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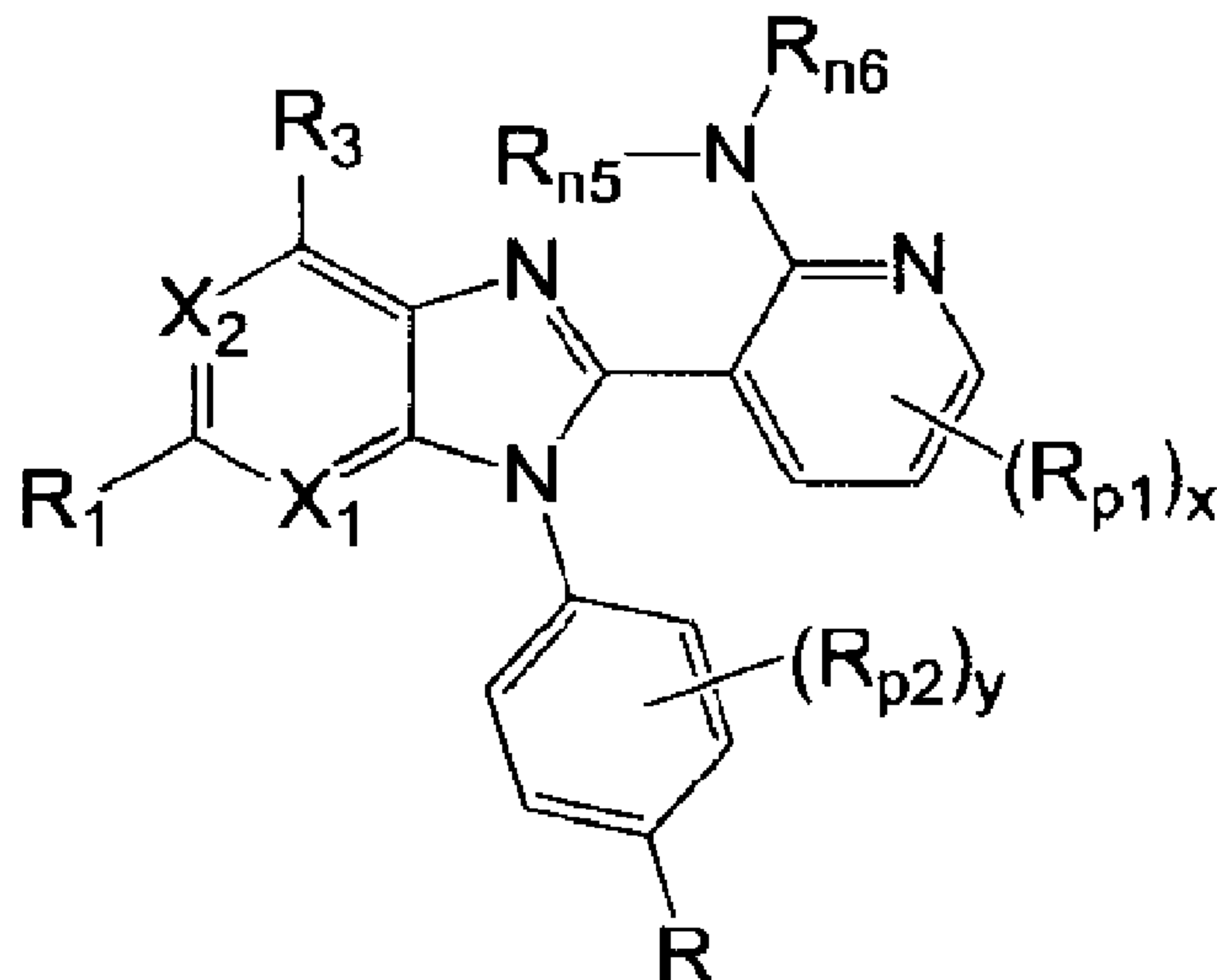
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(54) Titre : COMPOSES IMIDAZOPYRIDINYL-AMINOPYRIDINE SUBSTITUES
(54) Title: SUBSTITUTED IMIDAZOPYRIDINYL-AMINOPYRIDINE COMPOUNDS



(57) Abrégé/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-

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aminopyridine compounds and methods of treating cell proliferative disorders, such as cancer, by administering these compounds and pharmaceutical compositions to subjects in need thereof.

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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.



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CECI EST LE TOME __1__ DE __2__

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JUMBO APPLICATIONS / PATENTS

**THIS SECTION OF THE APPLICATION / PATENT CONTAINS MORE
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SUBSTITUTED IMIDAZOPYRIDINYL-AMINOPYRIDINE COMPOUNDS

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.

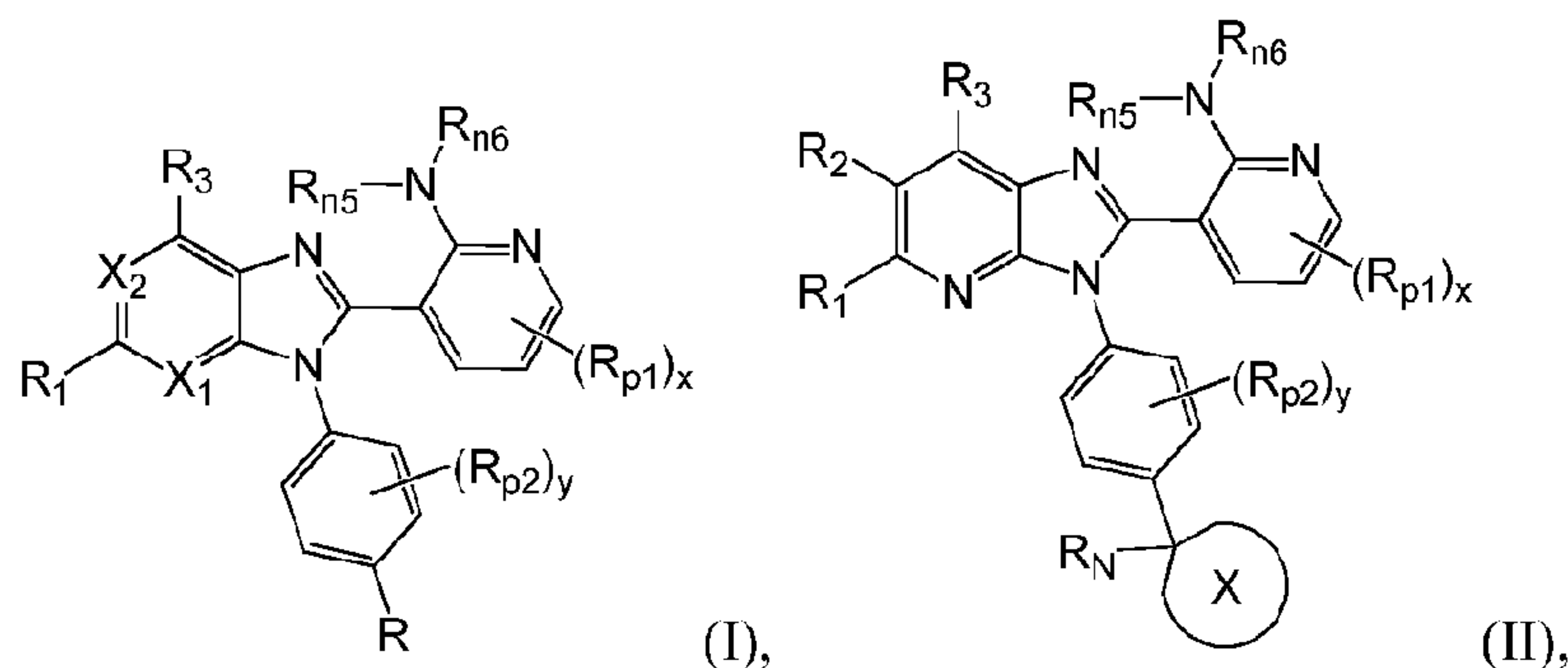
[0005] AKT protein family, which members are also called protein kinases B (PKB) plays an important role in mammalian cellular signaling. In humans, there are three genes in the AKT family: Akt1, Akt2, and Akt3. These genes code for enzymes that are members of the serine/threonine-specific protein kinase 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.

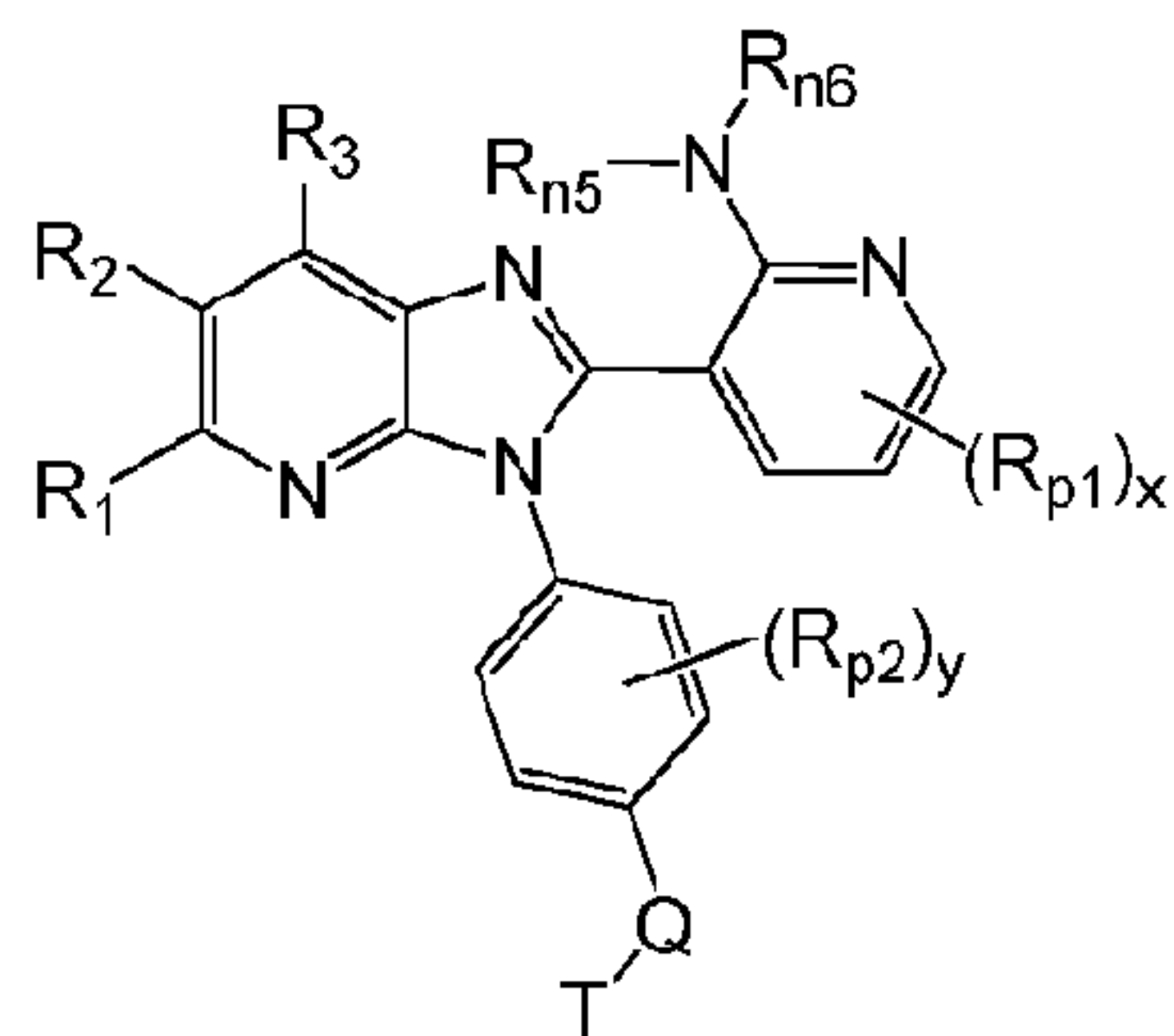
[0006] The AKT family regulates cellular survival and metabolism by binding and regulating many downstream effectors, e.g. Nuclear Factor- κ B, Bcl-2 family proteins and murine double minute 2 (MDM2). Akt1 is known to play a role in the cell cycle. Moreover, activated Akt1 may enable proliferation and 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.

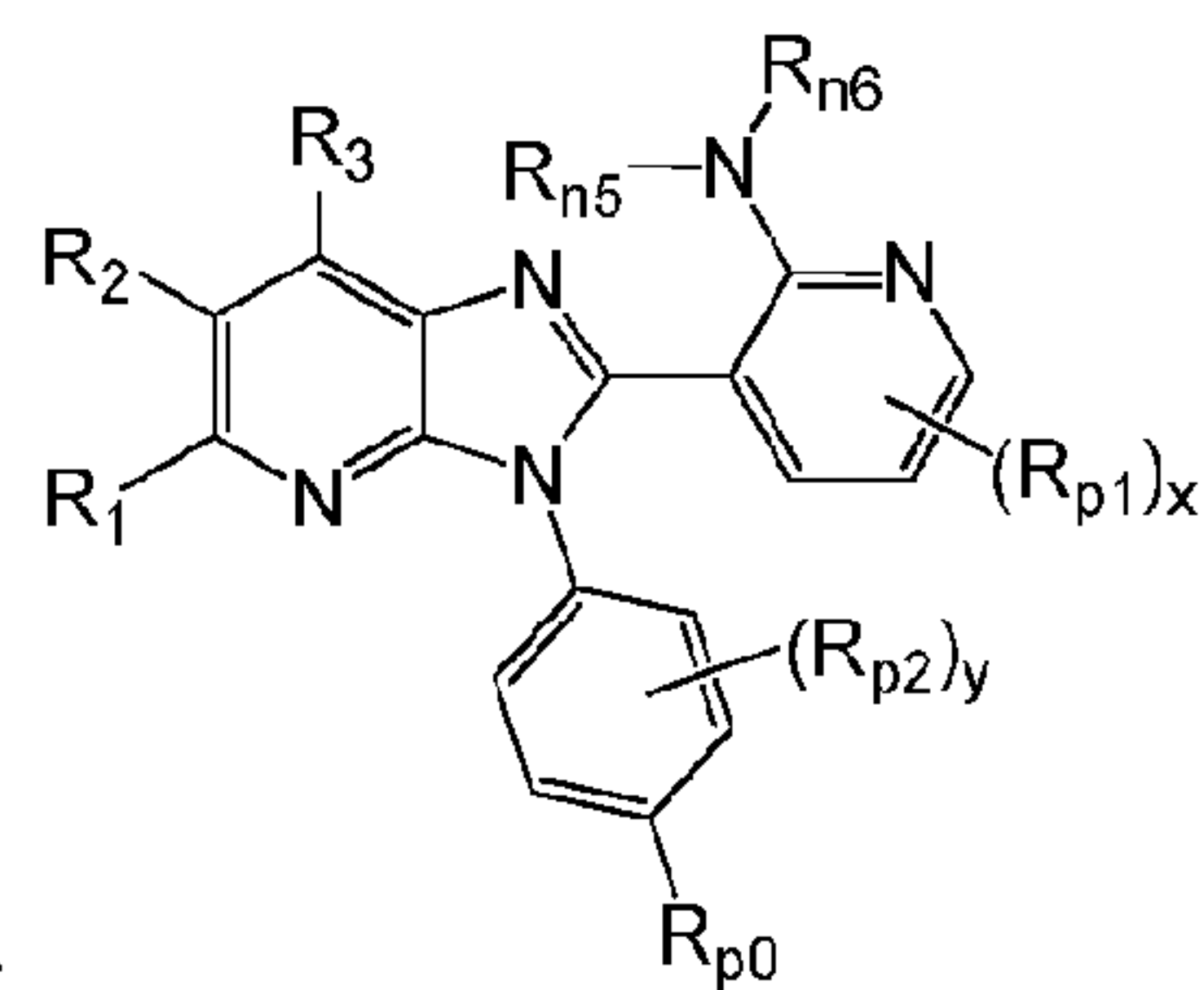
SUMMARY OF THE INVENTION

[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:





(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;

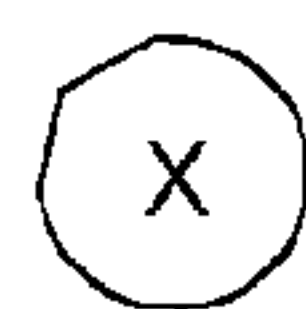
R is H, hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C_1 - C_6 alkyl, unsubstituted or substituted C_1 - C_6 alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C_6 - C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings 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.

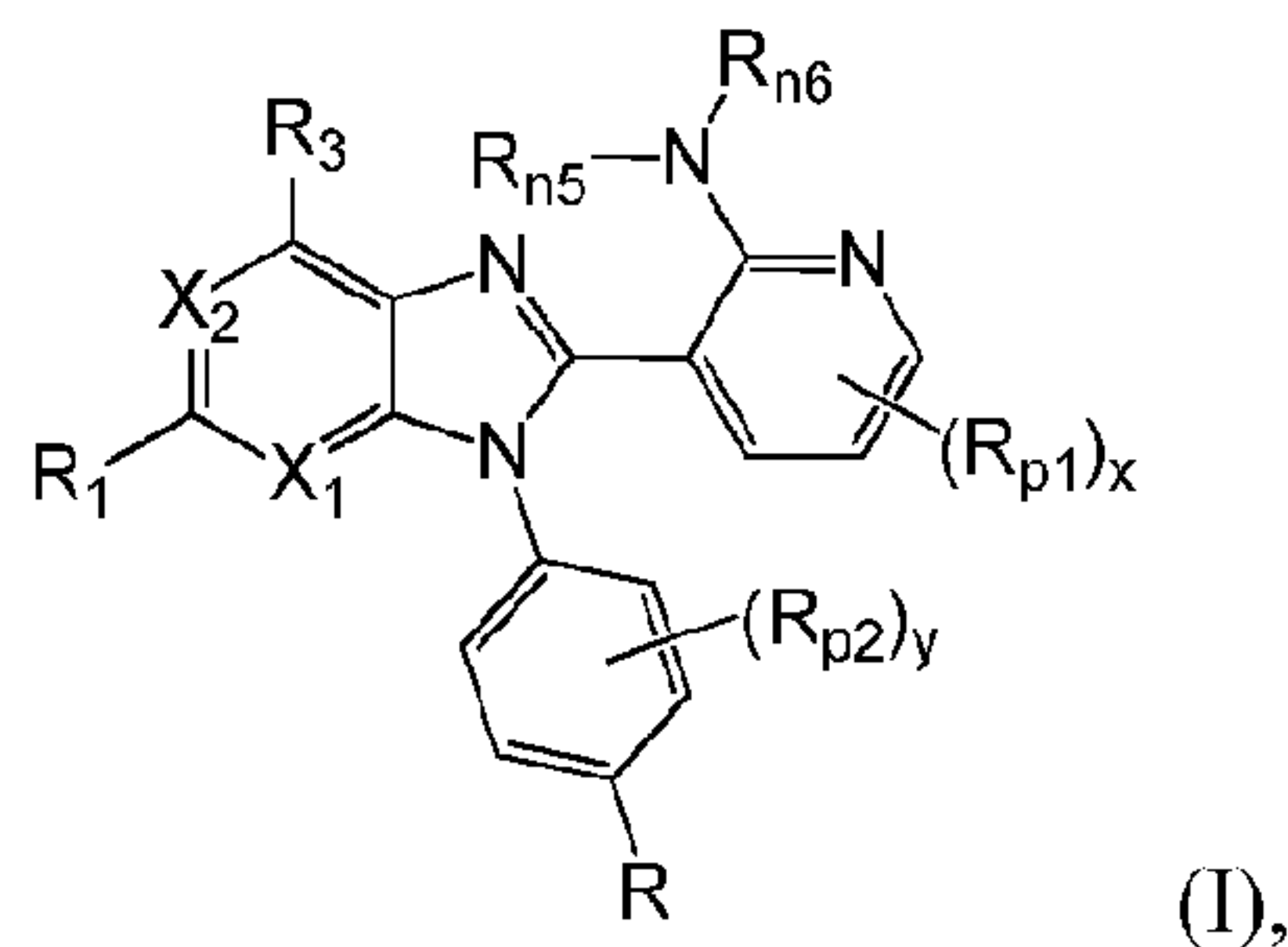
[00014] Other features and advantages of the invention will be apparent from the following detailed description and claims.

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:



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 C₃-C₁₀ 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, X₁ is N and X₂ is CR_x.

[00018] For example, X₂ is N and X₁ is CR_x.

[00019] For example, R₁, R_x and R₃ are each H.

[00020] For example, R₁ and R_x are each H.

[00021] For example, R_x and R₃ are each H.

[00022] For example, R₁ and R₃ are each H.

[00023] For example, only R₁ is H, and R_x and R₃ are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 R₁ and R₃ are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 R₃ is H, and R₁ and R_x are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₁ is fluorine, chlorine, bromine or iodine.

[00027] For example, R₁ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₁ is unsubstituted methyl.

[00029] For example, R₁ is trifluoromethyl (*i.e.*, CF₃) or methyl substituted with dimethylamino.

[00030] For example, R₁ is unsubstituted or substituted C₁-C₆ alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[00031] For example, R₁ is unsubstituted or substituted methoxy.

[00032] For example, R₁ is unsubstituted amino.

[00033] For example, R₁ is amino substituted with one or two groups, each of which is independently selected from:

- a) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[00034] For example, R₁ is amino substituted with one or two groups, each of which is independently selected from amino, benzyl, and phenyl.

[00035] For example, R₁ is unsubstituted phenyl or naphthyl.

[00036] For example, R₁ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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,

tetrahydrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidiny, 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, tetrahydrofuranyl, 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, R₁ is phenyl substituted with C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[00039] For example, R₁ is phenyl substituted with methyl, which is optionally substituted.

[00040] For example, R₁ is phenyl substituted with methyl, which is optionally substituted with hydroxyl, methoxy, amino, or dimethylamino.

[00041] For example, R₁ is phenyl substituted with C₁-C₆ alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[00042] For example, R₁ is phenyl substituted with methoxy, trifluoromethoxy, ethoxy, propyloxy, or ethylenedioxy.

[00043] For example, R₁ is phenyl substituted with unsubstituted amino.

[00044] For example, R₁ is phenyl substituted with dimethylamino or diethylamino.

[00045] For example, R₁ is phenyl substituted with pyrazolyl.

[00046] For example, R₁ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- e) C(O)R₁₈, and C(O)OR₁₈, 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 substituted.

[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 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).

[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, tetrahydrofuranyl, 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),

c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, *i*-propyloxy, butoxy, and *t*-butoxy)), and

d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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, azetidiny, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, and S(O)₂R₁₆, wherein:

R₁₆ is:

(1) H, unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 R₁₆' is:

H or unsubstituted or substituted C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ 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 C₁-C₆ 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)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, or S(O)₂R₁₆.

[00083] For example, R₁₆ is H.

[00084] For example, R₁₆ is C₁-C₆ alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[00085] For example, R₁₆ 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,

quinoxaliny, 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, indoliziny, and quinoxaliny),
- 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, tetrahydrofuranyl, 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[00097] For example, R₃ is unsubstituted phenyl or naphthyl.

[00098] For example, R₃ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, azetidiny, 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, indoliziny, 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000101] For example, R₃ 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, R₃ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, tetrahydrofuranyl, 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, tetrahydrofuranyl, 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl substituted with amino.

[000119] For example, each R_{p2} is independently unsubstituted or substituted C₁-C₆ 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 C₁-C₆ 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, tetrahydrofuranyl, 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 substituted 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, azetidiny, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidiny, thiazetidiny, pyrrolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, 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 substituted with one or more groups selected from hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C₁-C₆ 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 NR₉R₁₀.

[000155] For example, R₉ and R₁₀ are each H (*i.e.*, R_N is NH₂).

[000156] For example, R₉ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₉ is unsubstituted or substituted phenyl or naphthyl.

[000158] For example, R₉ 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, naphthrydyl, indolyl, indolonyl, benzofuranyl, purinyl, indoliziny, and quinoxaliny, and the like, and is optionally substituted.

[000159] For example, R₉ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000160] For example, R₉ is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000161] For example, R₉ is C(O)R₁₂, C(O)OR₁₂, C(O)NR₁₂R₁₃, or S(O)₂R₁₂.

[000162] For example, R₁₂ is H.

[000163] For example, R₁₂ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₂ is methyl, ethyl, or t-butyl.

[000165] For example, R₁₂ is unsubstituted or substituted phenyl or naphthyl.

[000166] For example, R₁₂ 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, tetrahydrofuranyl, 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, tetrahydrofuranyl, 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, R₁₄ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₄ methyl or ethyl.

[000195] For example, R₁₄ is C₁-C₆ alkyl substituted with hydroxyl.

[000196] For example, R₁₄ is unsubstituted or substituted phenyl or naphthyl.

[000197] For example, R₁₄ 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, R₁₄ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000199] For example, R₁₄ 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, R₁₅ is H.

[000201] For example, R₁₅ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₅ is unsubstituted or substituted phenyl or naphthyl.

[000203] For example, R₁₅ 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, R₁₅ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

- [000205] For example, R₁₅ is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, 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 NR₉R₁₀.
- [000207] For example, R is methyl substituted with both cyclobutyl and NR₉R₁₀.
- [000208] For example, R is methyl substituted with both oxetanyl and NR₉R₁₀.
- [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)R₁₁.
- [000210] For example, R is methyl substituted with both cyclobutyl and C(O)R₁₁.
- [000211] For example, R is methyl substituted with both oxetanyl and C(O)R₁₁.
- [000212] For example, R is unsubstituted or substituted C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), or unsubstituted or substituted di-C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), unsubstituted or substituted di-C₁-C₆ 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 C₆-C₁₀ 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 C₃-C₁₀ 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) NR₉R₁₀, C(O)R₁₁, wherein:

R₉ is H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₁₂, C(O)OR₁₂, C(O)NR₁₂R₁₃, S(O)R₁₂, or S(O)₂R₁₂;

R₁₁ is H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, OR₁₄, or NR₁₄R₁₅;

R₁₀, R₁₂, R₁₃, R₁₄ and R₁₅ are each independently H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀

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 $\text{C}_1\text{-C}_6$ alkyl or branched $\text{C}_3\text{-C}_6$ 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 $\text{C}_3\text{-C}_{10}$ 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 $\text{C}(\text{O})\text{R}_{12}$, $\text{C}(\text{O})\text{OR}_{12}$, $\text{C}(\text{O})\text{NR}_{12}\text{R}_{13}$, or $\text{S}(\text{O})_2\text{R}_{12}$.

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

[000231] For example, R_{12} is unsubstituted or substituted, straight chain $\text{C}_1\text{-C}_6$ alkyl or branched $\text{C}_3\text{-C}_6$ 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, tetrahydrofuranyl, 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, tetrahydrofuranyl, 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, R₁₄ is C₁-C₆ alkyl substituted with hydroxyl.
- [000264] For example, R₁₄ is unsubstituted or substituted phenyl or naphthyl.
- [000265] For example, R₁₄ 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, R₁₄ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.
- [000267] For example, R₁₄ 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, R₁₅ is H.
- [000269] For example, R₁₅ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₅ is unsubstituted or substituted phenyl or naphthyl.
- [000271] For example, R₁₅ 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, R₁₅ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.
- [000273] For example, R₁₅ 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, azetidiny, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidiny, thiazetidiny, 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, azetidiny, 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), unsubstituted or substituted di-C₁-C₆ 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 C₆-C₁₀ 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 C₃-C₁₀ 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) NR₉R₁₀, C(O)R₁₁, wherein:

R₉ is H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₁₂, C(O)OR₁₂, C(O)NR₁₂R₁₃, S(O)R₁₂, or S(O)₂R₁₂;

R₁₁ is H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ carbocycle, unsubstituted or substituted

heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, OR₁₄, or NR₁₄R₁₅;

R₁₀, R₁₂, R₁₃, R₁₄ and R₁₅ are each independently H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 NR₉R₁₀.

[000277] For example, R₉ and R₁₀ are each H (*i.e.*, R_N is NH₂).

[000278] For example, R₉ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₉ is unsubstituted or substituted phenyl or naphthyl.

[000280] For example, R₉ 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, R₉ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000282] For example, R₉ 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, R₉ is C(O)R₁₂, C(O)OR₁₂, C(O)NR₁₂R₁₃, or S(O)₂R₁₂.

[000284] For example, R₁₂ is H.

[000285] For example, R₁₂ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₂ is methyl, ethyl, or t-butyl.

[000287] For example, R₁₂ is unsubstituted or substituted phenyl or naphthyl.

[000288] For example, R₁₂ is unsubstituted phenyl.

[000289] For example, R₁₂ 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, R₁₂ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000291] For example, R₁₂ 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, R₁₃ is H.

[000293] For example, R₁₃ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₃ is methyl, ethyl, or t-butyl.

[000295] For example, R₁₃ is unsubstituted or substituted phenyl or naphthyl.

[000296] For example, R₁₃ is unsubstituted phenyl.

[000297] For example, R₁₃ 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, R₁₃ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000299] For example, R₁₃ 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, R₁₀ is H.

[000301] For example, R₁₀ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₄ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₄ methyl or ethyl.

[000317] For example, R₁₄ is C₁-C₆ alkyl substituted with hydroxyl.

[000318] For example, R₁₄ is unsubstituted or substituted phenyl or naphthyl.

[000319] For example, R₁₄ 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, R₁₄ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000321] For example, R₁₄ 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, R₁₅ is H.

[000323] For example, R₁₅ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₅ is unsubstituted or substituted phenyl or naphthyl.

[000325] For example, R₁₅ 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, R₁₅ is unsubstituted or substituted C₃-C₁₀ 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, tetrahydrofuranyl, 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, indoliziny, and quinoxalinyl),

f) unsubstituted or substituted C₃-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₄, C(O)OR₄, C(O)NR₄'R₄, S(O)R₅, S(O)₂R₅, S(O)NR₅'R₅, or S(O)₂NR₅'R₅.

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

[000344] For example, R_{n1} is unsubstituted or substituted C₃-C₁₀ 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,

quinoxaliny, 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, quinoxaliny, 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),

d) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxaliny),

f) unsubstituted or substituted C₃-C₁₀ 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, R₄ is C₁-C₆ alkyl substituted with one, two or more groups selected from phenyl, pyridinyl, tetrazolyl, cyclopentyl and cyclohexyl.

[000365] For example, R₄ is methyl, ethyl, propyl, *i*-propyl, *t*-butyl, or 2-methylpropyl.

[000366] For example, R₄ is unsubstituted or substituted phenyl, naphthyl, indenyl, or dihydroindenyl.

[000367] For example, R₄ is unsubstituted phenyl.

[000368] For example, R₄ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino),

and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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)R₁₉, C(O)OR₁₉, NR₁₉'C(O)R₁₉, and NR₁₉'C(O)OR₁₉,

wherein:

R₁₉ and R₁₉' are each independently H, unsubstituted or substituted C₁-C₆ 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 C₆-C₁₀ aryl (*e.g.*, phenyl and naphthyl).

[000369] For example, R₄ is phenyl substituted with one, two or more C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)), and

d) unsubstituted or substituted C₆-C₁₀ aryl (*e.g.*, phenyl and naphthyl).

[000370] For example, R₄ is phenyl substituted with fluorine.

[000371] For example, R₄ is phenyl substituted with one, two or more groups independently selected from methyl, ethyl, i-propyl, t-butyl, trifluoromethyl, and benzyl.

[000372] For example, R₄ is phenyl substituted with one, two or more C₁-C₆ alkoxy, which is optionally substituted with one or more halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000373] For example, R₄ is phenyl substituted with one, two or more groups independently selected from methoxy, ethoxy, i-propyloxy, and trifluoromethoxy.

[000374] For example, R₄ is phenyl substituted with one, two or more groups independently selected from amino and dimethylamino.

[000375] For example, R₄ is phenyl substituted with phenyl.

[000376] For example, R₄ is phenyl substituted with heteroaryl, which is optionally substituted with unsubstituted or substituted C₁-C₆ 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, R₄ is phenyl substituted with pyrazolyl or pyrazolonyl, each of which is optionally substituted with methyl.

[000378] For example, R₄ is phenyl substituted with C(O)R₁₉, C(O)OR₁₉, NR₁₉'C(O)R₁₉, and NR₁₉'C(O)OR₁₉.

[000379] For example, R₁₉' is H.

[000380] For example, R₁₉ is H.

[000381] For example, R₁₉ is methyl.

[000382] For example, R₁₉ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000383] For example, R₁₉ is phenyl substituted with halogen.

[000384] For example, R₄ 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, R₄ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000386] For example, R₄ is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000387] For example, R₄ is pyridinyl, isoquinolinyl, isoxazolyl, thiophenyl, furanyl, indolyl, dihydrobenzofuranyl, pyrrolyl, benzothiophenyl, quinolinyl, pyrimidinyl, thiazolyl, or pyrazinyl, each of which is optionally substituted.

[000388] For example, R₄ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000389] For example, R₄ is cyclopentyl or cyclohexyl, each of which is optionally substituted.

[000390] For example, R₄ is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000391] For example, R_{n2} is S(O)R₅ or S(O)₂R₅.

[000392] For example, R₅ is H.

[000393] For example, R₅ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₅ is unsubstituted or substituted phenyl or naphthyl.

[000395] For example, R₅ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino),

and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₁₉, C(O)OR₁₉, NR₁₉'C(O)R₁₉, and NR₁₉'C(O)OR₁₉,

wherein:

R₁₉ and R₁₉' are each independently H, unsubstituted or substituted C₁-C₆ 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 C₆-C₁₀ aryl (*e.g.*, phenyl and naphthyl).

[000396] For example, R₅ is phenyl substituted with one, two or more groups, each of which is independently selected from methyl, methoxy, fluorine and chlorine.

[000397] For example, R₅ 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, R₅ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000399] For example, R₅ is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000400] For example, R₅ is pyridinyl, isoxazolyl, thiophenyl, imidazolyl, pyrazolyl, dihydrobenzofuranyl, or quinolinyl, each of which is optionally substituted.

[000401] For example, R₅ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000402] For example, R₅ is cyclopropyl or cyclohexyl, each of which is optionally substituted.

[000403] For example, R₅ 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, R₅' is H.

[000405] For example, R₅' is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₅' is unsubstituted or substituted phenyl or naphthyl.

[000407] For example, R₅' 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, R₅' is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000409] For example, R₅' 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 azetidiny, diazetidinyl, oxazetidiny, thiazetidiny, 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, tetrahydrofuranyl, 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),
- f) unsubstituted or substituted C₃-C₁₀ 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) NR₂₀'C(O)R₂₀, and NR₂₀'C(O)OR₂₀,

wherein:

R₂₀ and R₂₀' are each independently H, unsubstituted or substituted C₁-C₆ 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 C₆-C₁₀ aryl (*e.g.*, phenyl and naphthyl).

[000424] For example, at least one of R₀₁ and R₀₂ is C₁-C₆ alkyl substituted with one or more groups selected from phenyl, cyclohexyl and NHC(O)R₂₀ wherein R₂₀ is methyl.

[000425] For example, at least one of R₀₁ and R₀₂ is methyl, ethyl, i-propyl, or butyl, each of which is optionally substituted.

[000426] For example, at least one of R₀₁ and R₀₂ 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, indoliziny, 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 azetidiny, diazetidinyl, oxazetidiny, thiazetidiny, 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),
- d) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxaliny),
- f) unsubstituted or substituted C₃-C₁₀ 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 C₁-C₆ alkyl or branched C₃-C₆ 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, tetrahydrofuranyl, 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, tetrahydrofuranyl, 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.

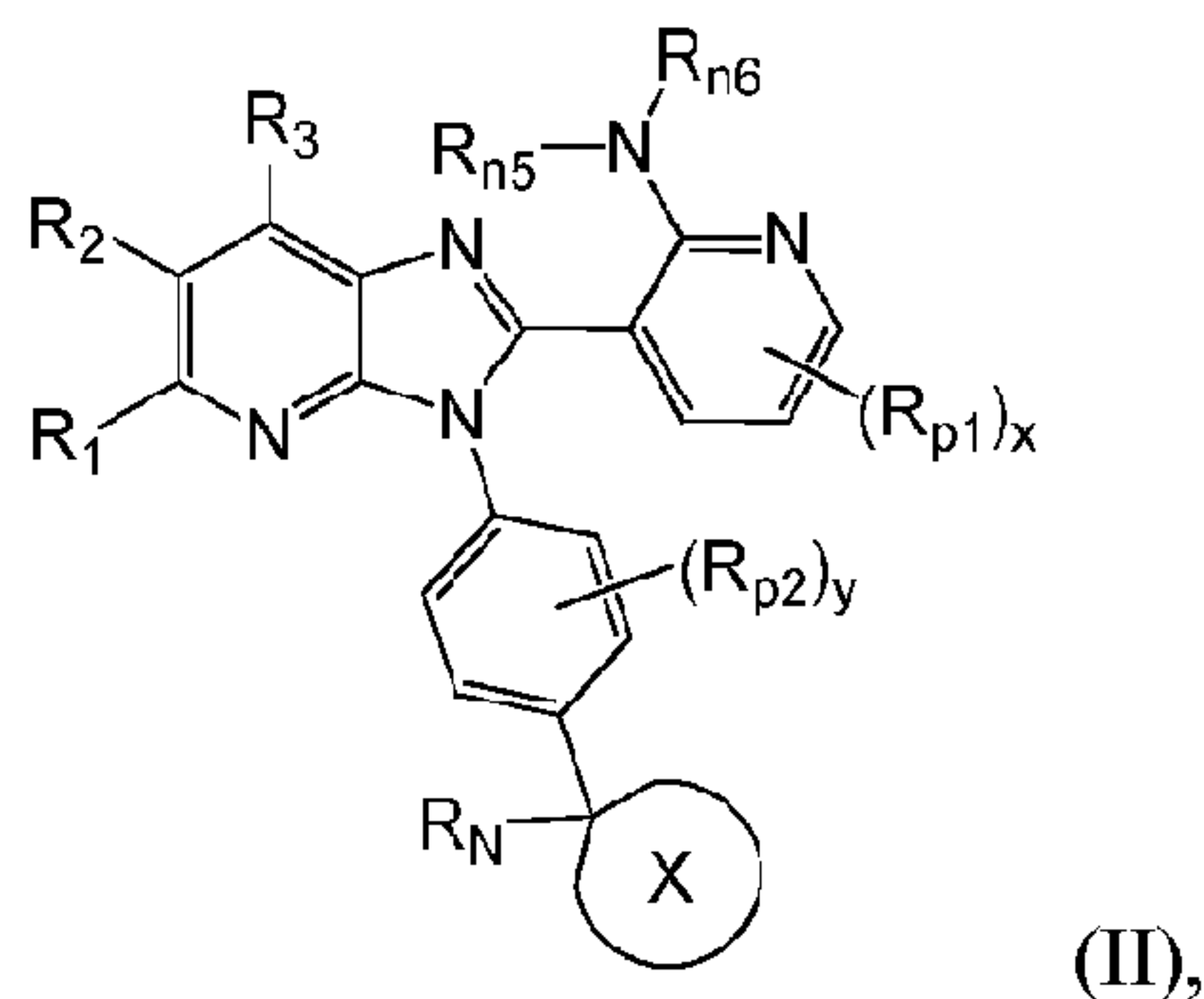
[000460] For example, at least one of R_{o1} and R_{o2} is unsubstituted or substituted C_3 - C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[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 azetidiny, diazetidinyl, oxazetidiny, thiazetidiny, 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:



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;

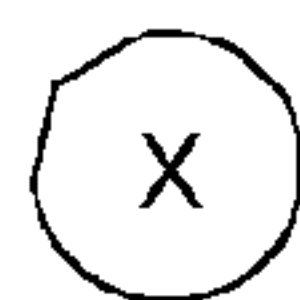
R_N is NR_9R_{10} or $C(O)R_{11}$;

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, OR₁₄, or NR₁₄R₁₅;

R₁₀, R₁₂, R₁₃, R₁₄ and R₁₅ are each independently H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₁, R₂ and R₃ are each H.

[000466] For example, R₁ and R₂ are each H.

[000467] For example, R₂ and R₃ are each H.

[000468] For example, R₁ and R₃ are each H.

[000469] For example, only R₁ is H, and R₂ and R₃ are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 R₂ is H, and R₁ and R₃ are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 R₃ is H, and R₁ and R₂ are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₁ is fluorine, chlorine, bromine or iodine.

[000473] For example, R₁ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₁ is unsubstituted methyl.

[000475] For example, R₁ is trifluoromethyl (*i.e.*, CF₃) or methyl substituted with dimethylamino.

[000476] For example, R₁ is unsubstituted or substituted C₁-C₆ alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000477] For example, R₁ is unsubstituted or substituted methoxy.

[000478] For example, R₁ is unsubstituted amino.

[000479] For example, R₁ is amino substituted with one or two groups, each of which is independently selected from:

- a) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000480] For example, R₁ is amino substituted with one or two groups, each of which is independently selected from amino, benzyl, and phenyl.

[000481] For example, R₁ is unsubstituted phenyl or naphthyl.

[000482] For example, R₁ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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, azetidiny, 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, R₁ 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, R₁ is phenyl substituted with C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000485] For example, R₁ is phenyl substituted with methyl, which is optionally substituted.

[000486] For example, R₁ is phenyl substituted with methyl, which is optionally substituted with hydroxyl, methoxy, amino, or dimethylamino.

[000487] For example, R₁ is phenyl substituted with C₁-C₆ alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000488] For example, R₁ is phenyl substituted with methoxy, trifluoromethoxy, ethoxy, propyloxy, or ethylenedioxy.

[000489] For example, R₁ is phenyl substituted with unsubstituted amino.

[000490] For example, R₁ is phenyl substituted with dimethylamino or diethylamino.

[000491] For example, R₁ is phenyl substituted with pyrazolyl.

[000492] For example, R₁ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ 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 substituted.

[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 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).

[000506] For example, R₁ 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, R₁ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₁₇, and C(O)OR₁₇, wherein:
R₁₇ is H or unsubstituted or substituted C₁-C₆ 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, tetrahydrofuranyl, 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),

c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, *i*-propyloxy, butoxy, and *t*-butoxy)), and

d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000519] For example, R₂ is unsubstituted phenyl or naphthyl.

[000520] For example, R₂ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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, azetidiny, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, and S(O)₂R₁₆, wherein:

R₁₆ is:

(1) H, unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 R₁₆' is:

H or unsubstituted or substituted C₁-C₆ 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, R₂ 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, R₂ is phenyl substituted with C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000523] For example, R₂ is phenyl substituted with methyl, which is optionally substituted.

[000524] For example, R₂ is phenyl substituted with methyl, which is optionally substituted with hydroxyl.

[000525] For example, R₂ is phenyl substituted with C₁-C₆ alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000526] For example, R₂ is phenyl substituted with methoxy or ethoxy.

[000527] For example, R₂ is phenyl substituted with unsubstituted amino.

[000528] For example, R₂ is phenyl substituted with C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, or S(O)₂R₁₆.

[000529] For example, R₁₆ is H.

[000530] For example, R₁₆ is C₁-C₆ alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000531] For example, R₁₆ is methyl, ethyl, propyl, i-propyl.

[000532] For example, R₂ 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, naphthrydyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl,

quinoxaliny, 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, indoliziny, and quinoxaliny),
- 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, R₂ is unsubstituted or substituted cyclopentyl.

[000537] For example, R₂ is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000538] For example, R₃ is fluorine, chlorine, bromine or iodine.

[000539] For example, R₃ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₃ is unsubstituted methyl.

[000541] For example, R₃ is unsubstituted amino.

[000542] For example, R₃ is amino substituted with one or two groups, each of which is independently selected from:

- a) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000543] For example, R₃ is unsubstituted phenyl or naphthyl.

[000544] For example, R₃ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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, azetidiny, 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, indoliziny, 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000547] For example, R₃ 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, naphthrydiny, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000548] For example, R₃ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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, naphthrydiny, indolyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl),
- f) unsubstituted or substituted C₃-C₁₀ 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, tetrahydrofuranyl, 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, tetrahydrofuranyl, 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl substituted with amino.

[000565] For example, each R_{p2} is independently unsubstituted or substituted C₁-C₆ 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 C₁-C₆ 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, tetrahydrofuranyl, 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, tetrahydrofuranyl, 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, tetrahydrofuranyl, 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, R₁₄ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₄ methyl or ethyl.

[000629] For example, R₁₄ is C₁-C₆ alkyl substituted with hydroxyl.

[000630] For example, R₁₄ is unsubstituted or substituted phenyl or naphthyl.

[000631] For example, R₁₄ 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, R₁₄ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000633] For example, R₁₄ 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, R₁₅ is H.

[000635] For example, R₁₅ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₁₅ is unsubstituted or substituted phenyl or naphthyl.

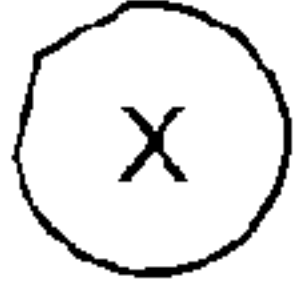
[000637] For example, R₁₅ is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

[000638] For example, R₁₅ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

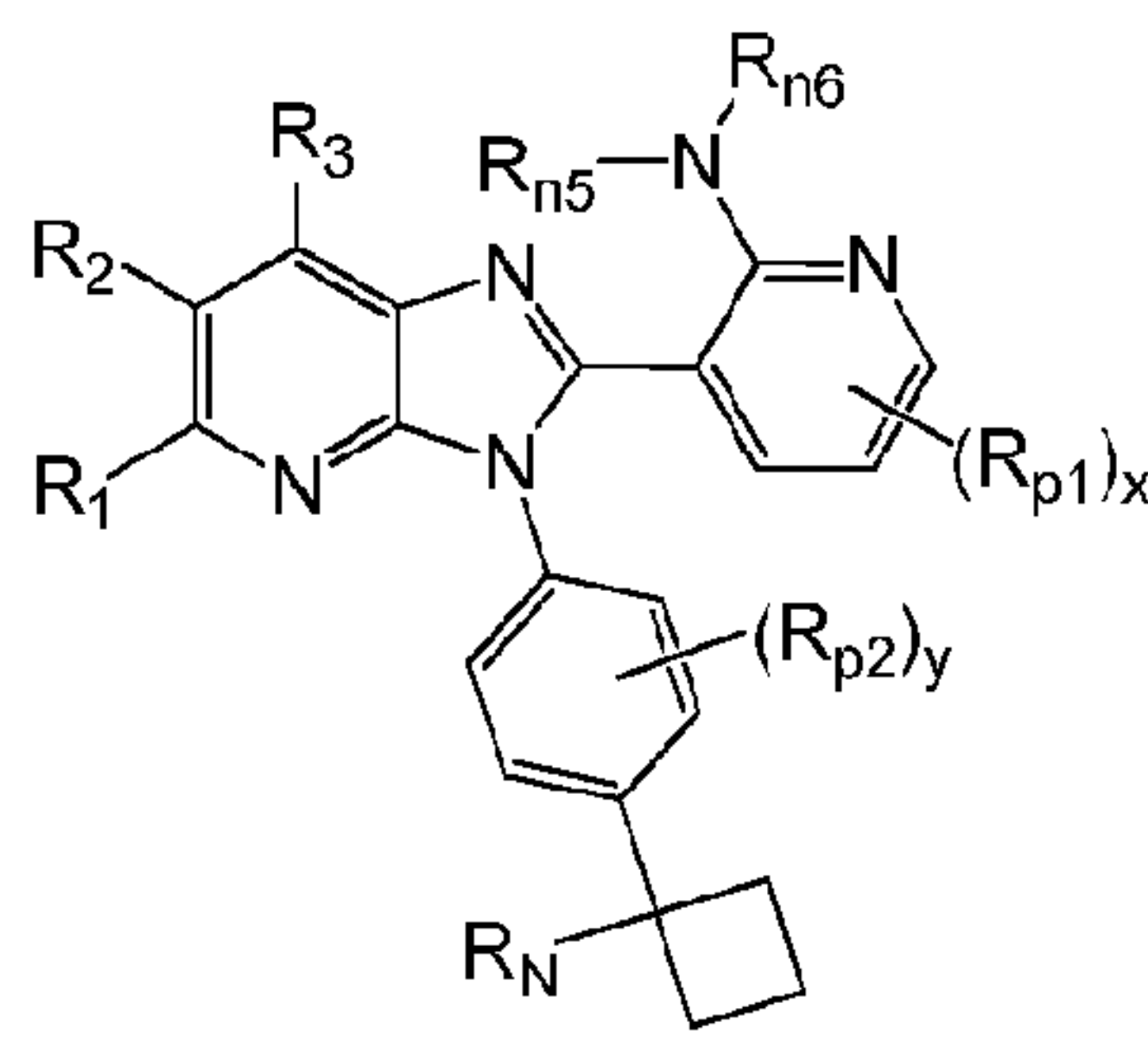
[000639] For example, R_{15} is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[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.

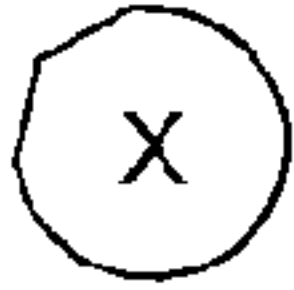
[000641] For example,  is a 3-, 4-, 5-, 6-, or 7-member carbocycle and is optionally substituted with one or more groups selected from hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C_1 - C_6 alkyl (*e.g.*, methyl, ethyl, *n*-propyl, *i*-propyl, *n*-butyl, *i*-butyl, *s*-butyl, *t*-butyl, *n*-pentyl, *s*-pentyl, and *n*-hexyl).

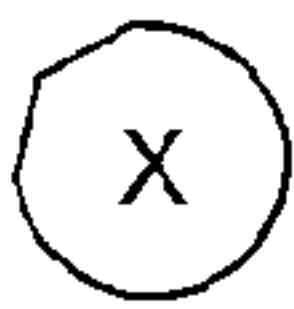
[000642] For example,  is cyclobutyl.

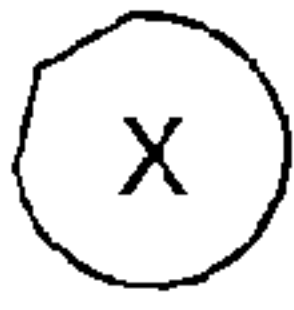
[000643] For example, the compounds of the present invention have the following formula:



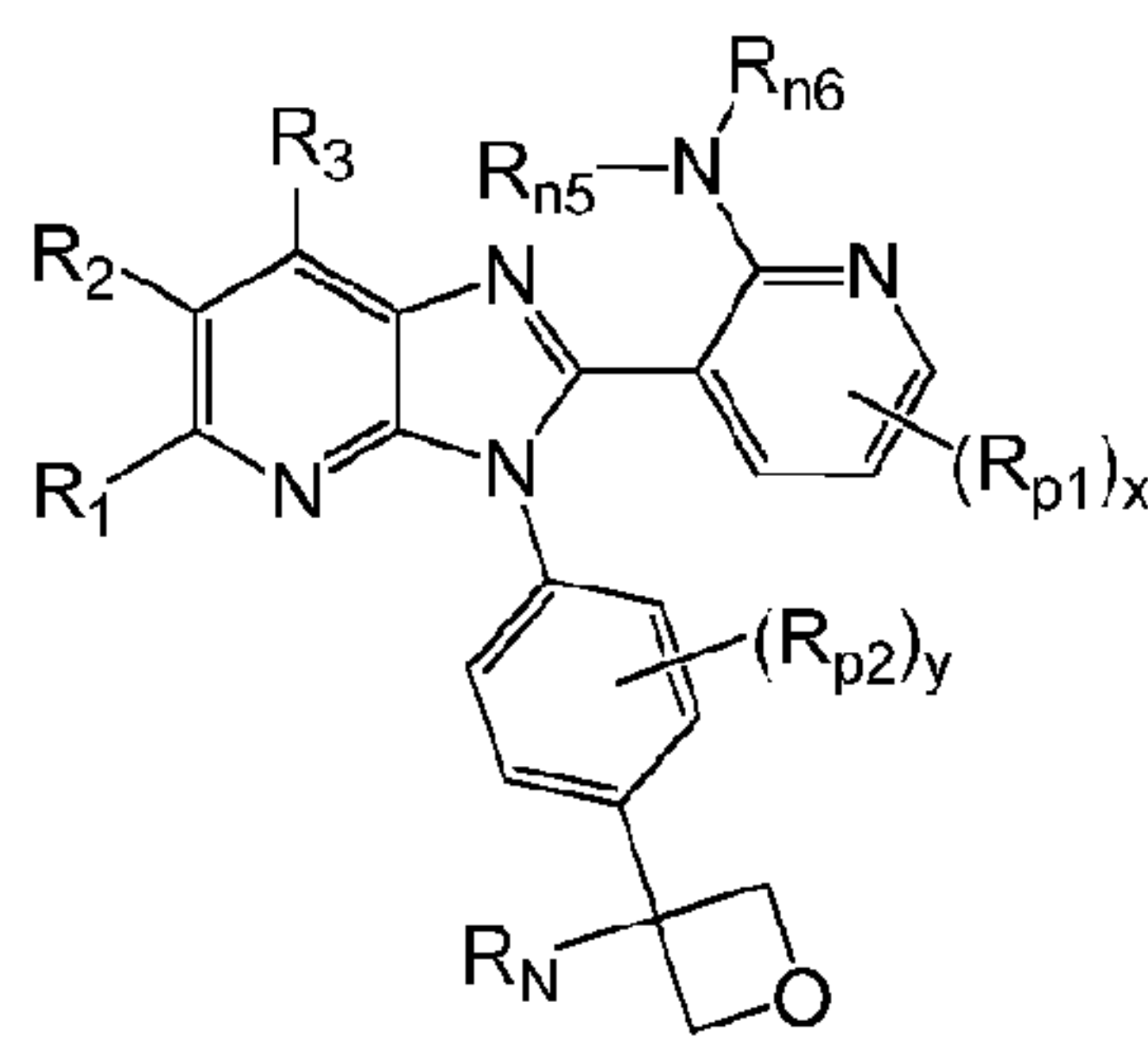
wherein each of substituent groups is defined according to Formula II.

[000644] For example,  is a 3-, 4-, 5-, 6-, or 7-member heterocycle selected from aziridinyl, oxiranyl, thiiranyl, azetidiny, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidiny, thiazetidiny, pyrrolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, 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 substituted with one or more groups selected from hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine), and unsubstituted or substituted C₁-C₆ alkyl (*e.g.*, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl).

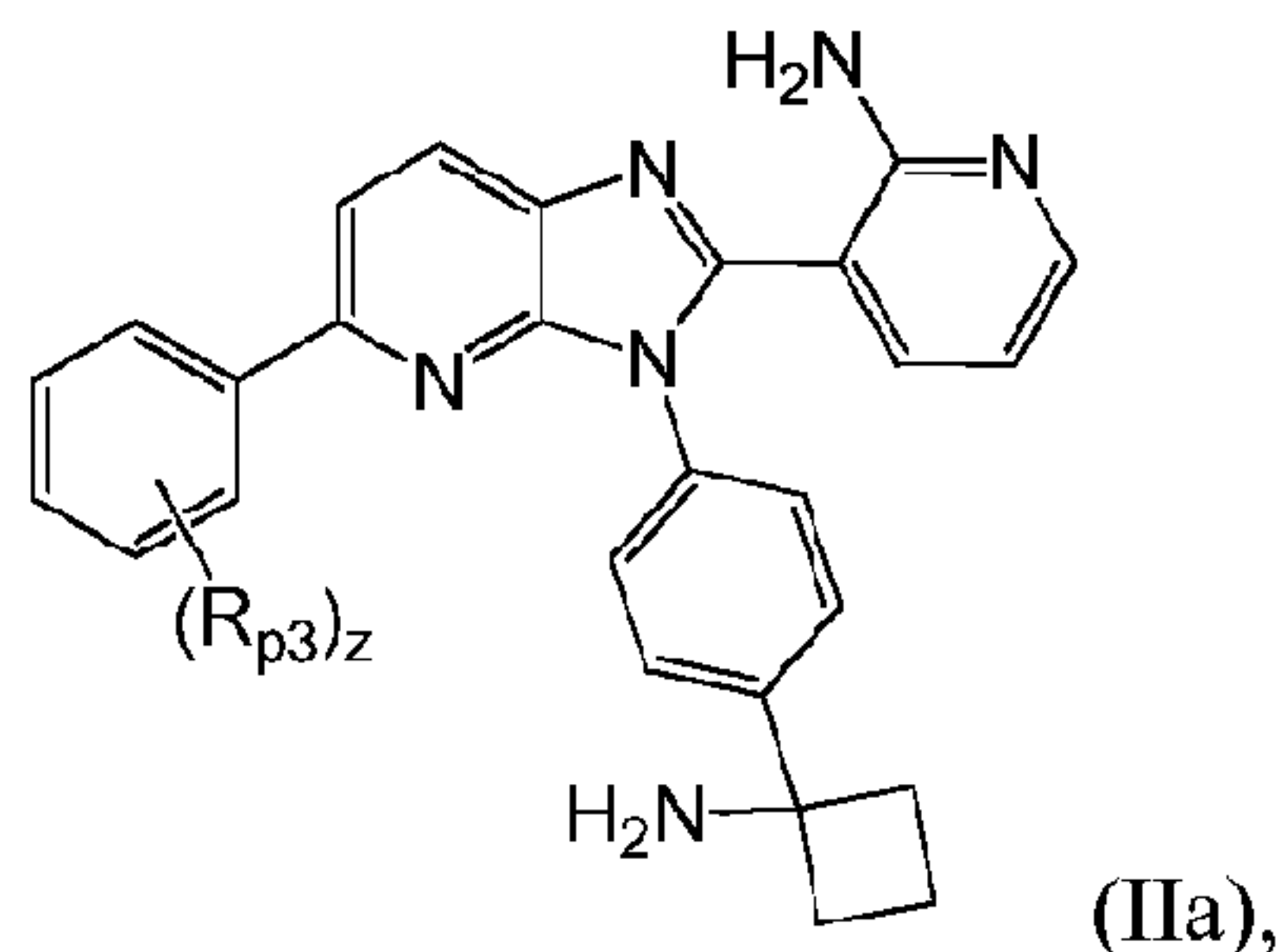
[000646] For example,  is oxetanyl.

[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:



wherein:

z is 0, 1, 2, 3, 4 or 5;

each R_{p3} is independently hydroxyl, cyano, nitro, halogen, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, or S(O)₂R₁₆, 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, azetidiny, 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, indoliziny, 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, tetrahydrofuranyl, 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.

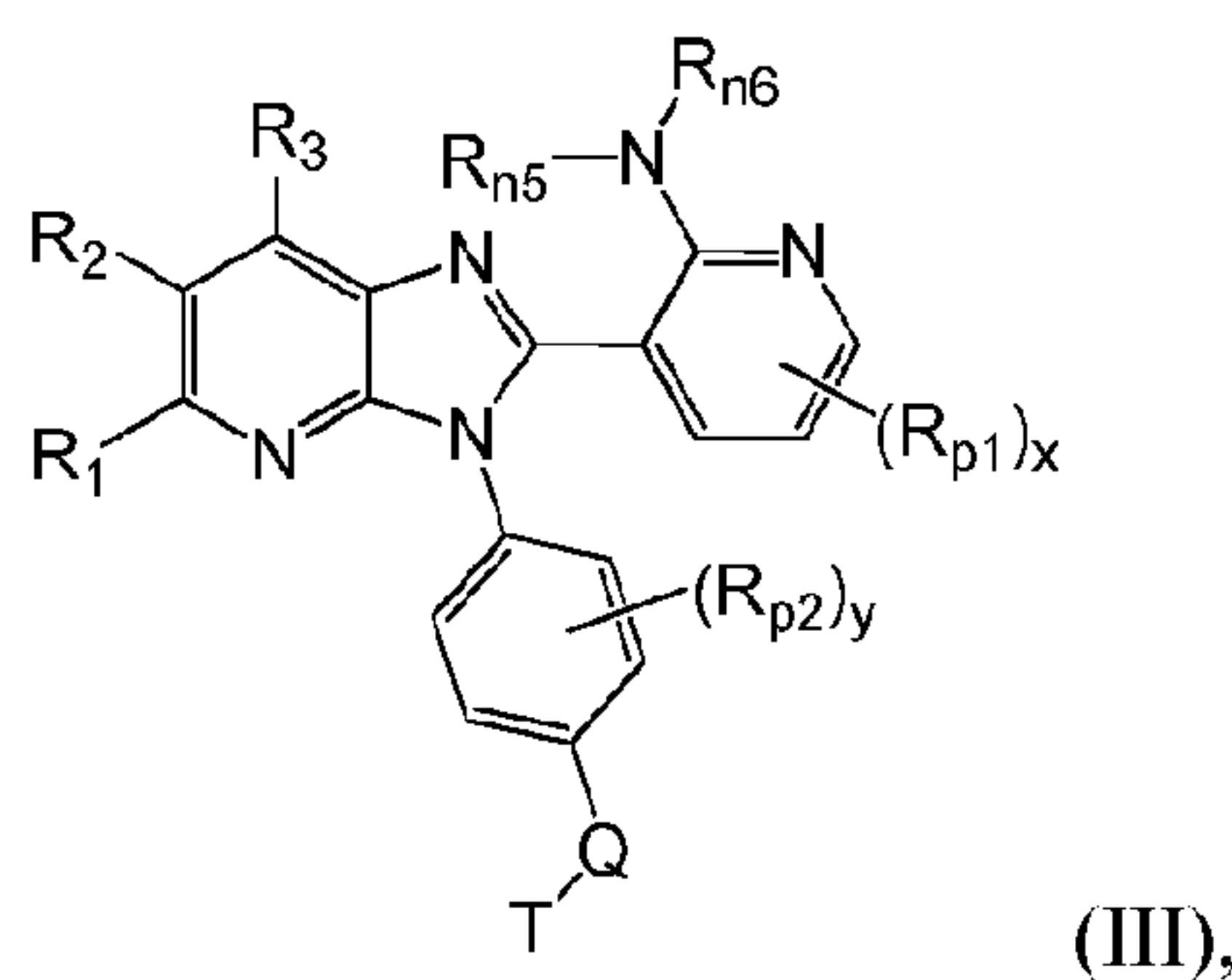
[000681] For example, R_{16}' is unsubstituted or substituted, straight chain C_1 - C_6 alkyl or branched C_3 - C_6 alkyl, including but not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, s-pentyl, and n-hexyl.

[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, tetrahydrofuranyl, piperidinyl, piperazinyl, morpholinyl, and morpholinonyl), each of which is optionally substituted.

[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 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).

[000685] The present invention also provides the compounds of Formula 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₄, C(O)OR₄, C(O)NR₄'R₄, S(O)R₅, S(O)₂R₅, S(O)NR₅'R₅, or S(O)₂NR₅'R₅.

[000693] For example, R_{n1} is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkyl substituted with one or more groups selected from:

- a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),
- b) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

f) unsubstituted or substituted C₃-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₄, C(O)OR₄, C(O)NR₄'R₄, S(O)R₅, S(O)₂R₅, S(O)NR₅'R₅, or S(O)₂NR₅'R₅.

[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 C₃-C₁₀ 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 C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkyl substituted with one or more groups selected from:

- a) hydroxyl, halogen (*e.g.*, fluorine, chlorine, bromine and iodine),
- b) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),
- f) unsubstituted or substituted C₃-C₁₀ 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 C₁-C₆ 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, indoliziny, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[000708] For example, R_{n2} is unsubstituted or substituted C₃-C₁₀ 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, tetrahydrofuranyl, 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, tetrahydrofuranyl, 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 C₆-C₁₀ 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, indoliziny, and quinoxalinyl),
- f) unsubstituted or substituted C₃-C₁₀ 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, R₄ is C₁-C₆ alkyl substituted with one, two or more groups selected from phenyl, pyridinyl, tetrazolyl, cyclopentyl and cyclohexyl.

[000721] For example, R₄ is methyl, ethyl, propyl, i-propyl, t-butyl, or 2-methylpropyl.

[000722] For example, R₄ is unsubstituted or substituted phenyl, naphthyl, indenyl, or dihydroindenyl.

[000723] For example, R₄ is unsubstituted phenyl.

[000724] For example, R₄ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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)R₁₉, C(O)OR₁₉, NR₁₉'C(O)R₁₉, and NR₁₉'C(O)OR₁₉,

wherein:

R₁₉ and R₁₉' are each independently H, unsubstituted or substituted C₁-C₆ 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 C₆-C₁₀ aryl (*e.g.*, phenyl and naphthyl).

[000725] For example, R₄ is phenyl substituted with one, two or more C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)), and

d) unsubstituted or substituted C₆-C₁₀ aryl (*e.g.*, phenyl and naphthyl).

[000726] For example, R₄ is phenyl substituted with fluorine.

[000727] For example, R₄ is phenyl substituted with one, two or more groups independently selected from methyl, ethyl, i-propyl, t-butyl, trifluoromethyl, and benzyl.

[000728] For example, R₄ is phenyl substituted with one, two or more C₁-C₆ alkoxy, which is optionally substituted with one or more halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000729] For example, R₄ is phenyl substituted with one, two or more groups independently selected from methoxy, ethoxy, *i*-propyloxy, and trifluoromethoxy.

[000730] For example, R₄ is phenyl substituted with one, two or more groups independently selected from amino and dimethylamino.

[000731] For example, R₄ is phenyl substituted with phenyl.

[000732] For example, R₄ is phenyl substituted with heteroaryl, which is optionally substituted with unsubstituted or substituted C₁-C₆ 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, R₄ is phenyl substituted with pyrazolyl or pyrazolonyl, each of which is optionally substituted with methyl.

[000734] For example, R₄ is phenyl substituted with C(O)R₁₉, C(O)OR₁₉, NR₁₉'C(O)R₁₉, and NR₁₉'C(O)OR₁₉.

[000735] For example, R₁₉' is H.

[000736] For example, R₁₉ is H.

[000737] For example, R₁₉ is methyl.

[000738] For example, R₁₉ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, *n*-propyloxy, *i*-propyloxy, *n*-butoxy, and *t*-butoxy).

[000739] For example, R₁₉ is phenyl substituted with halogen.

[000740] For example, R₄ 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, R₄ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000742] For example, R₄ is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000743] For example, R₄ is pyridinyl, isoquinolinyl, isoxazolyl, thiophenyl, furanyl, indolyl, dihydrobenzofuranyl, pyrrolyl, benzothiophenyl, quinolinyl, pyrimidinyl, thiazolyl, or pyrazinyl, each of which is optionally substituted.

[000744] For example, R₄ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000745] For example, R₄ is cyclopentyl or cyclohexyl, each of which is optionally substituted.

[000746] For example, R₄ is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranlyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000747] For example, R_{n2} is S(O)R₅ or S(O)₂R₅.

[000748] For example, R₅ is H.

[000749] For example, R₅ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₅ is unsubstituted or substituted phenyl or naphthyl.

[000751] For example, R₅ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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)R₁₉, C(O)OR₁₉, NR₁₉'C(O)R₁₉, and NR₁₉'C(O)OR₁₉,

wherein:

R₁₉ and R₁₉' are each independently H, unsubstituted or substituted C₁-C₆ 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 C₆-C₁₀ aryl (*e.g.*, phenyl and naphthyl).

[000752] For example, R₅ is phenyl substituted with one, two or more groups, each of which is independently selected from methyl, methoxy, fluorine and chlorine.

[000753] For example, R₅ 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, indoliziny, quinoxalinyl, indazolyl, and dihydrobenzofuranyl, and the like, and is optionally substituted.

[000754] For example, R₅ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy).

[000755] For example, R₅ is heteroaryl substituted with one or more groups selected from methyl and halogen.

[000756] For example, R₅ is pyridinyl, isoxazolyl, thiophenyl, imidazolyl, pyrazolyl, dihydrobenzofuranyl, or quinolinyl, each of which is optionally substituted.

[000757] For example, R₅ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000758] For example, R₅ is cyclopropyl or cyclohexyl, each of which is optionally substituted.

[000759] For example, R₅ is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000760] For example, R₅' is H.

[000761] For example, R₅' is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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, R₅' is unsubstituted or substituted phenyl or naphthyl.

[000763] For example, R₅' 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, R₅' is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000765] For example, R₅' is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, 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 azetidiny, diazetidinyl, oxazetidiny, thiazetidiny, 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),
- f) unsubstituted or substituted C₃-C₁₀ 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) NR₂₀'C(O)R₂₀, and NR₂₀'C(O)OR₂₀,

wherein:

R₂₀ and R₂₀' are each independently H, unsubstituted or substituted C₁-C₆ 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 C₆-C₁₀ aryl (*e.g.*, phenyl and naphthyl).

[000780] For example, at least one of R_{o1} and R_{o2} is C₁-C₆ alkyl substituted with one or more groups selected from phenyl, cyclohexyl and NHC(O)R₂₀ wherein R₂₀ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxaliny),
- g) unsubstituted or substituted C₃-C₁₀ 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)R₂₁, C(O)OR₂₁, NR₂₁'C(O)R₂₁, and NR₂₁'C(O)OR₂₁,

wherein:

R₂₁ and R₂₁' are each independently H, unsubstituted or substituted C₁-C₆ 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 C₆-C₁₀ 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)R₂₁ wherein R₂₁ 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 azetidiny, diazetidinyl, oxazetidiny, thiazetidiny, 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butoxy, and t-butoxy),
- d) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxaliny),
- f) unsubstituted or substituted C₃-C₁₀ 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 C₁-C₆ alkyl or branched C₃-C₆ 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,

isoquinoliny, naphthrydiny, indolyl, indolonyl, benzofuranyl, puriny, indoliziny, quinoxaliny, 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 pyrrolidiny, imidazolidiny, pyrazolidiny, oxazolidiny, isoxazolidiny, triazolidiny, tetrahyrofuranyl, piperidiny, piperaziny, and morpholiny, 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 pyrroly, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridiny, pyraziny, pyridaziny, pyrimidiny, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinoliny, isoquinoliny, naphthrydiny, indolyl, indolonyl, benzofuranyl, puriny, indoliziny, quinoxaliny, 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 pyrrolidiny, imidazolidiny, pyrazolidiny, oxazolidiny, isoxazolidiny, triazolidiny, tetrahyrofuranyl, piperidiny, piperaziny, and morpholiny, 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 azetidiny, diazetidinyl, oxazetidiny, thiazetidiny, 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

C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 R₃ is H, and R₁ and R₂ are each independently H, halogen, hydroxyl, cyano, nitro, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₁ is fluorine, chlorine, bromine or iodine.

[000828] For example, R₁ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₁ is unsubstituted methyl.

[000830] For example, R₁ is trifluoromethyl (*i.e.*, CF₃) or methyl substituted with dimethylamino.

[000831] For example, R₁ is unsubstituted or substituted C₁-C₆ alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000832] For example, R₁ is unsubstituted or substituted methoxy.

[000833] For example, R₁ is unsubstituted amino.

[000834] For example, R₁ is amino substituted with one or two groups, each of which is independently selected from:

- a) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and
- d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000835] For example, R₁ is amino substituted with one or two groups, each of which is independently selected from amino, benzyl, and phenyl.

[000836] For example, R₁ is unsubstituted phenyl or naphthyl.

[000837] For example, R₁ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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, azetidiny, azcpanyl, oxazcpanyl, and dioxoazaspirodecanyl),

i) C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, and S(O)₂R₁₆, wherein:

R₁₆ is:

(1) H, unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl)

(5) unsubstituted or substituted C₃-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- e) C(O)R₁₈, and C(O)OR₁₈, wherein:
R₁₈ is H or unsubstituted or substituted C₁-C₆ 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, R₁ is phenyl substituted heterocycle, which is optionally substituted with hydroxyl, halogen, methyl, methoxy, dimethylamino, and C(O)R₁₈ wherein R₁₈ is methyl.

[000849] For example, R₁ is phenyl substituted with C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, or S(O)₂R₁₆.

[000850] For example, R₁₆ is H.

[000851] For example, R₁₆ is C₁-C₆ alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000852] For example, R₁₆ is methyl, ethyl, propyl, i-propyl.

[000853] For example, R₁₆ is dimethylamino.

[000854] For example, R₁₆ 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 C₁-C₆ 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, R₁₆ is cyclopropyl.

[000856] For example, R₁₆ is morpholinyl.

[000857] For example, R₁₆' is H.

[000858] For example, R₁₆' is methyl or ethyl.

[000859] For example, R₁ 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 substituted.

[000860] For example, R₁ 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 substituted with unsubstituted or substituted C₁-C₆ 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, R₁ 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, R₁ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, tetrahydrofuranyl, piperidinyl, piperazinyl, and morpholinyl),

h) C(O)R₁₇, and C(O)OR₁₇, wherein:

R₁₇ is H or unsubstituted or substituted C₁-C₆ 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, R₁ is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, morpholinyl, C(O)OR₁₇, and C(O)R₁₇, wherein R₁₇ is H, methyl or trifluoromethyl.

[000864] For example, R₁ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000865] For example, R₁ is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[000866] For example, R₁ is piperidinyl.

[000867] For example, R₂ is fluorine, chlorine, bromine or iodine.

[000868] For example, R₂ is bromine.

[000869] For example, R₂ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀

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, R₂ is unsubstituted methyl.

[000871] For example, R₂ is trifluoromethyl (*i.e.*, CF₃).

[000872] For example, R₂ is unsubstituted amino.

[000873] For example, R₂ is amino substituted with one or two groups, each of which is independently selected from:

a) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000874] For example, R₂ is unsubstituted phenyl or naphthyl.

[000875] For example, R₂ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

- e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),
- g) unsubstituted or substituted C₃-C₁₀ 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, azetidiny, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),
- i) C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, and S(O)₂R₁₆, wherein:
R₁₆ is:
 - (1) H, unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
 - (3) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl)

(5) unsubstituted or substituted C₃-C₁₀ 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 R₁₆' is:

H or unsubstituted or substituted C₁-C₆ 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, R₂ 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, R₂ is phenyl substituted with C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000878] For example, R₂ is phenyl substituted with methyl, which is optionally substituted.

[000879] For example, R₂ is phenyl substituted with methyl, which is optionally substituted with hydroxyl.

[000880] For example, R₂ is phenyl substituted with C₁-C₆ alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[000881] For example, R₂ is phenyl substituted with methoxy or ethoxy.

[000882] For example, R₂ is phenyl substituted with unsubstituted amino.

[000883] For example, R₂ is phenyl substituted with C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, or S(O)₂R₁₆.

[000884] For example, R₁₆ 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, tetrahydrofuranyl, 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and
- d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000898] For example, R₃ is unsubstituted phenyl or naphthyl.

[000899] For example, R₃ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- e) unsubstituted or substituted C₆-C₁₀ 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, naphthrydiny, indolyl, benzofuranyl, purinyl, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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, azetidiny, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, and S(O)₂R₁₆, wherein:

R₁₆ is:

(1) H, unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C₆-C₁₀ 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, naphthrydiny, indolyl, benzofuranyl, purinyl, indoliziny, and quinoxalinyl)

(5) unsubstituted or substituted C₃-C₁₀ 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 R₁₆' is:

H or unsubstituted or substituted C₁-C₆ 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, R₃ 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, R₃ is phenyl substituted with C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[000902] For example, R₃ 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, R₃ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxaliny),

f) unsubstituted or substituted C₃-C₁₀ 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)R₁₇, and C(O)OR₁₇, wherein:

R₁₇ is H or unsubstituted or substituted C₁-C₆ 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, R₃ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[000905] For example, R₃ 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 C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ 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 C₁-C₆ 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.

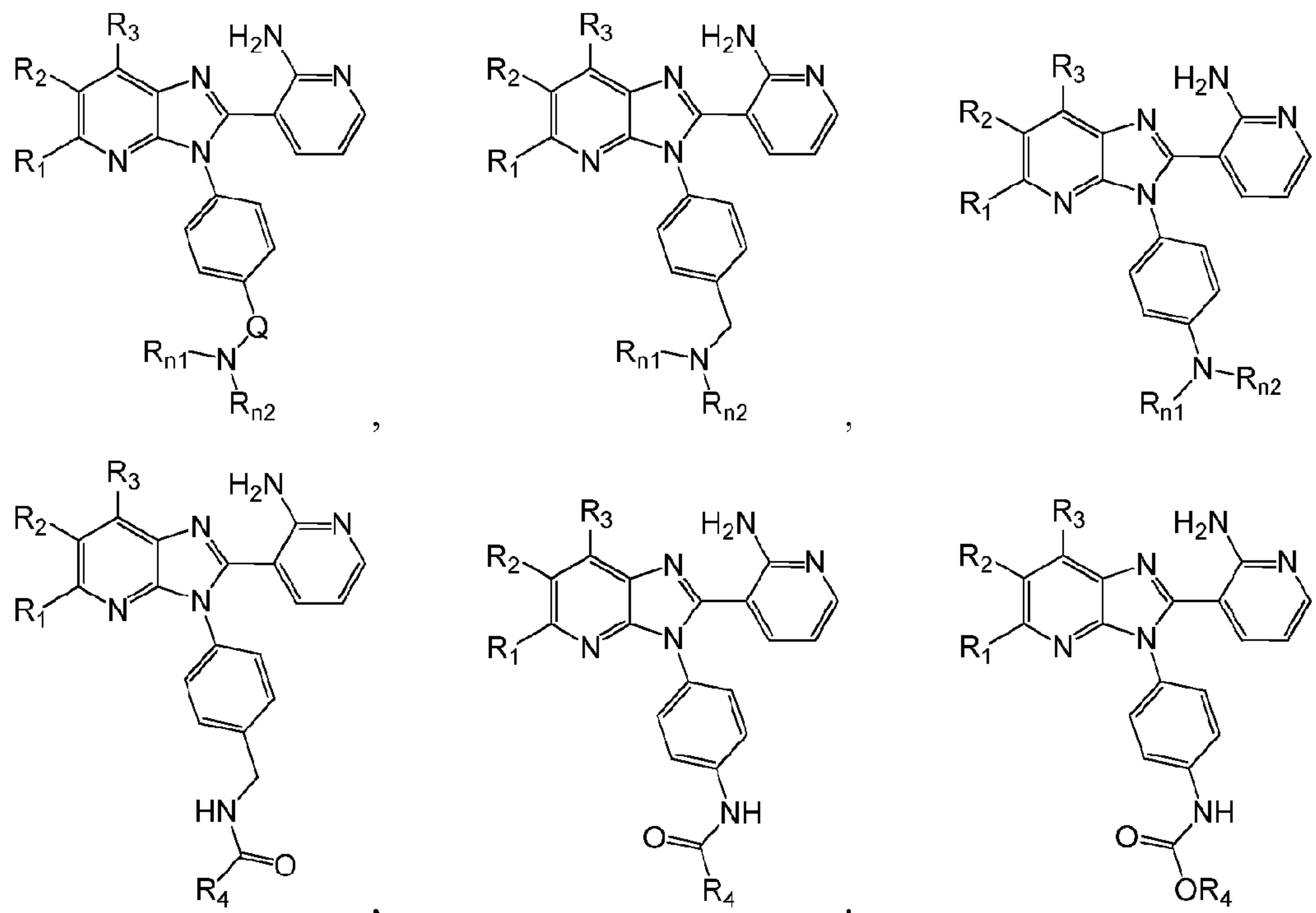
[000939] For example, R_8 is unsubstituted or substituted phenyl or naphthyl.

