.77$ TFA: C 61.24, H 4.48, N 16.92, Found: C 61.45, H 4.08, N 16.65.

[0001542] Example 74: Synthesis of 3-(3-(4-(aminomethyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride



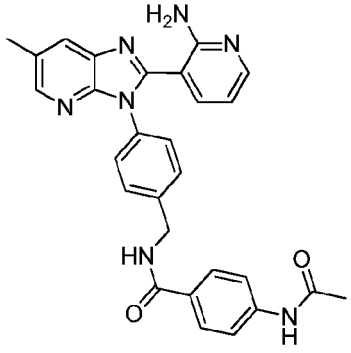
[0001543] 3-(3-(4-(Aminomethyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride salt was synthesized according to General Procedure A followed by General Procedure B. Mp. 220-230°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.64 (bs, 3H), 8.64 (bs, 2H), 8.28 (m, 1H), 8.16-8.14 (m, 1H), 8.12-8.11 (m, 1H), 7.91-7.89 (m, 1H), 7.69 (s, 1H), 7.67 (s, 1H), 7.56-7.54 (m, 2H), 6.91-6.88 (m, 1H), 4.15-4.05 (m, 2H), 2.50 (s, 3H); LC/MS [M+H]: 331.

[0001544] Example 75: Synthesis of 3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



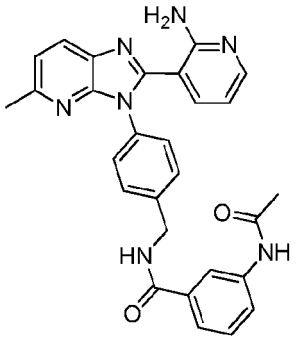
[0001545] 3-Acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B and C. Mp. 180-181°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.10 (s, 1H), 9.10 (t, J = 6.4 Hz, 1 H), 8.16 (s, 1 H), 8.05 (s, 1 H), 8.00 (s, 1 H), 7.99-7.97 (m, 1 H), 7.78 (d, J = 8.0 Hz, 1 H), 7.57 (d, J = 8.0 Hz, 1 H), 7.45-7.41 (m, 2 H), 7.39-7.35 (m, 2 H), 7.21-7.19 (m, 1 H), 7.01 (s, 2 H), 6.39 (dd, J = 4.8 Hz and 7.2 Hz, 1 H), 4.56 (d, J = 6.0 Hz, 2 H), 2.44 (s, 3 H), 2.05 (s, 3 H); LC/MS [M+H]: 492.

[0001546] Example 76: Synthesis of 4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



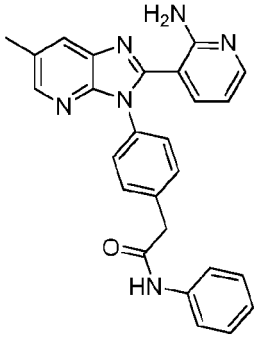
[0001547] 4-Acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B and C. Mp. 171-172°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.17 (s, 1H), 9.00 (t, J = 6.0 Hz, 1 H), 8.16 (d, J = 2.0 Hz, 1 H), 8.00 (s, 1 H), 7.99-7.97 (m, 1 H), 7.86 (d, J = 8.8 Hz, 2 H), 7.57 (d, J = 8.4 Hz, 1 H), 7.45-7.43 (m, 2 H), 7.37-7.35 (m, 2 H), 7.21-7.19 (m, 1 H), 7.00 (s, 2 H), 6.39 (dd, J = 4.4 Hz and 7.6 Hz, 1 H), 4.55 (d, J = 6.0 Hz, 2 H), 2.44 (s, 3 H), 2.05 (s, 3 H); LC/MS [M+H]: 492.

[0001548] Example 77: Synthesis of 3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



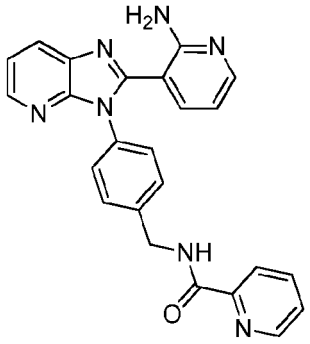
[0001549] 3-Acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B and C. Mp. 157-161°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.09 (s, 1H), 9.11 (t, J = 6.0 Hz, 1 H), 8.07-8.05 (m, 2 H), 7.98-7.96 (m, 1 H), 7.78 (d, J = 8.0 Hz, 2 H), 7.57 (d, J = 7.6 Hz, 1 H), 7.45-7.36 (m, 4 H), 7.24 (d, J = 8.0 Hz, 1 H), 7.17-7.15 (m, 1 H), 6.98 (s, 2H), 6.38 (dd, J = 4.8 and 7.2 Hz, 1 H), 4.55 (d, J = 6.0 Hz, 2 H), 2.50 (s, 3 H), 2.05 (s, 3 H); LC/MS [M+H]: 492.

[0001550] Example 78: Synthesis of 2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide 3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



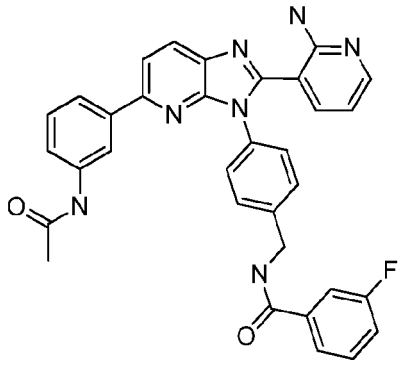
[0001551] 2-(4-(2-(2-Aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide 3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures F and G. Mp. 235-236°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.23 (s, 2H), 8.16 (s, 1 H), 8.01-7.97 (m, 2 H), 7.62 (d, J = 7.6 Hz, 2 H), 7.48 (d, J = 7.6 Hz, 1 H), 7.36 (d, J = 8.0 Hz, 1 H), 7.32-7.28 (t, 1 H), 7.22 (d, J = 7.2 Hz, 1 H), 7.04 (bs, 3 H), 6.40 (t, J = 5.6 Hz, 1 H), 3.74 (s, 2 H), 2.45 (s, 3 H); LC/MS [M+H]: 435.

[0001552] Example 79: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)benzyl)picolinamide



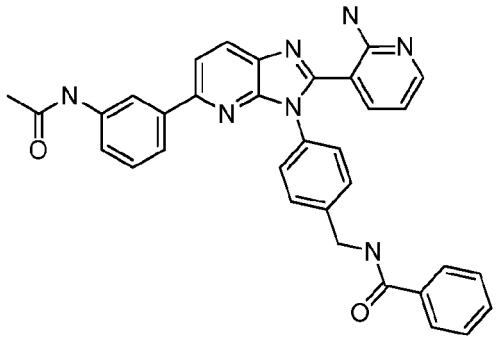
[0001553] N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridine-3-yl)benzyl)picolinamide was synthesized by General Procedures A, B followed by General Procedure C. The crude product was purified by several triturations with a mixture of ether:dichloromethane. Mp.172-174°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.65-8.63 (m, 1H), 8.30 (dd, J = 4.7 Hz and 1.1 Hz, 1H), 8.17 (dd, J = 8.2 Hz and 1.6 Hz, 1H), 8.12-8.10 (m, 1H), 7.98-7.94 (m, 2H), 7.56-7.53 (m, 3H), 7.41-7.38 (m, 3H), 7.32 (dd, J = 7.4 Hz and 1.6 Hz, 1H), 6.46 (dd, J = 7.4 Hz 4.8 Hz, 1H), 4.70 (s, 2H); LCMS[M+H]: 422

[0001554] Example 80: Synthesis of N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



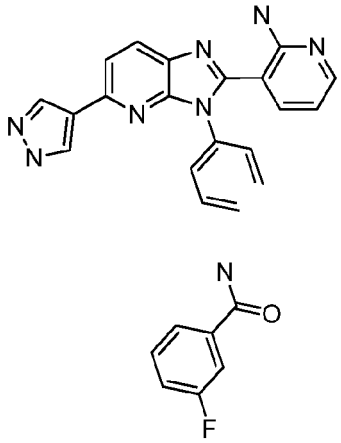
[0001555] N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide was synthesized according to General Procedure A followed by General Procedures I, C and J. Mp. 174-187°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.03 (s, 1H), 9.22 (t, J = 6.0 Hz, 1H), 8.24 (d, J = 8.4 Hz, 1H), 8.06 (s, 1H), 7.97 (dd, J = 4.8 Hz and 1.6 Hz, 1H), 7.82 (d, J = 8.8 Hz, 1H), 7.77 (d, J = 8.0 Hz, 1H), 7.72-7.66 (m, 2H), 7.62(d, J = 8.4 Hz, 1H), 7.55-7.51 (m, 1H), 7.45 (s, 3H), 7.41-7.32 (m, 2H), 7.18 (dd, J = 7.6Hz and 1.6 Hz, 1H), 6.86 (br, 2H), 6.38 (dd, J = 8.0 Hz and 4.8 Hz, 1H), 4.58 (d, J = 6.0Hz, 2H), 2.01 (s, 3H); LCMS: 572 [M+H]; Calc. for $C_{33}H_{26}FN_7O_2 \cdot 1.4\ HCl \cdot 0.1$ dioxane • 0.15 diethylether: C 63.55, H 4.66, N 15.26; Found: C 63.91, H 4.36, N 15.38.

[0001556] Example 81: Synthesis of N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



[0001557] N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures I, C and J. Mp. 205-208°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.04 (s, 1H), 9.13 (t, J = 6.0 Hz, 1H), 8.25 (d, J = 8.4 Hz, 1H), 8.06 (s, 1H), 7.98-7.96 (m, 1H), 7.91 (d, J = 7.2 Hz, 2H), 7.82 (d, J = 8.0 Hz, 1H), 7.68 (d, J = 8.8 Hz, 1H), 7.63 (d, J = 8.0 Hz, 1H), 7.55-7.43 (m, 6H), 7.35 (t, J = 8.0 Hz, 1H), 7.18 (d, J = 7.6Hz, 1H), 6.87 (s, 2H), 6.39 (dd, J = 7.6 Hz and 4.8 Hz, 1H), 4.58 (d, J = 6.0 Hz, 2H), 2.02(s, 3H); LCMS: 554 [M+H].

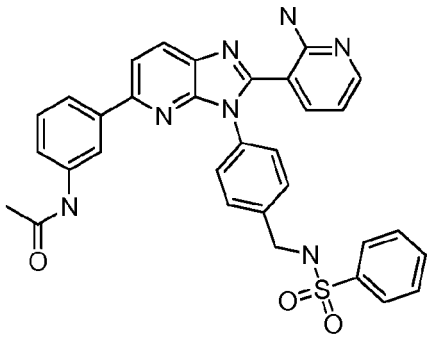
[0001558] Example 82: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



[0001559] N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide was synthesized according to General Procedures A, I, C and J. Mp.267-269°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 12.98 (s, 1H), 9.22 (t, J = 6.0 Hz, 1H), 8.19(s, 1H), 8.12 (d, J = 8.0Hz, 1H), 7.96-7.91 (m, 2H), 7.77 (d, J = 8.0Hz, 1H), 7.72-7.67 (m, 2H), 7.56-7.50 (m, 1H), 7.47-7.36 (m, 4H), 7.15(d, J = 7.6Hz, 1H), 6.91 (s,

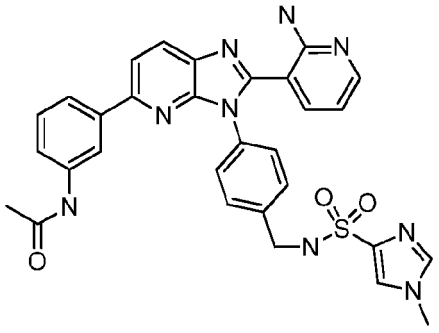
2H), 6.37 (dd, J = 7.6 Hz and 4.8 Hz, 1H), 4.58 (d, J = 6.0 Hz, 2H); LCMS: 505[M+H]; Calc. for $C_{28}H_{21}FN_8O \cdot 0.3$ water: C 65.95, H 4.27, N 21.97; Found: C 65.81, H 4.23, N 22.1.

[0001560] Example 83: Synthesis of N-(3-(2-(2-aminopyridin-3-yl)-3-(4-(phenylsulfonamido methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide



[0001561] N-(3-(2-(2-aminopyridin-3-yl)-3-(4-(phenylsulfonamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide was synthesized according to General Procedure A followed by General Procedures I, C and E. Mp. 180-182°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.04 (s, 1H), 8.29-8.23 (m, 2H), 8.08 (s, 1H), 7.99-7.96 (m, 1H), 7.84-7.80 (m, 3H), 7.69-7.55 (m, 5H), 7.42-7.33 (m, 5H), 7.15 (d, J = 7.6 Hz, 1H), 6.87 (s, 2H), 6.39(dd, J = 7.6 Hz and 4.8 Hz, 1H), 4.07 (d, J = 6.8 Hz, 2H), 2.03 (s, 3H); LCMS: 590[M+H]; Calc. for $C_{32}H_{27}N_7O_3S$: C 65.18, H 4.61, N 16.63; Found: C 65.47, H 4.58, N 16.83.

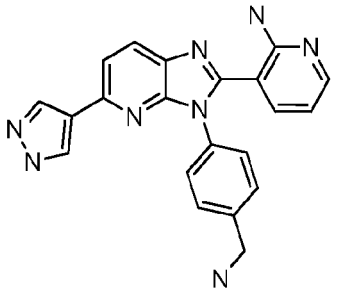
[0001562] Example 84: Synthesis of N-(3-(2-(2-aminopyridin-3-yl)-3-(4-((1-methyl-1H-imidazole-4-sulfonamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide



[0001563] N-(3-(2-(2-aminopyridin-3-yl)-3-(4-((1-methyl-1H-imidazole-4-sulfonamido) methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide was synthesized according to General Procedures A, I, B and E. Mp. 190-192°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.06 (s, 1H), 8.25 (d, J = 8.4 Hz, 1H), 8.06 (br, 2H), 7.97 (d, J = 4.8Hz, 1H), 7.82 (d, J = 8.8Hz, 1H), 7.74 (d, J = 5.6Hz, 2H), 7.68 (d, J = 8.4Hz, 1H), 7.62 (d, J = 7.6Hz, 1H), 7.43-7.33 (m, 5H), 7.15 (d, J = 7.6Hz, 1H), 6.88 (s, 2H), 6.39 (dd, J = 7.6 Hz and 4.8 Hz, 1H),

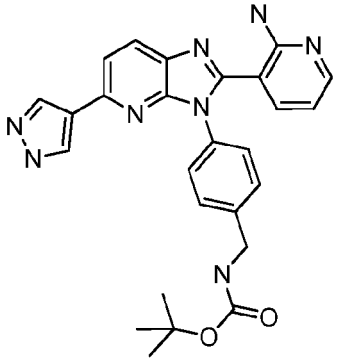
4.11 (d, J = 4.8 Hz, 2H), 3.66 (s, 3H), 2.03 (s, 3H); LCMS: 594[M+H]; Calc. for $C_{30}H_{27}N_9O_3S$ • 0.15 HCl • 0.15 triethylamine • 0.2 dichloromethane: C 58.87, H 4.51, N 20.46; Found: C 59.25, H 4.92, N 20.06.

[0001564] Example 85: Synthesis of 3-(3-(4-(aminomethyl)phenyl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



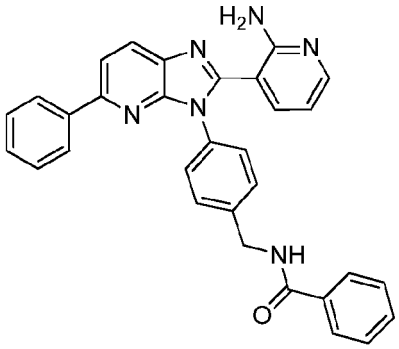
[0001565] 3-(3-(4-(Aminomethyl)phenyl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine was synthesized according to General Procedure A followed by General Procedures I and B. Mp. 264-269°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.50 (br, 4H), 8.43 (br, 1H), 8.22 (d, J = 8.4 Hz, 1H), 8.13-8.09 (m, 3H), 7.85 (d, J = 7.6 Hz, 1H), 7.77 (d, J = 8.8 Hz, 1H), 7.66 (d, J = 8.0 Hz, 2H), 7.58 (d, J = 8.8 Hz, 2H), 6.86 (t, J = 7.2 Hz, 1H), 4.10 (q, J = 5.2 Hz, 2H); LCMS: 383[M+H].

[0001566] Example 86: Synthesis of *tert*-butyl 4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate



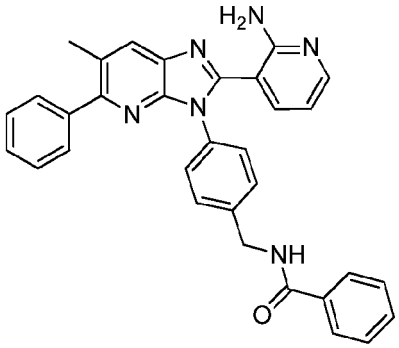
[0001567] *Tert*-butyl 4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate was synthesized according to General Procedure A followed by General Procedure I. Mp. 243-244°C; 400 M Hz ^{1}H NMR (DMSO-d_6) δ 12,99 (s, 1H), 8.19 (s, 1H), 8.12 (d, J = 8.8 Hz, 1H), 7.95 (d, J = 5.2 Hz, 1H), 7.92 (s, 1H), 7.68 (d, J = 8.4 Hz, 1H), 7.47 (t, J = 5.6 Hz, 1H), 7.40-7.33 (m, 4H), 7.13 (d, J = 7.2 Hz, 1H), 6.94 (s, 2H), 6.36 (t, J = 6.0 Hz, 1H), 4.20 (d, J = 6.4Hz, 2H), 1.39 (s, 9H); LCMS: 483[M+H].

[0001568] Example 87: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



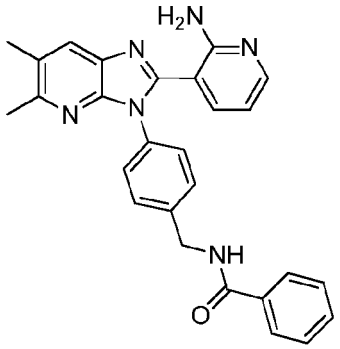
[0001569] N-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A, followed by General Procedures H, B and C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.14 (t, J = 6.0 Hz, 1H), 8.24 (d, J = 8.0 Hz, 1H), 7.97 (m, 6H), 7.45 (m, 10H), 7.19 (d, J = 8.0 Hz, 1H), 6.93 (s, 2H), 6.39 (dd, J = 7.6 and 4.8 Hz, 1H), 4.59 (d, J = 6.0 Hz, 2H); LCMS[M+H]: 497.

[0001570] Example 88: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



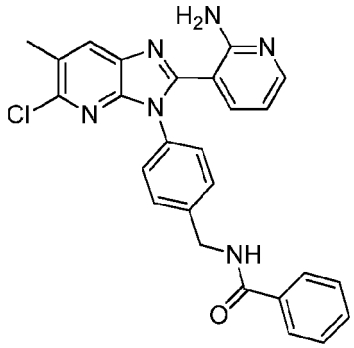
[0001571] N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamidewas synthesized according to General Procedure A followed by General Procedures B, C and H. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.07 (t, J = 5.6 Hz, 1H), 8.10 (s, 1H), 7.96 (d, J = 4.8 Hz, 1H), 7.88 (d, J = 7.6 Hz, 2H), 7.62-7.35 (m, 12H), 7.16 (d, J = 7.6 Hz, 1H), 6.90 (s, 2H), 6.37 (m, 1H), 4.53 (d, J = 5.6 Hz, 2H), 2.40 (s, 3H); LCMS[M+H]: 511.

[0001572] Example 89: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



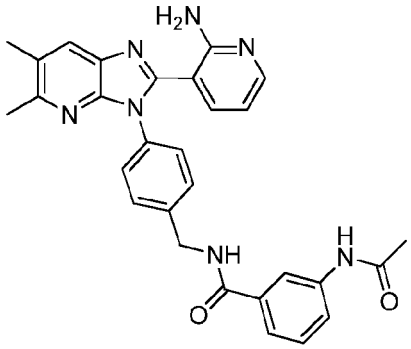
[0001573] N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B and C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.12 (m, 1H), 7.91 (m, 4H), 7.48 (m, 5H), 7.32 (d, J = 7.6 Hz, 2H), 7.11 (d, J = 7.6 Hz, 1H), 6.98 (s, 2H), 6.34 (m, 1H), 4.55 (d, J = 5.6 Hz, 2H), 2.40 (s, 3H), 2.35 (s, 3H); LCMS[M+H]: 449.

[0001574] Example 90: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



[0001575] N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B, and C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.11 (t, J = 5.2 Hz, 1H), 8.21 (s, 1H), 7.96 (d, J = 4.8 Hz, 1H), 7.90 (d, J = 7.6 Hz, 2H), 7.48 (m, 5H), 7.36 (d, J = 8.4 Hz, 2H), 7.19 (d, J = 7.6 Hz, 1H), 6.90 (s, 2H), 6.37 (dd, J = 7.2 Hz and 5.2 Hz, 1H), 4.56 (d, J = 6.0 Hz, 2H), 2.43 (s, 3H); LCMS[M+H]: 469.

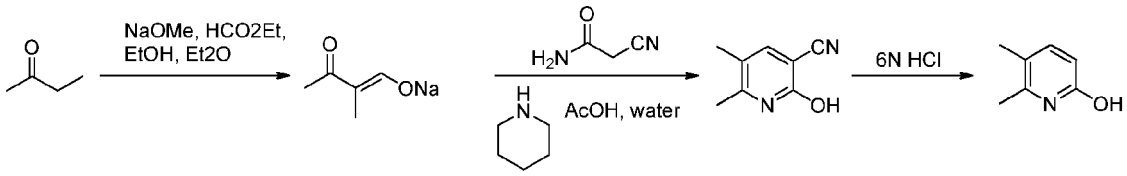
[0001576] Example 91: Synthesis of 3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



[0001577] N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B and C. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.06 (s, 1H), 9.08 (t, J = 5.6 Hz, 1H), 8.03 (s, 1H), 7.92 (m, 2H), 7.76 (d, J = 7.6 Hz, 1H), 7.55 (d, J = 7.6 Hz, 1H), 7.37 (m, 5H), 7.11 (d, J = 8.0 Hz, 1H), 6.98 (s, 2H), 6.34 (dd, J = 7.6 Hz and 4.8 Hz, 1H), 4.54 (d, J = 6.0 Hz, 2H), 2.41 (s, 3H), 2.35 (s, 3H), 2.03 (s, 3H); LCMS[M+H]: 506.

[0001578] Synthesis of Building blocks **1** for General Procedure A1 (for Examples 88, 89, 90 and 91):

[0001579] Synthesis of 5,6-dimethylpyridin-2-ol

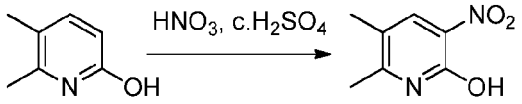


[0001580] A mixture of sodium methoxide (19.3 g, 0.357 mol) and diethyl ether (280 mL) at 0°C was treated with absolute ethanol (45.5 ml). The solution was then treated with a mixture of butan-2-one (31.9 mL, 0.356 mol) and ethyl formate (29.3 mL, 0.364 mol) over 10 minutes and stirred for a further 2.5 hours. The reaction mixture was warmed to room temperature and stirred overnight. The slurry was filtered under a stream of nitrogen, washed with diethyl ether (250 mL) and dried under vacuum to yield 4-hydroxy-3-methylbut-3-en-2-one, sodium salt as a white solid (31.7g).

[0001581] Acetic acid (7.93 mL) was treated sequentially with piperidine (13.6 mL), water (208 mL), 4-hydroxy-3-methylbut-3-en-2-one, sodium salt (31.7 g, 0.257 mol) then 2-cyanoacetamide (23.8 g, 0.283 mol) then heated to reflux for 6 hours. The reaction mixture was then cooled to <20°C, treated with acetic acid (28.3 mL), stirred for 10 minutes at 0°C, then filtered and washed with water (200 mL) to yield 2-hydroxy-5,6-dimethylnicotinonitrile. To the wet solid was added 6N hydrochloric acid (200 mL) and the mixture was heated to reflux for 3 days. The cooled reaction mixture was poured into ice-water and basified with

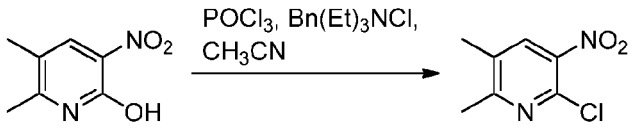
concentrated ammonium hydroxide (200 mL) and stirred for 10 minutes. The mixture was filtered, washed with water and dried under vacuum at 60°C to yield 5,6-dimethylpyridin-2-ol (9.57 g, 22%). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 11.19 (s, 1H), 7.19 (d, 1H), 6.04 (d, 1H), 2.09 (s, 3H), 1.91 (s, 3H).

[0001582] Synthesis of 5,6-dimethyl-3-nitropyridin-2-ol



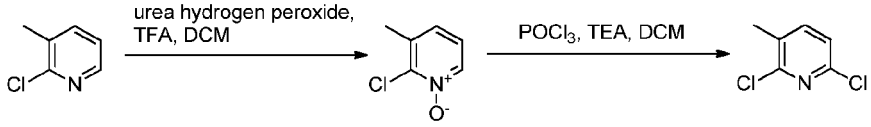
[0001583] A mixture of 5,6-dimethylpyridin-2-ol (9.57 g, 0.078 mol) and concentrated sulfuric acid (116 mL) cooled in an ice bath was treated with 70% nitric acid (6.5 mL) in a dropwise manner so that the reaction temperature remains below 15°C, after which the reaction was stirred for a further 1 hour. The mixture was poured into ice-water (300 ml), stirred for 5 minutes then filtered, washed with water (60 mL) and dried under vacuum at 60°C to yield 5,6-dimethyl-3-nitropyridin-2-ol (7.09 g, 54%). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 12.74 (s, 1H), 8.32 (s, 1H), 2.26 (s, 3H), 2.03 (s, 3H).