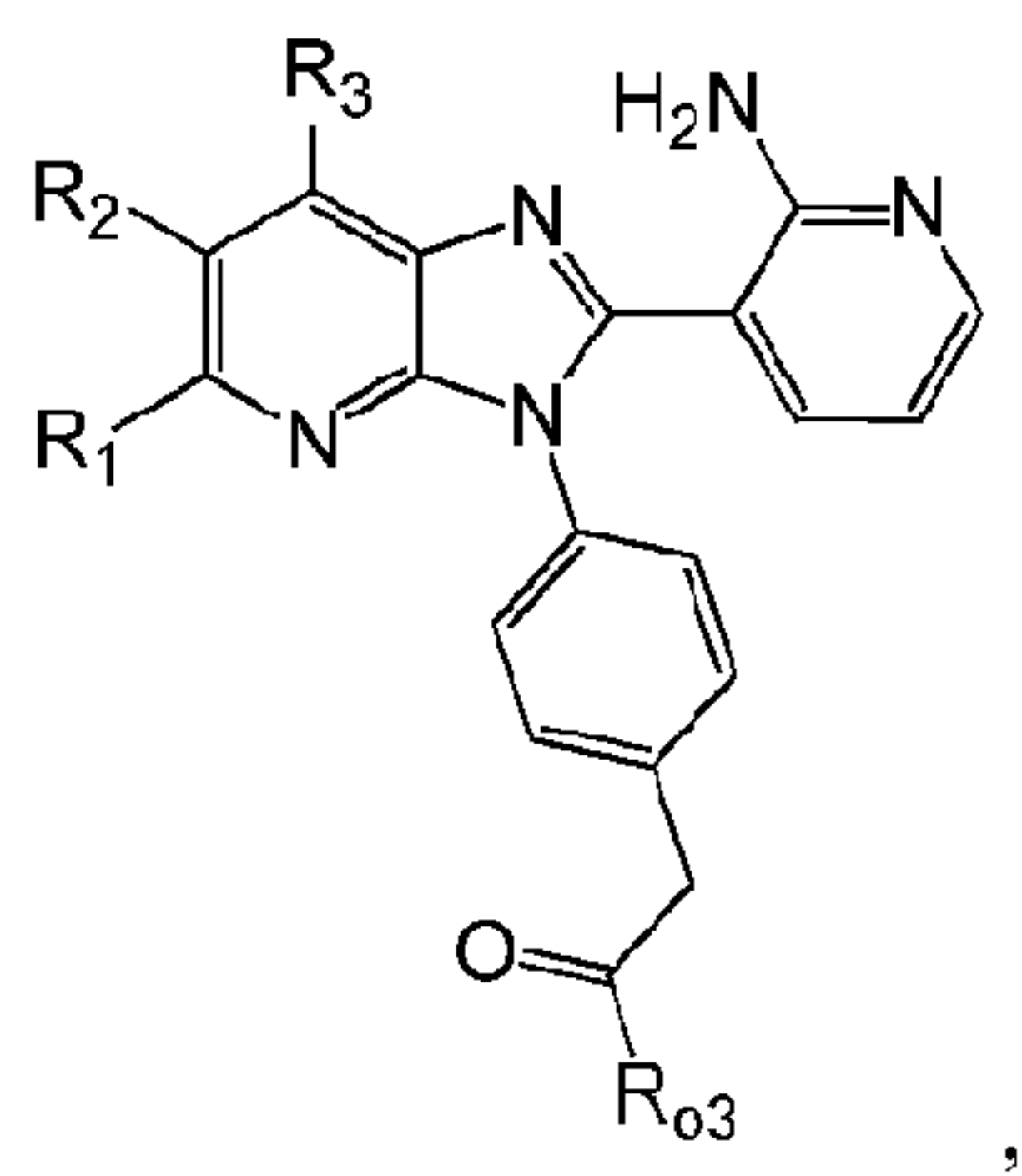
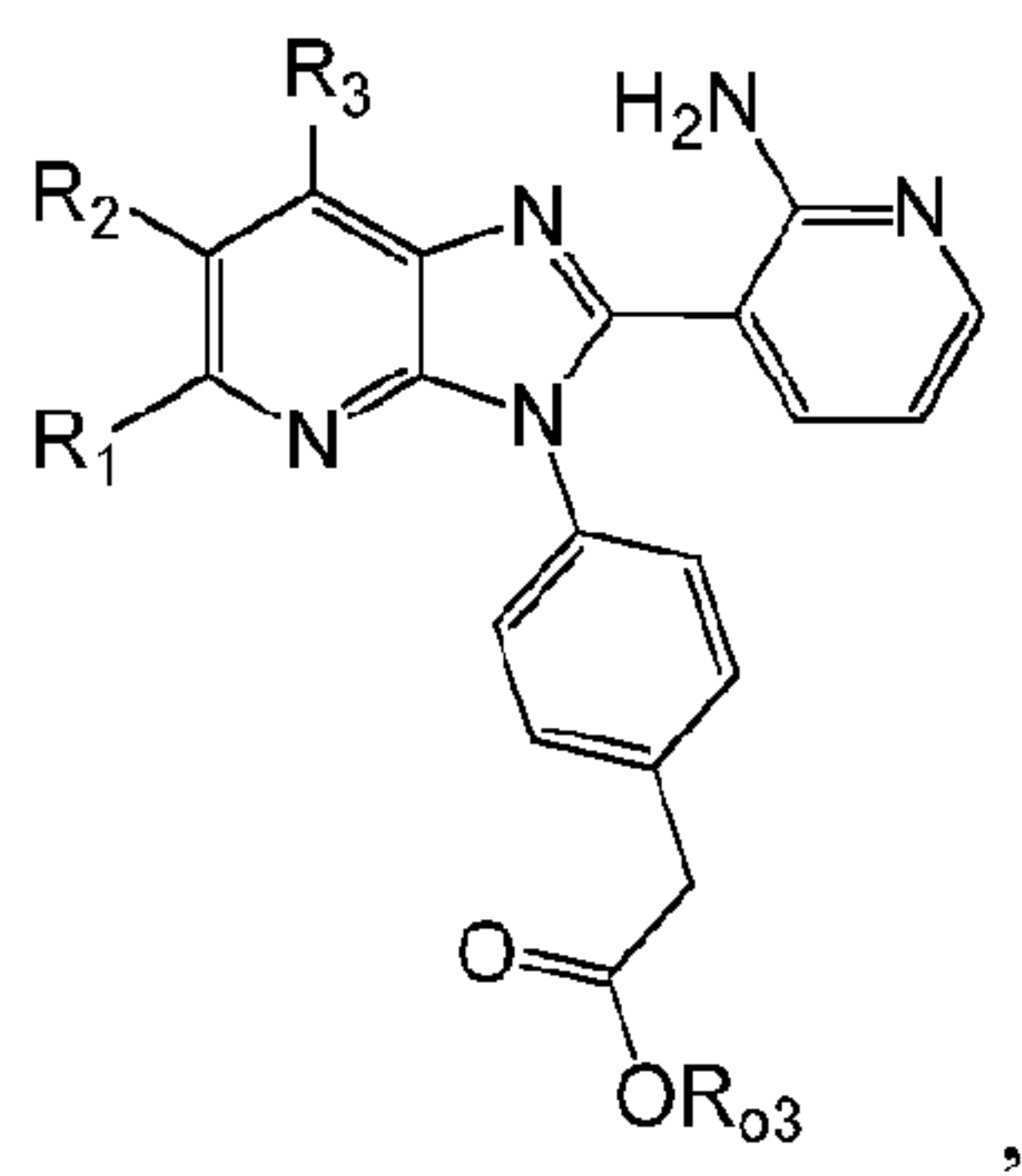
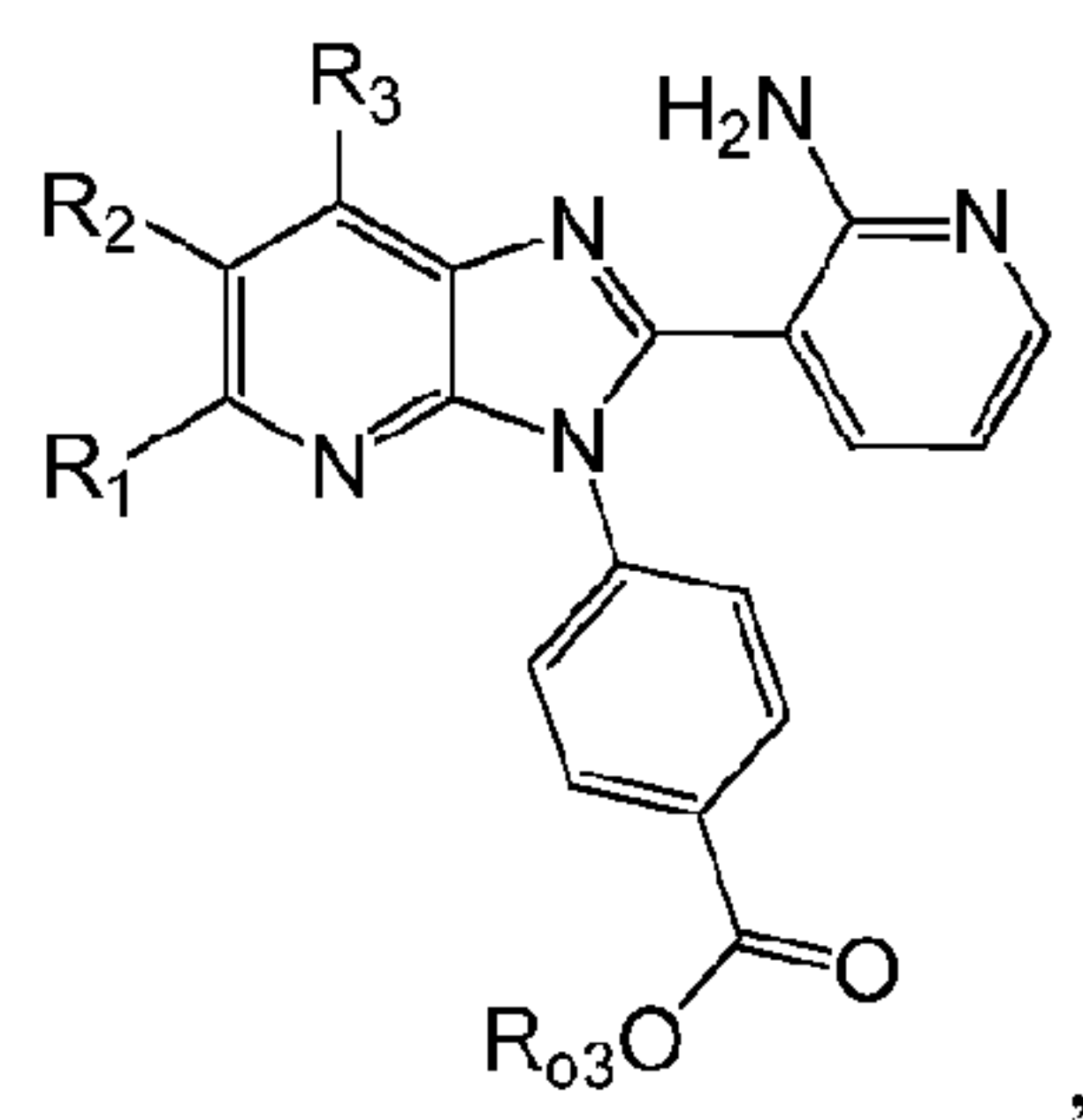
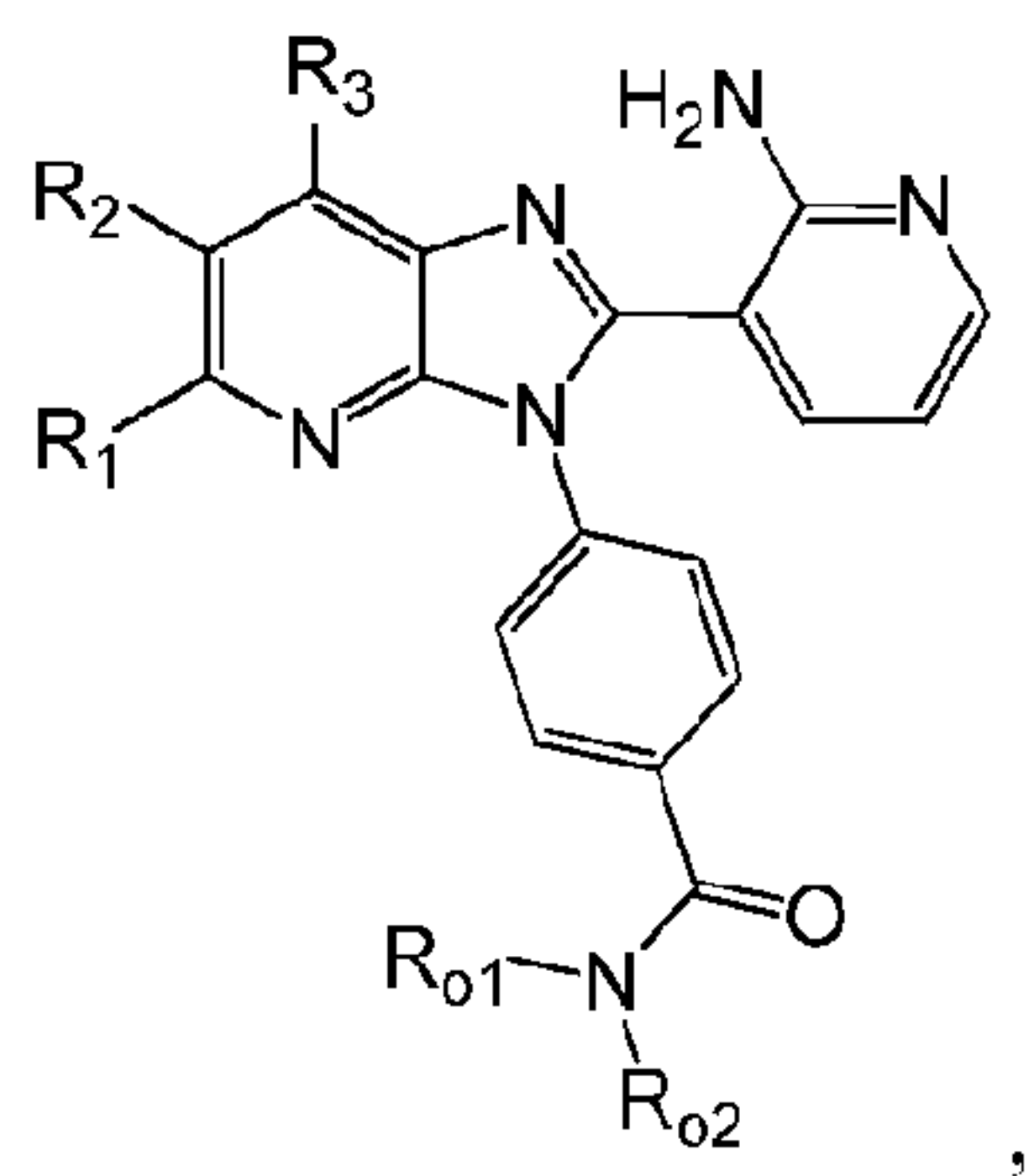
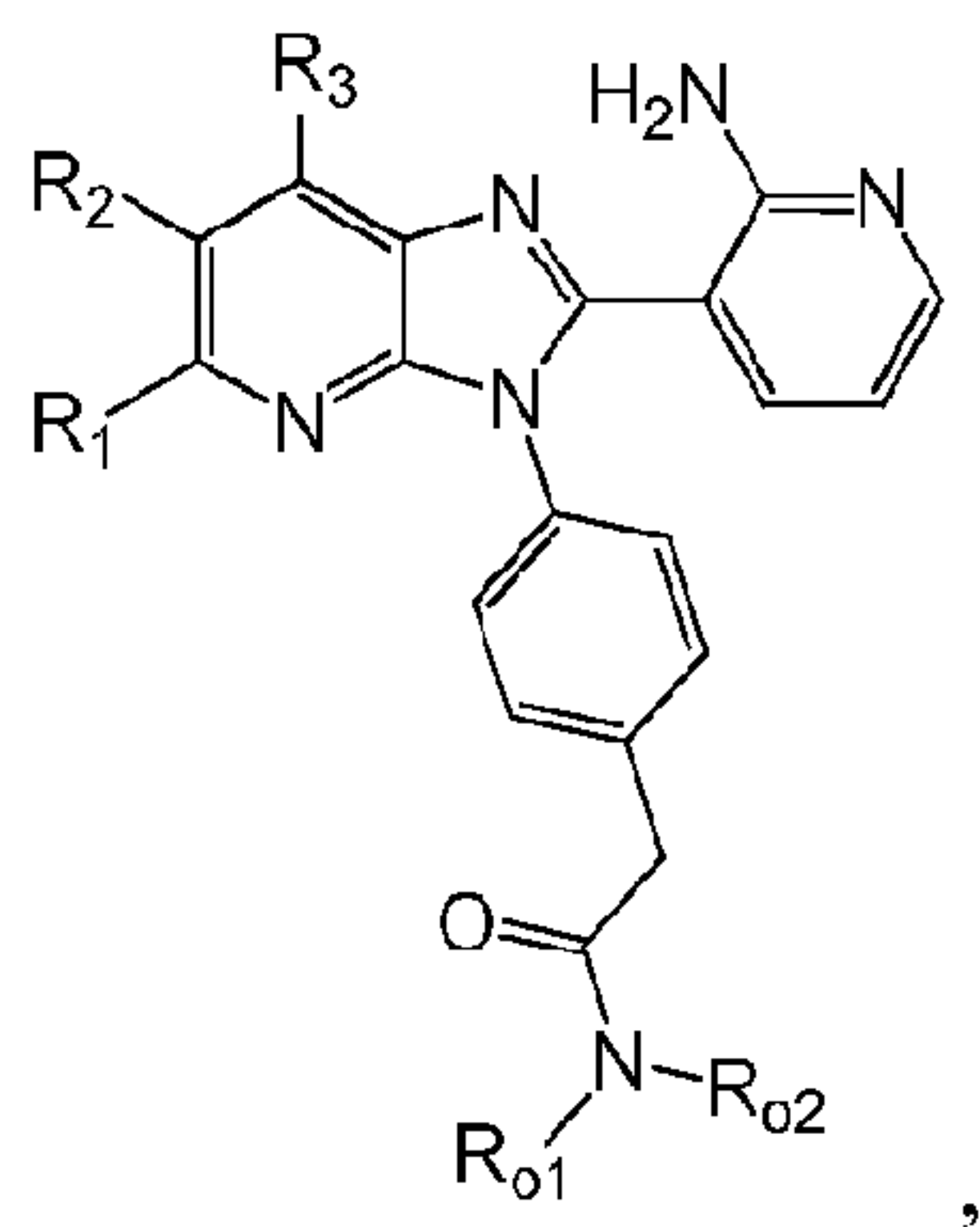
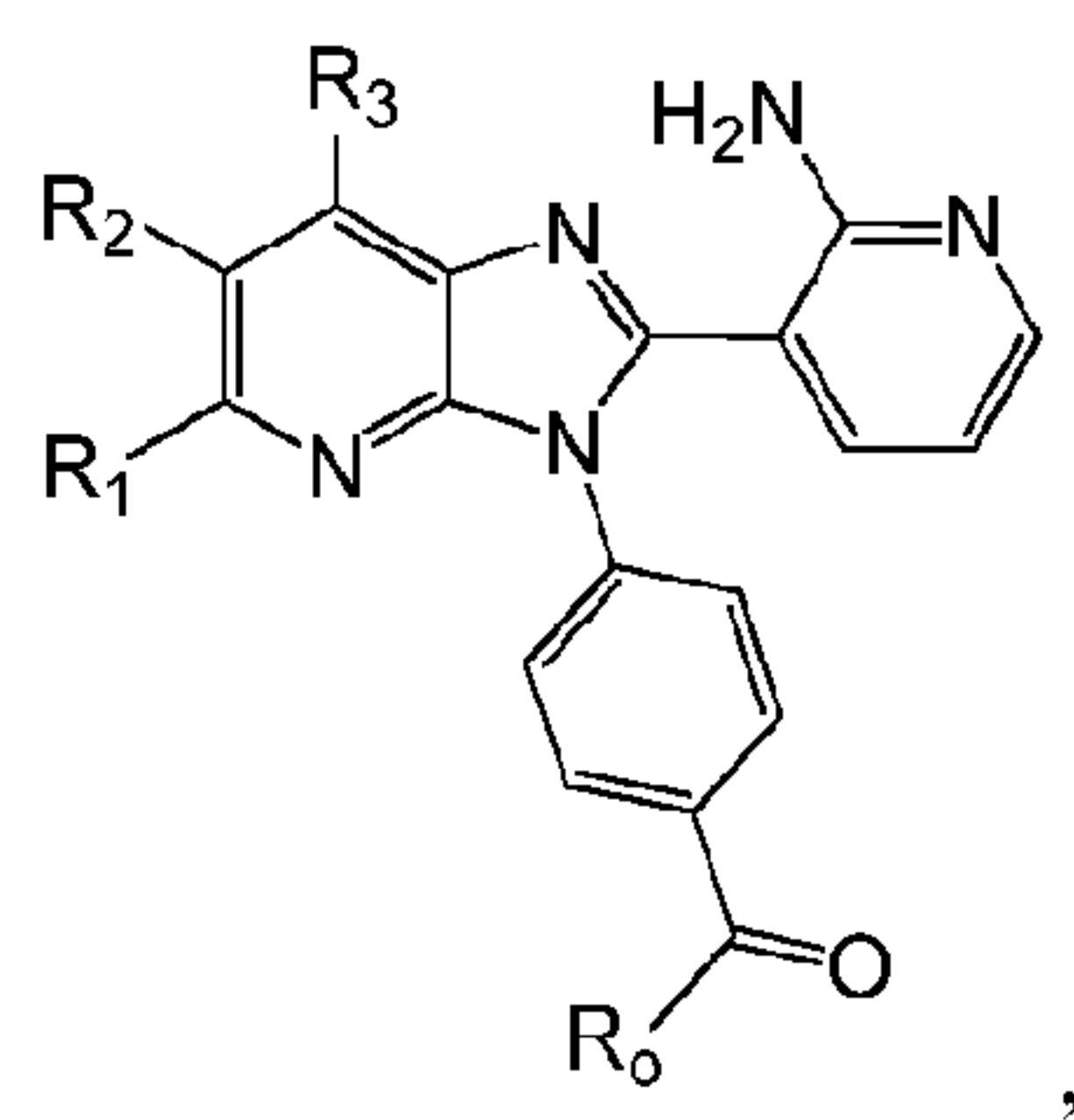
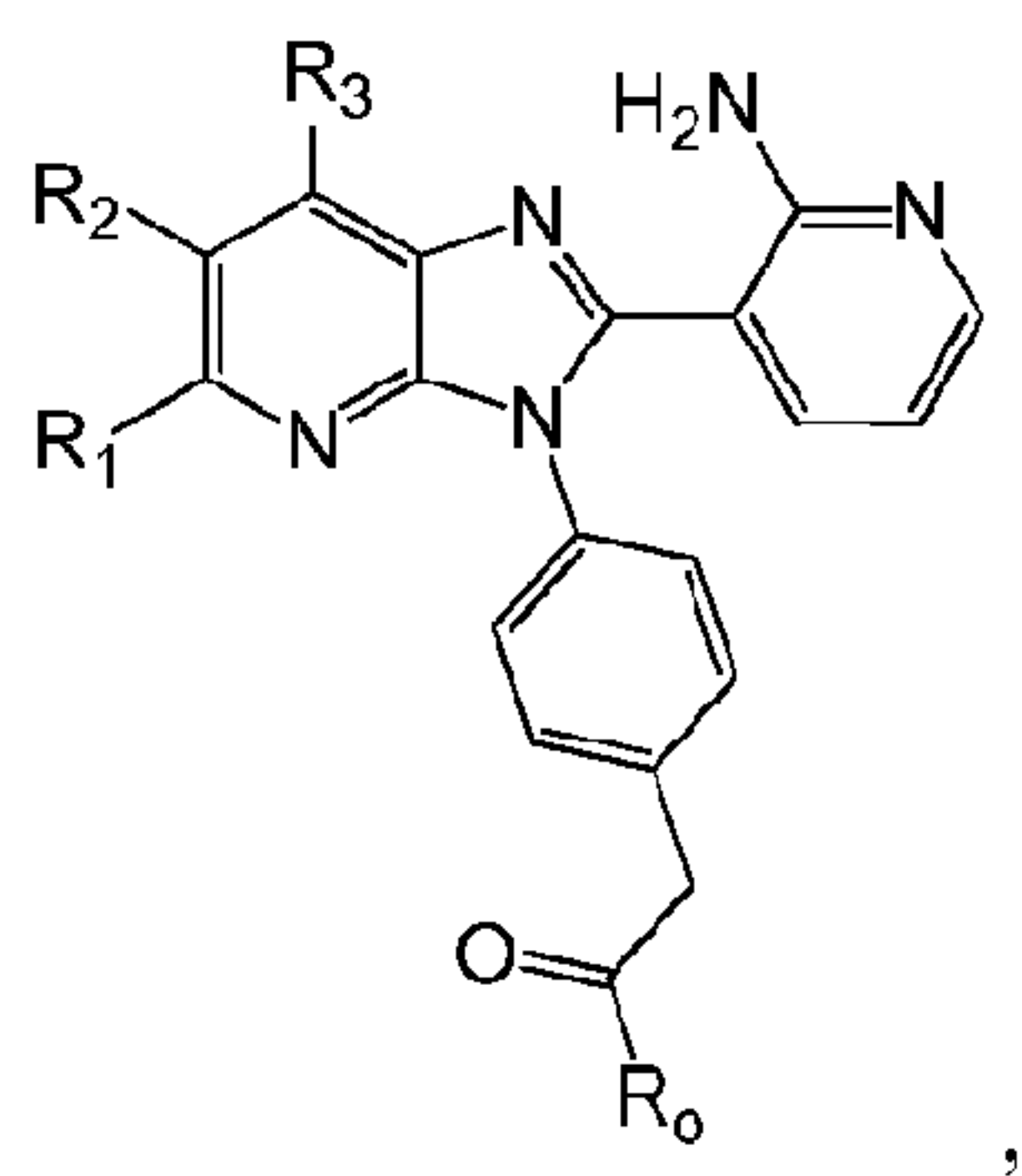
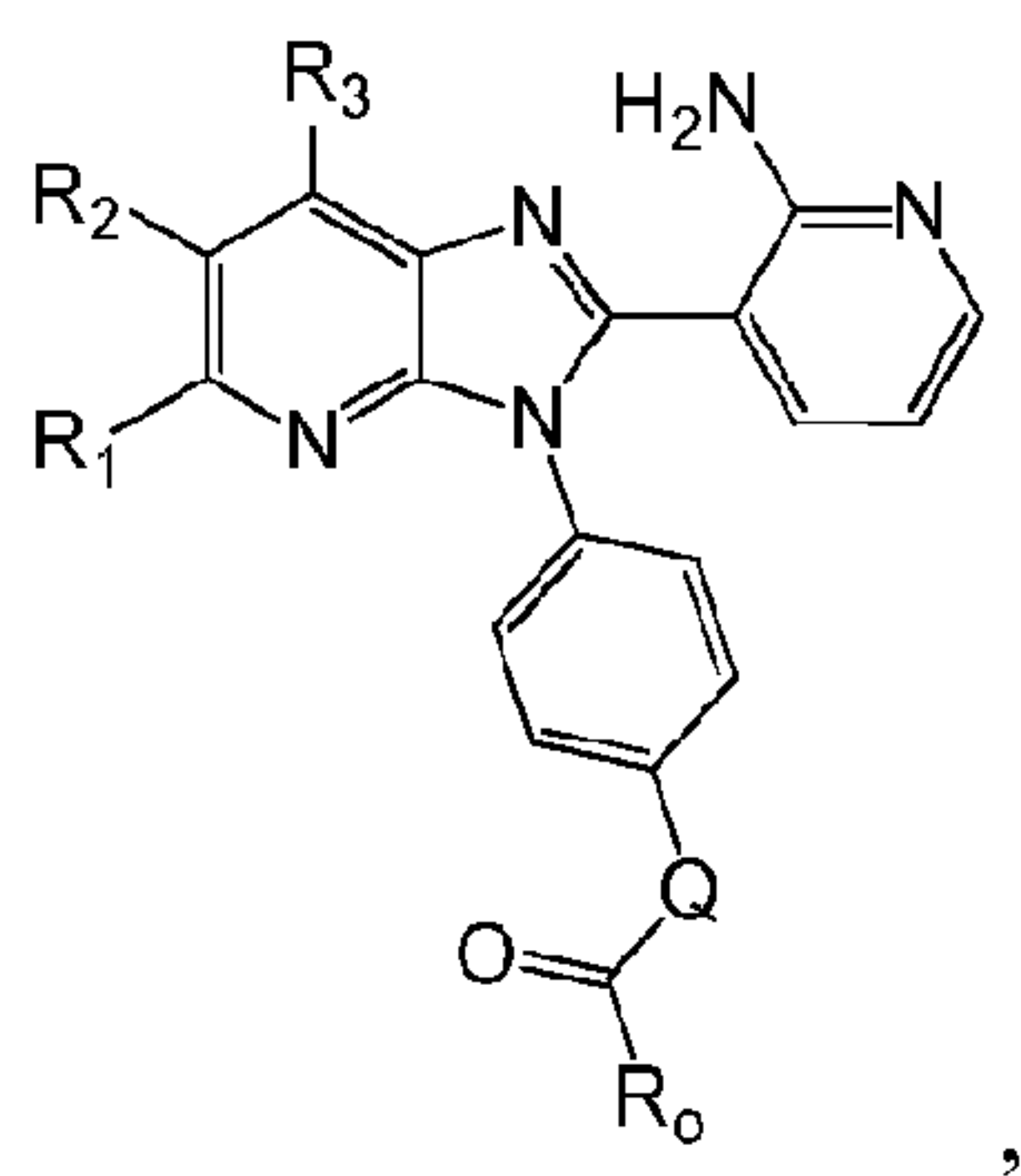
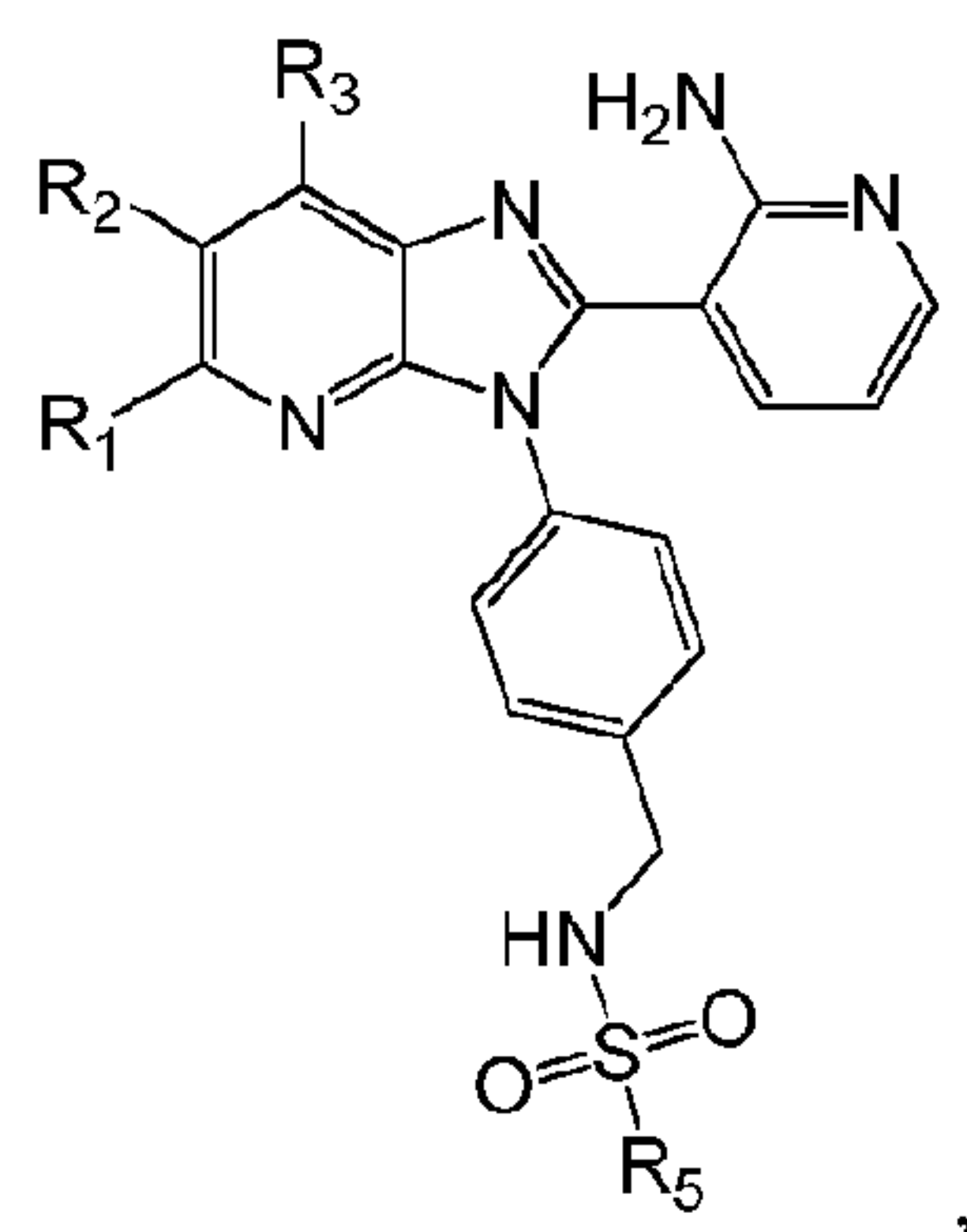
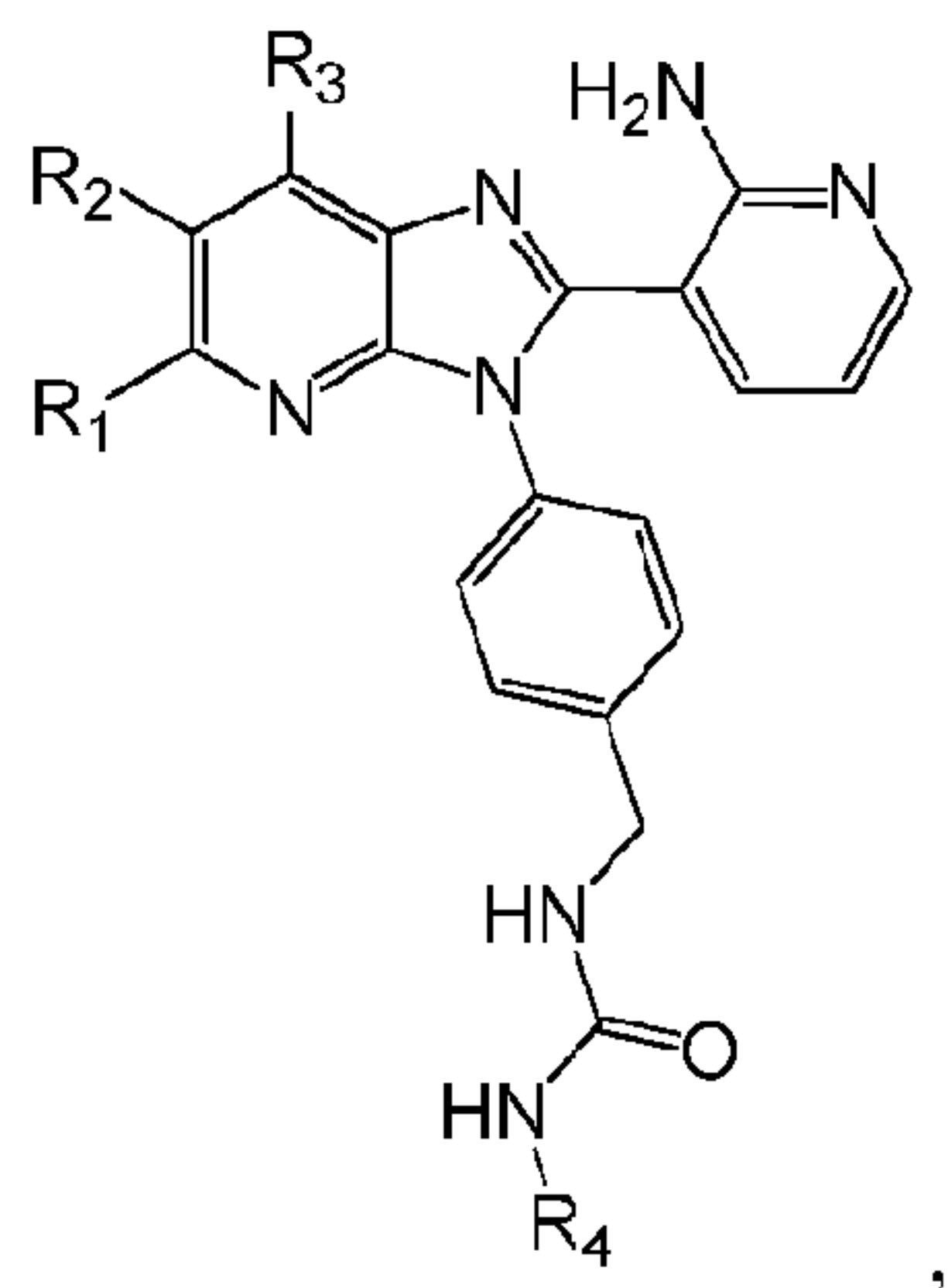
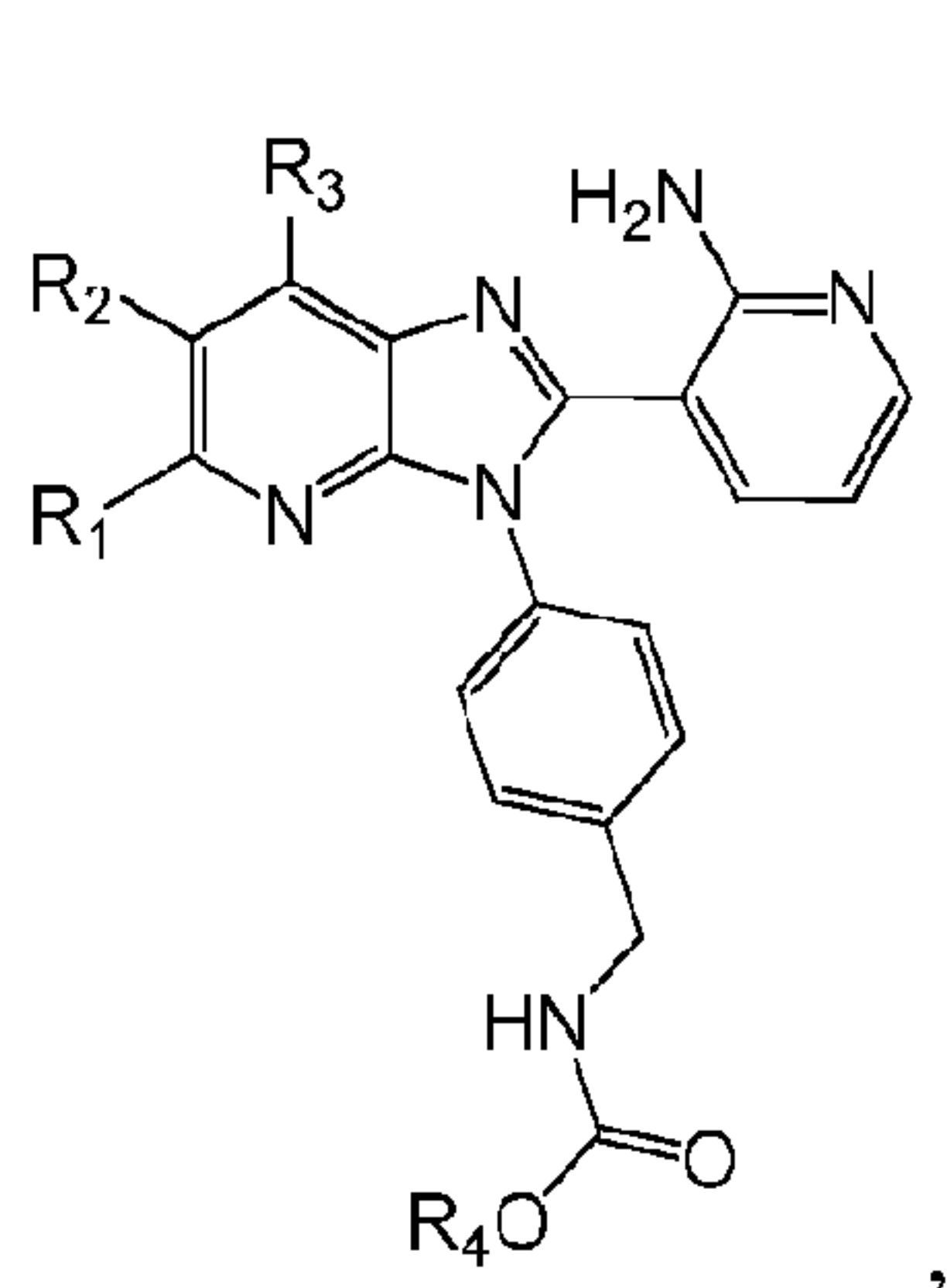
[000940] For example, R_8 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

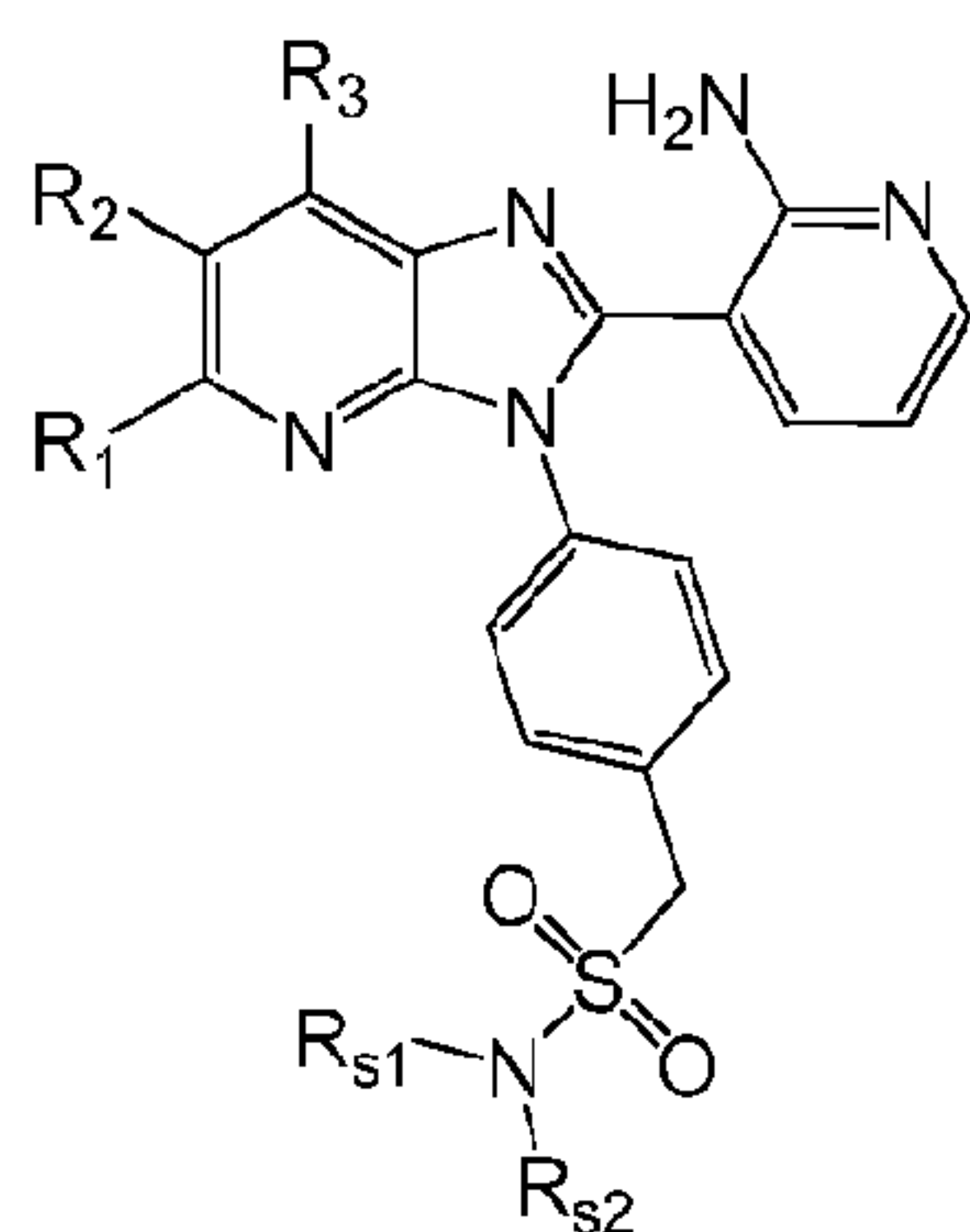
[000941] For example, R_8 is unsubstituted or substituted C_3 - C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[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.

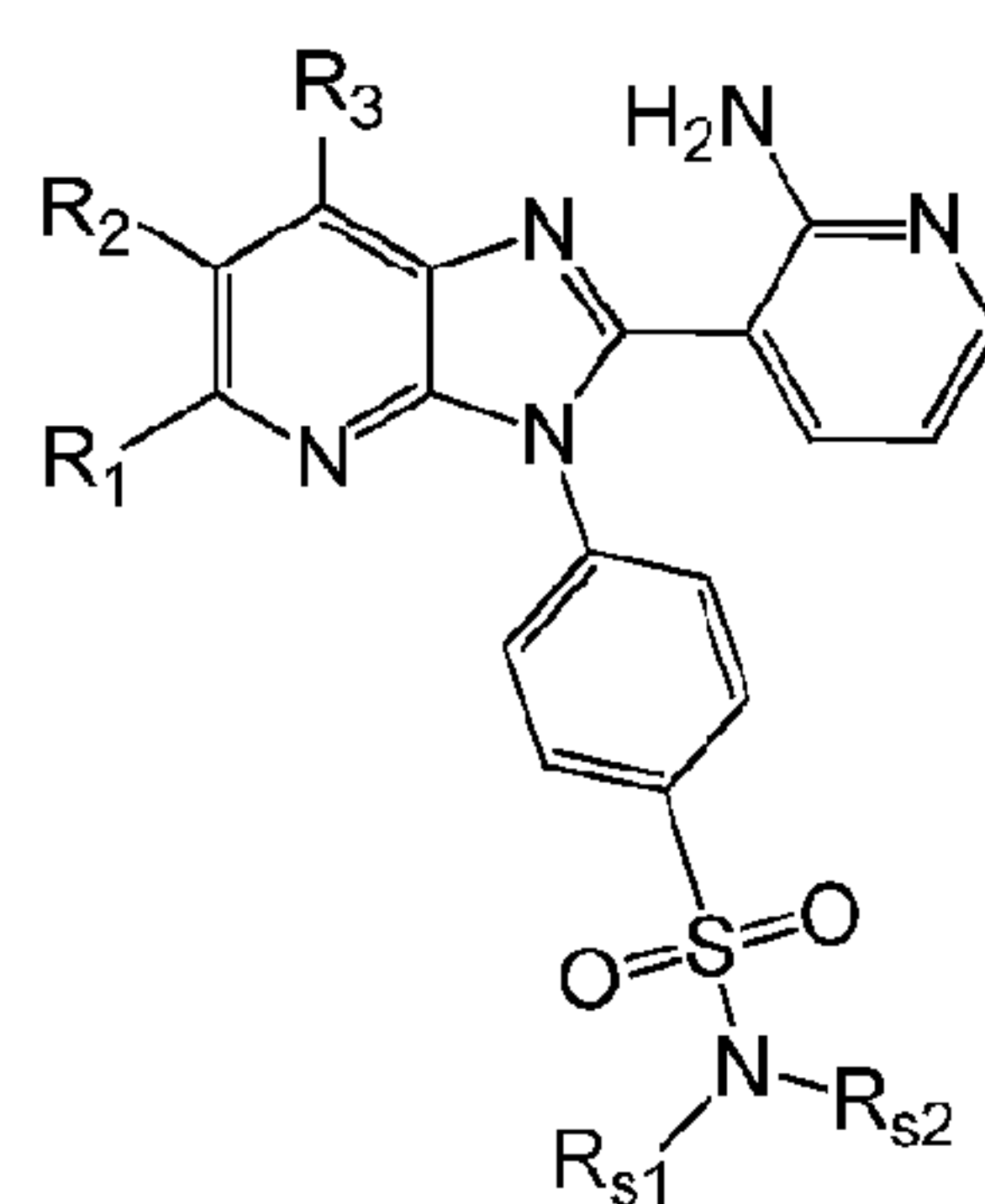
[000943] The present invention also provides the compounds of the following formula:



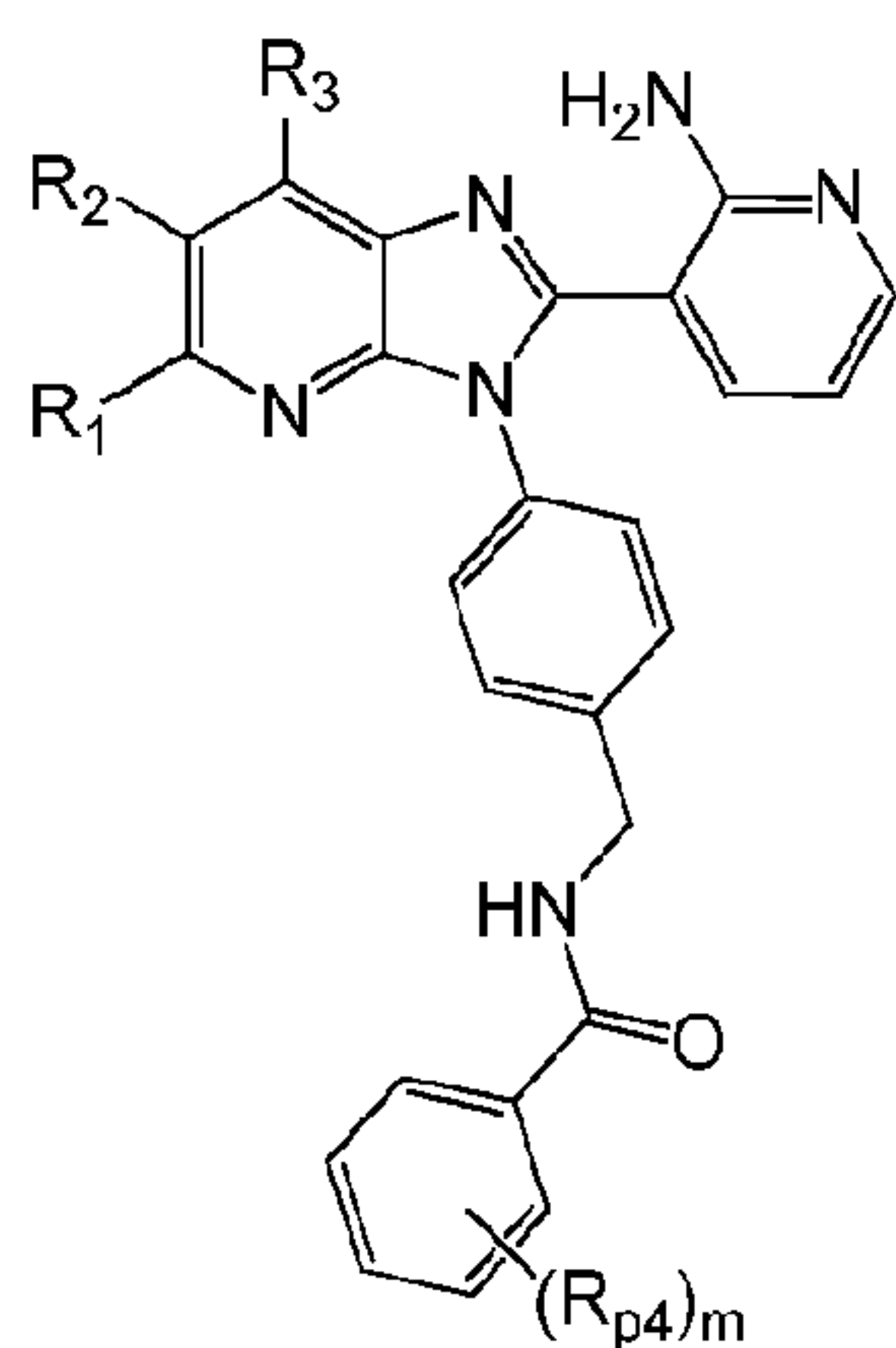




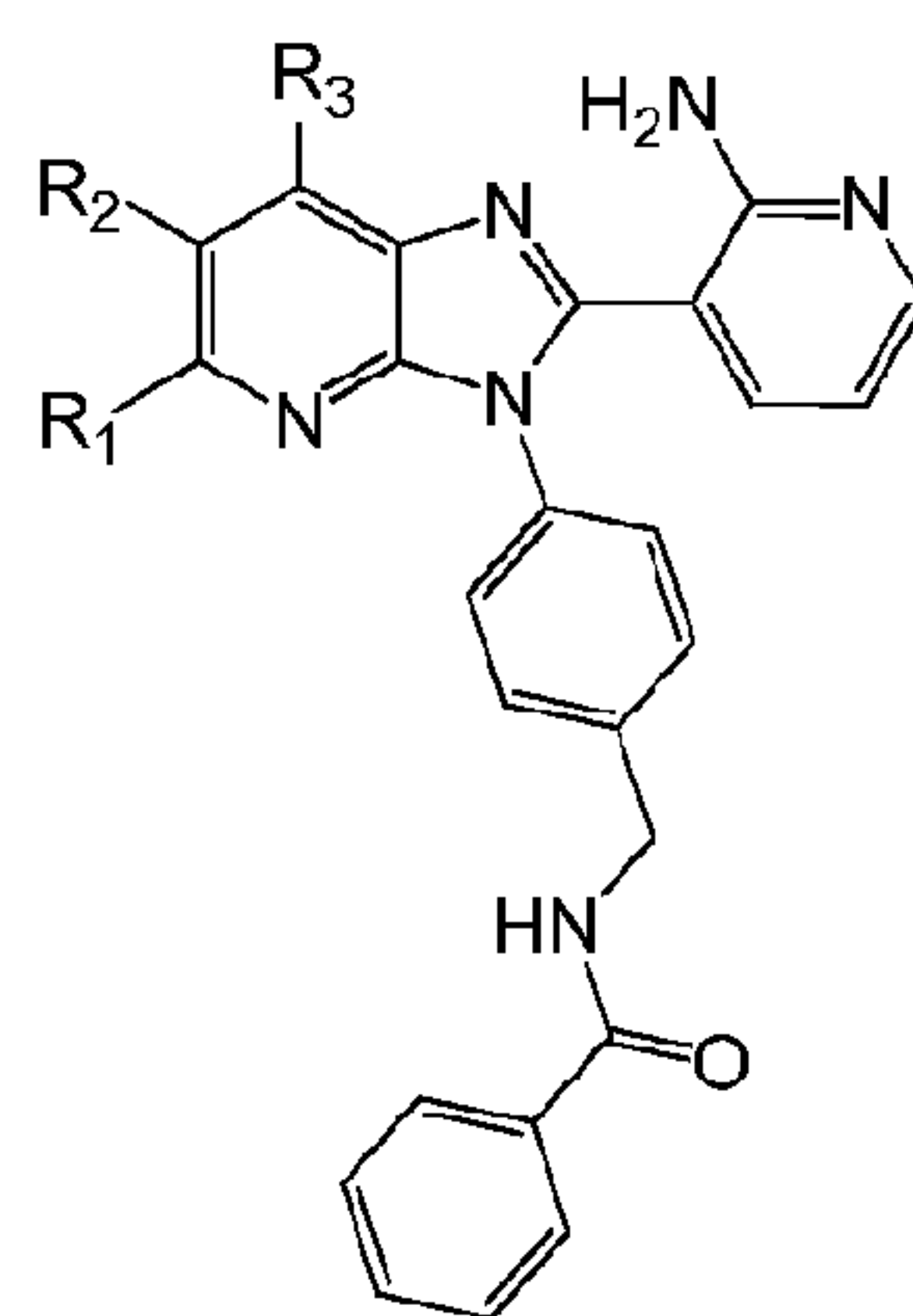
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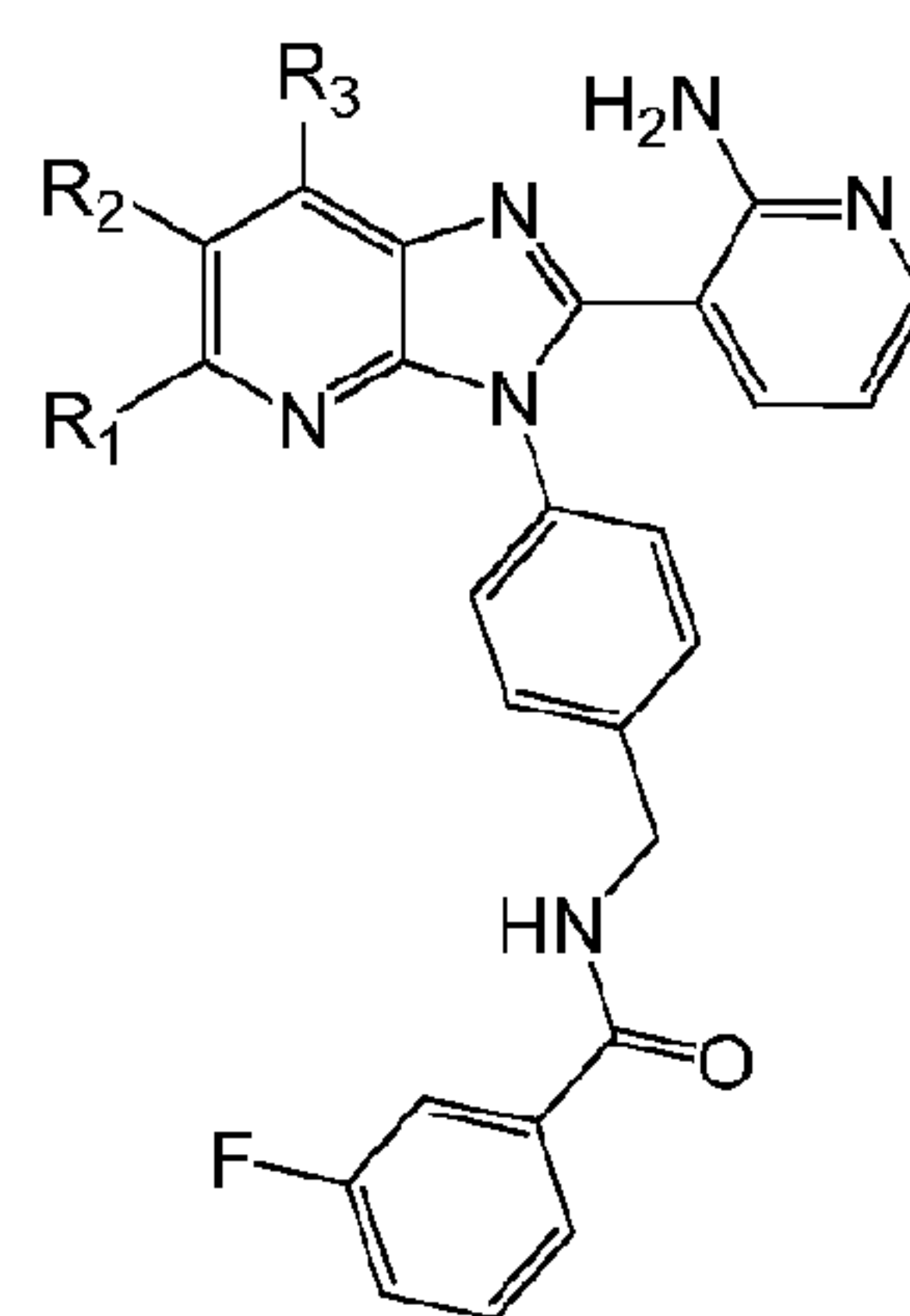
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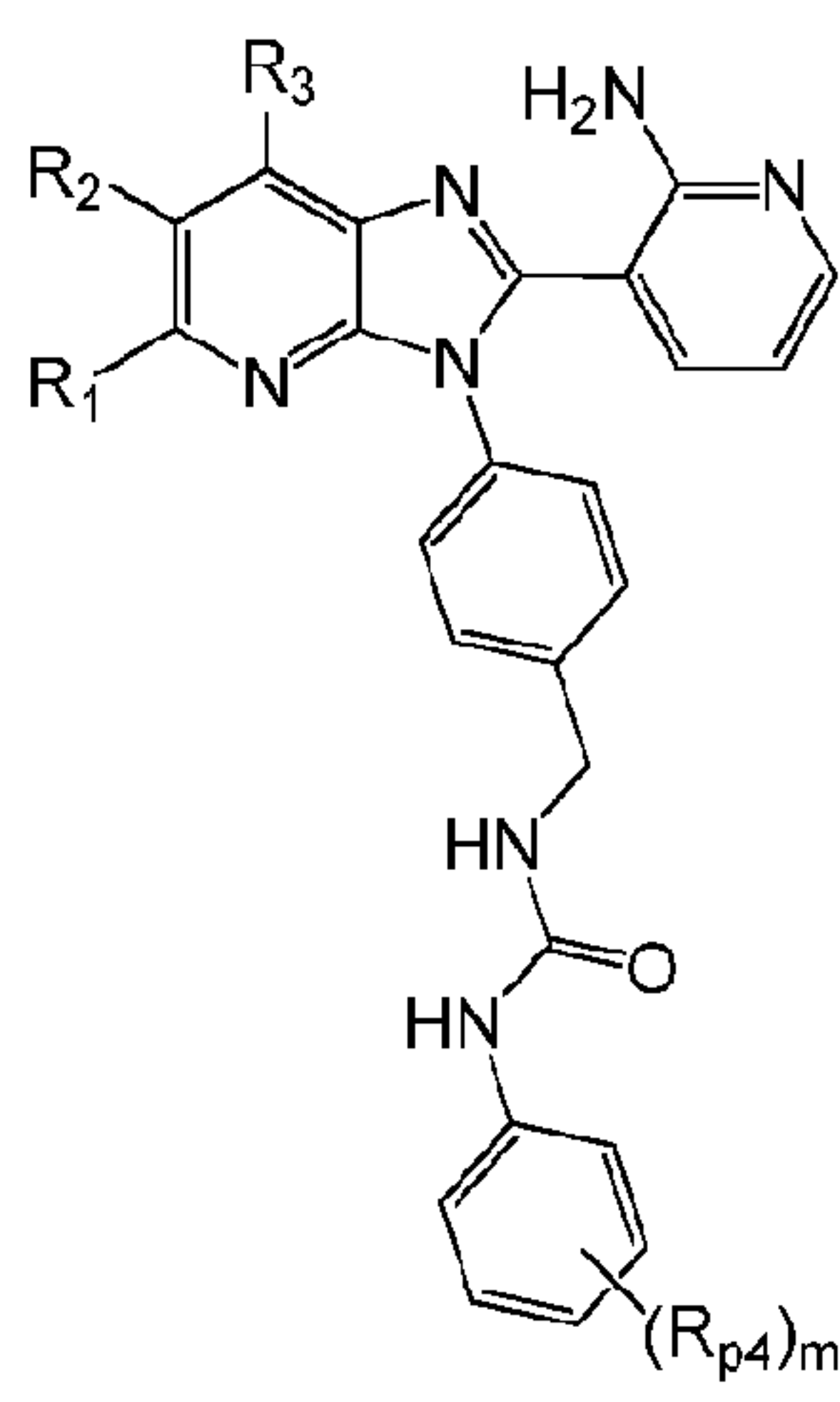
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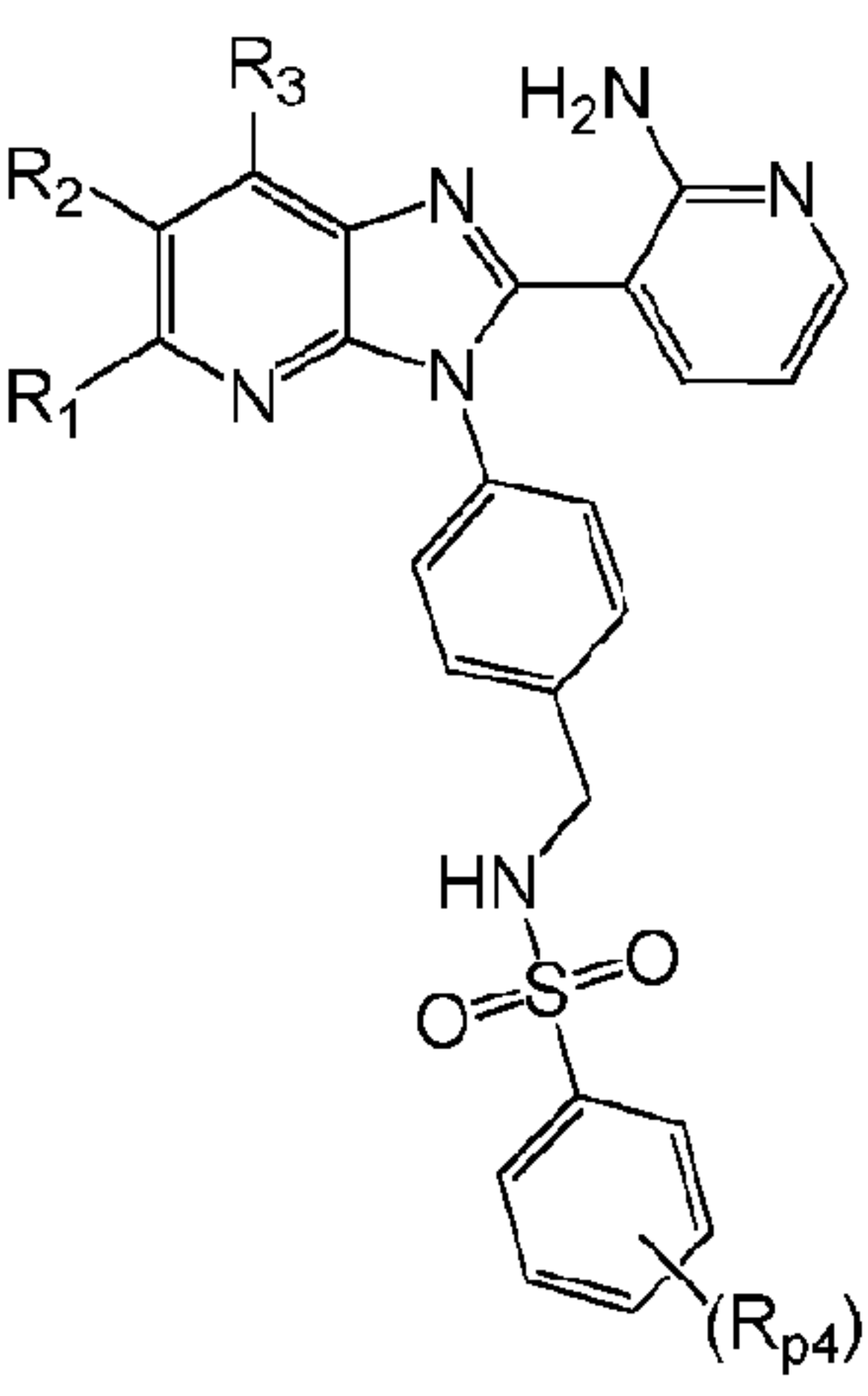
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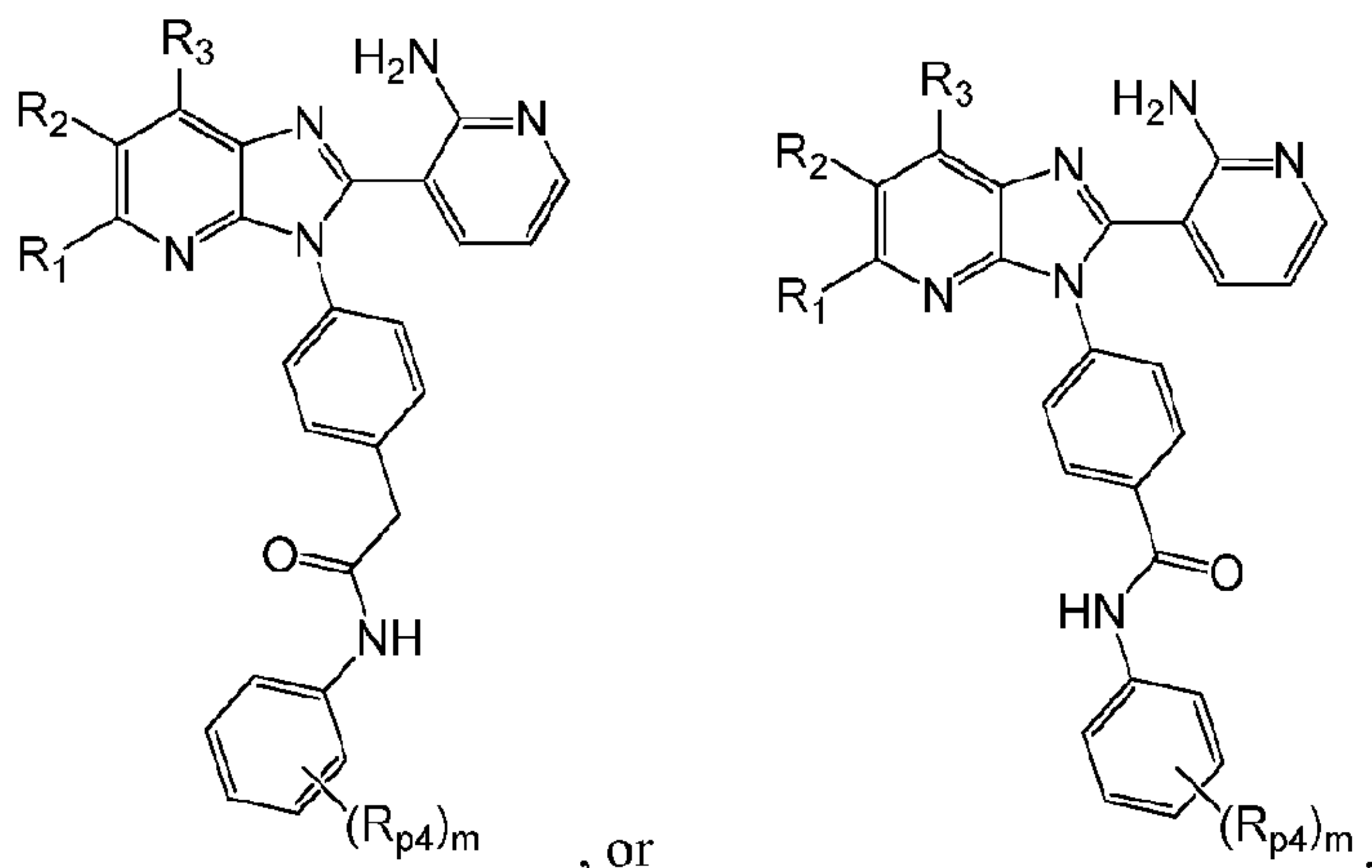
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,



,



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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)), and

d) unsubstituted or substituted C₆-C₁₀ aryl (*e.g.*, phenyl and naphthyl).

[000949] For example, each R_{p4} is independently unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), or unsubstituted or substituted di-C₁-C₆ 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, indoliziny, and quinoxalinyl, and the like, and is optionally substituted.

[000953] For example, each R_{p4} is independently heteroaryl substituted with unsubstituted or substituted C₁-C₆ 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)R₂₂, C(O)OR₂₂, NR₂₂'C(O)R₂₂, and NR₂₂'C(O)OR₂₂.

[000957] For example, R₂₂ is H.

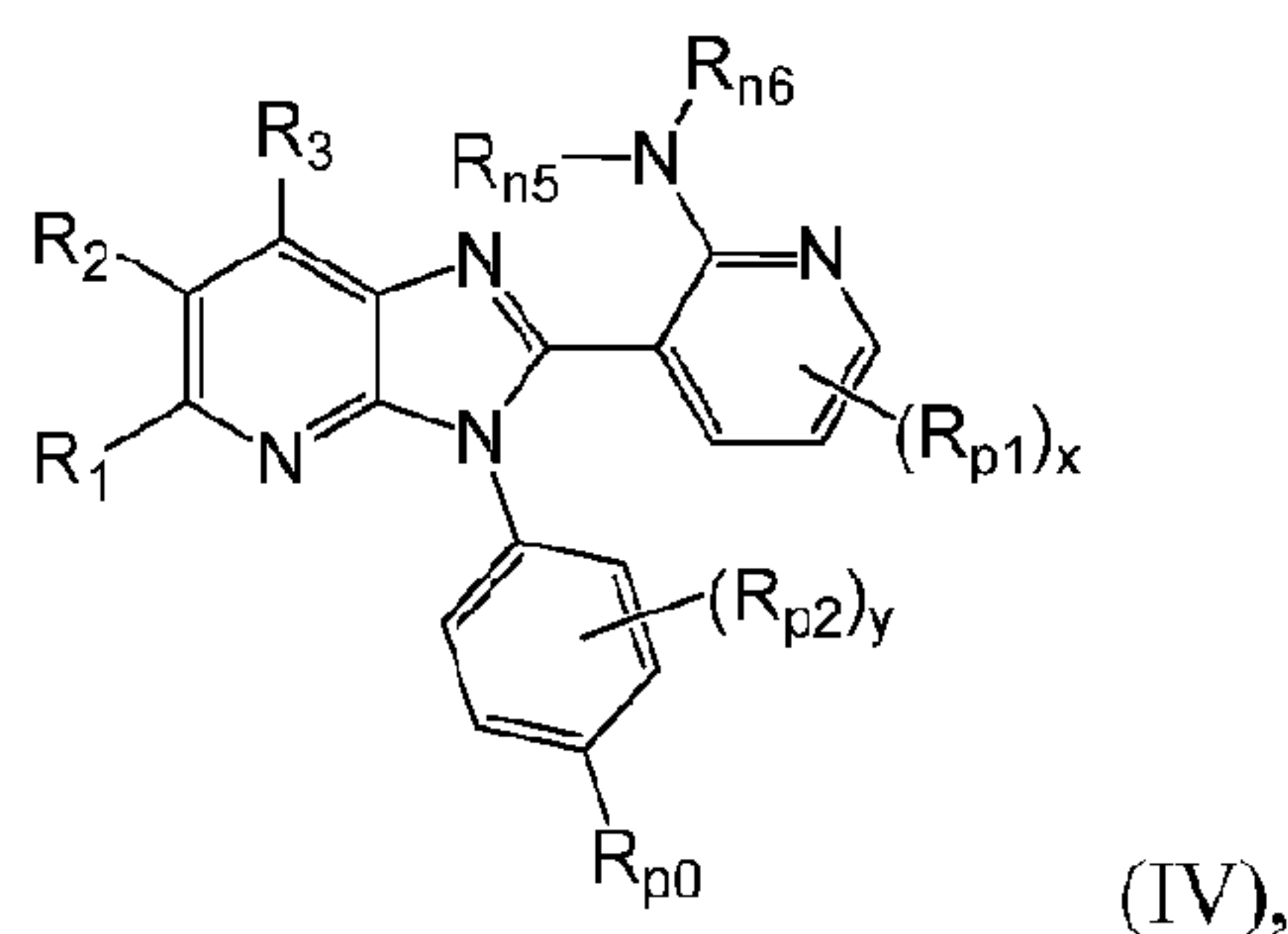
[000958] For example, R₂₂ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ alkyl, including but not limited to, methyl, ethyl, *n*-propyl, *i*-propyl, *n*-butyl, *s*-butyl, *t*-butyl, *n*-pentyl, *s*-pentyl, and *n*-hexyl.

[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:



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, azetidiny, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidiny, thiazetidiny, pyrrolidinyl, tetrahyrofuranyl, tetrahyrothiophenyl, imidazolidiny, pyrazolidiny, oxazolidiny, isoxazolidiny, thiazolidiny, isothiazolidiny, triazolidiny, oxadiazolidiny, thiadiazolidiny, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, oxepanyl, thiepanyl, diazepanyl, oxazepanyl, thiazepanyl, pyrrolidinyl, imidazolidiny, pyrazolidiny, oxazolidiny, isoxazolidiny,

triazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidiny, 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₁ is unsubstituted methyl.