[0001584] Synthesis of 2-chloro-5,6-dimethyl-3-nitropyridine



[0001585] A mixture of 5,6-dimethyl-3-nitropyridin-2-ol (7.09 g, 42.2 mmol), benzyltriethylammonium chloride (4.82 g, 21.1 mmol) and acetonitrile (90 mL) at room temperature was slowly treated with phosphorus oxychloride (11.8 mL, 125 mmol) then heated to reflux and stirred overnight. The mixture was concentrated under reduced pressure and treated with ice-water (220 mL) and basified with concentrated ammonium hydroxide (100 mL). The mixture was extracted with dichloromethane (3 x 100 mL) and the combined extracts were dried over anhydrous sodium sulfate, filtered and concentrated under reduced pressure to yield 2-chloro-5,6-dimethyl-3-nitropyridine (7.28 g, 92%). Mp. 72-76°C; 400 M Hz ^{1}H NMR ($CDCl_3$) δ: 8.01 (s, 1H), 2.58 (s, 3H), 2.38 (s, 3H).

[0001586] Synthesis of 2,6-dichloro-3-methylpyridine

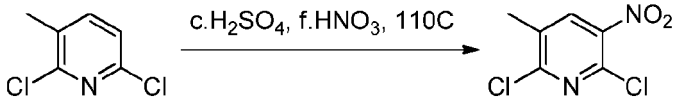


[0001587] To a mixture of 2-chloro-3-methylpyridine (12.8 g, 100 mmol), urea hydrogen peroxide (19.8 g, 210 mmol) and dichloromethane (130 mL) was added dropwise anhydrous trifluoroacetic acid (28.2 mL, 200 mmol) at 0°C. The reaction was stirred for a further 1.5

hours, warmed to room temperature and then treated with 9% w/w aqueous sodium hydrosulfite (200 mL). The mixture was stirred for a further 15 minutes then 2N hydrochloric acid (50 ml) was added and the mixture was extracted with dichloromethane (2 x 120 mL). The combined extracts were washed with saturated aqueous sodium hydrogen carbonate (2 x 100 mL), dried over anhydrous sodium sulfate, filtered and concentrated under reduced pressure to yield 2-chloro-3-methylpyridine 1-oxide as a yellow solid (11.45 g; 89% purity by UV).

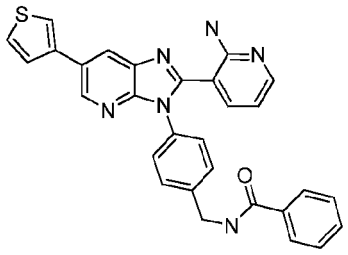
[0001588] A solution of 2-chloro-3-methylpyridine 1-oxide (11.37 g, 79.2 mmol) and triethylamine (13.1 ml, 94.0 mmol) in dichloromethane (90 mL) at 0°C was slowly treated with a solution of phosphorus oxychloride (8.8 ml, 96.1 mmol) in dichloromethane (35 mL) and stirred for a further 2 hours then heated to reflux for 1 hour. Water (40 mL) was added to the reaction mixture then it was basified with 2N sodium hydroxide (150 mL). The mixture was extracted with dichloromethane (3 x 100 mL) and the combined extracts were dried over anhydrous sodium sulfate, filtered and concentrated under reduced pressure. The product was purified by flash column chromatography on silica gel (160 g) 100% dichloromethane to afford 2,6-dichloro-3-methylpyridine as a white solid (2.64 g, 21%). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 7.86 (d, J = 8.4 Hz, 1H), 7.47 (d, J = 8.0 Hz, 1H), 2.30 (s, 3H).

[0001589] Synthesis of 2,6-dichloro-3-methyl-5-nitropyridine



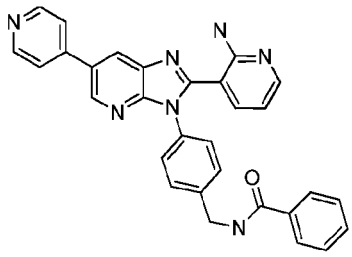
[0001590] A mixture of 2,6-dichloro-3-methylpyridine (2.20 g, 13.6 mmol) in concentrated sulfuric acid (11.3 mL) was treated with fuming nitric acid (6.65 mL) and heated to 110°C for 3 hours. The reaction mixture was poured into ice-water and neutralized with 2N sodium hydroxide. The mixture was extracted with dichloromethane (3 x 70 mL) and the combined extracts were dried over anhydrous sodium sulfate, filtered and concentrated under reduced pressure to yield 2,6-dichloro-3-methyl-5-nitropyridine (2.43 g, 86%). 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 8.66 (s, 1H), 2.39 (s, 3H).

[0001591] Example 92: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-(thiophen-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



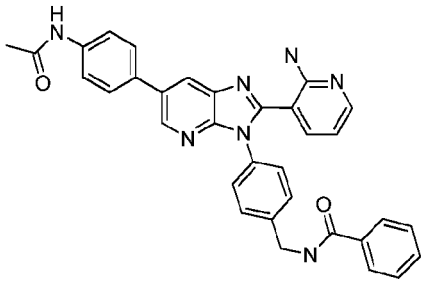
[0001592] N-(4-(2-(2-aminopyridin-3-yl)-6-(thiophen-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B, C and H in an array. LCMS[M+H]: 503.

[0001593] Example 93: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



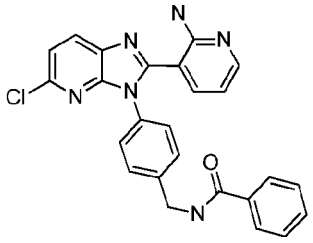
[0001594] N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B, C and H in an array. LCMS[M+H]: 498.

[0001595] Example 94: synthesis of N-(4-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



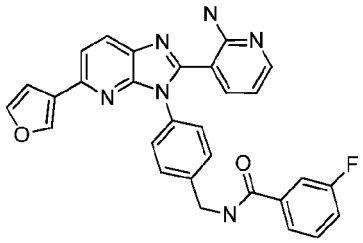
[0001596] N-(4-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B, C and H. The title compound was purified by preparative HPLC. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 10.06 (s, 1H), 9.08 (t, J = 5.6 Hz, 1H), 8.65 (d, J = 2.0 Hz, 1H), 8.46 (d, J = 2.0 Hz, 1H), 8.05 (dd, J = 1.6 Hz and 5.2 Hz, 1H), 7.93 (d, J = 7.2 Hz, 2H),7.45-7.73 (m,14 H), 6.68 (dd, J = 7.6 Hz and 4.8 Hz, 1H), 4.58 (d, J = 6.0 Hz, 2H), 2.08 (s, 3H); LCMS[M+H]: 506.

[0001597] Example 95: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



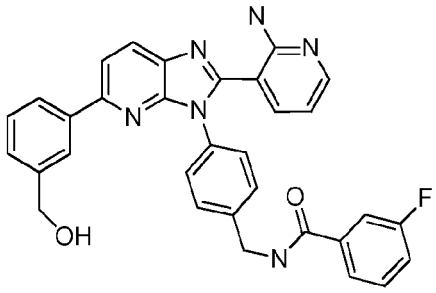
[0001598] N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide was synthesized according to General Procedure A followed by General Procedures B and C. LCMS[M+H]: 455.

[0001599] Example 96: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(furan-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



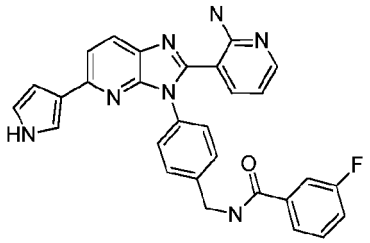
[0001600] N-(4-(2-(2-aminopyridin-3-yl)-5-(furan-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide was synthesized according to General Procedure A followed by General Procedures B, C and H in an array. LCMS[M+H]: 505.

[0001601] Example 97: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(3-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



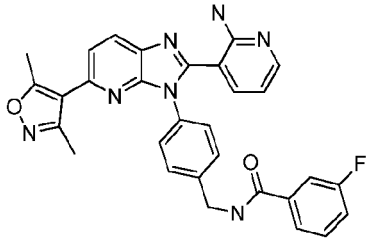
[0001602] N-(4-(2-(2-aminopyridin-3-yl)-5-(3-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide was synthesized according to General Procedure A followed by General Procedures B, C and A in an array. LCMS[M+H]: 545.

[0001603] Example 98: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



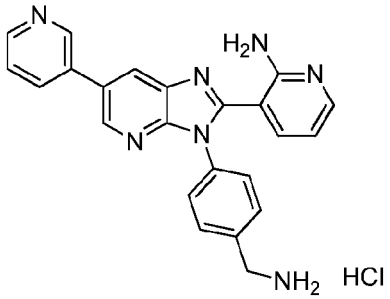
[0001604] N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide was synthesized according to General Procedure A followed by General Procedures B, C and A in an array. LCMS[M+H]: 504.

[0001605] Example 99: Synthesis of N-(4-(2-(2-aminopyridin-3-yl)-5-(3,5-dimethylisoxazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



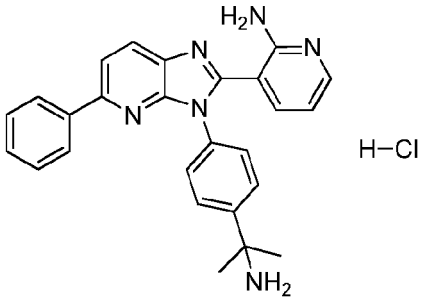
[0001606] N-(4-(2-(2-aminopyridin-3-yl)-5-(3,5-dimethylisoxazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide was synthesized according to General Procedure A followed by General Procedures B, C and H in an array. LCMS[M+H]: 534.

[0001607] Example 100: Synthesis of 3-(3-(4-(aminomethyl)phenyl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



[0001608] 3-(3-(4-(aminomethyl)phenyl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H NMR (DMSO-d_6) δ: 9.38 (d, J = 2.0 Hz, 1H) 9.11 (t, J = 1.2 Hz, 1H), 8.93-8.94 (m, 2H), 8.77-8.89 (m, 1H), 8.25-8.29 (m, 1H), 8.04-8.06 (m, 1H), 7.86-7.88 (m, 1H), 7.66-7.77 (m, 4 H), 6.85 (dd, J = 6.0 Hz and 7.2 Hz, 1H), 4.86 (s, 2H); LCMS[M+H]: 394.

[0001609] Example 101: Synthesis of 3-{3-[4-(1-amino-1-methylethyl)phenyl]-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride



[0001610] 3-{3-[4-(1-amino-1-methylethyl)phenyl]-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride was synthesized by General Grocedure A (step 1) followed by an additional deprotection/ protection step then General Procedures A (step 2), I and B.

[0001611] Step 1: To a solution of 2,6-dichloro-3-nitropyridine (262 mg) in DMA (1 ml) and triethylamine (284 ul) chilled to 0°C was added dropwise a solution of N-[1-(4-aminophenyl)-1-methylethyl]-2-methylpropane-2-sulfinamide (173 mg) in DMA (1 ml) over the course of 10 minutes. The reaction was allowed to stir at 0°C for one hour and then slowly allowed to warm to room temperature and react overnight. The reaction was diluted with saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic layer was washed with saturated sodium bicarbonate solution and brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (30-100% ethyl acetate in hexanes) gave the product (107 mg, 38%); LCMS (APCI): 411 [M+H].

[0001612] Deprotection/ protection: To a solution of N-(1-{4-[(6-chloro-3-nitropyridin-2-yl)amino]phenyl}-1-methylethyl)-2-methylpropane-2-sulfinamide (107 mg) in DCM (5 ml) was added dropwise a solution of hydrogen chloride in dioxane (4 M, 130 ul) at room temperature. The reaction mixture was stirred for 30 min. Hexane was added to the suspension and the solid was filtered to give N-[4-(1-amino-1-methylethyl)phenyl]-6-chloro-3-nitropyridin-2-amine hydrochloride. To a suspension of N-[4-(1-amino-1-methylethyl)phenyl]-6-chloro-3-nitropyridin-2-amine hydrochloride in THF (3 ml) chilled to 0° C was added saturated sodium bicarbonate solution (6 ml) and followed by a solution of di-*tert*-butyl dicarbonate (167 mg) in THF (3 ml) dropwise. After being stirred at room temperature over night, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated sodium bicarbonate solution and brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (3-30% ethyl acetate in hexanes) gave the product (99 mg, 2 steps 93%).

400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 10.25 (brs, 1H), 8.46 (d, *J* = 8.7Hz, 1H), 7.61 (d, *J* = 8.7 Hz, 2H), 7.43 (d, *J* = 8.7 Hz, 2H), 6.79 (d, *J* = 8.7 Hz, 1H), 4.94 (brs, 1H), 1.64 (s, 6H), 1.50-1.00 (m, 9H); LCMS (APCI): 351 [M-(t-Bu)+H].

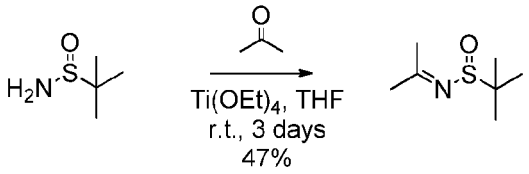
[0001613] Step 2: To a solution of tert-butyl (1-{4-[(6-chloro-3-nitropyridin-2-yl)amino]phenyl}-1-methylethyl)carbamate (98 mg) in anhydrous DMSO (1.2 ml) and anhydrous methanol (0.2 ml) was added 2-aminonicotinaldehyde (32 mg) followed by $Na_2S_2O_4$ (126 mg). The reaction mixture was heated to 100°C for 18 h. Upon completion of the reaction, saturated sodium bicarbonate solution was added and the reaction was allowed to stir for 1 day at room temperature. The reaction was extracted with ethyl acetate. The organic layer was washed with saturated sodium bicarbonate solution and brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (10-100% ethyl acetate in hexanes) gave the product (30 mg, 26%); LCMS (APCI): 479 [M+H].

[0001614] Step 3: To a suspension of tert-butyl (1-{4-[2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-1-methylethyl)carbamate (30 mg) was added saturated aqueous sodium bicarbonate (150 ul) and phenyl boronic acid (15 mg). The reaction was degassed for 5 minutes and the Pd $(PPh_3)_4$ (7 mg) was added. The reaction was again degassed for 5 minutes and then heated to 100°C for 18 h. The reaction mixture was cooled to room temperature, and ethyl acetate and saturated sodium bicarbonate solution water was added to the reaction. The organics were washed with saturated sodium bicarbonate and brine, dried over sodium sulfate and concentrated. Purification by column chromatography (30-100% ethyl acetate in hexanes) gave the product (27 mg, 81%); LCMS (APCI): 521 [M+H].

[0001615] Step 4: To a solution of tert-butyl (1-{4-[2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-1-methylethyl)carbamate (27 mg) in dichloromethane (1 mL) was added a solution of hydrogen chloride in ethyl acetate (80 ul). The reaction was allowed to stir at room temperature for 1 h. Upon completion of the reaction, diisopropylether was added to the suspension and the solid was filtered to give the product (19 mg, 71%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.90-8.70 (m, 3H), 8.37 (d, *J* = 8.3 Hz, 2H), 8.15 (dd, *J* = 6.0 Hz and 1.8 Hz, 1H,), 8.08-8.03 (m, 3H), 7.86 (dd, *J* = 7.3 Hz and 1.4 Hz, 1H), 7.79 (d, *J* = 8.7 Hz, 2H), 7.67 (d, *J* = 8.7 Hz, 2H), 7.52-7.47 (m, 2H), 7.46-7.41 (m, 1H), 6.89 (dd, *J* = 7.3 Hz and 6.4 Hz, 1H), 1.70 (s, 6H); LCMS: 421 [M+H].

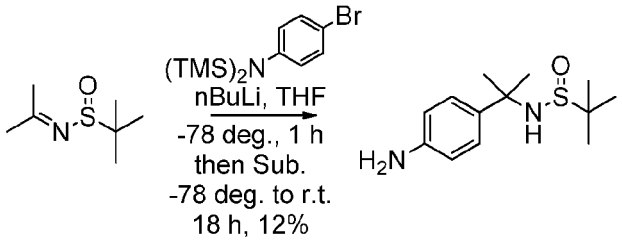
[0001616] Synthesis of building block 2, General Procedure A:

[0001617] Step (1): N-isopropylidene-2-methylpropane-2-sulfinamide



[0001618] To a solution of 2-Methyl-2-propanesulfinamide (1.47 g) and acetone (0.98 ml) in THF (24 ml) chilled to 0° C was added $Ti(OEt)_4$ (5.36 ml) dropwise over the course of 15 minutes. After being stirred at room temperature for 3 days, the reaction mixture was quenched by the rapid addition into ice cooled saturated sodium bicarbonate solution. The suspension was filtered through glass fiber filter paper, washing with ethyl acetate. The filtrate was washed with saturated sodium bicarbonate solution and brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (10-60% ethyl acetate in hexanes) gave the product (0.923 g, 47%). 400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 2.34 (s, 3H), 2.18 (s, 3H), 1.23 (s, 9H).

[0001619] Step (2): N-[1-(4-aminophenyl)-1-methylethyl]-2-methylpropane-2-sulfinamide

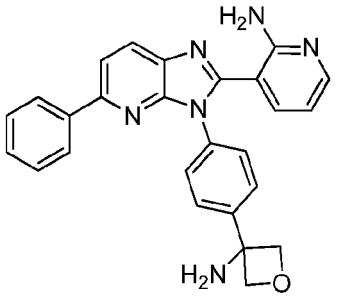


[0001620]

[0001621] A solution of n-butyllithium in hexanes (1.65 M, 5.2 mL) was added dropwise to a solution of 4-bromo-N,N-bis(trimethylsilyl)aniline (2.55 mL) in THF (14 mL) at -78 ° C. The resulting mixture was stirred for 1.5 h at -78 ° C before a solution of N-isopropylidene-2-methylpropane-2-sulfinamide in THF (2.8 mL) was added dropwise at -78 ° C. The reaction mixture was stirred for an additional 1 h at -78 ° C then allowed to warm to room temperature. After being stirred for 18 h at room temperature, the reaction mixture was poured into ice cooled saturated sodium bicarbonate solution. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with saturated sodium bicarbonate solution and brine and then dried over anhydrous sodium sulfate. The dried solution was filtered and the filtrate was concentrated to dryness. The residue was diluted with diisopropylether and extracted with 1 M citric acid solution. The aqueous extracts were combined and basified with sodium bicarbonate solid to pH>7. The basic aqueous layer was extracted with ethyl acetate and the organic layer was washed with brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification

by column chromatography (50-100% ethyl acetate in hexanes) gave the product (173 mg, 12%).400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 7.26 (d, J = 8.7 Hz, 2H), 6.65 (d, J = 8.7 Hz, 2H), 3.66 (brs, 1H), 3.31 (s, 1H), 1.67 (s, 3H), 1.64 (s, 3H), 1.20 (s, 9H).

[0001622] Example 102: Synthesis of 3-{3-[4-(3-aminooxetan-3-yl)phenyl]-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine



[0001623] 3-{3-[4-(3-aminooxetan-3-yl)phenyl]-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine was synthesized by General Procedure A (step 1) followed by an additional deprotection/ protection step then General Procedure A (step 2) followed by General Procedures I and B.

[0001624] Step 1: To a solution of 2,6-dichloro-3-nitropyridine (194 mg) in DMA (4.8 mL) and triethylamine (210 ul) chilled to 0° C was added dropwise a solution of N-[3-(4-aminophenyl)oxetan-3-yl]-2-methylpropane-2-sulfinamide (135 mg) in DMA (0.7 mL) over the course of 10 minutes. The reaction was allowed to stir at 0°C for one hour and then slowly allowed to warm to room temperature and react overnight. The reaction was diluted with saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic layer was washed with saturated sodium bicarbonate solution and brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (30-100% ethyl acetate in hexanes) gave the product (103 mg, 48%).400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 10.15 (s, 1H), 8.56 (d, J = 8.7 Hz, 1H), 7.66 (d, J = 8.7 Hz, 2H), 7.51 (d, J = 8.7 Hz, 2H), 7.03 (d, J = 8.7 Hz, 1H), 6.33 (s, 1H), 5.04 - 4.99 (m, 2H), 4.91 (d, J = 6.4 Hz, 1H), 4.72 (d, J = 6.4 Hz 1H), 1.13 (s, 9H).

[0001625] Deprotection/ protection step: To a solution of N-(3-{4-[(6-chloro-3-nitropyridin-2-yl)amino]phenyl}oxetan-3-yl)-2-methylpropane-2-sulfinamide (95 mg) in DCM (5 ml) was added dropwise a solution of hydrogen chloride in dioxane (4 M, 111 ul) at room temperature. The reaction mixture was stirred for 10 min. Hexane was added to the suspension and the solid was filtered to give N-[4-(3-aminooxetan-3-yl)phenyl]-6-chloro-3-nitropyridin-2-amine hydrochloride. To a suspension of N-[4-(3-aminooxetan-3-yl)phenyl]-6-

chloro-3-nitropyridin-2-amine hydrochloride in THF (3 mL) chilled to 0° C was added saturated sodium bicarbonate solution (6 mL) and followed by a solution of di-*tert*-butyl dicarbonate (145 mg) in THF (3 mL) dropwise. After being stirred at room temperature over night, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated sodium bicarbonate solution and brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (10-100% ethyl acetate in hexanes) gave the product (59 mg, 2 steps 63%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 10.14 (s, 1H), 8.55 (d, J = 8.7 Hz, 1H), 8.10 (brs, 1H), 7.62 (d, J = 8.7 Hz, 2H), 7.49 (d, J = 8.7 Hz, 2H), 7.01 (d, J = 8.7 Hz, 1H), 4.82 (d, J = 6.4 Hz, 2H,), 4.68 (d, 2H, J = 6.4 Hz), 1.50-1.10 (m, 9H); LCMS: 421 [M+H].

[0001626] To a suspension of tert-butyl (3-{4-[(6-chloro-3-nitropyridin-2-yl)amino]phenyl}oxetan-3-yl)carbamate (52 mg) was added saturated aqueous sodium bicarbonate (300 ul) and phenyl boronic acid (30 mg). The reaction was degassed for 5 minutes and the Pd $(PPh_3)_4$ (14 mg) was added. The reaction was again degassed for 5 minutes and then heated to 100°C for 15 h. The reaction mixture was cooled to room temperature, and ethyl acetate and saturated sodium bicarbonate solutionwater was added to the reaction. The organics were washed with saturated sodium bicarbonate and brine, dried over sodium sulfate and concentrated. Purification by column chromatography (5-30% ethyl acetate in hexanes) gave the product (37 mg, 65%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 10.14 (s, 1H), 8.62 (d, J = 8.7 Hz, 1H), 8.14 - 8.08 (m, 3H), 7.79 (d, J = 8.7 Hz, 2H), 7.61 (d, J = 8.7 Hz, 1H), 7.56 - 7.48 (m, 5H), 4.84 (d, J = 6.9 Hz, 2H), 4.70 (d, J = 6.9 Hz, 2H), 1.50-1.10 (m, 9H); LCMS: 463 [M+H].

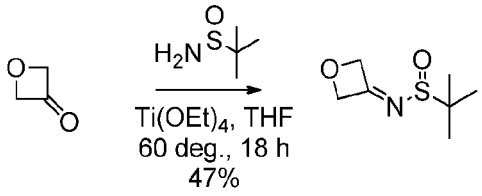
[0001627] Step 2: To a solution of *tert*-butyl (3-{4-[(3-nitro-6-phenylpyridin-2-yl)amino]phenyl}oxetan-3-yl)carbamate (34 mg) in anhydrous DMSO (0.6 mL) and anhydrous methanol (0.1 mL) was added 2-aminonicotinaldehyde (10 mg) followed by $Na_2S_2O_4$ (39 mg). The reaction mixture was heated to 100°C for 18 h. Upon completion of the reaction, saturated sodium bicarbonate solution was added. The reaction was extracted with ethyl acetate. The organic layer was washed with saturated sodium bicarbonate solution and brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (50-100% ethyl acetate in hexanes) gave the product (29 mg, 72%); LCMS (APCI): 535 [M+H].

[0001628] To a suspension of *tert*-butyl (3-{4-[2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}oxetan-3-yl)carbamate (29 mg) in dichloromethane (1 mL) was added trifluoroacetic acid (0.5 ml) dropwise at 4 °C. The reaction was allowed to

stir at room temperature for 30 min. The reaction mixture was concentrated. Purification by column chromatography (NH-silica gel: 30-100% ethyl acetate in hexanes) gave the product (13 mg, 55%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.27 (d, J = 8.7 Hz, 1H), 8.06-7.97 (m, 4H), 7.87 (d, J = 8.3 Hz, 2H), 7.55-7.37 (m, 5H), 7.23 (dd, J = 7.8 Hz and 1.8 Hz, 1H), 6.96 (brs, 2H), 6.43 (dd, J = 7.8 Hz and 4.6 Hz, 1H), 4.76 (d, J = 6.0 Hz, 2H), 5.96 (d, J = 6.0 Hz, 2H), 2.73 (brs, 2H); LCMS: 435 [M+H].

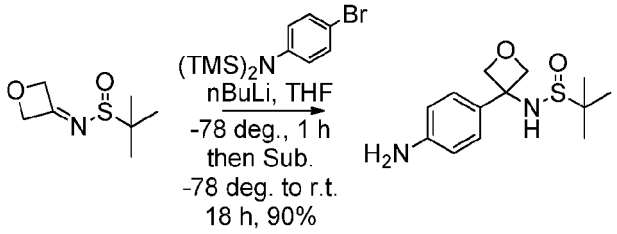
[0001629] Synthesis of N-[3-(4-aminophenyl)oxetan-3-yl]-2-methylpropane-2-sulfinamide, a building block 2 for General Procedure A (step 1)

[0001630] Step (1): 2-methyl-N-oxetan-3-ylidenepropane-2-sulfinamide



[0001631] To a solution of 2-methyl-2-propanesulfinamide (1.47 g) and 3-oxetanone (0.85 mL) in THF (24 mL) was added $Ti(OEt)_4$ (5.34 mL) dropwise over the course of 15 minutes at room temperature. After being stirred at 60° C for 18 h, the reaction mixture was quenched by the rapid addition into ice cooled saturated sodium bicarbonate solution. The suspension was filtered through glass fiber filter paper, washing with ethyl acetate. The filtrate was washed with saturated sodium bicarbonate solution and brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (10-100% ethyl acetate in hexanes) gave the product (0.992 g, 47%). 400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 5.80 (ddd, J = 15.6 Hz, 4.1 Hz and 2.3 Hz, 1H), 5.66 (ddd, J = 15.6 Hz, 4.1 Hz and 2.3 Hz, 1H), 5.52-5.41 (m, 2H), 1.27 (s, 9H).

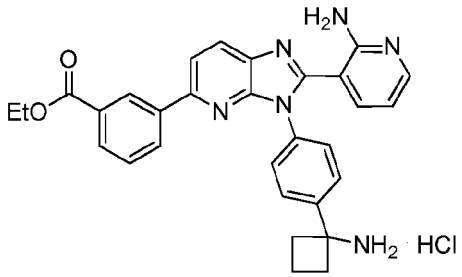
[0001632] Step (2): N-[3-(4-aminophenyl)oxetan-3-yl]-2-methylpropane-2-sulfinamide



[0001633] A solution of n-butyllithium in hexanes (1.65 M, 5.14 mL) was added dropwise to a solution of 4-bromo-N,N-bis(trimethylsilyl)aniline (2.52 mL) in THF (14 mL) at -78 °C. The resulting mixture was stirred for 1 h at -78 °C before a solution of 2-methyl-N-oxetan-3-ylidenepropane-2-sulfinamide in THF (2.8 mL) was added dropwise over the course of 15 minutes at -78 °C. The reaction mixture was stirred for an additional 1 h at -78 ° C then

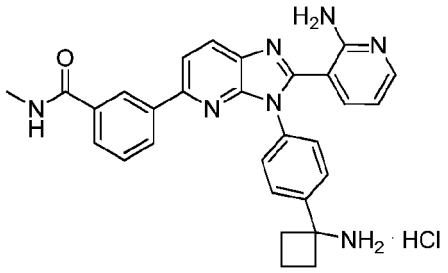
allowed to warm to room temperature. After being stirred for 18 h at room temperature, the reaction mixture was poured into ice cooled saturated sodium bicarbonate solution. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with saturated sodium bicarbonate solution and brine and then dried over anhydrous sodium sulfate. The dried solution was filtered and the filtrate was concentrated to dryness. The residue was diluted with diisopropylether and extracted with 1 M citric acid solution. The aqueous extracts were combined and basified with sodium bicarbonate solid to pH>7. The basic aqueous layer was extracted with ethyl acetate and the organic layer was washed with brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by recrystallization with ethyl acetate and column chromatography (30-100% ethyl acetate in hexanes) gave the product (1.37 g, 90%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 7.07 (d, J = 8.7 Hz, 2H), 5.56 (d, J = 8.7 Hz, 2H), 6.05 (s, 1H), 5.10 (brs, 2H), 4.94 (dd, J = 6.0 and 2.3 Hz, 2H), 4.83 (d, J = 6.0 Hz, 1H), 4.60 (d, J = 6.0 Hz, 1H), 1.08 (s, 9H).

[0001634] Example 103: Synthesis of ethyl 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b] -pyridin-5-yl}benzoate hydrochloride



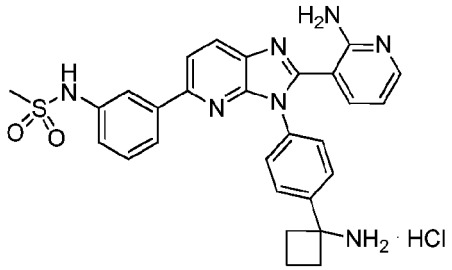
[0001635] Ethyl 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b] -pyridin-5-yl}benzoate hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.91-8.74 (m, 2H), 8.63-8.60 (m, 1H), 8.41 (d, J = 8.02 Hz, 1H), 8.34 (d, J = 8.02 Hz, 2H), 8.18 - 8.11 (m, 2H), 8.03 - 7.99 (m, 1H), 7.89 -7.82 (m, 1H), 7.78 - 7.69 (m, 3H), 7.65 (t, J = 8.02 Hz, 1H), 6.88 (br s, 1H), 4.35 (q, J = 6.96 Hz, 2H), 2.70 - 2.57 (m, 4H), 2.29 - 2.19 (m, 1H), 1.92 - 1.82 (m, 1H), 1.35 (t, J = 6.96 Hz, 3H); LCMS: 505 [M+H].

[0001636] Example 104: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N-methylbenzamide hydrochloride



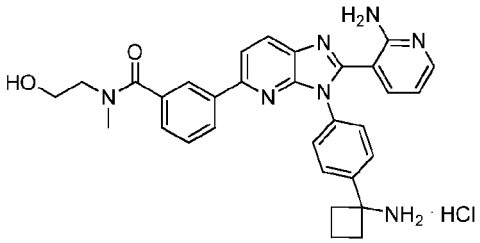
[0001637] 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N-methylbenzamide hydrochloride was synthesized according to General Procedure A followed by General Procedures I, F, G and B. Procedure F was modified by replacing LiOH with NaOH. Procedure G was modified by replacing HBTU with EDCI and HOBT, DIEA with triethylamine and DMF with N,N-dimethylacetamide. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.91 - 8.71 (m, 3H), 8.49 - 8.39 (m, 2H), 8.17 - 8.11 (m, 3H), 7.87 (d, J = 7.45 Hz, 1H), 7.76 - 7.68 (m, 6H), 7.57 (t, J = 7.73 Hz, 1H), 2.82 (d, J = 4.58 Hz, 3H), 2.70 - 2.56 (m, 4H), 2.27 - 2.18 (m, 1H), 1.91 - 1.82 (m, 1H); LCMS: 490 [M+H].

[0001638] Example 105: Synthesis of N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)methanesulfonamide hydrochloride



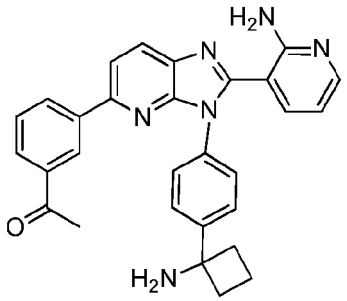
[0001639] N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)methanesulfonamide hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 9.95 - 9.86 (m, 1H), 8.93 - 8.63 (m, 3H), 8.43 - 8.33 (m, 1H), 8.17 - 8.06 (m, 1H), 7.99 - 7.88 (m, 2H), 7.79 - 7.60 (m, 6H), 7.47 - 7.42 (m, 1H), 7.28 - 7.23 (m, 1H), 3.04 (s, 3H), 2.69 - 2.56 (m, 4H), 2.27 - 2.16 (m, 1H), 1.93 - 1.83 (m, 1H); LCMS: 526 [M+H].

[0001640] Example 106: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N-(2-hydroxyethyl)-N-methylbenzamide hydrochloride



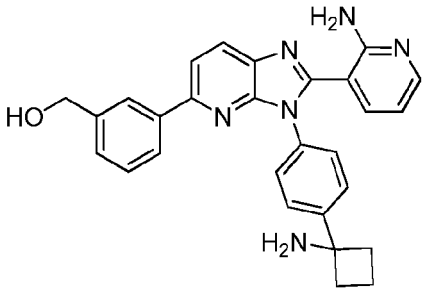
[0001641] 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N-(2-hydroxyethyl)-N-methylbenzamide hydrochloride was synthesized according to General Procedure A followed by General Procedures I, F, G and B. Procedure F was modified by replacing LiOH with NaOH. Procedure G was modified by replacing HBTU with EDCI and HOBT, DIEA with triethylamine and DMF with N,N-dimethylacetamide. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.79 (br s, 2H), 8.37 (d, J = 8.02 Hz, 1H), 8.14 - 8.02 (m, 4H), 7.76 - 7.65 (m, 5H), 7.57 - 7.50 (m, 1H), 7.45 (d, J = 7.45 Hz, 1H), 6.78 (br s, 1H), 3.68 - 3.47 (m, 4H), 3.03 - 2.96 (m, 3H), 2.70-2.55 (m, 4H), 2.28-2.17 (m, 1H), 1.92-1.81 (m, 1H); LCMS: 534 [M+H].

[0001642] Example 107: Synthesis 1-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)ethanone trifluoro acetate



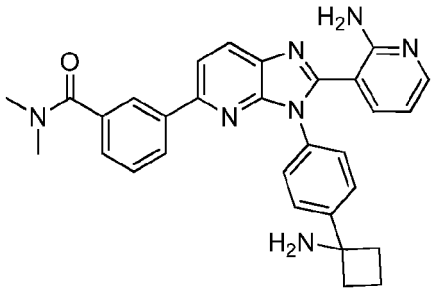
[0001643] 1-(3-{3-[4-(1-Aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)ethanone was synthesized according to General Procedures A (step 1), I, A (step 2) and B. B was modified by using TFA instead of HCl. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.57 (s, 1H), 8.30 (t, J = 8.0 Hz, 2H), 8.09 (d, J = 8.6 Hz, 1H), 8.01 (dd, J = 4.6 Hz and 1.7 Hz, 1H), 7.98 (d, J = 7.4 Hz, 1H), 7.65 - 7.61 (m, 3H), 7.46 (d, J = 8.6 Hz, 2H), 7.22 (dd, J = 7.4 Hz and 1.7 Hz, 1H), 6.99 (br s, 2H), 6.42 (dd, J = 7.7 Hz and 4.9 Hz, 1H), 2.64 (s, 3H), 2.47 - 2.40 (m, 2H), 2.16 - 2.09 (m, 2H), 2.09 - 2.00 (m, 1H), 1.75 - 1.67 (m, 1H); LCMS: 475 [M+H].

[0001644] Example 108: Synthesis of (3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)methanol hydrochloride



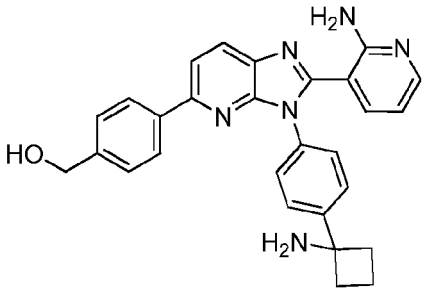
[0001645] (3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)methanol was synthesized according to General Procedure A (step 1) followed by General Procedures I, A (step 2) and B. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.27 (d, J = 8.6 Hz, 1H), 8.00 (dd, J = 4.6 Hz and 1.7 Hz, 1H), 7.96 (s, 1H), 7.95 (d, J = 8.0 Hz, 1H), 7.89 (d, J = 7.4 Hz, 1H), 7.64 (d, J = 8.0 Hz, 2H), 7.47 (d, J = 8.6 Hz, 2H), 7.42 (t, J = 7.4 Hz, 1H), 7.35 (d, J = 7.4 Hz, 1H), 7.20 (dd, J = 7.4 Hz and 1.7 Hz, 1H), 6.95 (s, 2H), 6.41 (dd, J = 7.7 Hz and 4.9 Hz, 1H), 5.24 (t, J = 6.3 Hz, 1H,), 4.56 (d, J = 5.2 Hz, 2H), 2.49 - 2.43 (m, 2H), 2.22 - 2.15 (m, 2H), 2.11 - 2.02 (m, 1H), 1.78 - 1.70 (m, 1H); LCMS: 463 [M+H].

[0001646] Example 109: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N,N-dimethylbenzamide hydrochloride



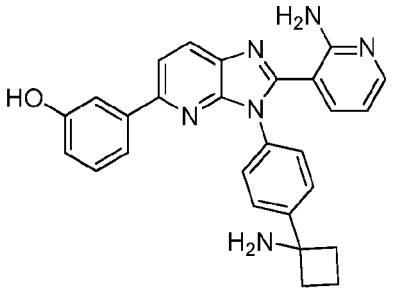
[0001647] 3-{3-[4-(1-Aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N,N-dimethylbenzamide was synthesized according to General Procedure A (step 1) followed by General Procedures I, A (step 2) and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.20 (dd, J = 8.0 Hz and 5.2 Hz, 1H), 8.11 (d, J = 7.4 Hz, 1H), 8.07 - 8.05 (1H, m), 8.04 - 8.00 (m, 1H), 7.88 (dd, J = 8.6 Hz and 5.2 Hz, 1H), 7.63 - 7.60 (m, 2H), 7.55 - 7.50 (m, 1H), 7.50 - 7.46 (m, 4H), 7.43 (t, J = 5.7 Hz, 1H), 7.22 - 7.20 (m, 1H), 6.48 - 6.44 (m, 1H), 3.16 (d, J = 4.0 Hz, 3H,), 3.06 (d, J = 4.6 Hz, 3H,), 2.73 - 2.65 (m, 2H), 2.40 - 2.33 (m, 2H), 2.25 - 2.15 (m, 1H), 1.95 - 1.85 (m, 1H) ; LCMS: 504[M+H].

[0001648] Example 110: Synthesis of (4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)methanol hydrochloride



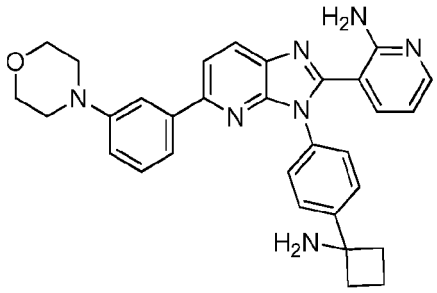
[0001649] (4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)methanol was synthesized according to General Procedure A (step 1) followed by General Procedures I, A (step 2) and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.19 (d, J = 8.6 Hz, 1H), 8.02 (d, J = 8.0 Hz, 2H), 7.98 (dd, J = 4.6 Hz and 1.7 Hz, 1H), 7.95 (q, J = 4.4 Hz, 1H), 7.67 (d, J = 8.6 Hz, 2H), 7.56 (d, J = 8.0 Hz, 2H), 7.41 (d, J = 8.6 Hz, 2H), 7.36 (dd, J = 7.4 Hz and 1.7 Hz, 1H), 6.49 (dd, J = 7.4 Hz and 4.6 Hz, 1H), 4.64 (s, 2H), 2.80 - 2.73 (m, 2H), 2.53 - 2.46 (m, 2H), 2.27 - 2.17 (m, 1H), 1.98 - 1.89 (m, 1H); LCMS: 463[M+H].

[0001650] Example 111: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenol hydro chloride



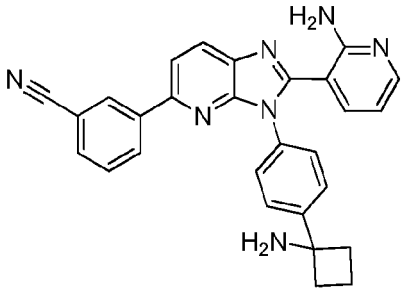
[0001651] 3-{3-[4-(1-Aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenol was synthesized according to General Procedure A (step 1) followed General Procedures I, A (step 2) and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.15 (dd, J = 8.6 Hz and 3.4 Hz, 1H), 7.99 - 7.97 (m, 1H), 7.87 (dd, J = 8.6 Hz and 3.4 Hz, 1H), 7.65 (dd, J = 8.6 Hz and 2.9 Hz, 2H), 7.52 (dd, J = 8.6 Hz and 3.4 Hz, 2H), 7.47 (s, 2H), 7.34 - 7.31 (m, 1H), 7.23 (td, J = 8.0 Hz and 3.4 Hz, 1H), 6.81 (d, J = 8.0 Hz, 1H), 6.49 - 6.46 (m, 1H), 2.78 - 2.70 (m, 2H), 2.51 - 2.43 (m, 2H), 2.26 - 2.16 (m, 1H), 1.98 - 1.88 (m, 1H); LCMS: 449[M+H].

[0001652] Example 112: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine



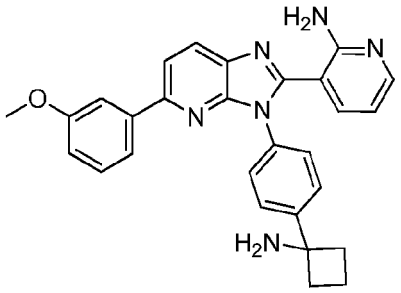
[0001653] 3-{3-[4-(1-Aminocyclobutyl)phenyl]-5-(3-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine was synthesized according to General Procedures A (step 1), I, A (step 2) and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.15 (d, J = 8.6 Hz, 1H), 7.98 (dd, J = 5.2 Hz and 1.7 Hz, 1H), 7.90 (d, J = 8.0 Hz, 1H), 7.65 - 7.62 (m, 3H), 7.51 (d, J = 7.4 Hz, 1H), 7.48 (d, J = 8.6 Hz, 2H), 7.34 (dd, J = 7.4 Hz and 1.7 Hz, 1H), 7.30 (t, J = 7.4 Hz, 1H), 6.99 (dd, J = 8.0, 2.3 Hz, 1H), 6.47 (dd, J = 7.7 Hz and 4.9 Hz, 1H), 3.84 (t, J = 4.6 Hz, 4H), 3.16 (t, J = 4.6 Hz, 4H), 2.68 - 2.61 (m, 2H), 2.39 - 2.32 (m, 2H), 2.19 - 2.10 (m, 1H), 1.87 - 1.80 (m, 1H); LCMS: 518[M+H].

[0001654] Example 113: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}benzonitrile hydro chloride



[0001655] 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}benzonitrile was synthesized according to General Procedures A (step 1), I, A (step 2) and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.35 (s, 1H), 8.33 (d, J = 9.2 Hz, 1H), 8.22 (d, J = 8.6 Hz, 1H), 8.00 - 7.97 (m, 2H), 7.71 (d, J = 7.4 Hz, 1H), 7.65 (d, J = 8.0 Hz, 2H), 7.60 (t, J = 7.4 Hz, 1H), 7.48 (d, J = 8.6 Hz, 2H), 7.33 (dd, J = 7.2 Hz and 1.4 Hz, 1H), 6.47 (dd, J = 7.4 Hz and 5.2 Hz, 1H), 2.69 - 2.62 (m, 2H), 2.39 - 2.32 (m, 2H), 2.20 - 2.11 (m, 1H), 1.90 - 1.81 (m, 1H); LCMS: 458[M+H].

[0001656] Example 114: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

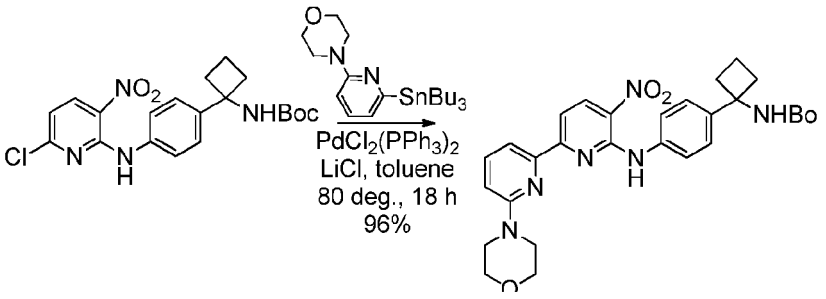


[0001657] 3-{3-[4-(1-Aminocyclobutyl)phenyl]-5-(3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine was synthesized according to General Procedures A (step 1), I, A (step 2) and B. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.26 (d, J = 8.6 Hz, 1H), 8.01 (dd, J = 4.6 Hz and 1.7 Hz, 1H), 8.00 (d, J = 8.6 Hz, 1H), 7.65 (d, J = 8.0 Hz, 2H), 7.62 (d, J = 6.9 Hz, 1H), 7.57 (t, J = 2.0 Hz, 1H), 7.50 (d, J = 8.6 Hz, 2H), 7.39 (t, J = 8.0 Hz, 1H), 7.22 (dd, J = 8.0 Hz and 1.7 Hz, 1H,), 6.99 (dd, J = 8.3 Hz and 2.6 Hz, 1H), 6.42 (dd, J = 7.7 Hz and 4.9 Hz, 1H), 3.81 (s, 3H), 2.50 - 2.45 (m, 2H), 2.28 - 2.21 (m, 2H), 2.13 - 2.04 (m, 1H), 1.80 - 1.71 (m, 1H).

[0001658] Example 115: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride

[0001659] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride was synthesized according to General Procedure A (step 1), followed by the synthesis of *tert*-butyl- (1-{4-[(6'-morpholin-4-yl-5-nitro-2,2'-bipyridin-6-yl)amino]phenyl}cyclobutyl)carbamate, General Procedures A (step 2) and B.

[0001660] Synthesis of *tert*-butyl (1-{4-[(6'-morpholin-4-yl-5-nitro-2,2'-bipyridin-6-yl)amino]phenyl}cyclobutyl)carbamate



[0001661] To a suspension of tert-butyl (1-{4-[(6-chloro-3-nitropyridin-2-yl)amino]phenyl}cyclobutyl)carbamate (100 mg) and LiCl (0.5 mg) in toluene (1.2 mL) was added 4-[6-(tributylstannyl)pyridin-2-yl]morpholine (108 mg). The reaction was degassed for 5 minutes and bis(triphenylphosphine)palladium(II) dichloride (8 mg) was added. The reaction was again degassed for 5 minutes and then heated to 80°C for 18 h. The reaction

mixture was cooled to room temperature. Purification by column chromatography (Silica gel: 5-30% ethyl acetate in hexanes then NH-Silica gel: 3-100% ethyl acetate in hexanes) gave the product (126 mg, 96%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 10.09 (s, 1H), 8.64 (d, J = 8.7 Hz, 1H), 7.89 (d, J = 8.7 Hz, 1H), 7.76 - 7.67 (m, 3H), 7.66 - 7.59 (m, 1H), 7.56 (d, J = 7.3 Hz, 1H), 7.42 (d, J = 8.7 Hz, 2H), 7.02 (d, J = 8.3 Hz, 1H), 3.75 (t, J = 4.8 Hz, 4H), 3.57 (t, J = 4.8 Hz, 4H), 2.47 - 2.34 (m, 4H), 2.08 - 1.93 (m, 1H), 1.88 - 1.71 (m, 1H), 1.46 - 1.08 (m, 9H); LCMS: 547 [M+H].

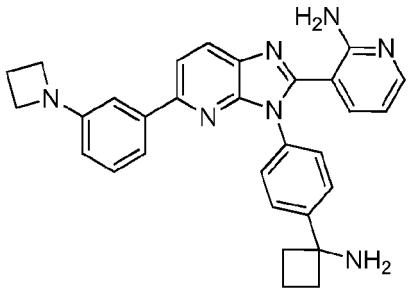
[0001662] Step 2: To a solution of tert-butyl (1-{4-[(6'-morpholin-4-yl-5-nitro-2,2'-bipyridin-6-yl)amino]phenyl}cyclobutyl)carbamate (119 mg) in anhydrous DMSO (1 ml) and anhydrous methanol (0.17 ml) was added 2-aminonicotinaldehyde (29 mg) followed by $Na_2S_2O_4$ (114 mg). The reaction mixture was heated to 100°C for 18 h. Upon completion of the reaction, the reaction mixture was poured into saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic layer was washed with saturated sodium bicarbonate solution and brine. The organics were dried over anhydrous sodium sulfate and concentrated under reduced pressure. Purification by column chromatography (silica gel: 0-10% methanol in chloroform, again silica gel: 0-10% methanol in ethyl acetate) gave the product (96 mg, 71%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.44 (d, J = 8.3 Hz, 1H), 8.27 (d, J = 8.3 Hz, 1H), 8.00 (dd, J = 5.0 Hz and 1.8 Hz, 1H), 7.75 - 7.65 (m, 1H), 7.62 (t, J = 8.0 Hz, 1H), 7.58 - 7.50 (m, 3H), 7.48 - 7.41 (m, 2H), 7.21 - 7.10 (m, 1H), 7.06 (brs, 2H), 6.85 (d, J = 8.0 Hz, 1H), 6.33 (dd, J = 7.8 Hz and 5.0 Hz, 1H), 3.76 (t, J = 4.6 Hz, 4H), 3.57 (t, J = 4.6 Hz, 4H), 2.50 - 2.35 (m, 4H), 2.12 - 1.96 (m, 1H), 1.92 - 1.76 (m, 1H), 1.47 - 1.09 (m, 1H); LCMS: 619 [M+H].

[0001663] Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride

[0001664] A solution of hydrogen chloride in dioxane (4 M, 5 ml) added to tert-butyl (1-{4-[2-(2-aminopyridin-3-yl)-5-(6-morpholin-4-ylpyridin-2-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}cyclobutyl)carbamate (31 mg) at room temperature. Upon completion of the reaction, the reaction mixture was concentrated under reduced pressure. Ethyl acetate was added to the residue and the solid was filtered to give the product (28 mg) as a yellow solid. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 9.01-8.91 (m, 1H), 8.94 (brs, 2H), 8.60 - 8.36 (m, 1H), 8.52 (d, J = 8.3 Hz, 1H), 8.39 (d, J = 8.3 Hz, 1H), 8.17 (dd, J = 6.4 Hz and 1.4 Hz, 1H), 7.92 (dd, J = 7.3 Hz and 1.4 Hz, 1H), 7.77 (d, J = 8.7 Hz, 2H), 7.73 - 7.64 (m, 3H), 7.58 (d, J = 7.3 Hz, 1H), 6.96 - 6.87 (m, 2H), 3.79 - 3.74 (m, 4H), 3.61 - 3.55 (m, 4H), 2.72 - 2.56 (m, 4H), 2.32 - 2.18 (m, 1H), 1.93 - 1.79 (m, 1H); LCMS: 519 [M+H]; Calc. for $C_{30}H_{30}N_8O$ ·

3.00 hydrochloric acid · 3.50 water : C 52.14, H 5.83, N 16.22, Cl 15.39; Found C 52.09, H 5.85, N 16.19, Cl 15.28.