[000992] For example, R₁ is trifluoromethyl (*i.e.*, CF₃) or methyl substituted with dimethylamino.

[000993] For example, R₁ is unsubstituted or substituted C₁-C₆ alkoxy, including but not limited to, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy.

[000994] For example, R₁ is unsubstituted or substituted methoxy.

[000995] For example, R₁ is unsubstituted amino.

[000996] For example, R₁ is amino substituted with one or two groups, each of which is independently selected from:

- a) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[000997] For example, R₁ is amino substituted with one or two groups, each of which is independently selected from amino, benzyl, and phenyl.

[000998] For example, R₁ is unsubstituted phenyl or naphthyl.

[000999] For example, R₁ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),

g) unsubstituted or substituted C₃-C₁₀ 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, azetidiny, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),

i) C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, and S(O)₂R₁₆, wherein:

R₁₆ is:

(1) H, unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

(3) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 R₁₆' is:

H or unsubstituted or substituted C₁-C₆ 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, R₁ 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, R₁ is phenyl substituted with C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and

c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)).

[0001002] For example, R₁ is phenyl substituted with methyl, which is optionally substituted.

[0001003] For example, R₁ is phenyl substituted with methyl, which is optionally substituted with hydroxyl, methoxy, amino, or dimethylamino.

[0001004] For example, R₁ is phenyl substituted with C₁-C₆ alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[0001005] For example, R₁ is phenyl substituted with methoxy, trifluoromethoxy, ethoxy, propyloxy, or ethylenedioxy.

[0001006] For example, R₁ is phenyl substituted with unsubstituted amino.

[0001007] For example, R₁ is phenyl substituted with dimethylamino or diethylamino.

[0001008] For example, R₁ is phenyl substituted with pyrazolyl.

[0001009] For example, R₁ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, *i*-propyloxy, butoxy, and *t*-butoxy),
- d) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),
- e) C(O)R₁₈, and C(O)OR₁₈, wherein:

R₁₈ is H or unsubstituted or substituted C₁-C₆ 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, R₁ is phenyl substituted heterocycle, which is optionally substituted with hydroxyl, halogen, methyl, methoxy, dimethylamino, and C(O)R₁₈ wherein R₁₈ 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, tetrahydropyran, piperidinyl, piperazinyl, morpholinyl, and morpholinonyl), each of which is optionally substituted.
- [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 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).
- [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, naphthyridinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, quinoxalinyl, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[0001024] For example, R₁ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxaliny),
- f) unsubstituted or substituted C₃-C₁₀ 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)R₁₇, and C(O)OR₁₇, wherein:
R₁₇ is H or unsubstituted or substituted C₁-C₆ 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, R₁ is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, morpholinyl, C(O)OR₁₇, and C(O)R₁₇, wherein R₁₇ is H, methyl or trifluoromethyl.

[0001026] For example, R₁ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[0001027] For example, R₁ is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

[0001028] For example, R₁ is piperidinyl.

[0001029] For example, R₂ is fluorine, chlorine, bromine or iodine.

[0001030] For example, R₂ is bromine.

[0001031] For example, R₂ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₂ is unsubstituted methyl.

[0001033] For example, R₂ is trifluoromethyl (*i.e.*, CF₃).

[0001034] For example, R₂ is unsubstituted amino.

[0001035] For example, R₂ is amino substituted with one or two groups, each of which is independently selected from:

- a) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and

d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[0001036] For example, R₂ is unsubstituted phenyl or naphthyl.

[0001037] For example, R₂ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),

e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxaliny),

g) unsubstituted or substituted C₃-C₁₀ 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,

tetrahydrofuranyl, piperidinyl, piperazinyl, morpholinyl, piperidinonyl, azetidiny, 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, indoliziny, and quinoxaliny),

(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, tetrahydrofuranyl, 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, R₂ is phenyl substituted with C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy), and
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)).

[0001040] For example, R₂ is phenyl substituted with methyl, which is optionally substituted.

[0001041] For example, R₂ is phenyl substituted with methyl, which is optionally substituted with hydroxyl.

[0001042] For example, R₂ is phenyl substituted with C₁-C₆ alkoxy, which is optionally substituted with halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[0001043] For example, R₂ is phenyl substituted with methoxy or ethoxy.

[0001044] For example, R₂ is phenyl substituted with unsubstituted amino.

[0001045] For example, R₂ is phenyl substituted with C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, or S(O)₂R₁₆.

[0001046] For example, R₁₆ is H.

[0001047] For example, R₁₆ is C₁-C₆ alkyl optionally substituted with one or more groups selected from hydroxyl and halogen (*e.g.*, fluorine, chlorine, bromine and iodine).

[0001048] For example, R₁₆ is methyl, ethyl, propyl, i-propyl.

[0001049] For example, R₂ 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, naphthrydiny, indolyl, indolonyl, benzofuranyl, purinyl, indoliziny, quinoxaliny, dioxotetrahydropyrimidinyl, indazolyl, and pyrrolopyridinyl, and the like, and is optionally substituted.

[0001050] For example, R₂ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₁₇, and C(O)OR₁₇, wherein:
R₁₇ is H or unsubstituted or substituted C₁-C₆ 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, R₂ is heteroaryl substituted with one, two or more groups, each of which is independently selected from methyl, hydroxyl, halogen, unsubstituted amino, C(O)OR₁₇ wherein R₁₇ is H or methyl.

[0001052] For example, R₂ is unsubstituted or substituted C₃-C₁₀ carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[0001053] For example, R₂ is unsubstituted or substituted cyclopentyl.

[0001054] For example, R₂ 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, R₃ is fluorine, chlorine, bromine or iodine.

[0001056] For example, R₃ is unsubstituted or substituted, straight chain C₁-C₆ alkyl or branched C₃-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy),
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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, R₃ is unsubstituted methyl.

[0001058] For example, R₃ is unsubstituted amino.

[0001059] For example, R₃ is amino substituted with one or two groups, each of which is independently selected from:

- a) unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- c) unsubstituted or substituted C₆-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, i-propyloxy, butoxy, and t-butoxy)), and
- d) unsubstituted or substituted C₆-C₁₀ aryl-C₁-C₆ alkyl (*e.g.*, benzyl, phenylethyl, and naphthylmethyl).

[0001060] For example, R₃ is unsubstituted phenyl or naphthyl.

[0001061] For example, R₃ 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 C₁-C₆ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- e) unsubstituted or substituted C₆-C₁₀ 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, indoliziny, and quinoxalinyl),
- g) unsubstituted or substituted C₃-C₁₀ 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, azetidiny, azepanyl, oxazepanyl, and dioxoazaspirodecanyl),
- i) C(O)R₁₆, C(O)OR₁₆, C(O)NR₁₆R₁₆', NR₁₆'C(O)R₁₆, NR₁₆'C(O)OR₁₆, NR₁₆'S(O)₂R₁₆, S(O)R₁₆, and S(O)₂R₁₆, wherein:
R₁₆ is:
(1) H, unsubstituted or substituted C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),
- (3) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 R₁₆' is:

H or unsubstituted or substituted C₁-C₆ 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, R₃ 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, R₃ is phenyl substituted with C₁-C₆ 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 C₁-C₆ alkoxy (*e.g.*, methoxy, ethoxy, propyloxy, *i*-propyloxy, butoxy, and *t*-butoxy), and
- c) unsubstituted or substituted amino (*e.g.*, amino, unsubstituted or substituted C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and *i*-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)).

[0001064] For example, R₃ 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, R₃ 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 C₁-C₆ 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 C₁-C₆ alkylamino (*e.g.*, methylamino, ethylamino, propylamino, and i-propylamino), and unsubstituted or substituted di-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-i-propylamino)),
- d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₁₇, and C(O)OR₁₇, wherein:
R₁₇ is H or unsubstituted or substituted C₁-C₆ 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, tetrahydrofuranyl, 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-C₁-C₆ alkylamino (*e.g.*, dimethylamino, diethylamino, dipropylamino, and di-*i*-propylamino)),

d) unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl substituted with amino.

[0001082] For example, each R_{p2} is independently unsubstituted or substituted C₁-C₆ 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 C₁-C₆ 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, indoliziny, and quinoxaliny, 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 C₃-C₁₀ 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.

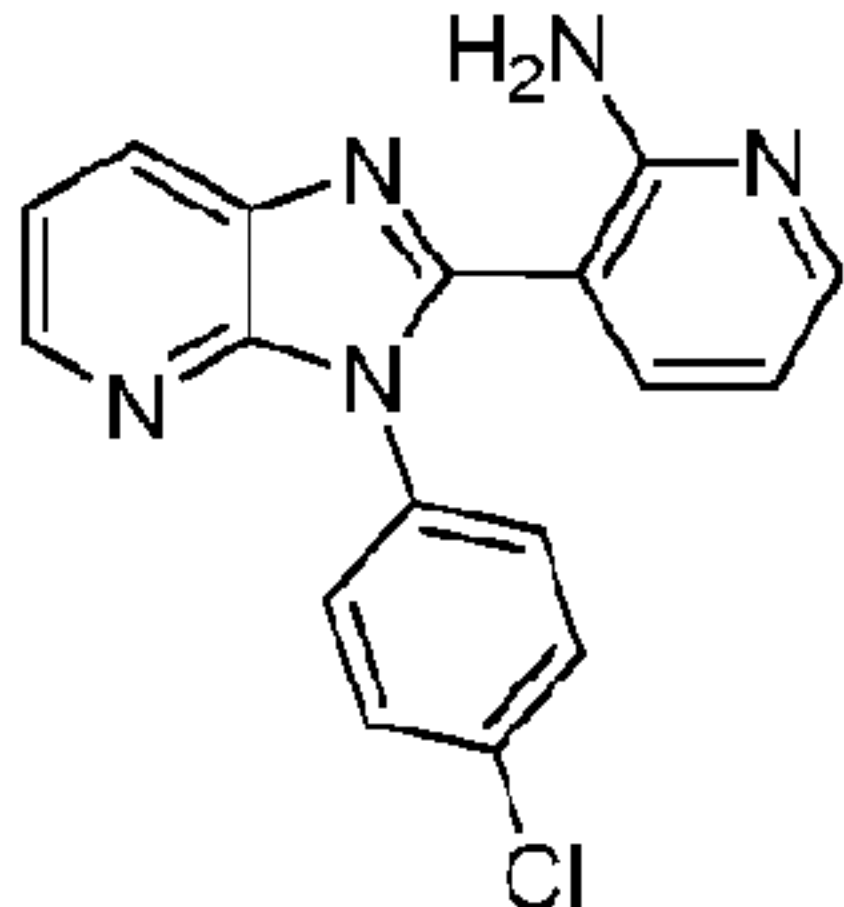
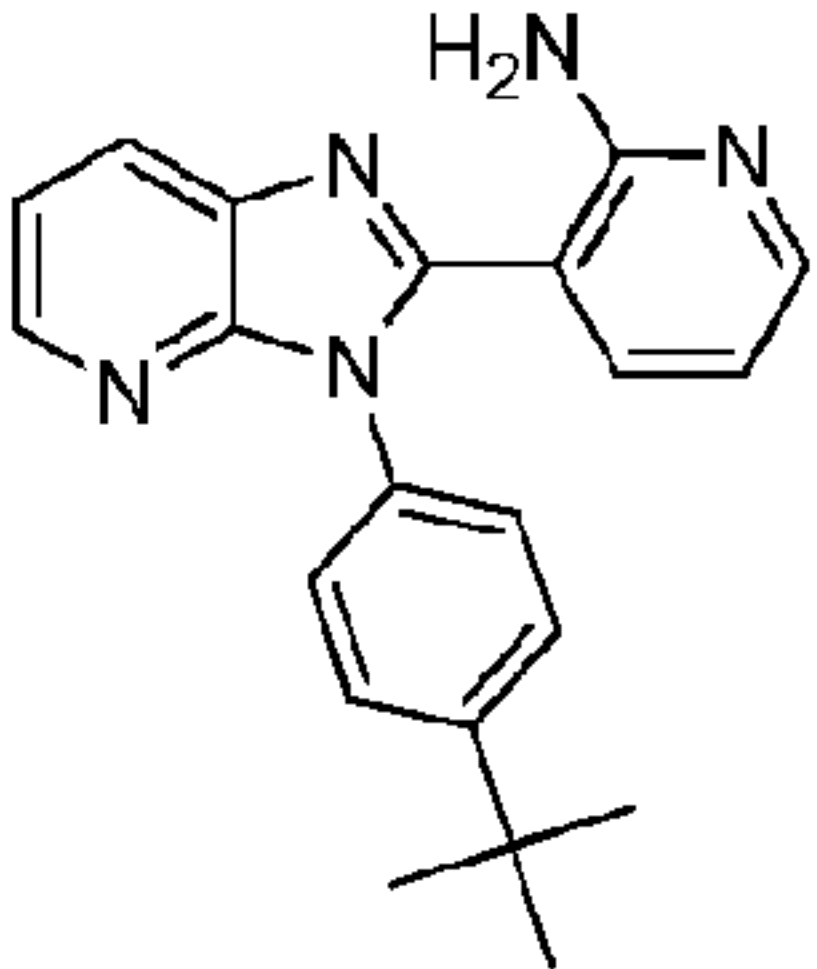
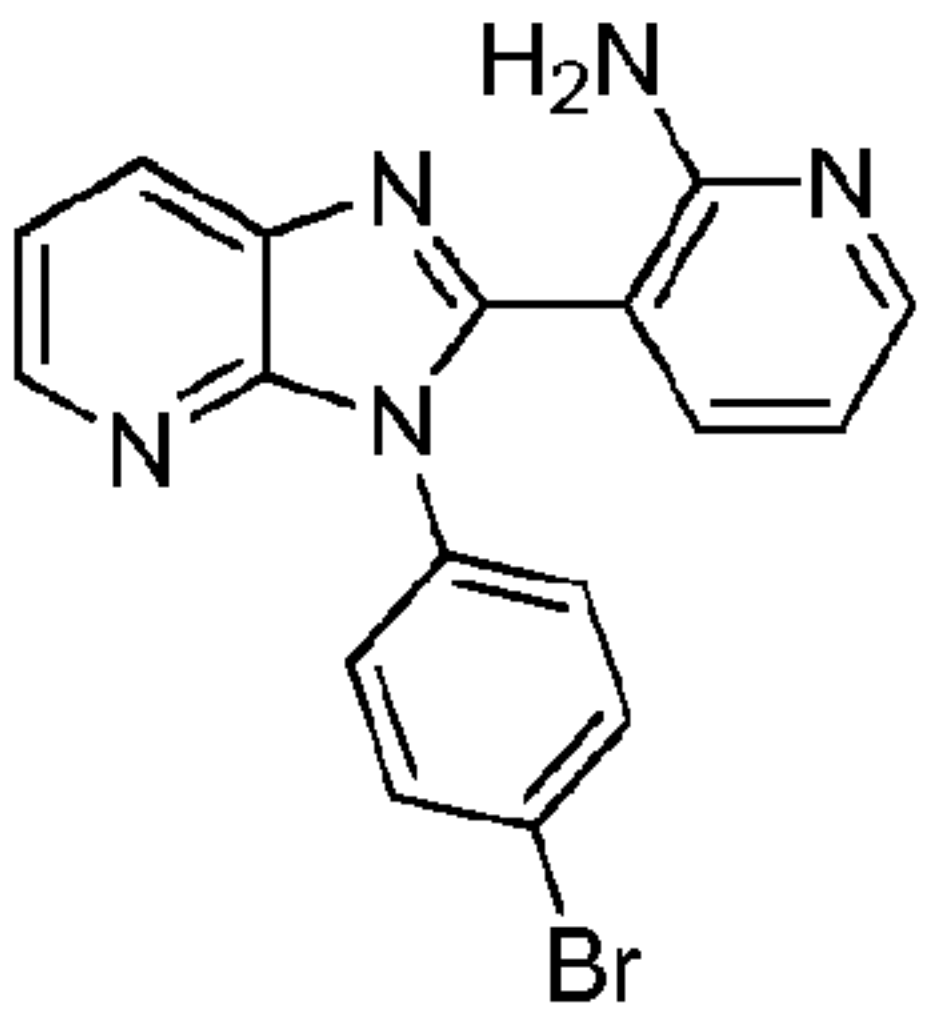
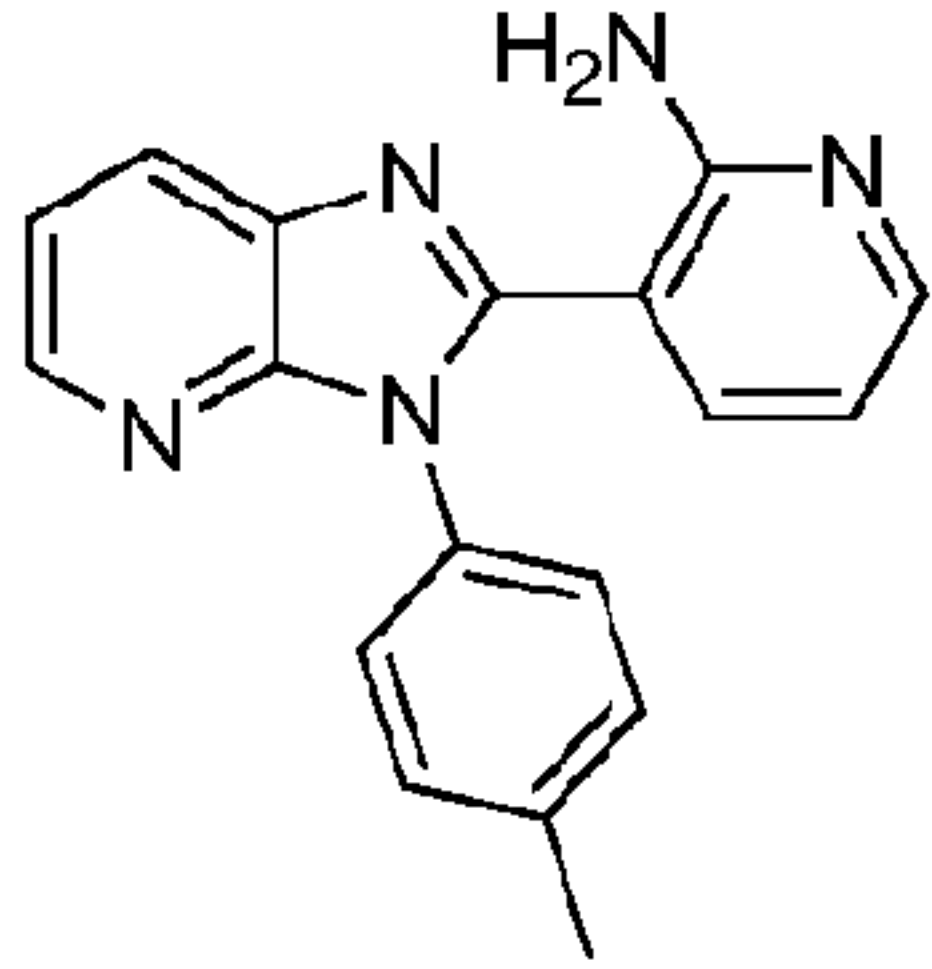
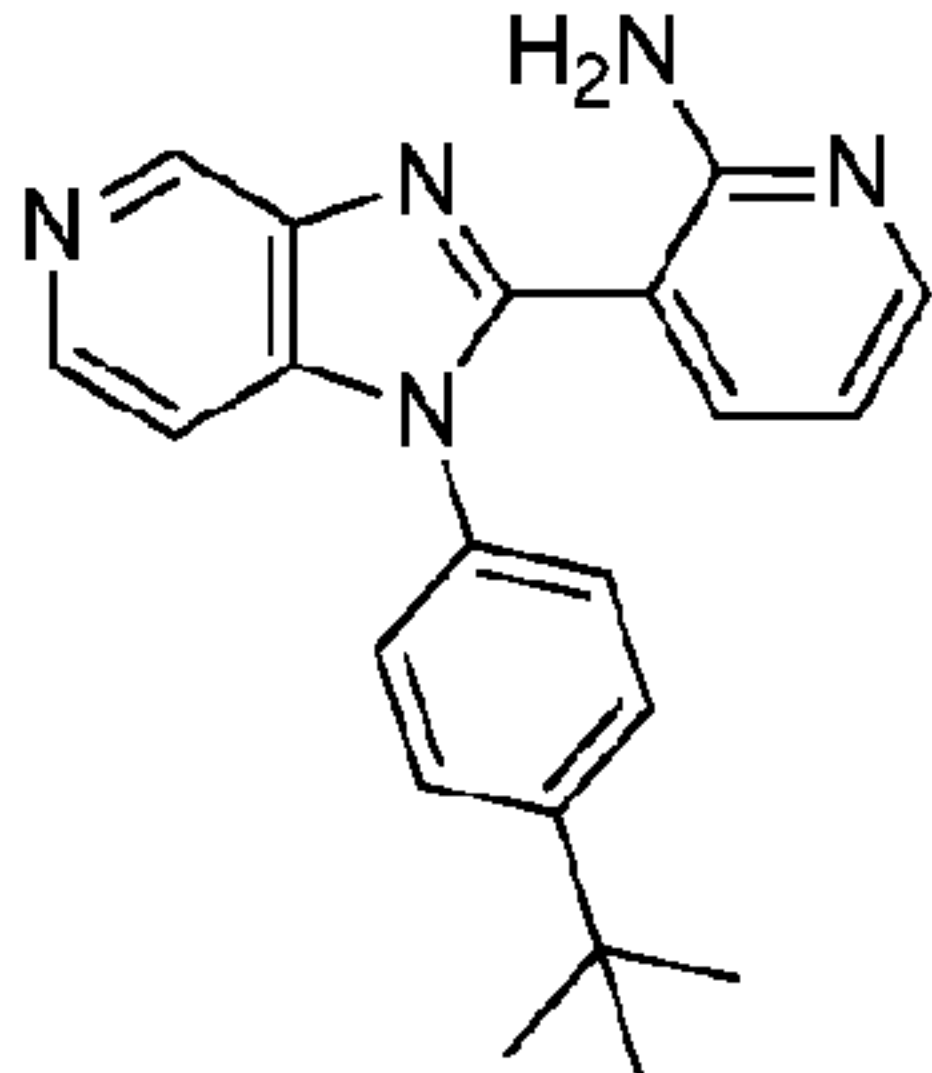
[0001102] For example, R_8 is heteroaryl selected from pyrrolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, imidazolyl, triazolyl, tetrazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzoxazolyl, benzodioxazolyl, benzothiazolyl, benzoimidazolyl, benzothiophenyl, methylenedioxyphenyl, quinolinyl, isoquinolinyl, naphthrydinyl, indolyl, indolonyl, benzofuranyl, purinyl, indolizinyl, and quinoxalinyl, and the like, and is optionally substituted.

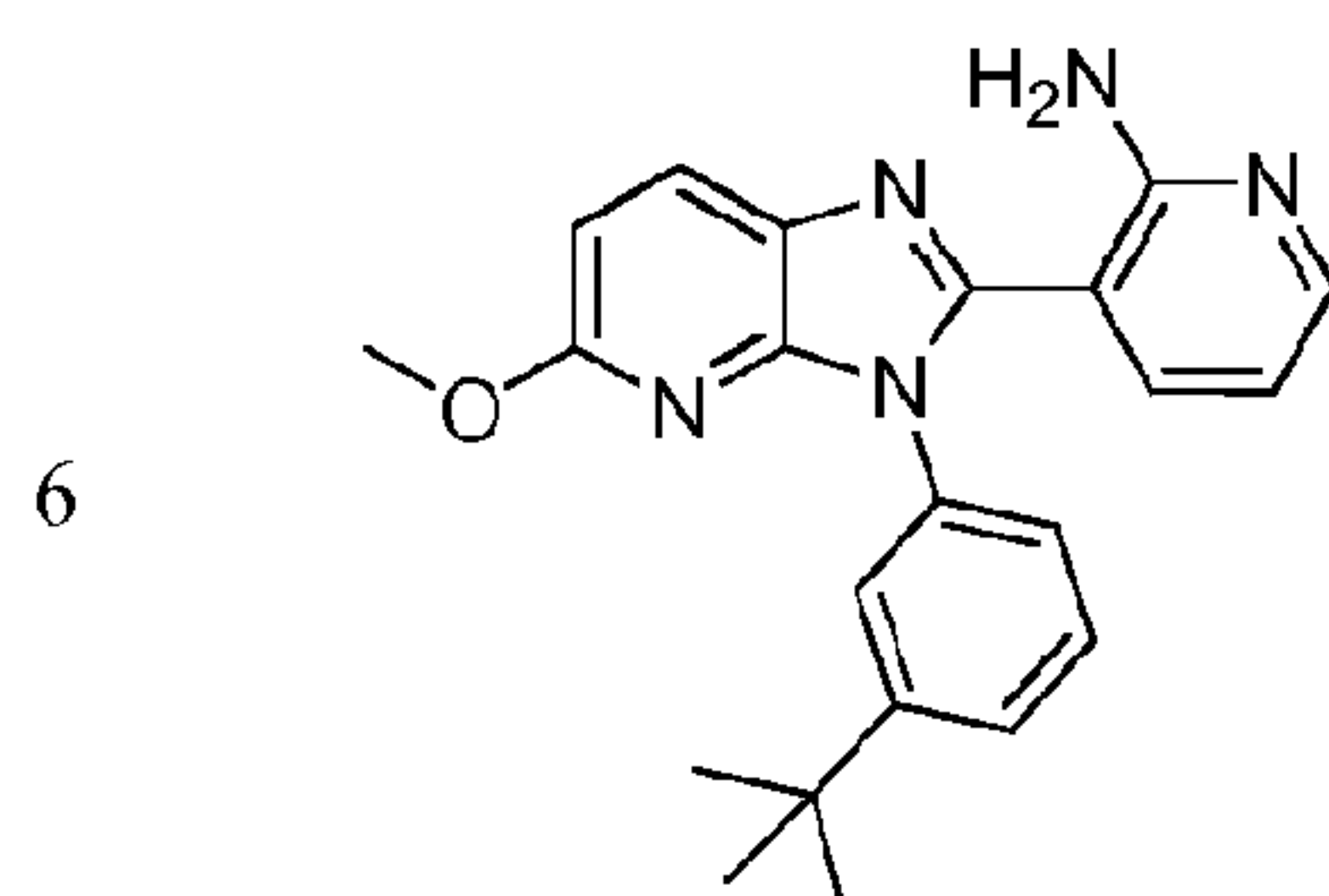
[0001103] For example, R_8 is unsubstituted or substituted C_3 - C_{10} carbocycle, including but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cycloheptyl.

[0001104] For example, R_8 is heterocycle selected from pyrrolidinyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, triazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl, and morpholinyl, and the like, and is optionally substituted.

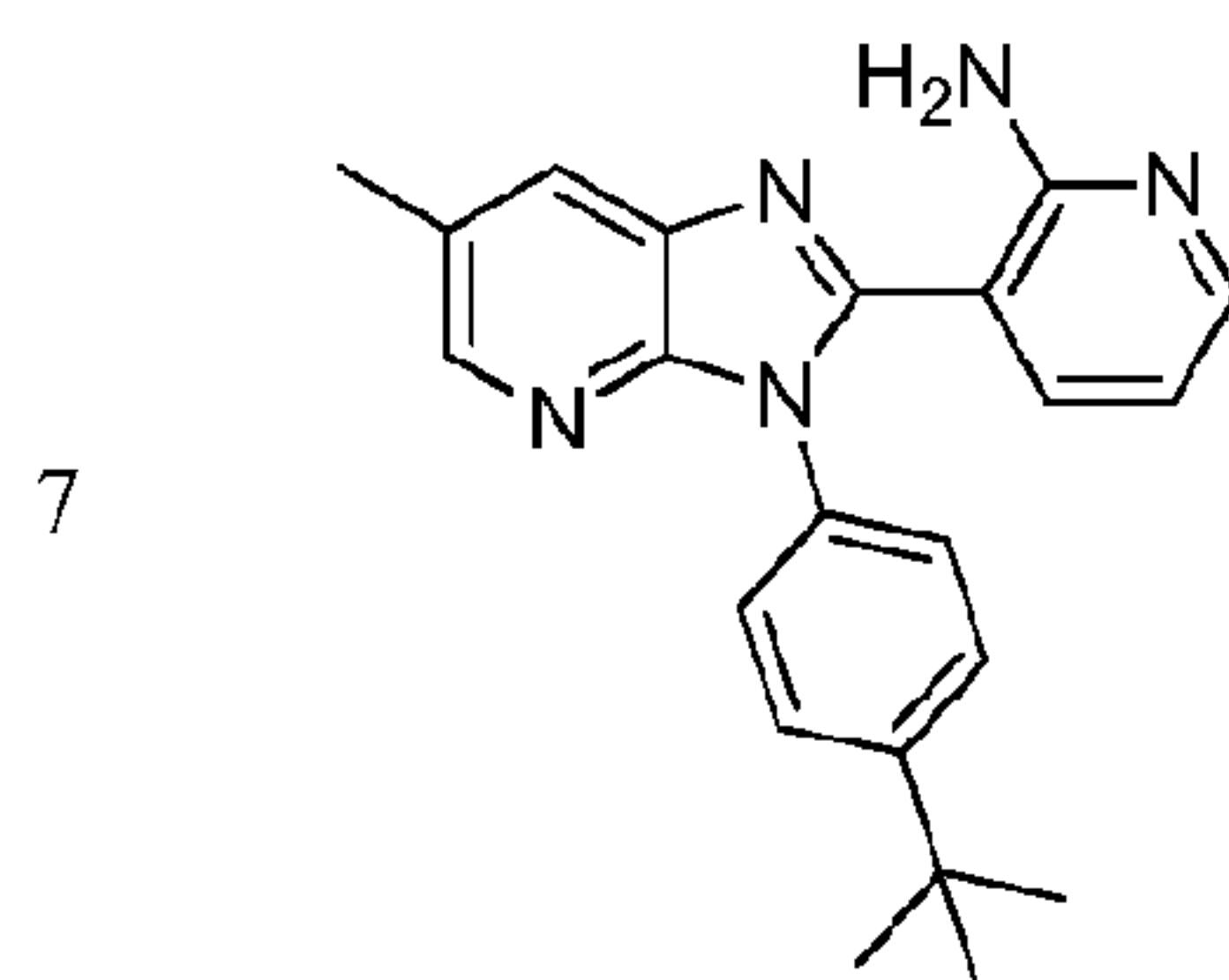
[0001105] Representative compounds of the present invention include compounds listed in Table 1.

Table 1

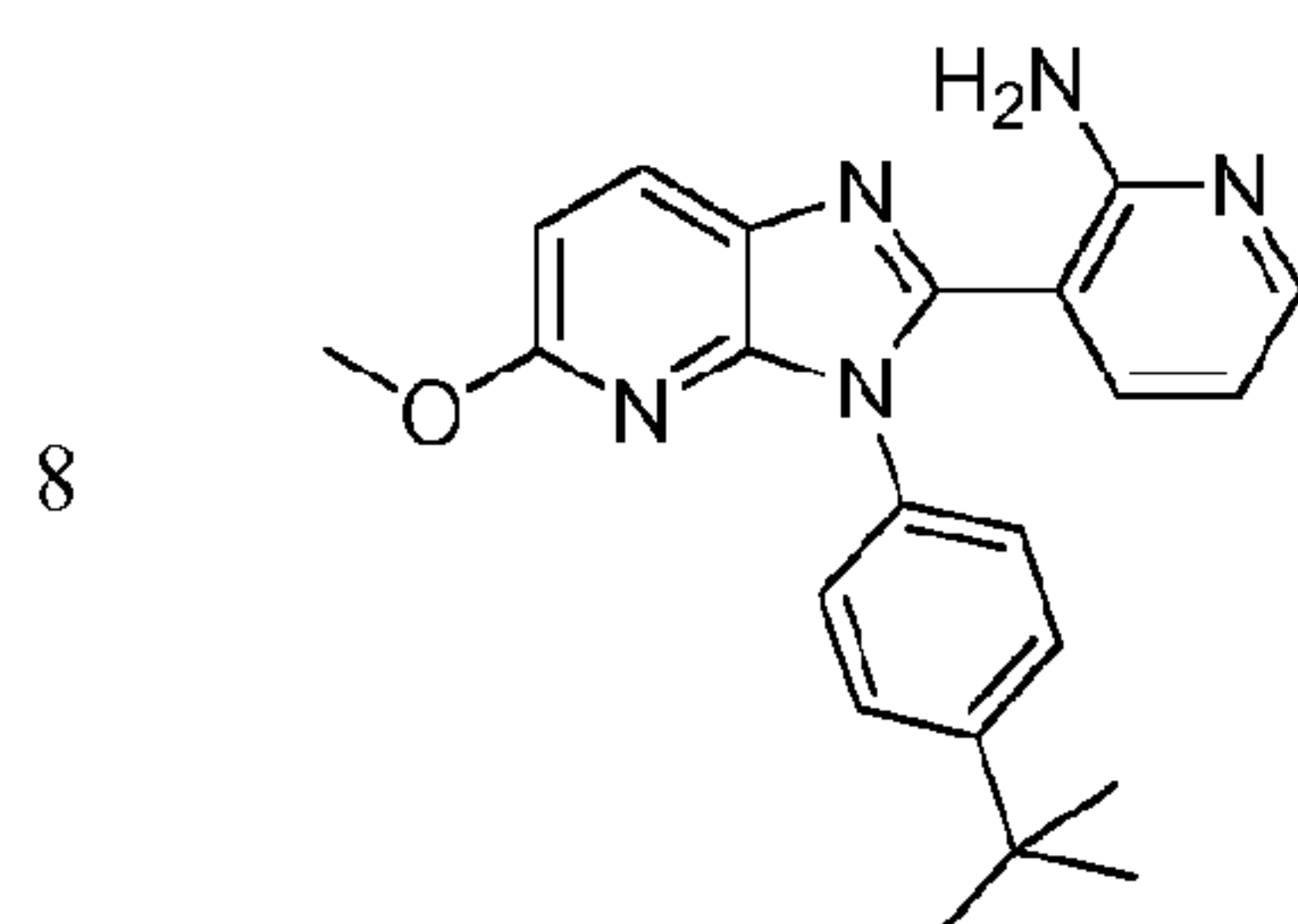
Cmpd	Structure	Chemical Name
1		3-(3-(4-chlorophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
2		3-(3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
3		3-[3-(4-bromophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine
4		3-(3-(p-tolyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
5		3-[1-(4-tert-butylphenyl)-1H-imidazo[4,5-c]pyridin-2-yl]pyridin-2-amine



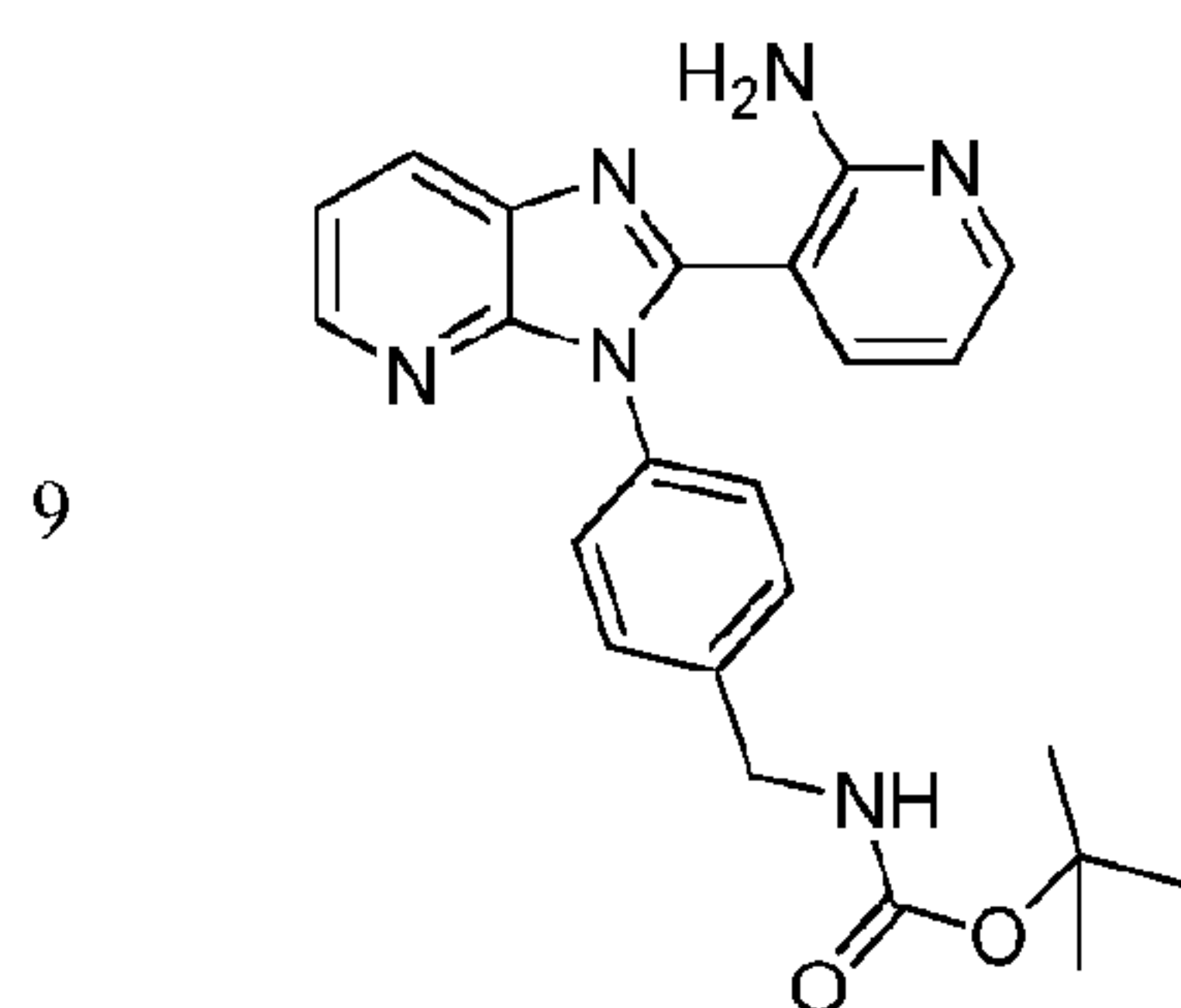
3-(3-(4-(tert-butyl)phenyl)-5-methoxy-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



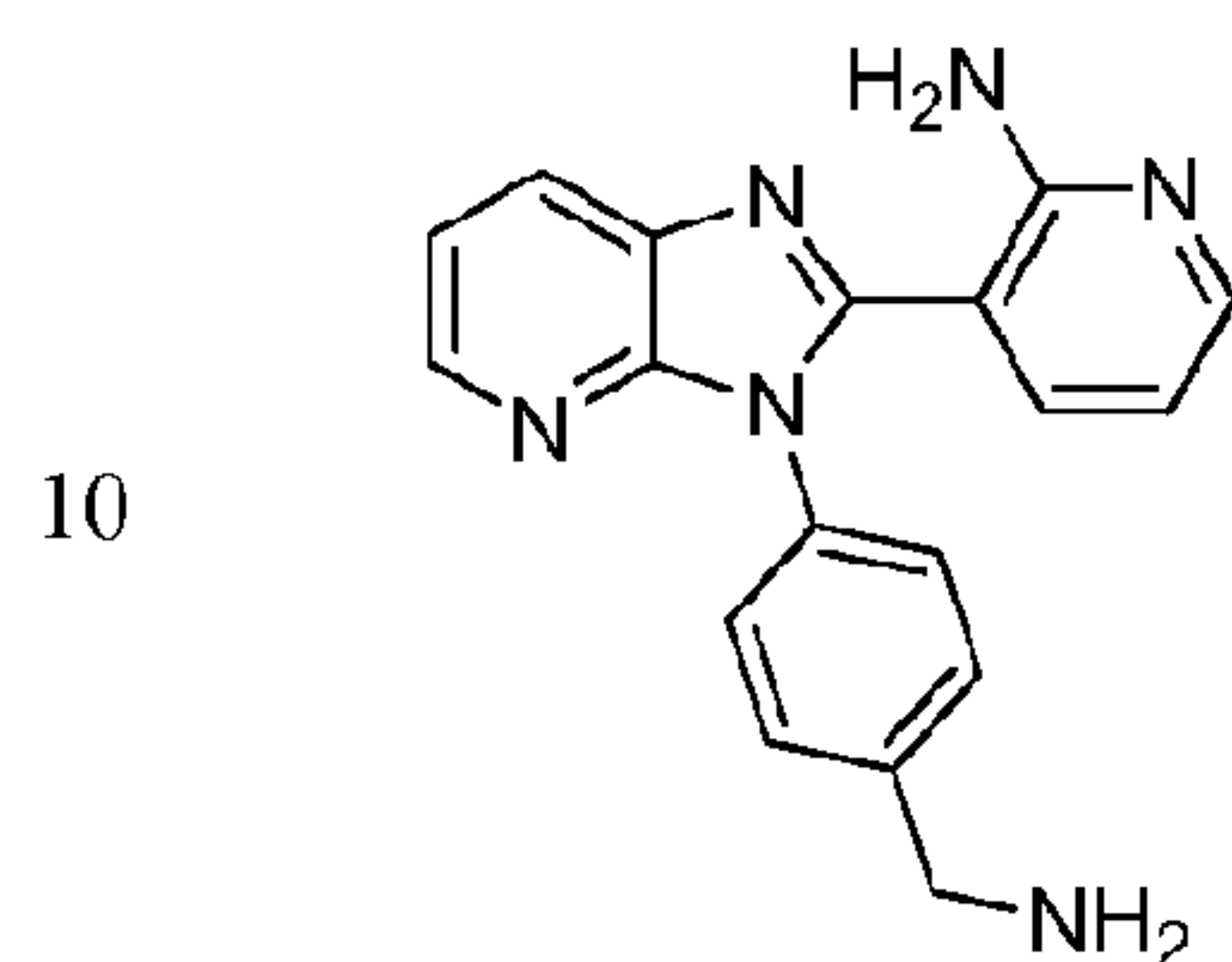
3-(3-(4-(tert-butyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



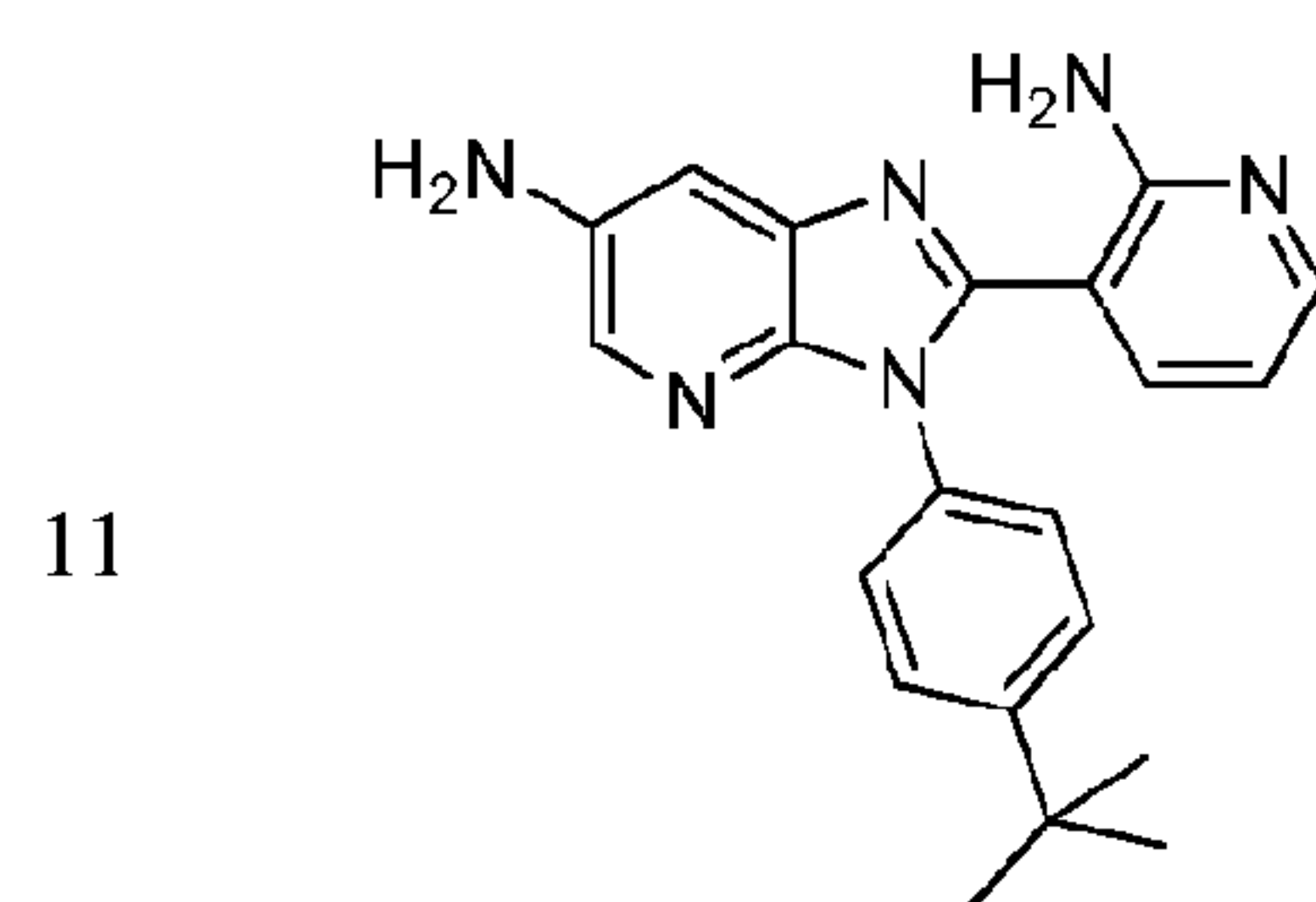
3-(3-(4-(tert-butyl)phenyl)-5-methoxy-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



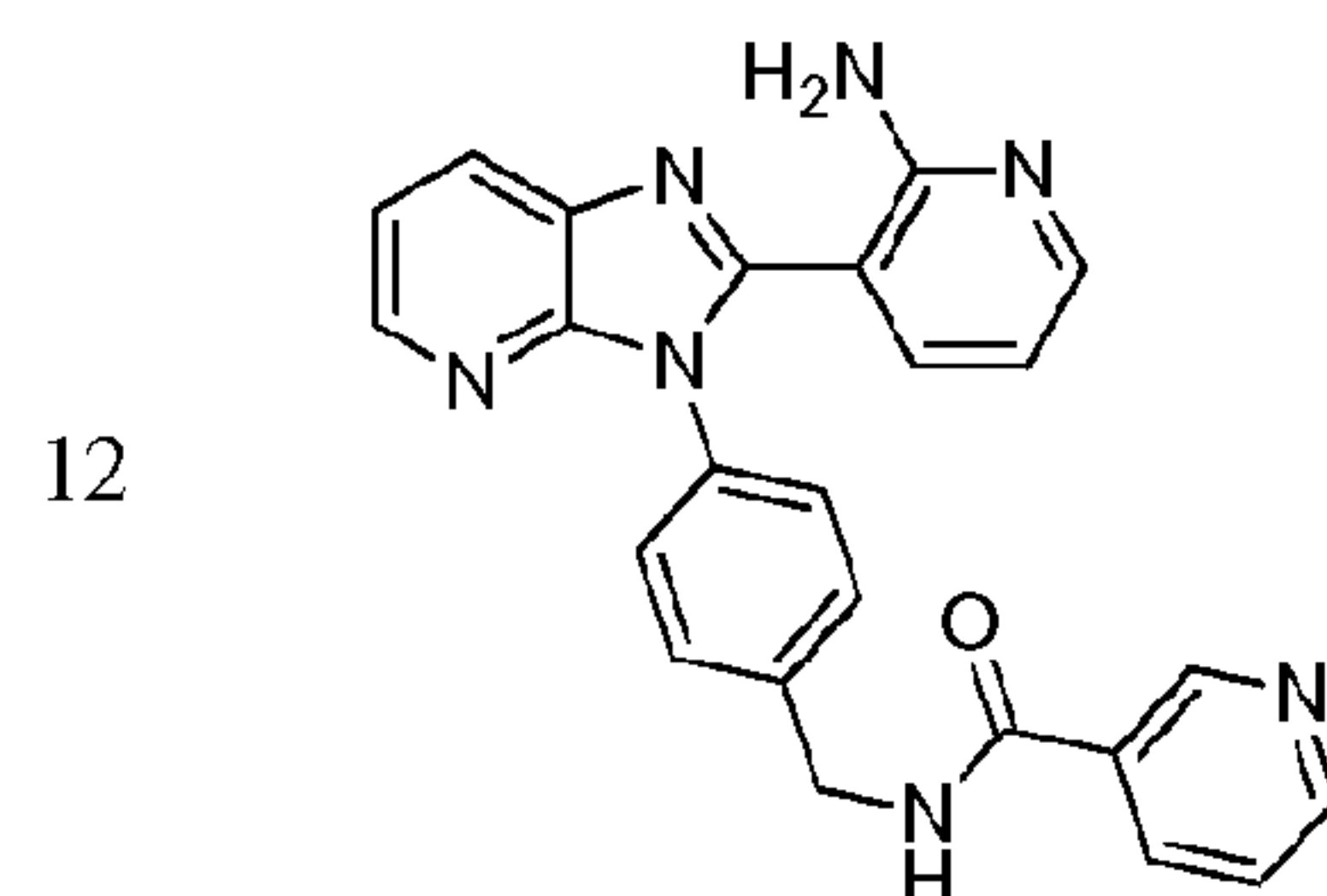
tert-butyl 4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate



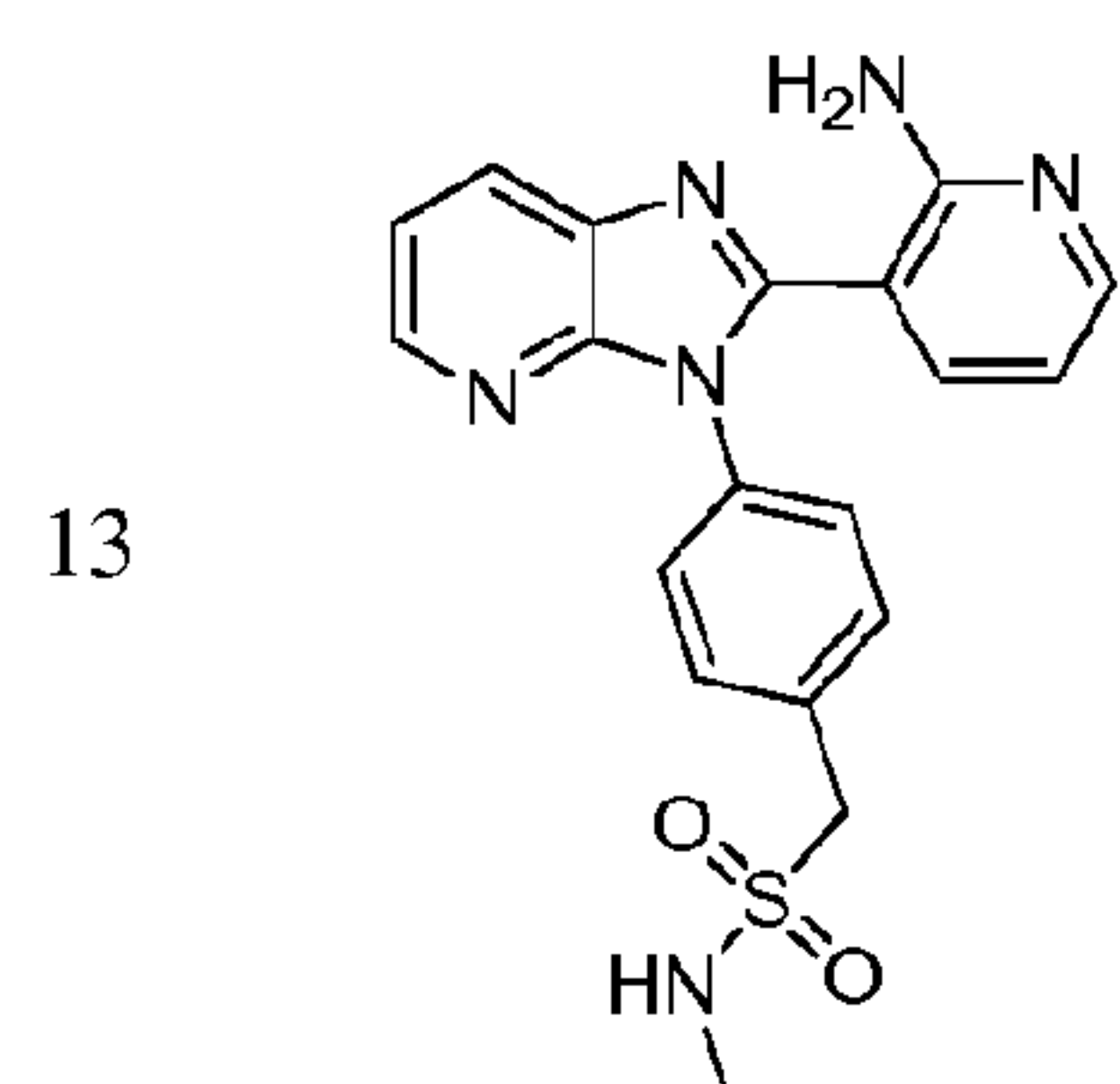
3-(3-(4-(aminomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



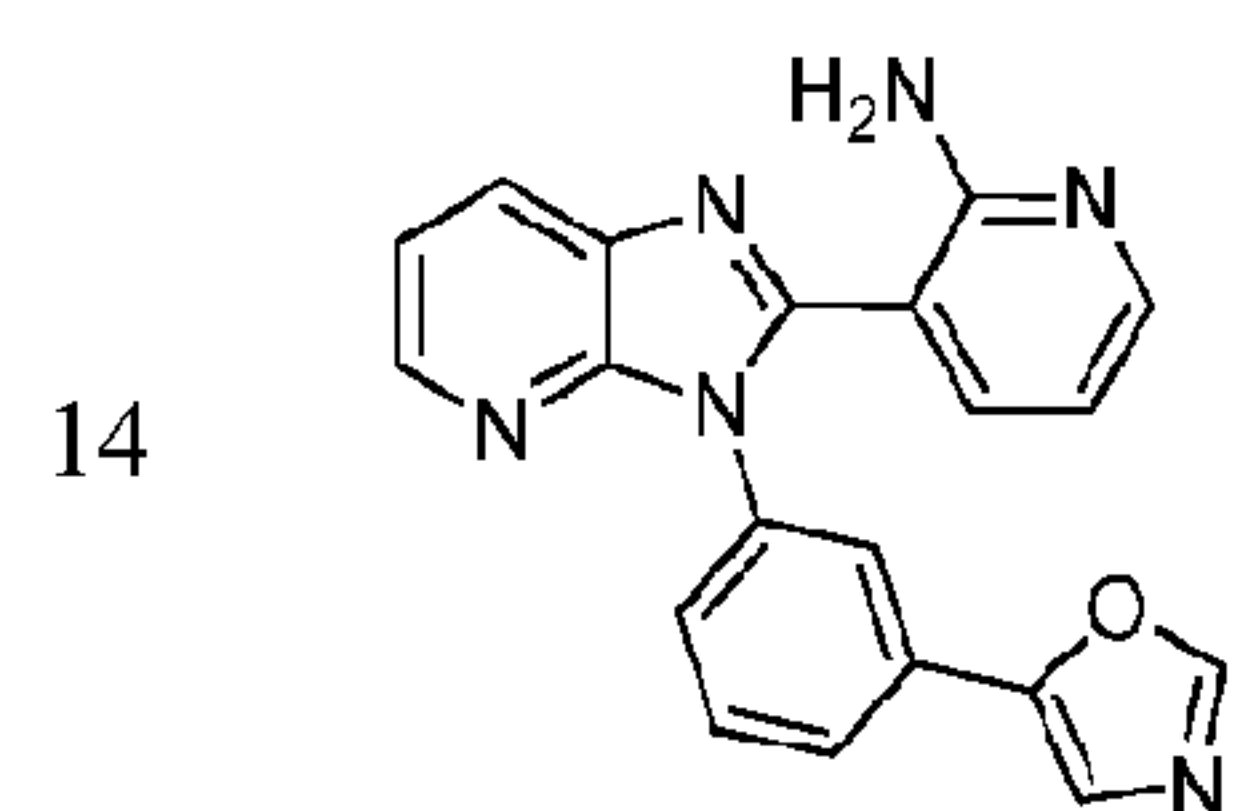
2-(2-aminopyridin-3-yl)-3-(4-(tert-butyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-amine



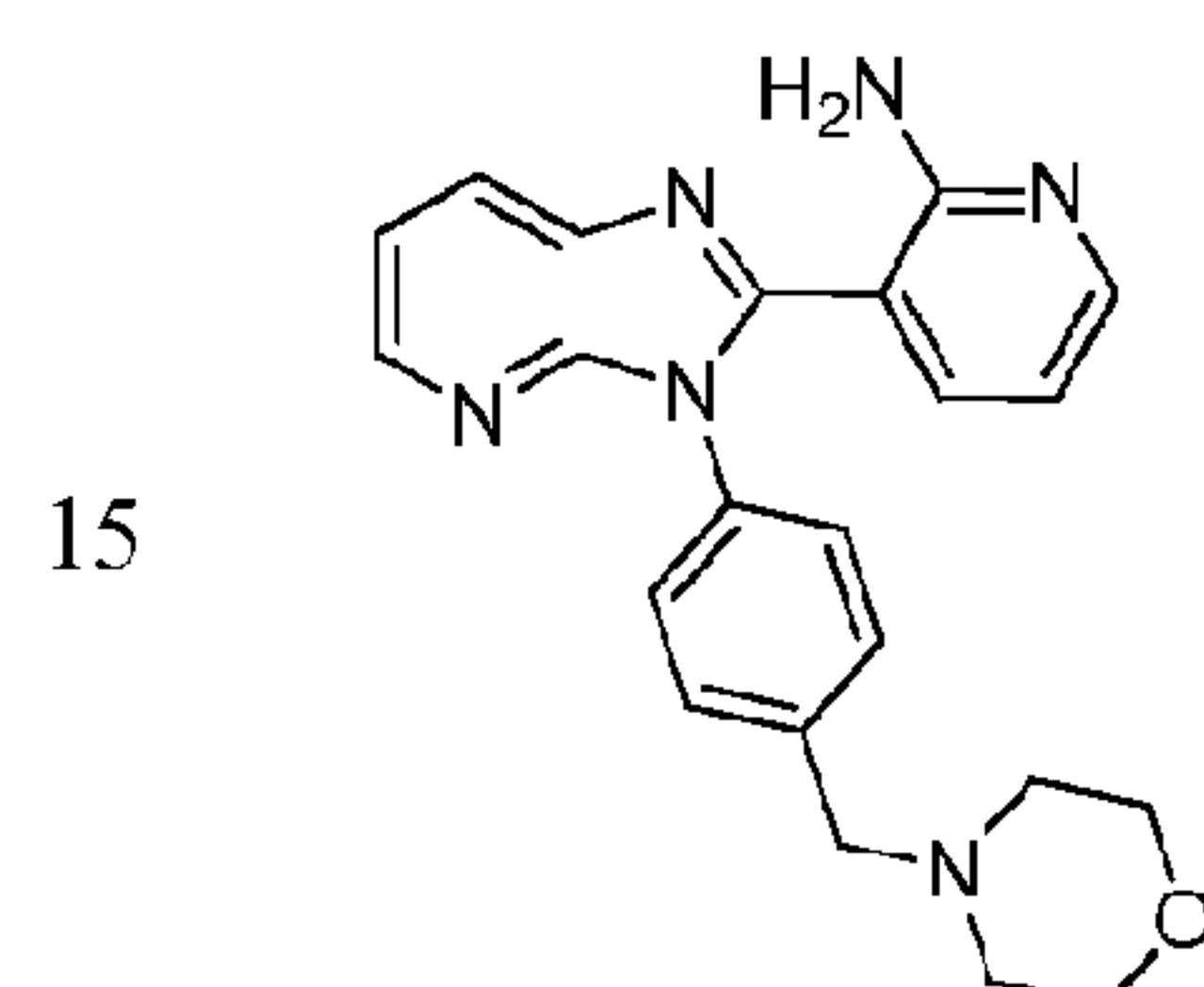
N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)nicotinamide



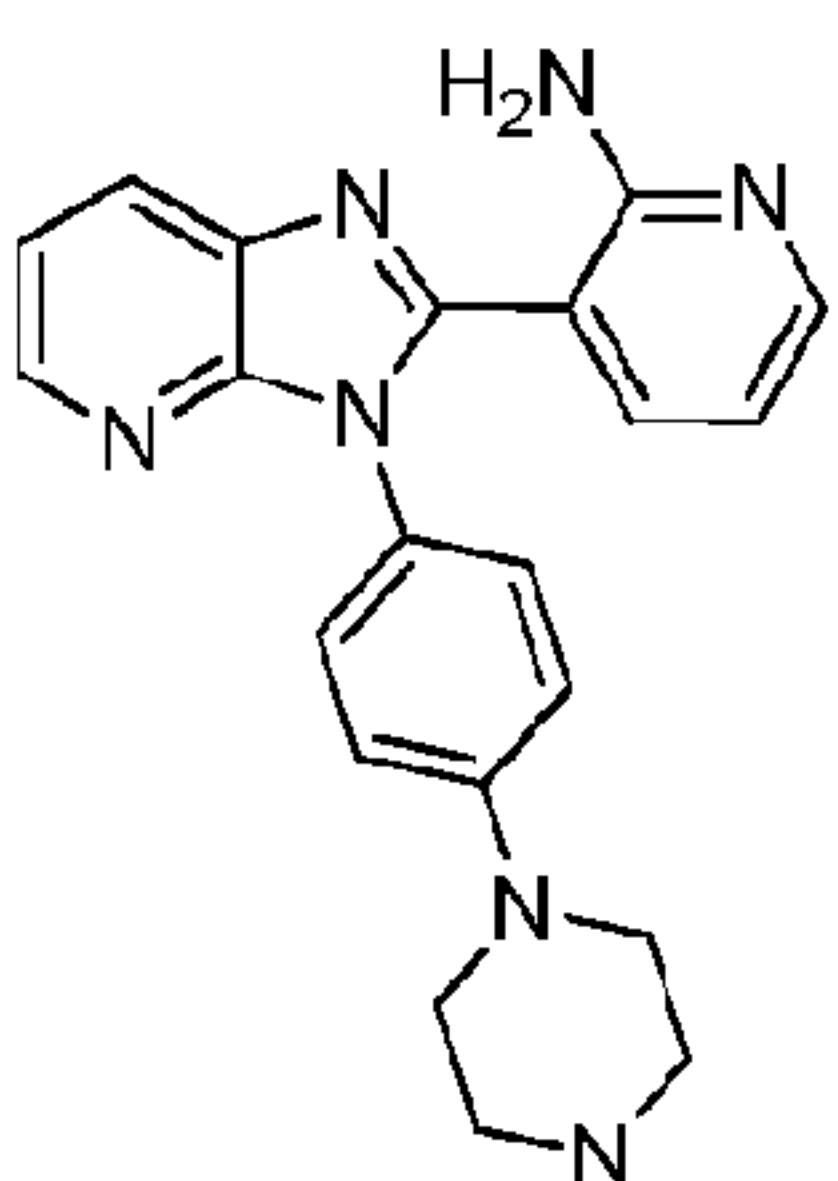
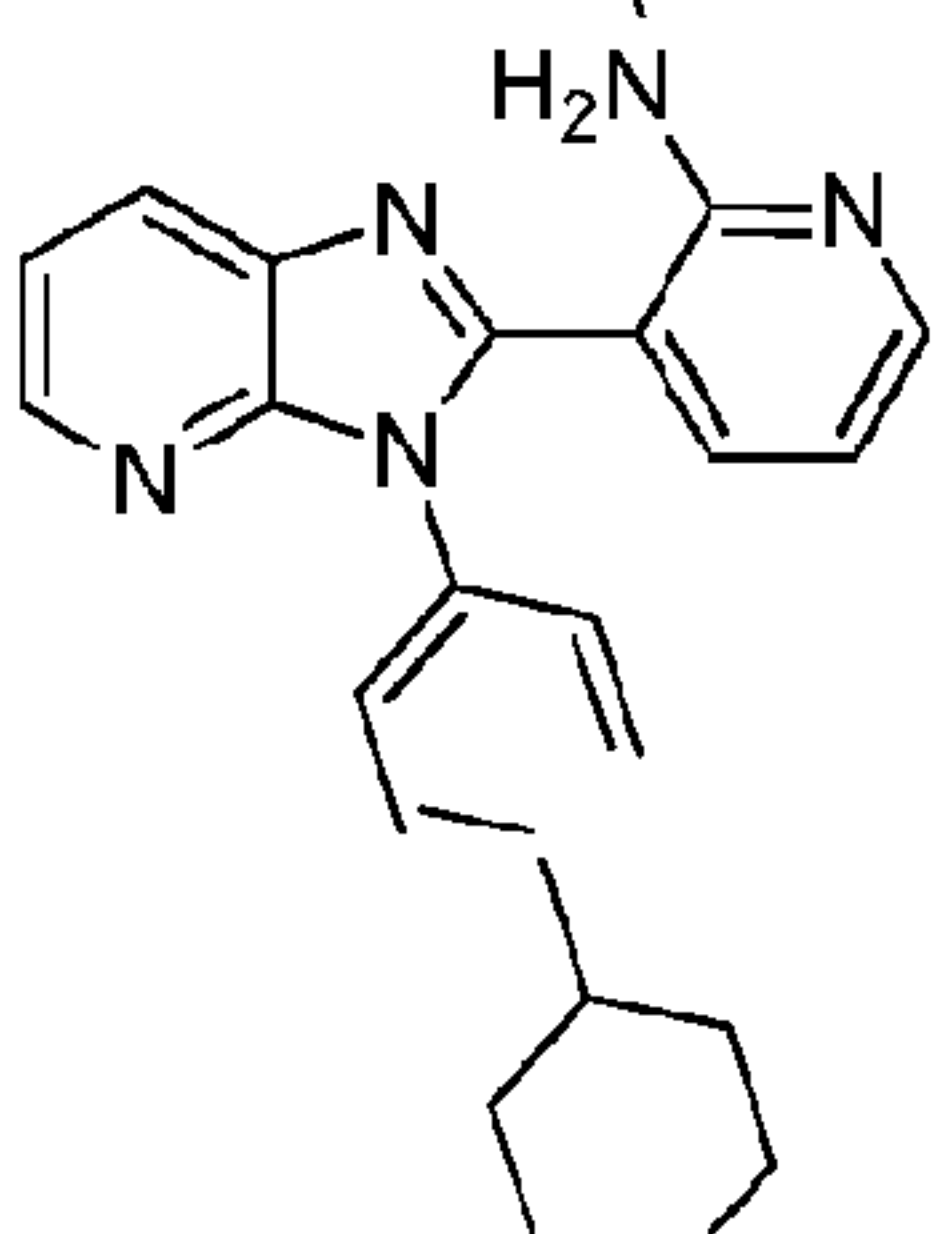
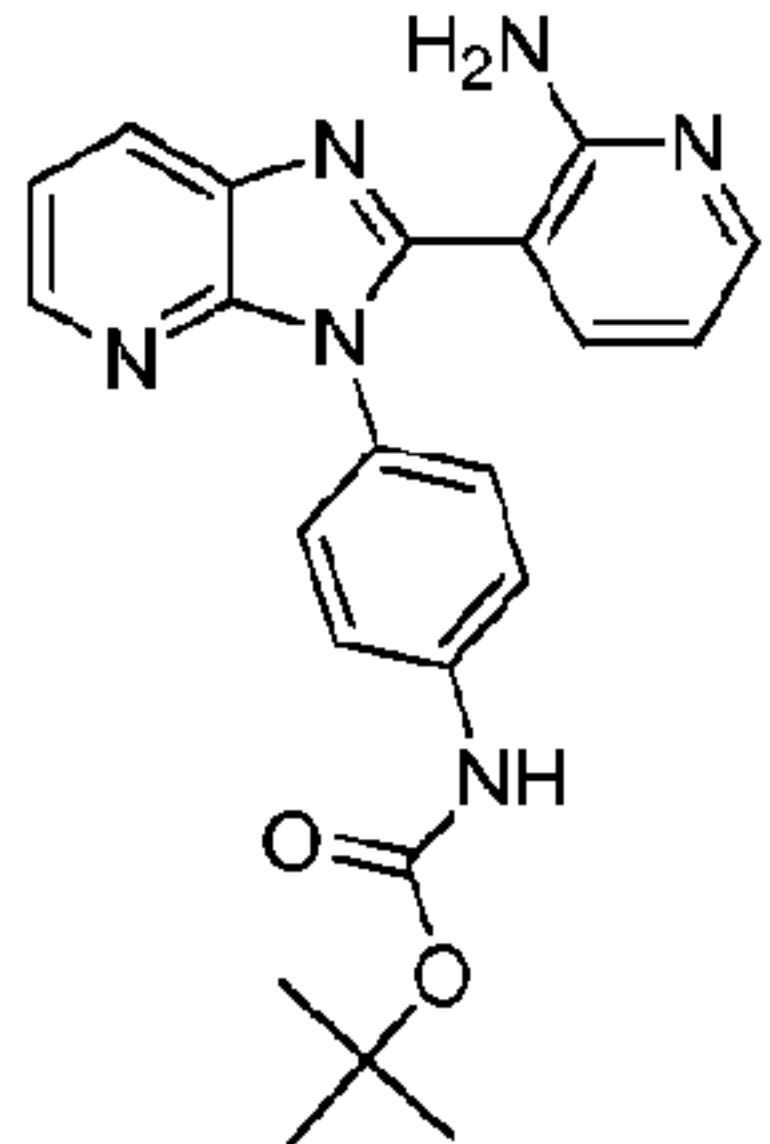
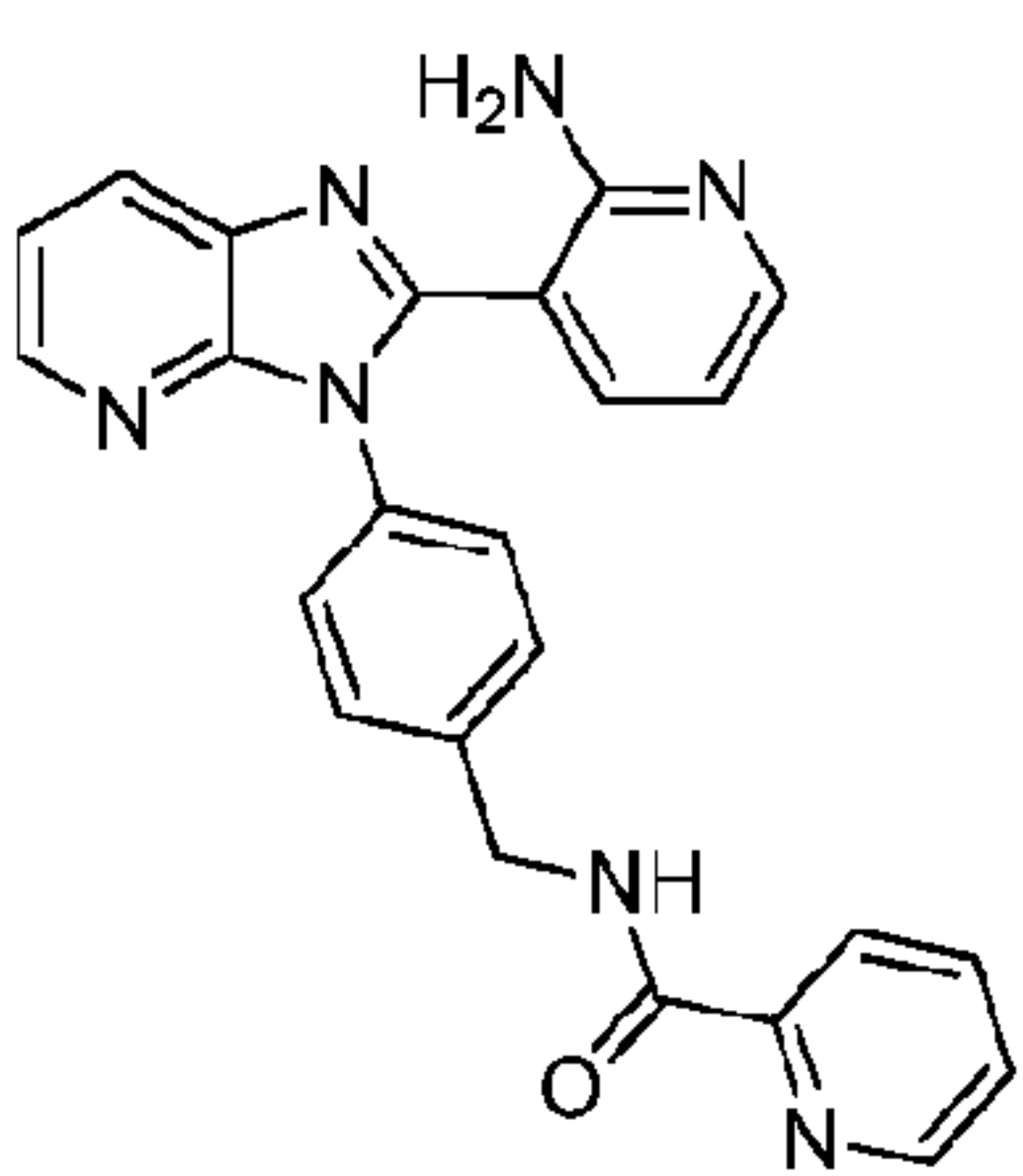
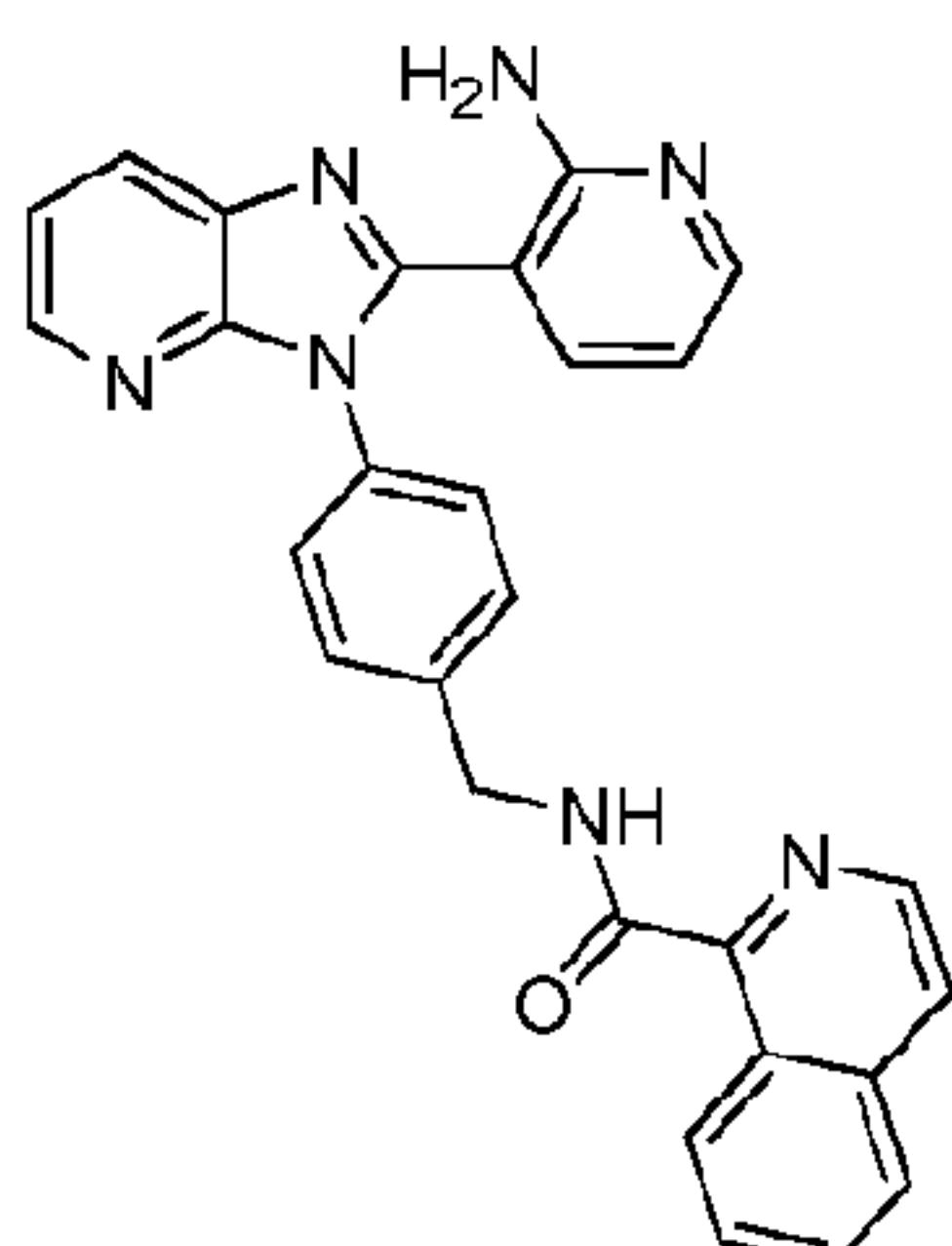
1-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylmethanesulfonamide

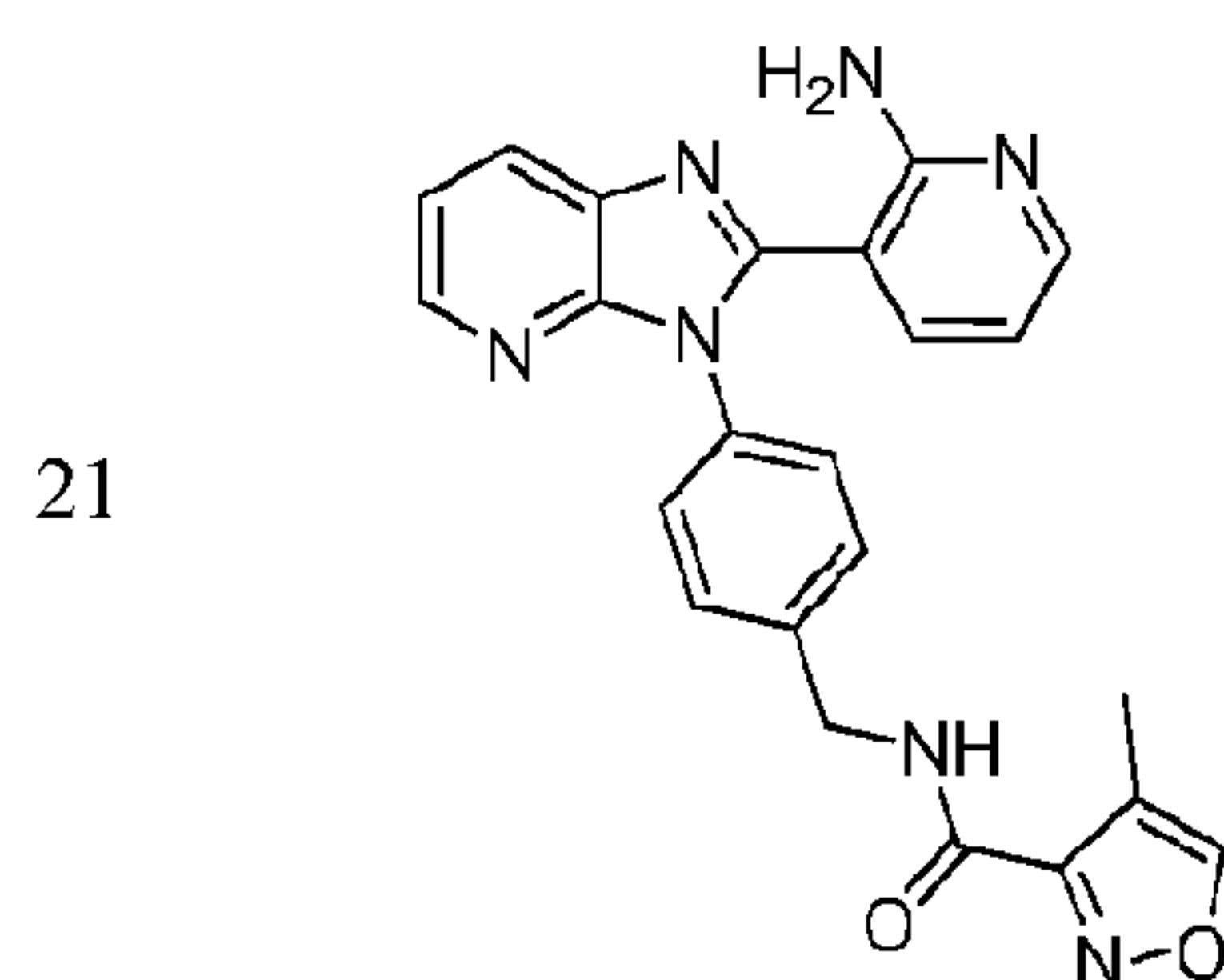


3-{3-[3-(1,3-oxazol-5-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

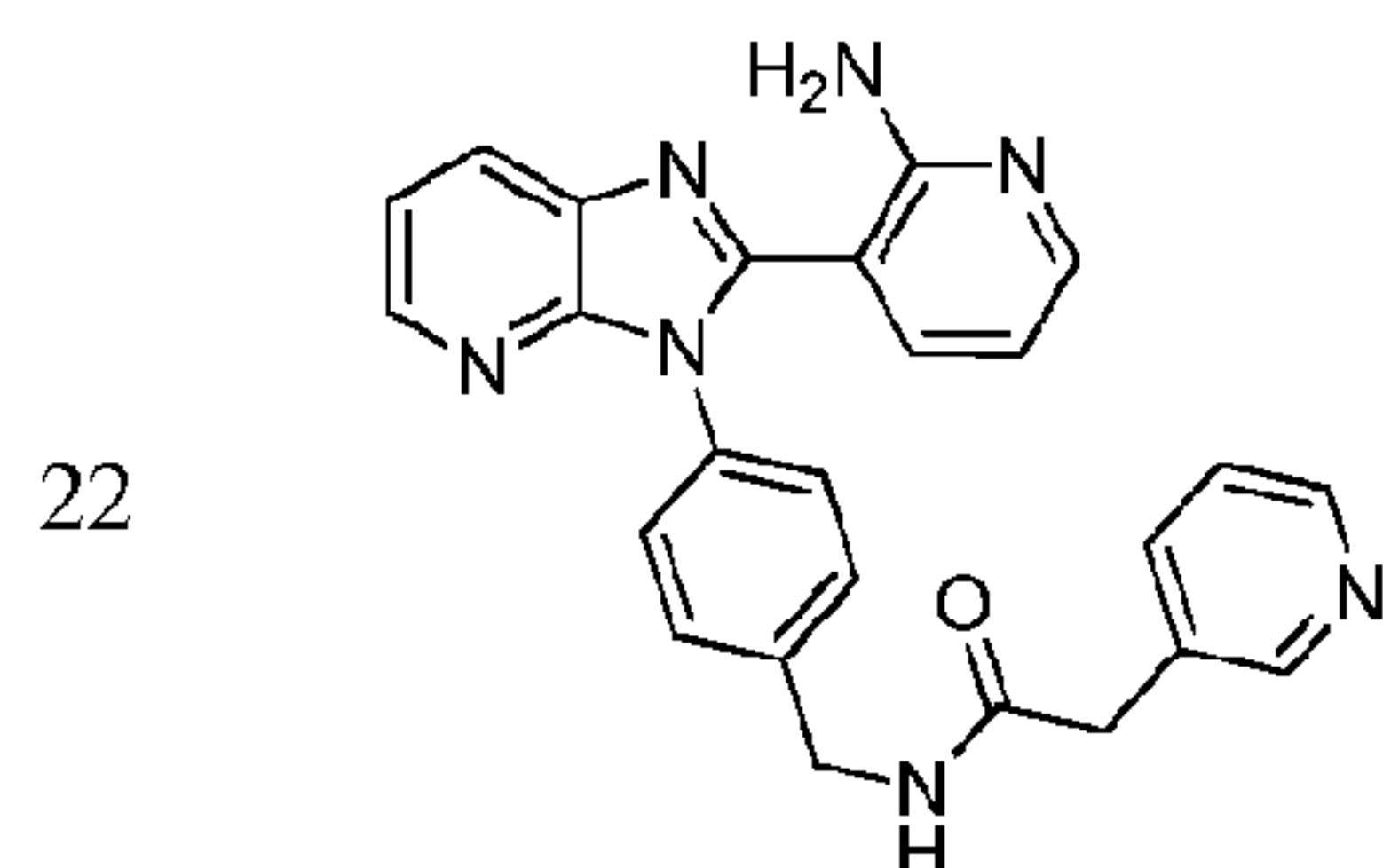


3-{3-[4-(morpholin-4-ylmethyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

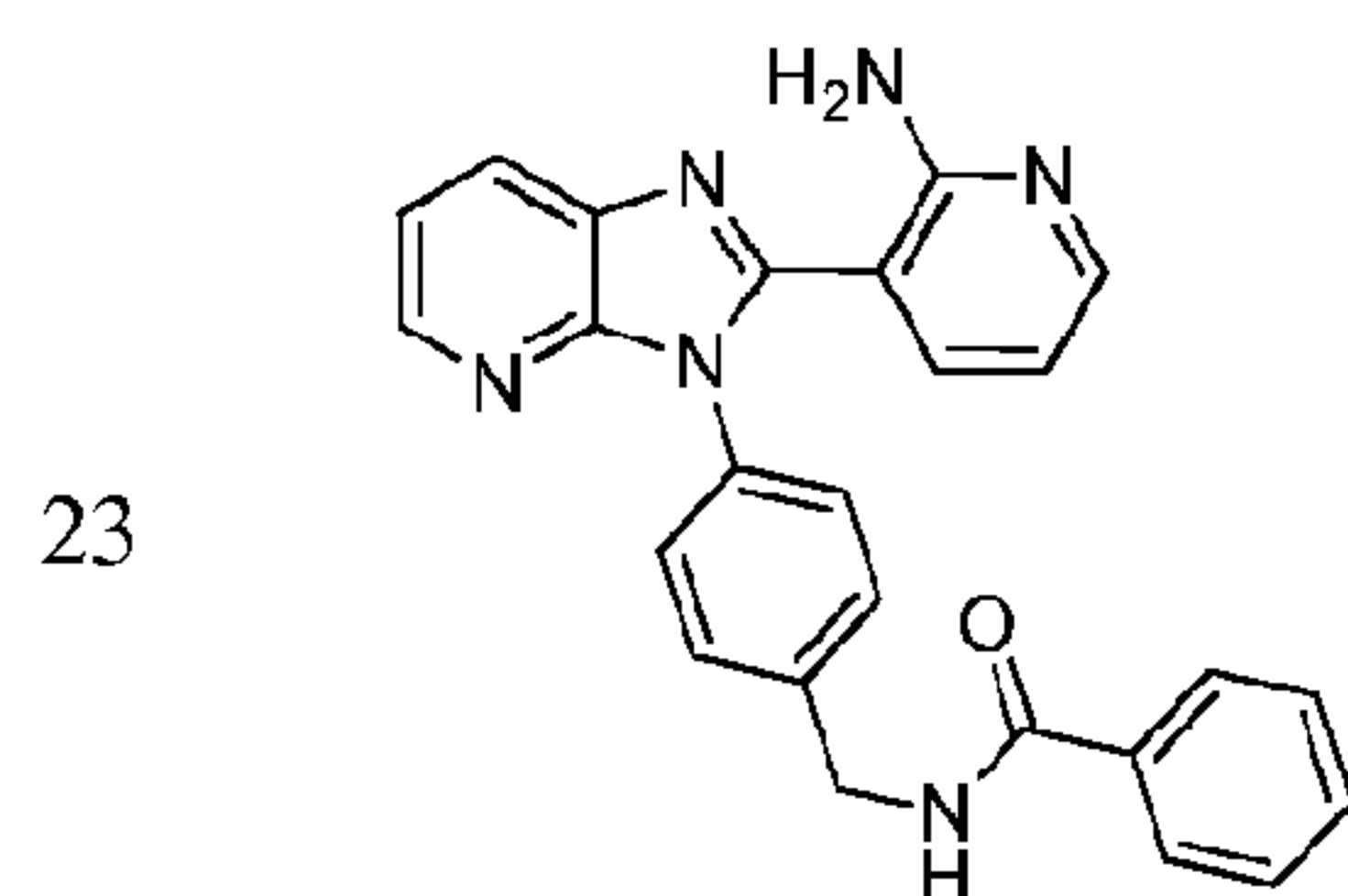
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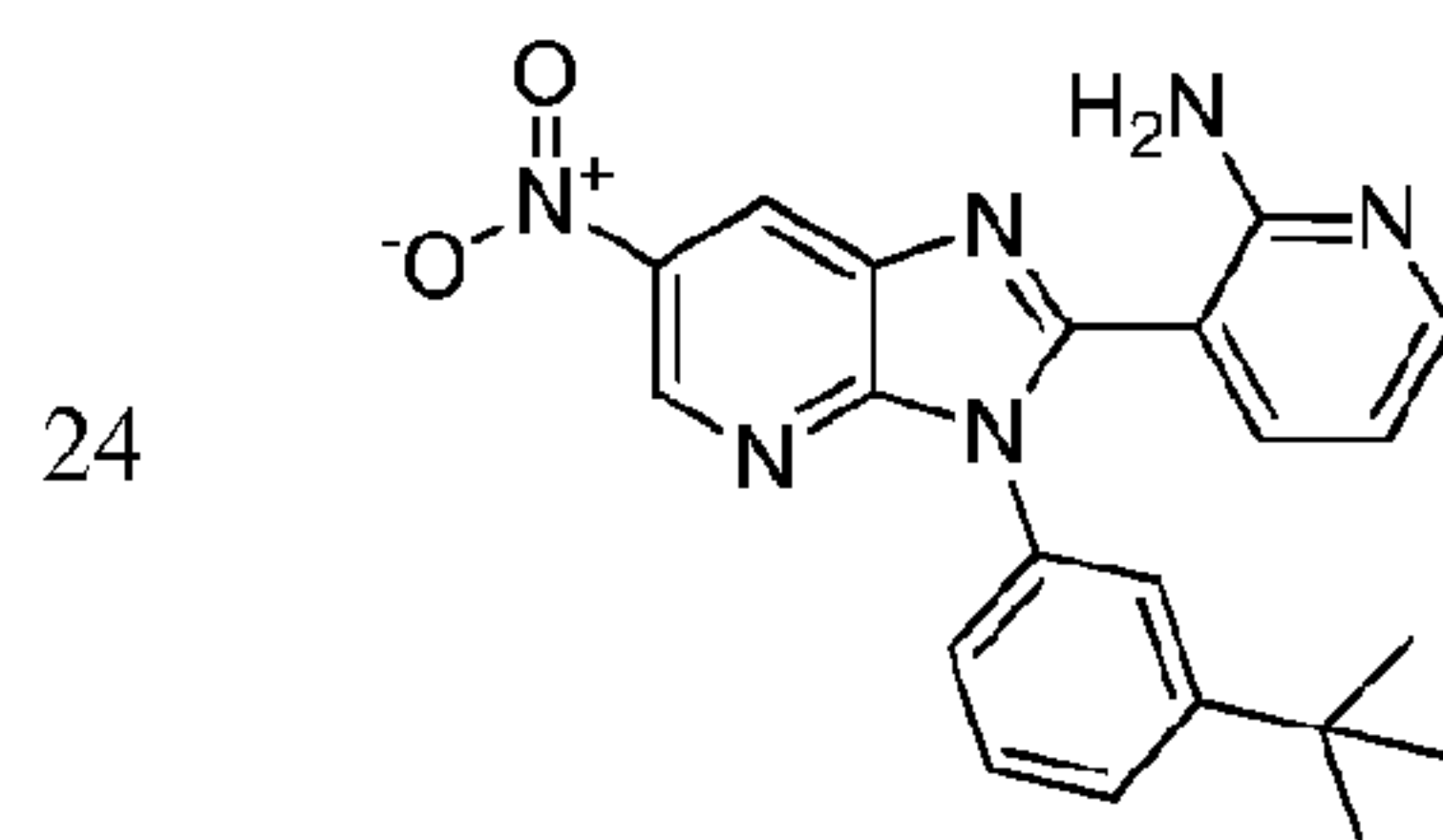
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methylisoxazole-3-carboxamide



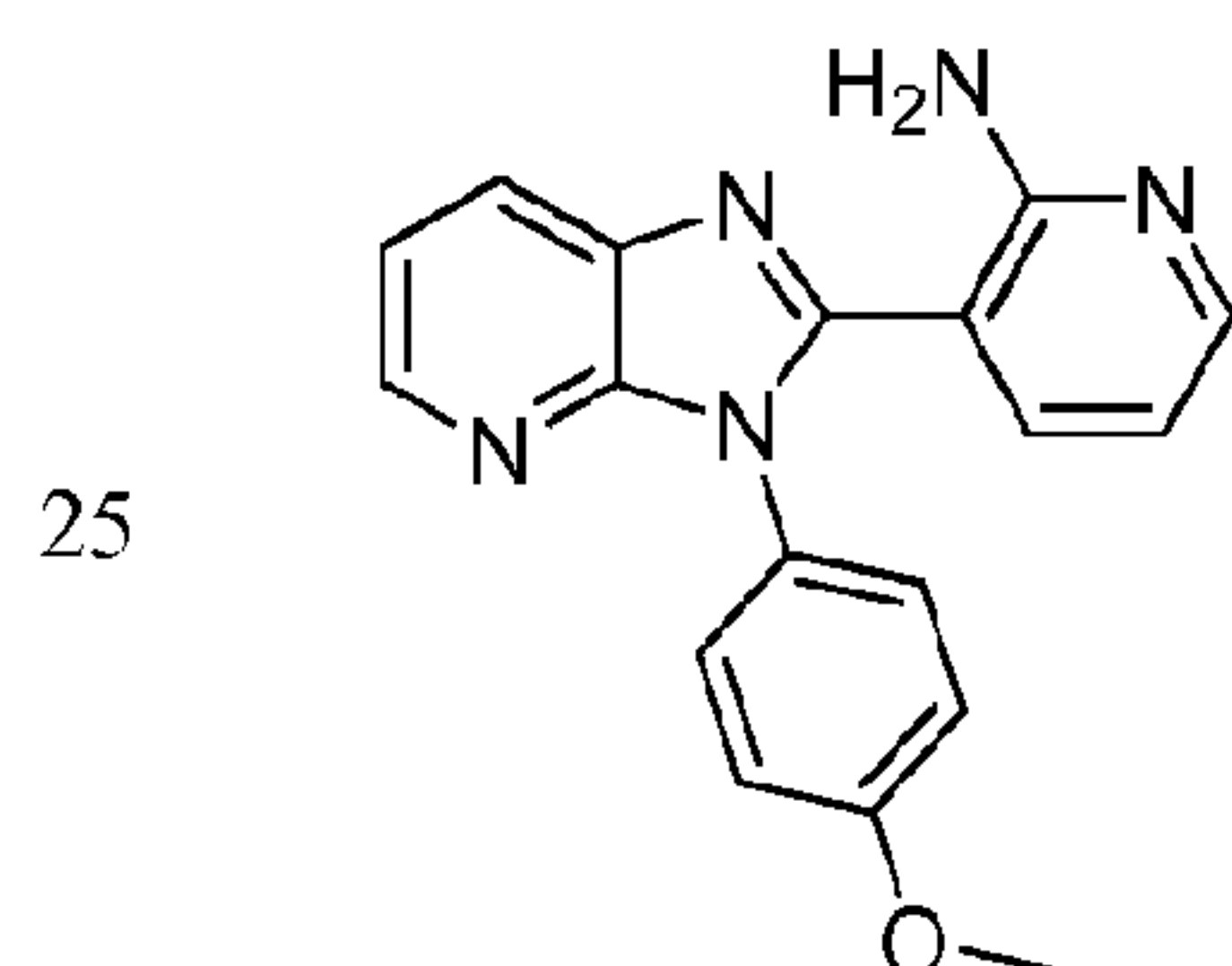
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-pyridin-3-ylacetamide



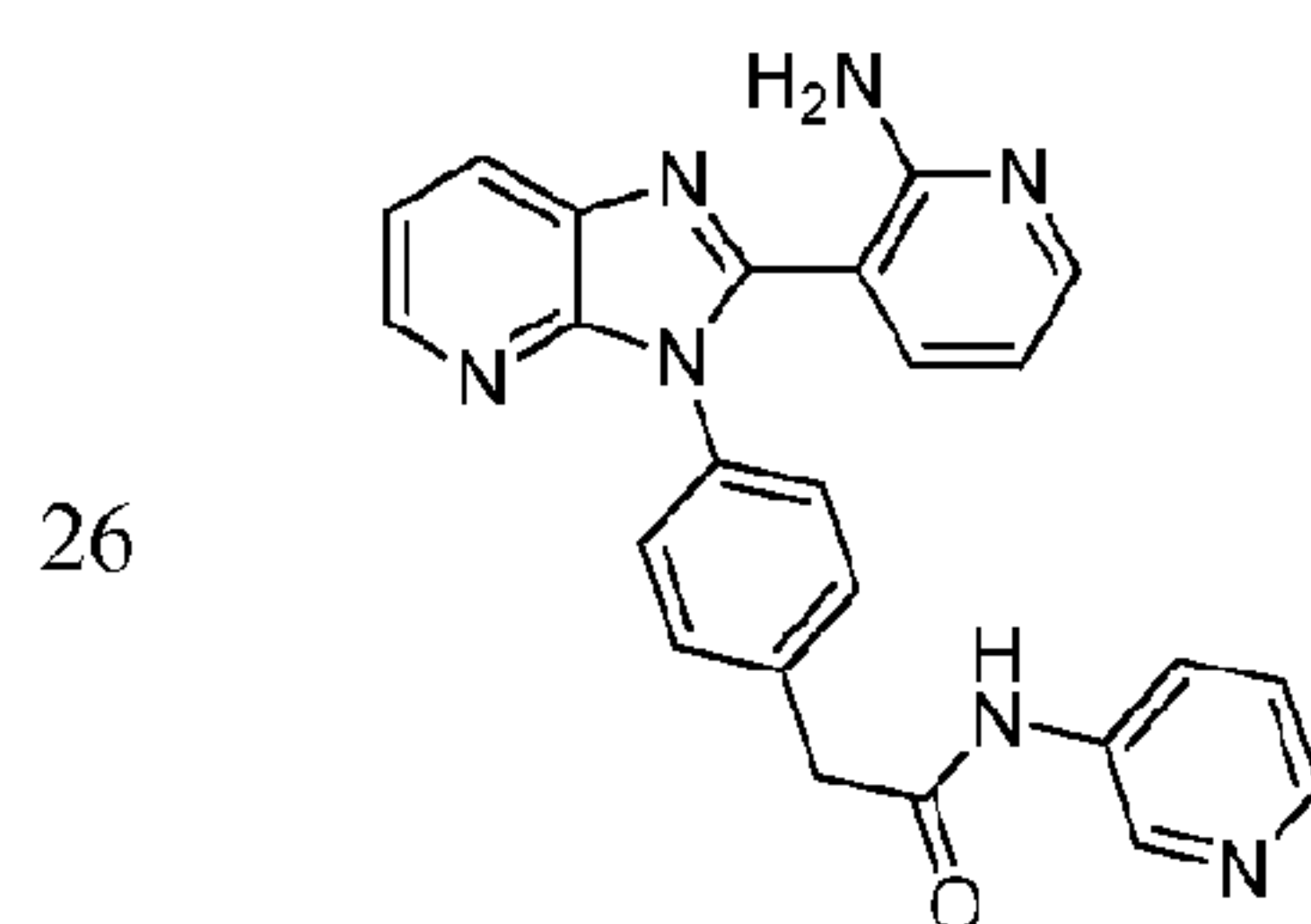
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide



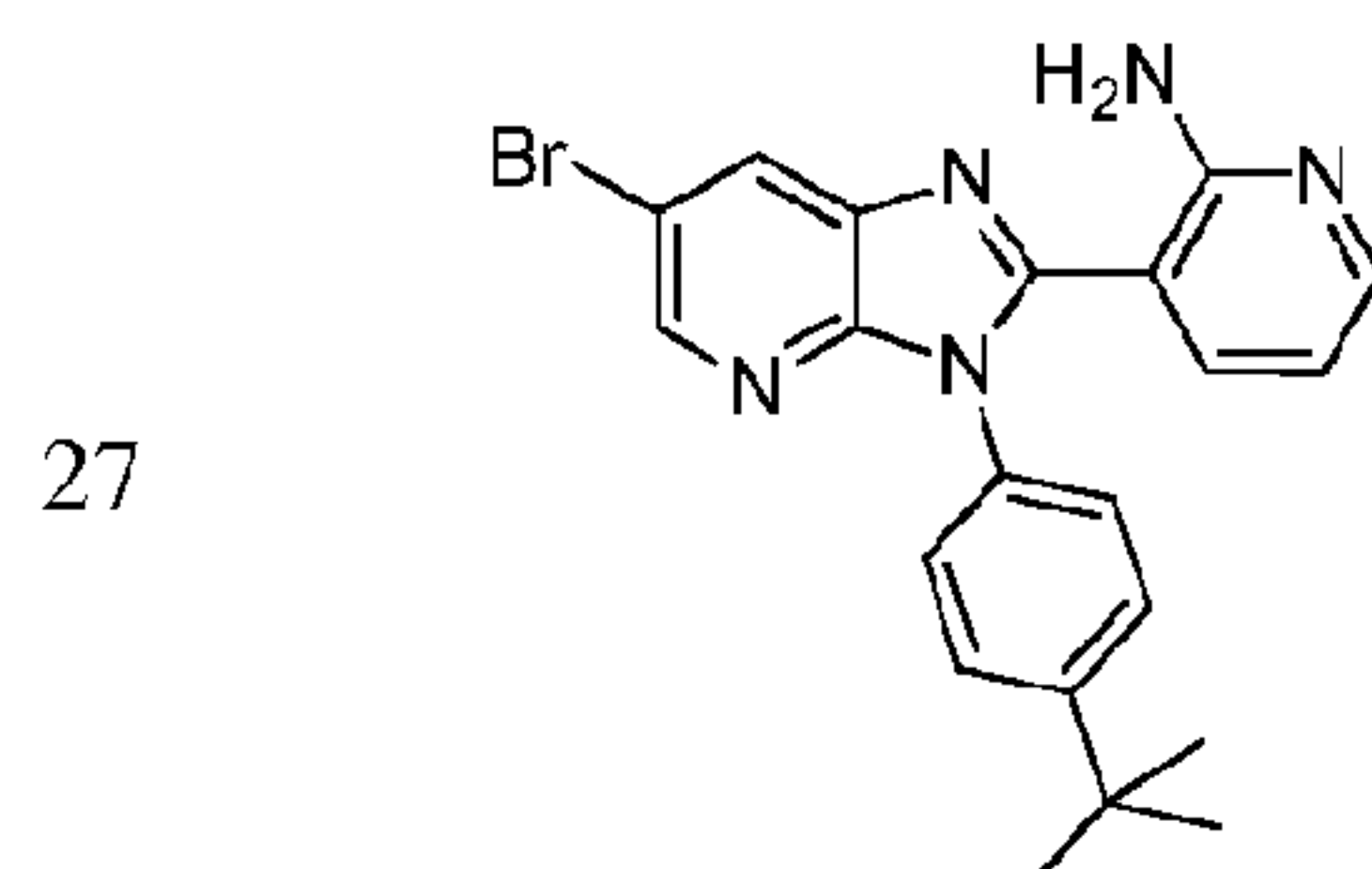
3-[3-(3-tert-butylphenyl)-6-nitro-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine



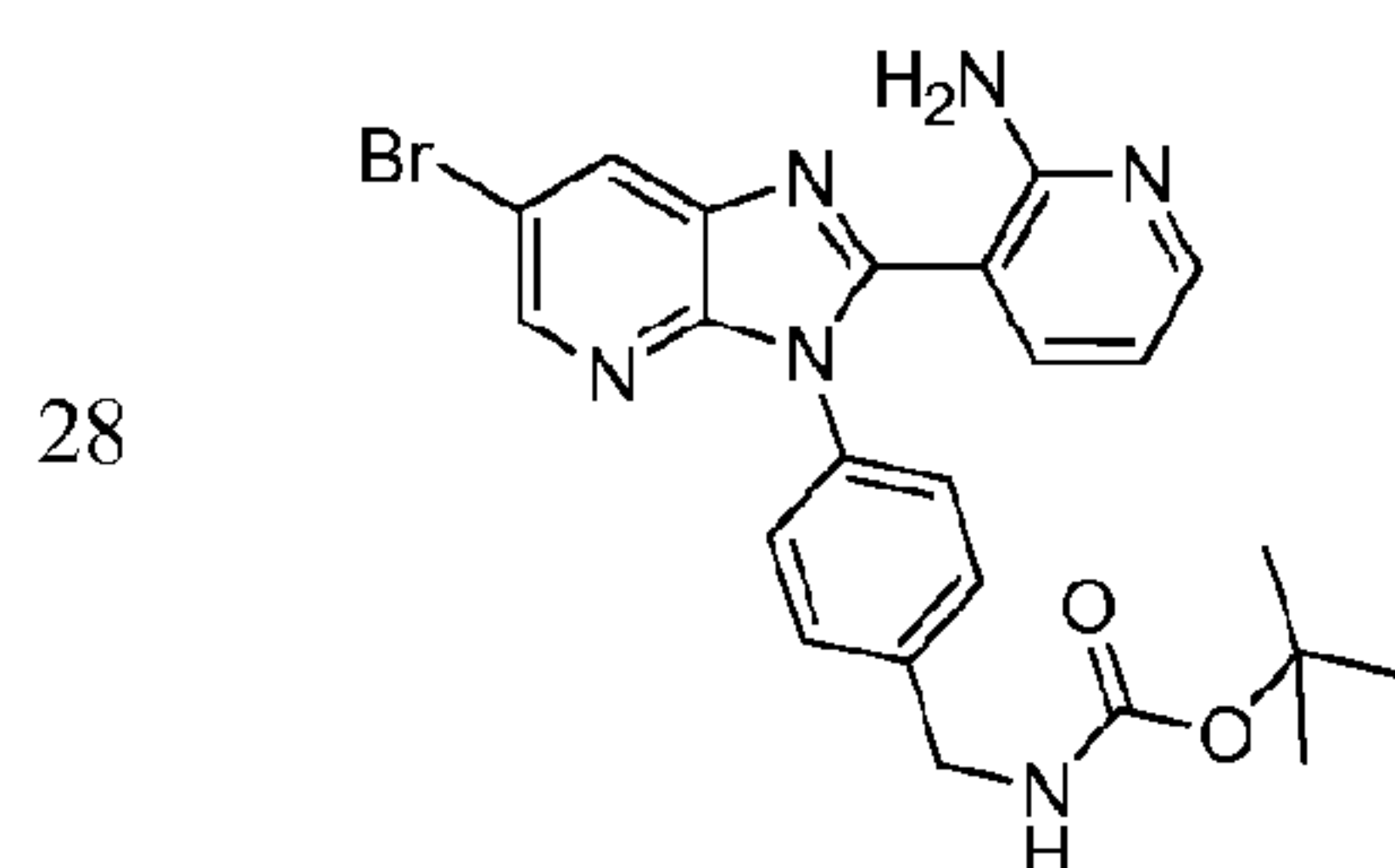
3-[3-(4-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine



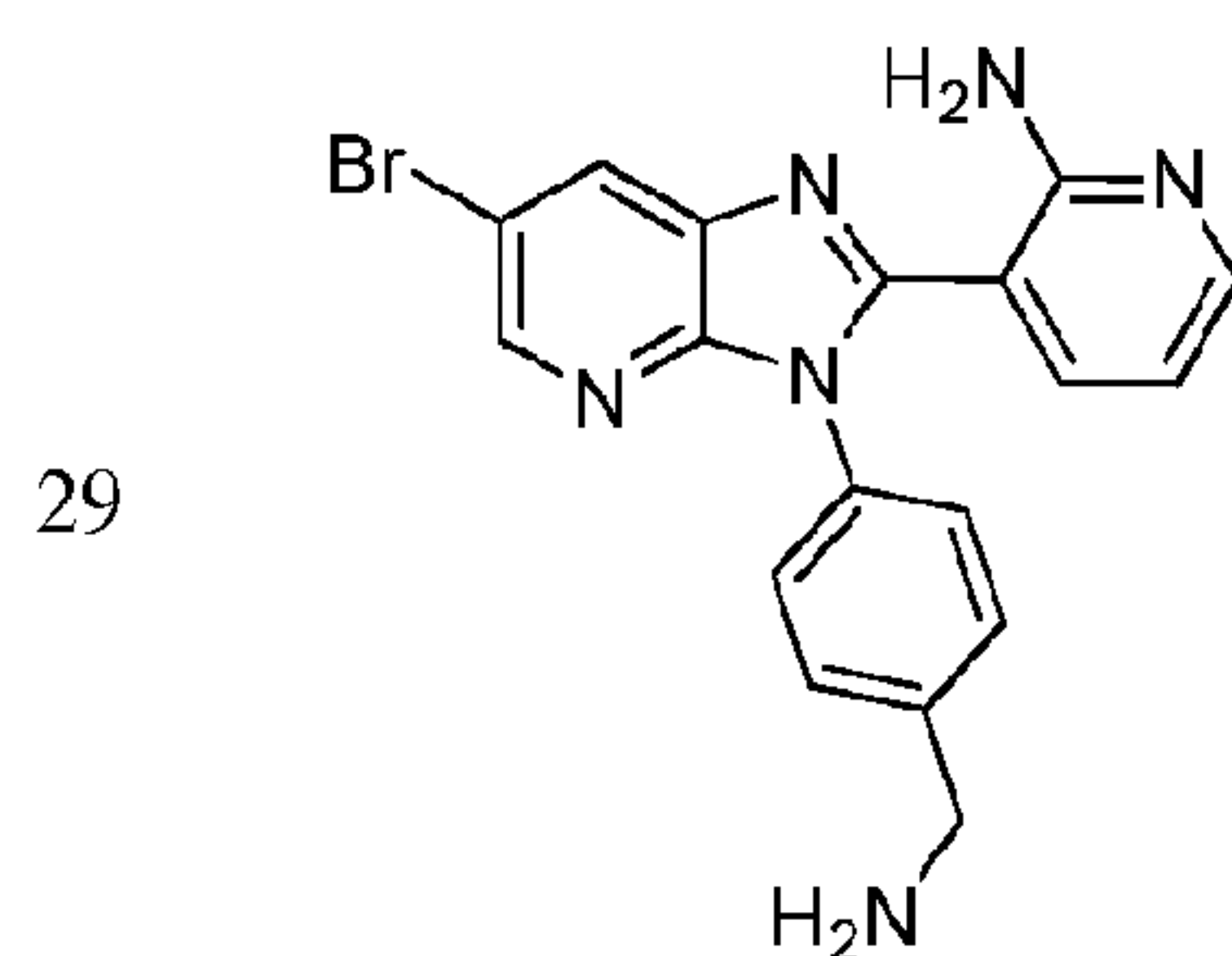
2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-pyridin-3-ylacetamide



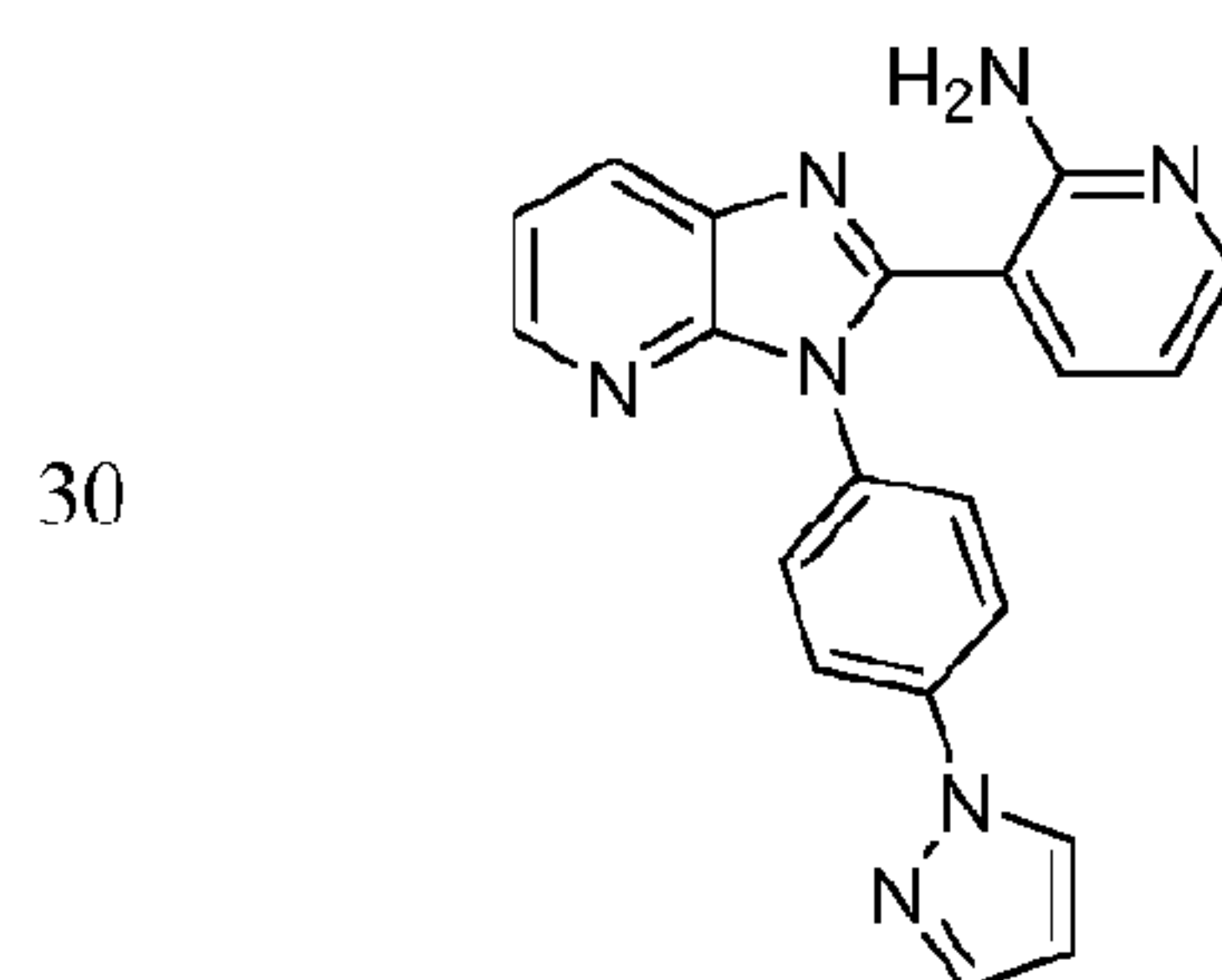
3-[6-bromo-3-(4-tert-butylphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine



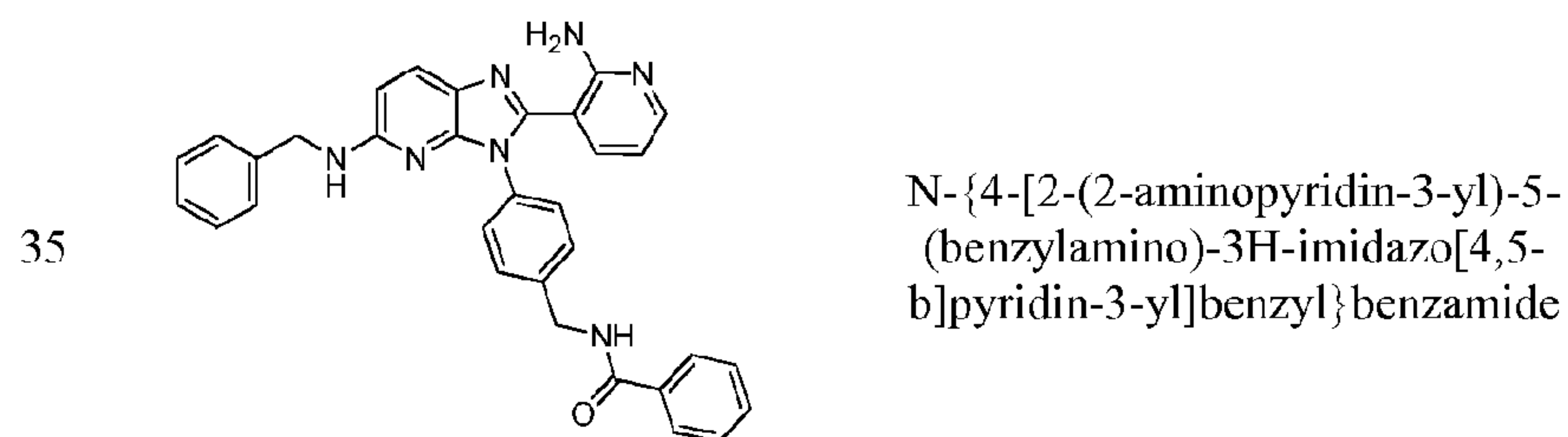
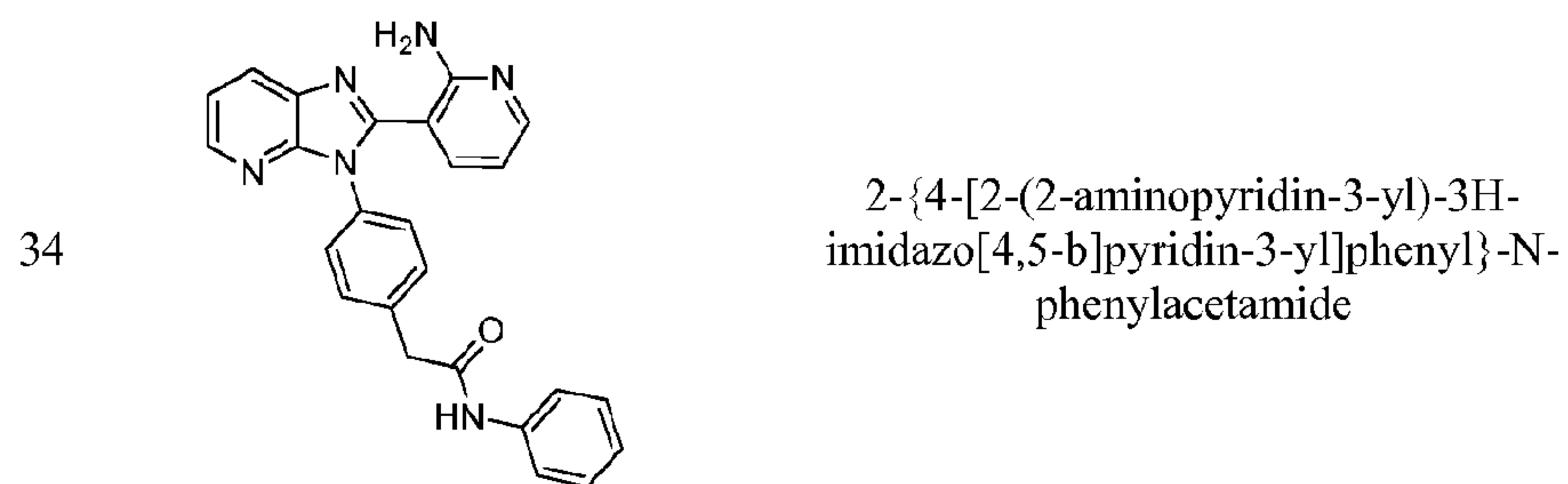
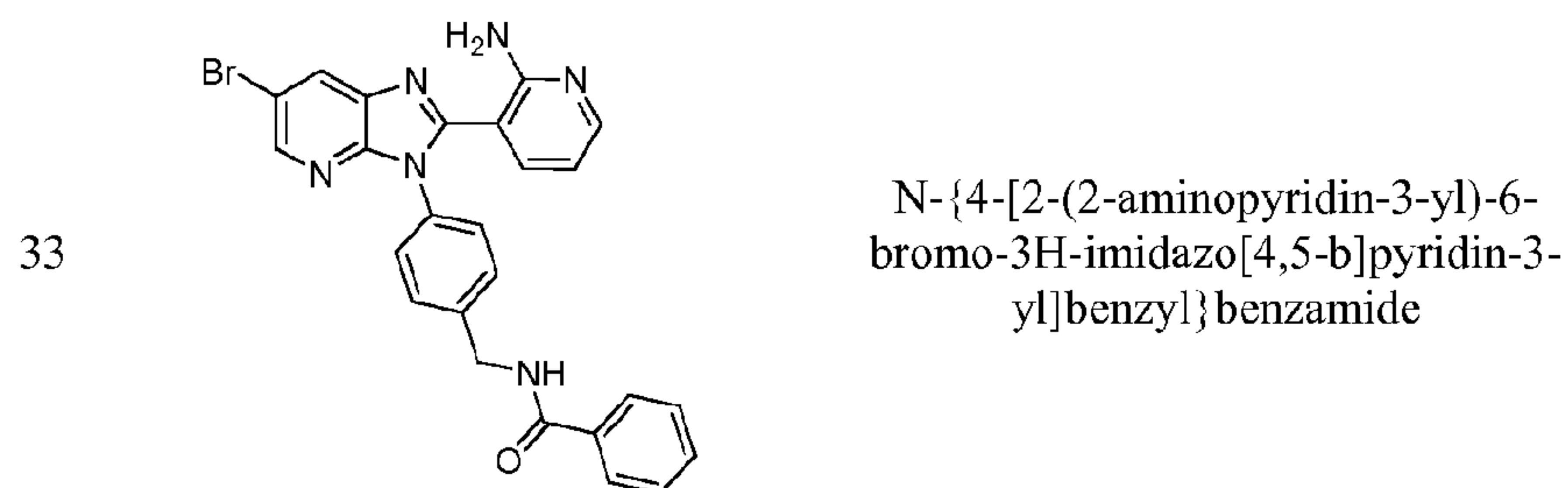
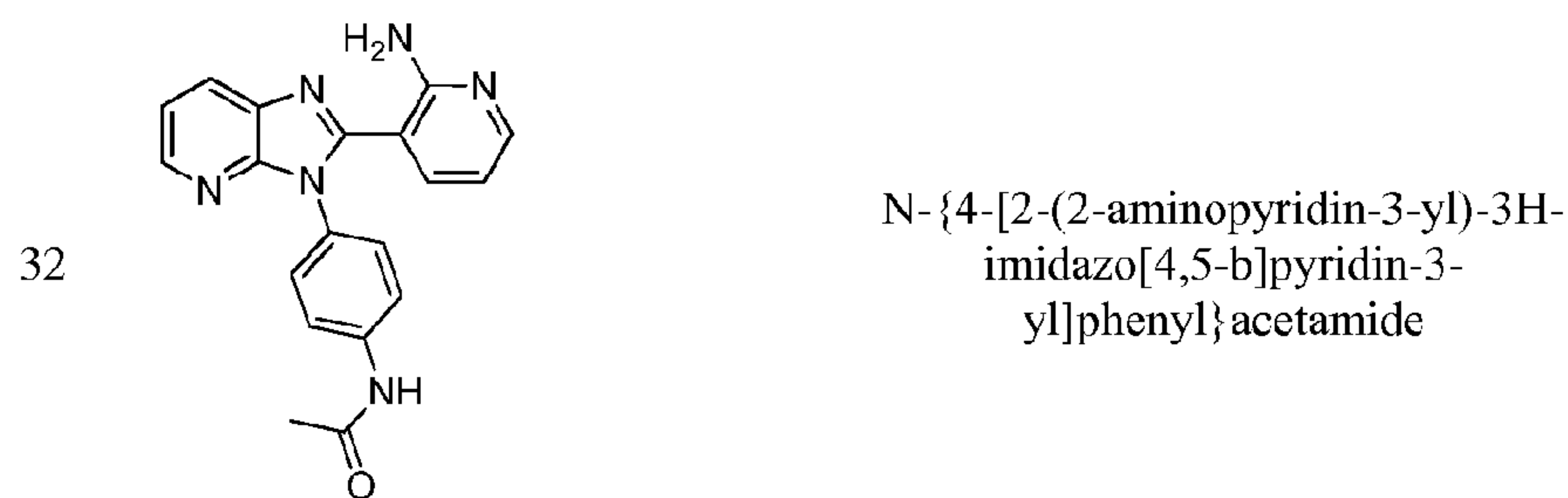
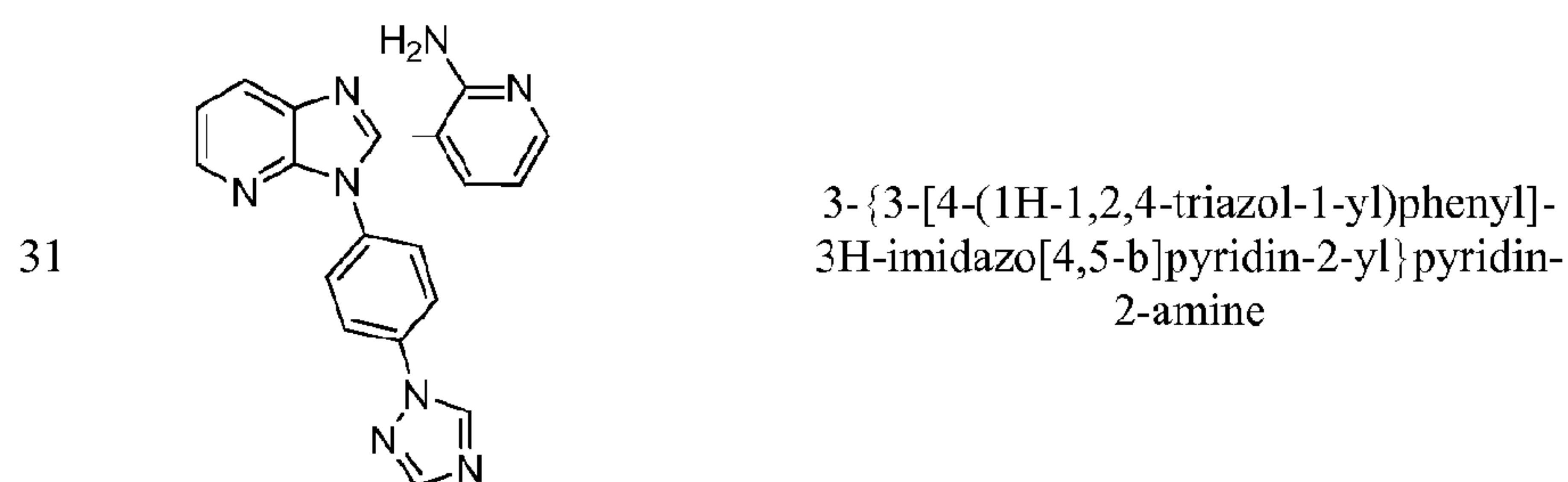
tert-butyl {4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl} carbamate

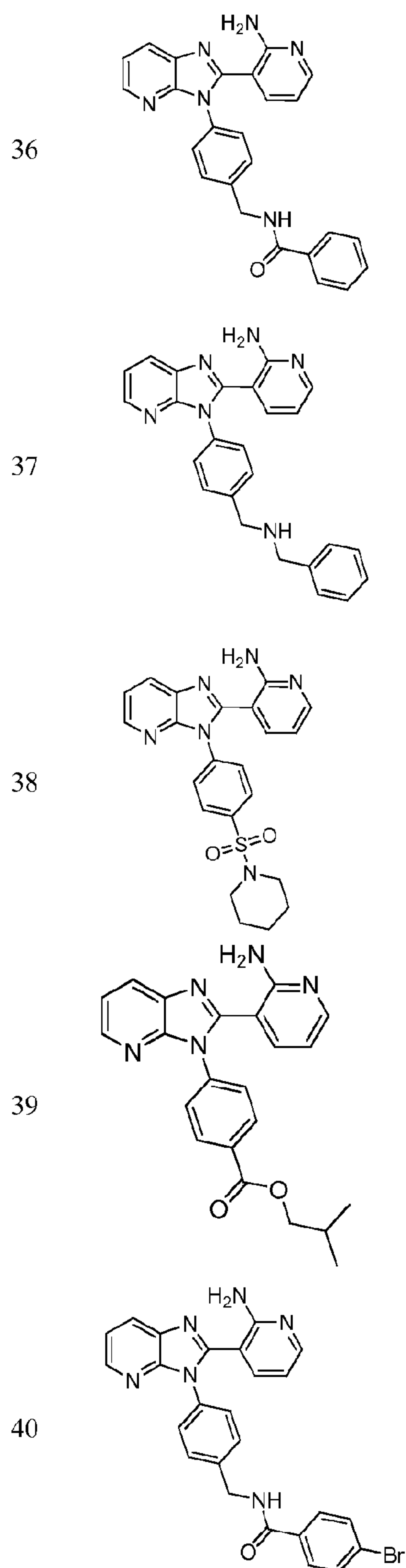


3-{3-[4-(aminomethyl)phenyl]-6-bromo-3H-imidazo[4,5-b]pyridin-2-yl} pyridin-2-amine



3-{3-[4-(1H-pyrazol-1-yl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl} pyridin-2-amine





N-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide

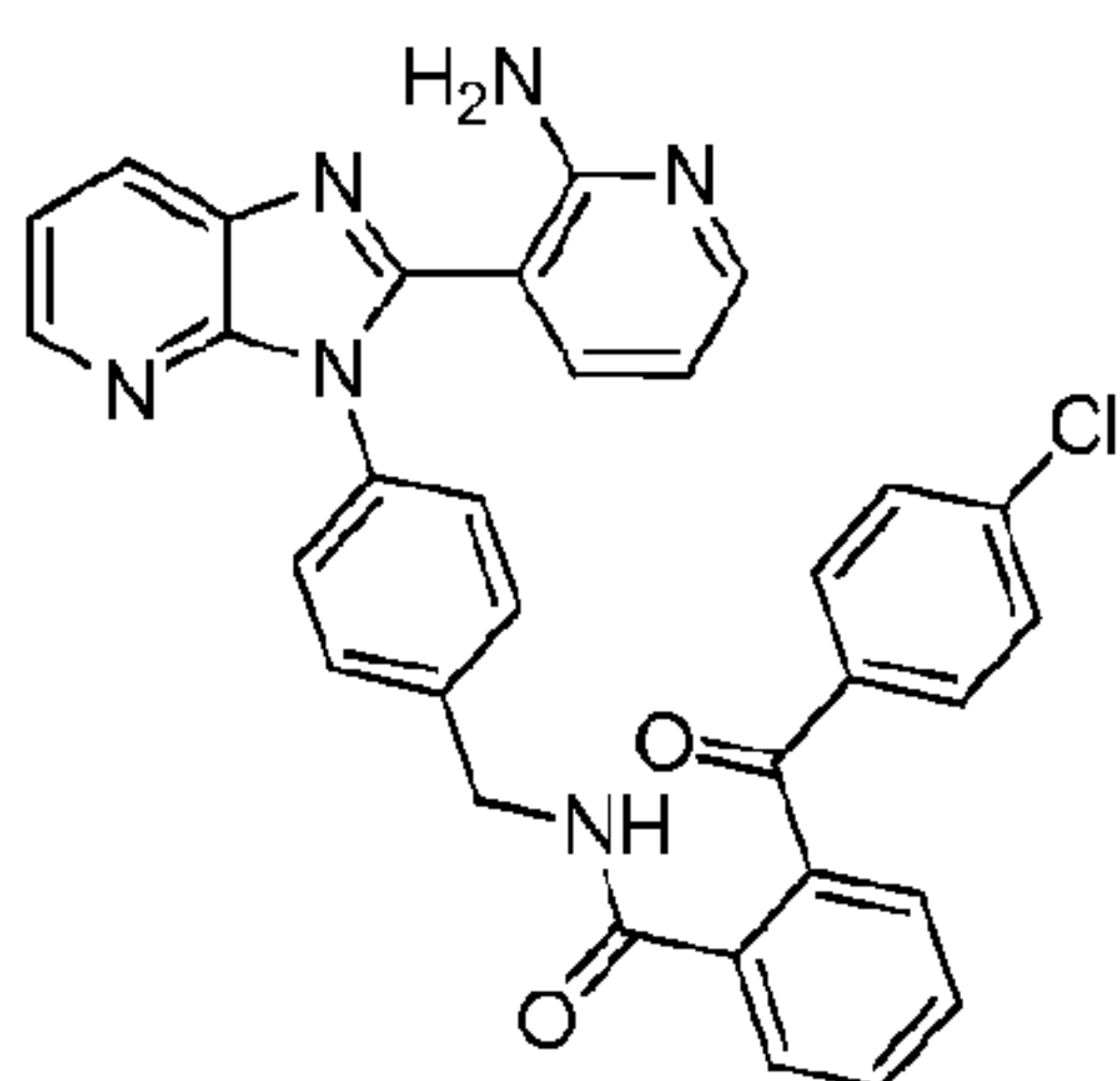
3-(3-{4-[(benzylamino)methyl]phenyl}-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

3-{3-[4-(piperidin-1-ylsulfonyl)phenyl]-3H-imidazo[4,5-b]pyridin-2-yl}pyridin-2-amine

isobutyl 4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzoate

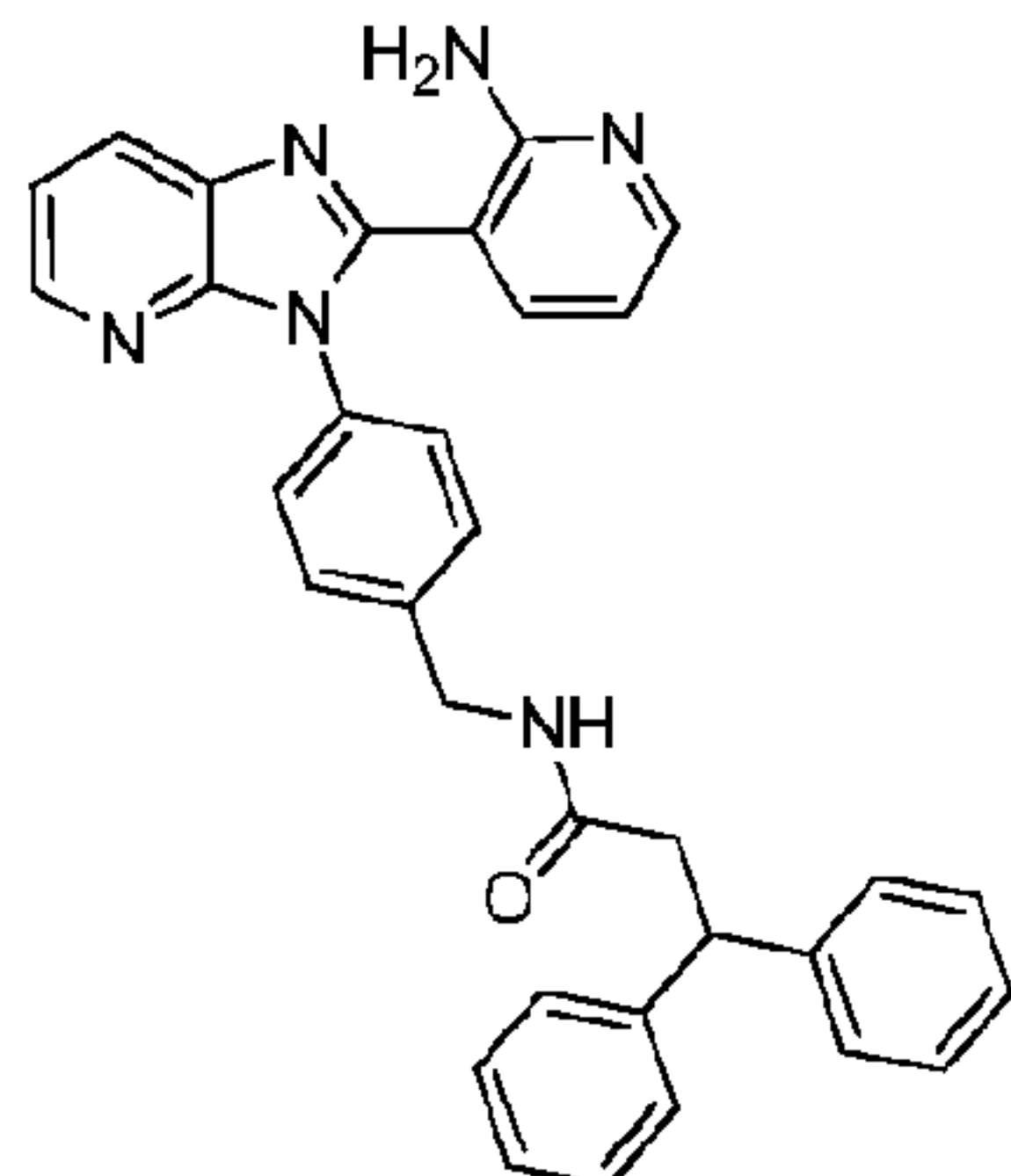
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-bromobenzamide

41



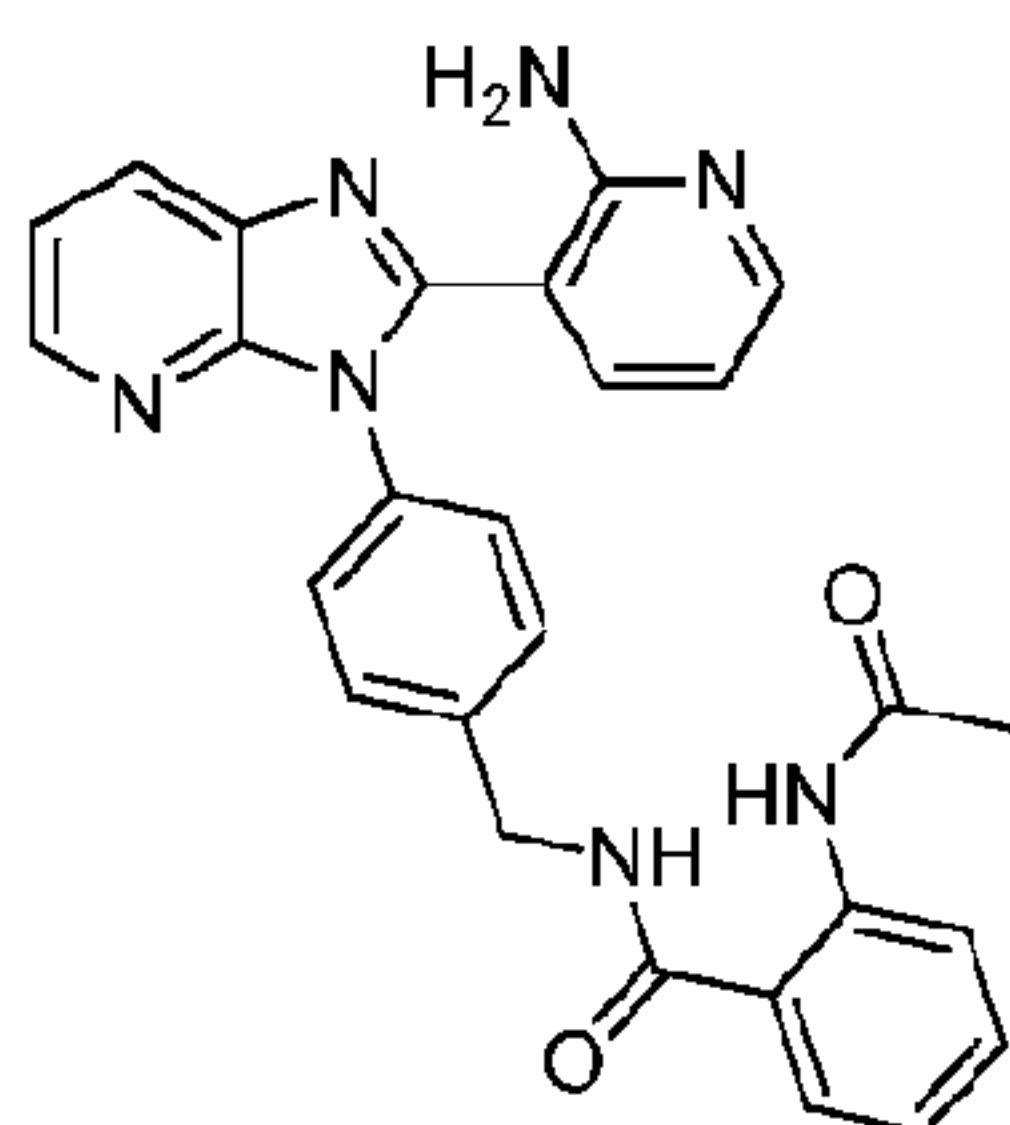
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(4-chlorobenzoyl)benzamide

42



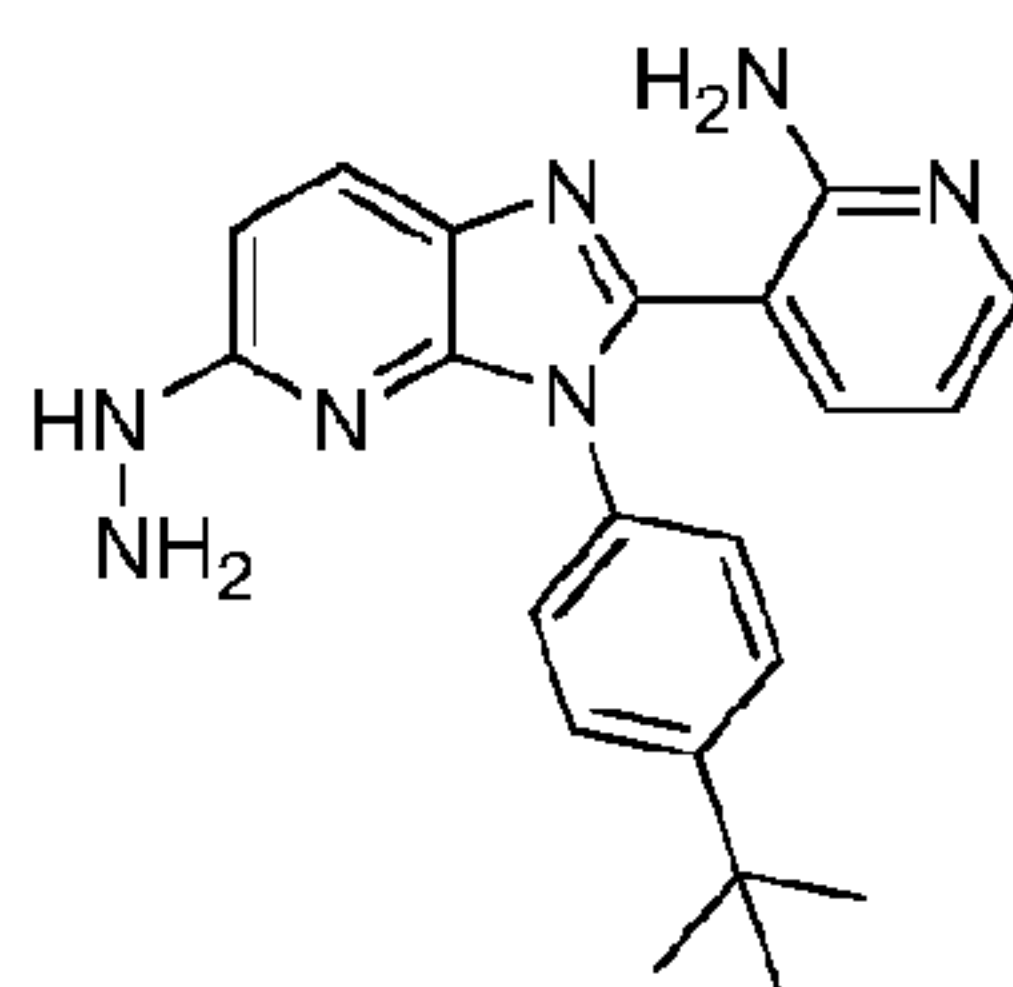
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,3-diphenylpropanamide

43



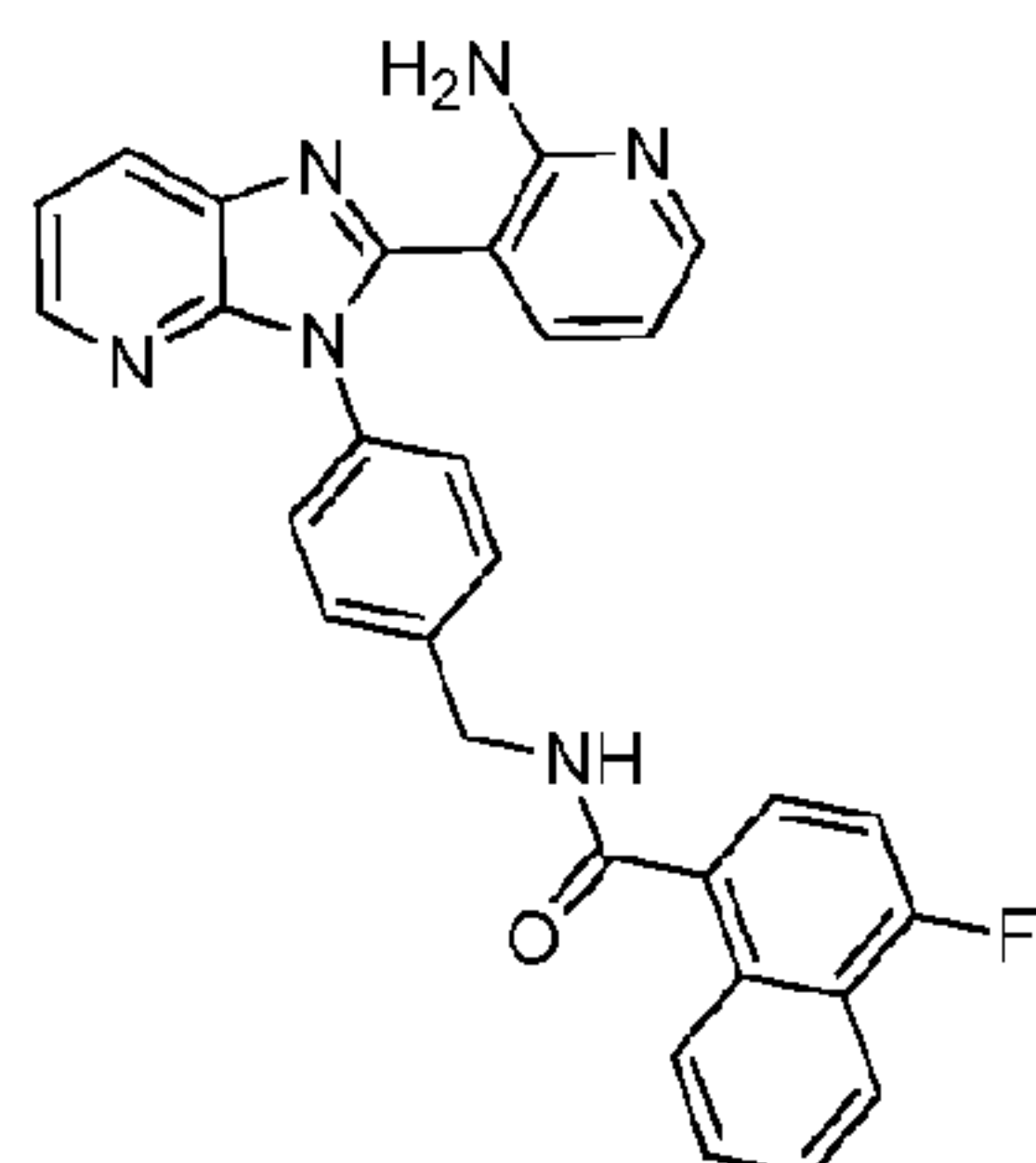
2-acetamido-N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

44

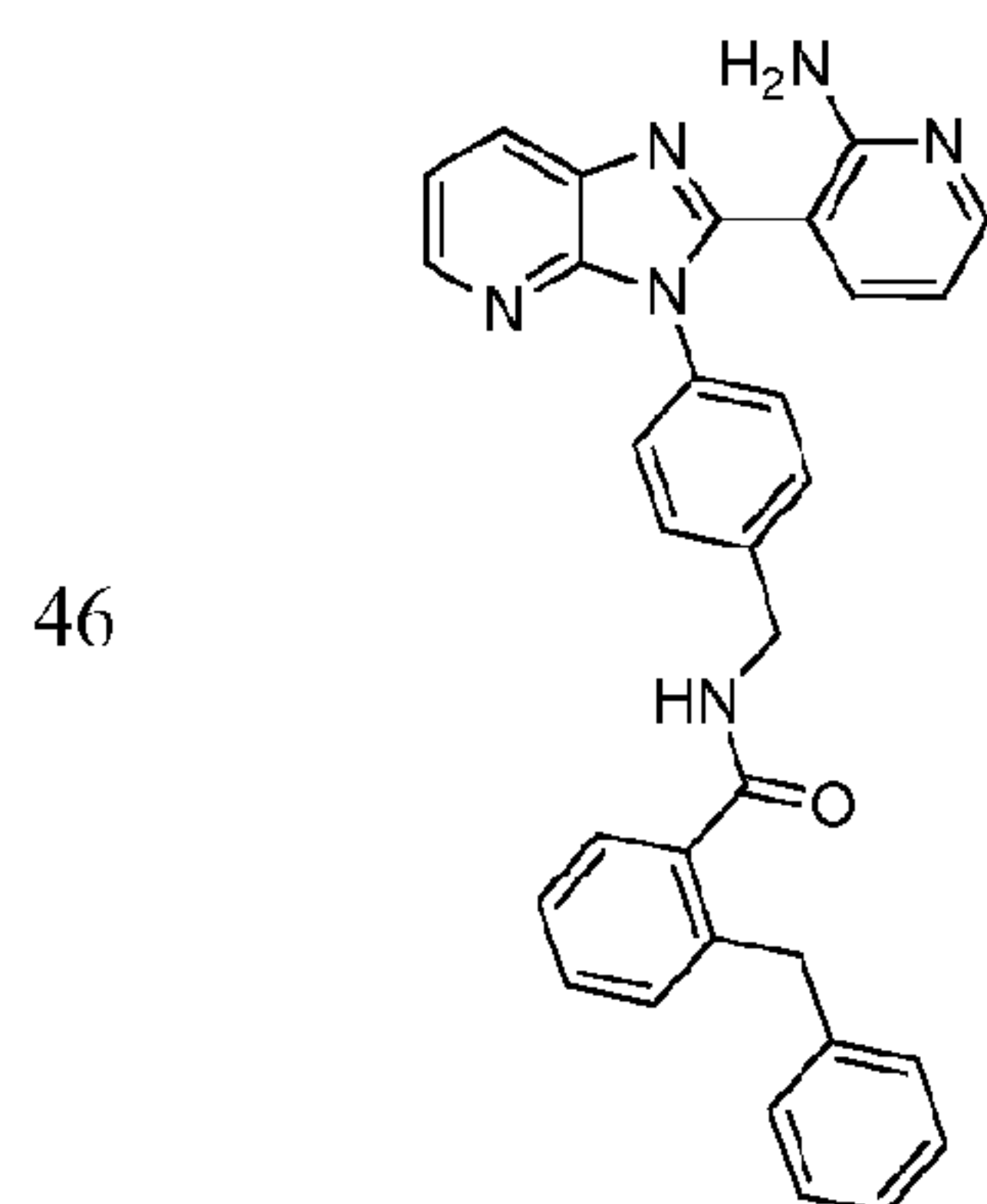


3-[3-(4-tert-butylphenyl)-5-hydrazino-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine

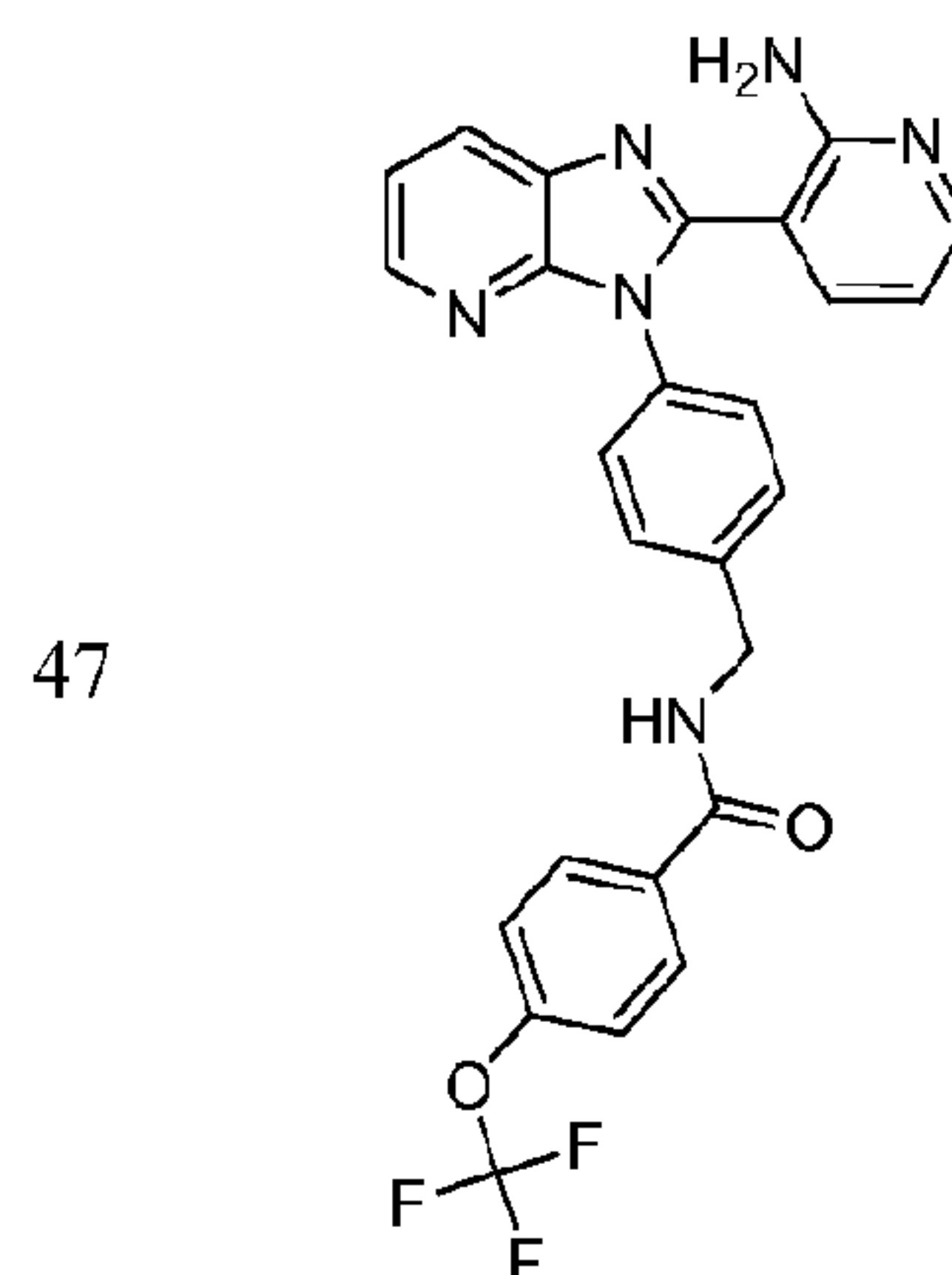
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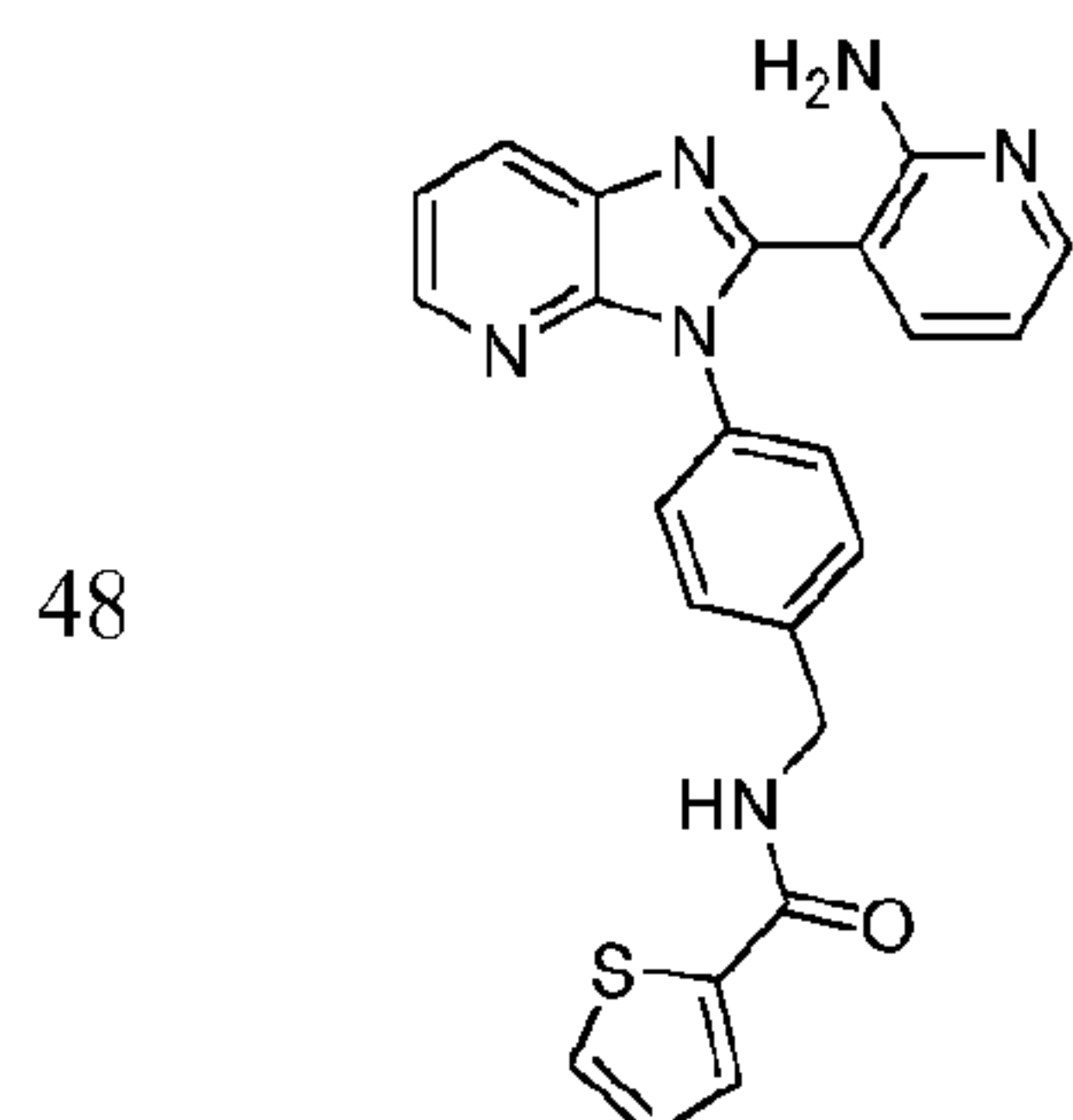
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluoro-1-naphthamide



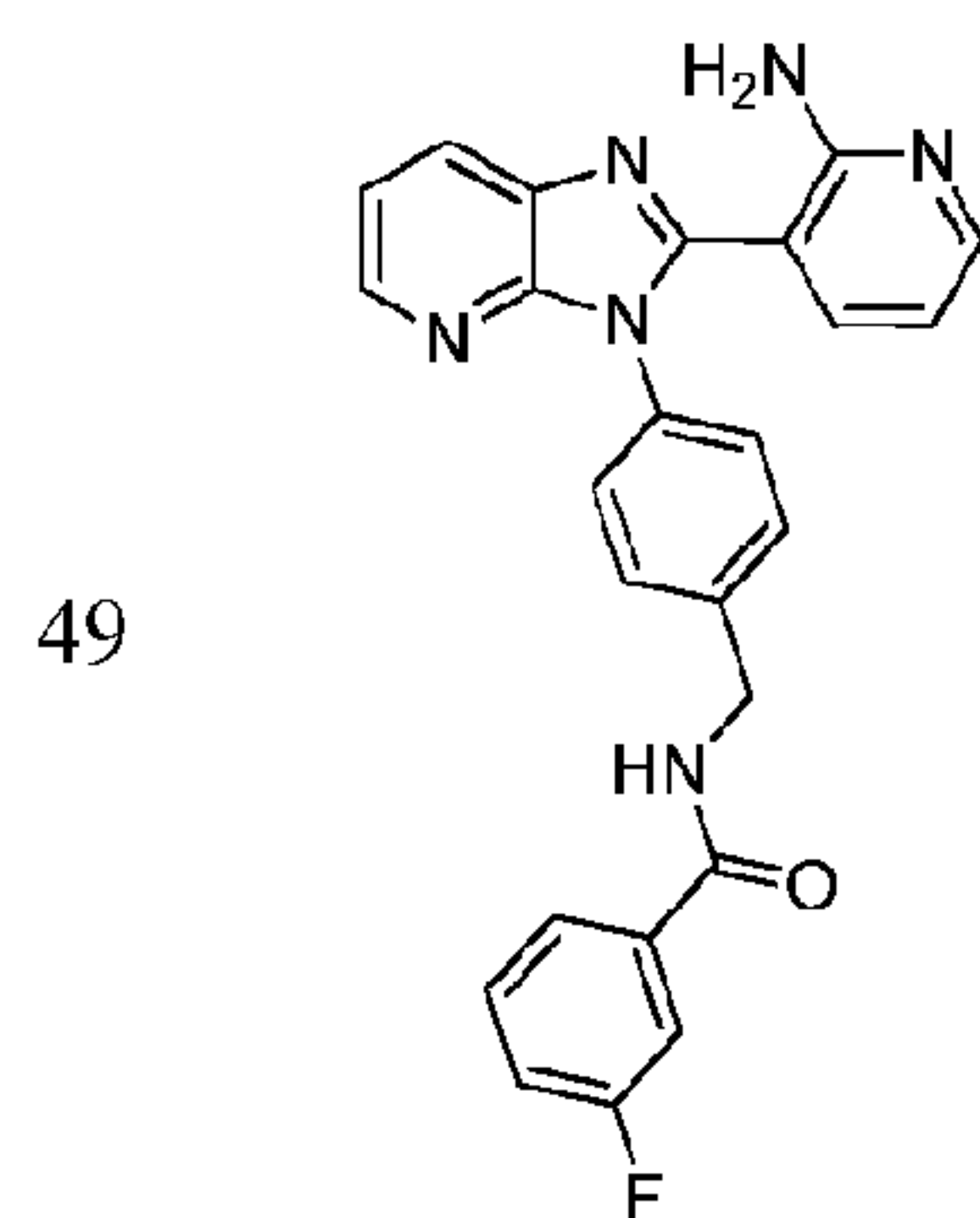
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-benzylbenzamide



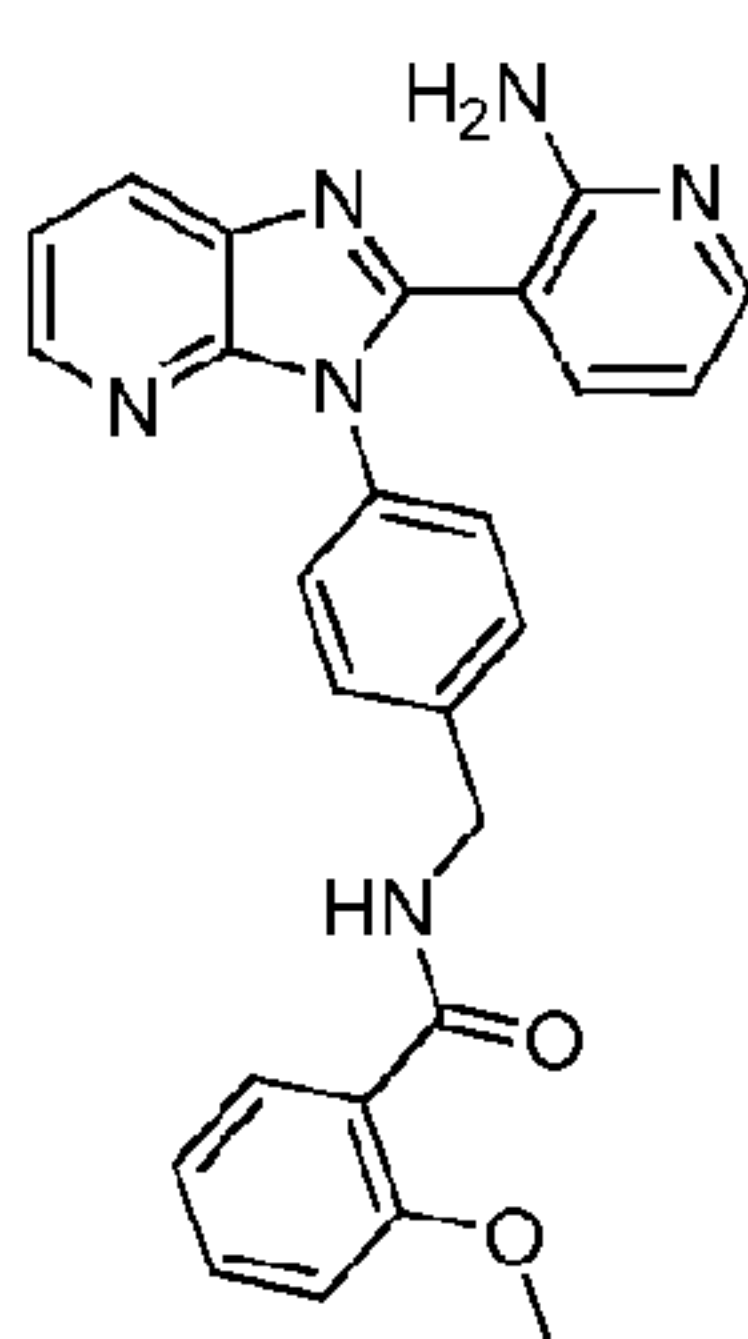
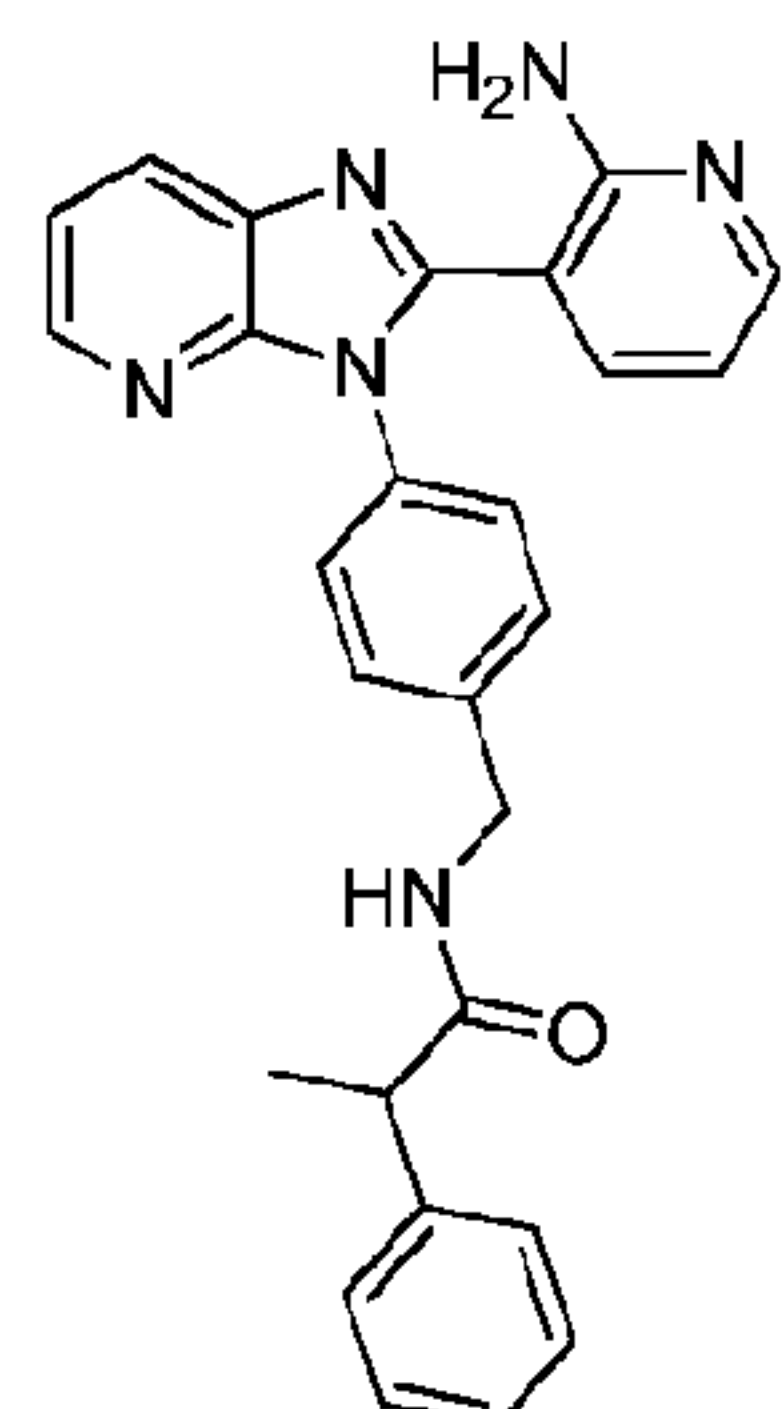
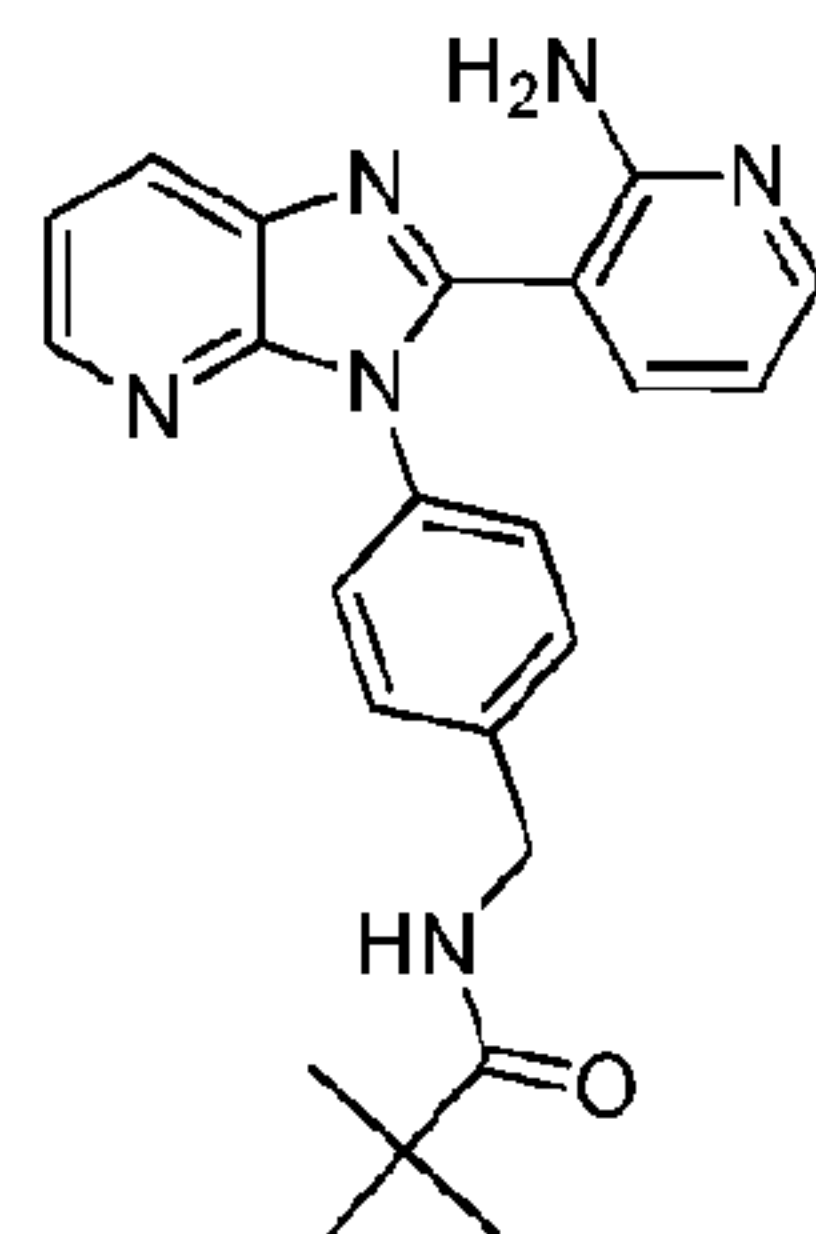
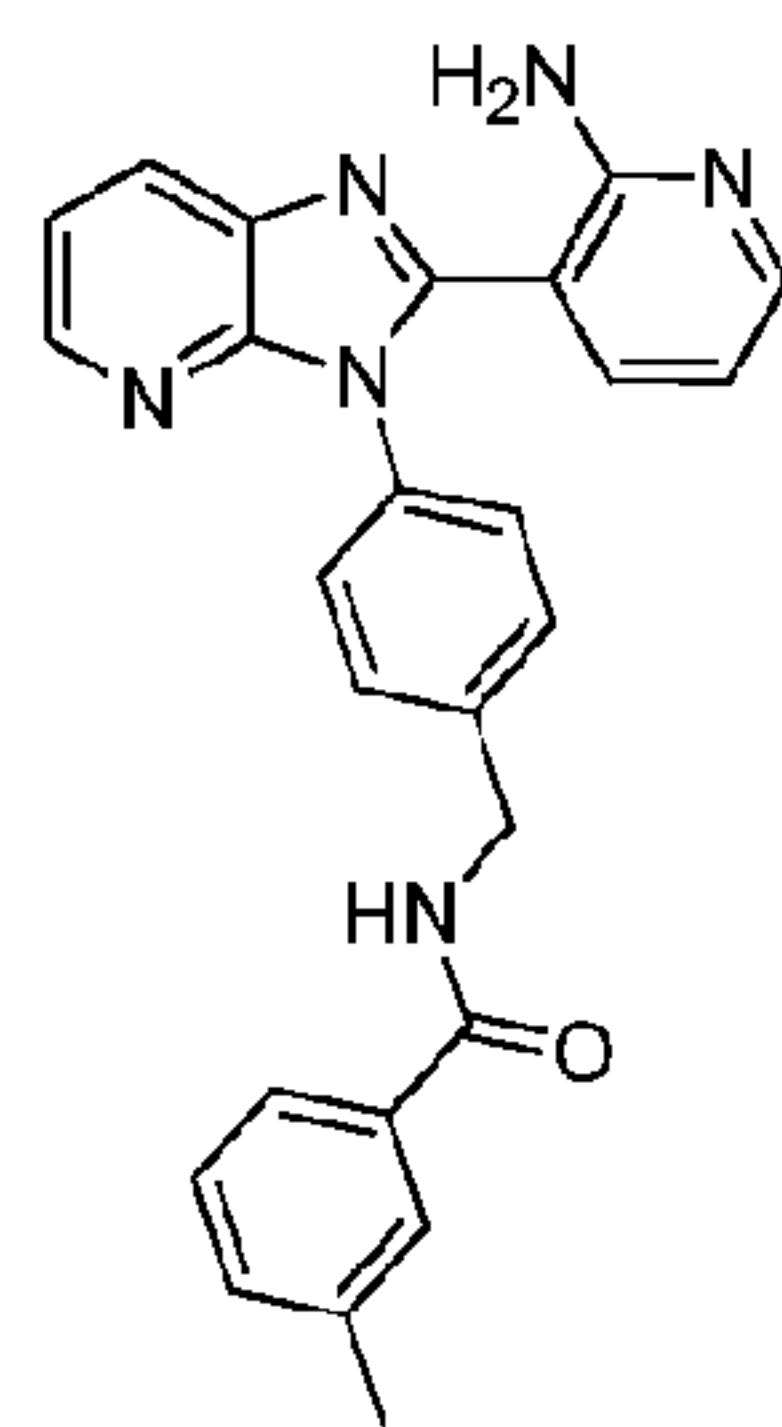
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(trifluoromethoxy)benzamide

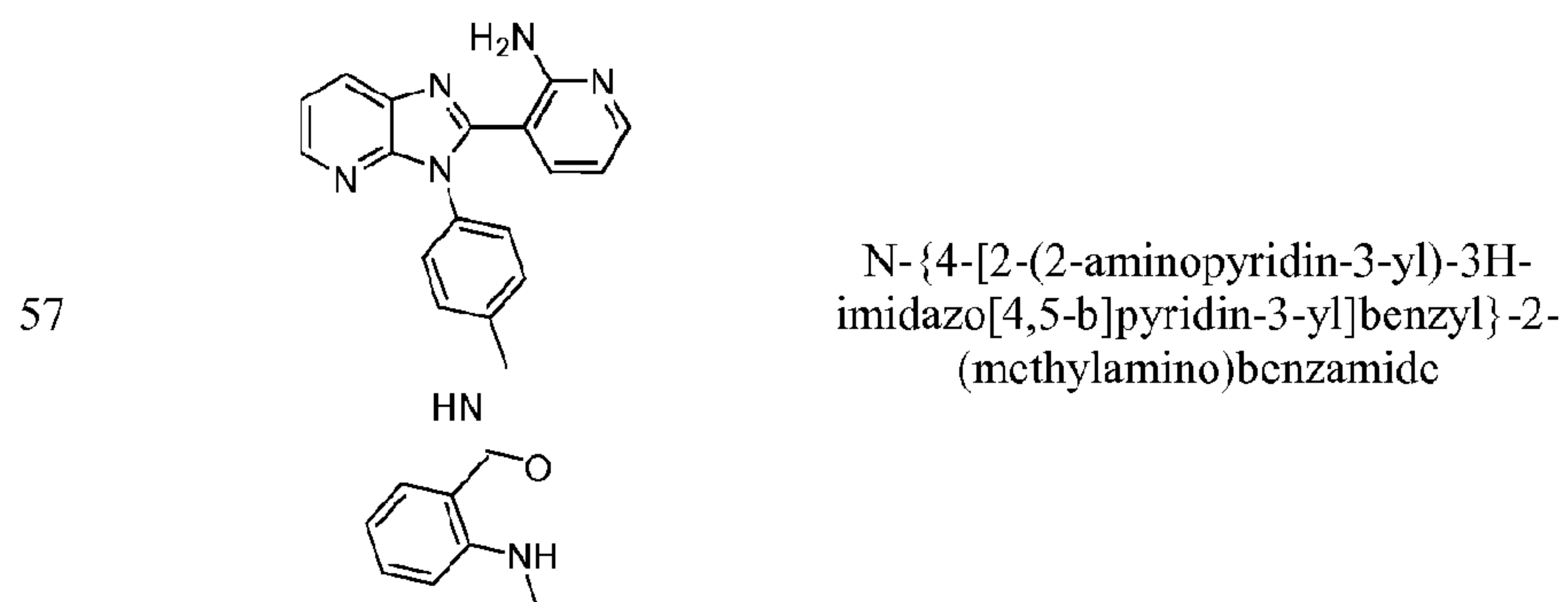
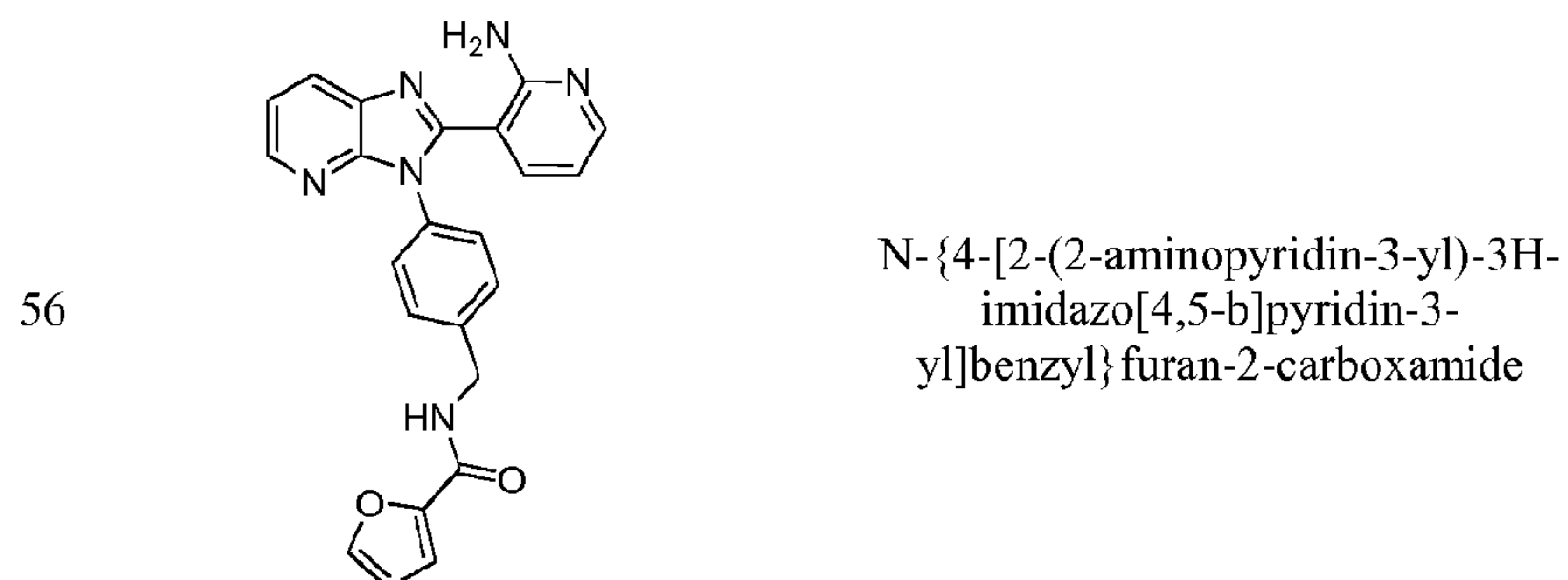
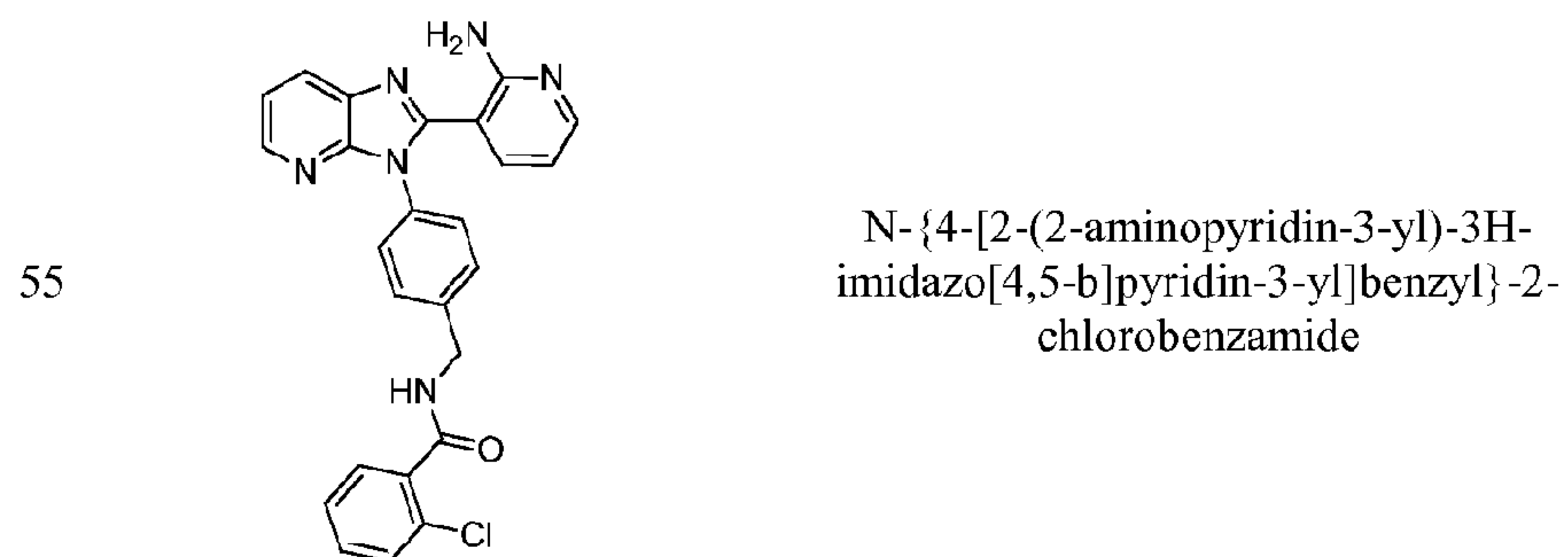
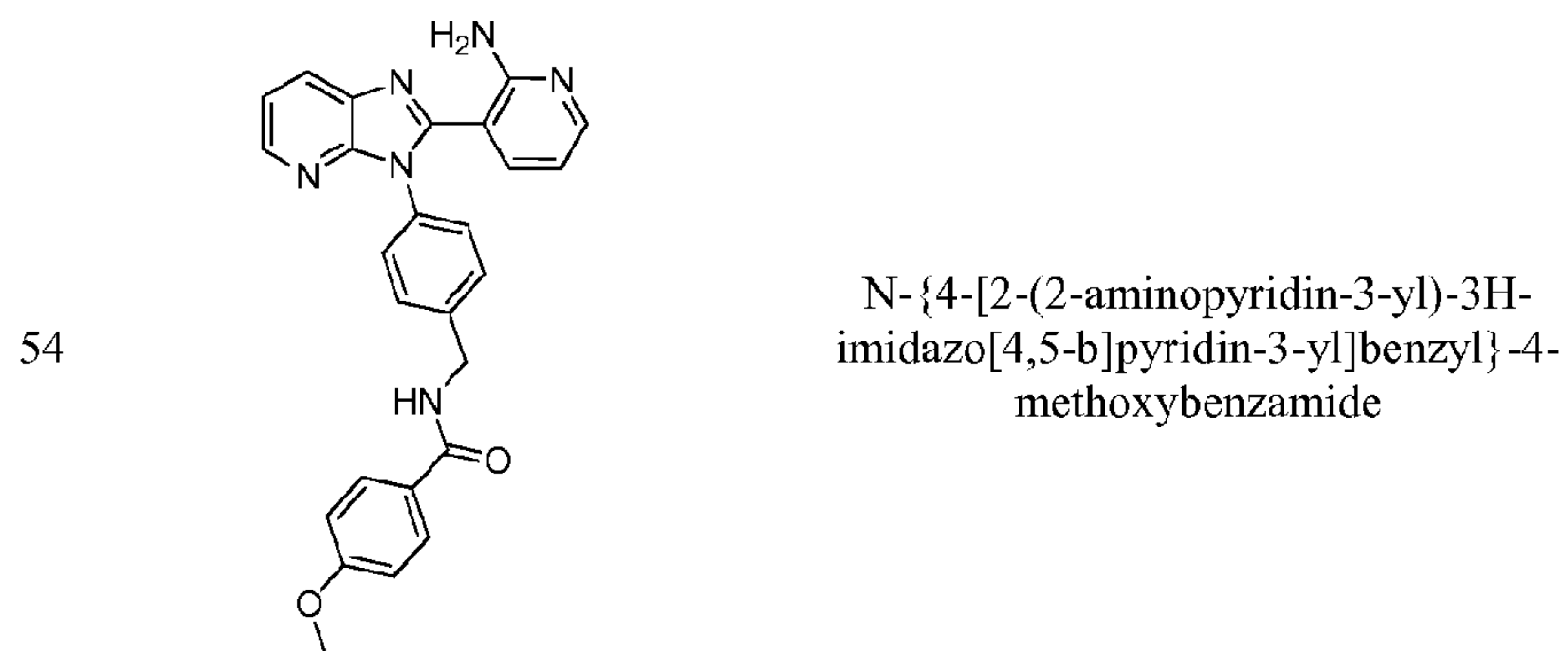


N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-2-carboxamide

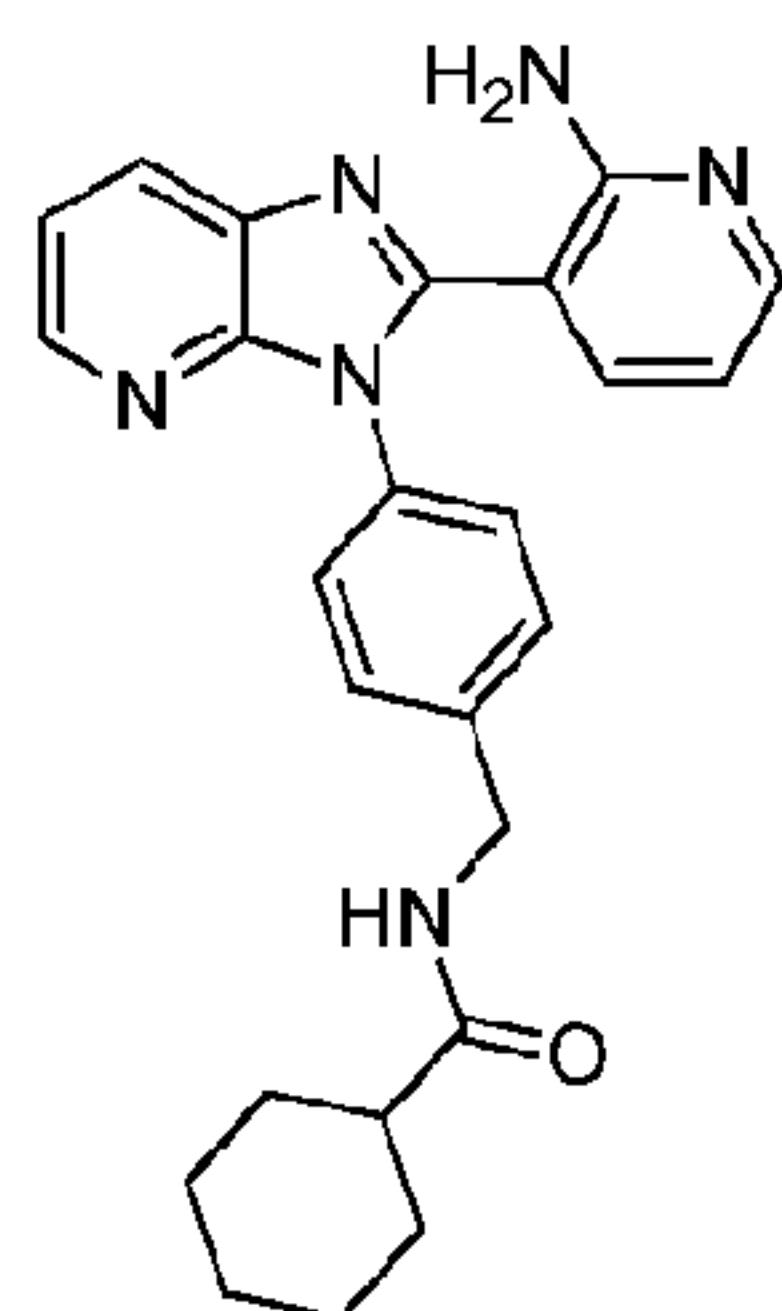


N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzamide

- 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

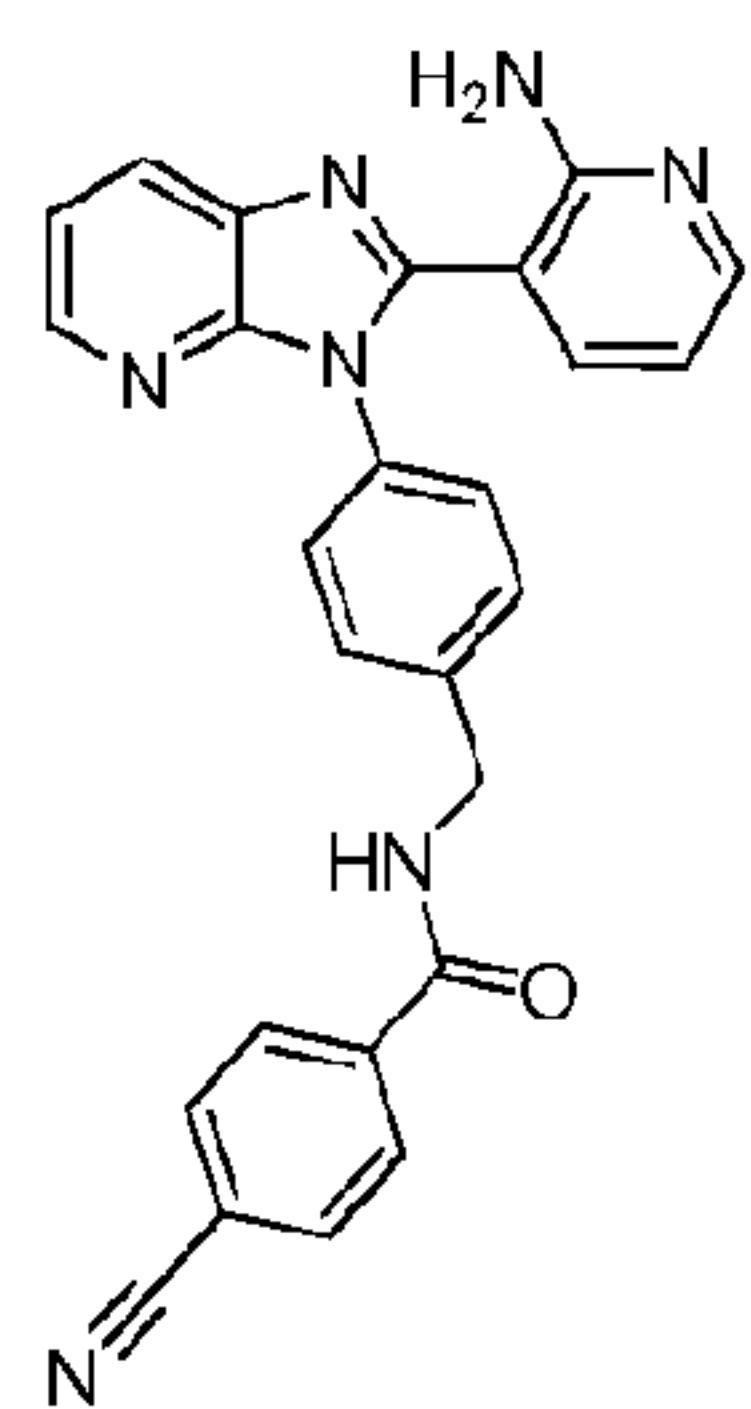


58



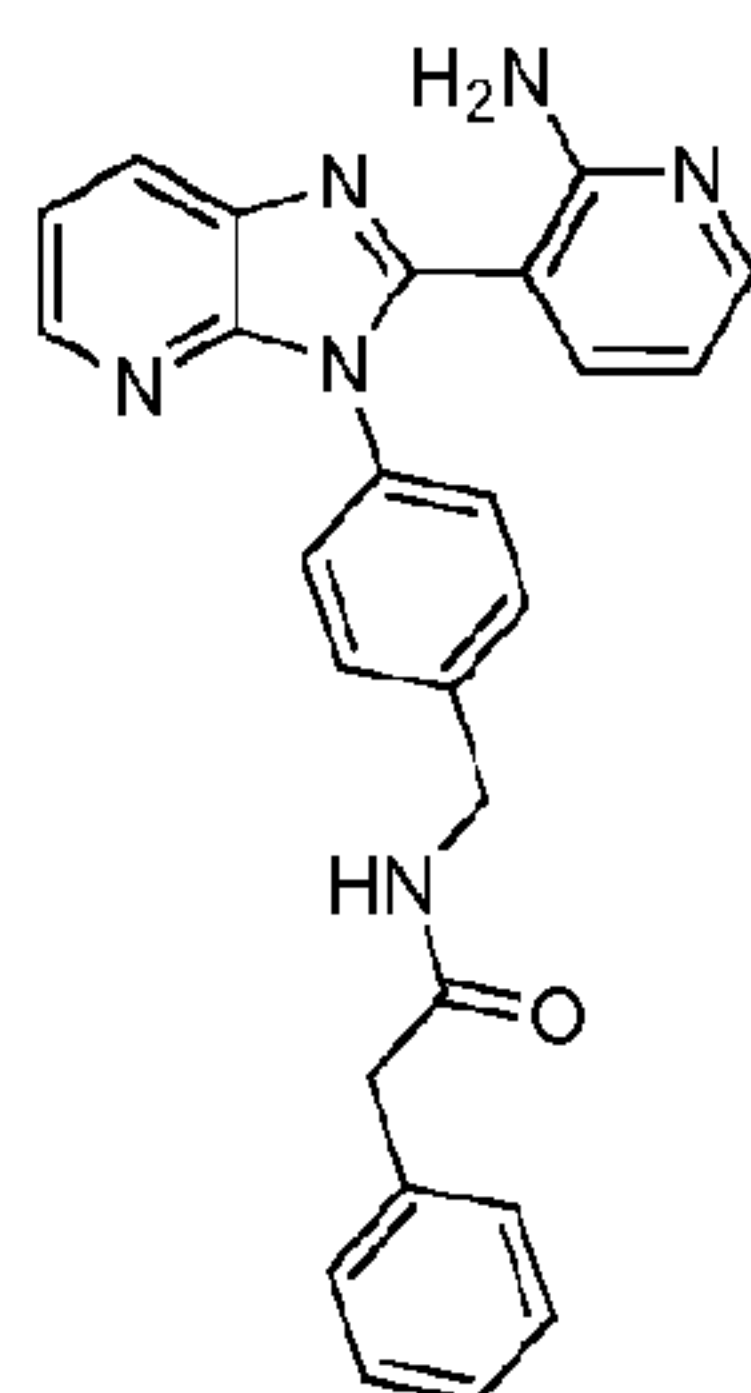
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclohexanecarboxamide

59



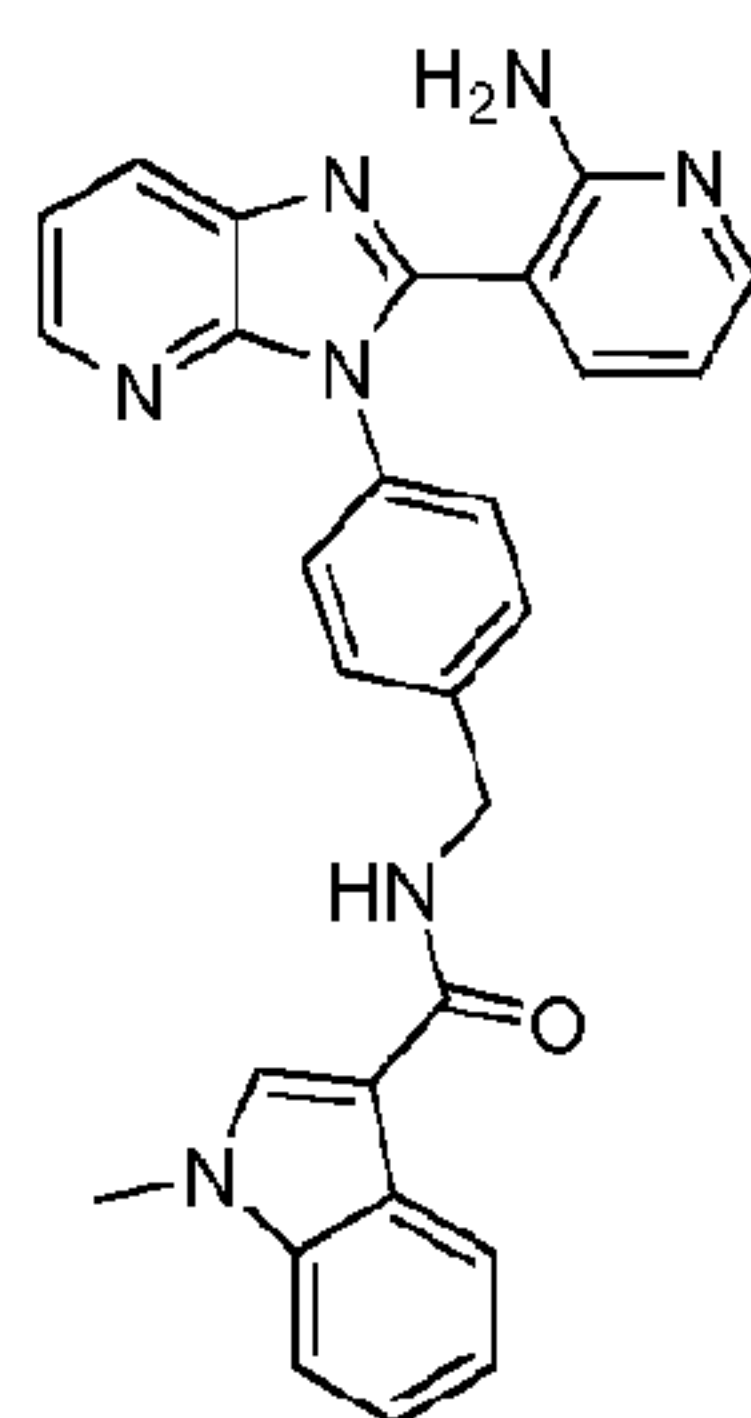
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-cyanobenzamide

60

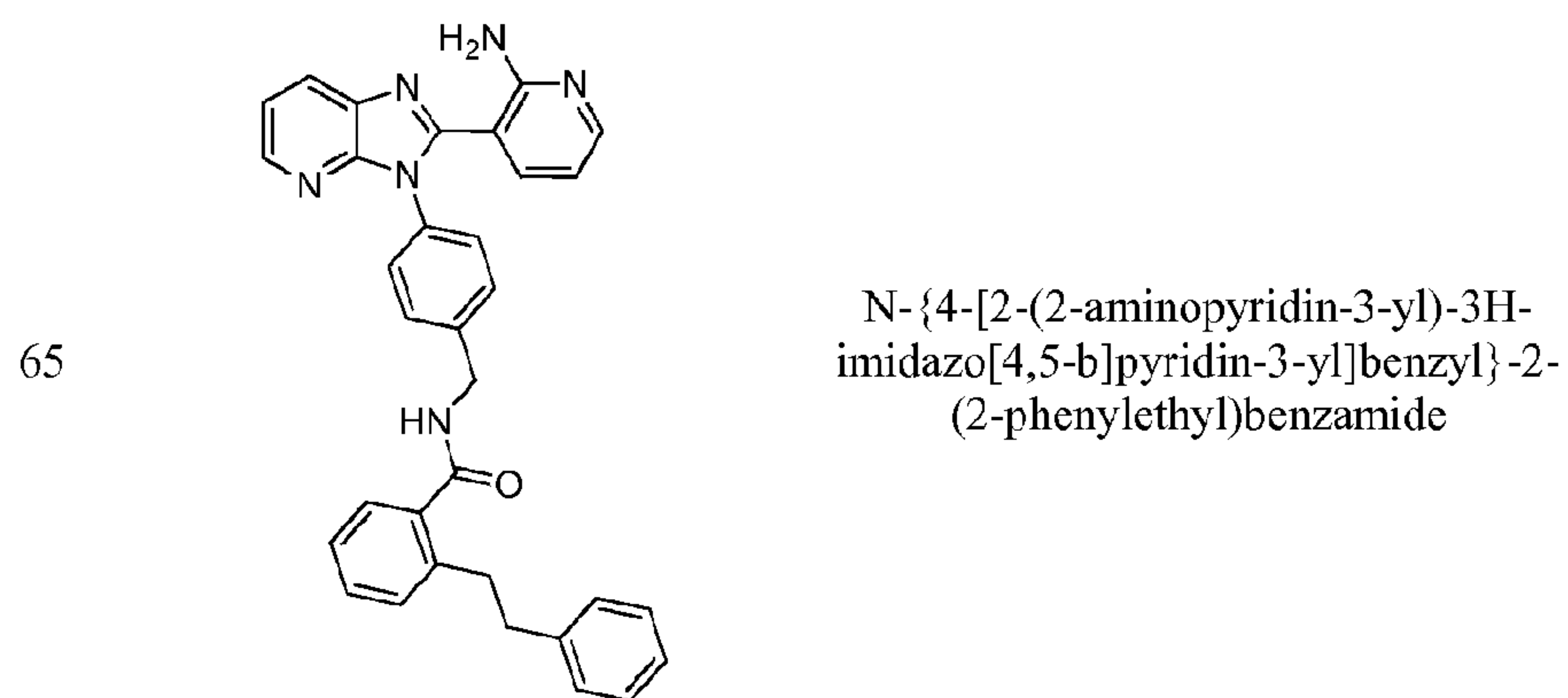
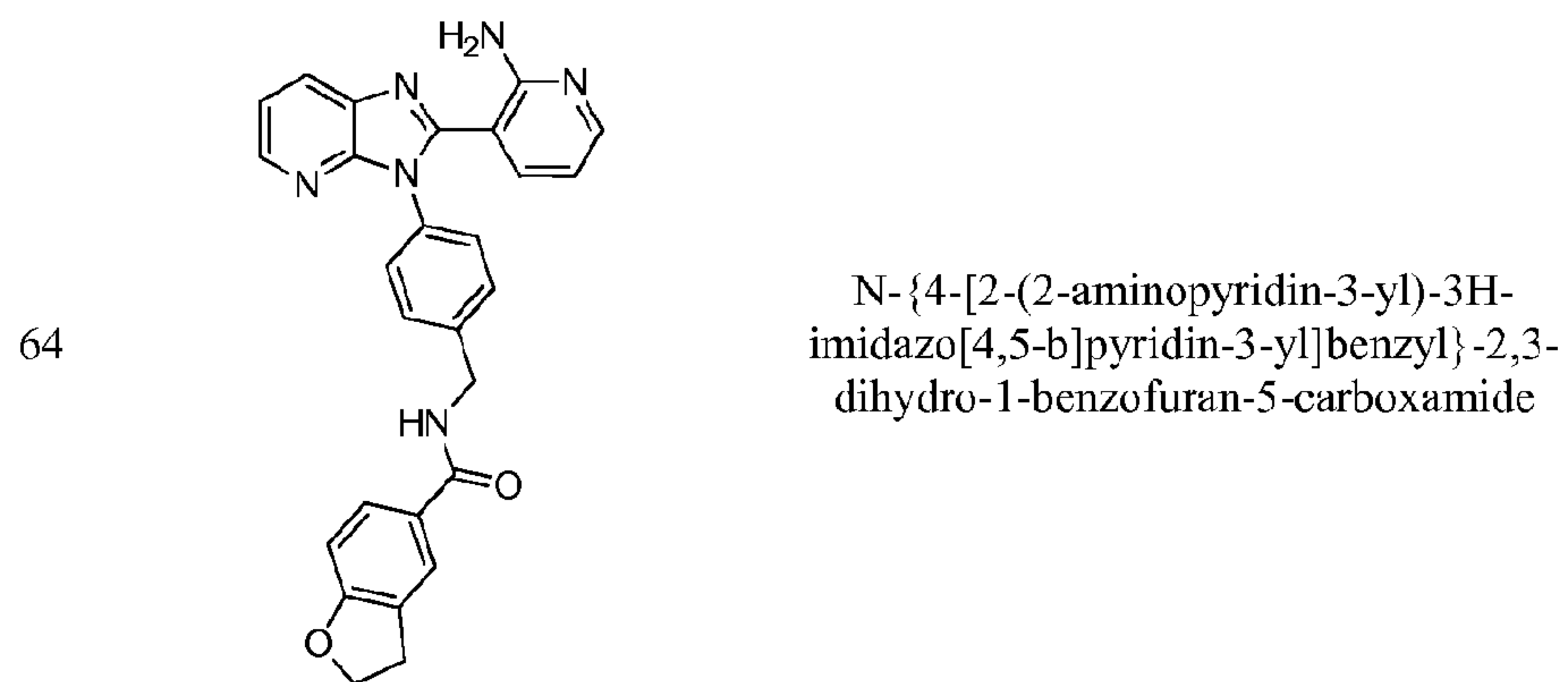
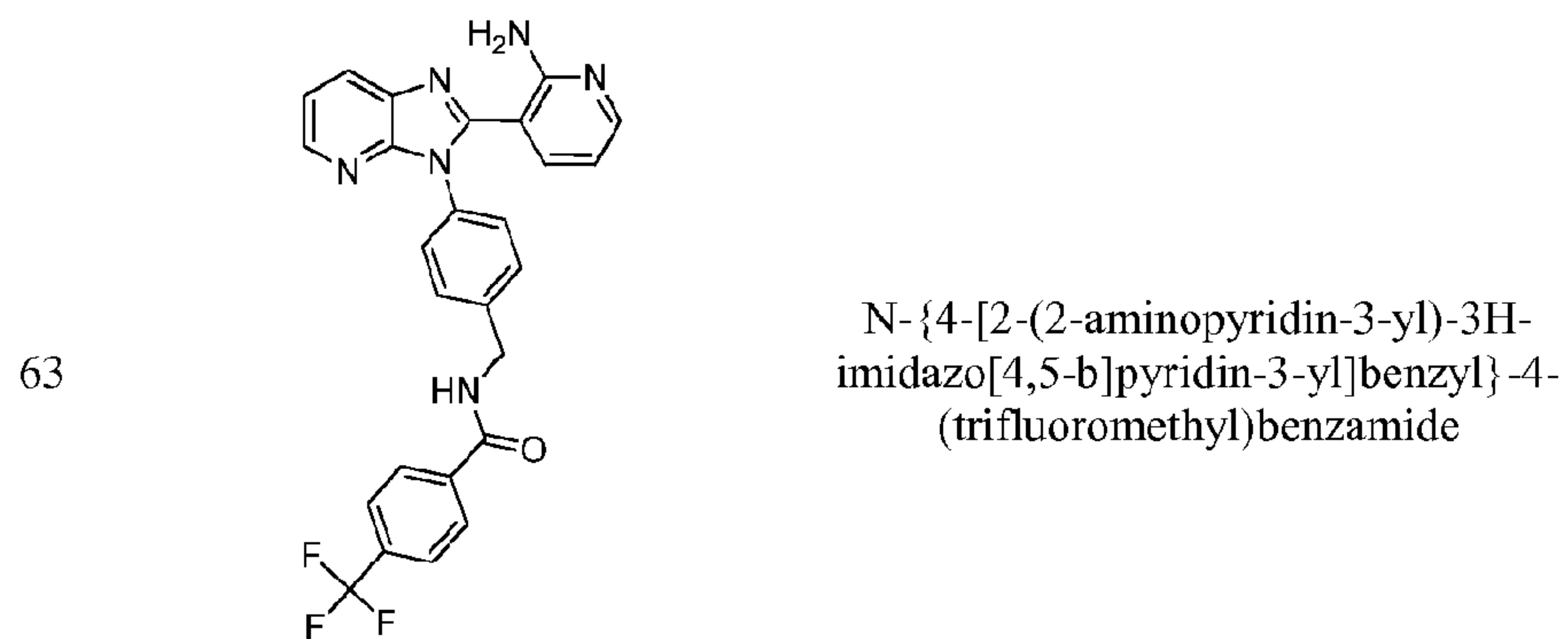
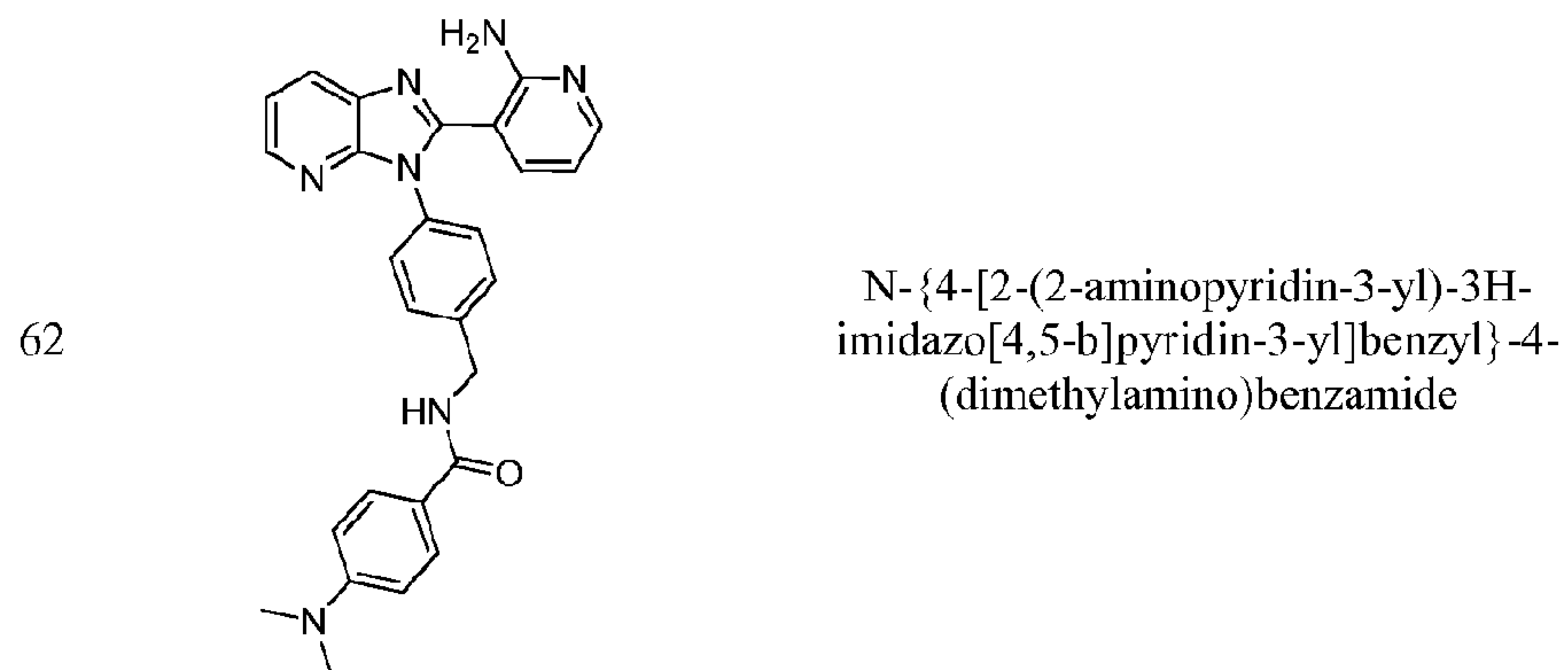


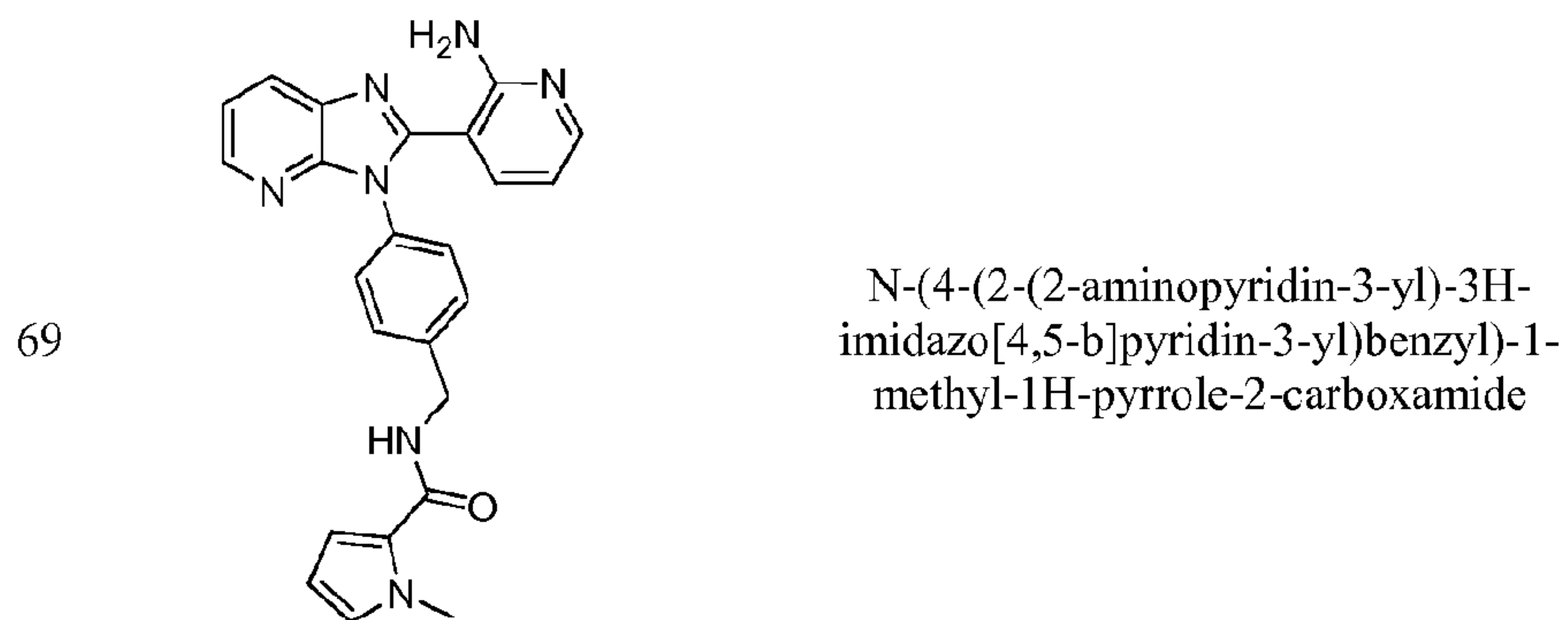
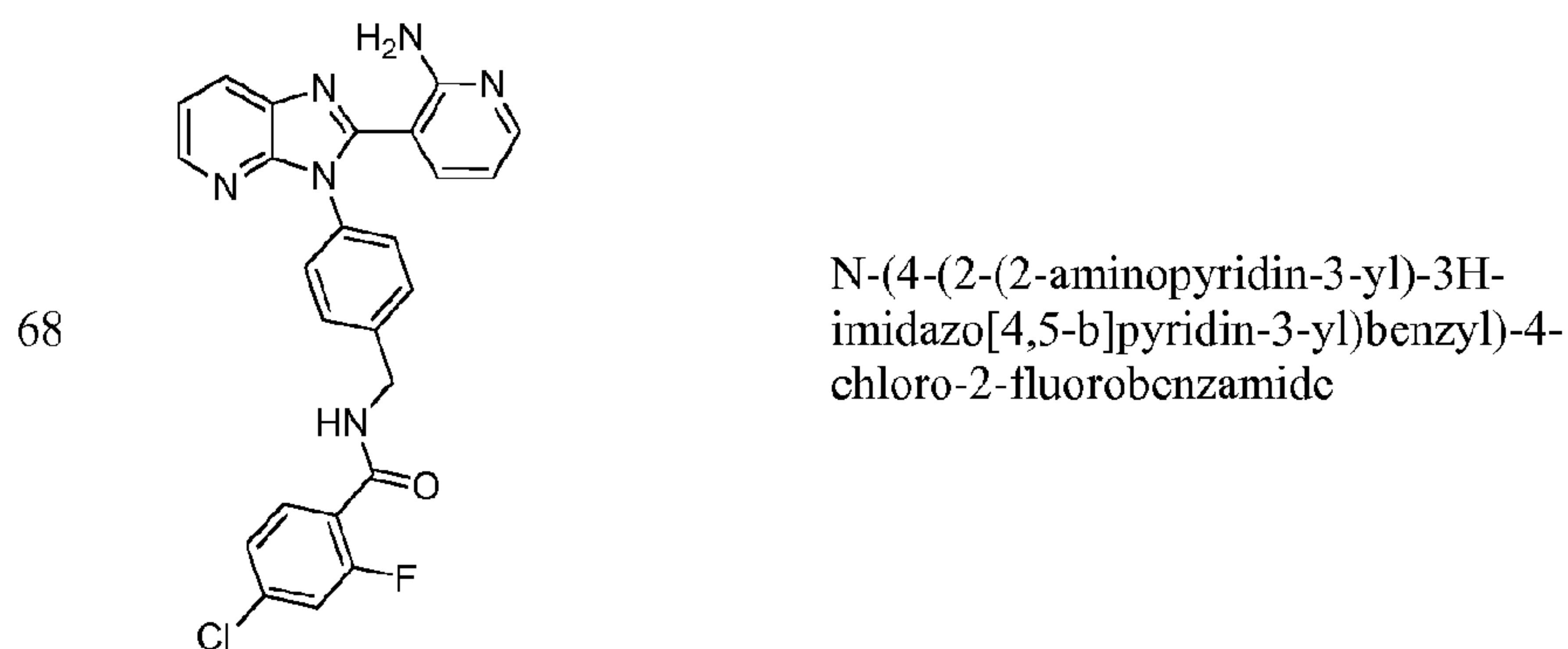
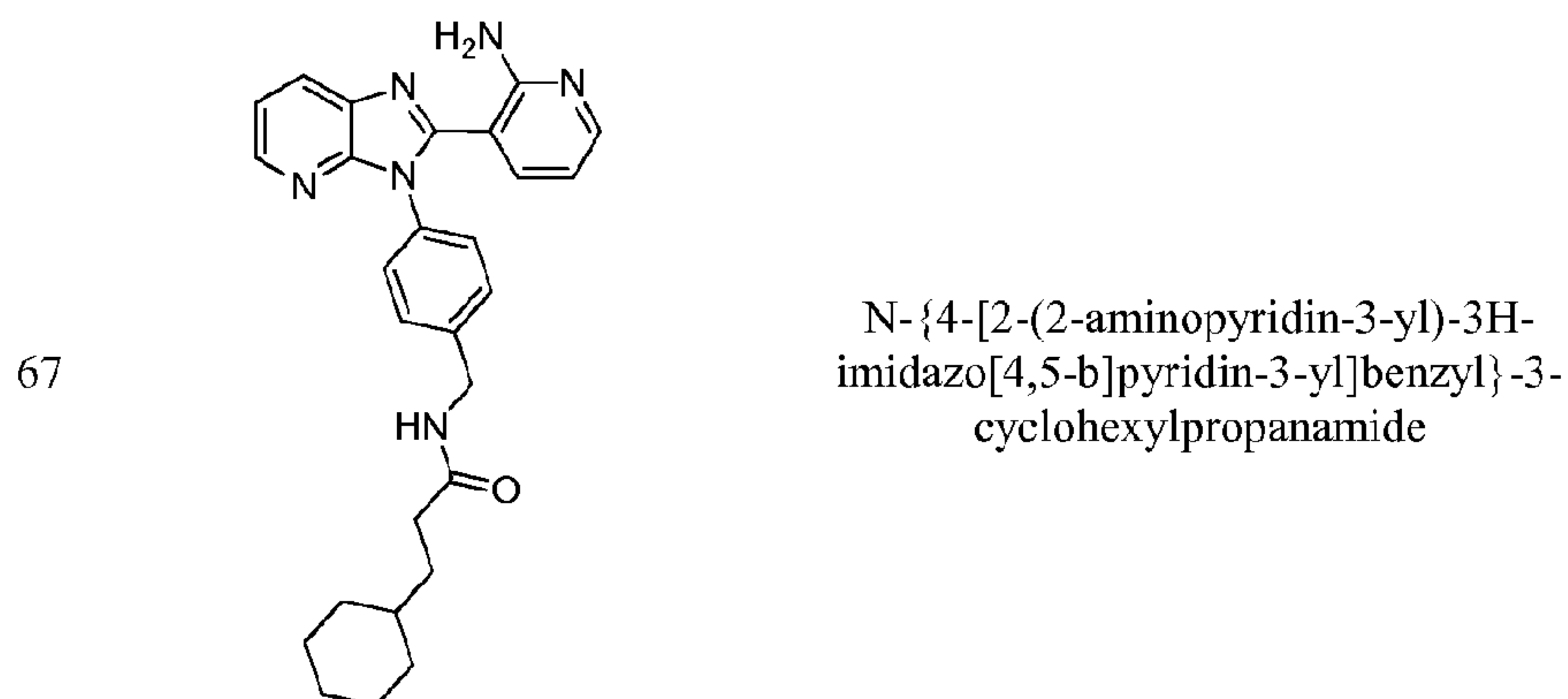
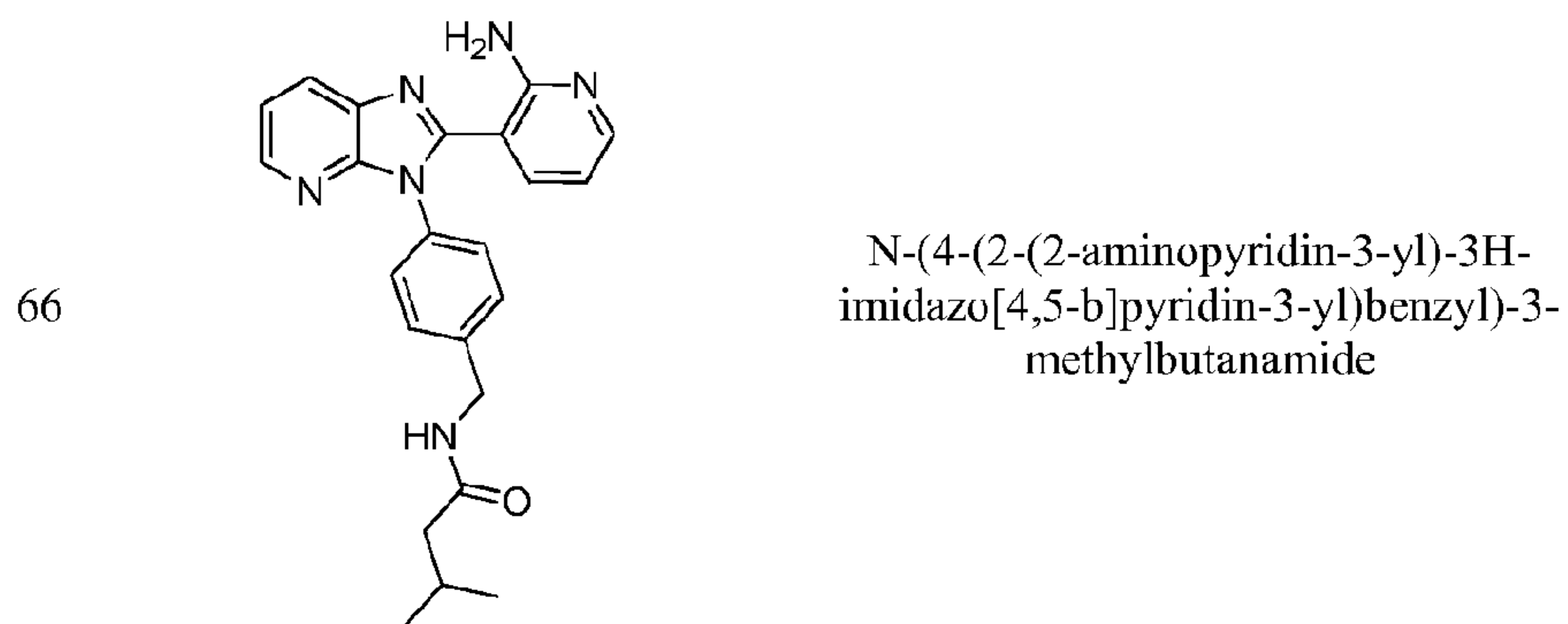
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-phenylacetamide