[0001665] Example 116: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-azetidin-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydro chloride

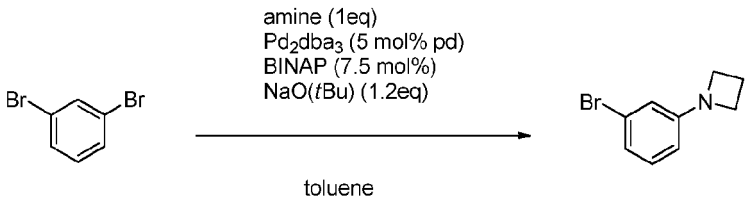


[0001666] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-azetidin-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine was synthesized according to general procedure A followed by General Procedures I and B.

[0001667] To a solution of tert-butyl (1-{4-[2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}cyclobutyl)carbamate (75 mg, 0.15 mmol) in toluene/ethanol (3 ml each), were added 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]azetidine (79 mg, 0.31 mmol), $Pd(PPh_3)_4$ (9 mg), and saturated sodium bicarbonate in water (300 ul). The reaction was degassed and heated to 100°C for 18 hr under nitrogen gas. The mixture was poured to water, extracted with ethyl acetate (15 mL x 3). The combined organic layer was dried over anhydrous sodium sulfate and evaporated under reduced pressure. The residue was purified by silica gel column chromatography (hexane: ethyl acetate : methanol = 9:1:0.1 to 1:1:0.1) and again purified with HPLC (acetonitrile/water (0.05% formic acid), gradient, 25 ml/min) to give the product (6 mg, 8%). LCMS: 488[M+H].

[0001668] Synthesis of 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]azetidine, a building block for General Procedure I.

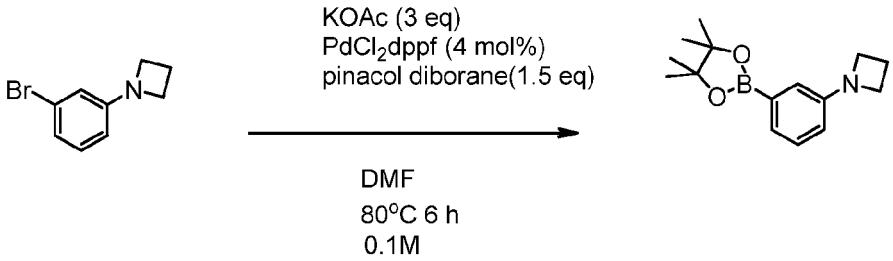
[0001669] Step 1: Synthesis of 1-(3-bromophenyl)azetidine



[0001670] To a solution of 1,3-dibromobenzene (472 mg, 2 mmol) in toluene (5 mL), were added azetidine hydrochloride (187 mg, 2 mmol), tris(dibenzylideneacetone)dipalladium (46 mg, 0.05 mmol), racemic BINAP (93 mg, 0.15

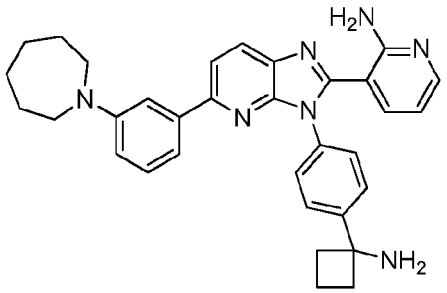
mmol) and sodium tert-butoxyde (230 mg, 2.4 mmol). The reaction was heated to 80°C for 12 hr under nitrogen gas. The reaction mixture was filtered through celite and the filtered mixture was evaporated. The residue was purified by silica gel column chromatography (hexane : ethyl acetate : methanol = 10:0:0 to 9:1:0.1) gave the product (51 mg, 12%). 400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 7.04 (t, *J* = 8.0 Hz, 1H), 6.82 (dd, *J* = 8.0 Hz and 1.1 Hz, 1H), 6.55 (t, *J* = 2.0 Hz, 1H), 6.33 (dd, *J* = 7.7 Hz and 2.0 Hz, 1H), 3.87 (t, *J* = 7.2 Hz, 4H), 2.40 - 2.34 (m, 2H) ; LCMS: 212[M+H].

[0001671] Step 2: Synthesis of 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]azetidine



[0001672] To a solution of 1-(3-bromophenyl)azetidine (98 mg, 0.46 mmol) in dimethylformamide (5 ml), were added bis(pinacolato)diboron (176 mg, 0.69 mmol), Pd(dppf)Cl_2·DCM (15 mg), and potassium acetate (136 mg, 1.4 mmol). The reaction was heated to 80°C for 6 hr under nitrogen gas. The mixture was diluted with ethyl acetate and washed with brine, dried over anhydrous sodium sulfate and evaporated under reduced pressure. The residue was purified by silica gel column chromatography (hexane : ethyl acetate : methanol = 9:1:0.1 to 8:2:0.2) gave the product (120 mg, 67%). 400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 7.22 (t, *J* = 7.4 Hz, 1H), 7.19 (dd, *J* = 5.7 Hz and 1.1 Hz, 1H), 6.88 (d, *J* = 2.9 Hz, 1H), 6.56 (dq, *J* = 7.9 Hz and 1.2 Hz, 1H), 3.89 (t, *J* = 7.2 Hz, 4H), 2.37 - 2.32 (m, 2H), 1.34 (s, 12H) ; LCMS: 260[M+H].

[0001673] Example 117-1: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-azepan-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride

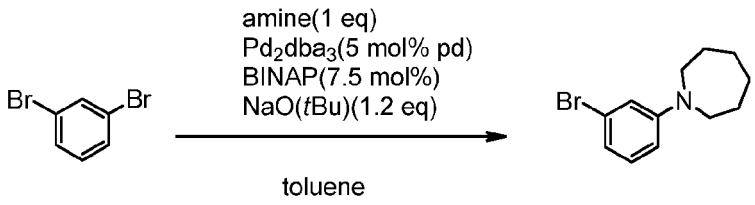


[0001674] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-azepan-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine was synthesized according to General Procedure

A followed by General Procedures I and B. The reaction and purification gave 12 mg (14%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.23 (d, J = 8.6 Hz, 1H), 8.18 (s, 1H), 8.02 (dd, J = 4.9 Hz and 2.0 Hz, 1H), 7.92 (d, J = 8.6 Hz, 1H), 7.64 (d, J = 8.6 Hz, 2H), 7.51 (d, J = 8.6 Hz, 2H), 7.40 (s, 1H), 7.28 (dd, J = 7.4 Hz and 1.7 Hz, 1H), 7.23 - 7.18 (m, 2H), 6.98 (s, 2H), 6.71 (dt, J = 6.9 Hz and 2.3 Hz, 1H), 6.44 (dd, J = 7.4 Hz and 5.2 Hz, 1H), 3.49 (t, J = 5.7 Hz, 4H), 2.48 - 2.45 (m, 2H), 2.31 - 2.24 (m, 2H), 2.12 - 2.02 (m, 1H), 1.77 1.69 (m, 5H), 1.49 - 1.42 (m, 4H); LCMS: 530[M+H].

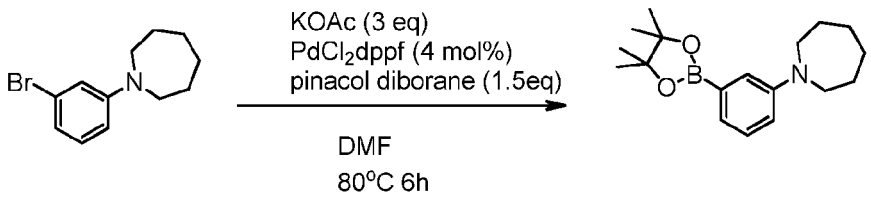
[0001675] Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-azepan-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine, a building block for Procedure I

[0001676] Step 1: Synthesis of 1-(3-bromophenyl)azepane



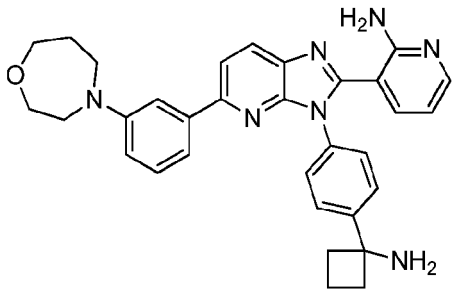
[0001677] 1-(3-bromophenyl)azepane was synthesized analogous to 1-(3-bromophenyl) azetidine (described under example 116). The reaction and purification gave 335 mg (66%). ^{1}H-NMR ($CDCl_3$) δ: 11.03 (1H, td, J = 8.0, 2.1 Hz), 6.79 (1H, d, J = 2.3 Hz), 6.72 (1H, d, J = 7.4 Hz), 6.58 (1H, d, J = 8.0 Hz), 3.43-3.40 (4H, m), 1.77 (4H, br s), 1.57-1.51 (4H, m) ; LCMS: 254[M+H].

[0001678] Step 2: Synthesis of 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl] azepane



[0001679] 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]azepane was synthesized analogous to 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]azetidine (described under example 116). The reaction and purification gave 805 mg (100%). 400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 7.21 (dd, J = 8.3 Hz and 7.2 Hz, 1H), 7.12 (d, J = 2.9 Hz, 1H), 7.08 (d, J = 6.9 Hz, 1H), 6.79 (ddd, J = 8.6 Hz, 2.9 Hz and 1.1 Hz, 1H), 3.47 (t, J = 6.0 Hz, 4H), 1.82 - 1.76 (m, 4H), 1.55 - 1.53 (m, 4H), 1.33 (s, 12H) ; LCMS: 302[M+H].

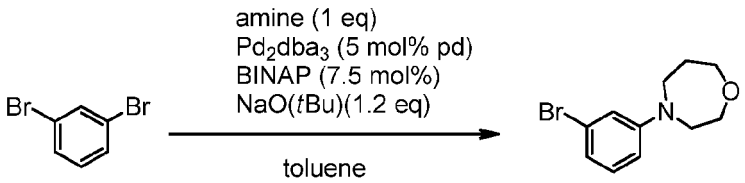
[0001680] Example 117-2: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(1,4-oxazepan-4-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride



[0001681] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(1,4-oxazepan-4-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine was synthesized according to General Procedure A followed by General Procedures I and B. The reaction and purification gave 26 mg (33%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.25 (d, J = 8.6 Hz, 1H), 8.03 (dd, J = 4.9 Hz and 2.0 Hz, 1H), 7.96 (d, J = 8.6 Hz, 1H), 7.68 (d, J = 8.6 Hz, 2H), 7.62 (d, J = 8.6 Hz, 2H), 7.41 (s, 1H), 7.29 (dd, J = 7.4 Hz and 1.7 Hz, 1H), 7.27 - 7.21 (m, 2H), 6.90 (s, 2H), 6.80 (dt, J = 7.4 Hz and 2.3 Hz, 1H), 6.44 (dd, J = 7.7 Hz and 4.9 Hz, 1H), 3.74 (t, J = 5.2 Hz, 2H), 3.65 - 3.59 (m, 4H), 3.59 - 3.55 (m, 2H), 2.67 - 2.59 (m, 2H), 2.55 - 2.51 (m, 2H), 2.21 - 2.12 (m, 1H), 1.94 - 1.88 (m, 2H), 1.88 - 1.80 (m, 1H); LCMS: 532[M+H].

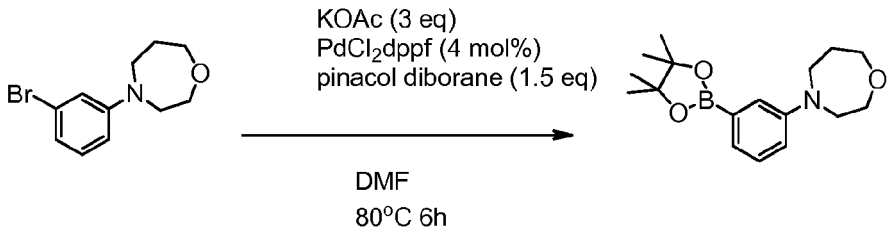
[0001682] Synthesis of 4-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1,4-oxazepane, a building block for procedure I

[0001683] Step 1: 4-(3-bromophenyl)-1,4-oxazepane



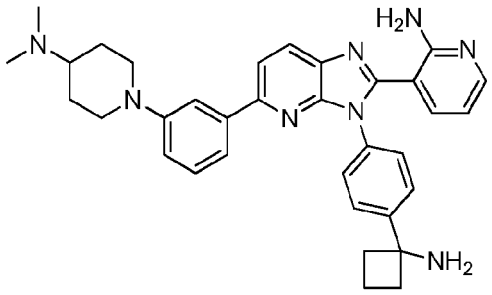
[0001684] 4-(3-bromophenyl)-1,4-oxazepane was synthesized analogous to analogous to 1-(3-bromophenyl)azetidine (described under example 116). The reaction and purification gave 302 mg (59%). 400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 7.05 (t, J = 8.0 Hz, 1H), 6.82 (t, J = 2.3 Hz, 1H), 6.79 (dd, J = 8.6 Hz and 1.1 Hz, 1H), 6.61 (dd, J = 8.0 Hz and 2.3 Hz, 1H), 3.81 (dd, J = 5.4 Hz and 4.3 Hz, 2H), 3.68 (t, J = 5.7 Hz, 2H), 3.60 - 3.56 (m, 4H), 2.04 - 1.99 (m, 2H) ; LCMS: 256[M+H].

[0001685] Step 2: Synthesis of 4-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1,4-oxazepane



[0001686] 4-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1,4-oxazepane was synthesized analogous to 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]azetidine (described under example 116). The reaction and purification gave 703 mg (99%). 400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 7.23 (t, J = 7.7 Hz, 1H), 7.16 - 7.13 (m, 2H), 6.83 - 6.81 (m, 1H), 3.84 (t, J = 4.9 Hz, 2H), 3.69 (t, J = 5.7 Hz, 2H), 3.66 - 3.62 (m, 4H), 2.07 - 2.01 (m, 2H), 1.34 (s, 12H); LCMS: 304[M+H].

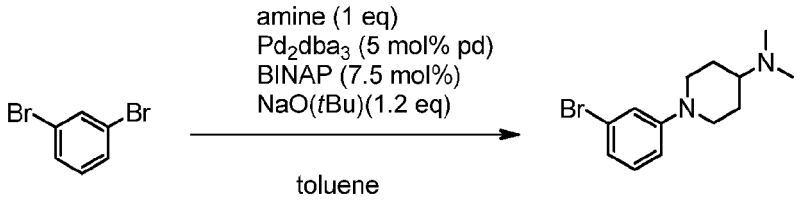
[0001687] Example 118: Synthesis of 3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[4-(dimethylamino)piperidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride



[0001688] 3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[4-(dimethylamino)piperidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine was synthesized according to General Procedure A followed by General Procedures I and B. The reaction and purification gave 81 mg (96%). 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 9.61-9.53 (m, 1H), 8.59 (br s, 3H), 8.28 (d, J = 8.6 Hz, 1H), 8.04 (dd, J = 4.6 Hz and 1.7 Hz, 1H), 8.02 (d, J = 8.0 Hz, 1H), 7.69 (d, J = 8.6 Hz, 2H), 7.64 (d, J = 8.6 Hz, 2H), 7.59 (s, 1H), 7.47 (d, J = 7.4 Hz, 1H), 7.30 (t, J = 8.0 Hz, 2H), 7.04 - 6.99 (m, 3H), 6.47 (dd, J = 7.4 Hz and 5.2 Hz, 1H), 3.95 (d, J = 12.6 Hz, 2H), 2.80 (d, J = 4.0 Hz, 6H), 2.78 - 2.72 (m, 2H), 2.70 - 2.63 (m, 2H), 2.58 - 2.52 (m, 2H), 2.24 - 2.15 (m, 2H), 2.10 - 2.04 (m, 2H), 1.92-1.82 (m, 1H), 1.75 - 1.65 (m, 2H); LCMS: 559[M+H].

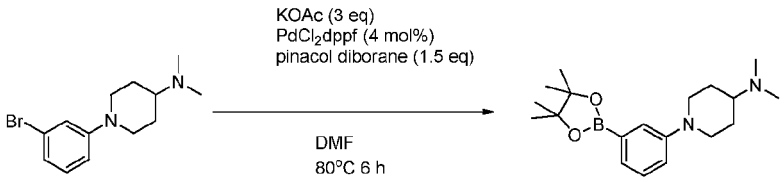
[0001689] Synthesis of 1-(3-bromophenyl)-*N*,*N*-dimethylpiperidin-4-amine, a building block for Procedure I

[0001690] Step 1



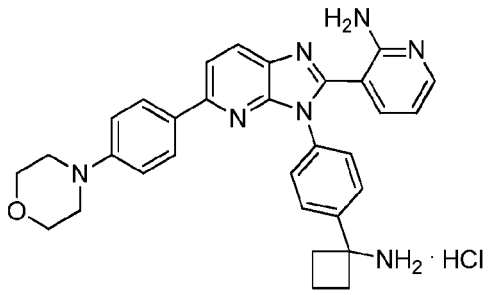
[0001691] 1-(3-bromophenyl)-*N*,*N*-dimethylpiperidin-4-amine was synthesized analogous to 1-(3-bromophenyl)azetidine (described under example 116). The reaction and purification gave 492 mg (87%). ^{1}H-NMR ($CDCl_3$) δ: 7.09 (t, *J* = 8.3 Hz, 1H), 7.04 (t, *J* = 2.0 Hz, 1H), 6.93 (d, *J* = 7.4 Hz, 1H), 6.83 (dd, *J* = 8.3, 2.0 Hz, 1H), 3.72 (d, *J* = 12.6 Hz, 2H), 2.74 (td, *J* = 12.3 Hz and 2.3 Hz, 2H), 2.45 - 2.38 (m, 1H), 2.37 (s, 6H), 2.00 - 1.94 (m, 2H), 1.65 (ddd, *J* = 24.1 Hz, 12.0 Hz and 4.0 Hz, 2H) ; LCMS: 283[M+H].

[0001692] Step 2: Synthesis of *N*,*N*-dimethyl-1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]piperidin-4-amine



[0001693] *N*,*N*-dimethyl-1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]piperidin-4-amine was synthesized analogous to 1-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]azetidine (described under example 116). The reaction and purification gave 906 mg (76%). 400 M Hz ^{1}H-NMR ($CDCl_3$) δ: 7.39 (d, *J* = 2.3 Hz, 1H), 7.30 - 7.24 (m, 2H), 7.05 (d, *J* = 8.0 Hz, 1H), 3.76 (d, *J* = 12.0 Hz, 2H), 2.71 (td, *J* = 12.2 Hz and 2.1 Hz, 2H), 2.31 (s, 6H), 2.25 (tt, *J* = 11.5 Hz and 3.4 Hz, 1H), 1.92 (d, *J* = 13.2 Hz, 2H), 1.68 - 1.59 (m, 2H), 1.34 (s, 12H).

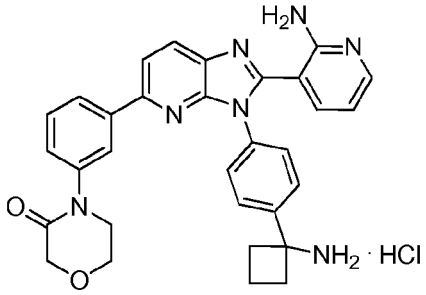
[0001694] Example 119: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride



[0001695] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (DMSO-

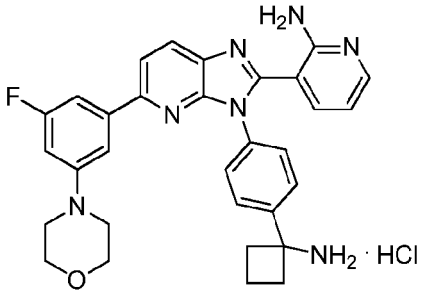
d_6) δ: 8.87 (br s, 2H), 8.29 (d, J = 8.59 Hz, 1H), 8.14 (d, J = 6.30 Hz, 1H), 8.00 - 7.94 (m, 3H), 7.85 - 7.83 (m, 1H), 7.77 - 7.73 (m, 2H), 7.68 (d, J = 8.59 Hz, 2H), 7.03 (d, J = 8.59 Hz, 2H), 6.90 - 6.88 (m, 1H), 3.79-3.73 (m, 4H), 3.22 - 3.17 (m, 4H), 2.69 - 2.60 (m, 4H), 2.29 - 2.18 (m, 1H), 1.90 - 1.82 (m, 1H); LCMS: 518 [M+H].

[0001696] Example 120: Synthesis 4-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)morpholin-3-one hydrochloride



[0001697] 4-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)morpholin-3-one hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.92 - 8.77 (m, 2H), 8.41 - 8.37 (m, 1H), 8.18 - 8.11 (m, 1H), 8.09 - 8.04 (m, 2H), 7.98 - 7.94 (m, 1H), 7.89 - 7.82 (m, 1H), 7.77 - 7.72 (m, 2H), 7.70 - 7.66 (m, 2H), 7.52 (t, J = 8.02 Hz, 1H), 7.45 (d, J = 8.02 Hz, 1H), 6.91 - 6.81 (m, 1H), 4.23 (s, 2H), 4.03 - 3.99 (m, 2H), 3.83 - 3.79 (m, 2H), 2.69 - 2.56 (m, 4H), 2.27 - 2.19 (m, 1H), 1.90 - 1.81 (m, 1H); LCMS: 532 [M+H].

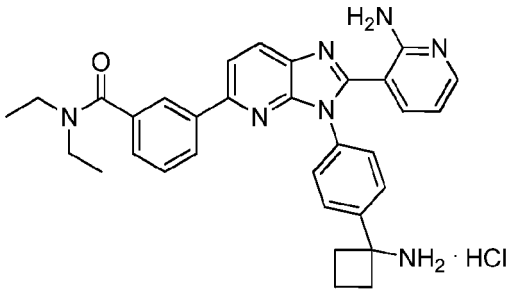
[0001698] Example 121: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-fluoro-5-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride



[0001699] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-fluoro-5-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.91 - 8.78 (m, 2H), 8.37 (d, J = 8.59 Hz, 1H), 8.15 (d, J = 8.59 Hz, 2H), 7.92 - 7.83 (m, 1H), 7.78 - 7.73 (m, 2H), 7.69 (d, J = 8.59 Hz, 2H), 7.48 (s, 1H), 7.26 (d, J = 9.74 Hz,

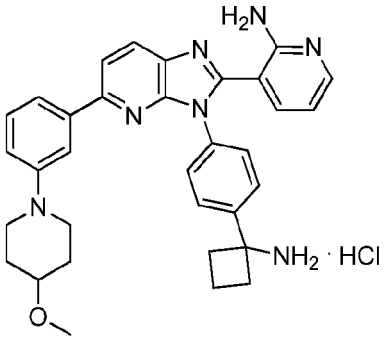
1H), 6.92 - 6.81 (m, 2H), 3.78 - 3.74 (m, 4H), 3.25 - 3.21 (m, 4H), 2.67 - 2.58 (m, 4H), 2.27 - 2.18 (m, 1H), 1.89 - 1.80 (m, 1H); LCMS: 536 [M+H].

[0001700] Example 122: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N,N-diethylbenzamide hydrochloride



[0001701] 3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N,N-diethylbenzamide hydrochloride was synthesized according to General Procedure A followed by General Procedures I, F, G and B. Procedure F was modified by replacing LiOH with NaOH. Procedure G was modified by replacing HBTU with EDCI and HOBT, DIEA with triethylamine and DMF with N,N-dimethylacetamide. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.83 (br s, 2H), 8.38 (d, J = 8.02 Hz, 1H), 8.14 - 8.11 (m, 3H), 8.01 - 7.99 (m, 1H), 7.85 - 7.79 (m, 1H), 7.74 (d, J = 8.59 Hz, 2H), 7.68 (d, J = 8.59 Hz, 2H), 7.55 (t, J = 7.73 Hz, 1H), 7.42 - 7.38 (m, 1H), 6.88 - 6.82 (m, 1H), 3.50 - 3.43 (m, 2H), 3.28 - 3.08 (m, 2H), 2.67 - 2.57 (m, 4H), 2.28 - 2.18 (m, 1H), 1.90 - 1.80 (m, 1H), 1.20 - 1.01 (m, 6H); LCMS: 532 [M+H].

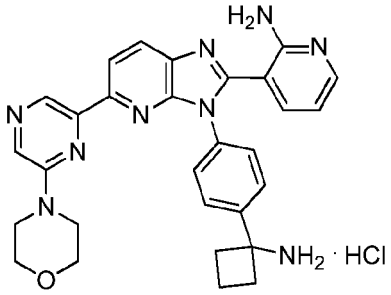
[0001702] Example 123: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(4-methoxypiperidin-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride



[0001703] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(4-methoxypiperidin-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.98 - 8.85 (m, 1H), 8.90 (brs, 2H), 8.53 - 7.33 (m, 5H), 8.39 (d, J = 8.3 Hz, 1H), 8.16

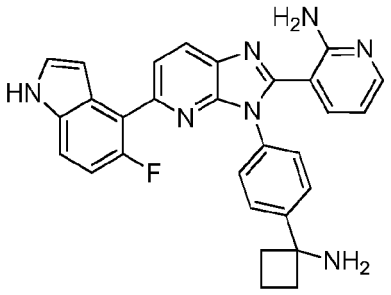
(dd, J = 6.0 Hz and 1.8 Hz, 1H), 8.11 (d, , J = 8.3 Hz, 1H), 7.89 (dd, J = 7.3 and 1.8 Hz, 1H), 7.77 (d, J = 8.7 Hz, 2H), 7.69 (d, J = 8.7 Hz, 2H), 6.89 (dd, J = 7.3 Hz and 6.0 Hz, 1H), 3.87 - 3.14 (m, 5H), 3.31 (s, 3H), 2.70 - 2.57 (m, 4H), 2.30 - 2.03 (m, 3H), 1.93 - 1.67 (m, 3H); LCMS: 546 [M+H].

[0001704] Example 124: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyrazin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride



[0001705] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyrazin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.97 - 8.78 (m, 1H), 8.87 (br s, 2H), 8.67 (s, 1H), 8.45 (d, J = 8.3 Hz, 1H), 8.41 (d, J = 8.3 Hz, 1H), 8.36 (s, 1H), 8.31 - 8.02 (m, 1H), 8.16 (dd, , J = 6.0 Hz and 1.8 Hz, 1H), 7.87 - 7.80 (m, 1H), 7.77 (d, J = 8.3 Hz, 2H), 7.69 (d, J = 8.3 Hz, 2H), 6.90 - 6.80 (m, 1H), 3.81 - 3.74 (m, 4H), 3.71 - 3.63 (m, 4H), 2.73 - 2.56 (m, 4H), 2.31 - 2.17 (m, 1H), 1.94 - 1.79 (m, 1H); LCMS: 520 [M+H].

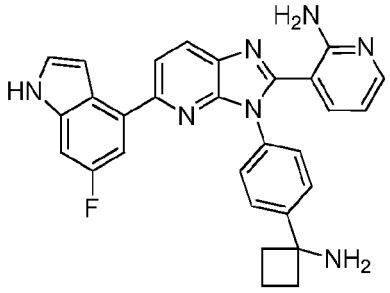
[0001706] Example 125: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(5-fluoro-1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine



[0001707] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(5-fluoro-1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine was synthesized according to General Procedure A followed by General Procedures I and B. ^{1}H-NMR (CD_3OD) δ: 8.25 (d, J = 8.6 Hz, 1H), 8.11 (s, 1H), 7.99 (dd, J = 5.2 Hz and 1.7 Hz, 1H), 7.80 (dd, J = 8.6 Hz and 2.3 Hz, 1H), 7.65 (d, J = 6.9 Hz, 2H), 7.50 - 7.47 (m, 2H), 7.40 (dd, J = 8.6 Hz and 4.0 Hz, 1H), 7.27 d, J = 3.4 Hz, 1H), 6.97 (dd, J = 10.9 Hz and 8.6 Hz, 1H), 6.60 (d, J = 2.9 Hz, 1H), 6.56 (dd, J = 8.0 Hz

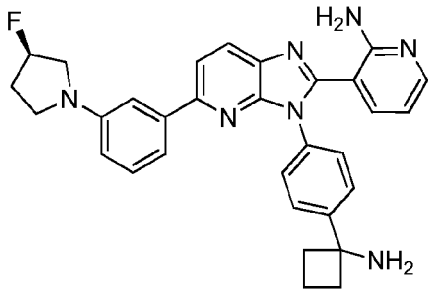
and 5.2 Hz, 1H), 2.83 - 2.75 (m, 2H), 2.65 - 2.59 (m, 2H), 2.29 - 2.21 (m, 1H), 2.01 - 1.92 (m, 1H); LCMS: 490[M+H].

[0001708] Example 126: Synthesis of 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-fluoro-1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine



[0001709] 3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-fluoro-1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.24 (d, J = 8.0 Hz, 1H), 8.10 (s, 1H), 7.98 (d, J = 8.6 Hz, 1H), 7.70 (d, J = 8.6 Hz, 2H), 7.64 (d, J = 8.6 Hz, 2H), 7.50 (dd, J = 9.7 Hz and 8.6 Hz, 1H), 7.45 (dd, J = 7.7 Hz and 1.4 Hz, 1H), 7.30 - 7.28 (m, 1H), 7.16 - 7.14 (m, 1H), 6.89 (d, J = 3.4 Hz, 1H), 6.55 (dd, J = 7.4 Hz and 5.2 Hz, 1H), 2.87 - 2.80 (m, 2H), 2.68 - 2.62 (m, 2H), 2.32 - 2.24 (m, 1H), 2.05 - 1.97 (m, 1H); LCMS: 490[M+H] .

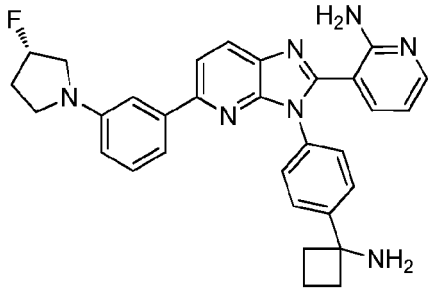
[0001710] Example 127: Synthesis of 3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[(3R)-3-fluoropyrrolidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



[0001711] 3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[(3R)-3-fluoropyrrolidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.16 - 8.13 (m, 4H), 7.98 (dd, J = 4.6 Hz and 1.7 Hz, 1H), 7.89 (d, J = 8.6 Hz, 1H), 7.69 (d, J = 8.6 Hz, 2H), 7.60 (d, J = 8.6 Hz, 2H), 7.36 (dd, J = 7.4Hz and 1.7 Hz, 1H), 7.29 (d, J = 8.0 Hz, 1H), 7.24 (d, J = 8.0 Hz, 1H), 7.21 (br s, 1H), 6.63 (dd, J = 8.0 Hz and 1.7 Hz, 1H), 6.50 (dd, J = 7.4 Hz and 5.2 Hz, 1H), 5.39 (d, J = 53.8 Hz, 1H), 3.65 - 3.41 (m, 4H), 2.87 -

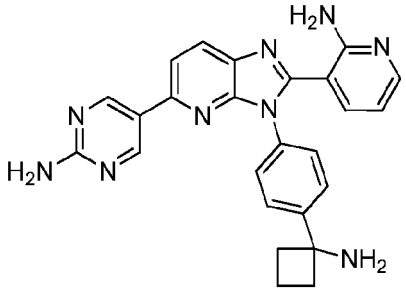
2.79 (m, 2H), 2.69 - 2.62 (m, 2H), 2.37 - 2.12 (m, 3H), 2.06 - 1.96 (m, 1H); LCMS: 520[M+H] .

[0001712] Example 128: Synthesis of 3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[(3S)-3-fluoropyrrolidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



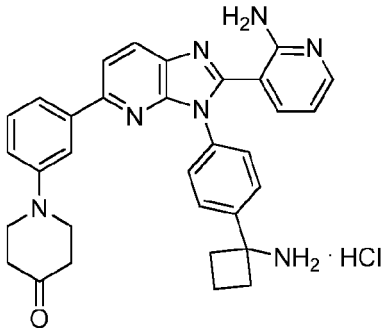
[0001713] 3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[(3S)-3-fluoropyrrolidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.17 - 8.13 (m, 4H), 7.99 (dd, *J* = 4.6 Hz and 1.7 Hz, 1H), 7.89 (d, *J* = 8.0 Hz, 1H), 7.69 (d, *J* = 8.6 Hz, 2H), 7.61 (d, *J* = 8.6 Hz, 2H), 7.37 (dd, *J* = 7.7 Hz and 2.0 Hz, 1H), 7.29 (d, *J* = 8.0 Hz, 1H), 7.23 (t, *J* = 8.0 Hz, 1H), 7.21 (t, *J* = 2.0 Hz, 1H), 6.63 (dd, *J* = 7.7 Hz and 2.0 Hz, 1H), 6.50 (dd, *J* = 7.7 Hz and 4.9 Hz, 1H), 5.39 (dt, *J* = 53.7 Hz 3.3 Hz, 1H), 3.65 - 3.42 (m, 4H), 2.87 - 2.80 (m, 2H), 2.68 - 2.61 (m, 3H), 2.37 - 2.13 (m, 3H), 2.06 - 1.96 (m, 1H); LCMS: 520[M+H] .

[0001714] Example 129 : Synthesis of 5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}pyrimidin-2-amine



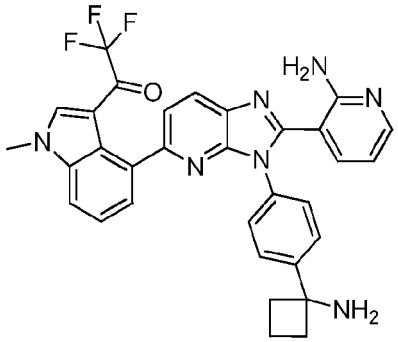
[0001715] 5-{3-[4-(1-Aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}pyrimidin-2-amine hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.86 (s, 2H), 8.18 (d, *J* = 8.6 Hz, 1H), 8.10 (s, 2H), 7.99 (dd, *J* = 5.2 Hz and 1.7 Hz, 1H), 7.82 (d, *J* = 8.6 Hz, 1H), 7.72 (d, *J* = 8.6 Hz, 2H), 7.62 (d, *J* = 9.0 Hz, 2H), 7.45 (dd, *J* = 7.4 Hz and 1.7 Hz, 1H), 6.56 (dd, *J* = 7.7 Hz and 5.4 Hz, 1H), 2.89 - 2.82 (m, 2H), 2.70 - 2.63 (m, 2H), 2.34 - 2.25 (m, 1H), 2.08 - 1.98 (m, 1H); LCMS: 450[M+H].

[0001716] Example 130: Synthesis of 1-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)piperidin-4-one hydrochloride



[0001717] 1-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)piperidin-4-one hydrochloride was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (DMSO-d_6) δ: 8.98 - 8.84 (m, 1H), 8.90 (brs, 2H), 8.55 - 8.32 (m, 1H), 8.36 (d, J = 8.7 Hz, 1H), 8.16 (dd, J = 6.2 Hz and 1.6 Hz, 1H), 8.10 (d, J = 8.7 Hz, 1H), 7.90 (dd, J = 7.3 Hz and 1.6 Hz, 1H), 7.76 (d, J = 8.7 Hz, 2H), 7.73 - 7.66 (m, 3H), 7.49 (d, J = 8.0 Hz, 1H), 7.35 (t, J = 8.0 Hz, 1H), 7.12 (dd, J = 8.0 Hz and 1.8 Hz, 1H), 6.90 (dd, J = 7.3 Hz and 6.2 Hz, 1H), 3.71 - 3.66 (m, 4H), 2.66 - 2.58 (m, 4H), 2.47 (t, J = 6.0 Hz, 4H), 2.29 - 2.16 (m, 1H), 1.92 - 1.79 (m, 1H); LCMS: 530 [M+H].

[0001718] Example 131: Synthesis of 1-(4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-1-methyl-1H-indol-3-yl)-2,2,2-trifluoroethanone



[0001719] 1-(4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-1-methyl-1H-indol-3-yl)-2,2,2-trifluoroethanone was synthesized according to General Procedure A followed by General Procedures I and B. 400 M Hz ^{1}H-NMR (CD_3OD) δ: 8.32 (d, J = 2.3 Hz, 1H), 8.21 (d, J = 8.6 Hz, 1H), 8.10 (s, 2H), 7.97 (dd, J = 5.2 Hz and 1.7 Hz, 1H), 7.65 (dd, J = 8.0 Hz and 1.1 Hz, 1H), 7.58 (dt, J = 9.0 Hz and 2.3 Hz, 2H), 7.52 (d, J = 8.6 Hz, 1H), 7.50 - 7.47 (m, 4H), 7.44 (dd, J = 7.4 Hz and

1.1 Hz, 1H), 6.56 (dd, *J* = 7.7 Hz and 5.4 Hz, 1H), 3.98 (s, 3H), 2.78 - 2.71 (m, 2H), 2.63 - 2.56 (m, 2H), 2.27 - 2.18 (m, 1H), 1.98 - 1.88 (1H, m) ; LCMS: 582[M+H].

[0001720] Example 132: Inactive Akt Alpha Screen Assay:

[0001721] AKT1 activity was assayed using the GSK3-derived biotinylated peptide substrate, crosstide (biotin-GRPRTSSFAEG), and AlphaScreen™ (Amplified Luminescent Proximity Homogeneous Assay) technology. AKT1 activation was achieved by the addition of the activating kinases PDK1 and MAPKAPK2, lipid vesicles, and ATP. The extent of peptide phosphorylation was determined using a phospho-AKT substrate antibody and acceptor beads conjugated to Protein A and donor beads conjugated to streptavidin that bind to the biotin on the peptide. Excitation of the donor beads converted ambient oxygen to excited singlet oxygen which, when in close proximity to acceptor beads, reacted with acceptor beads resulting in signal amplification.

[0001722] Test inhibitors and controls ((*S*)-1-((5-(3-methyl-1*H*-indazol-5-yl)pyridin-3-yl)oxy)-3-phenylpropan-2-amine, 1-(1-(4-(7-phenyl-1*H*-imidazo[4,5-*g*]quinoxalin-6-yl) benzyl)piperidin-4-yl)-1*H*-benzo[*d*]imidazol-2(3*H*)-one, and 8-(4-(1-aminocyclobutyl) phenyl)-9-phenyl-[1,2,4]triazolo[3,4-*f*][1,6]naphthyridin-3(2*H*)-one) were prepared in 10% DMSO at 10-fold the desired final concentration, and added to each well of a reaction plate (Corning 96-well half-area solid white nonbinding surface plate) in a volume of 2.5 μL. Full-length inactive AKT1 was diluted in assay buffer (50 mM Tris, pH 8.0, 0.02 mg/mL BSA, 10 mM $MgCl_2$, 1 mM EGTA, 10% glycerol, 0.2 mM Na_3VO_4, 1 mM DTT, 0.1 mM β-glycerophosphate, and 0.2 mM NaF) and added to each well in a volume of 17.5 μL for a final concentration in the 25 μL reaction of 8 nM (Akt1). After a 20 minute pre-incubation at room temperature, the kinase reaction was initiated by the addition of 5 μL of an activation mixture diluted in assay buffer containing biotinylated crosstide, PDK1, MAPKAPK2, DOPS/DOPC, PtdIns(3,4,5)P3, and ATP for final concentrations of 60 nM biotinylated crosstide, 0.1 nM PDK1, 0.7 nM MK2, 5.5 μM DOPS, 5.5 μM DOPC, 0.5 μM PtdIns(3,4,5)P3, and 50 μM ATP. The plates were incubated for 30 minutes at room temperature, and then stopped in the dark by the addition of 10 μL stop/detection mixture prepared in assay buffer containing EDTA, AlphaScreen™ Streptavidin Donor and Protein A Acceptor beads, and phospho-AKT substrate antibody for final concentrations of 10 mM EDTA, 500 ng/well of both AlphaScreen™ Streptavidin Donor beads and Protein A Acceptor beads, and phospho-AKT substrate antibody at a final dilution of 1:350. Assay plates were incubated for 90 minutes at room temperature in the dark, and the plates were read on a

Perkin Elmer Envision Multilabel plate reader (excitation wavelength: 640 nm, emission wavelength: 570 nm).

Reaction:

2.5 µL 10X Akt inhibitor in 10% DMSO

17.5 µL inactive Akt or buffer for blank

20 minute pre-incubation at room temperature

5 µL Reaction Mix (5X ATP, 5X substrate, 5X PDK1, 5X MK2, and 5X lipid vesicle mixture)

30 minute incubation at room temperature

10 µL Detection Buffer

90 minute incubation at room temperature

Detection (excitation: 640 nm, emission: 570 nm)

Envision Instrument Settings:

Instrument: Perkin Elmer Envision

Plate: 96 well

Program Name:

Excitation: Ex Top

Mirror: General Dual – Slot 2

Excitation Filter: CFP430 Ex. Slot 2

Emission Filter: Emission 579 – Em slot 2

2nd Emission Filter: None

Measurement Height (mm): 3.8

Excitation light (%): 1

Detector gain: 1

2nd detector gain: 0

Flashes: 10

Flashed/AD: 1

Reference Signal: 383722

AD gain: 4

Reference Excitation (%): 100

[0001723] Example 133: Inactive Akt HTRF Assay:

[0001724] AKT1 activity was assayed using CisBio KinEASE™ HTRF Assay technology. This technology utilizes a proprietary biotinylated peptide substrate (STKS3), streptavidin labeled XL665 antibody, and STK antibody-Eu^{3+}-cryptate. AKT1 activation was achieved by the addition of the activating kinases PDK1 and MAPKAPK2, lipid vesicles, and ATP. The extent of STKS3 biotinylated peptide phosphorylation was determined using a phospho-STK antibody- Eu^{3+}-cryptate and streptavidin labeled XL665 antibody. XL665 was stimulated by Eu^{3+}-cryptate resulting in a TR-FRET signal proportional to the SKS3 phosphorylation level.

[0001725] Test inhibitors and controls ((*S*)-1-((5-(3-methyl-1*H*-indazol-5-yl)pyridin-3-yl)oxy)-3-phenylpropan-2-amine, 1-(1-(4-(7-phenyl-1*H*-imidazo[4,5-*g*]quinoxalin-6-yl) benzyl)piperidin-4-yl)-1*H*-benzo[*d*]imidazol-2(3*H*)-one, and 8-(4-(1-aminocyclobutyl) phenyl)-9-phenyl-[1,2,4]triazolo[3,4-*f*][1,6]naphthyridin-3(2*H*)-one) were prepared in 10% DMSO at 10-fold the desired final concentration, and added to each well of a reaction plate (Corning 96-well half-area solid black nonbinding surface plate) in a volume of 2.5 µl. Full-length inactive Akt1, Akt2, and Akt3 were diluted in assay buffer (50 mM Tris, pH 8.0, 0.02 mg/ml BSA, 10 mM $MgCl_2$, 1 mM EGTA, 10% glycerol, 0.2 mM Na_3VO_4, and 1 mM DTT) and added to each well in a volume of 17.5 µl for a final concentration in the 25 µl reaction of 8 nM (Akt1), 20 nM (Akt2), or 3 nM (Akt3). After a 20 minute pre-incubation at room temperature, the kinase reaction was initiated by the addition of 5 µl of an activation mixture diluted in assay buffer containing biotinylated STKS3, PDK1, MAPKAPK2, DOPS/DOPC, PtdIns(3,4,5)P3, and ATP for final concentrations of 150 nM biotinylated STKS3, 1 nM (Akt1), 2.5 nM (Akt2), or 0.4 nM (Akt3) PDK1, 0.8 nM (Akt1), 2 nM (Akt2), or 0.3 nM (Akt3) MK2, 5.5 µM DOPS, 5.5 µM DOPC, 0.5 µM PtdIns(3,4,5)P3, and 50 µM ATP. The plates were incubated for 30 minutes at room temperature, and then stopped by the addition of 25 µl HTRF Detection Buffer containing phospho-STK antibody- Eu^{3+}-cryptate and streptavidin labeled XL665 antibody, at dilutions of 1:192 and 1:500 respectively. Final assay dilutions of phospho-STK antibody- Eu^{3+}-cryptate and streptavidin labeled XL665 antibody were 1:384 and 1:1,000 respectively. Assay plates were incubated for 60 minutes at room temperature, and the plates were read on a Perkin Elmer Envision Multilabel plate reader (excitation: 320 nm, emission I: 665 nm, emission II: 615 nm).

Reaction:

2.5 µl 10X Akt inhibitor in 10% DMSO

17.5 µl inactive Akt or buffer for blank

20 minute pre-incubation at room temperature

5 µl Reaction Mix (5X ATP, 5X substrate, 5X PDK1, 5X MK2, and 5X lipid vesicle mixture)

30 minute incubation at room temperature

25 µl Detection Buffer

60 minute incubation at room temperature

Detection (excitation: 320 nm, emission I: 665 nm, emission II: 615 nm)

[0001726] Example 134: MTS assay

[0001727] Cell proliferation analysis. Cell survival was determined by the MTS assay. Briefly, cells were plated in a 96-well plate at 2,000-10,000 cells per well, cultured for 24 hours in complete growth medium, and then treated with various drugs and drug combinations for 72 hours. MTS was added and incubated for 4 hour, followed by assessment of cell viability using the microplate reader at 570 nm. Data were normalized to untreated controls and analyzed with Microsoft Excel.

[0001728] Table 2 shows the physical properties of representative compounds of the present invention.

Table 2

Cmpd	Chemical Name	[M+H]
1	3-(3-(4-chlorophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	323
2	3-(3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	344
3	3-[3-(4-bromophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	366; 368
4	3-(3-(p-tolyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	302
5	3-[1-(4-tert-butylphenyl)-1H-imidazo[4,5-c]pyridin-2-yl]pyridin-2-amine	344
6	3-(3-(4-(tert-butyl)phenyl)-5-methoxy-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	374
7	3-(3-(4-(tert-butyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	358
8	3-(3-(4-(tert-butyl)phenyl)-5-methoxy-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	374
9	tert-butyl 4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	417

10	3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	317
11	2-(2-aminopyridin-3-yl)-3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-amine	359
12	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)nicotinamide	422
13	1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylmethanesulfonamide	395
14	3-{3-[3-(1,3-oxazol-5-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	355
15	3-{3-[4-(morpholin-4-ylmethyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	387
16	3-{3-[4-(4-methylpiperazin-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	386
17	3-[3-(4-cyclohexylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	370
18	tert-butyl {4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}carbamate	403
19	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}pyridine-2-carboxamide	422
20	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}isoquinoline-1-carboxamide	472
21	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methylisoxazole-3-carboxamide	426
22	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-pyridin-3-ylacetamide	436
23	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	421
24	3-[3-(3-tert-butylphenyl)-6-nitro-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	389
25	3-[3-(4-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	318
26	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-pyridin-3-ylacetamide	422
27	3-[6-bromo-3-(4-tert-butylphenyl)-3H-imidazo[4,5-b]pyridin-2-	422; 424

	yl]pyridin-2-amine	
28	tert-butyl {4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}carbamate	495; 497
29	3-{3-[4-(aminomethyl)phenyl]-6-bromo-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	395; 397
30	3-{3-[4-(1H-pyrazol-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	354
31	3-{3-[4-(1H-1,2,4-triazol-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	355
32	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide	345
33	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	499; 501
34	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-phenylacetamide	421
35	N-{4-[2-(2-aminopyridin-3-yl)-5-(benzylamino)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	526
36	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	421
37	3-(3-{4-[(benzylamino)methyl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	407
38	3-{3-[4-(piperidin-1-ylsulfonyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	435
39	isobutyl 4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzoate	388
40	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-bromobenzamide	499
41	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(4-chlorobenzoyl)benzamide	559
42	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,3-diphenylpropanamide	525
43	2-acetamido-N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	478
44	3-[3-(4-tert-butylphenyl)-5-hydrazino-3H-imidazo[4,5-b]pyridin-2-	374

	yl]pyridin-2-amine	
45	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluoro-1-naphthamide	489
46	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-benzylbenzamide	511
47	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(trifluoromethoxy)benzamide	505
48	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-2-carboxamide	427
49	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzamide	439
50	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methoxybenzamide	451
51	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-phenylpropanamide	449
52	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,2-dimethylpropanamide	401
53	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methylbenzamide	435
54	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzamide	451
55	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-chlorobenzamide	455
56	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}furan-2-carboxamide	411
57	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(methylamino)benzamide	450
58	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclohexanecarboxamide	427
59	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-cyanobenzamide	446
60	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-phenylacetamide	435
61	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-	474

	1-methyl-1H-indole-3-carboxamide	
62	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(dimethylamino)benzamide	464
63	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(trifluoromethyl)benzamide	489
64	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1-benzofuran-5-carboxamide	463
65	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(2-phenylethyl)benzamide	525
66	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-methylbutanamide	401
67	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-cyclohexylpropanamide	455
68	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-2-fluorobenzamide	473
69	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide	424
70	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methylbenzamide	435
71	4-(acetylamino)-N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	478
72	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}naphthalene-1-carboxamide	471
73	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-cyclopentylacetamide	427
74	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(dimethylamino)benzamide	464
75	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1H-indene-2-carboxamide	461
76	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-cyanobenzamide	446
77	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methoxybenzamide	451
78	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-	486

	2-(1H-pyrrol-1-yl)benzamide	
79	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide	517
80	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}biphenyl-4-carboxamide	497
81	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluorobenzamide	439
82	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(1-methylethyl)benzamide	463
83	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-benzothiophene-2-carboxamide	477
84	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-tert-butylphenyl)urea	492
85	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-ethylurea	388
86	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-phenylurea	436
87	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea	466
88	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-thiophen-3-ylurea	442
89	1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(3,5-dimethylisoxazol-4-yl)urea	455
90	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(2-chlorophenyl)urea	470
91	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzenesulfonamide	487
92	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}methanesulfonamide	395
93	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}acetamide	359
94	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}pyridine-3-sulfonamide	458
95	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-	402

	(1-methylethyl)urea	
96	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-chlorophenyl)urea	470
97	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzenesulfonamide	457
98	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-chlorobenzenesulfonamide	491
99	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-chlorobenzenesulfonamide	491
100	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-3-sulfonamide	463
101	3-{3-[4-(aminomethyl)phenyl]-6-bromo-3H-imidazo[4,5-b]pyridin-2-yl}-5-chloropyridin-2-amine	429; 431
102	1-{4-[2-(2-amino-5-chloropyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea	578; 580
103	N-{4-[2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	497
104	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-imidazole-4-sulfonamide	461
105	N-{4-[2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	435
106	3-[3-(4-aminophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	303
107	1-(1-(2-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetyl)piperidin-4-yl)-1H-benzo[d]imidazol-2(3H)-one	545
108	N-{4-[2-(2-aminopyridin-3-yl)-6-pyridin-3-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	498
109	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-pyrazole-3-sulfonamide	461
110	N-{4-[2-(2-aminopyridin-3-yl)-6-(4-chlorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	532
111	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}benzamide	407
112	N-{4-[2-(2-aminopyridin-3-yl)-6-cyclopentyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	489