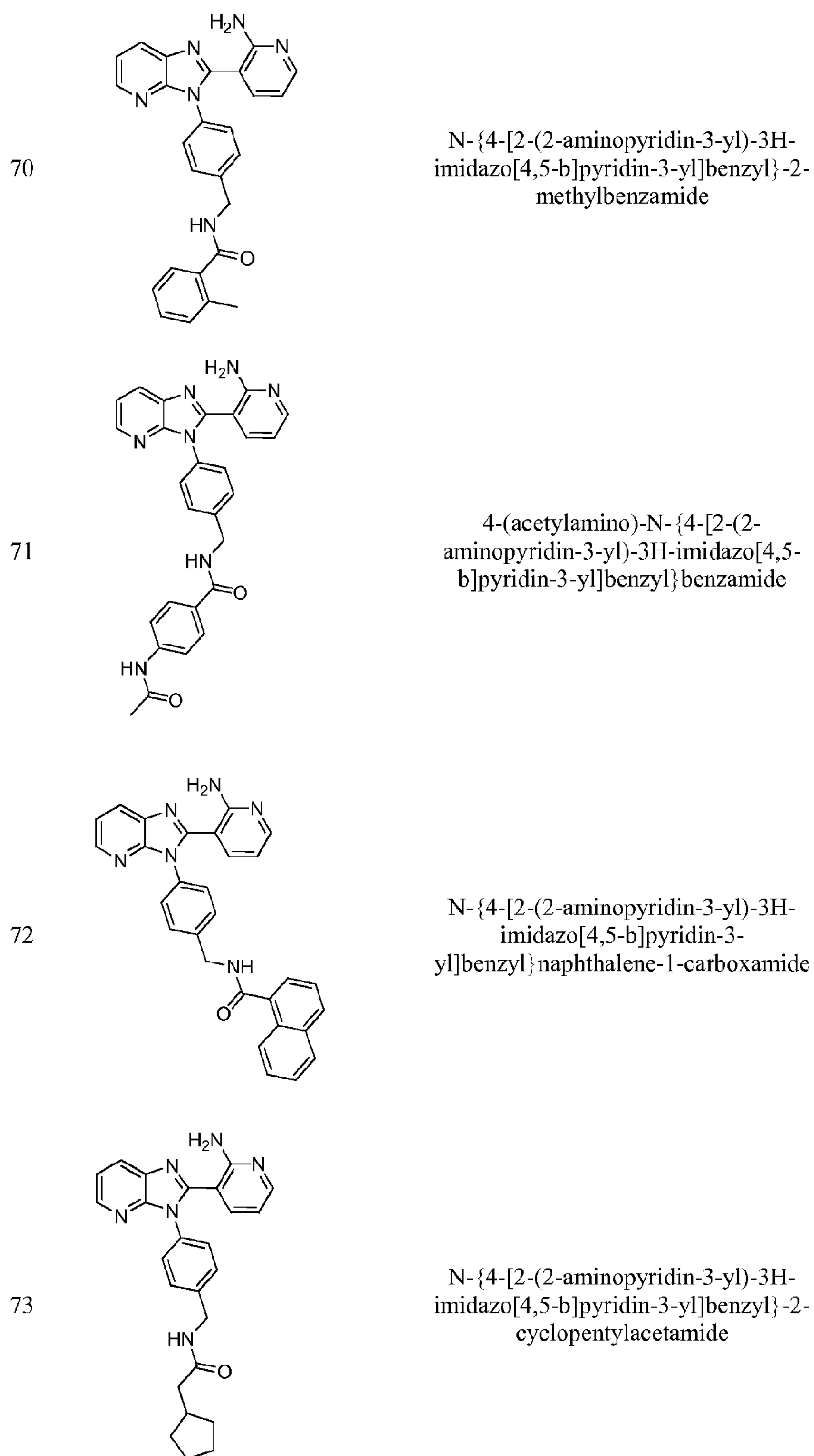
61

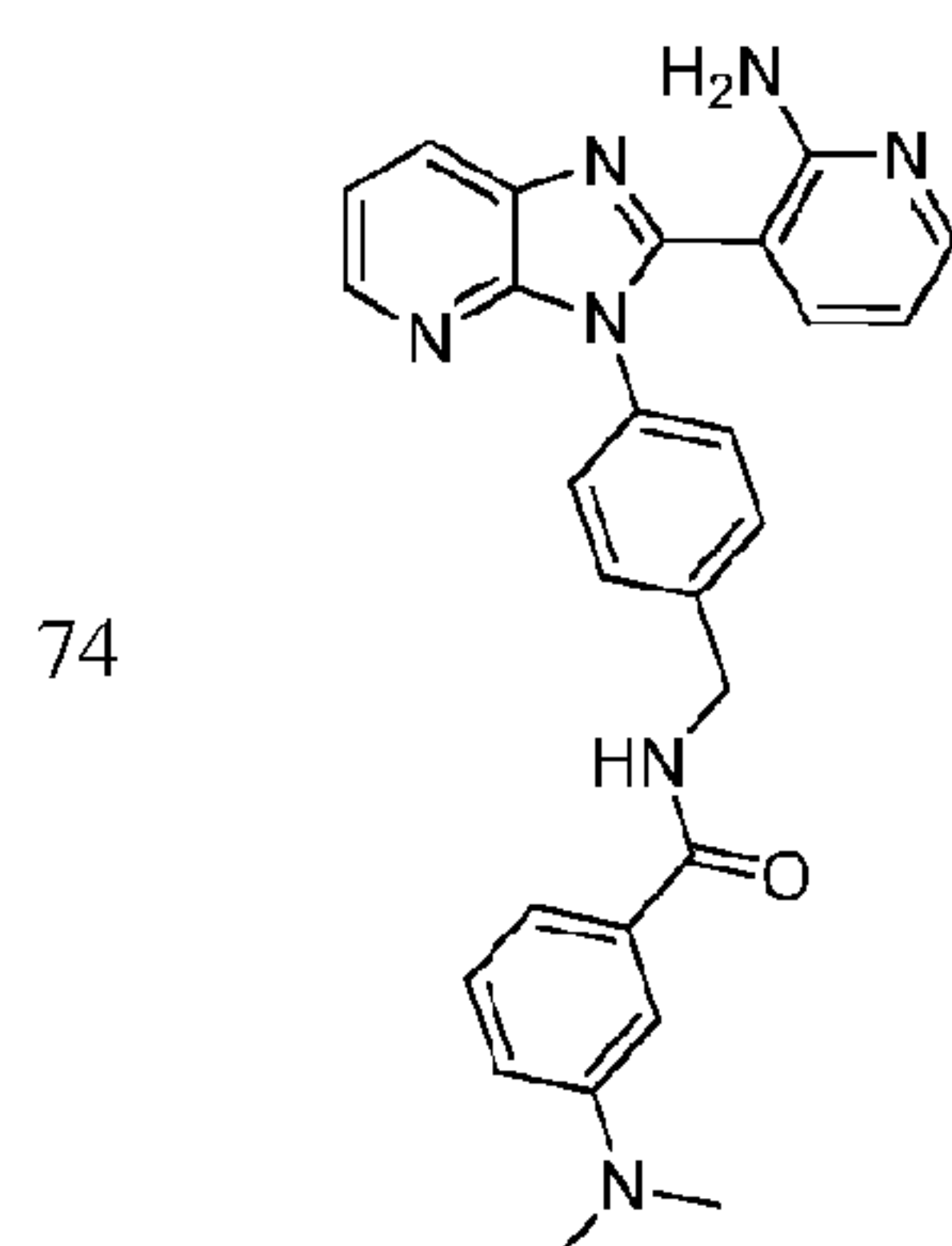


N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-indole-3-carboxamide

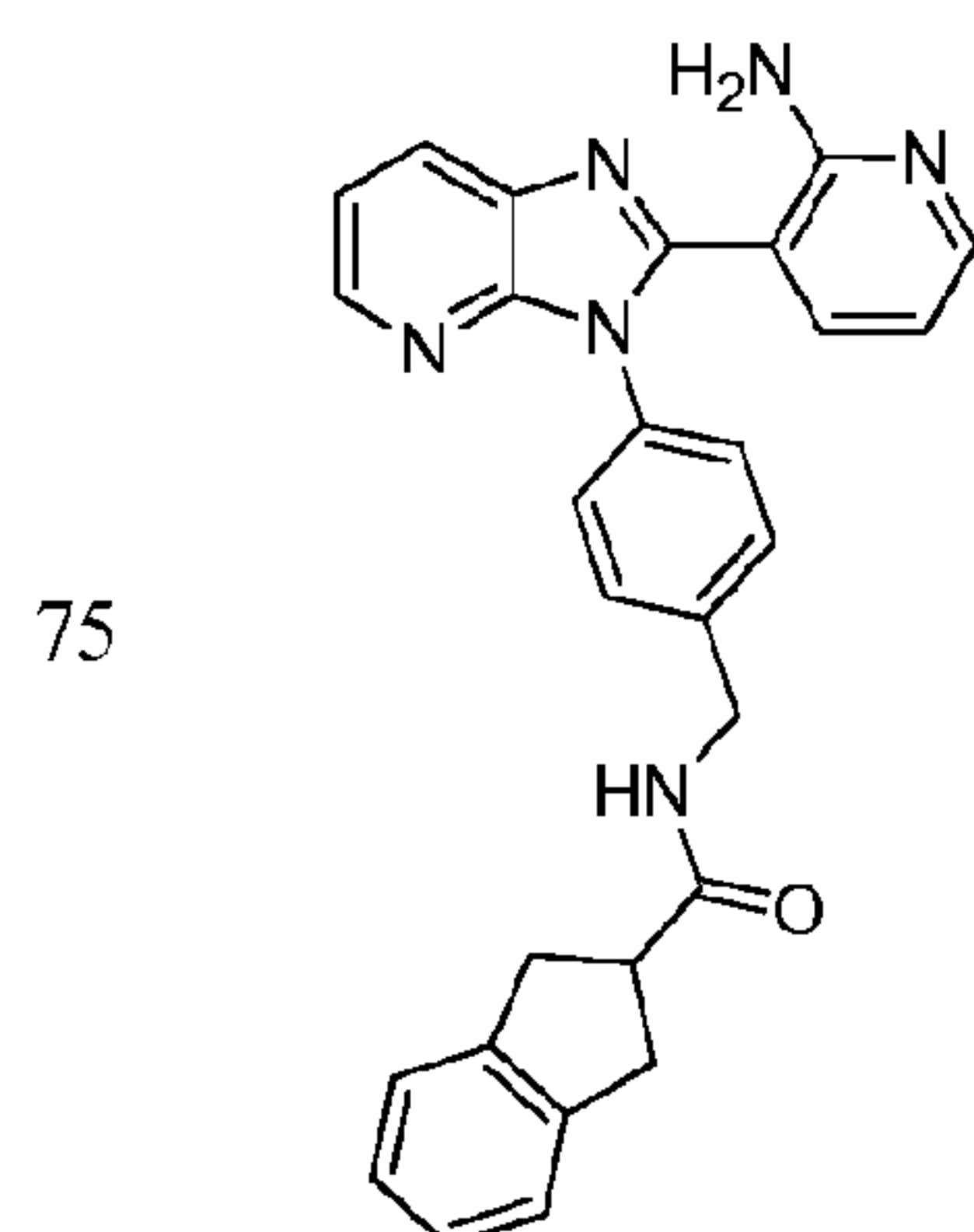




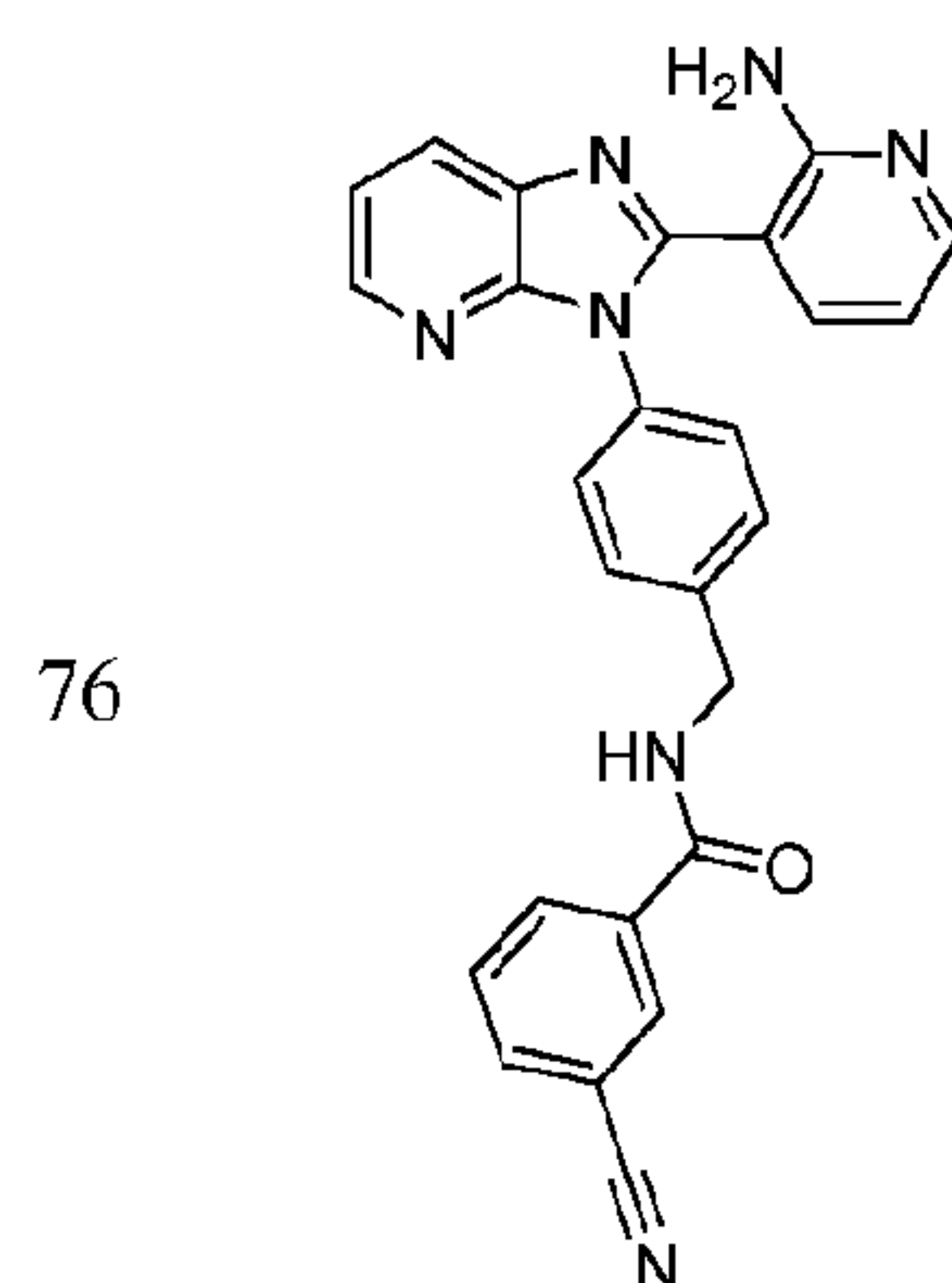




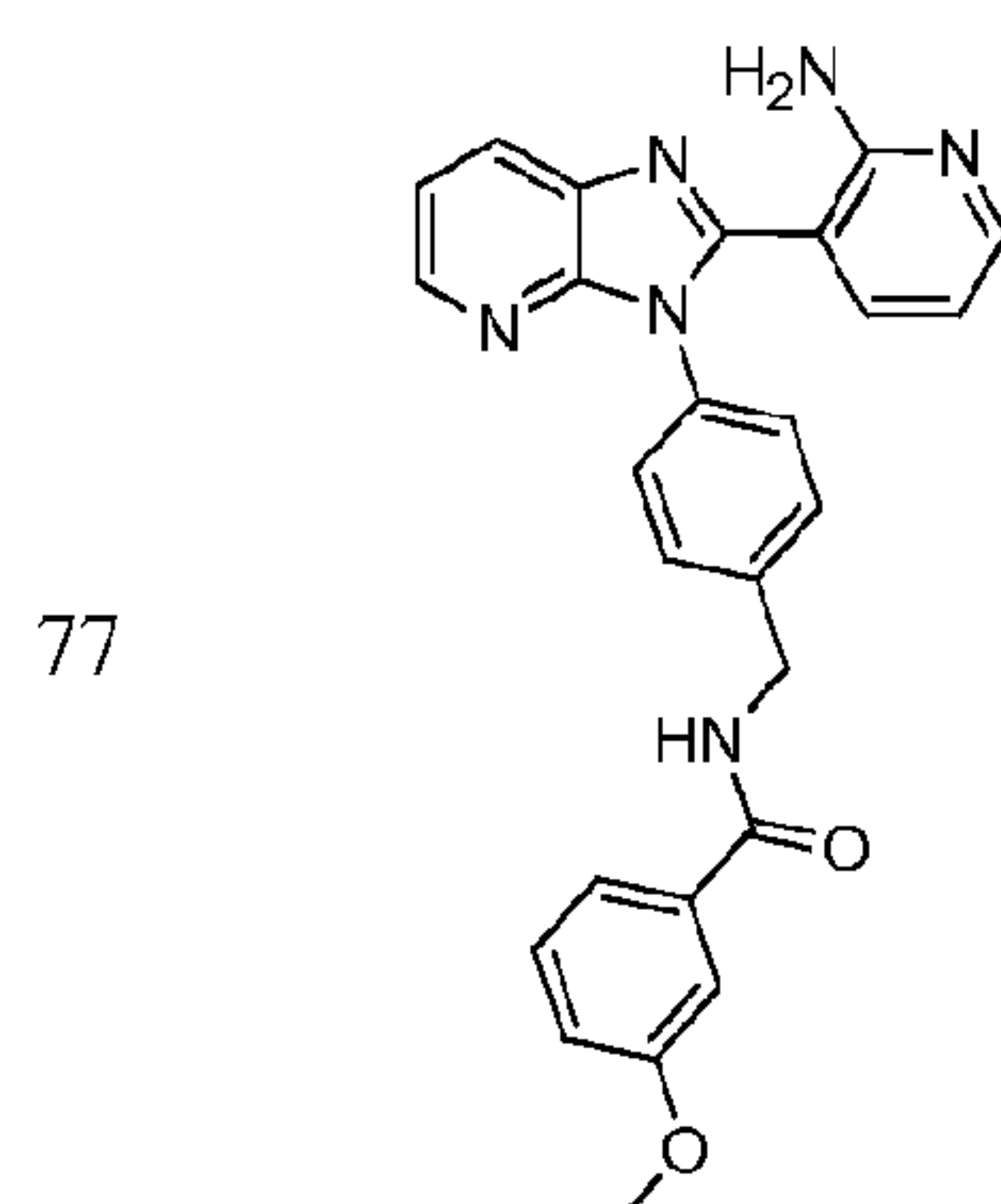
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(dimethylamino)benzamide



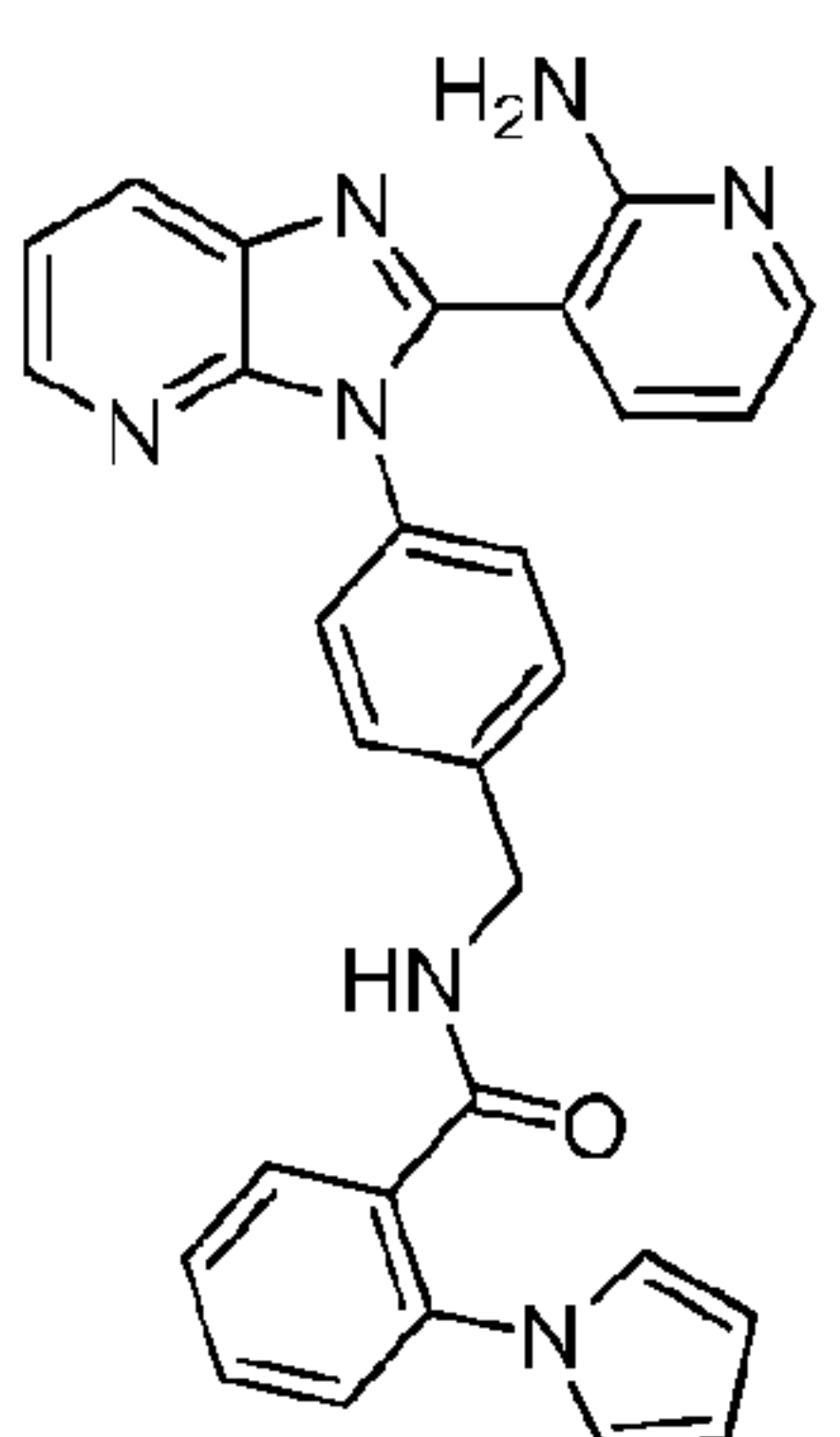
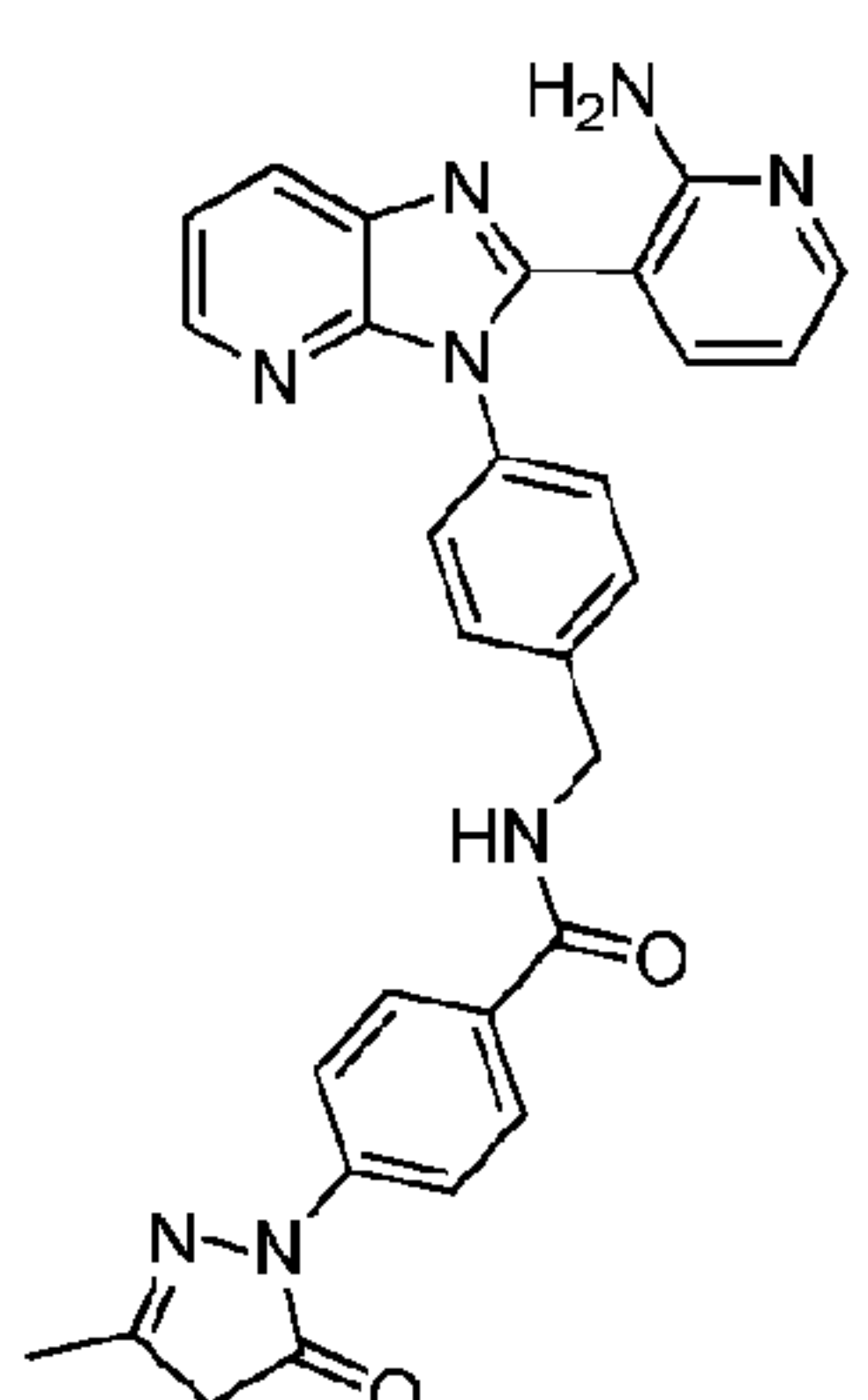
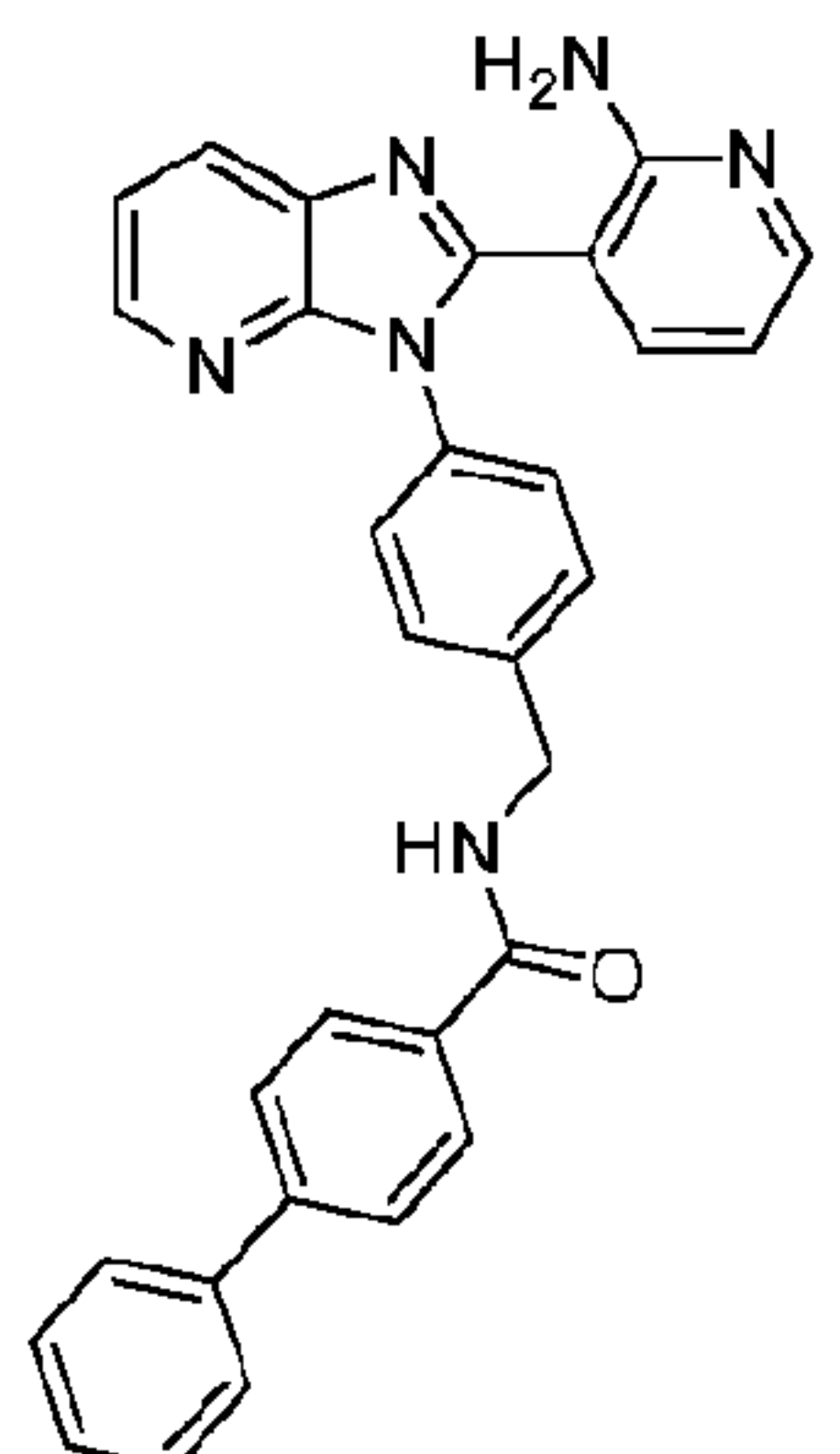
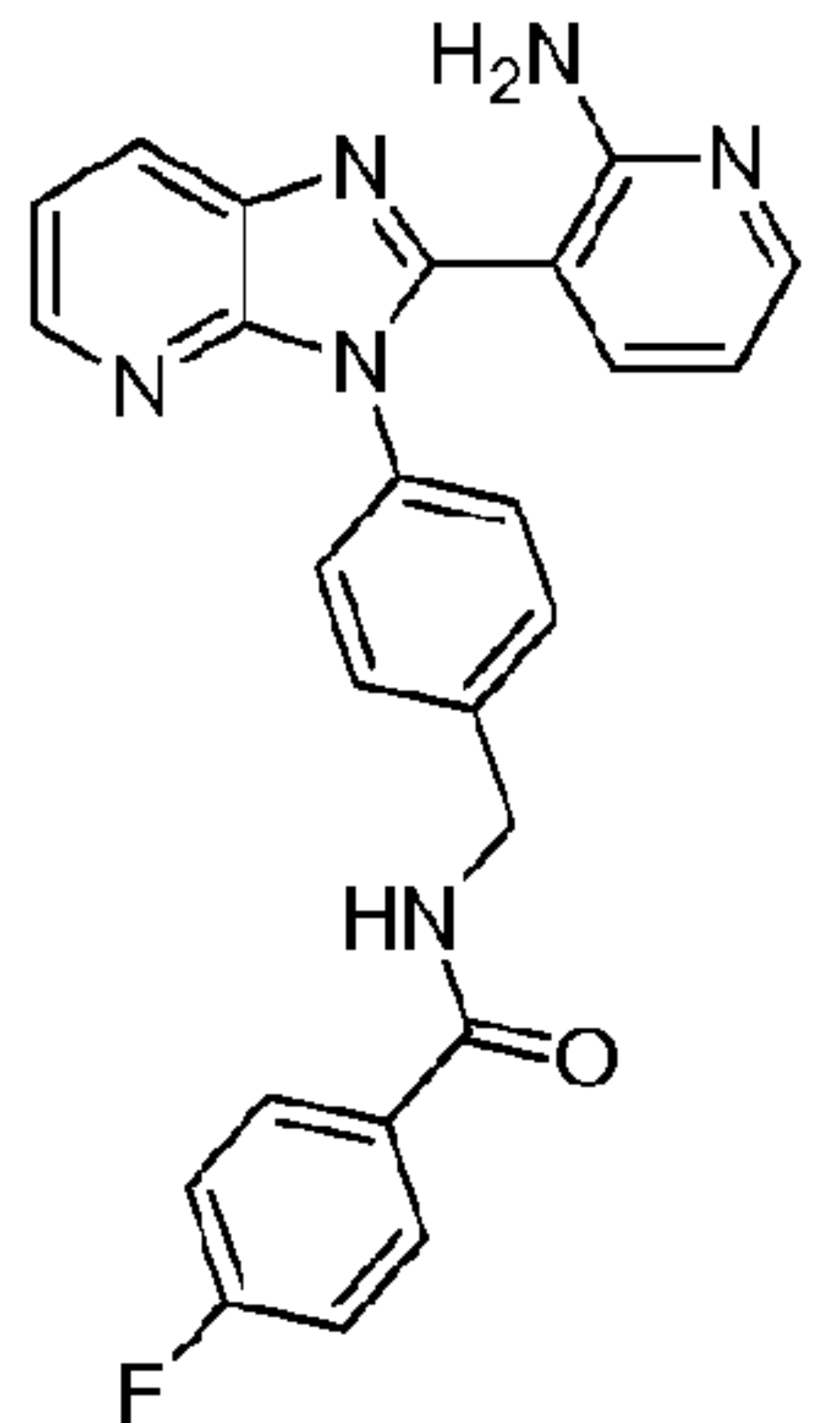
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2,3-dihydro-1H-indene-2-carboxamide

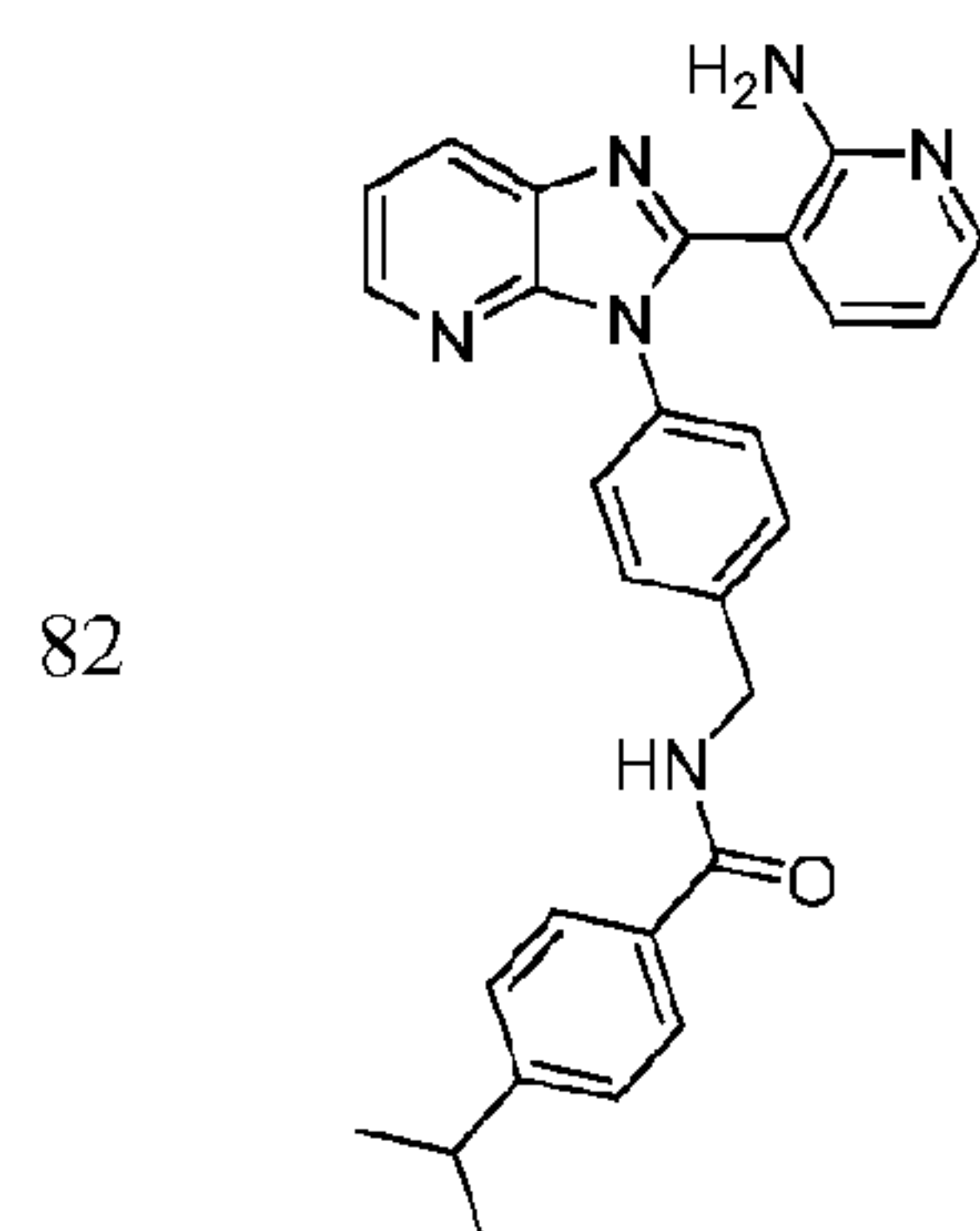


N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-cyanobenzamide

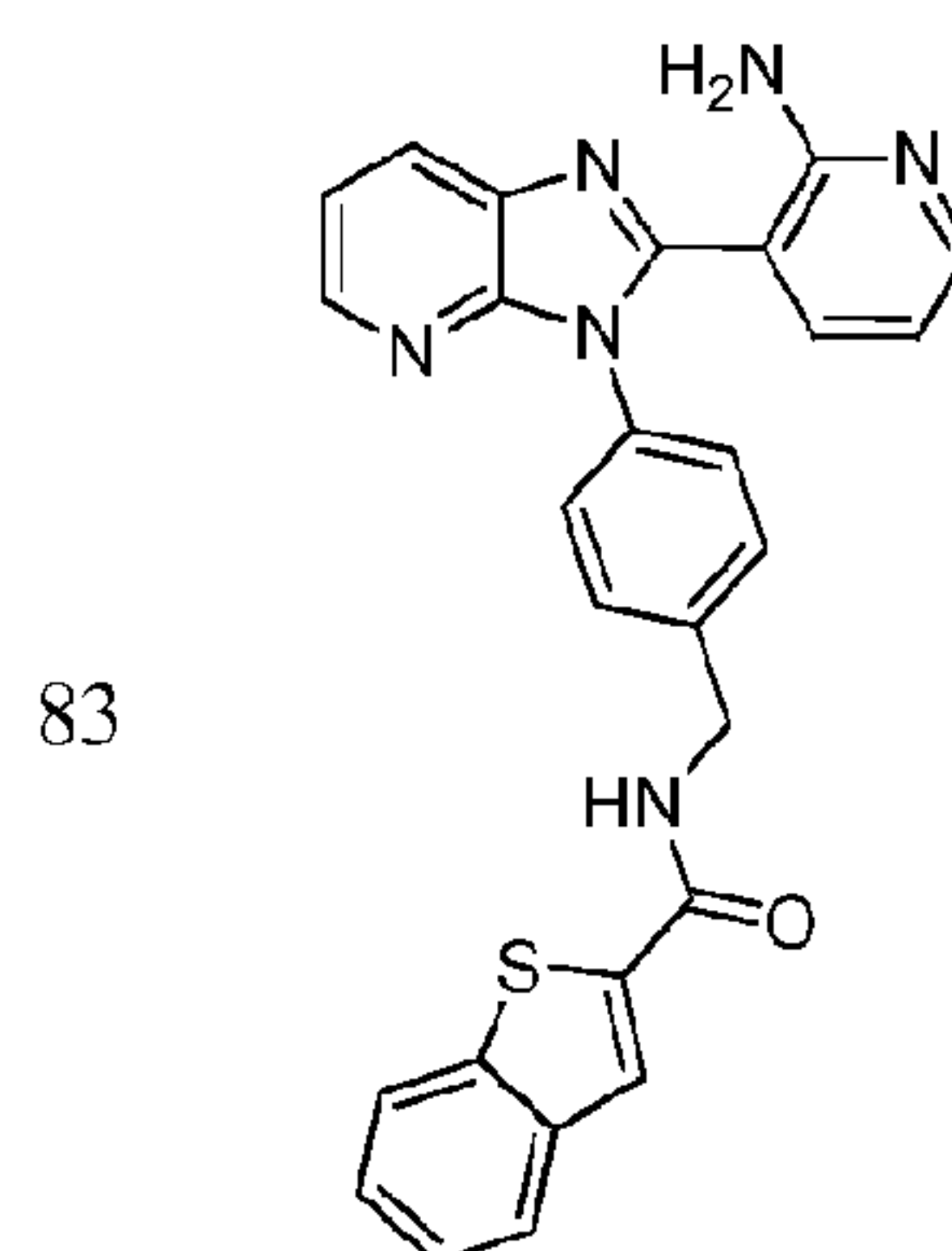


N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methoxybenzamide

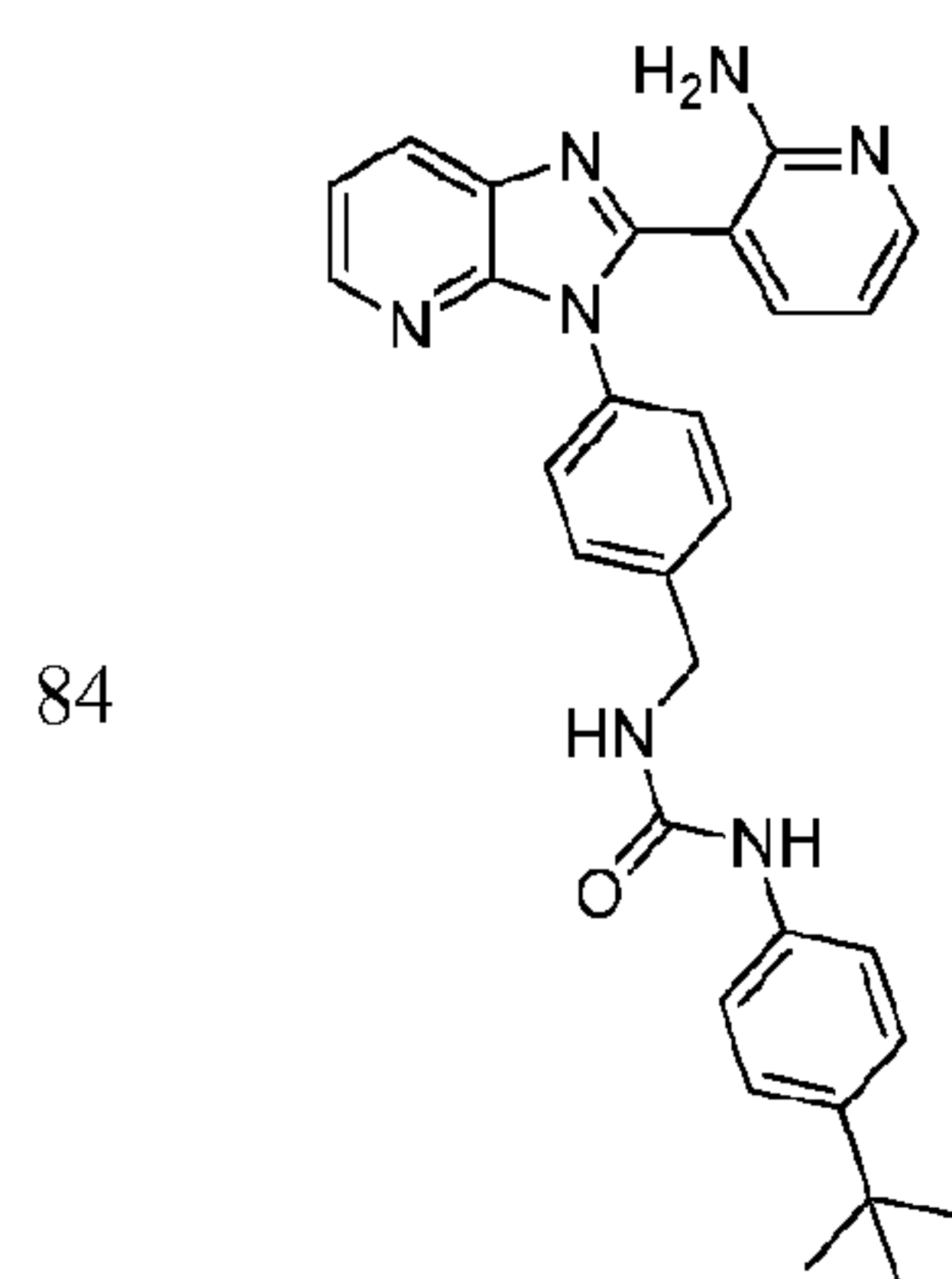
- 78 
- N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-(1H-pyrrol-1-yl)benzamide
- 79 
- N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide
- 80 
- N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}biphenyl-4-carboxamide
- 81 
- N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-fluorobenzamide



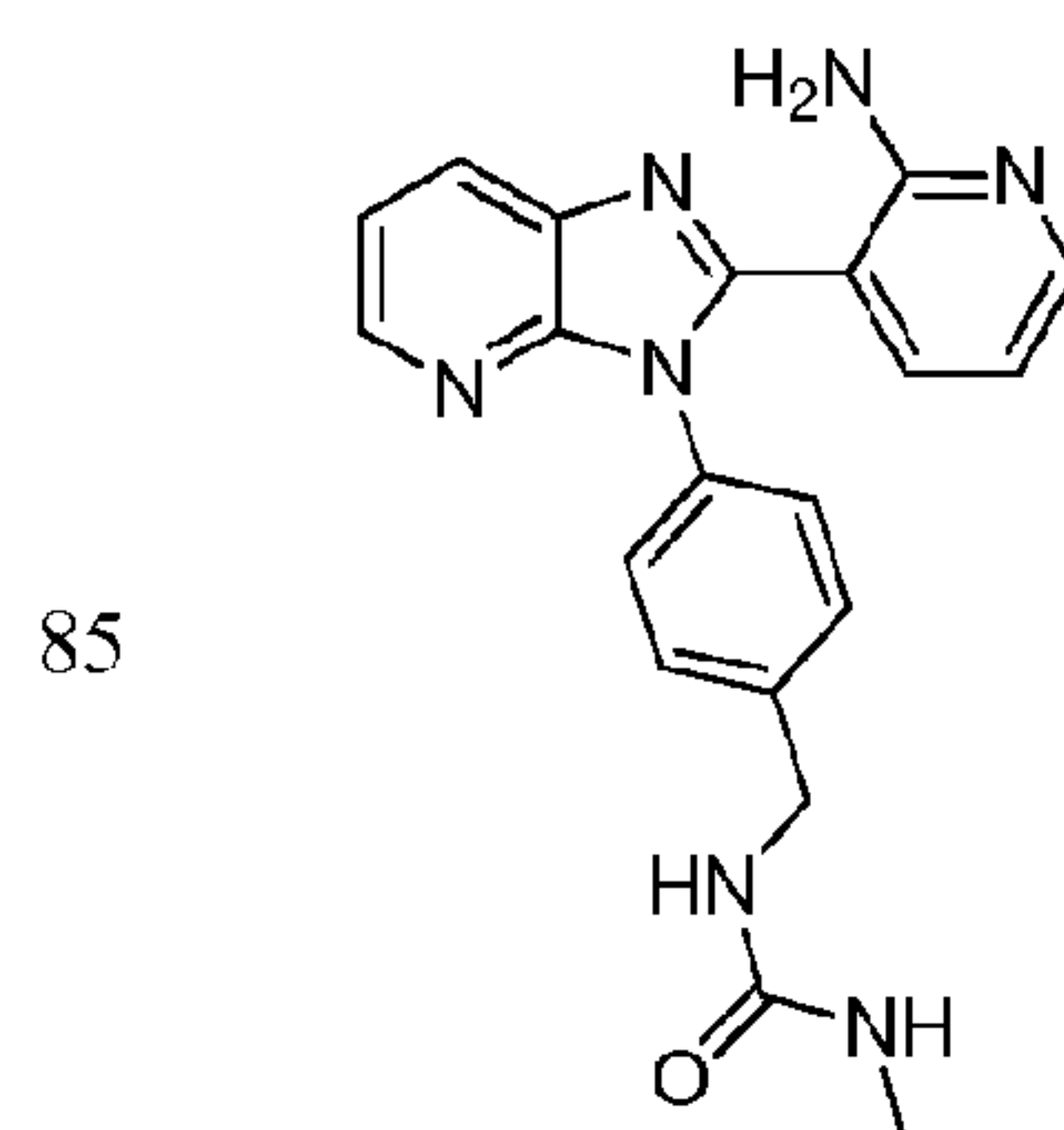
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-(1-methylethyl)benzamide



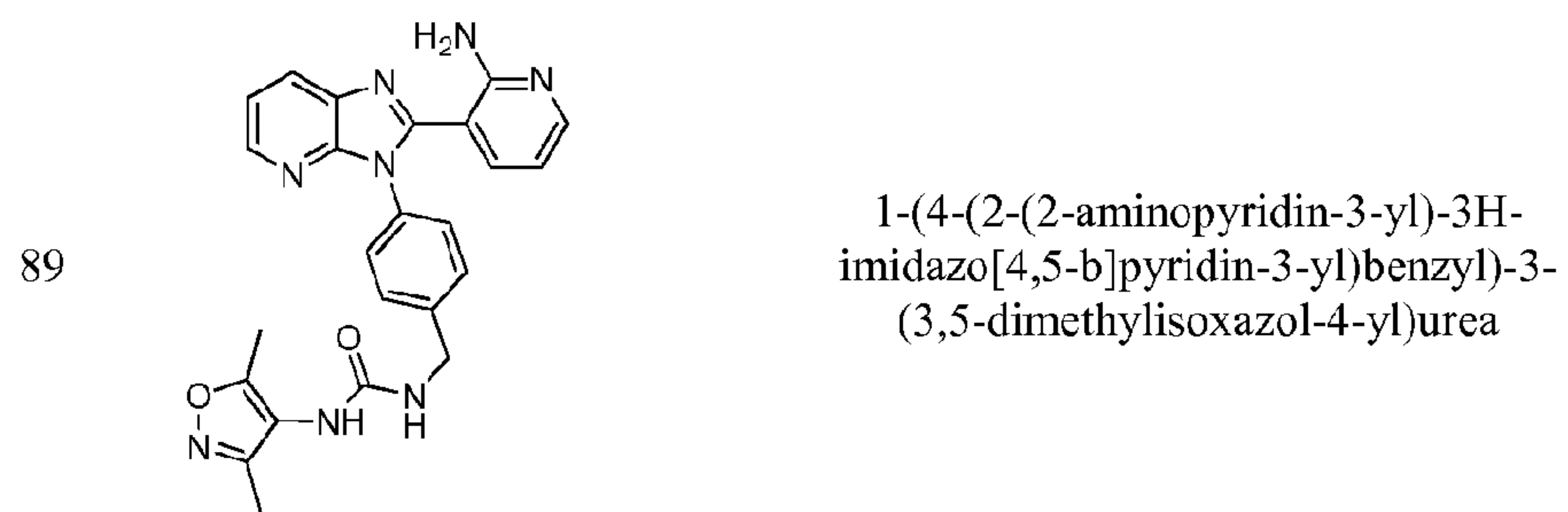
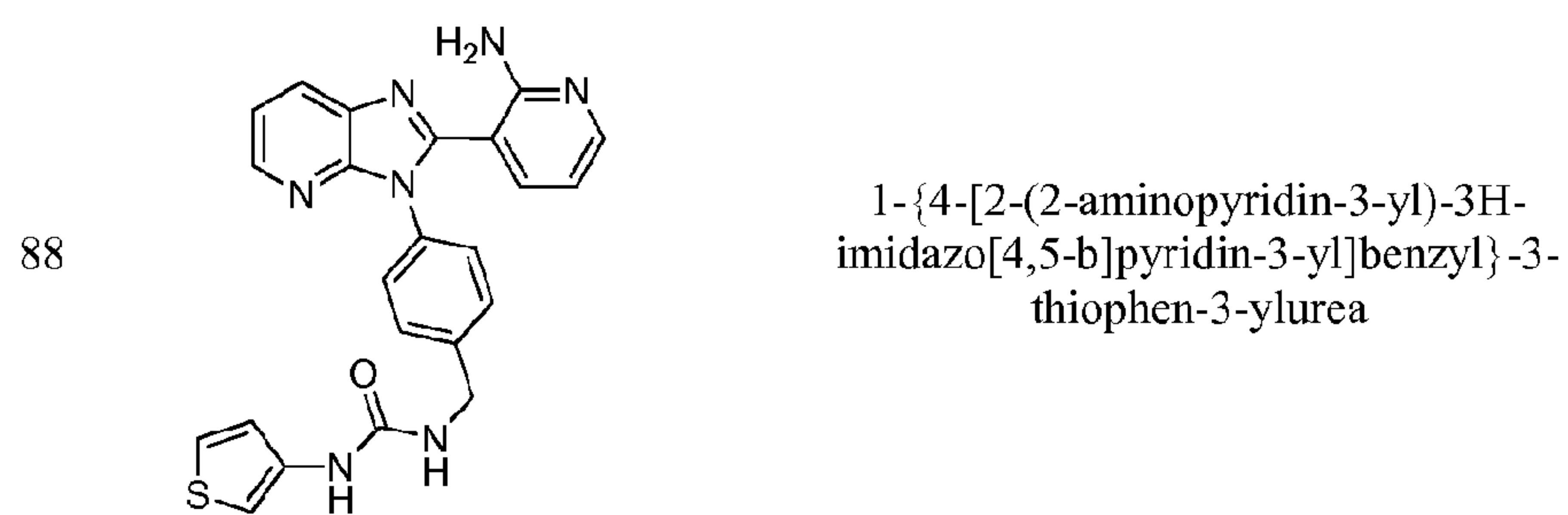
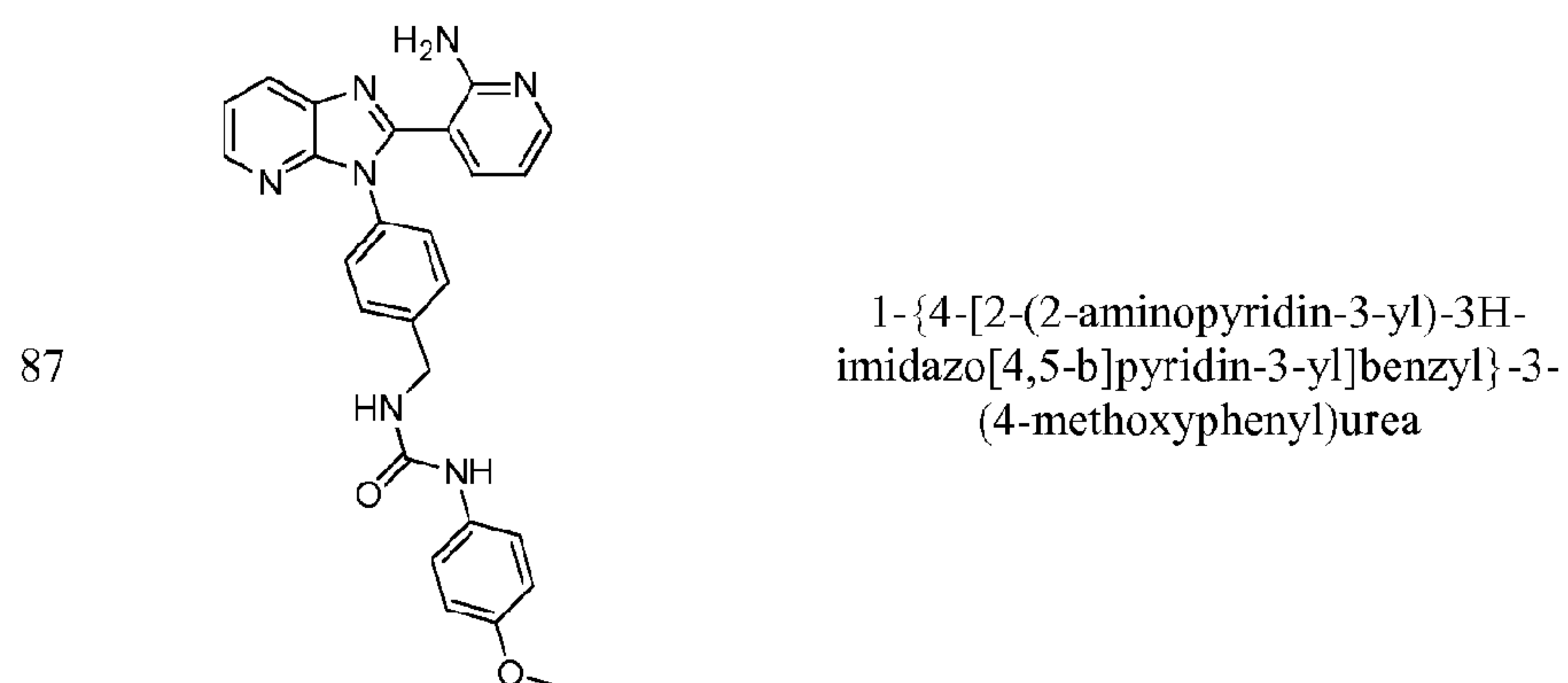
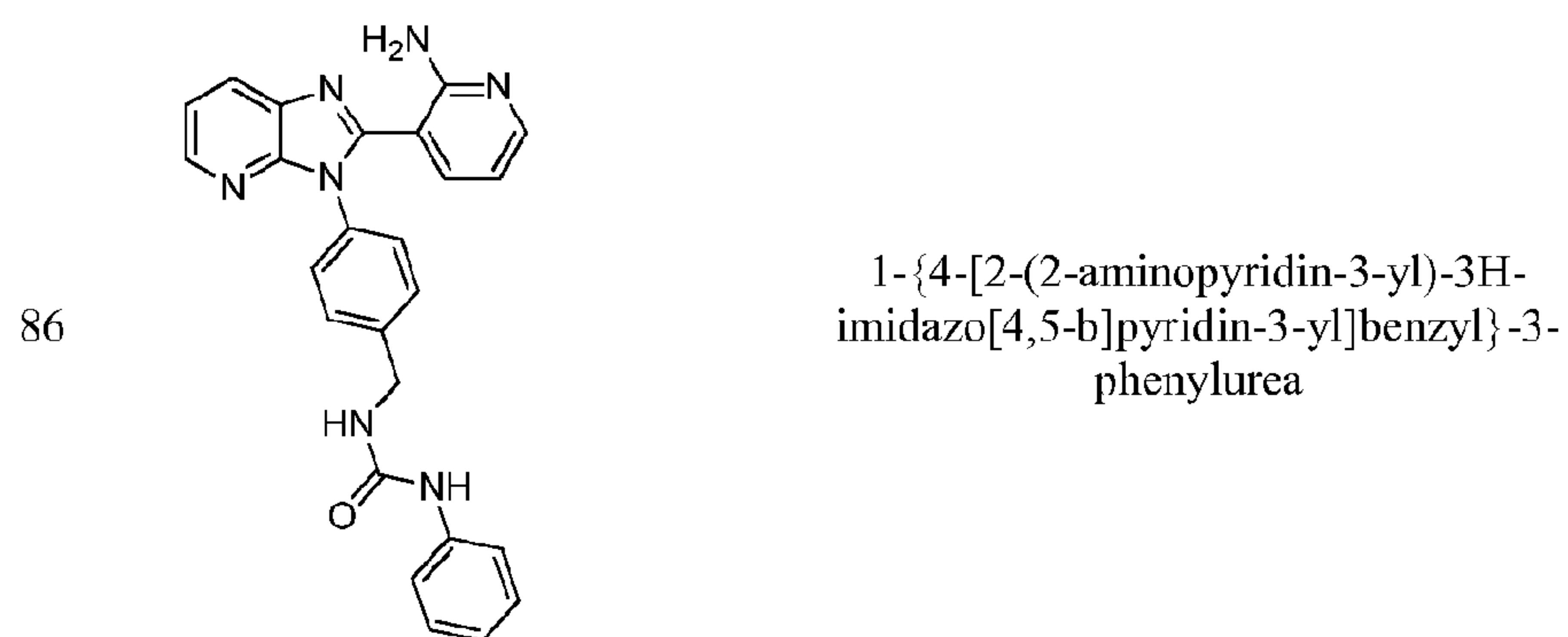
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-benzothiophene-2-carboxamide

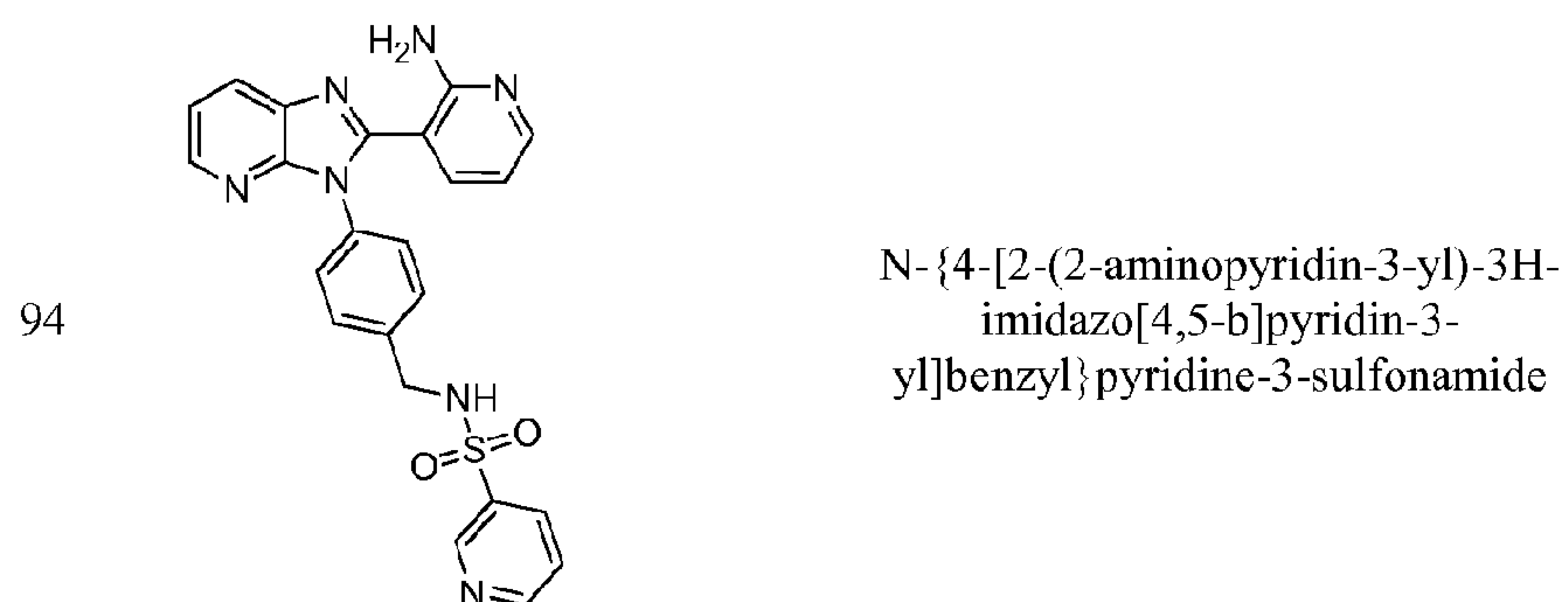
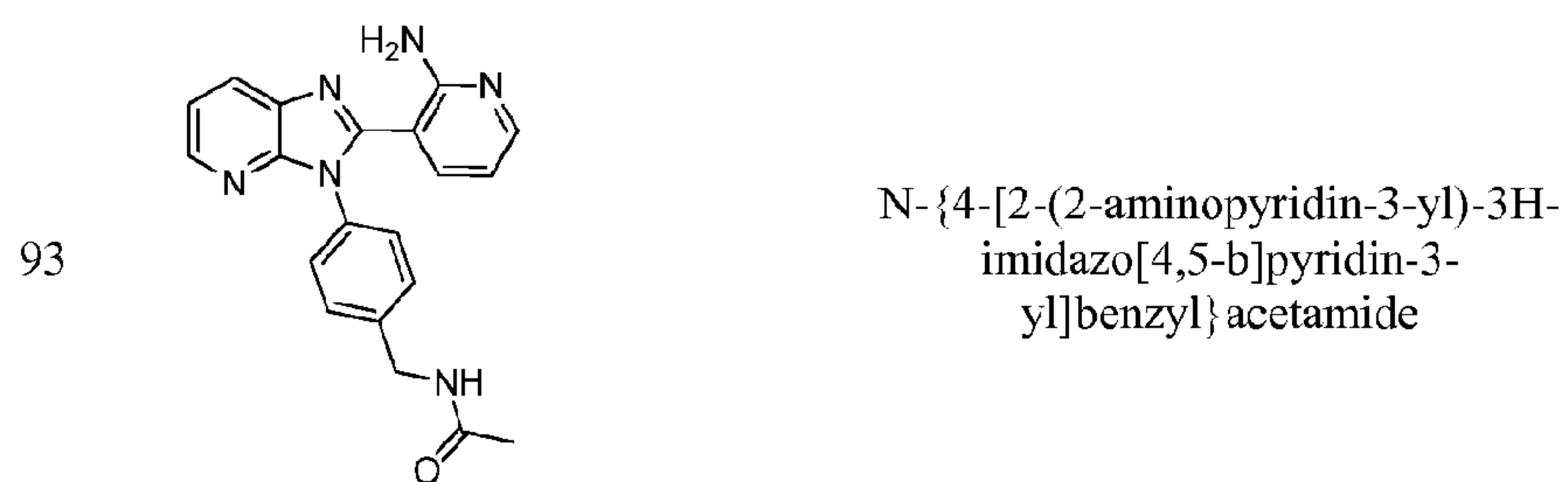
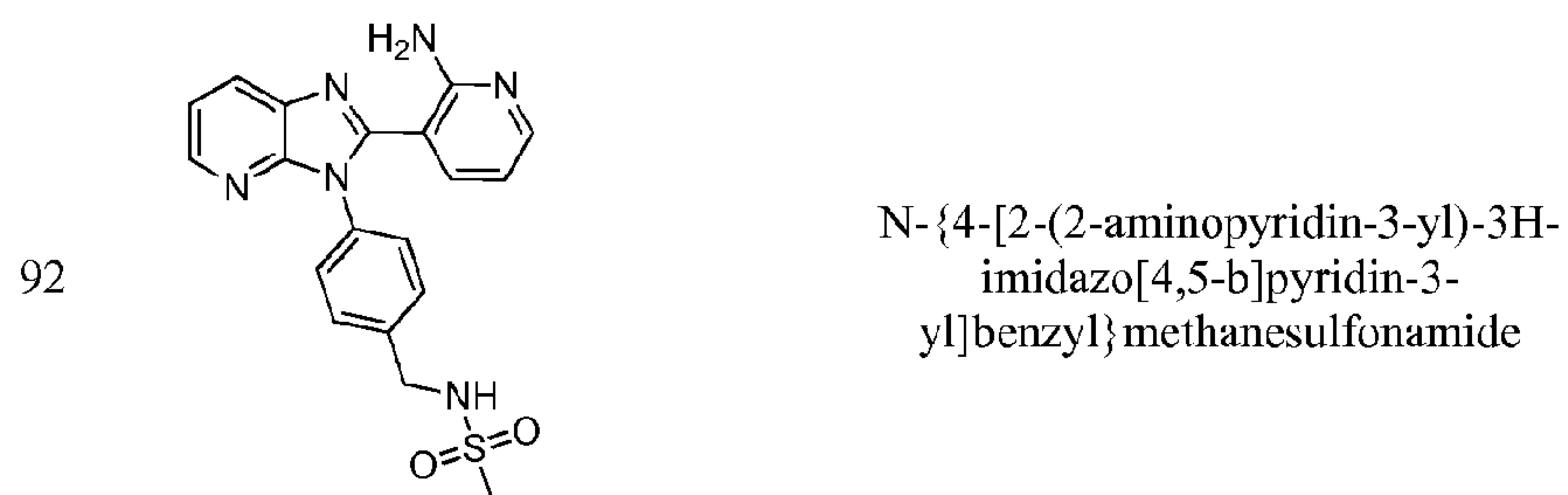
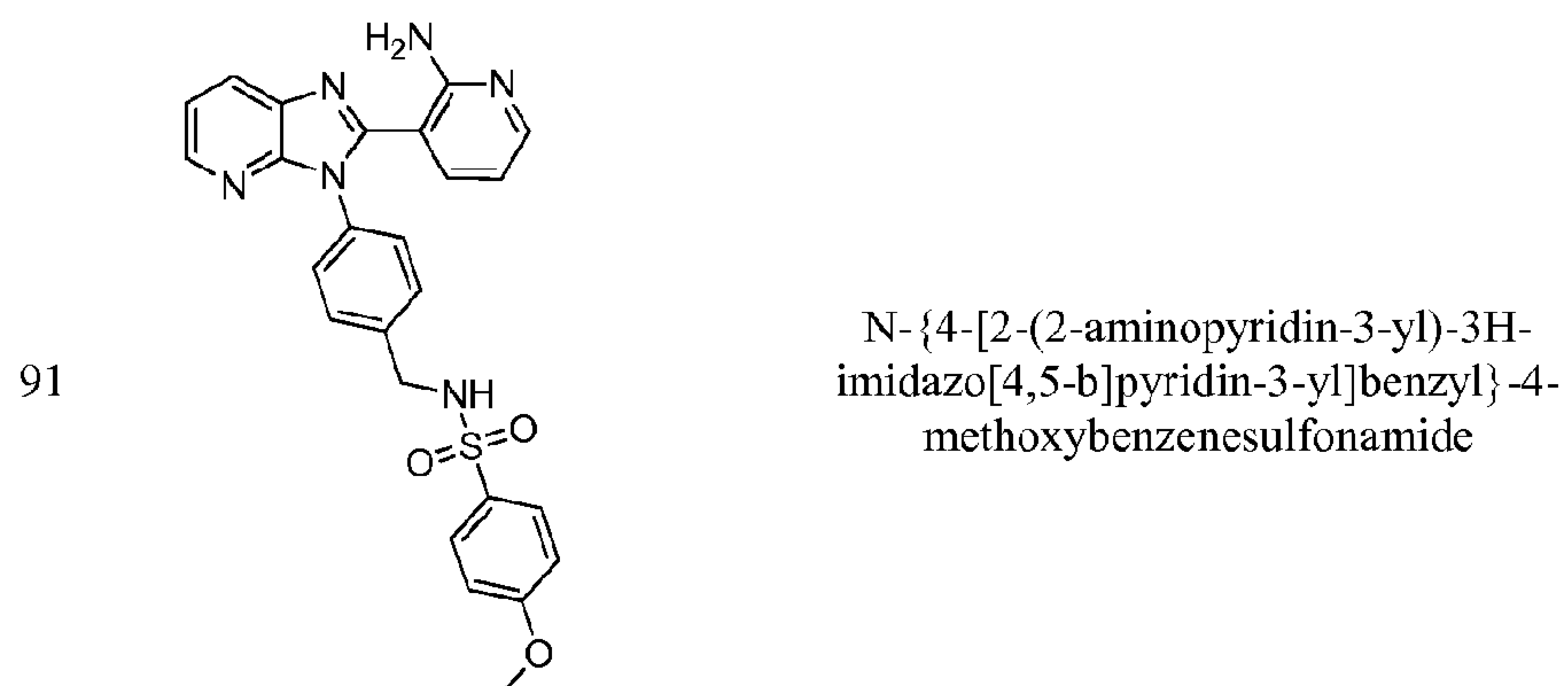
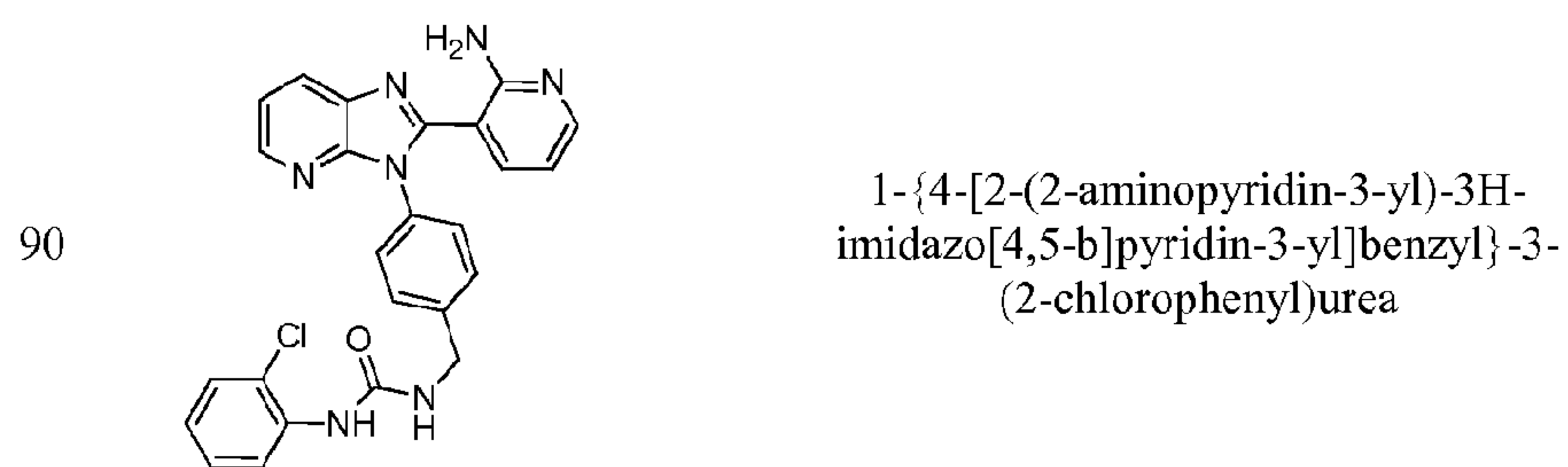


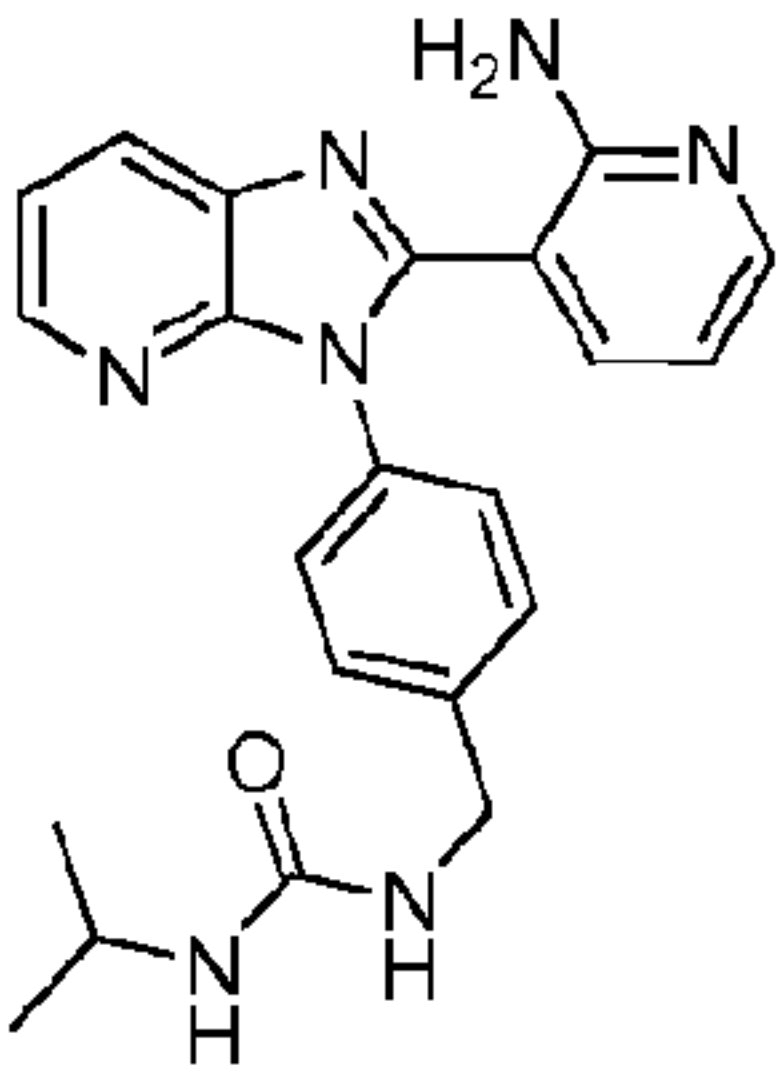
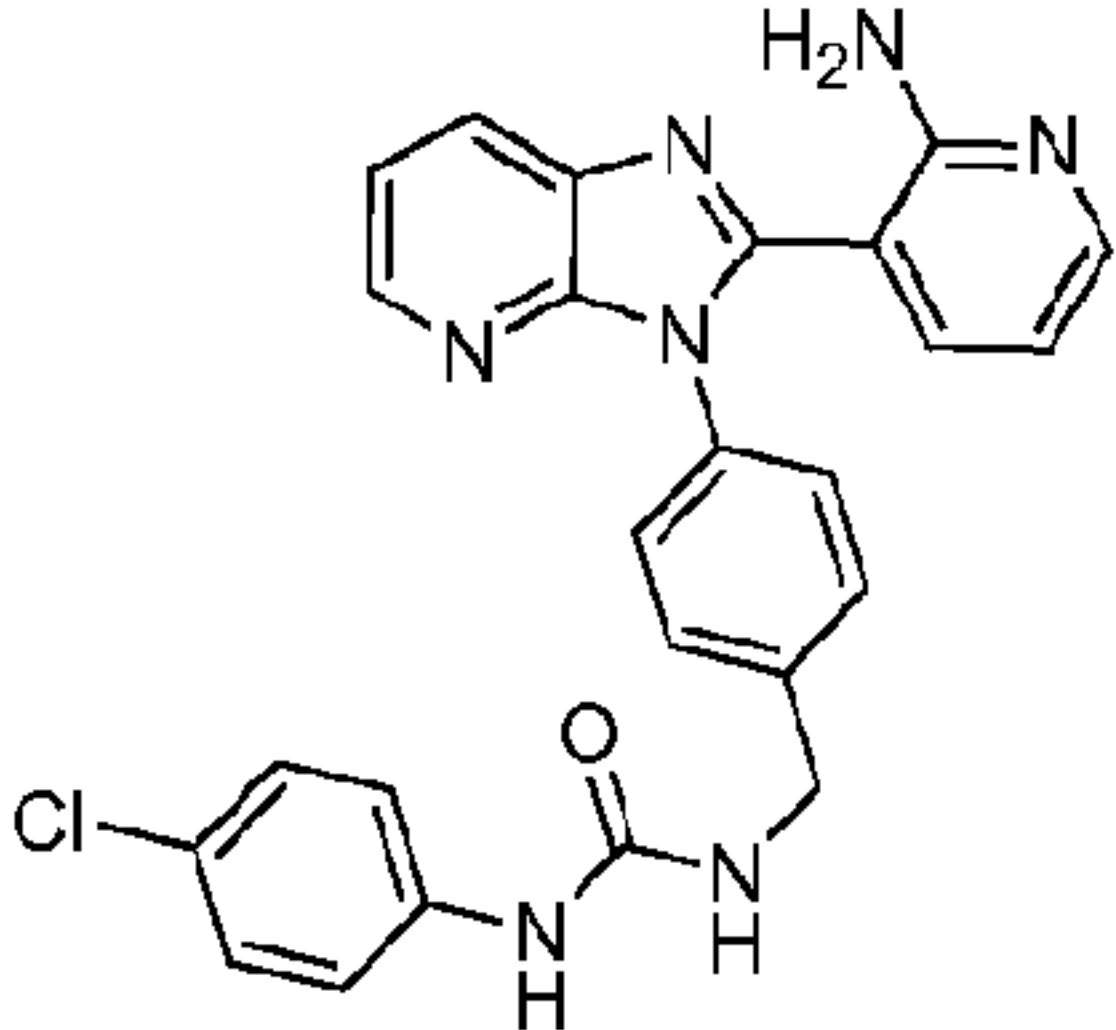
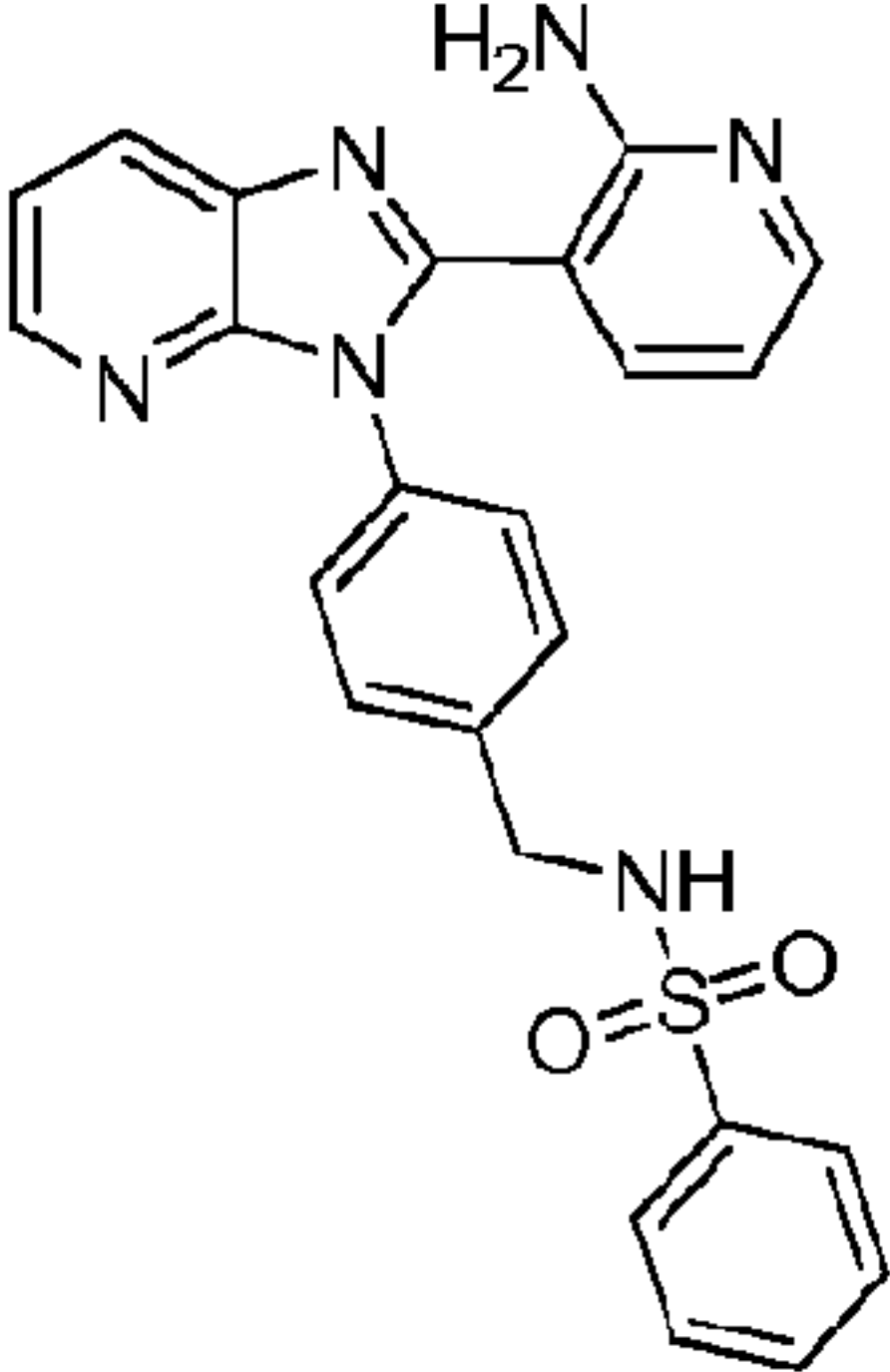
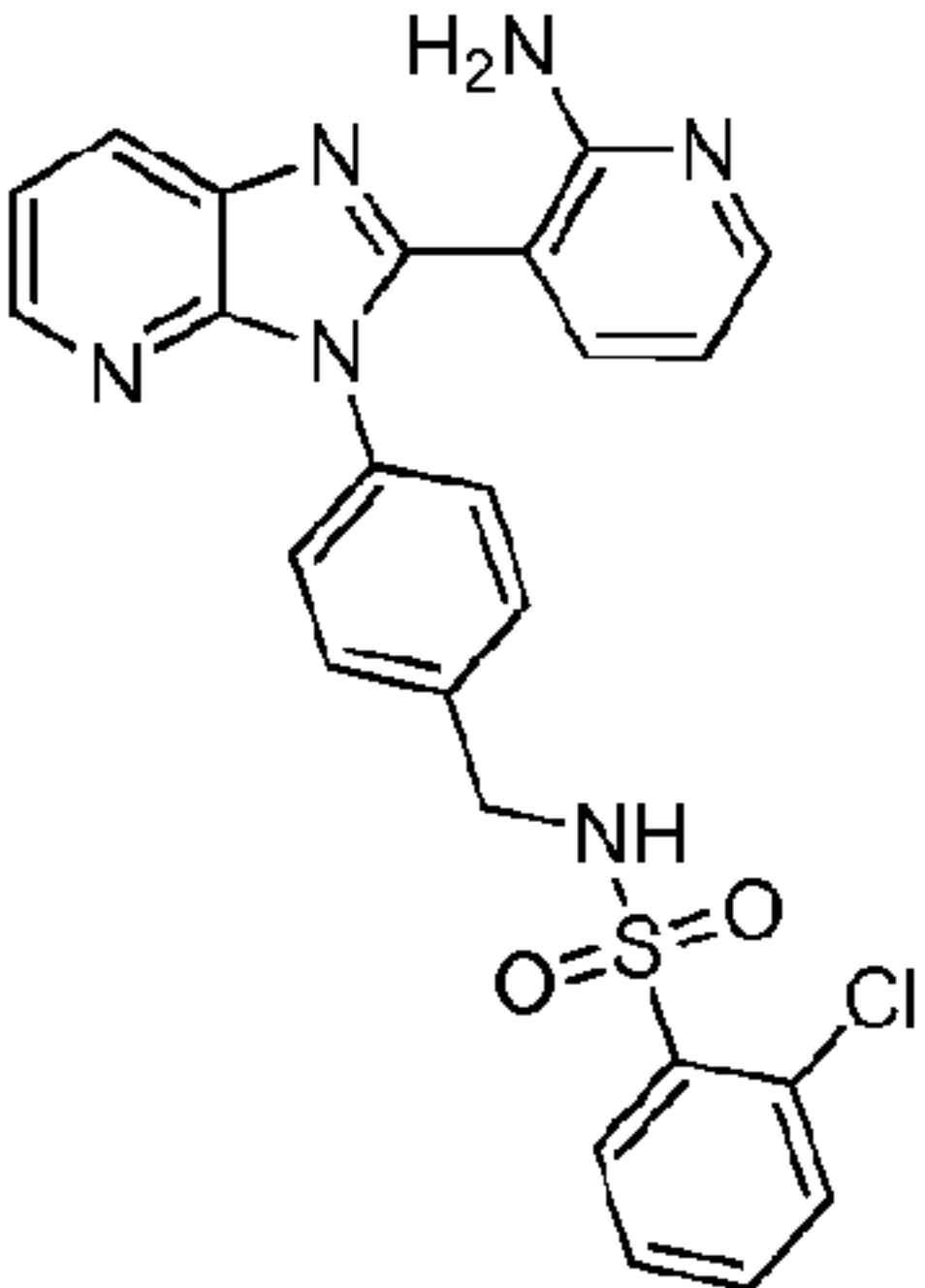
1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-tert-butylphenyl)urea