113	ethyl {4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetate	374
114	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(1-methyl-1H-benzimidazol-2-yl)acetamide	475
115	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(cyclohexylmethyl)acetamide	441
116	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2,4-dimethoxyphenyl)acetamide	481
117	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(5-chloropyridin-2-yl)acetamide	456
118	N-[2-(acetylamino)ethyl]-2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide	430
119	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-methoxyphenyl)acetamide	451
120	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-benzylacetamide	435
121	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(6-methyl-1,3-benzothiazol-2-yl)acetamide	492
122	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-cyanophenyl)acetamide	446
123	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2-methylquinolin-6-yl)acetamide	486
124	N-[4-(acetylamino)phenyl]-2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide	478
125	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-methylpyridin-2-yl)acetamide	436
126	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-cyclopentylacetamide	413
127	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-methylphenyl)acetamide	435
128	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-fluoro-4-methoxyphenyl)acetamide	469
129	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-oxo-1,3-dihydro-2-benzofuran-5-yl)acetamide	477

130	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-tert-butylphenyl)acetamide	477
131	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2-cyclohexylethyl)acetamide	455
132	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-butylacetamide	401
133	N-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	439
134	N-{4-[6-(2-aminophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	512
135	N-{4-[2-(2-aminopyridin-3-yl)-6-(3-ethoxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	541
136	N-{4-[2-(2-aminopyridin-3-yl)-6-(2-bromophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	575; 577
137	N-{4-[2-(2-aminopyridin-3-yl)-6-thiophen-3-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	503
138	N-(4-{2-(2-aminopyridin-3-yl)-6-[4-(methylsulfonyl)phenyl]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide	575
139	N-{4-[2-(2-aminopyridin-3-yl)-6-(1H-indol-6-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	536
140	N-{4-[2-(2-aminopyridin-3-yl)-6-(3-methylphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	511
141	N-{4-[2-(2-aminopyridin-3-yl)-6-(4-fluorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	515
142	N-{4-[2-(2-aminopyridin-3-yl)-6-pyrimidin-5-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	499
143	N-{4-[2-(2-aminopyridin-3-yl)-6-(4-bromophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	575; 577
144	N-{4-[2-(2-aminopyridin-3-yl)-6-(4-methylphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	511
145	N-{4-[2-(2-aminopyridin-3-yl)-6-pyridin-4-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	498
146	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzenesulfonamide	535; 537

147	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-chlorobenzenesulfonamide	569; 571
148	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,4-dimethoxybenzenesulfonamide	595; 597
149	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methoxybenzenesulfonamide	565; 567
150	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-chloro-4-fluorobenzenesulfonamide	587; 589
151	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclohexanesulfonamide	541; 543
152	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methylpropane-1-sulfonamide	515; 517
153	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}butane-1-sulfonamide	515; 517
154	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,5-dimethylisoxazole-4-sulfonamide	554; 556
155	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-2-sulfonamide	541; 543
156	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-chlorobenzenesulfonamide	569; 571
157	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}naphthalene-2-sulfonamide	585; 587
158	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluorobenzenesulfonamide	553; 555
159	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,6-difluorobenzenesulfonamide	571; 573
160	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzenesulfonamide	553; 555
161	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1-benzofuran-5-sulfonamide	577; 579
162	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methylbenzenesulfonamide	549; 551
163	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methylbenzenesulfonamide	549; 551

164	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}quinoline-8-sulfonamide	586; 588
165	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-cyanobenzenesulfonamide	560; 562
166	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-imidazole-4-sulfonamide	539; 541
167	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,5-dimethylbenzenesulfonamide	563; 565
168	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclopropanesulfonamide	499; 501
169	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-3-sulfonamide	541; 543
170	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}ethanesulfonamide	487; 489
171	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-fluorobenzenesulfonamide	553; 555
172	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-tert-butylbenzamide	477
173	N-(4-{2-(2-aminopyridin-3-yl)-6-[4-(hydroxymethyl)phenyl]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide	527
174	N-(4-{6-[3-(acetylamino)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide	554
175	N-(4-{2-(2-aminopyridin-3-yl)-5-[(4-methoxyphenyl)amino]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide	542
176	1-{4-[2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea	484
177	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzenesulfonamide	565; 567
178	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-benzylbenzamide	421
179	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(4-methylpyridin-2-yl)benzamide	422
180	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(2-methylquinolin-6-yl)benzamide	472

181	N-[4-(acetylamino)phenyl]-4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzamide	464
182	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-oxo-1,3-dihydro-2-benzofuran-5-yl)benzamide	463
183	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-cyanophenyl)benzamide	432
184	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-methoxyphenyl)benzamide	437
185	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-fluoro-4-methoxyphenyl)benzamide	455
186	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(4-methylphenyl)benzamide	421
187	N-{4-[2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-bromobenzamide	567
188	N-{4-[2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzamide	507
189	3-(3-(4-(aminomethyl)phenyl)-7-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	331
190	N-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	453
191	N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-bromobenzamide	582
192	N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	504
193	N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	492
194	2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	500
195	2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	435
196	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)methanesulfonamide	472
197	N-(4-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	554

198	N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	455
199	N-(4-(2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	489
200	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzenesulfonamide	534
201	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	498
202	N-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	497
203	N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	473
204	N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	572
205	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)cyclopropanesulfonamide	498
206	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-methoxybenzenesulfonamide	564
207	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chlorobenzenesulfonamide	568
208	tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	494
209	3-(3-(4-(aminomethyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	331
210	N-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	435
211	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(dimethylamino)benzamide	478
212	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-indole-3-carboxamide	488
213	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-cyclohexylpropanamide	469
214	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(1H-pyrrol-1-yl)benzamide	500

215	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,3-dihydro-1H-indene-2-carboxamide	475
216	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-methylbenzamide	449
217	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-2-fluorobenzamide	487
218	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-chlorobenzamide	469
219	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-phenylacetamide	449
220	4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	492
221	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methoxybenzamide	465
222	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-(dimethylamino)benzamide	478
223	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylbenzamide	449
224	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-naphthamide	485
225	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-benzylbenzamide	525
226	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	527
227	tert-butyl 4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	431
228	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-fluorobenzamide	453
229	3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	492
230	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide	477
231	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide	500

232	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	453
233	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide	540
234	2-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	439
235	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	498
236	N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	554
237	N-(4-(2-(2-aminopyridin-3-yl)-6-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	486
238	4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	555
239	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	516
240	5-(2-(2-aminopyridin-3-yl)-3-(4-(benzamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-yl)furan-2-carboxylic acid	531
241	ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate	408
242	ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate	451
243	ethyl 2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate	507
244	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide	563
245	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide	477
246	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	435
247	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	453
248	3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	492

249	4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	492
250	tert-butyl 4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	494
251	3-(3-(4-(aminomethyl)phenyl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	394
252	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide	501
253	N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	540
254	N-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	483
255	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	484
256	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	484
257	2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	498
258	N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	555
259	tert-butyl 4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	550
260	2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	563
261	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	554
262	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide	438
263	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide	500
264	N-(4-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	450
265	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,3-difluorobenzamide	471

266	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,4-dichlorobenzamide	503
267	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(trifluoromethyl)benzamide	503
268	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)nicotinamide	436
269	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,4-dimethylbenzamide	463
270	methyl 3-((4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)carbamoyl)benzoate	493
271	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)pyrimidine-5-carboxamide	437
272	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-3-methylbenzamide	483
273	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)cyclopentanecarboxamide	427
274	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-fluoronicotinamide	554
275	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,4-dichlorobenzamide	504
276	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)thiazole-5-carboxamide	442
277	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,5-dimethylbenzamide	463
278	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluoro-4-methylbenzamide	467
279	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)quinoline-6-carboxamide	486
280	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-5-methylthiophene-2-carboxamide	455
281	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(trifluoromethyl)benzamide	503
282	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-chlorobenzamide	469

283	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,5-difluorobenzamide	471
284	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)picolinamide	436
285	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)pyrazine-2-carboxamide	437
286	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(1H-tetrazol-5-yl)acetamide	441
287	N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	554
288	tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	523
289	N-(3-acetamidophenyl)-2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	611
290	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	619
291	N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	572
292	N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluoro-4-methylbenzamide	586
293	N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluoro-4-methylbenzamide	586
294	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide	572
295	2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(quinolin-6-yl)acetamide	486
296	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-isopropylacetamide	520
297	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-butylacetamide	534
298	2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	564; 566
299	2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide	517; 519

300	2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide	453
301	2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	435
302	2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	500
303	3-(3-(4-(aminomethyl)phenyl)-5-chloro-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	351
304	N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	485; 487
305	N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	505
306	N-(4-(2-(2-aminopyridin-3-yl)-5-(2-aminopyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	532
307	N-(4-(2-(2-aminopyridin-3-yl)-5-(furan-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	505
308	N-(4-(2-(2-aminopyridin-3-yl)-5-(3-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	545
309	N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	504
310	N-(4-(2-(2-aminopyridin-3-yl)-5-(3,5-dimethylisoxazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	534
311	N-(4-(2-(2-aminopyridin-3-yl)-5-(2,4-dioxo-1,2,3,4-tetrahydropyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	549
312	5-(2-(2-aminopyridin-3-yl)-3-(4-((3-fluorobenzamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)furan-2-carboxylic acid	549
313	N-(4-(2-(2-aminopyridin-3-yl)-5-(2-(methylsulfonamido)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	608
314	N-(4-(5-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	544
315	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(methylsulfinyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	577
316	N-(4-(2-(2-aminopyridin-3-yl)-5-(furan-2-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	505

317	N-(4-(2-(2-aminopyridin-3-yl)-5-(thiophen-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	521
318	2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	563
319	N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	555
320	2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide	516
321	N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	467
322	N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	449
323	N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	492
324	N-(3-(2-(2-aminopyridin-3-yl)-3-(4-(phenylsulfonamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	590
325	N-(3-(2-(2-aminopyridin-3-yl)-3-(4-((1-methyl-1H-imidazole-4-sulfonamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	594
326	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-cyanophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	540
327	N-(4-(5-(2-aminophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	530
328	N-(4-(2-(2-aminopyridin-3-yl)-5-(2-hydroxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	531
329	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-fluorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	533
330	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-hydroxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	531
331	N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-indol-6-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	554
332	N-(4-(2-(2-aminopyridin-3-yl)-5-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	573
333	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-	516

	b]pyridin-3-yl)benzyl)-3-fluorobenzamide	
334	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	516
335	N-(4-(2-(2-aminopyridin-3-yl)-5-(3-cyanophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	540
336	methyl 4-(2-(2-aminopyridin-3-yl)-3-(4-((3-fluorobenzamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoate	573
337	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	517
338	N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	490
339	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-aminophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	448
340	tert-butyl (1-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate	590
341	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylacetamide	492
342	N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	496
343	N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	492
344	N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	568
345	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	511
346	N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	469
347	3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	506
348	N-(3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	550
349	2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-1-phenylethanone	420

350	tert-butyl (1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate	533
351	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	433
352	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	434
353	3-(3-(4-(aminomethyl)phenyl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	383
354	tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	483
355	tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	493
356	3-(3-(4-(aminomethyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	393
357	3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoic acid	437
358	3-(3-(4-(1-aminocyclobutyl)phenyl)-6-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	433
359	N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-6-yl)phenyl)acetamide	490
360	3-(3-(4-(2-aminopropan-2-yl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	421
361	methyl 1-(4-(2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylate	476
362	methyl 1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylate	476
363	1-(4-(2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylic acid	462
364	ethyl 3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoate	505
365	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide	476
366	N-(3-(3-(4-(1-(methylsulfonamido)cyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-yl)methanesulfonamide	589

367	N-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)methanesulfonamide	511
368	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)-5-chloropyridin-2-amine	467
369	3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide	450
370	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide	490
371	1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxamide	461
372	1-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)ethanone	475
373	3-(3-(4-(3-aminooxetan-3-yl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	435
374	(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol	463
375	N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanesulfonamide	526
376	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoic acid	477
377	N-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)acetamide	475
378	1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylcyclobutanecarboxamide	475
379	1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-hydroxyethyl)cyclobutanecarboxamide	505
380	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N,N-dimethylbenzamide	504
381	1-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)-3-phenylurea	552
382	(2-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol	463
383	(4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol	463

384	2-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide	476
385	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-(2-hydroxyethyl)benzamide	520
386	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-(2-hydroxyethyl)-N-methylbenzamide	534
387	4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide	476
388	(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)(morpholino)methanone	546
389	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenol	449
390	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-morpholinophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	518
391	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-(methylsulfonyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	511
392	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzonitrile	458
393	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	434
394	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	434
395	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	435
396	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	463
397	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	472
398	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-((dimethylamino)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	490
399	4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N,N-dimethylbenzamide	504
400	4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-	490

	imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide	
401	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyrazin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	435
402	N-(3-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	572
403	N-(4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)methanesulfonamide	526
404	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	519
405	N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)-2-methylpropanamide	518
406	N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)cyclopropanesulfonamide	552
407	N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)-4-methylbenzenesulfonamide	602
408	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	422
409	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(dimethylamino)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	476
410	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[4-(dimethylamino)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	477
411	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3,5-dimethoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	493
412	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(methoxymethyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	477
413	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(2-fluoro-5-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	481
414	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3,4-dimethoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	493
415	3-{3-[4-(1-aminocyclobutyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	357
416	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1,3-benzothiazol-5-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	490
417	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-amino-3-methoxyphenyl)-3H-	478

	imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	
418	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-pyrazol-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	423
419	4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-methoxyphenol	480
420	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-fluoro-5-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	481
421	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(2-fluoro-3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	481
422	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-propoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	492
423	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1-benzofuran-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	474
424	4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenol	449
425	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1,3-thiazol-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	440
426	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(trifluoromethoxy)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	517
427	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-thienyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	439
428	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-fluoro-3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	481
429	5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-methoxyphenol	479
430	2-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-6-methoxyphenol	479
431	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-piperidin-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	516
432	3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-5-fluoro-N-methylbenzamide	508
433	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	504
434	4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-	467

	imidazo[4,5-b]pyridin-5-yl}-2-fluorophenol	
435	3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-5-fluorophenol	467
436	5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluorophenol	467
465	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride	518
466	4-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)morpholin-3-one hydrochloride	532
467	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-fluoro-5-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride	536
468	3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N,N-diethylbenzamide hydrochloride	532
469	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(4-methoxypiperidin-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride	546
470	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyrazin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride	520
471	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(5-fluoro-1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	490
472	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-fluoro-1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	490
473	3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[(3R)-3-fluoropyrrolidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	520
474	3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[(3S)-3-fluoropyrrolidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	520
475	5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}pyrimidin-2-amine	450
476	1-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)piperidin-4-one hydrochloride	530
477	1-(4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-1-methyl-1H-indol-3-yl)-2,2,2-trifluoroethanone	582

[0001729] Table 3 shows the AKT kinase inhibition activity of representative compounds of the present invention.

Table 3

Cmpd	Chemical Name	Akt1 IC_{50}, (AlphaScreen) (μM) (or if marked with " * " HTRF assay)
1	3-(3-(4-chlorophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	29.4
2	3-(3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	6.44
3	3-[3-(4-bromophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	14.5
4	3-(3-(p-tolyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.719
5	3-[1-(4-tert-butylphenyl)-1H-imidazo[4,5-c]pyridin-2-yl]pyridin-2-amine	1.5
6	3-(3-(4-(tert-butyl)phenyl)-5-methoxy-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	68.2*
7	3-(3-(4-(tert-butyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	42.3*
8	3-(3-(4-(tert-butyl)phenyl)-5-methoxy-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	20.6
9	tert-butyl 4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	2.47
10	3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	1.88
11	2-(2-aminopyridin-3-yl)-3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-amine	2.80
12	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)nicotinamide	0.211
13	1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylmethanesulfonamide	0.507
14	3-{3-[3-(1,3-oxazol-5-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	75.8*

15	3-{3-[4-(morpholin-4-ylmethyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	1.79
16	3-{3-[4-(4-methylpiperazin-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	65*
17	3-[3-(4-cyclohexylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	3.9
18	tert-butyl {4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}carbamate	0.032
19	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}pyridine-2-carboxamide	0.344
20	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}isoquinoline-1-carboxamide	0.354
21	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methylisoxazole-3-carboxamide	0.16
22	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-pyridin-3-ylacetamide	0.873
23	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.079
24	3-[3-(3-tert-butylphenyl)-6-nitro-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	23.0*
25	3-[3-(4-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	0.438
26	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-pyridin-3-ylacetamide	0.136
27	3-[6-bromo-3-(4-tert-butylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	73.4
28	tert-butyl {4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}carbamate	0.544
29	3-{3-[4-(aminomethyl)phenyl]-6-bromo-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.319
30	3-{3-[4-(1H-pyrazol-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	58.7*
31	3-{3-[4-(1H-1,2,4-triazol-1-yl)phenyl]-3H-imidazo[4,5-	22.1*

	b]pyridin-2-yl}pyridin-2-amine	
32	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide	1.19
33	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.324
34	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-phenylacetamide	0.101
35	N-{4-[2-(2-aminopyridin-3-yl)-5-(benzylamino)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.424
36	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	26.0*
37	3-(3-{4-[(benzylamino)methyl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.205
38	3-{3-[4-(piperidin-1-ylsulfonyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	4.31
39	isobutyl 4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzoate	3.86
40	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-bromobenzamide	0.089
41	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(4-chlorobenzoyl)benzamide	36.2*
42	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,3-diphenylpropanamide	2.01
43	2-acetamido-N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	1.41
44	3-[3-(4-tert-butylphenyl)-5-hydrazino-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	76.9*
45	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluoro-1-naphthamide	0.209
46	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-benzylbenzamide	0.124
47	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(trifluoromethoxy)benzamide	0.378
48	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-	0.196

	yl]benzyl}thiophene-2-carboxamide	
49	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzamide	0.084
50	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methoxybenzamide	2.61
51	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-phenylpropanamide	82.8*
52	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,2-dimethylpropanamide	2.77
53	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methylbenzamide	0.122
54	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzamide	0.711
55	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-chlorobenzamide	0.102
56	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}furan-2-carboxamide	1.7
57	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(methylamino)benzamide	1.52
58	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclohexanecarboxamide	0.107
59	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-cyanobenzamide	0.378
60	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-phenylacetamide	0.649
61	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-indole-3-carboxamide	0.275
62	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(dimethylamino)benzamide	0.171
63	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(trifluoromethyl)benzamide	0.79
64	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1-benzofuran-5-carboxamide	1.13
65	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-	0.307

	yl]benzyl}-2-(2-phenylethyl)benzamide	
66	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-methylbutanamide	2.35
67	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-cyclohexylpropanamide	0.683
68	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-2-fluorobenzamide	0.189
69	N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide	0.35
70	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methylbenzamide	0.189
71	4-(acetylamino)-N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.605
72	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}naphthalene-1-carboxamide	0.695
73	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-cyclopentylacetamide	2.61
74	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(dimethylamino)benzamide	0.303
75	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1H-indene-2-carboxamide	0.179
76	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-cyanobenzamide	0.714
77	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methoxybenzamide	0.417
78	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(1H-pyrrol-1-yl)benzamide	0.307
79	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide	1.11
80	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}biphenyl-4-carboxamide	0.366
81	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluorobenzamide	1.37

82	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(1-methylethyl)benzamide	0.111
83	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-benzothiophene-2-carboxamide	0.325
84	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-tert-butylphenyl)urea	0.11
85	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-ethylurea	0.247
86	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-phenylurea	0.504
87	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea	0.215
88	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-thiophen-3-ylurea	0.191
89	1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(3,5-dimethylisoxazol-4-yl)urea	0.232
90	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(2-chlorophenyl)urea	0.394
91	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzenesulfonamide	0.124
92	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}methanesulfonamide	0.257
93	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}acetamide	2.01
94	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}pyridine-3-sulfonamide	0.142
95	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(1-methylethyl)urea	3.95
96	1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-chlorophenyl)urea	0.212
97	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzenesulfonamide	0.112
98	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-chlorobenzenesulfonamide	0.299

99	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-chlorobenzenesulfonamide	0.168
100	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-3-sulfonamide	0.158
101	3-{3-[4-(aminomethyl)phenyl]-6-bromo-3H-imidazo[4,5-b]pyridin-2-yl}-5-chloropyridin-2-amine	44.8*
102	1-{4-[2-(2-amino-5-chloropyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea	16.9*
103	N-{4-[2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.374
104	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-imidazole-4-sulfonamide	0.323
105	N-{4-[2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.103
106	3-[3-(4-aminophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine	2.53
107	1-(1-(2-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetyl)piperidin-4-yl)-1H-benzo[d]imidazol-2(3H)-one	1.43
108	N-{4-[2-(2-aminopyridin-3-yl)-6-pyridin-3-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.042
109	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-pyrazole-3-sulfonamide	0.86
110	N-{4-[2-(2-aminopyridin-3-yl)-6-(4-chlorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.124
111	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}benzamide	0.050
112	N-{4-[2-(2-aminopyridin-3-yl)-6-cyclopentyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.267
113	ethyl {4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetate	0.61
114	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(1-methyl-1H-benzimidazol-2-yl)acetamide	0.579
115	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-	2.61

	yl]phenyl}-N-(cyclohexylmethyl)acetamide	
116	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2,4-dimethoxyphenyl)acetamide	0.595
117	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(5-chloropyridin-2-yl)acetamide	3.96*
118	N-[2-(acetylamino)ethyl]-2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide	8.54*
119	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-methoxyphenyl)acetamide	0.233
120	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-benzylacetamide	2.69*
121	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(6-methyl-1,3-benzothiazol-2-yl)acetamide	0.16
122	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-cyanophenyl)acetamide	0.39
123	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2-methylquinolin-6-yl)acetamide	0.128
124	N-[4-(acetylamino)phenyl]-2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide	0.138
125	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-methylpyridin-2-yl)acetamide	1.72
126	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-cyclopentylacetamide	3.74*
127	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-methylphenyl)acetamide	0.108
128	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-fluoro-4-methoxyphenyl)acetamide	0.216
129	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-oxo-1,3-dihydro-2-benzofuran-5-yl)acetamide	0.396
130	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-tert-butylphenyl)acetamide	0.288
131	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2-cyclohexylethyl)acetamide	1.34

132	2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-butylacetamide	6.84*
133	N-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.106
134	N-{4-[6-(2-aminophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	1.6
135	N-{4-[2-(2-aminopyridin-3-yl)-6-(3-ethoxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	1.8
136	N-{4-[2-(2-aminopyridin-3-yl)-6-(2-bromophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	7.1*
137	N-{4-[2-(2-aminopyridin-3-yl)-6-thiophen-3-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.050
138	N-(4-{2-(2-aminopyridin-3-yl)-6-[4-(methylsulfonyl)phenyl]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide	0.12
139	N-{4-[2-(2-aminopyridin-3-yl)-6-(1H-indol-6-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.36
140	N-{4-[2-(2-aminopyridin-3-yl)-6-(3-methylphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	1.1
141	N-{4-[2-(2-aminopyridin-3-yl)-6-(4-fluorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	7.8*
142	N-{4-[2-(2-aminopyridin-3-yl)-6-pyrimidin-5-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.19
143	N-{4-[2-(2-aminopyridin-3-yl)-6-(4-bromophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.17
144	N-{4-[2-(2-aminopyridin-3-yl)-6-(4-methylphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	4.5*
145	N-{4-[2-(2-aminopyridin-3-yl)-6-pyridin-4-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide	0.16
146	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzenesulfonamide	0.42
147	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-chlorobenzenesulfonamide	0.44
148	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,4-dimethoxybenzenesulfonamide	0.24

149	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methoxybenzenesulfonamide	0.26
150	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-chloro-4-fluorobenzenesulfonamide	2.3
151	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclohexanesulfonamide	0.34
152	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methylpropane-1-sulfonamide	0.24
153	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}butane-1-sulfonamide	0.44
154	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,5-dimethylisoxazole-4-sulfonamide	1.2
155	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-2-sulfonamide	0.14
156	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-chlorobenzenesulfonamide	1.2
157	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}naphthalene-2-sulfonamide	8.1*
158	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluorobenzenesulfonamide	0.49
159	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,6-difluorobenzenesulfonamide	0.20
160	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzenesulfonamide	0.33
161	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1-benzofuran-5-sulfonamide	0.29
162	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methylbenzenesulfonamide	0.45
163	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methylbenzenesulfonamide	0.40
164	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}quinoline-8-sulfonamide	0.62
165	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-	2.1

	b]pyridin-3-yl]benzyl}-4-cyanobenzenesulfonamide	
166	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-imidazole-4-sulfonamide	0.44
167	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,5-dimethylbenzenesulfonamide	0.48
168	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclopropanesulfonamide	0.17
169	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-3-sulfonamide	0.19
170	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}ethanesulfonamide	0.49
171	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-fluorobenzenesulfonamide	0.35
172	N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-tert-butylbenzamide	0.099
173	N-(4-{2-(2-aminopyridin-3-yl)-6-[4-(hydroxymethyl)phenyl]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide	0.026
174	N-(4-{6-[3-(acetylamino)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide	0.038
175	N-(4-{2-(2-aminopyridin-3-yl)-5-[(4-methoxyphenyl)amino]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide	0.60
176	1-{4-[2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea	1.2
177	N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzenesulfonamide	2.1
178	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-benzylbenzamide	7.1*
179	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(4-methylpyridin-2-yl)benzamide	0.53
180	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(2-methylquinolin-6-yl)benzamide	0.59
181	N-[4-(acetylamino)phenyl]-4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzamide	0.84
182	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-	1.1