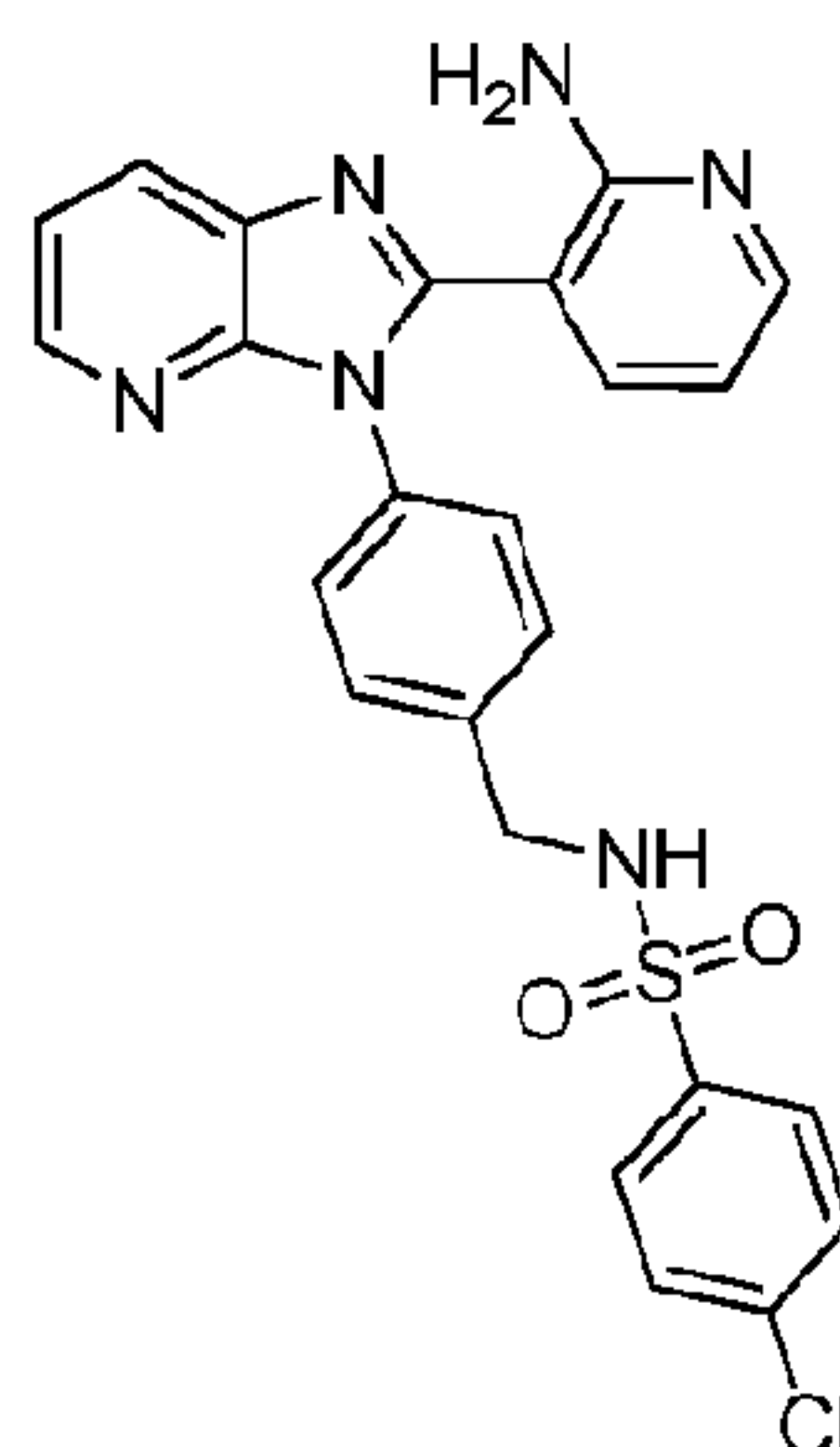
1-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-ethylurea





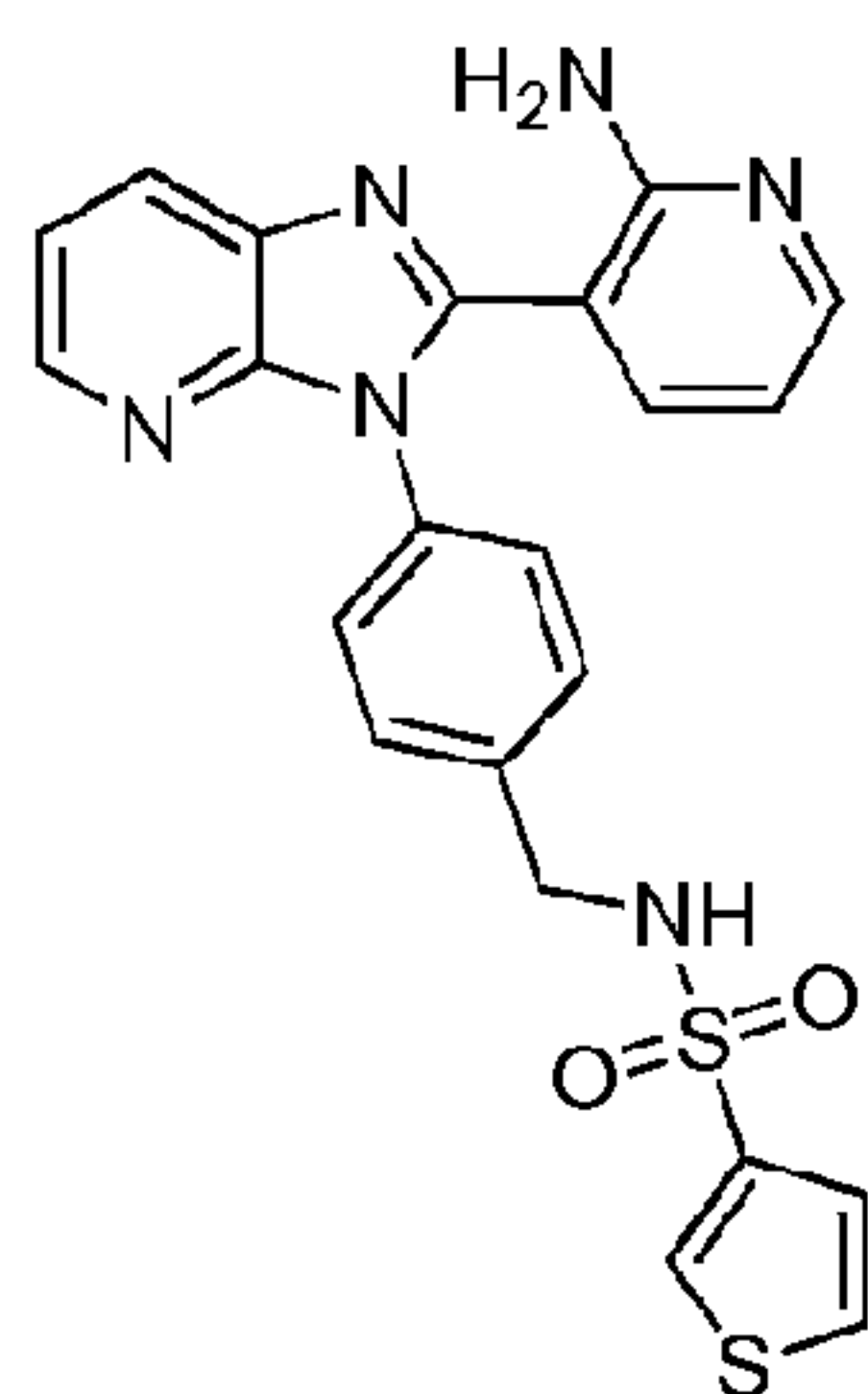
- 95 
- 1 - {4 - [2 - (2 - aminopyridin - 3 - yl) - 3H - imidazo[4,5 - b]pyridin - 3 - yl]benzyl} - 3 - (1 - methylethyl)urea
- 96 
- 1 - {4 - [2 - (2 - aminopyridin - 3 - yl) - 3H - imidazo[4,5 - b]pyridin - 3 - yl]benzyl} - 3 - (4 - chlorophenyl)urea
- 97 
- N - {4 - [2 - (2 - aminopyridin - 3 - yl) - 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



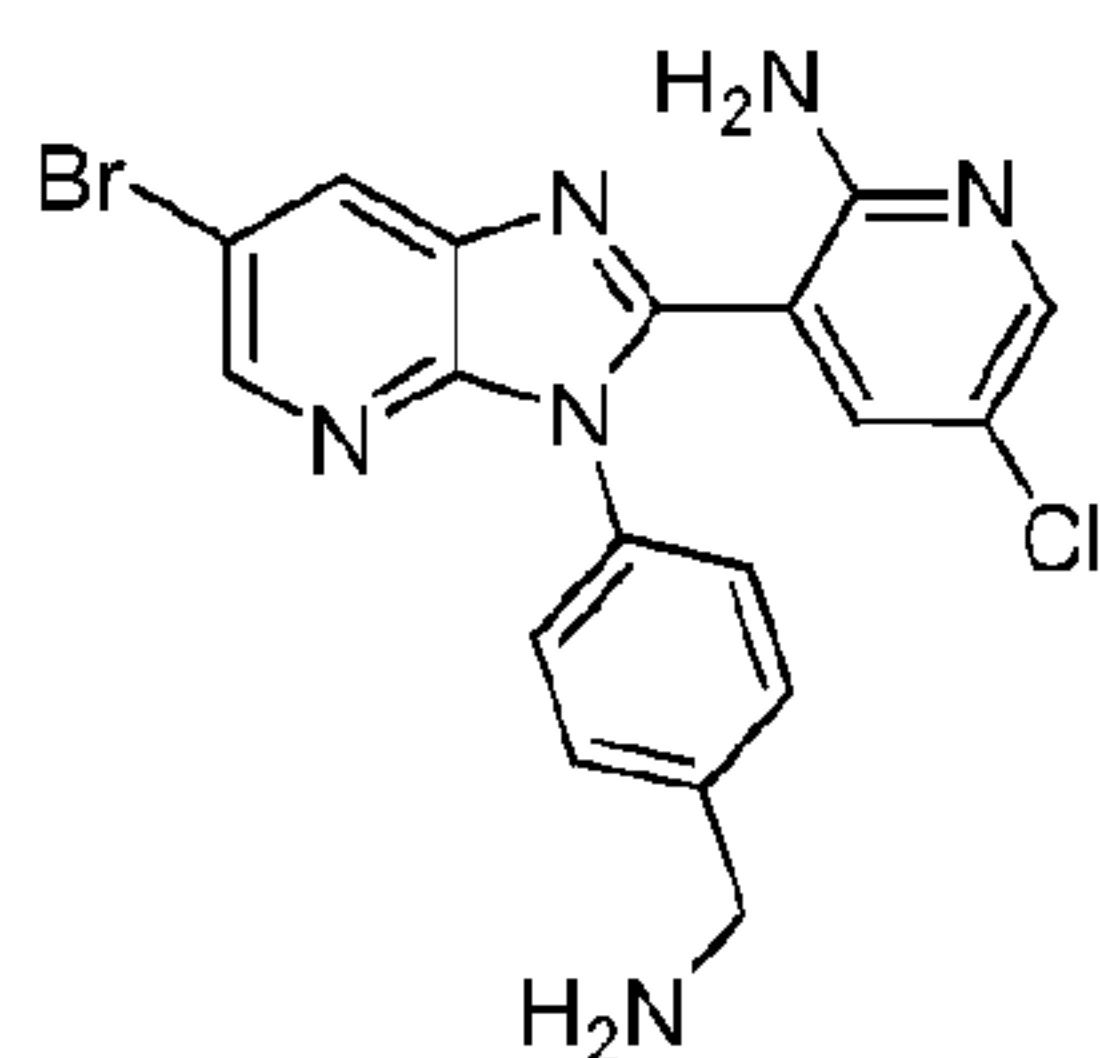
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-chlorobenzenesulfonamide

100



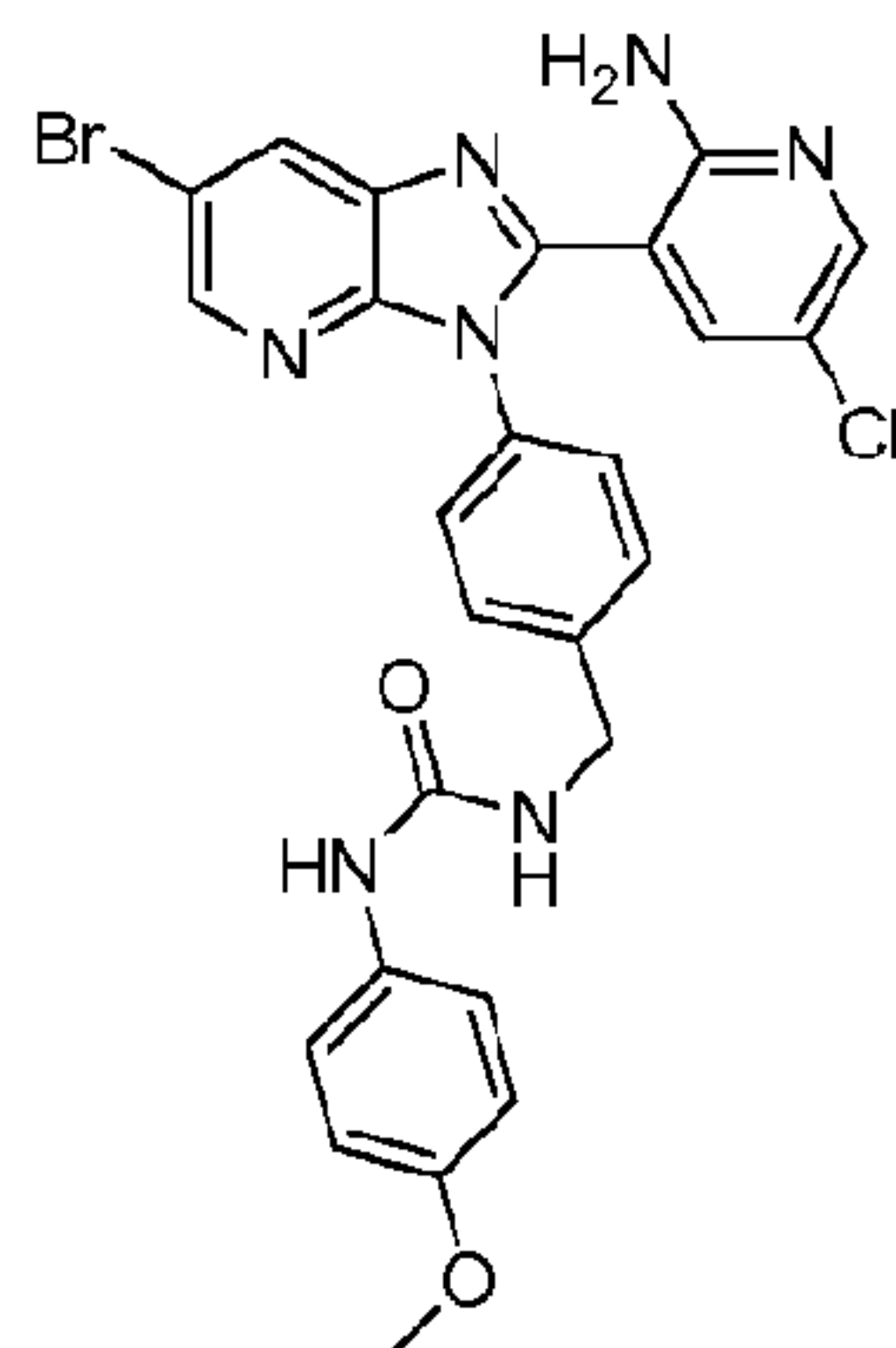
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-3-sulfonamide

101

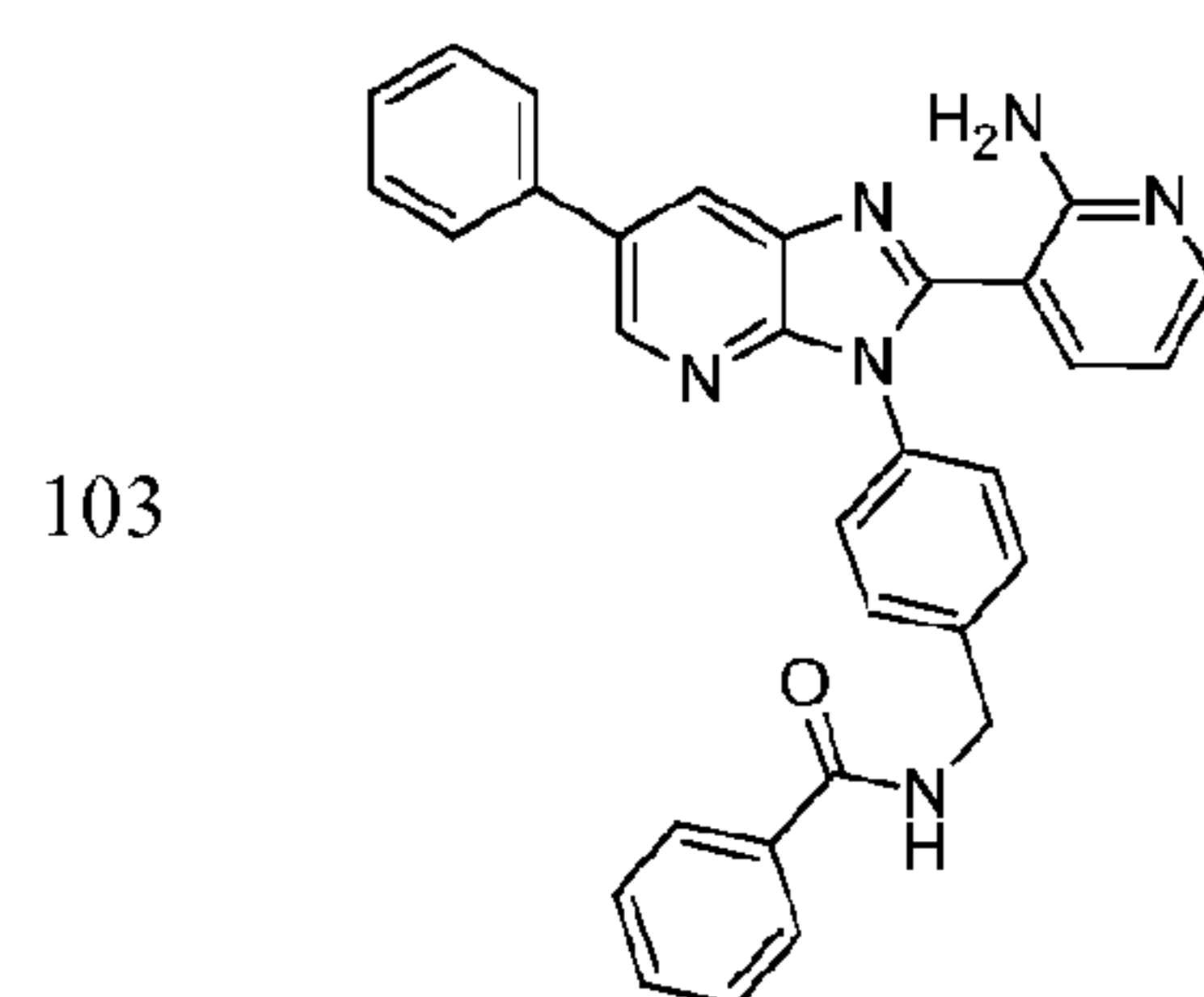


3-{3-[4-(aminomethyl)phenyl]-6-bromo-3H-imidazo[4,5-b]pyridin-2-yl}-5-chloropyridin-2-amine

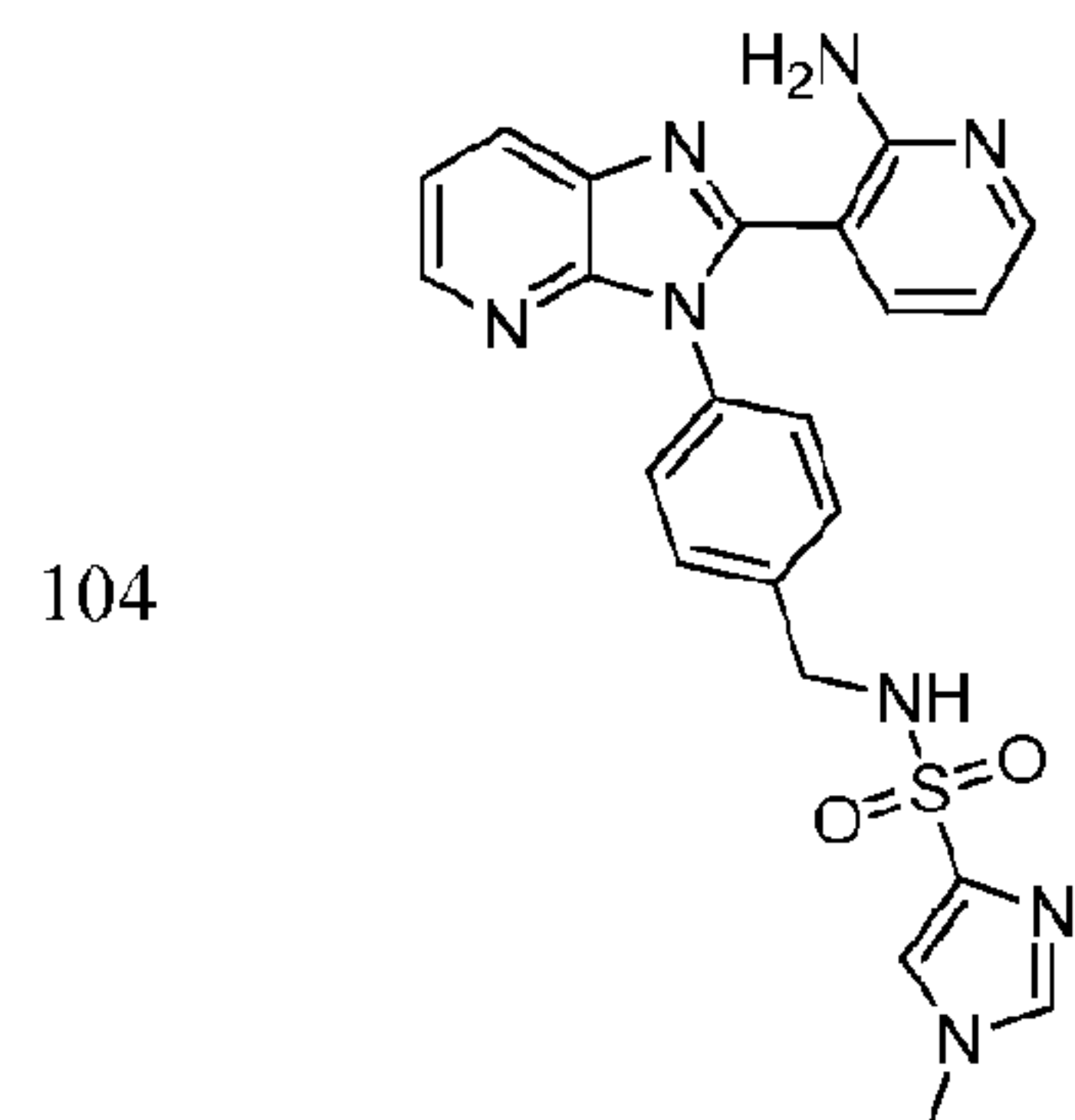
102



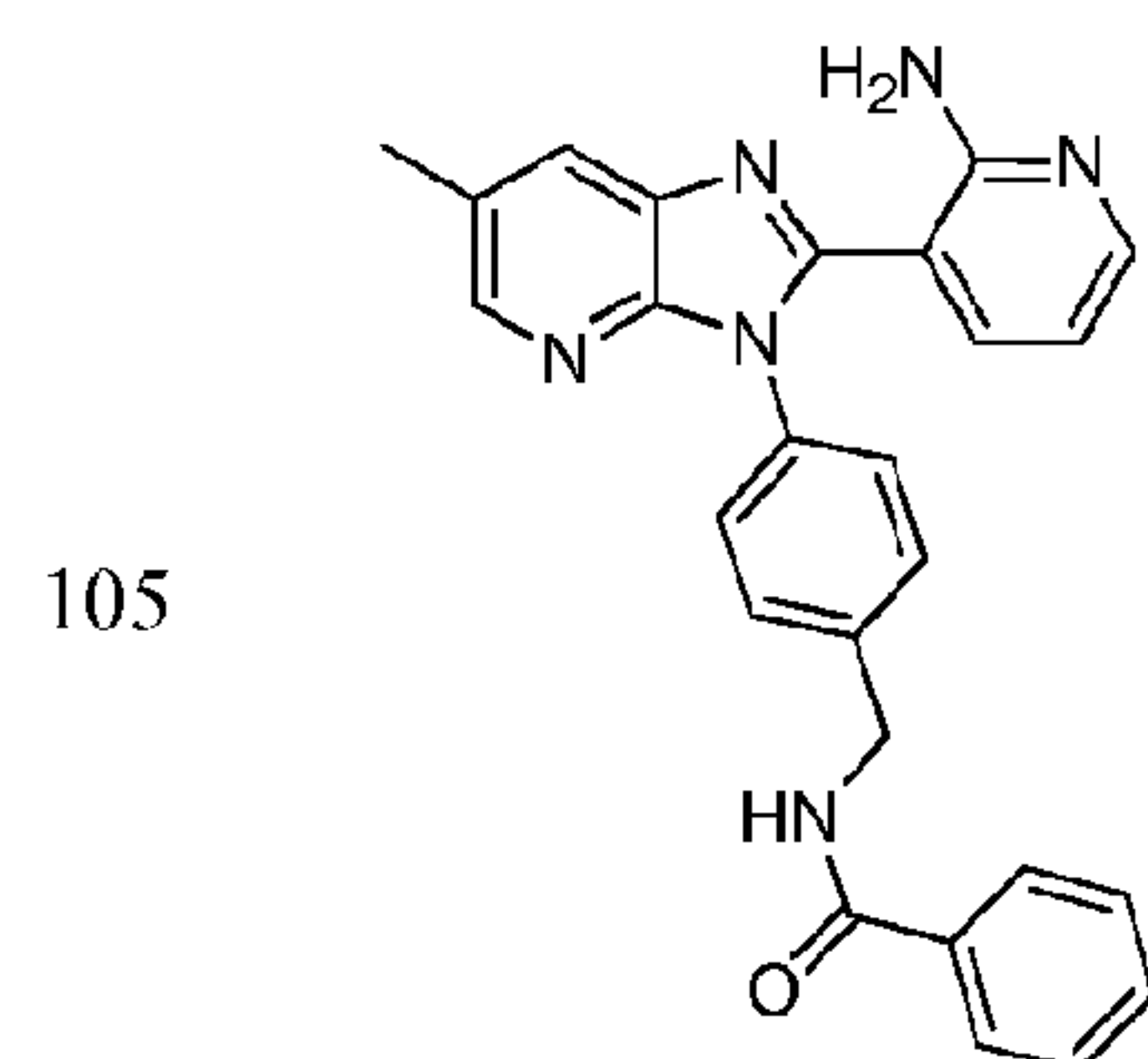
1-{4-[2-(2-amino-5-chloropyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea



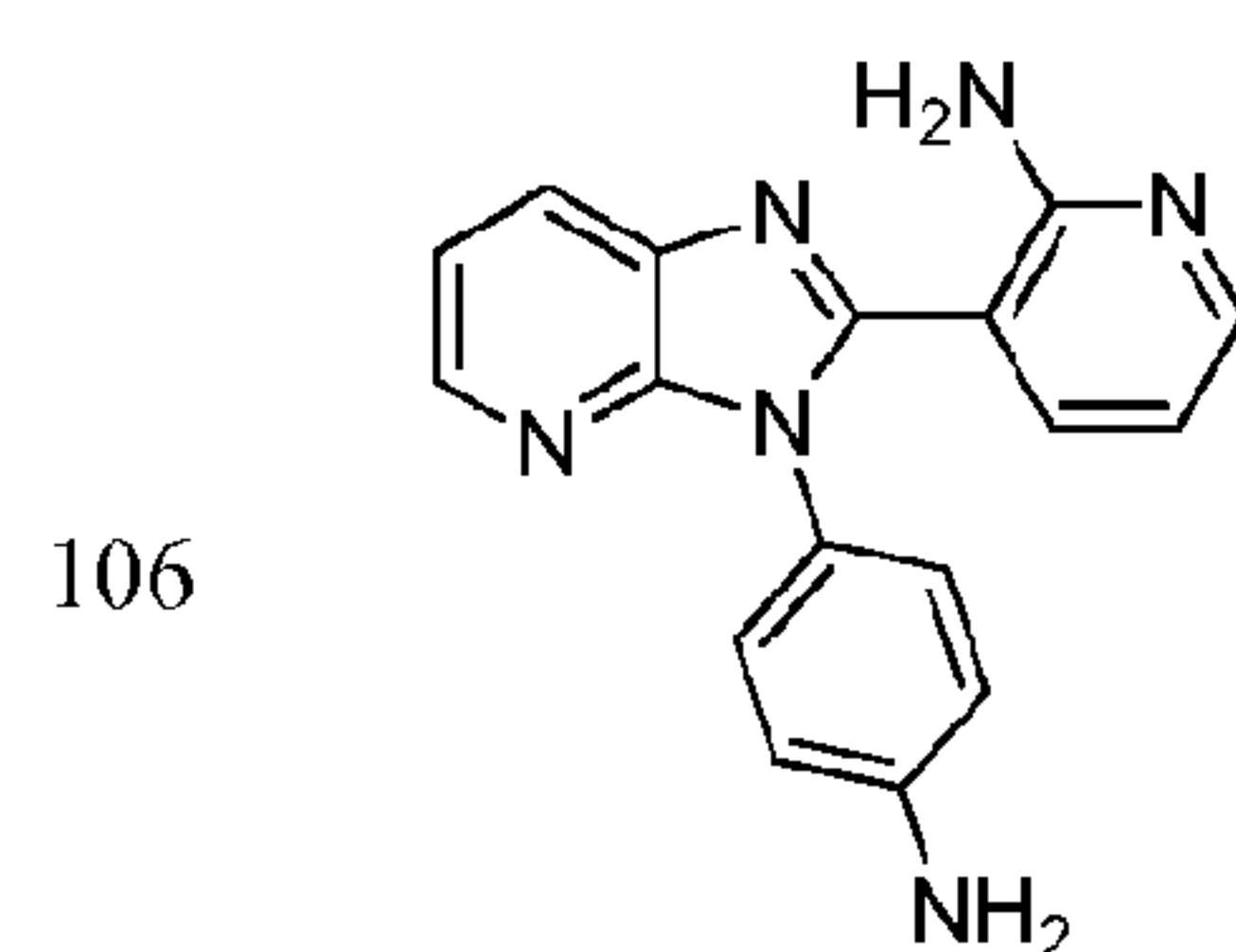
N-{4-[2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide



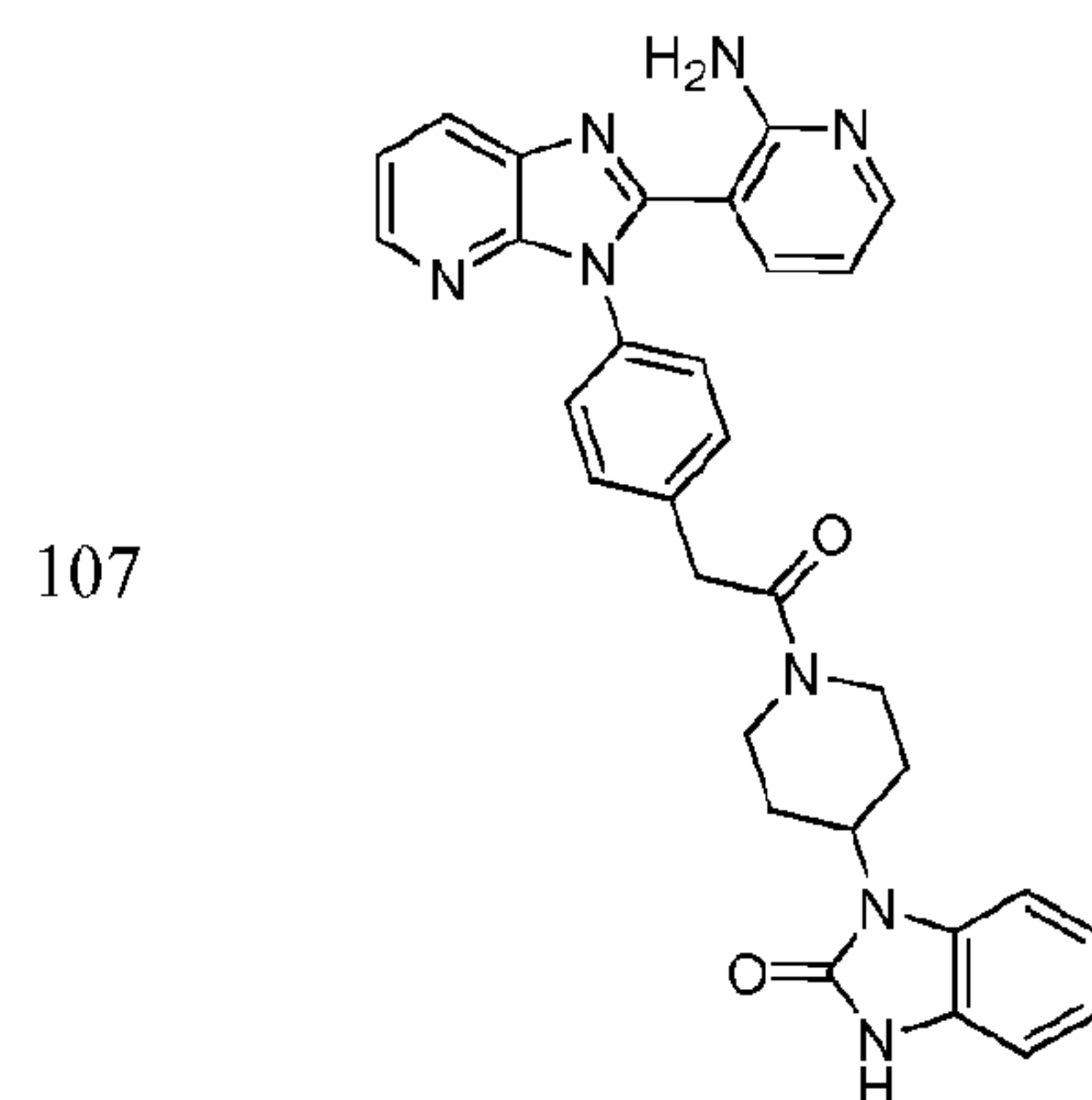
N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-imidazole-4-sulfonamide



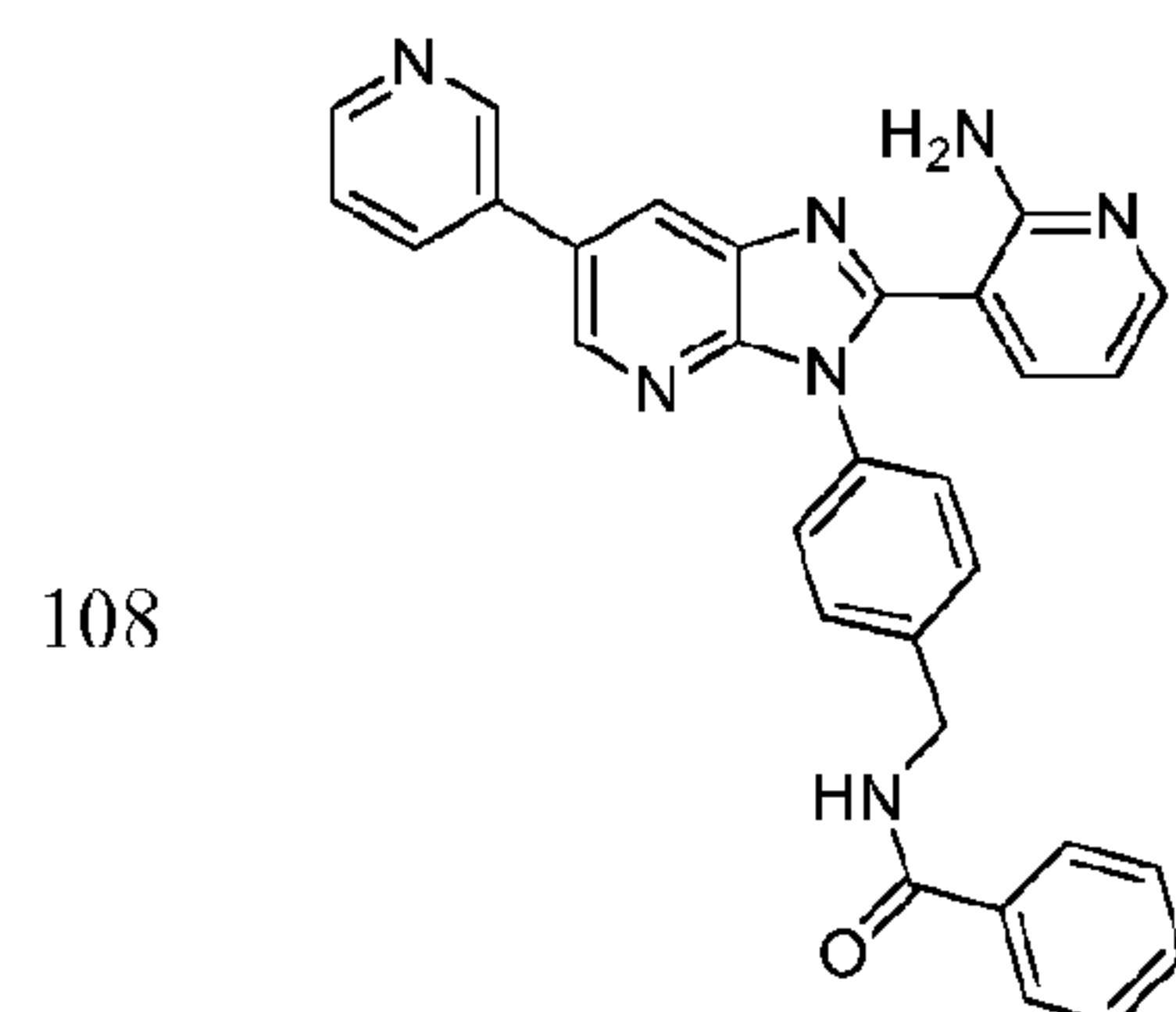
N-{4-[2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide



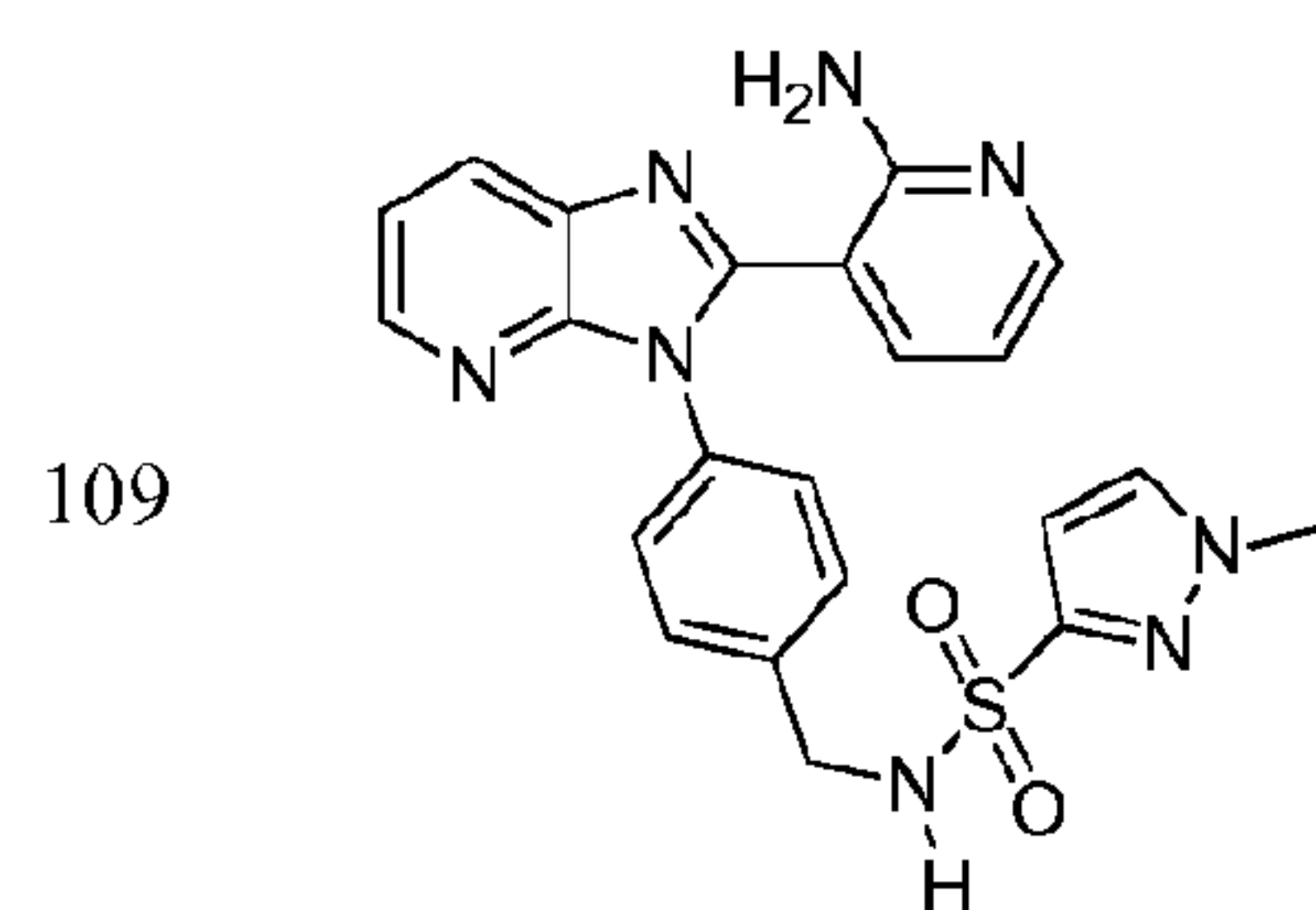
3-[3-(4-aminophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]pyridin-2-amine



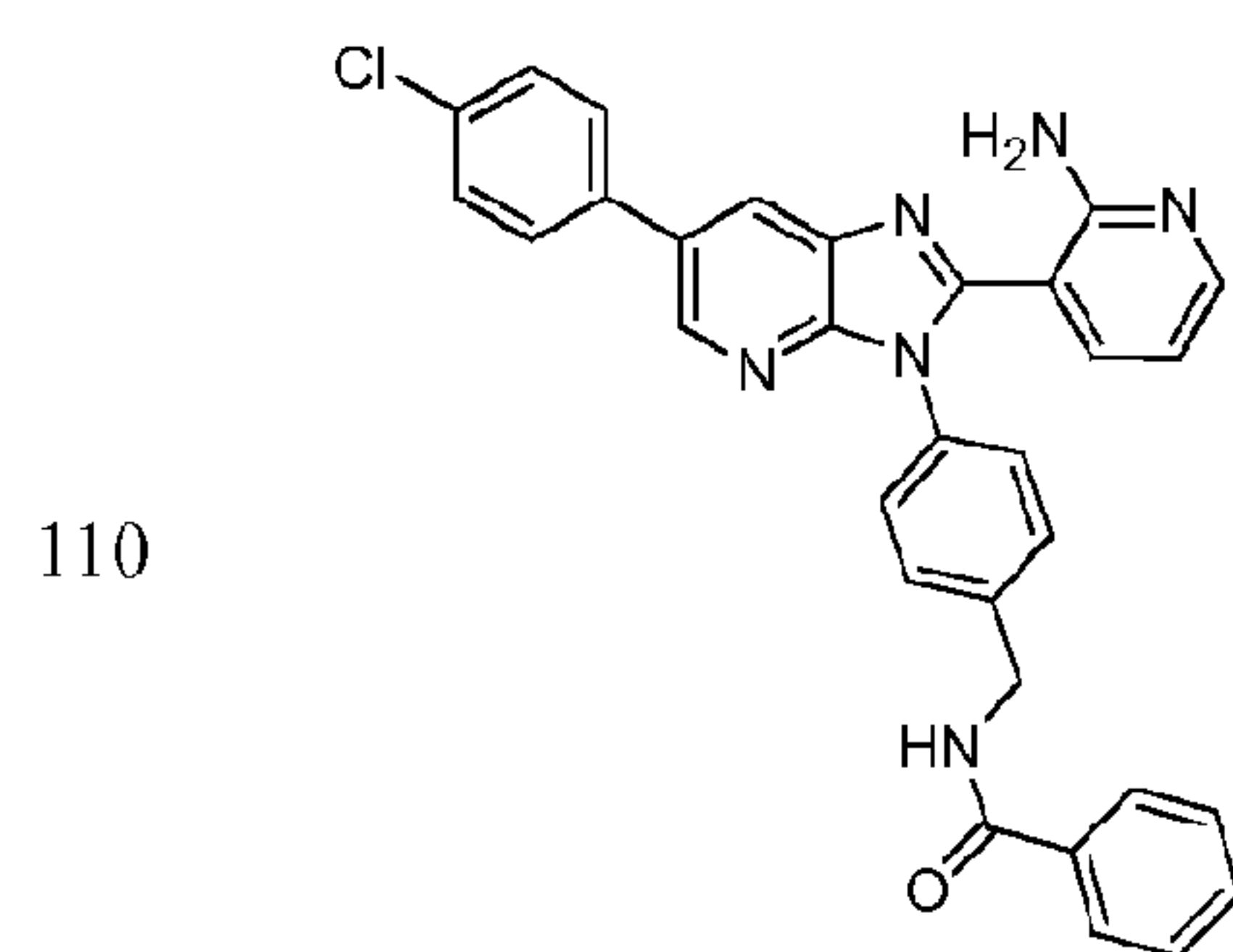
1-(1-(2-(4-(2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetyl)piperidin-4-yl)-1H-benzo[d]imidazol-2(3H)-one



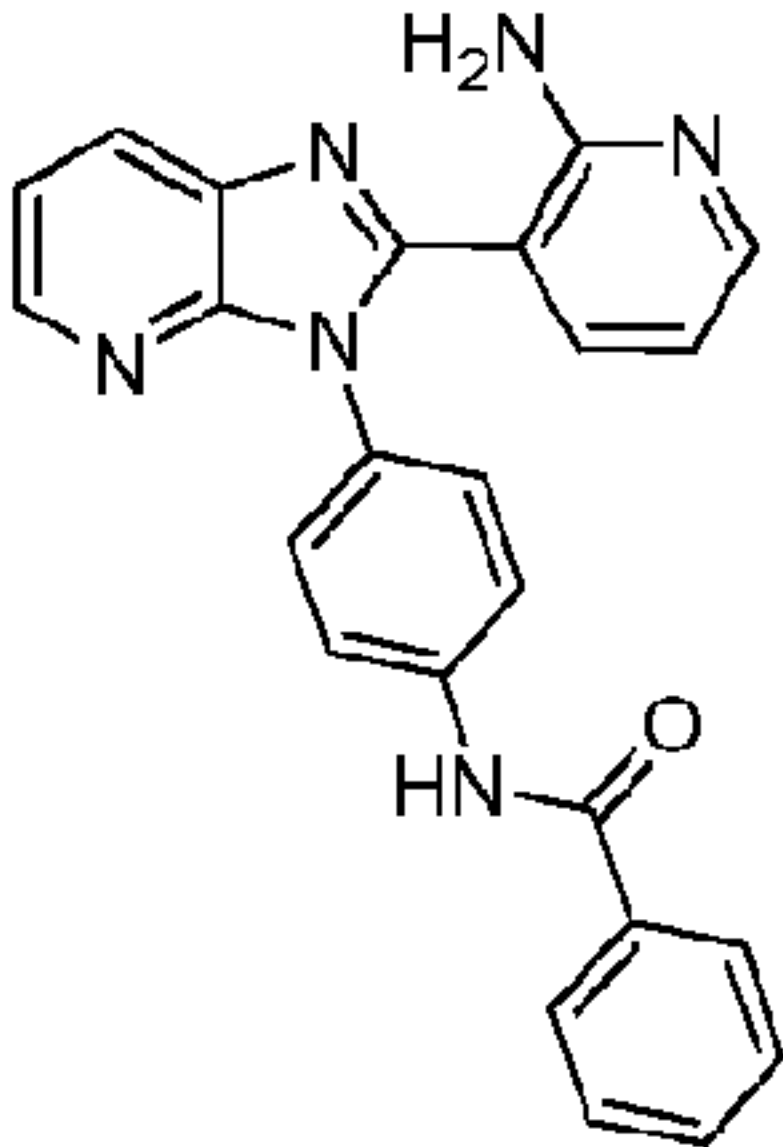
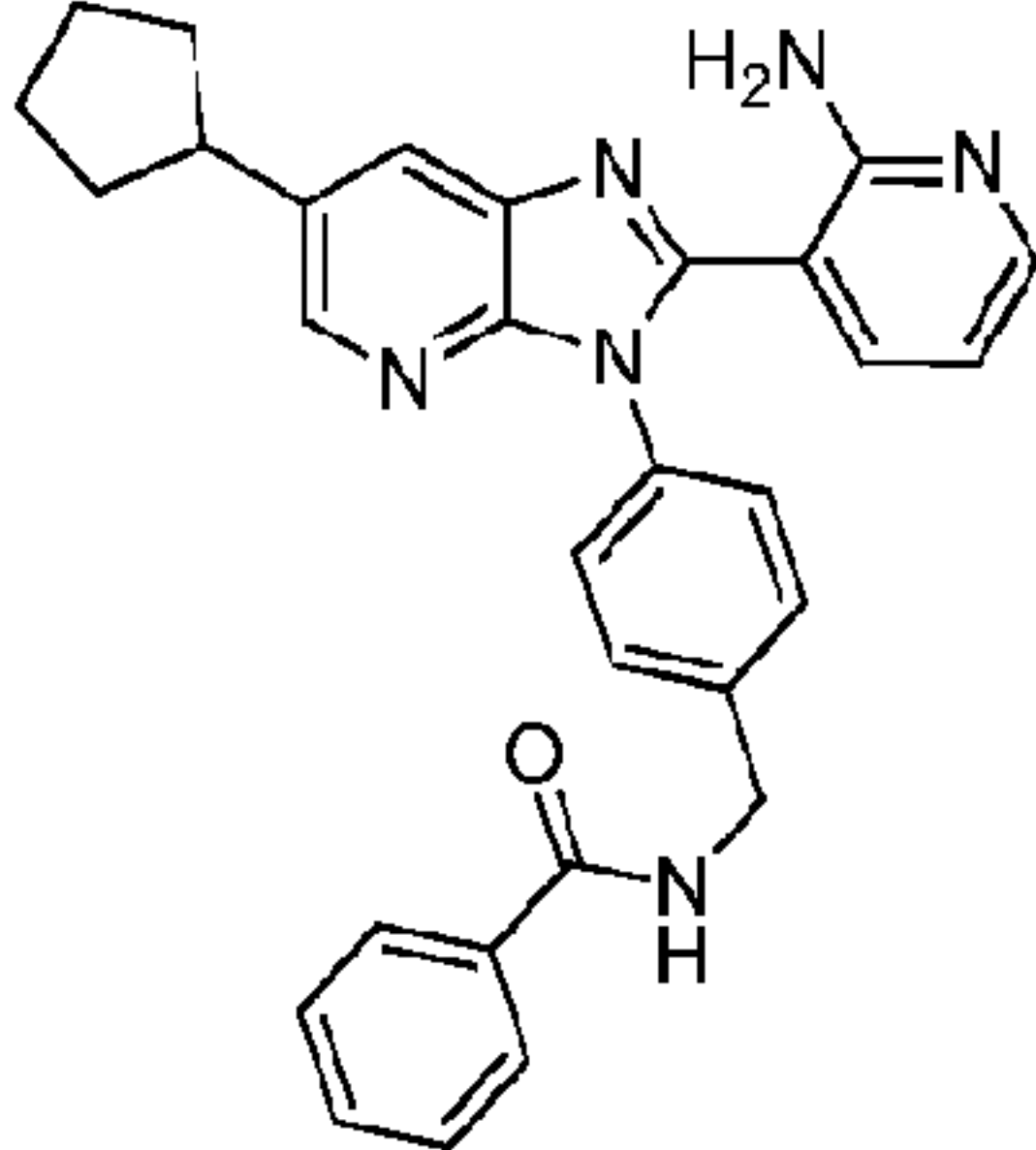
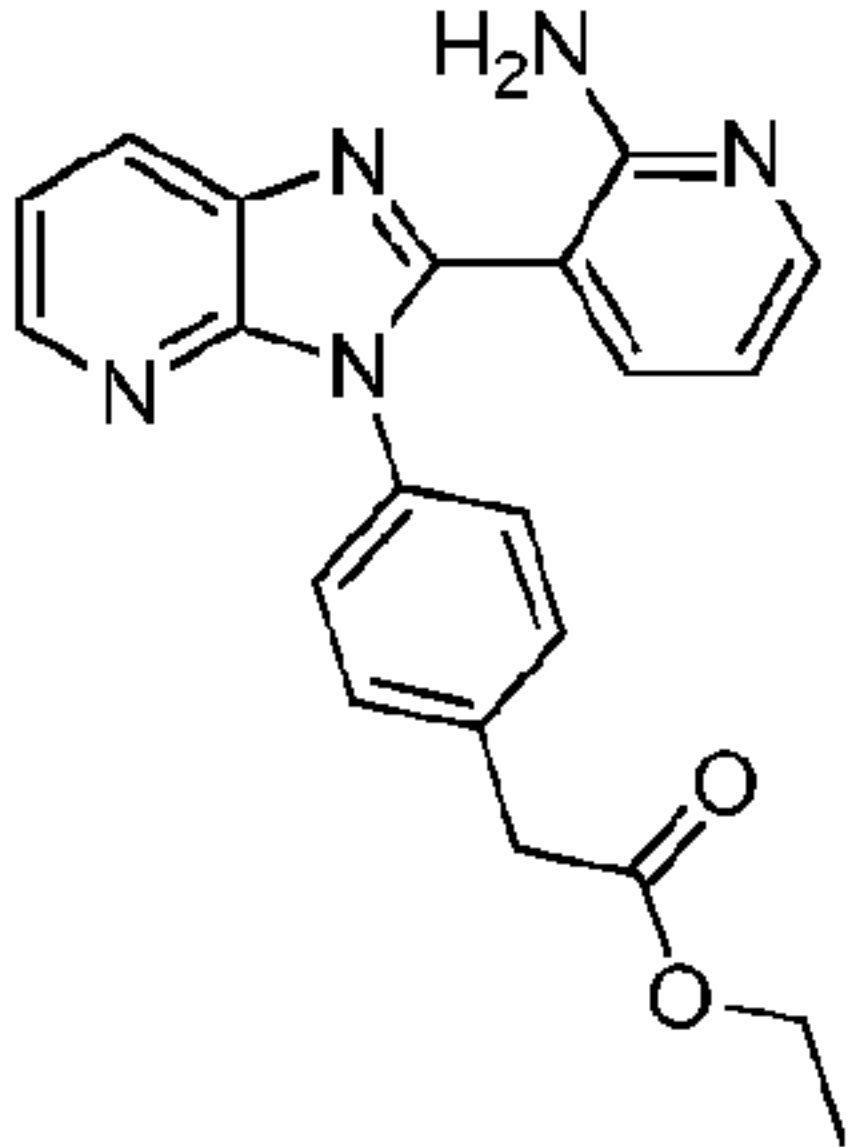
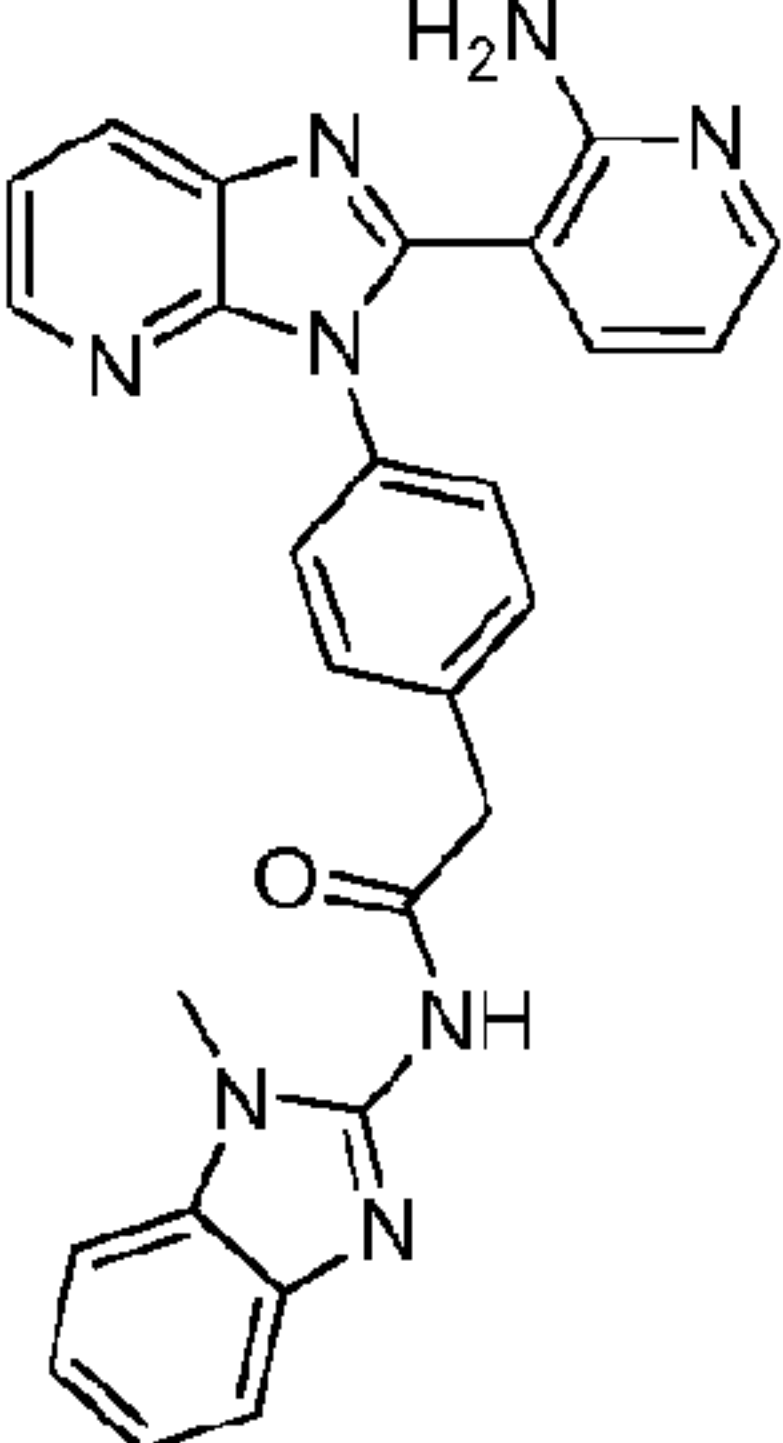
N-{4-[2-(2-aminopyridin-3-yl)-6-pyridin-3-yl-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

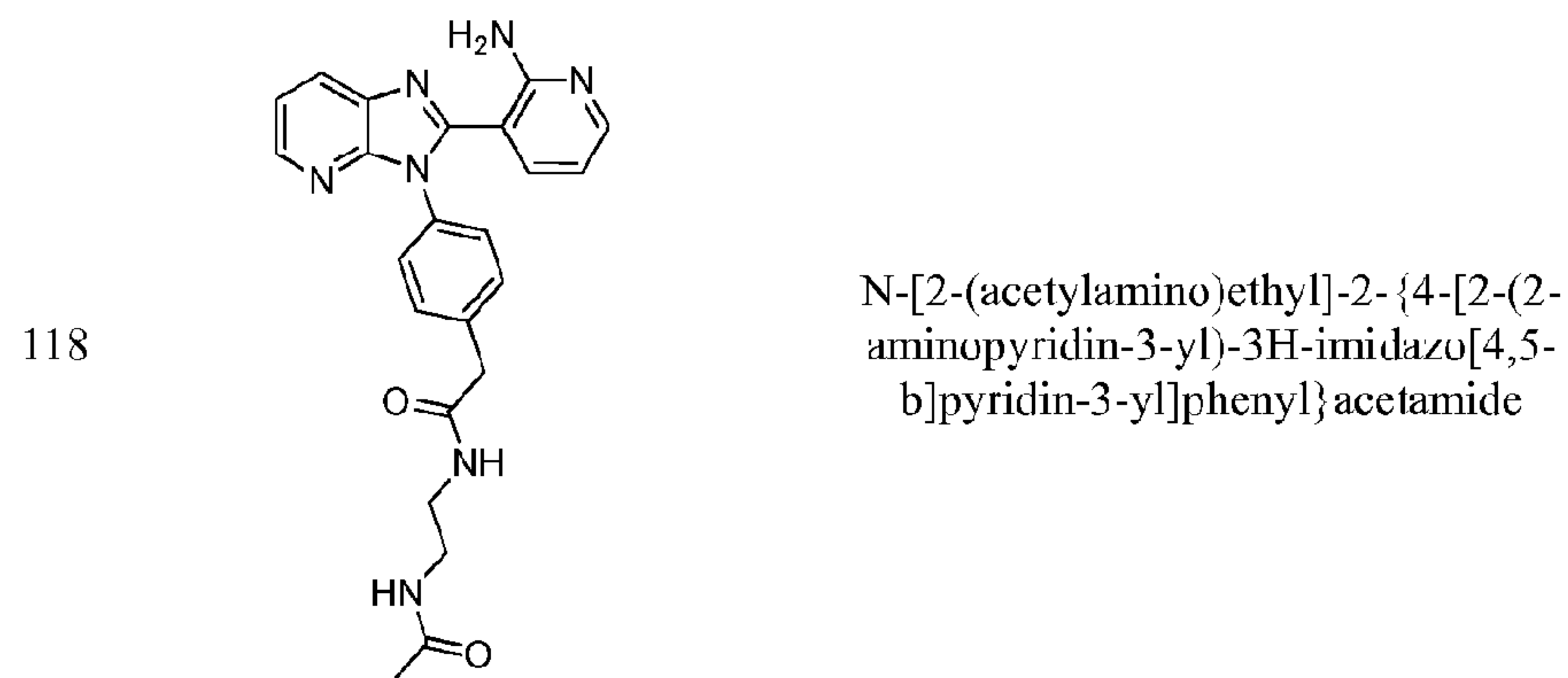
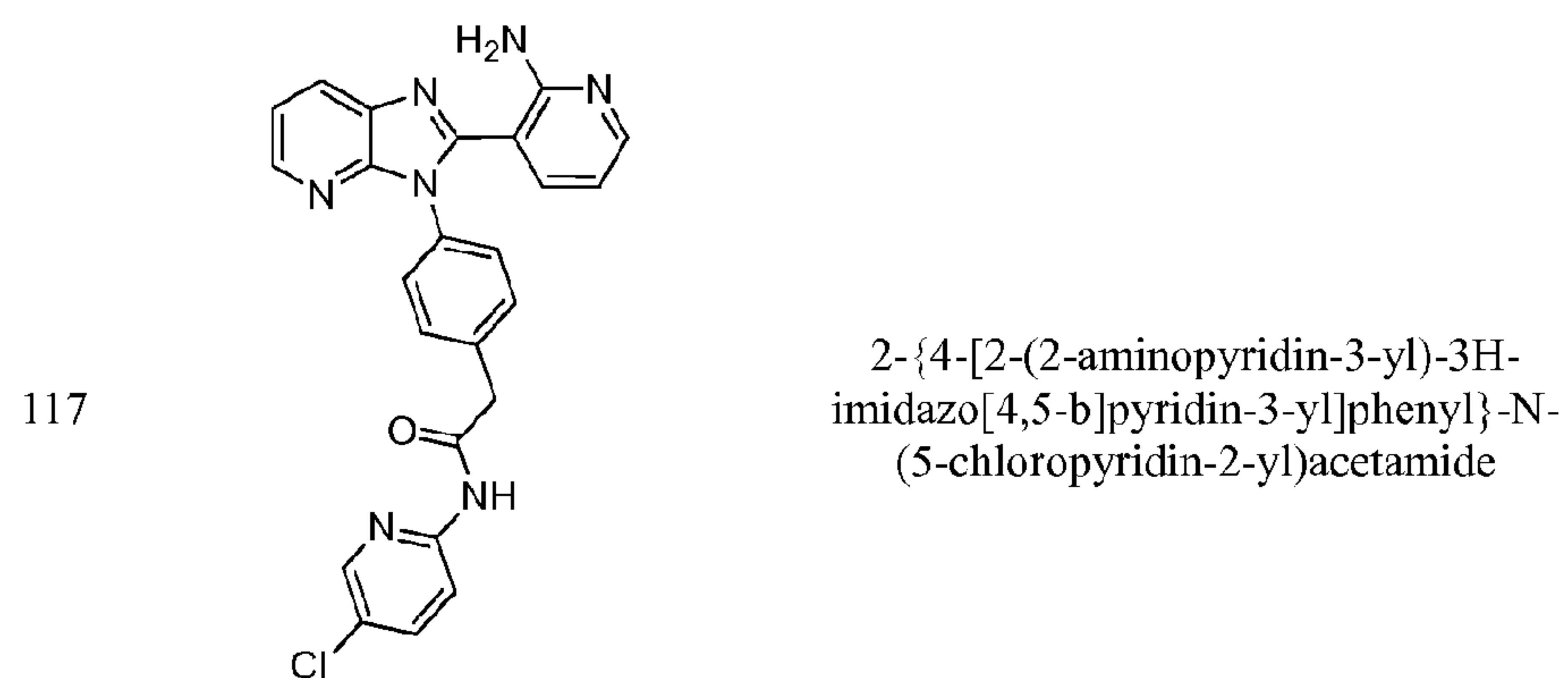
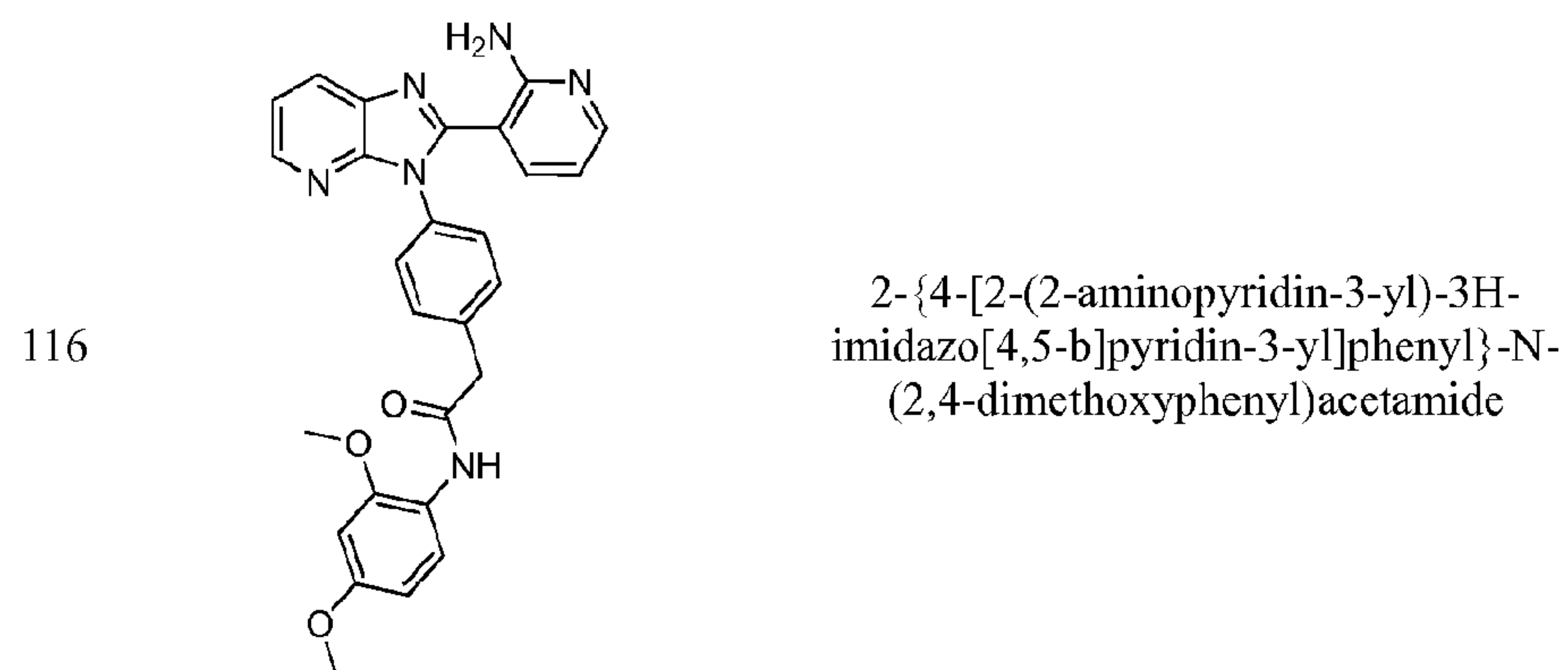
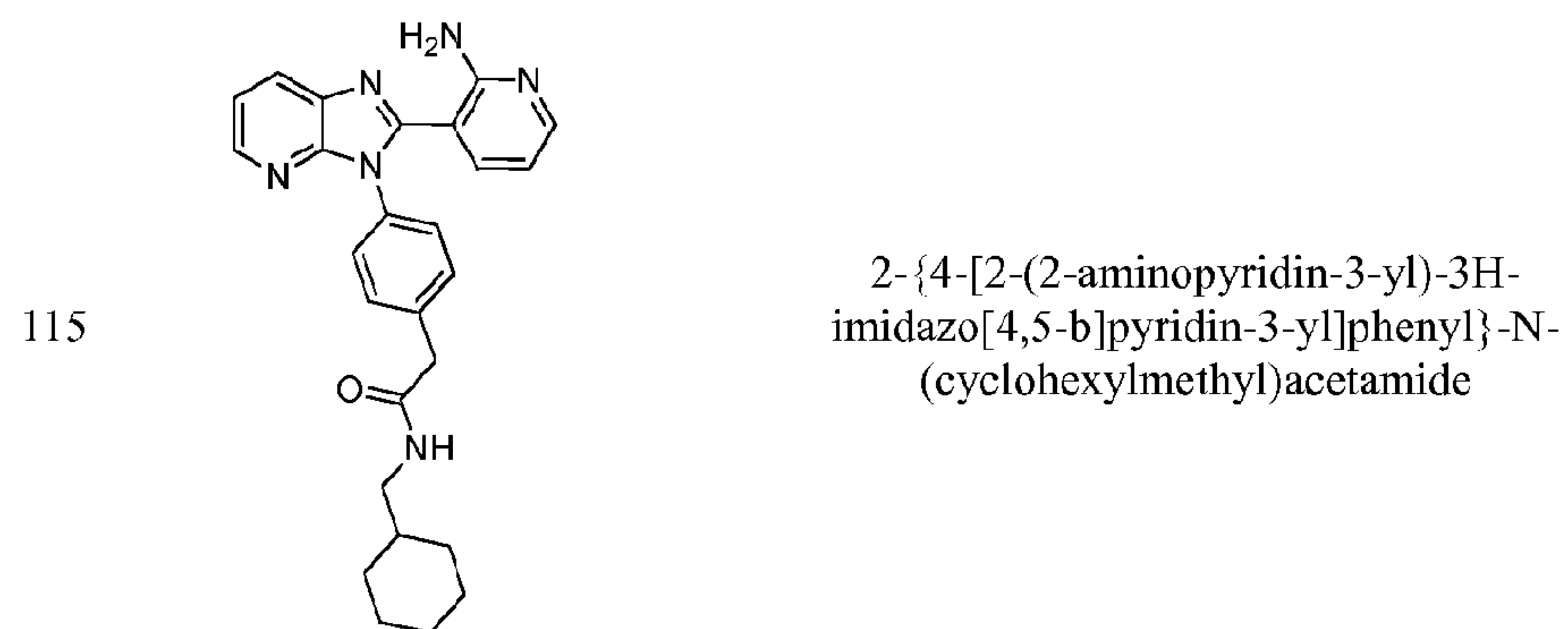


N-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-1-methyl-1H-pyrazole-3-sulfonamide