	N-(3-oxo-1,3-dihydro-2-benzofuran-5-yl)benzamide	
183	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-cyanophenyl)benzamide	1.5
184	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-methoxyphenyl)benzamide	1.9
185	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-fluoro-4-methoxyphenyl)benzamide	2.5
186	4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(4-methylphenyl)benzamide	2.5
187	N-{4-[2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-bromobenzamide	2.1
188	N-{4-[2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzamide	0.36
189	3-(3-(4-(aminomethyl)phenyl)-7-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.50
190	N-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.11
191	N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-bromobenzamide	1.1
192	N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.20
193	N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	0.062
194	2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	0.047
195	2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	0.076
196	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)methanesulfonamide	0.14
197	N-(4-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.047
198	N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.061
199	N-(4-(2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-	0.20

	imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	
200	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzenesulfonamide	0.074
201	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.032
202	N-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.027
203	N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.081
204	N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.0073
205	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)cyclopropanesulfonamide	0.059
206	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-methoxybenzenesulfonamide	0.13
207	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chlorobenzenesulfonamide	0.060
208	tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	0.18
209	3-(3-(4-(aminomethyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.27
210	N-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.21
211	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(dimethylamino)benzamide	0.061
212	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-indole-3-carboxamide	0.20
213	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-cyclohexylpropanamide	0.48
214	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(1H-pyrrol-1-yl)benzamide	0.023
215	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-	0.73

	b]pyridin-3-yl)benzyl)-2,3-dihydro-1H-indene-2-carboxamide	
216	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-methylbenzamide	0.077
217	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-2-fluorobenzamide	0.084
218	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-chlorobenzamide	0.063
219	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-phenylacetamide	0.23
220	4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.054
221	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methoxybenzamide	0.26
222	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-(dimethylamino)benzamide	0.043
223	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylbenzamide	0.03
224	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-naphthamide	0.050
225	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-benzylbenzamide	0.011
226	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.018
227	tert-butyl 4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	0.47
228	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-fluorobenzamide	0.24
229	3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.025
230	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide	0.19
231	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide	0.02
232	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-	0.041

	b]pyridin-3-yl)benzyl)-3-fluorobenzamide	
233	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide	0.14
234	2-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	0.053
235	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.13
236	N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.033
237	N-(4-(2-(2-aminopyridin-3-yl)-6-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.032
238	4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.042
239	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.021
240	5-(2-(2-aminopyridin-3-yl)-3-(4-(benzamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-yl)furan-2-carboxylic acid	0.016
241	ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate	0.33
242	ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate	0.064
243	ethyl 2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate	0.32
244	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide	0.022
245	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide	0.014
246	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.020
247	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.016
248	3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.028

249	4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.025
250	tert-butyl 4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	0.20
251	3-(3-(4-(aminomethyl)phenyl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.36
252	N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide	0.17
253	N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	0.027
254	N-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	0.082
255	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	0.012
256	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	0.019
257	2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	0.046
258	N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	0.017
259	tert-butyl 4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	0.53
260	2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	0.014
261	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	0.013
262	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide	0.15
263	N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide	0.11
264	N-(4-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	0.072

265	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,3-difluorobenzamide	0.093
266	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,4-dichlorobenzamide	0.23
267	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(trifluoromethyl)benzamide	0.59
268	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)nicotinamide	0.59
269	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,4-dimethylbenzamide	0.16
270	methyl 3-((4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)carbamoyl)benzoate	0.24
271	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)pyrimidine-5-carboxamide	0.64
272	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-3-methylbenzamide	0.21
273	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)cyclopentanecarboxamide	0.22
274	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-fluoronicotinamide	051
275	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,4-dichlorobenzamide	0.11
276	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)thiazole-5-carboxamide	0.78
277	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,5-dimethylbenzamide	0.39
278	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluoro-4-methylbenzamide	0.12
279	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)quinoline-6-carboxamide	0.11
280	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-5-methylthiophene-2-carboxamide	0.11
281	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(trifluoromethyl)benzamide	0.071

282	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-chlorobenzamide	0.14
283	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,5-difluorobenzamide	0.22
284	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)picolinamide	0.77
285	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)pyrazine-2-carboxamide	0.85
286	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(1H-tetrazol-5-yl)acetamide	0.74
287	N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.014
288	tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	0.45
289	N-(3-acetamidophenyl)-2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	0.0063
290	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	0.012
291	N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.056
292	N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluoro-4-methylbenzamide	0.096
293	N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluoro-4-methylbenzamide	0.0095
294	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide	0.016
295	2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(quinolin-6-yl)acetamide	0.076
296	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-	0.095

	imidazo[4,5-b]pyridin-3-yl)phenyl)-N-isopropylacetamide	
297	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-butylacetamide	0.035
298	2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	0.014
299	2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide	0.13
300	2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide	0.17
301	2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide	0.066
302	2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	0.078
303	3-(3-(4-(aminomethyl)phenyl)-5-chloro-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.27
304	N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide	0.020
305	N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.012
306	N-(4-(2-(2-aminopyridin-3-yl)-5-(2-aminopyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.012
307	N-(4-(2-(2-aminopyridin-3-yl)-5-(furan-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.035
308	N-(4-(2-(2-aminopyridin-3-yl)-5-(3-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.021
309	N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.023
310	N-(4-(2-(2-aminopyridin-3-yl)-5-(3,5-dimethylisoxazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.079
311	N-(4-(2-(2-aminopyridin-3-yl)-5-(2,4-dioxo-1,2,3,4-tetrahydropyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.029
312	5-(2-(2-aminopyridin-3-yl)-3-(4-((3-fluorobenzamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-	0.034

	5-yl)furan-2-carboxylic acid	
313	N-(4-(2-(2-aminopyridin-3-yl)-5-(2-(methylsulfonamido)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.12
314	N-(4-(5-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.025
315	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(methylsulfinyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.036
316	N-(4-(2-(2-aminopyridin-3-yl)-5-(furan-2-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.035
317	N-(4-(2-(2-aminopyridin-3-yl)-5-(thiophen-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.024
318	2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide	0.019
319	N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	0.022
320	2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide	0.057
321	N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.076
322	N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.077
323	N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	0.15
324	N-(3-(2-(2-aminopyridin-3-yl)-3-(4-(phenylsulfonamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	0.014
325	N-(3-(2-(2-aminopyridin-3-yl)-3-(4-((1-methyl-1H-imidazole-4-sulfonamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	0.033
326	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-cyanophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.65

327	N-(4-(5-(2-aminophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.091
328	N-(4-(2-(2-aminopyridin-3-yl)-5-(2-hydroxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.047
329	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-fluorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.36
330	N-(4-(2-(2-aminopyridin-3-yl)-5-(4-hydroxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.12
331	N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-indol-6-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.42
332	N-(4-(2-(2-aminopyridin-3-yl)-5-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.16
333	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.10
334	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.036
335	N-(4-(2-(2-aminopyridin-3-yl)-5-(3-cyanophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.182
336	methyl 4-(2-(2-aminopyridin-3-yl)-3-(4-((3-fluorobenzamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoate	0.32
337	N-(4-(2-(2-aminopyridin-3-yl)-5-(pyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	0.082
338	N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	0.0028
339	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-aminophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0019
340	tert-butyl (1-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate	0.35
341	2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylacetamide	0.032
342	N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	0.061

343	N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide	0.11
344	N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.023
345	N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.044
346	N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.086
347	3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide	0.054
348	N-(3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide	0.013
349	2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-1-phenylethanone	0.18
350	tert-butyl (1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate	1.4
351	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0032
352	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.006
353	3-(3-(4-(aminomethyl)phenyl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.017
354	tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	0.15
355	tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate	0.27
356	3-(3-(4-(aminomethyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0037
357	3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoic acid	0.027
358	3-(3-(4-(1-aminocyclobutyl)phenyl)-6-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.055
359	N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-6-yl)phenyl)acetamide	0.057

360	3-(3-(4-(2-aminopropan-2-yl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0054
361	methyl 1-(4-(2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylate	0.36
362	methyl 1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylate	0.53
363	1-(4-(2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylic acid	0.25
364	ethyl 3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoate	0.0016
365	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide	0.0030
366	N-(3-(3-(4-(1-(methylsulfonamido)cyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-yl)methanesulfonamide	0.14
367	N-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)methanesulfonamide	0.18
368	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)-5-chloropyridin-2-amine	0.01
369	3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide	0.0054
370	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide	0.0046
371	1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxamide	0.035
372	1-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)ethanone	0.0021
373	3-(3-(4-(3-aminooxetan-3-yl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0066
374	(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol	0.0013
375	N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanesulfonamide	0.0014

376	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoic acid	0.0038
377	N-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)acetamide	0.035
378	1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylcyclobutanecarboxamide	0.093
379	1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-hydroxyethyl)cyclobutanecarboxamide	0.29
380	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N,N-dimethylbenzamide	0.0033
381	1-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)-3-phenylurea	0.054
382	(2-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol	0.010
383	(4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol	0.0037
384	2-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide	0.037
385	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-(2-hydroxyethyl)benzamide	0.0028
386	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-(2-hydroxyethyl)-N-methylbenzamide	0.0023
387	4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide	0.0087
388	(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)(morpholino)methanone	0.0030
389	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenol	0.0016
390	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-morpholinophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0023
391	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-	0.0050

	(methylsulfonyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	
392	3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzonitrile	0.0071
393	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0037
394	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0039
395	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyrimidin-5-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0098
396	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0032
397	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0038
398	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-((dimethylamino)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0064
399	4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N,N-dimethylbenzamide	0.021
400	4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide	0.013
401	3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyrazin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.0064
402	N-(3-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide	2.83
403	N-(4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)methanesulfonamide	0.0045
404	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0078
405	N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)-2-methylpropanamide	0.013
406	N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-	0.0026

	yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)cyclopropanesulfonamide	
407	N-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)-4-methylbenzenesulfonamide	0.0028
408	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0024
409	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(dimethylamino)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0053
410	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[4-(dimethylamino)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0097
411	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3,5-dimethoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0045
412	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(methoxymethyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.004
413	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(2-fluoro-5-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.007
414	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3,4-dimethoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0049
415	3-{3-[4-(1-aminocyclobutyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.013
416	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1,3-benzothiazol-5-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0042
417	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-amino-3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.002
418	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-pyrazol-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0082
419	4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-	0.0027

	3H-imidazo[4,5-b]pyridin-5-yl}-2-methoxyphenol	
420	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-fluoro-5-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0054
421	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(2-fluoro-3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.017
422	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-propoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.011
423	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1-benzofuran-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0066
424	4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenol	0.0093
425	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1,3-thiazol-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.01
426	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(trifluoromethoxy)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.005
427	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-thienyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.01
428	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-fluoro-3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0058
429	5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-methoxyphenol	0.0095
430	2-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-6-methoxyphenol	0.0038
431	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-piperidin-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.018
432	3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-5-fluoro-N-methylbenzamide	0.0064
433	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-methyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0018
434	4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-	0.005

	3H-imidazo[4,5-b]pyridin-5-yl}-2-fluorophenol	
435	3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-5-fluorophenol	0.01
436	5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluorophenol	0.0078
437	3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-4-fluorophenol	0.014
438	6-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-4-methyl-2H-1,4-benzoxazin-3(4H)-one	0.0079
439	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(2-morpholin-4-ylpyridin-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0026
440	5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluoro-N-methylbenzamide	0.0054
441	3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-N-ethylbenzamide	0.0066
442	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-indazol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0049
443	5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-methylphenol	0.012
444	2-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-6-methoxybenzonitrile	0.0068
445	3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluorophenol	0.014
446	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-pyrrolo[3,2-c]pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0089
447	5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}pyridin-2-ol	0.045
448	4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}pyridin-2-ol	0.0039
449	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-pyrrolidin-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0023

450	4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-2-fluoro-N-methylbenzamide	0.0072
451	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-morpholin-4-ylpyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0071
452	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(1H-indol-5-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0041
453	5-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-1,3-dihydro-2H-indol-2-one	0.0028
454	4-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}-1,3-dihydro-2H-indol-2-one	0.0094
455	N'-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)-N,N-dimethylsulfamide	0.011
456	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(1H-pyrazol-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0031
457	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-azetidin-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0093
458	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(3-azepan-1-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.012
459	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(1,4-oxazepan-4-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.0077
460	3-(3-[4-(1-aminocyclobutyl)phenyl]-5-{3-[4-(dimethylamino)piperidin-1-yl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine	0.011
461	1-[1-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)piperidin-4-yl]ethanone	0.018
462	1-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)piperidin-4-ol	0.01
463	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(6-piperazin-1-ylpyridin-2-yl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine	0.017
464	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-[3-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-	0.011

	yl}pyridin-2-amine	
465	3-{3-[4-(1-aminocyclobutyl)phenyl]-5-(4-morpholin-4-ylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine hydrochloride	0.0058
466	4-(3-{3-[4-(1-aminocyclobutyl)phenyl]-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl}phenyl)morpholin-3-one hydrochloride	0.0035

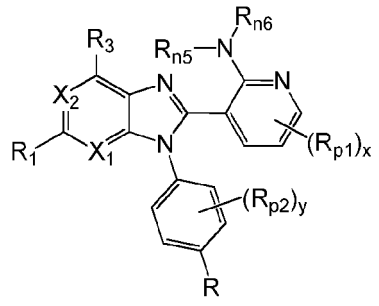
[0001730] Table 4 shows the MTS activity of representative compounds of the present invention.

Table 4

Compound	Average of [CELL], IC50, MTS (72 hours;10 Percent;FBS) (μM)					
	A2780	AN3CA	BT474	LnCap	IGROV	Zr75-1
356	7.6	13	24		7.5	
352	14	6.1	7.6	13	0.40	55
351	0.72	0.62	1.3	2.1	1.7	4.2
339	0.18	0.59	1.9	0.13	0.13	32
338	1.4	1.6	1.2	1.5	0.16	24
333	13	12	6.1	5.7		
324	6.3	5.0	2.5	4.2		3.6
308	2.3	2.5	1.8	2.6		4.3
305	6.8	12	4.2	13		8.2
295	3.8	3.3	5.0	1.8		
294	3.3	1.7	1.1	0.95		
287	1.6	1.5	1.2	2.0		
261	3.3	2.2	1.3	1.9		
108	19	29	14	6.5	11	11
307	2.9	6.3	3.6	4.9		9.1
297	15	6.5	3.6	3.0		
296	33	12	6.9	3.9		
292	7.4	2.3	0.94	0.73		
290	3.8	1.1	0.62	2.1		
289	10	12	3.2	17		

CLAIMS

1. A compound having formula I:



(I),

or a pharmaceutically acceptable salt or ester thereof, wherein:

one of X_1 and X_2 is CR_x and the other is N;

R_x, R_1 and R_3 are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

x is 0, 1, 2 or 3;

y is 0, 1, 2, 3 or 4;

each R_{p1} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, or unsubstituted or substituted amino;

each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$;

R_8 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or

unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R is H, hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 3-, 4-, 5-, 6- or 7-member rings and 1-4 heteroatoms selected from N, O and S, or Q-T;

Q is a bond or unsubstituted or substituted C_1-C_6 alkyl linker;

T is $NR_{n1}R_{n2}$, $C(O)R_o$, $S(O)R_s$ or $S(O)_2R_s$;

R_{n1} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n2} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, $S(O)R_5$, $S(O)_2R_5$, $S(O)NR_5'R_5$, or $S(O)_2NR_5'R_5$, or R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_4, R_4', R_5 and R_5' are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_o is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $NR_{o1}R_{o2}$, or OR_{o3};

R_s is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or $NR_{s1}R_{s2}$;

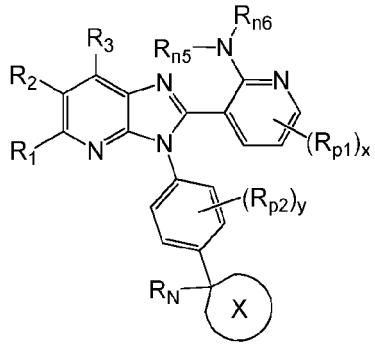
R_{o1} and R_{o2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_{o3} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S; and

R_{s1} and R_{s2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{s1} and R_{s2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S.

2. The compound of claim 1, wherein X_1 is N and X_2 is CR_x.

3. The compound of claim 1, having formula II:



(II),

or a pharmaceutically acceptable salt or ester thereof, wherein:

R_1, R_2 and R_3 are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

x is 0, 1, 2 or 3;

y is 0, 1, 2, 3 or 4;

each R_{p1} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, or unsubstituted or substituted amino;

each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$,

R_8 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_N is NR_9R_{10} or $C(O)R_{11}$;

R_9 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_{12}$, $C(O)OR_{12}$, $C(O)NR_{12}R_{13}$, $S(O)R_{12}$, or $S(O)_2R_{12}$;

R_{11} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, OR_{14}, or $NR_{14}R_{15}$;

R_{10}, R_{12}, R_{13}, R_{14} and R_{15} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S; and

is a 3-, 4-, 5-, 6- or 7-member carbocycle or heterocycle comprising 1-4 heteroatoms selected from N, O and S and is optionally substituted.

4. The compound of claim 3, wherein x is 0 and y is 0.

5. The compound of claim 3, wherein R_1 is unsubstituted or substituted phenyl.

6. The compound of claim 3, wherein 

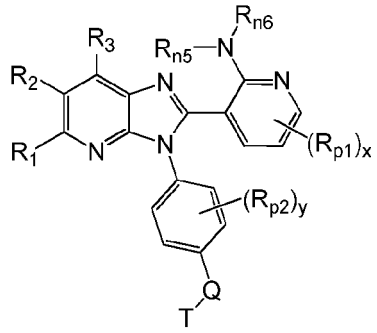
is unsubstituted or substituted carbocycle selected from cyclopropyl, cyclobutyl, cyclobutenyl, cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl, cycloheptyl, and cycloheptenyl, or a heterocycle selected from aziridinyl, oxiranyl, thiiranyl, azetidinyl, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidinyl, thiazetidinyl, pyrrolidinyl, tetrahyrofuranyl, tetrahyrothiophenyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl,

piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, oxepanyl, thiepanyl, diazepanyl, oxazepanyl, and thiazepanyl.

7. The compound of claim 6, wherein  is unsubstituted or substituted cyclobutyl or unsubstituted or substituted oxetanyl

8. The compound of claim 3, wherein R_N is NH_2.

9. The compound of claim 1, having formula III:



(III),

or a pharmaceutically acceptable salt or ester thereof, wherein:

R_1, R_2 and R_3 are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

x is 0, 1, 2 or 3;

y is 0, 1, 2, 3 or 4;

each R_{p1} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, or unsubstituted or substituted amino;

each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$;

R_8 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

Q is a bond or unsubstituted or substituted C_1-C_6 alkyl linker;

T is $NR_{n1}R_{n2}$, $C(O)R_o$, $S(O)R_s$ or $S(O)_2R_s$;

R_{n1} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_{n2} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, $S(O)R_5$, $S(O)_2R_5$, $S(O)NR_5'R_5$, or $S(O)_2NR_5'R_5$, or R_{n1} and R_{n2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_4, R_4', R_5 and R_5' are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

R_o is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $NR_{o1}R_{o2}$, or OR_{o3};

R_s is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and

1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or $NR_{s1}R_{s2}$;

R_{o1} and R_{o2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{o1} and R_{o2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S;

R_{o3} is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S; and

R_{s1} and R_{s2} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, or R_{s1} and R_{s2}, together with the nitrogen atom to which they attach, form a 4-, 5-, 6- or 7-member ring which optionally comprises 1-3 additional heteroatoms selected from N, O and S.

10. The compound of claim 9, wherein x is 0 and y is 0.

11. The compound of claim 9, wherein Q is a bond.

12. The compound of claim 9, wherein Q is a methyl linker.

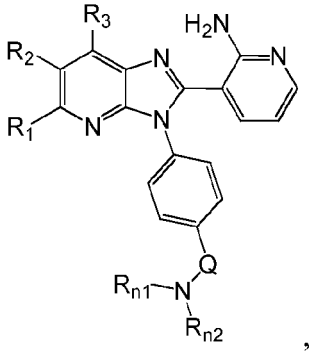
13. The compound of claim 9, wherein T is $NR_{n1}R_{n2}$.

14. The compound of claim 13, wherein R_{n1} is $C(O)R_4$, $C(O)OR_4$, $C(O)NR_4'R_4$, or $S(O)_2R_5$.

15. The compound of claim 9, wherein T is $C(O)R_o$.

16. The compound of claim 15, wherein R_o is $NR_{o1}R_{o2}$.

17. The compound of claim 9, having one of the following formulae: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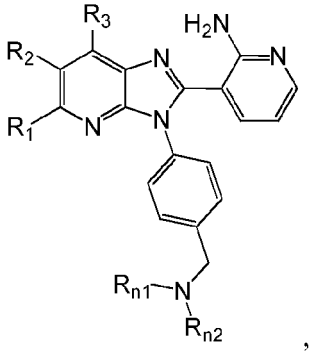
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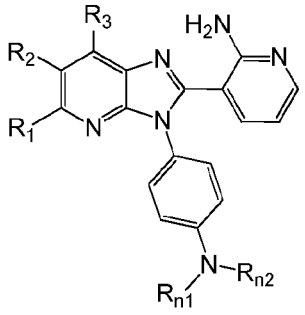
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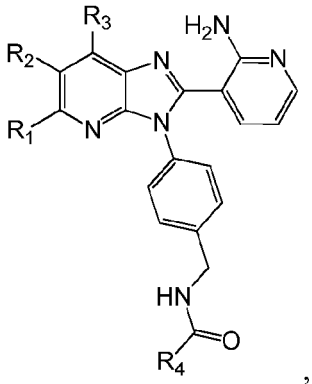
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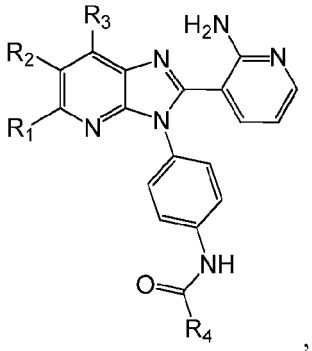
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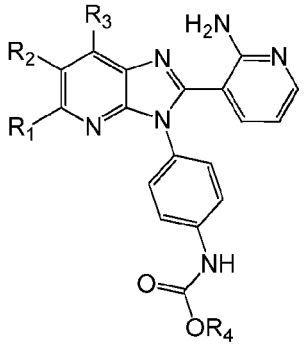
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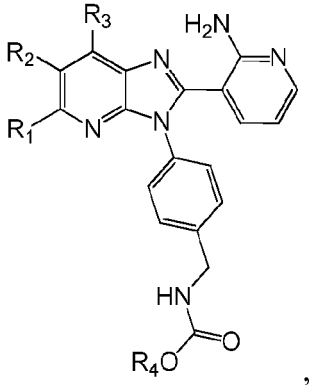
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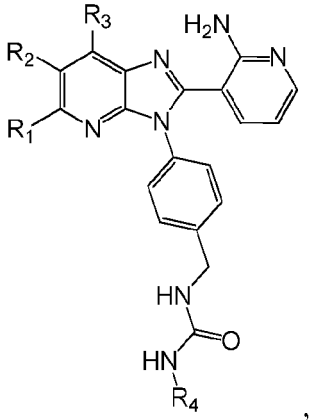
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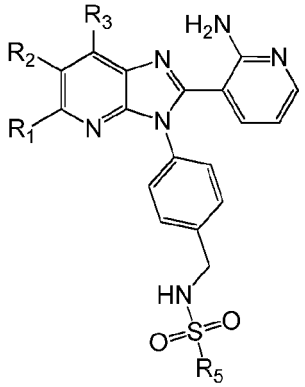
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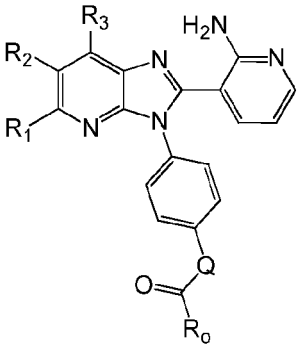
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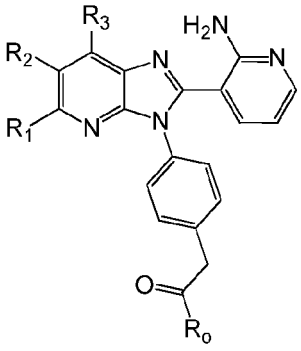
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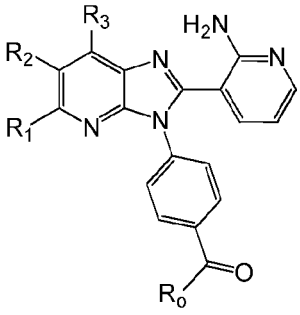
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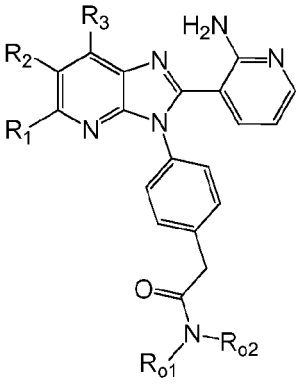
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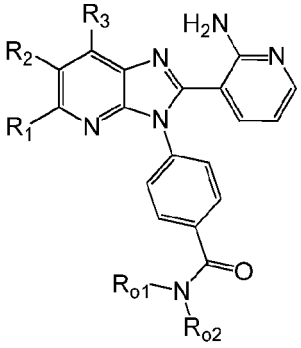
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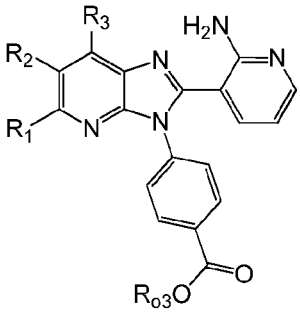
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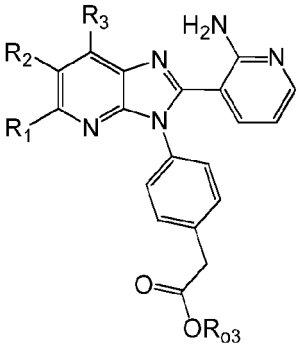
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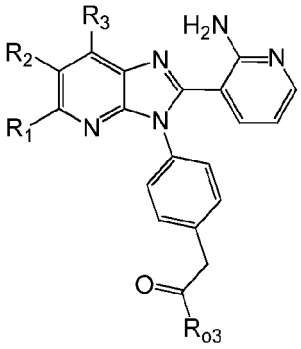
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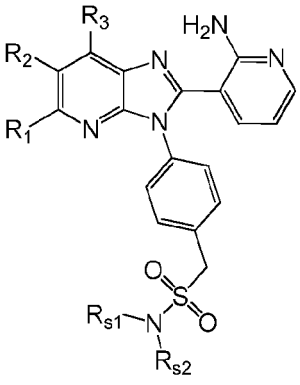
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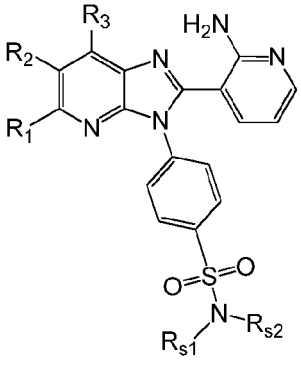
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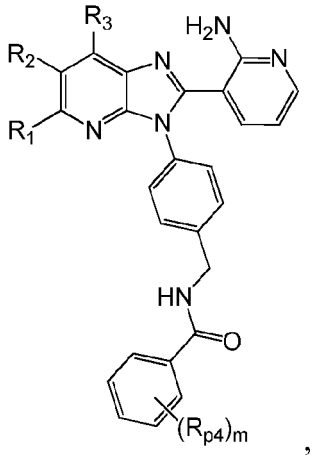
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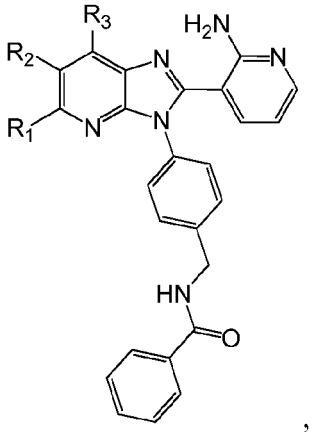
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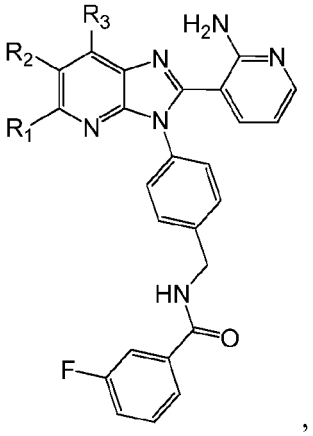
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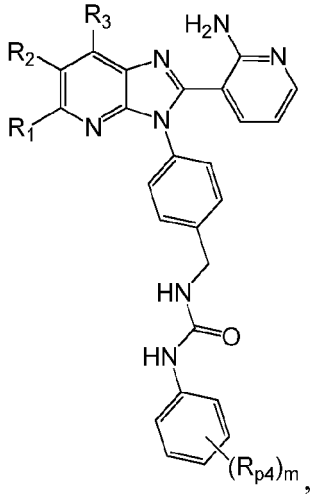
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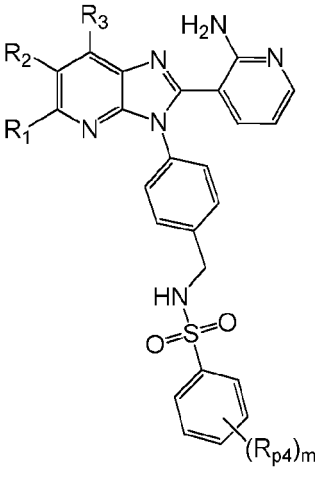
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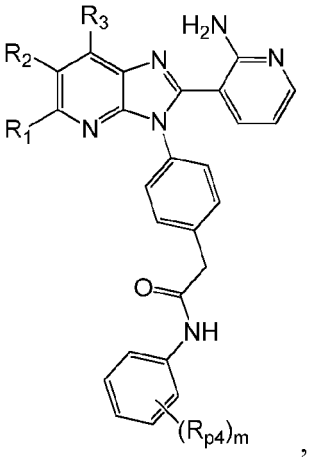
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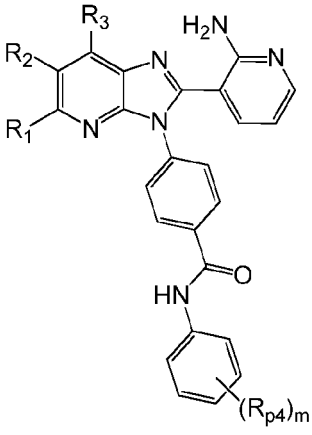

,

wherein:

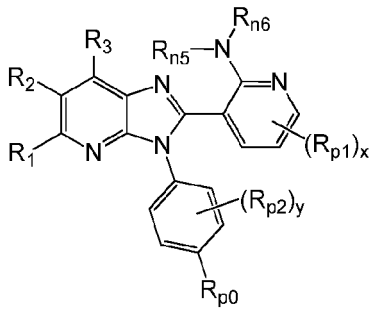
m is 0, 1, 2, 3, 4 or 5;

each R_{p4} is independently hydroxyl, cyano, nitro, halogen, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S,

unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, $C(O)R_{22}$, $C(O)OR_{22}$, $NR_{22}'C(O)R_{22}$, and $NR_{22}'C(O)OR_{22}$; and

R_{22} and R_{22}' are each independently H, unsubstituted or substituted C_1-C_6 alkyl or unsubstituted or substituted C_6-C_{10} aryl.

18. The compound of claim 1, having formula IV:



(IV),

or a pharmaceutically acceptable salt or ester thereof, wherein:

R_1, R_2 and R_3 are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

x is 0, 1, 2 or 3;

y is 0, 1, 2, 3 or 4;

R_{p0} is H, hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

each R_{p1} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, or unsubstituted or substituted amino;

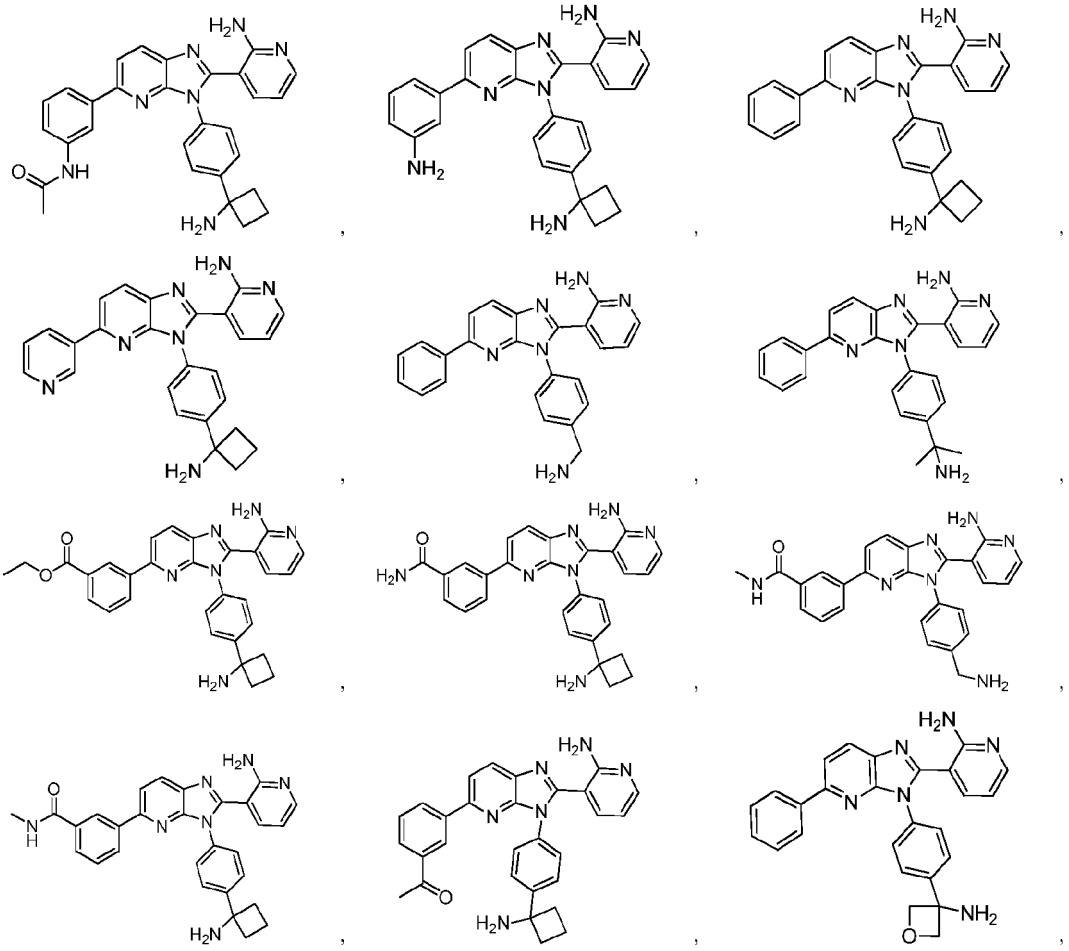
each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_1-C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising

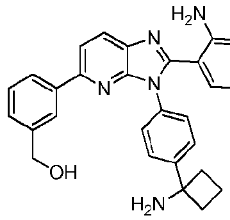
one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

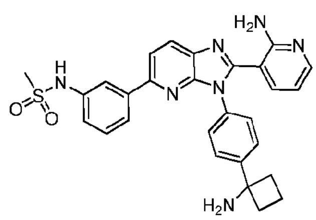
R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted amino, $C(O)R_8$, $C(O)OR_8$, $S(O)R_8$, or $S(O)_2R_8$; and

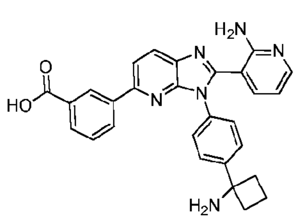
R_8 is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, or unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S.

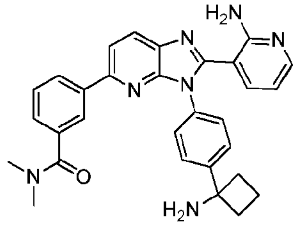
19. The compound of claim 1, selected from the group consisting of:

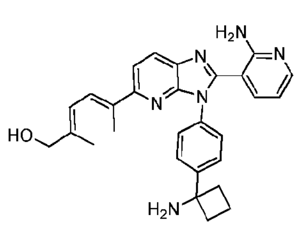


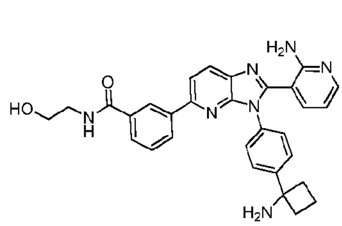
 ,

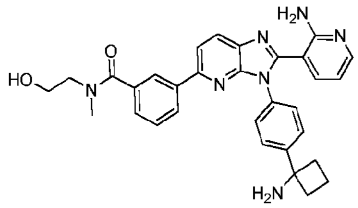
 ,

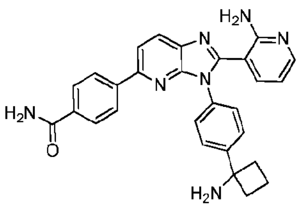
 ,

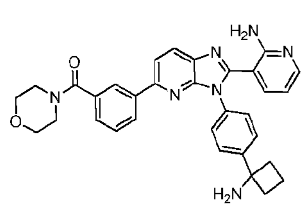
 ,

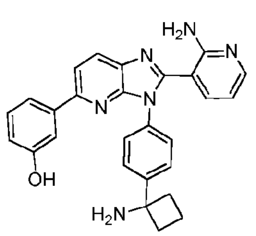
 ,

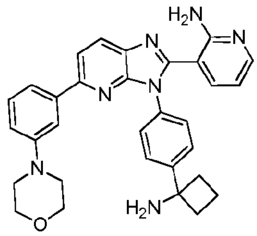
 ,

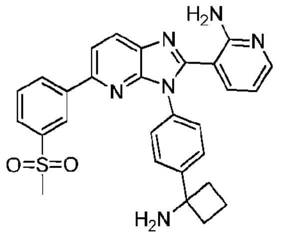
 ,

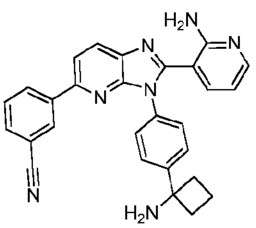
 ,

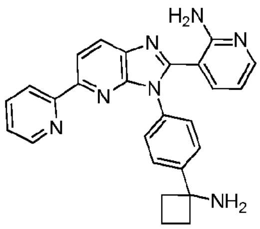
 ,

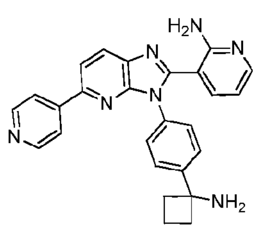
 ,

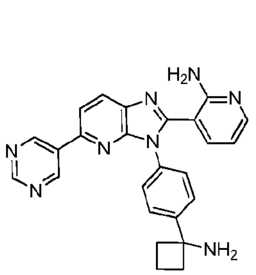
 ,

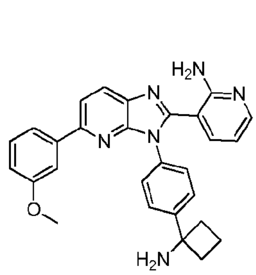
 ,

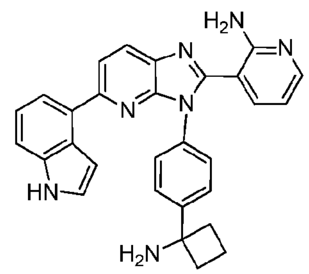
 ,

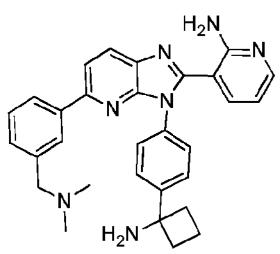
 ,

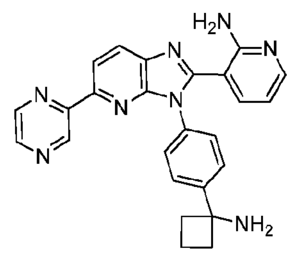
 ,

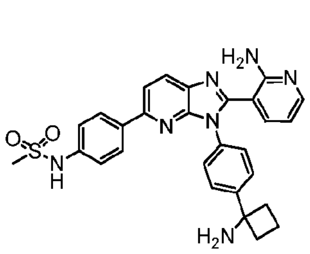
 ,

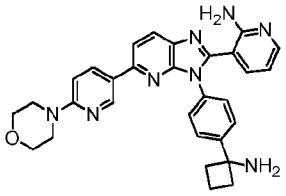
 ,

 ,

 ,

 ,

 ,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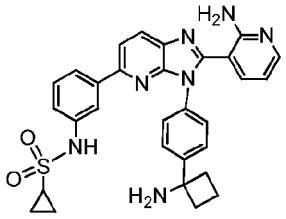
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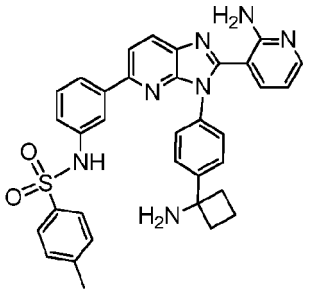
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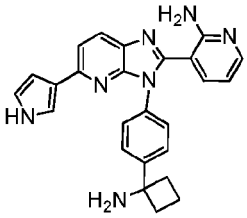
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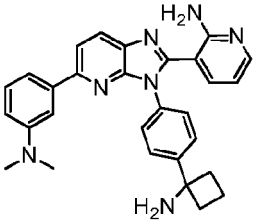
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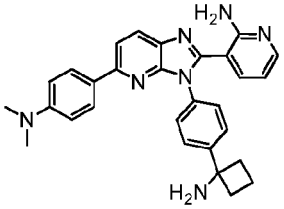
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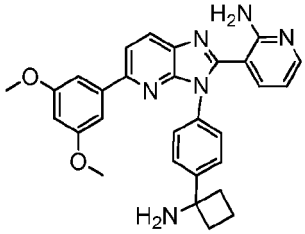
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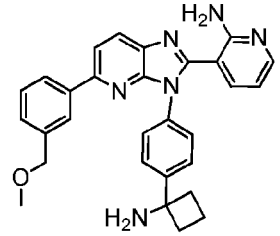
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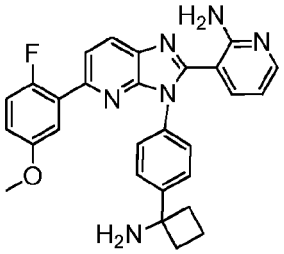
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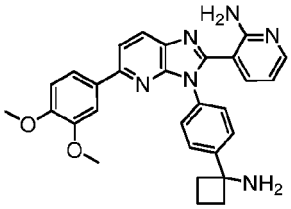
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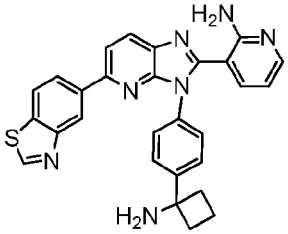
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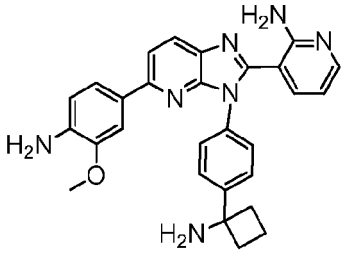
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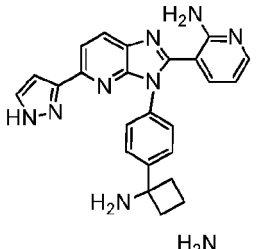
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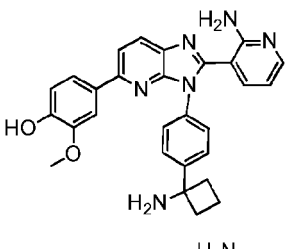
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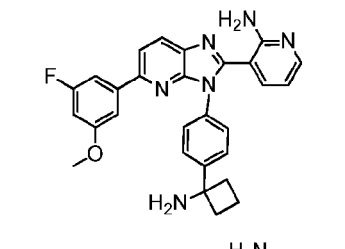
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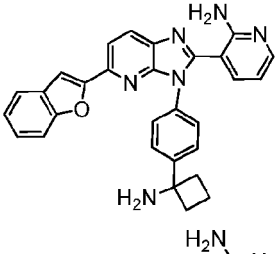
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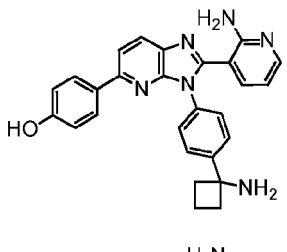
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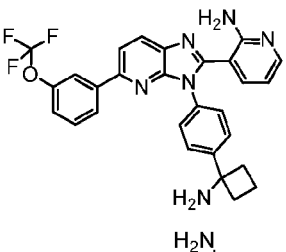
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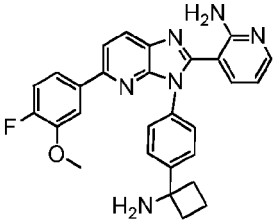
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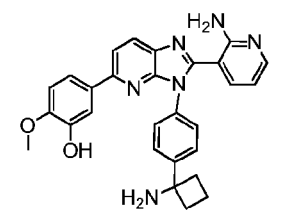
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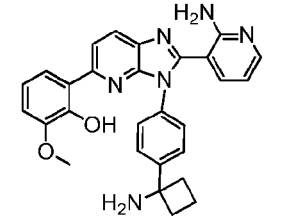
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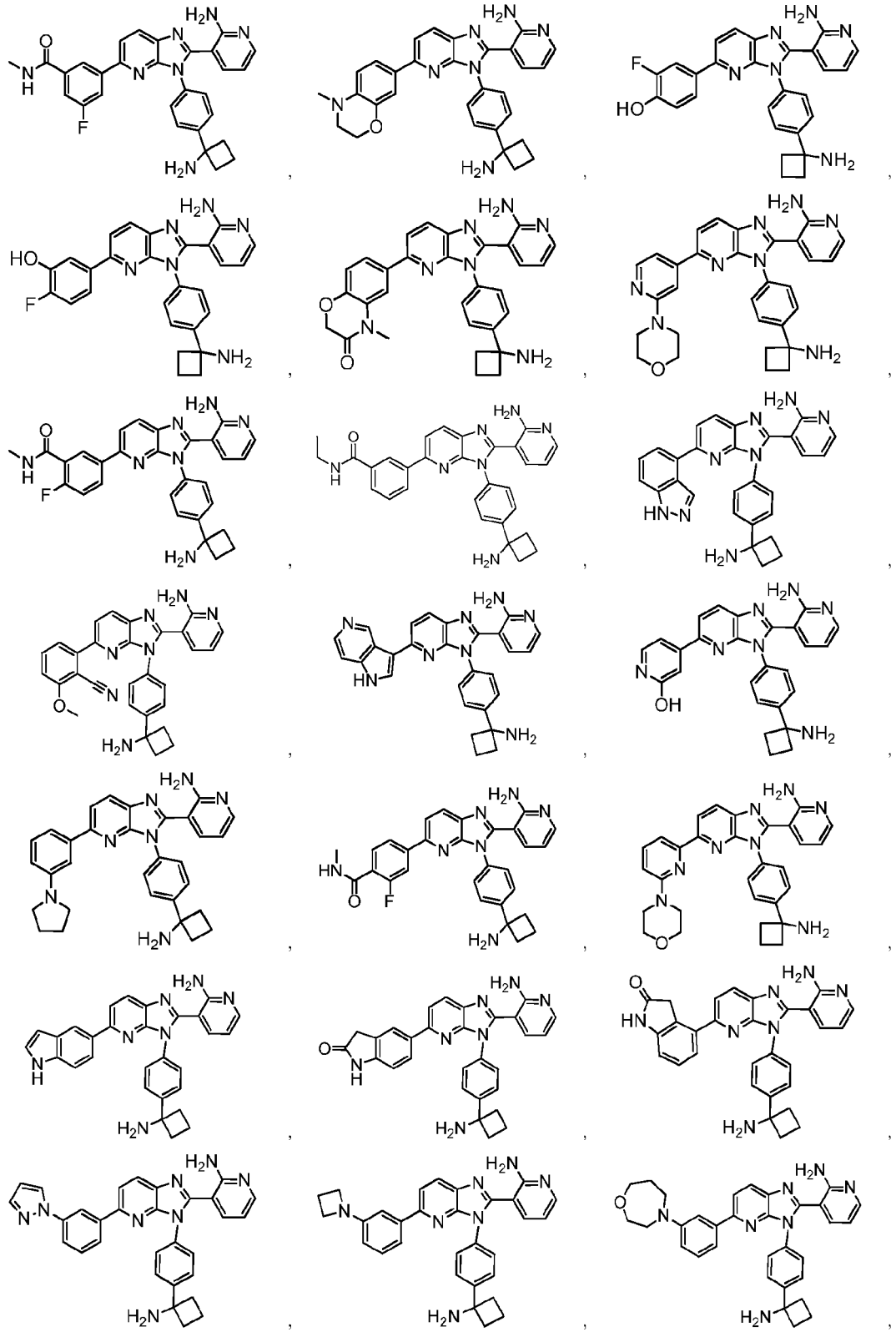
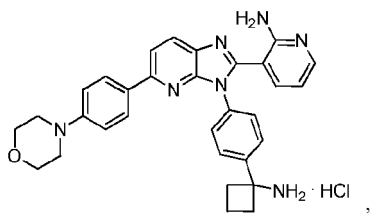
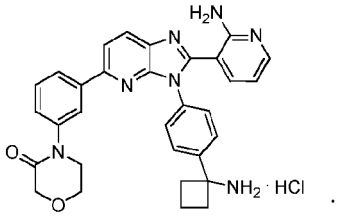
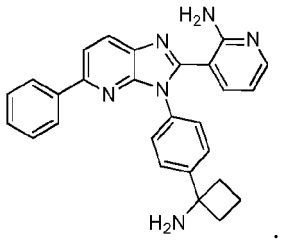


20. The compound of claim 19, wherein said compound is:



21. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1, or a salt, solvate, hydrate or prodrug thereof, and a pharmaceutically acceptable carrier or excipient.

22. A method of treating a cell proliferative disorder by administering to a subject in need thereof, a therapeutically effective amount of a compound of claim 1, or a pharmaceutically acceptable salt, prodrug, metabolite, analog or derivative thereof, in combination with a pharmaceutically acceptable carrier, such that the disorder is treated.

23. The method of claim 22, further comprising administering to the subject in need thereof, a second anti-proliferative agent.

15 If available, please enter the **Chinese abstract** text in the space below.

本发明涉及取代的咪唑并吡啶基-氨基吡啶化合物和合成这些化合物的方法 。本发明也涉及含有取代的咪唑并吡啶基-氨基吡啶化合物的药物组合物和通过给有此需要的受试者施用这些化合物和药物组合物来治疗细胞增殖障碍(诸如癌症)的方法 。