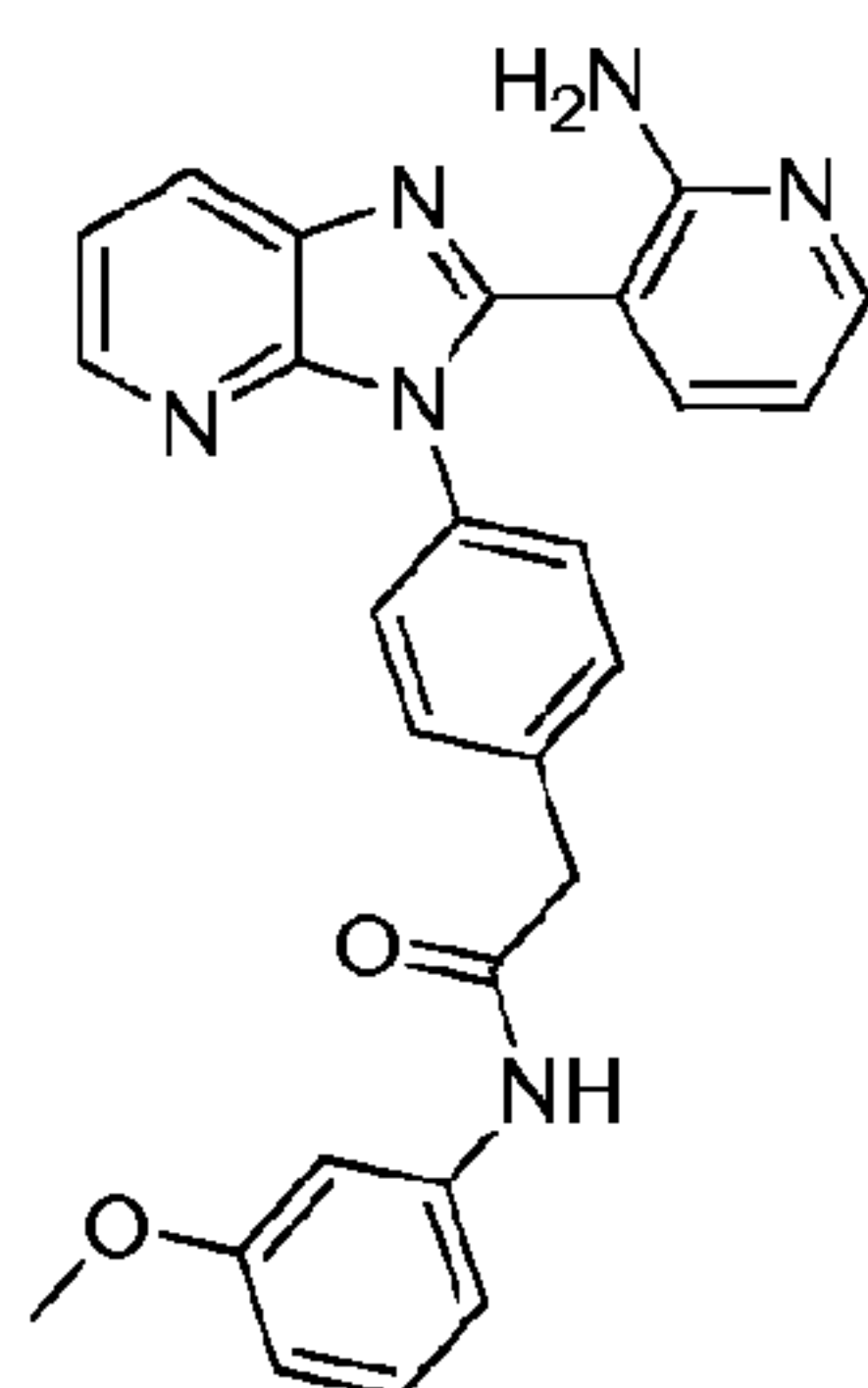


N-{4-[2-(2-aminopyridin-3-yl)-6-(4-chlorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

- 111 
- 112 
- 113 
- 114 

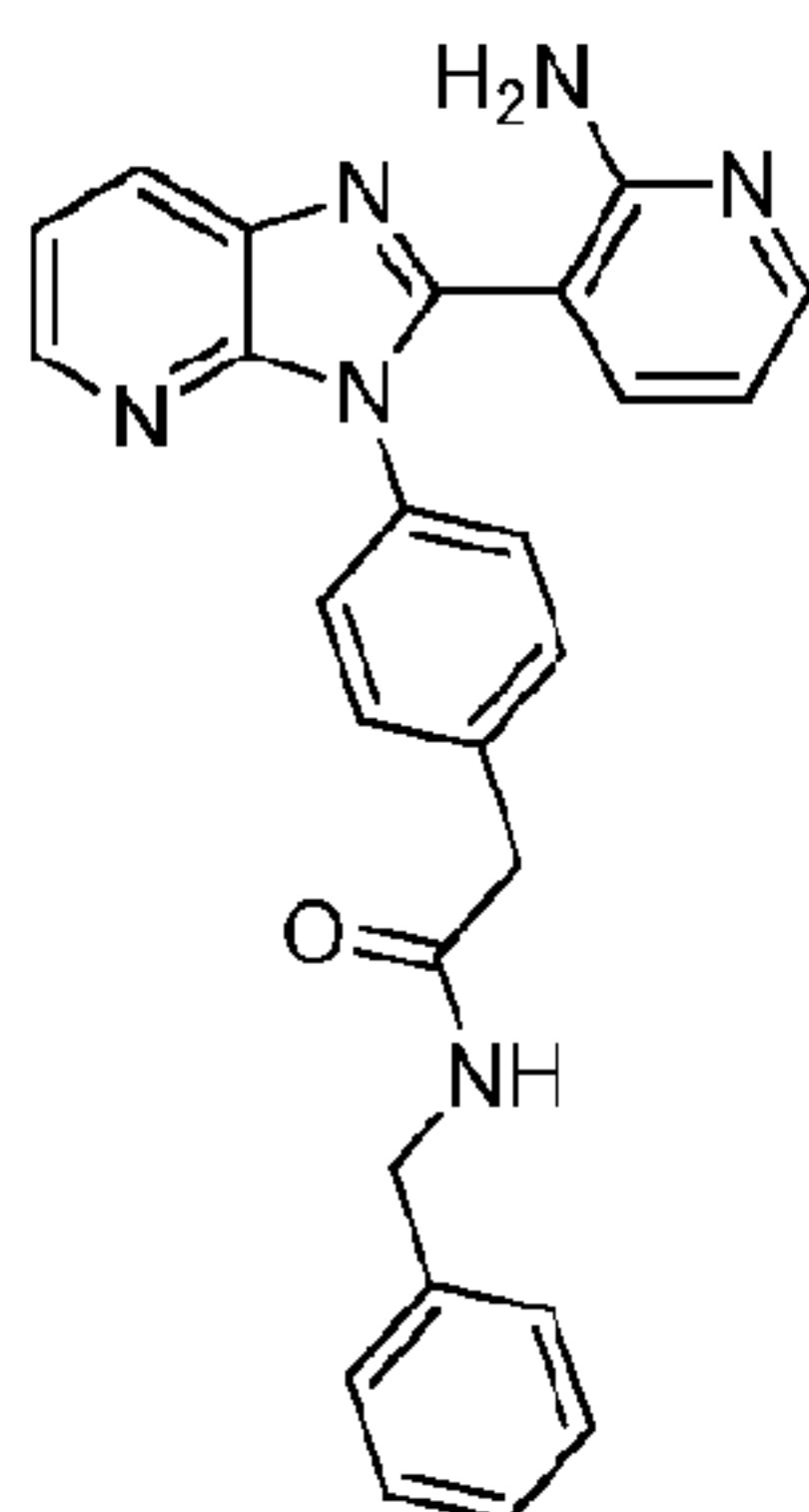


119



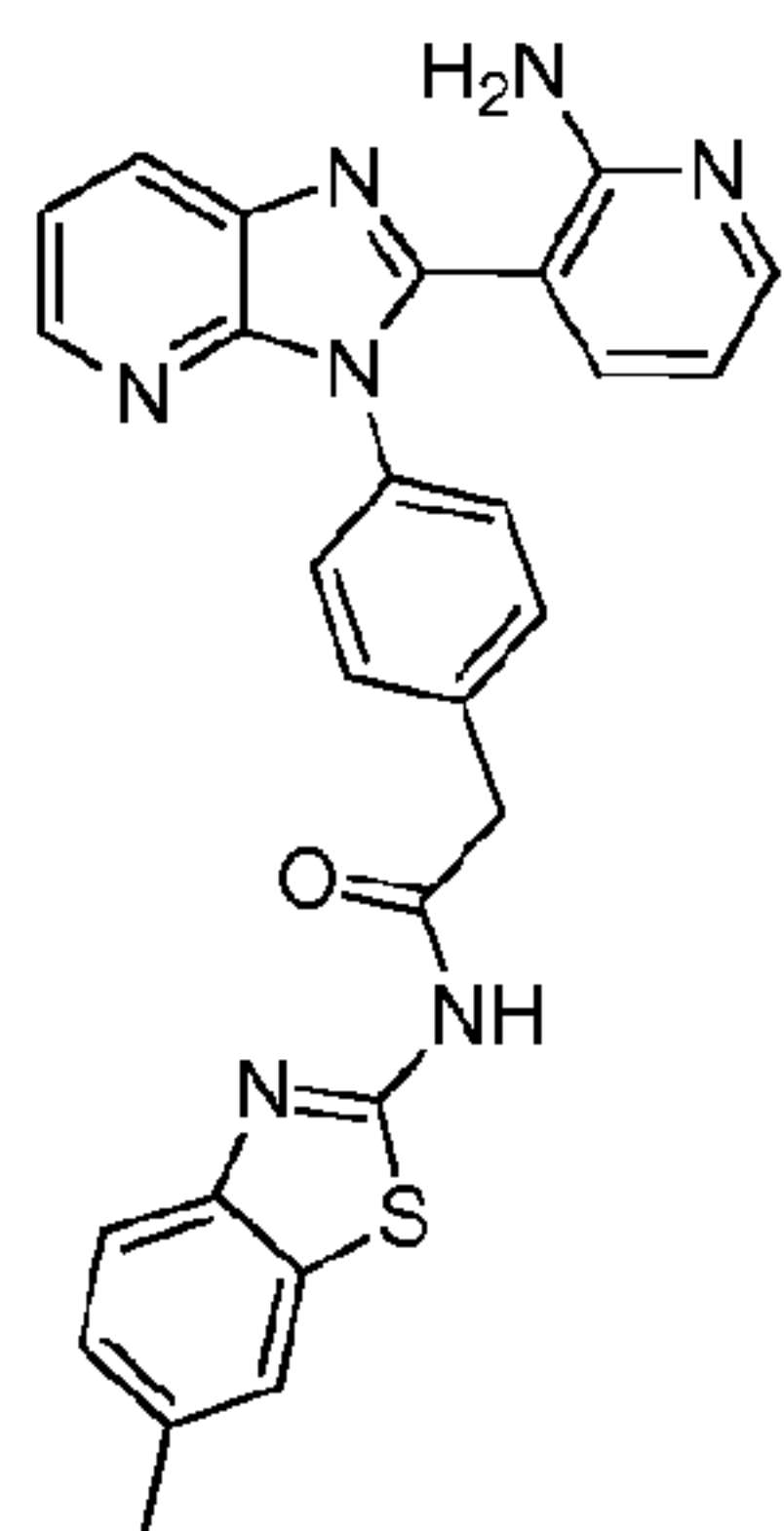
2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-methoxyphenyl)acetamide

120



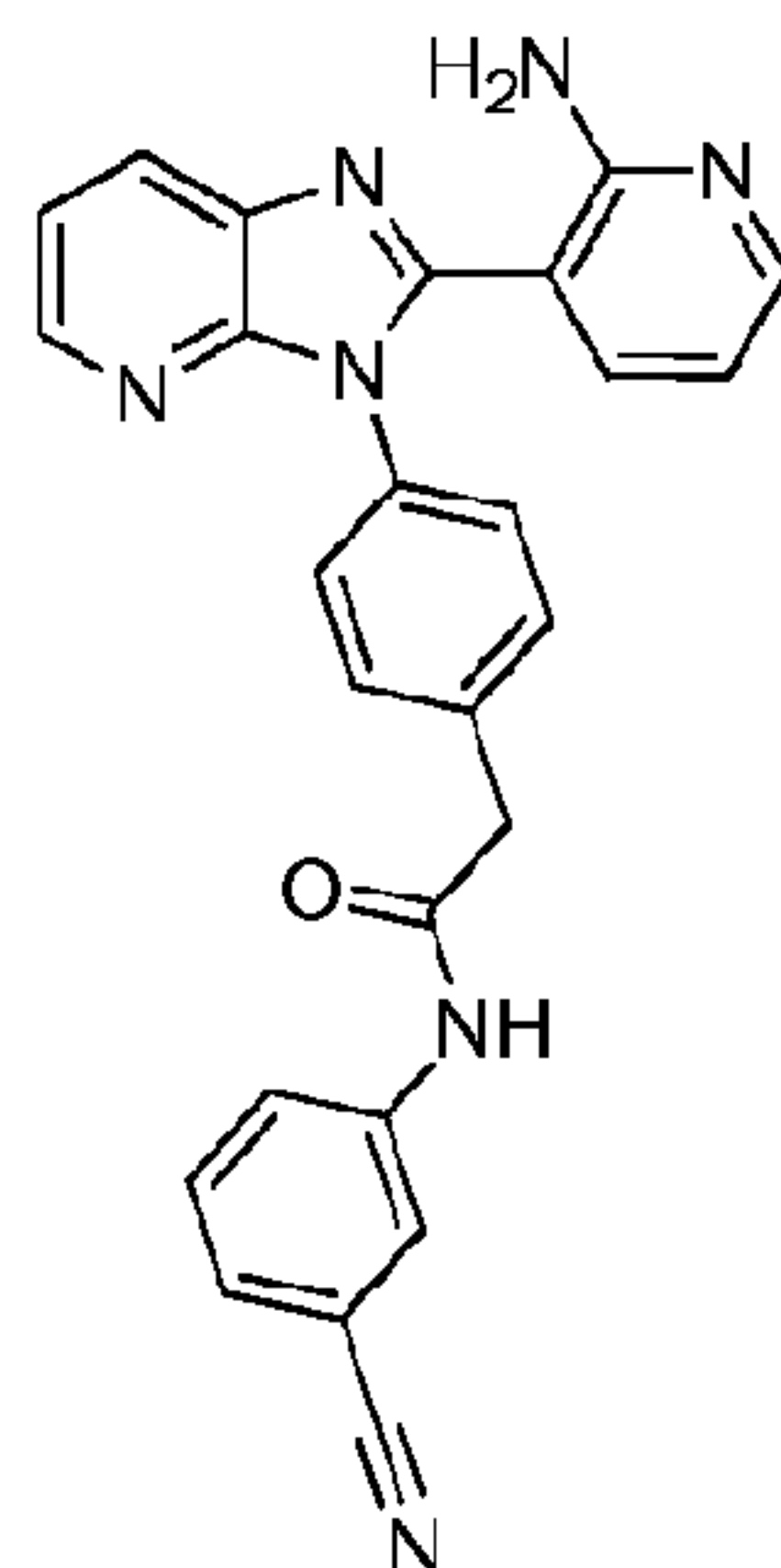
2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-benzylacetamide

121

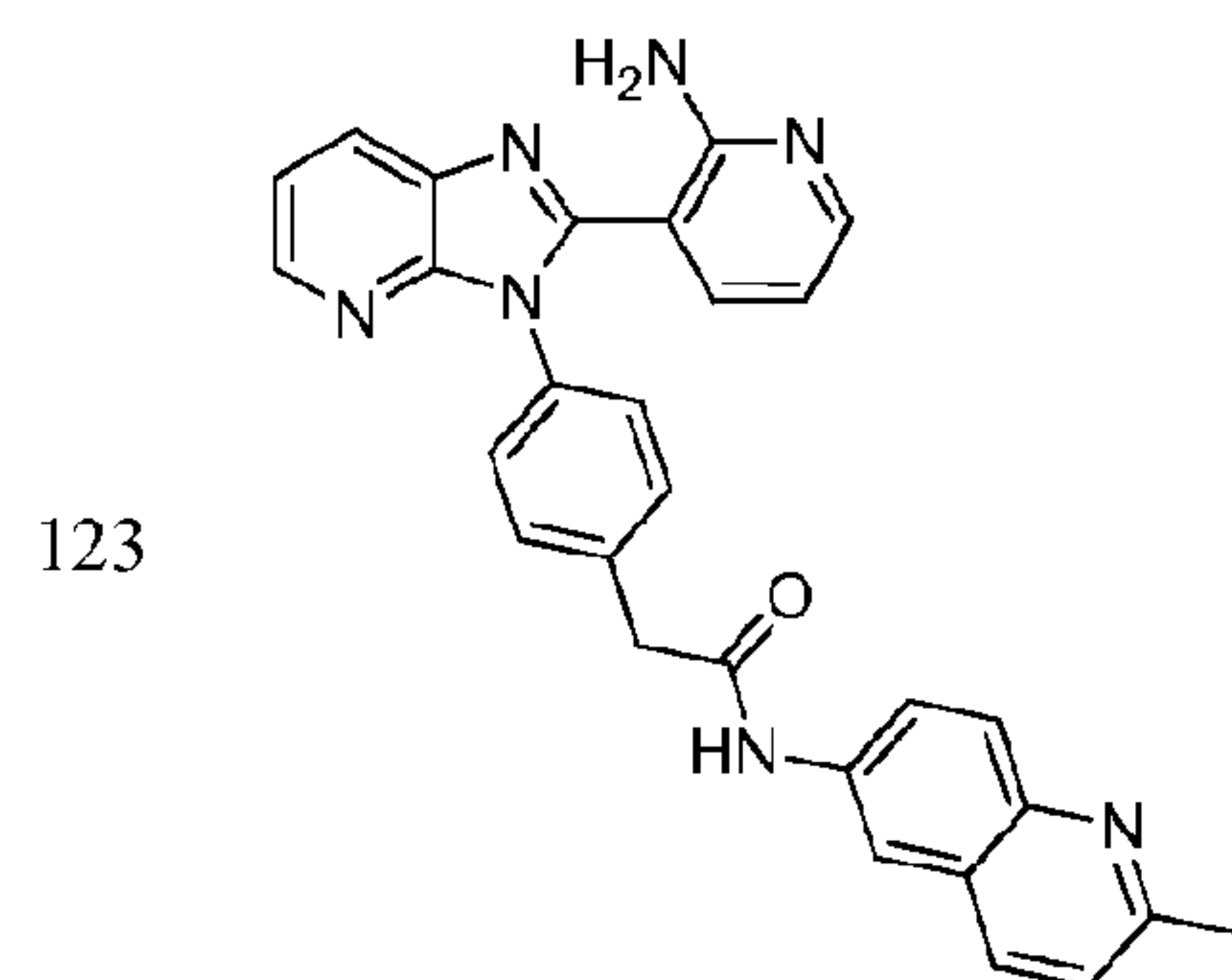


2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(6-methyl-1,3-benzothiazol-2-yl)acetamide

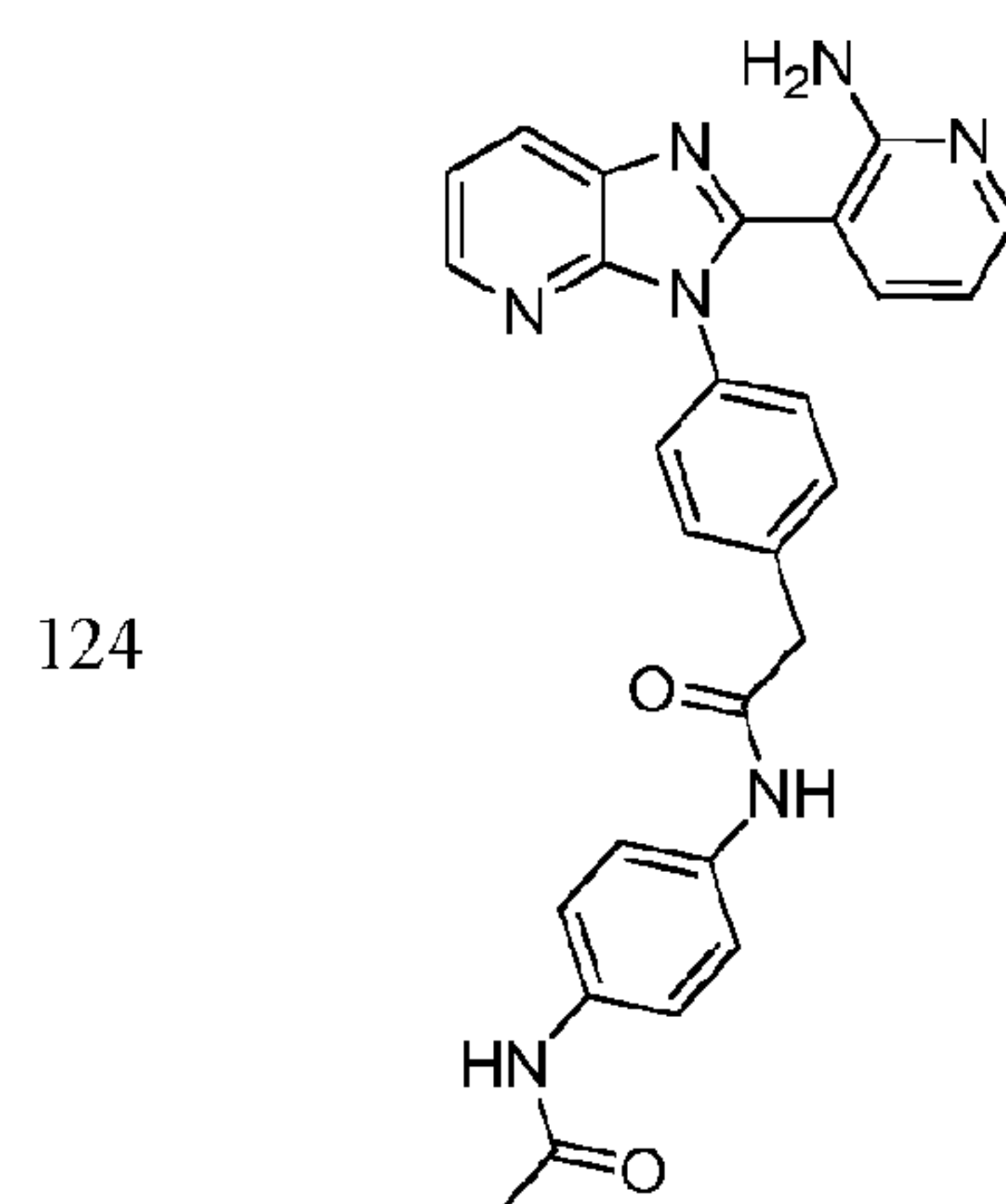
122



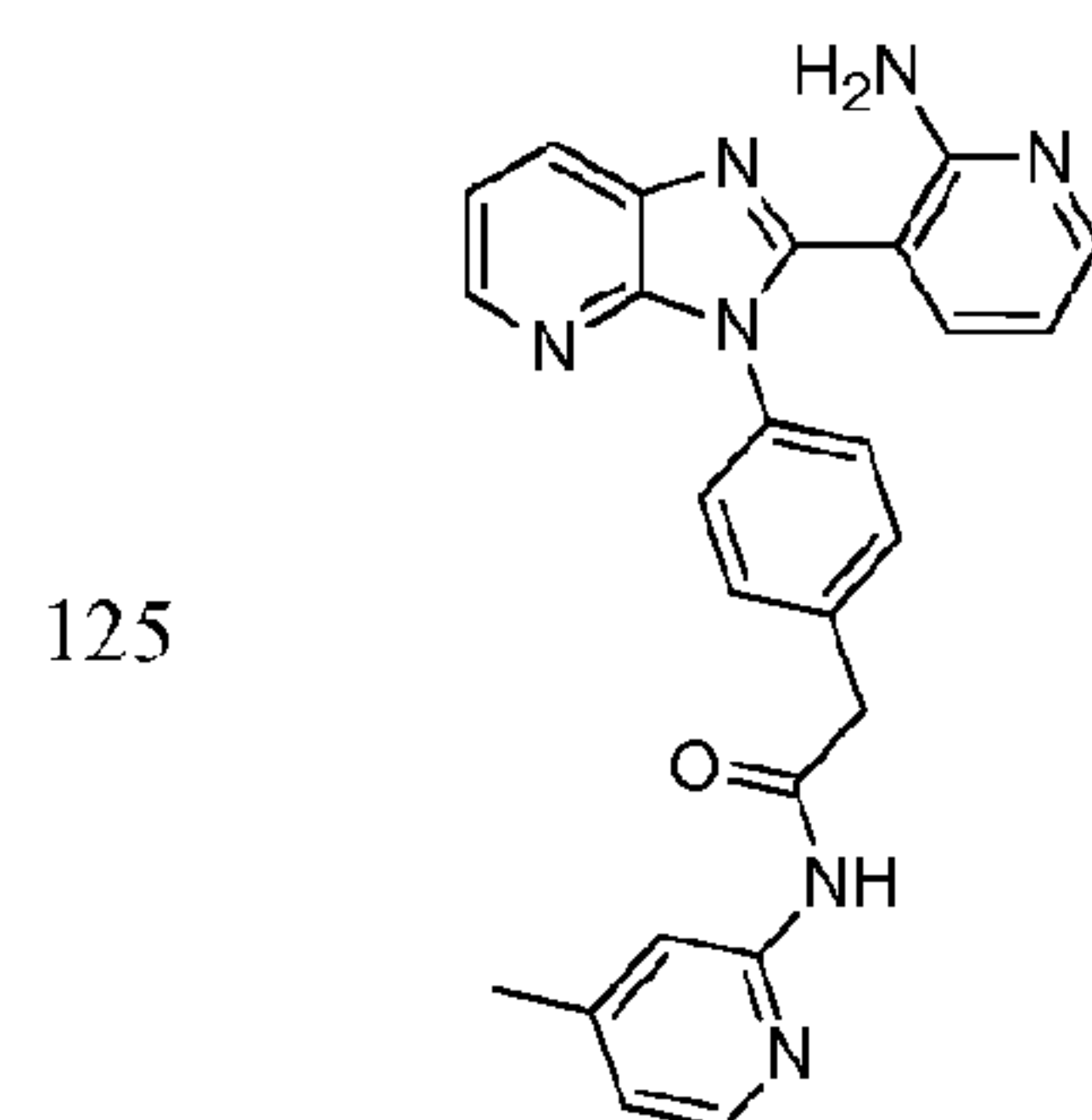
2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(3-cyanophenyl)acetamide



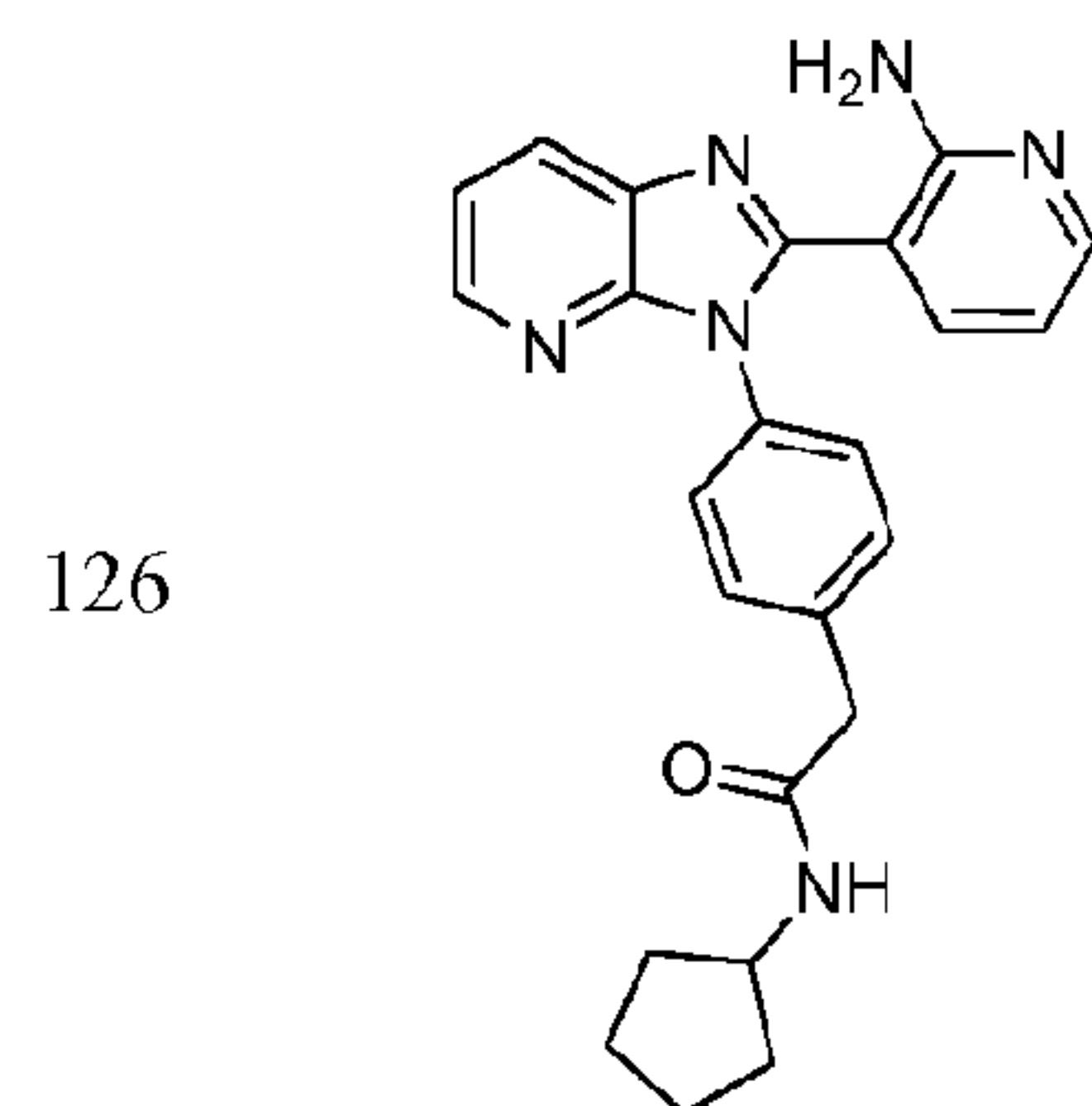
2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(2-methylquinolin-6-yl)acetamide



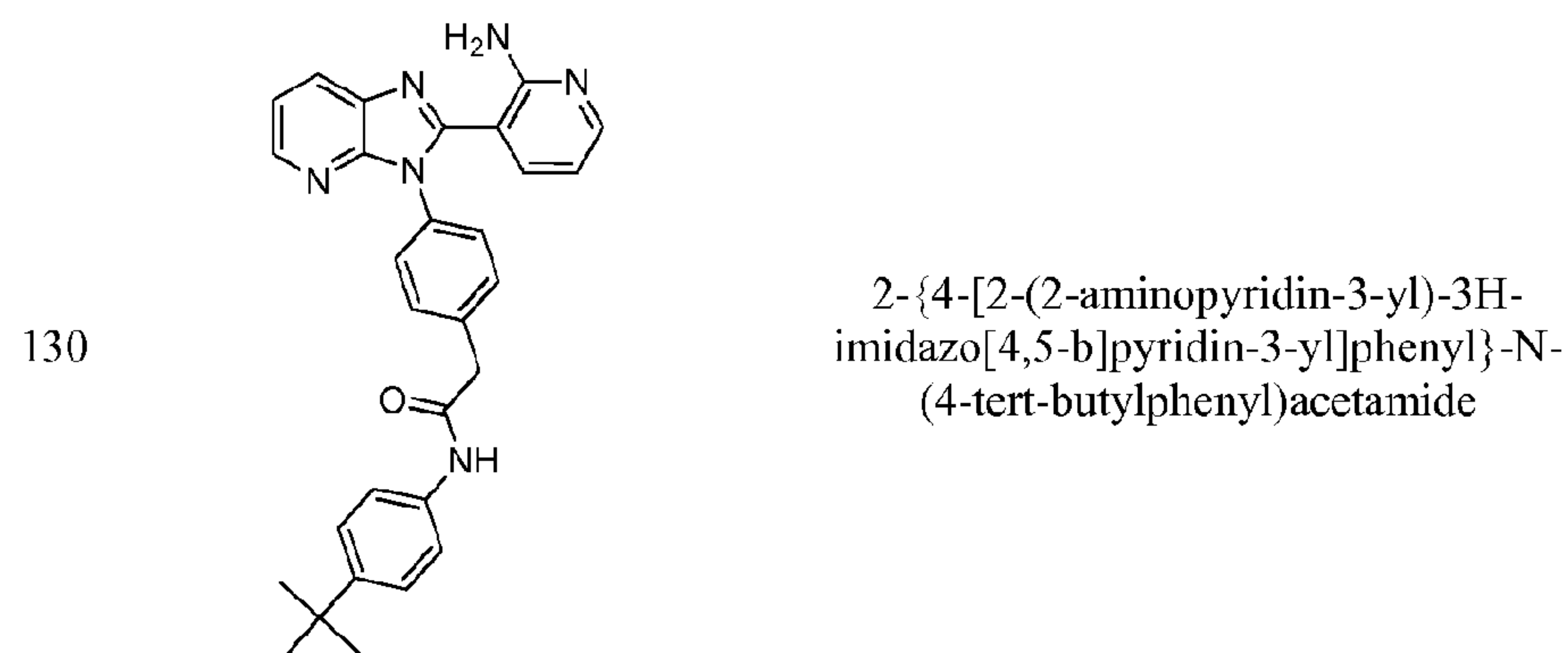
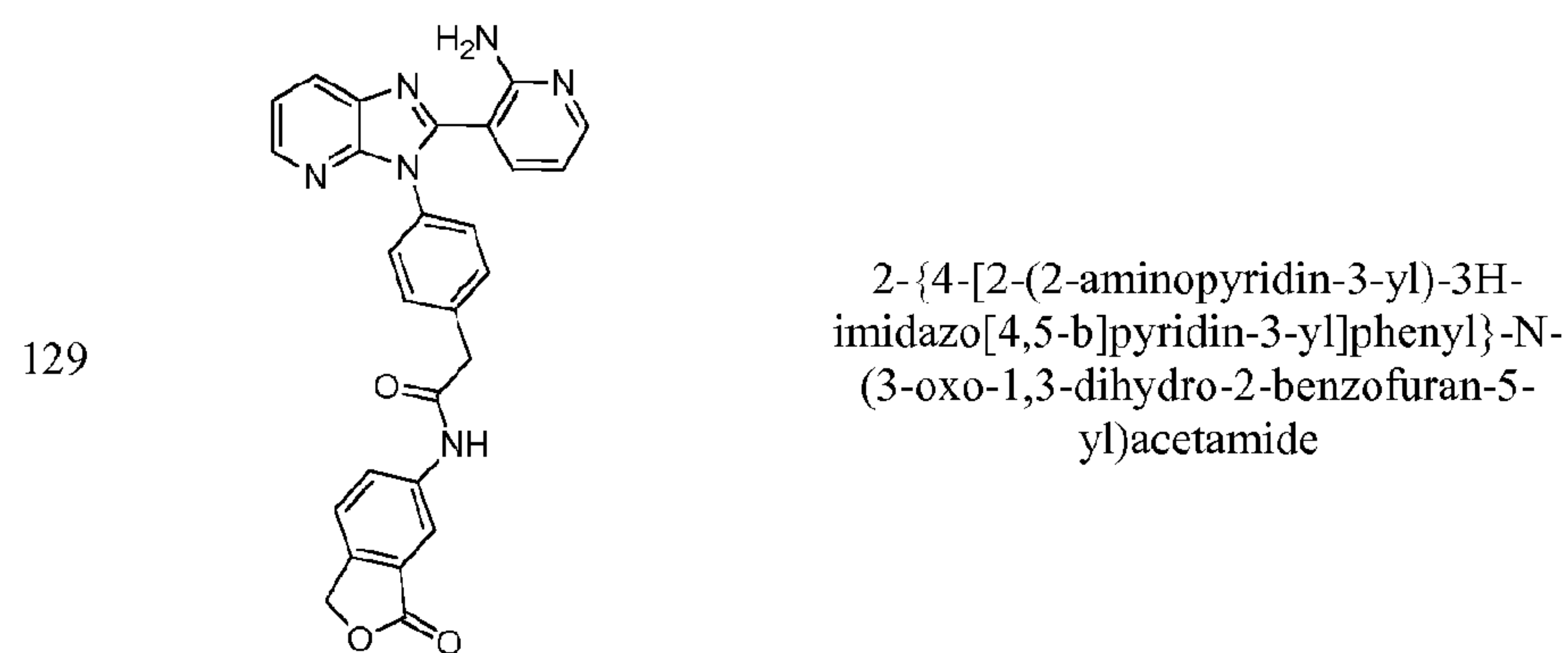
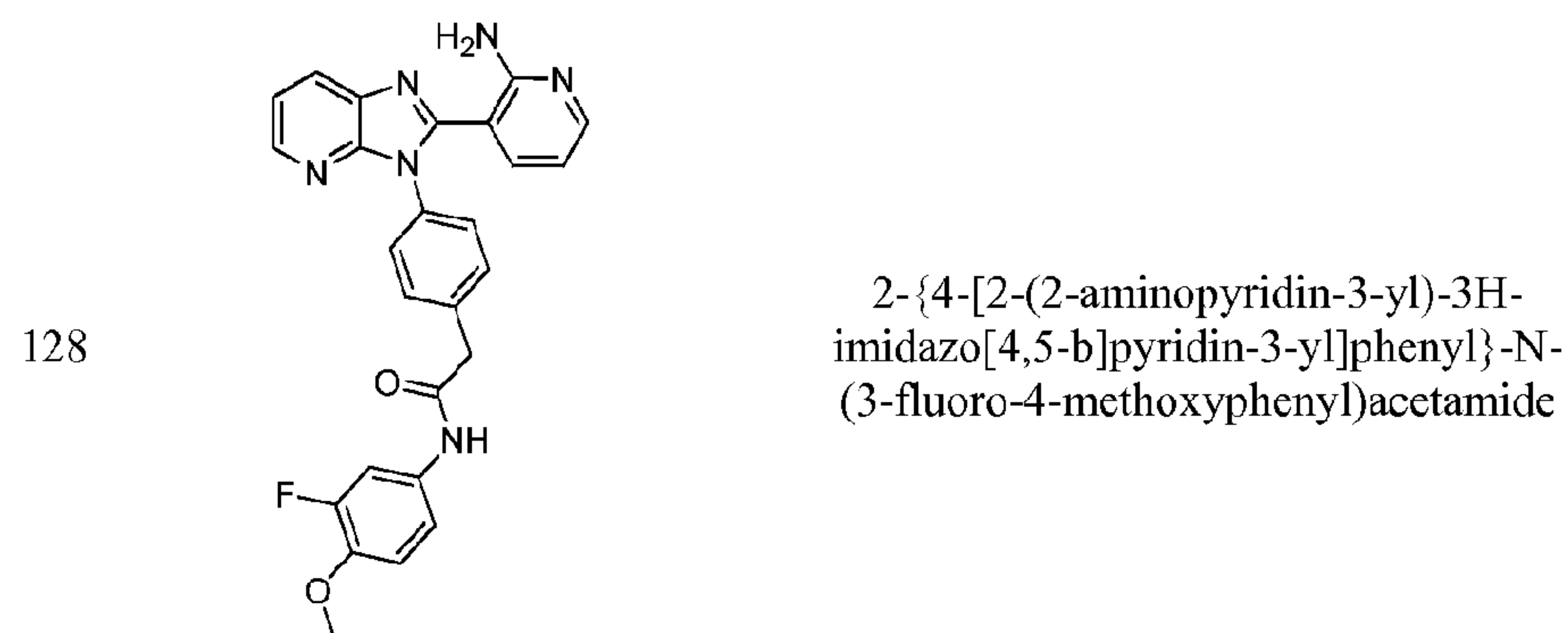
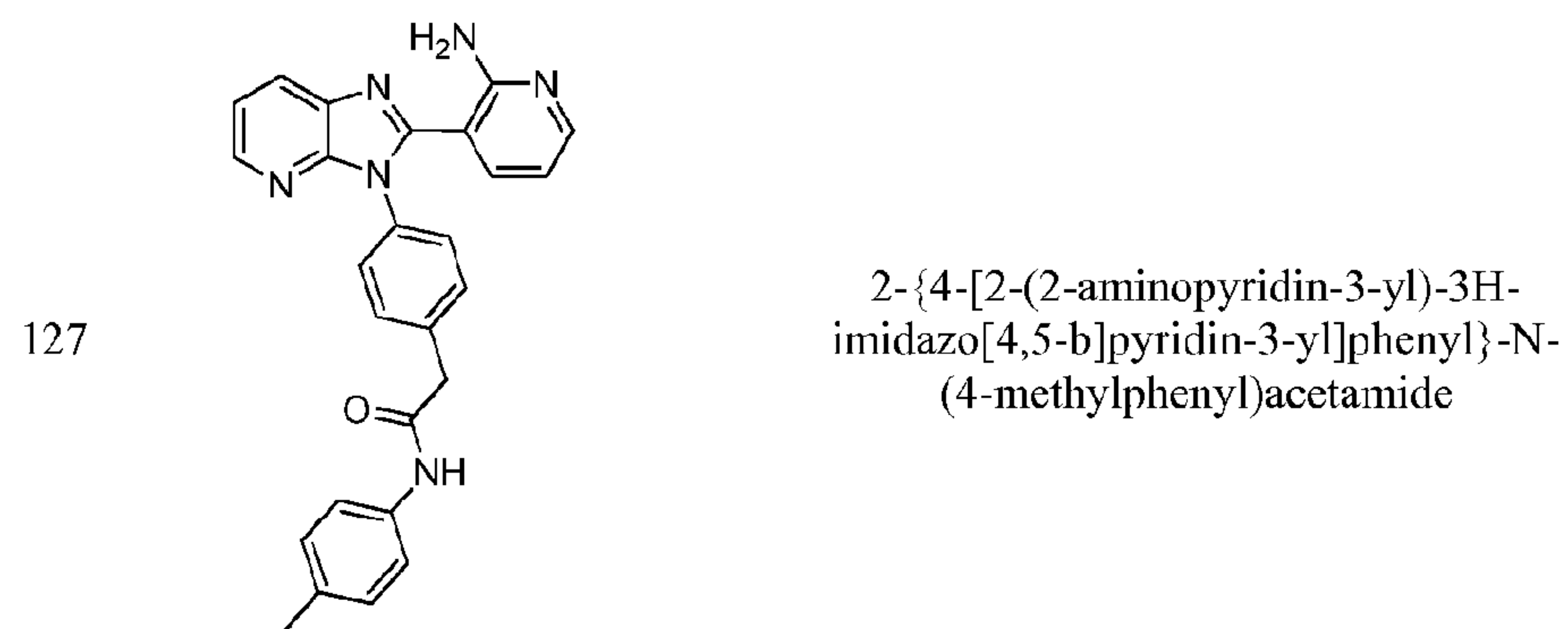
N-[4-(acetylamino)phenyl]-2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}acetamide

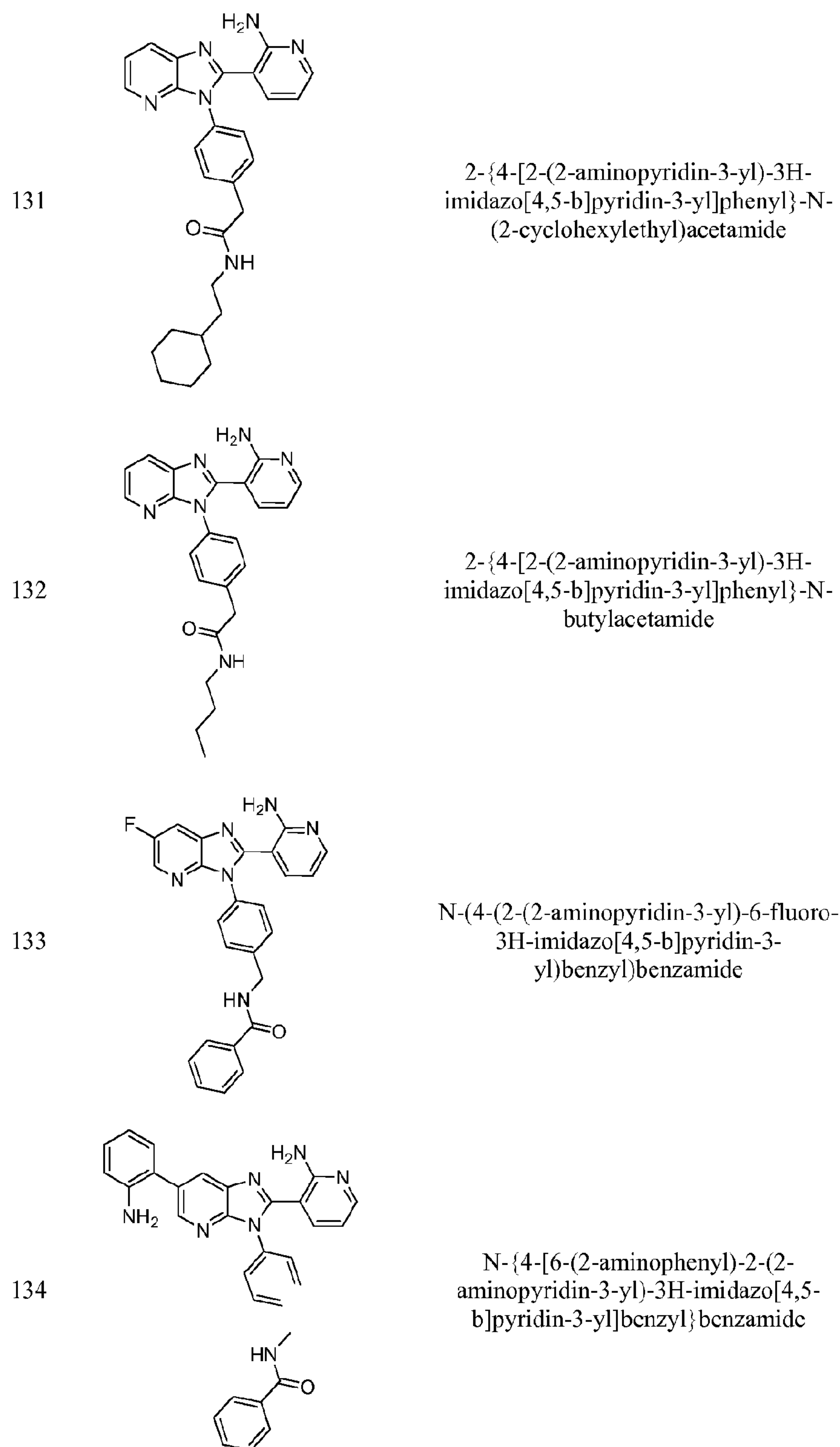


2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-(4-methylpyridin-2-yl)acetamide

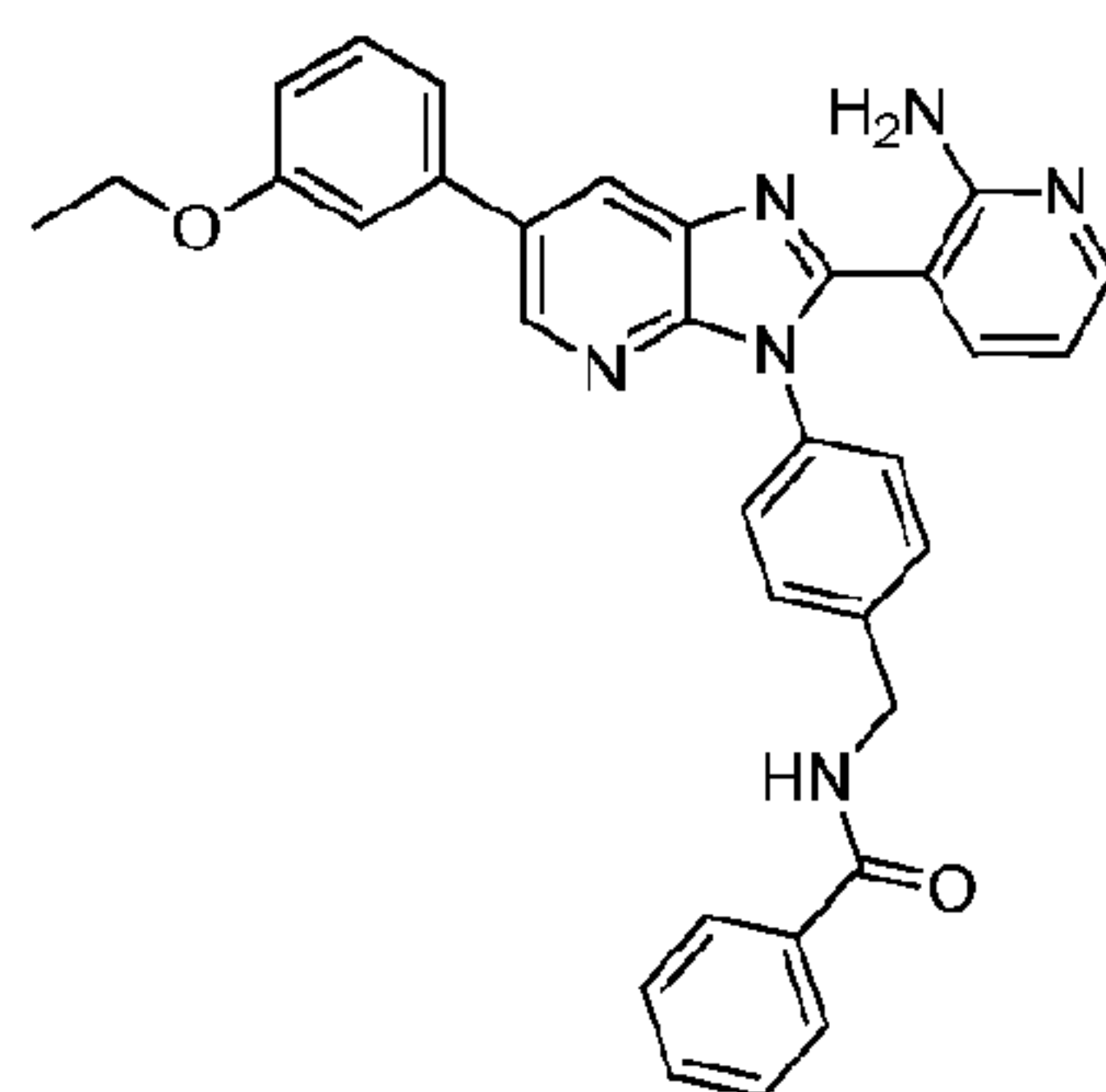


2-{4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl}-N-cyclopentylacetamide



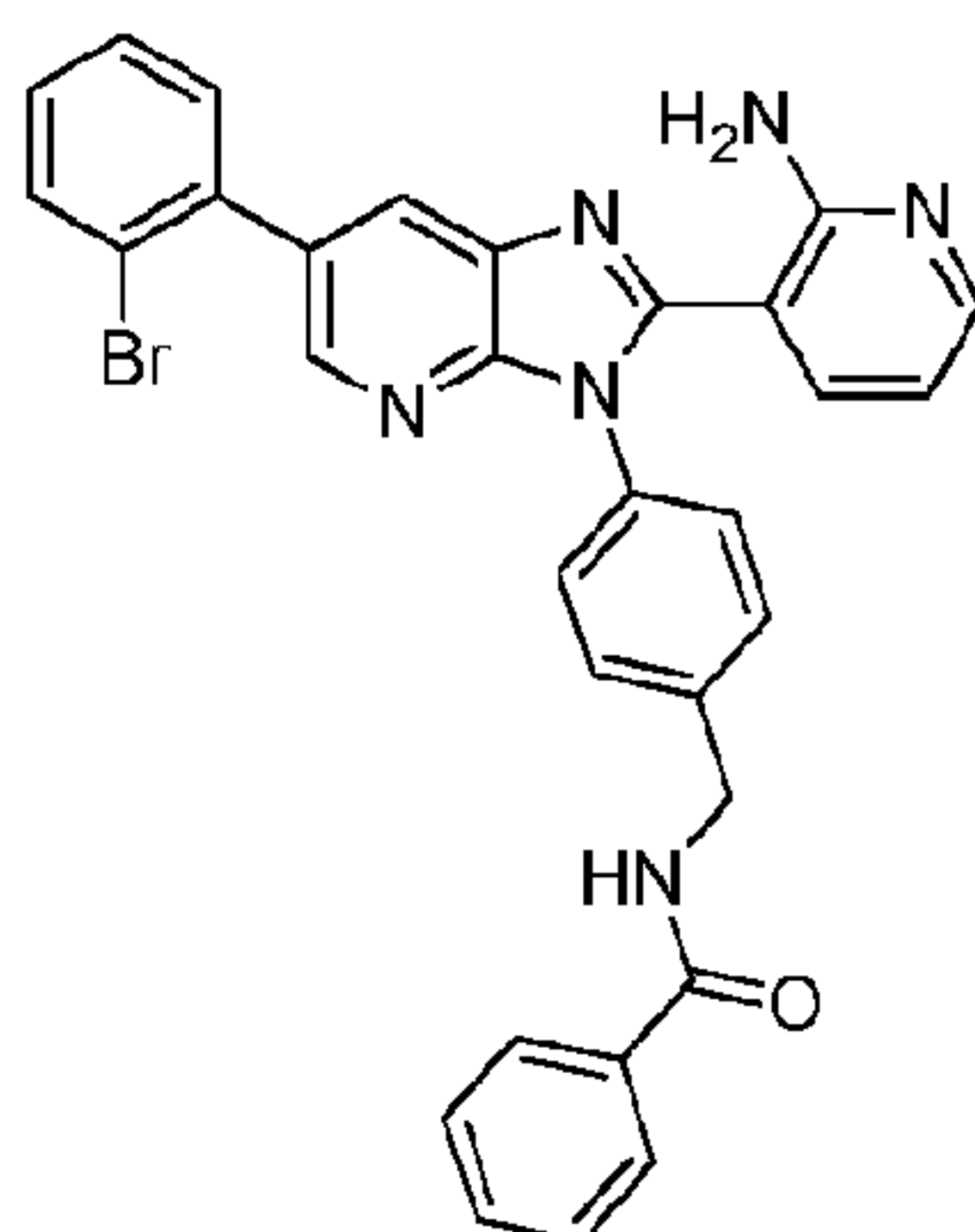


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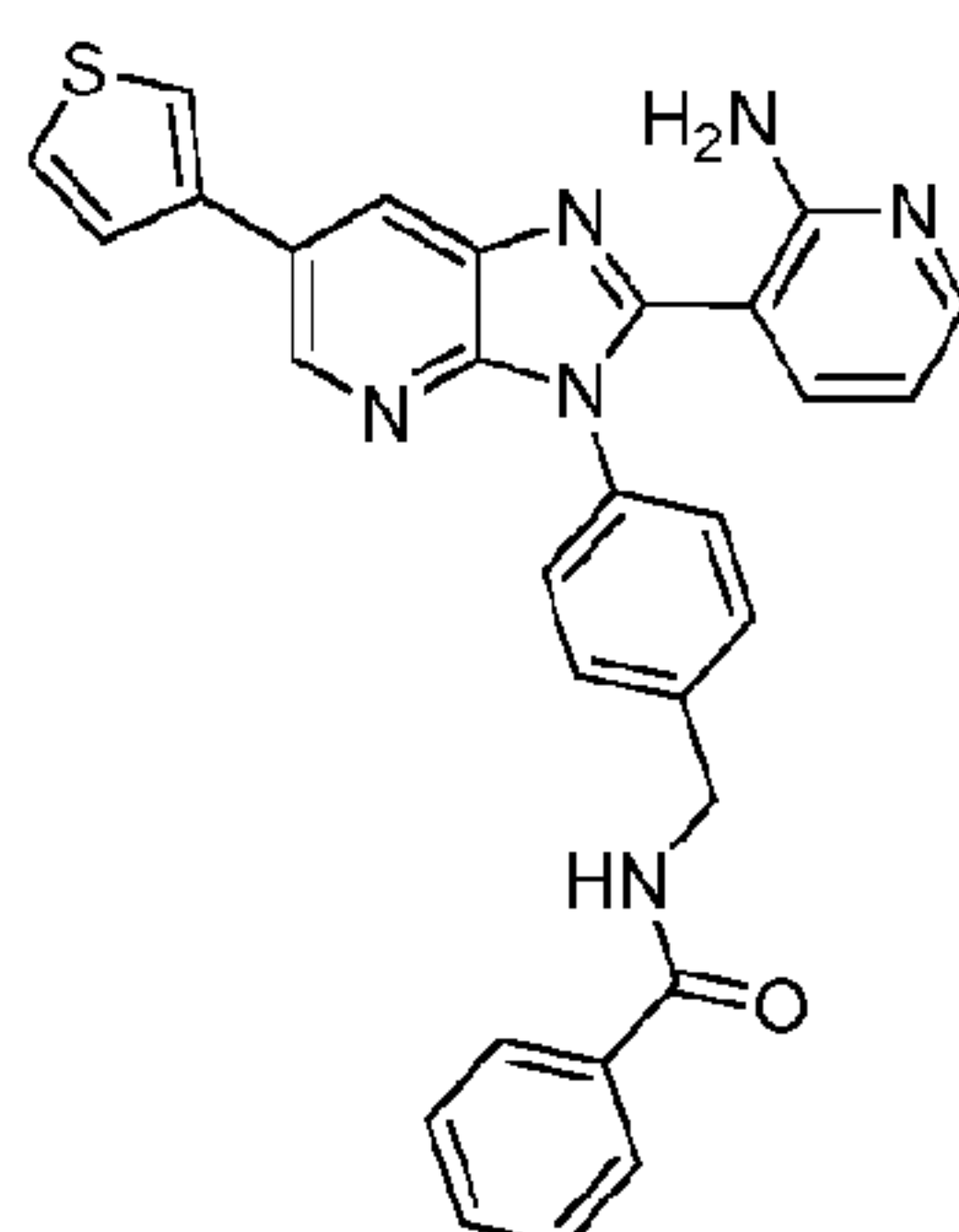
N-{4-[2-(2-aminopyridin-3-yl)-6-(3-ethoxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

136



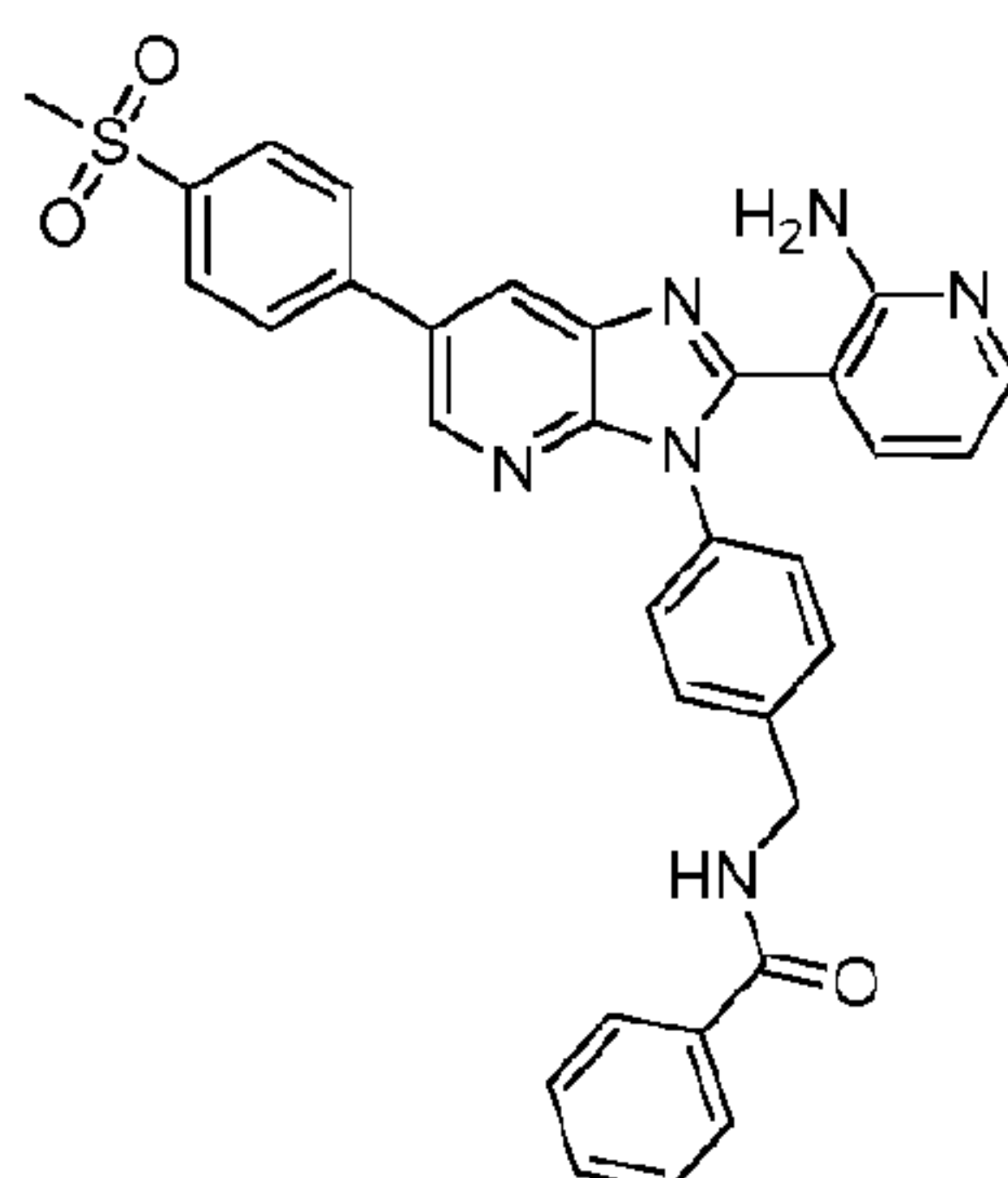
N-{4-[2-(2-aminopyridin-3-yl)-6-(2-bromophenyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

137

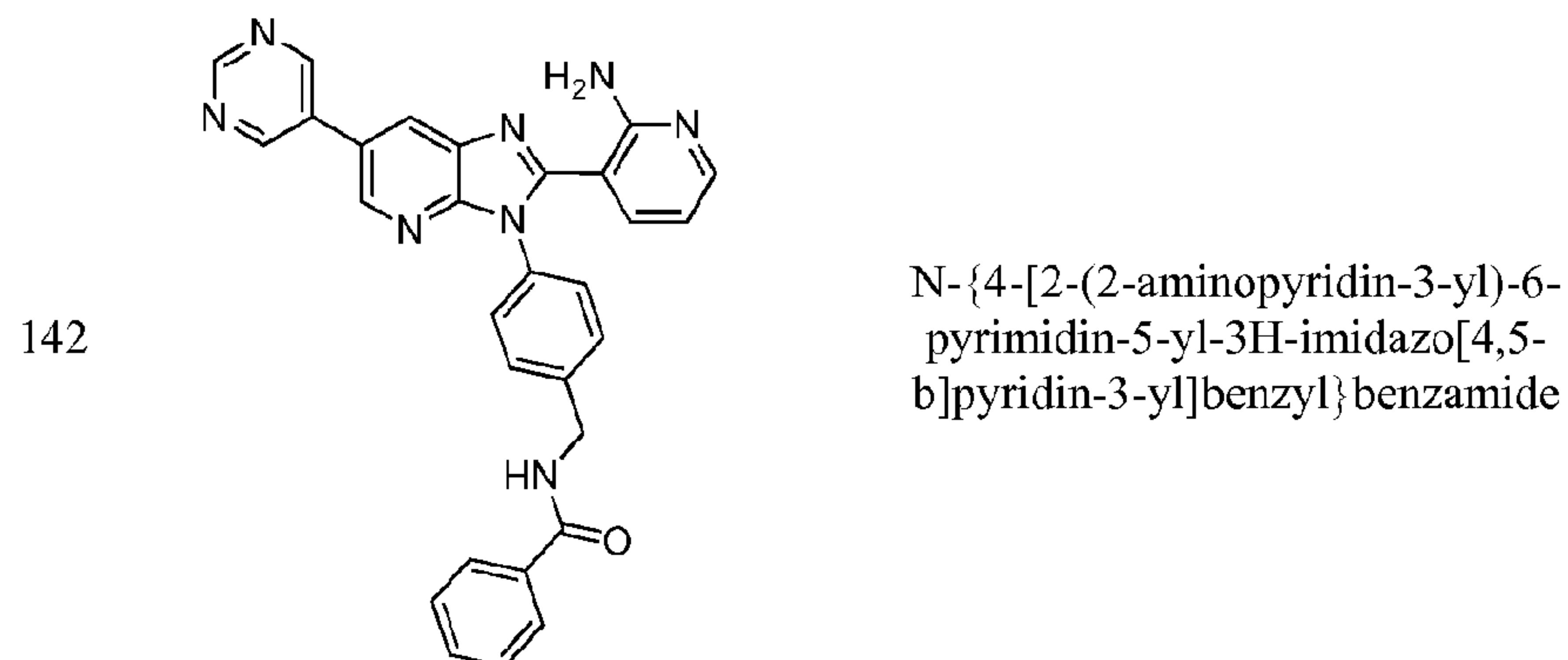
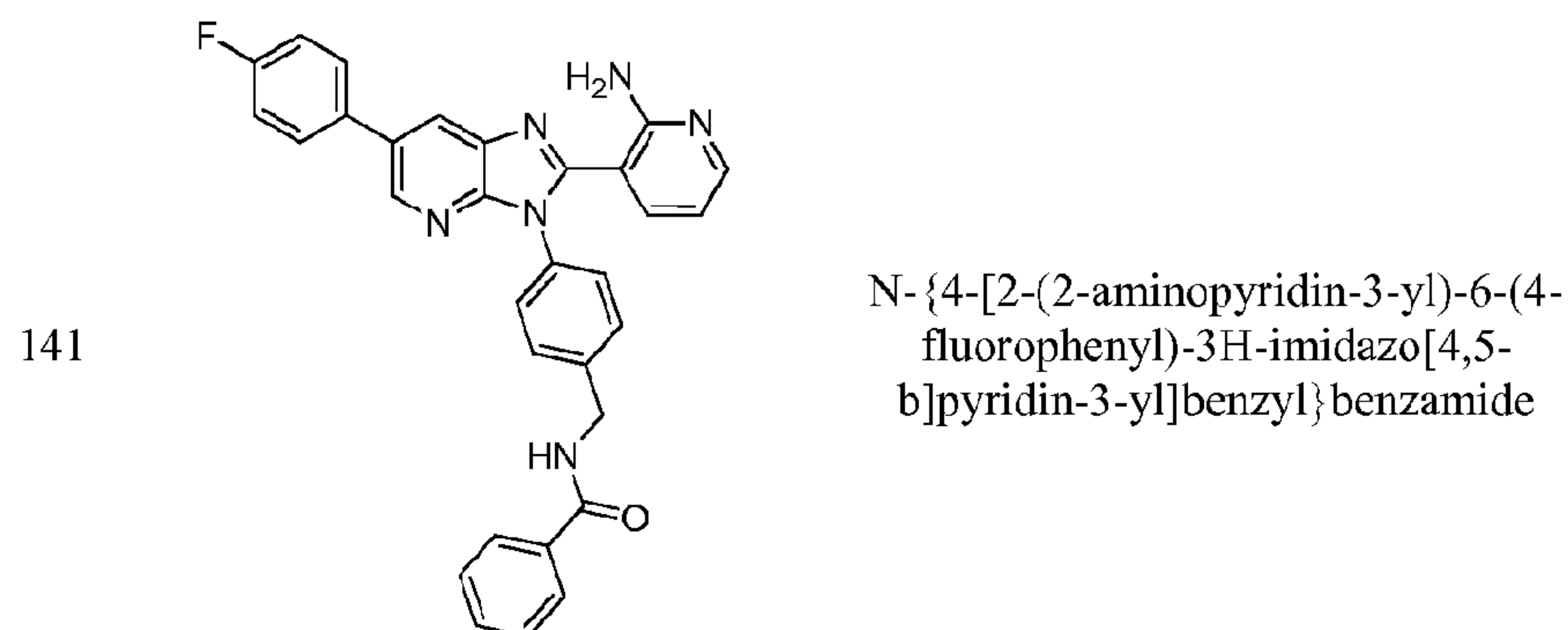
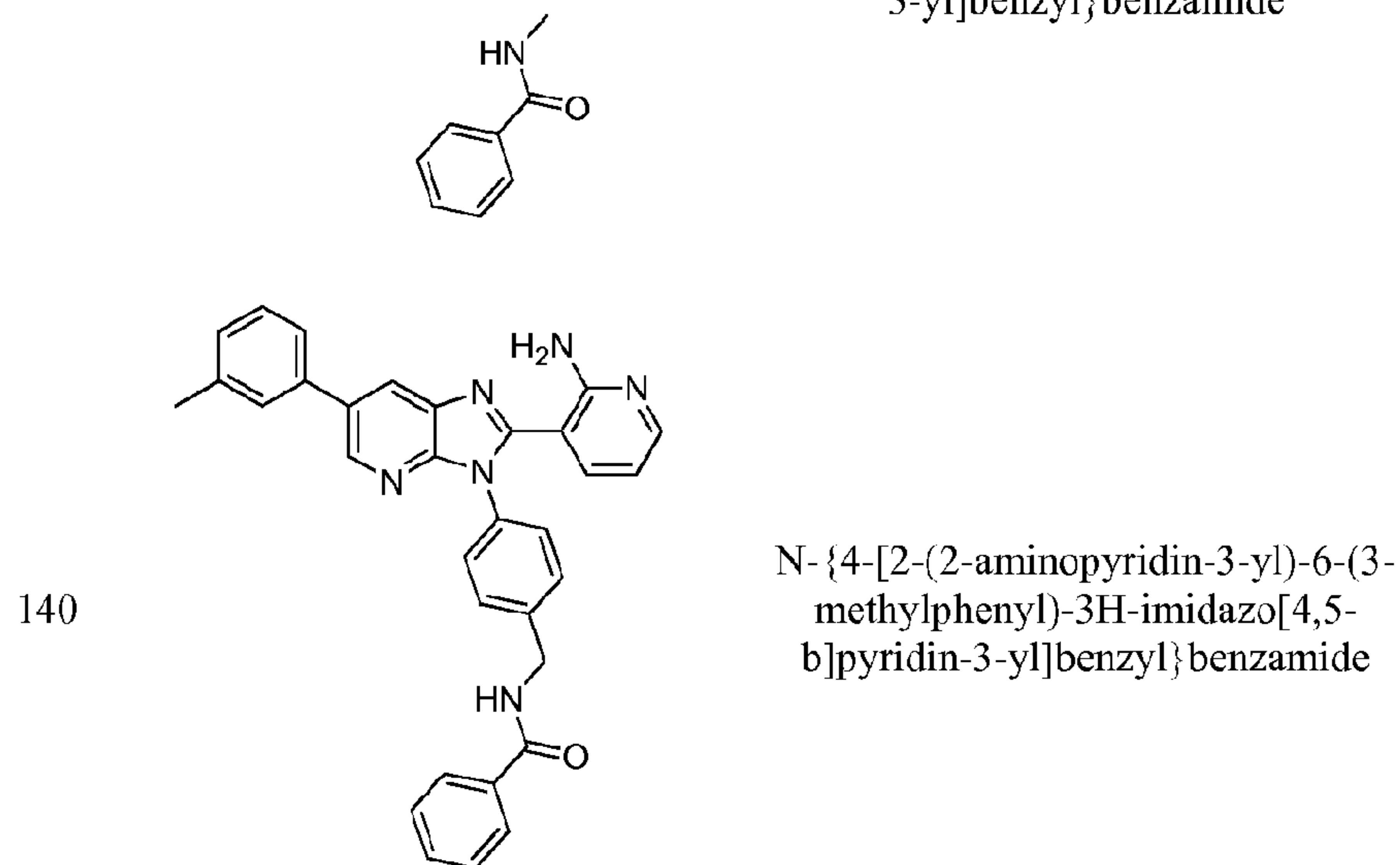
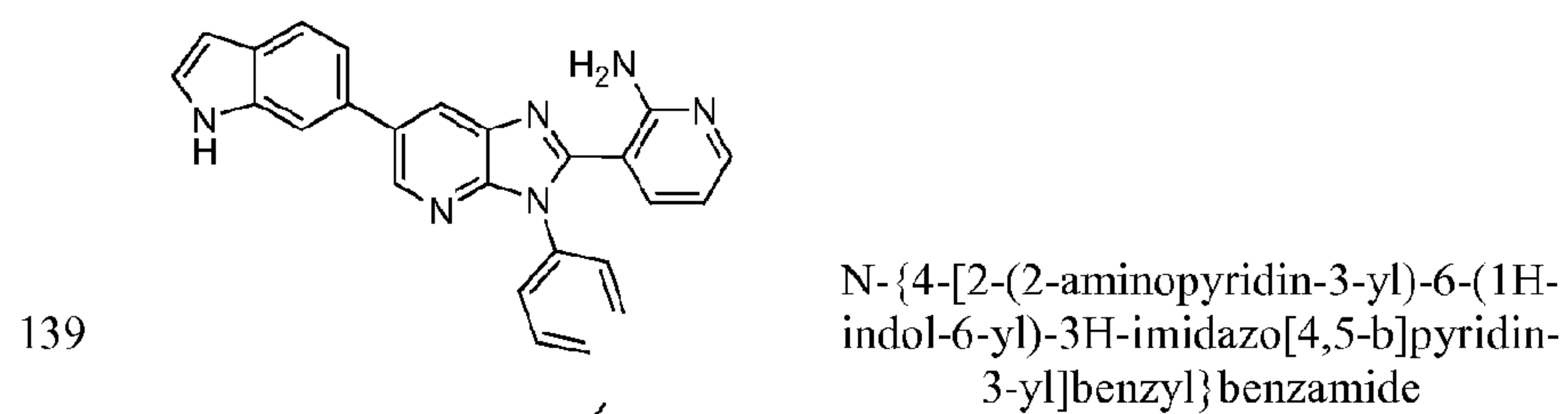


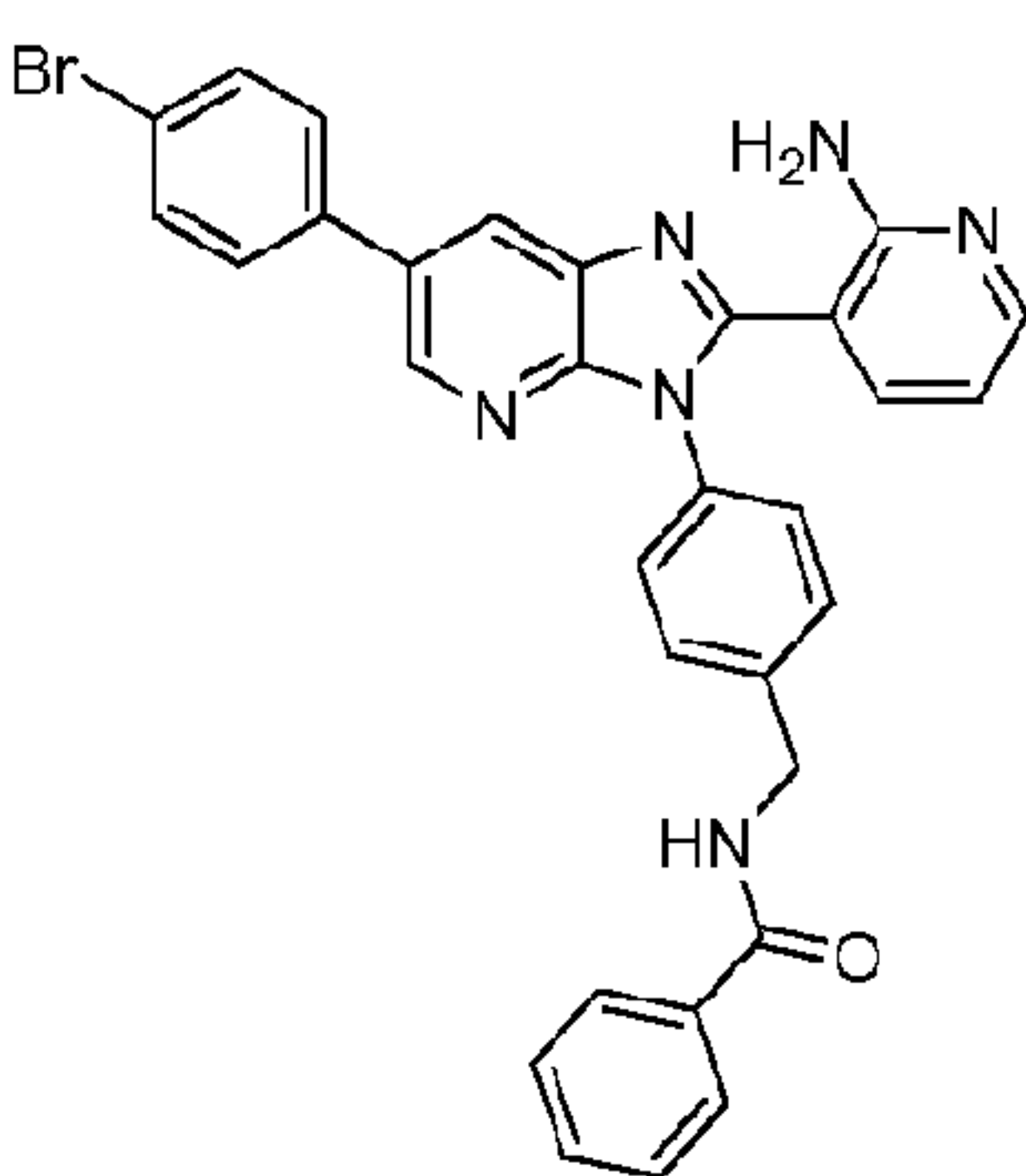
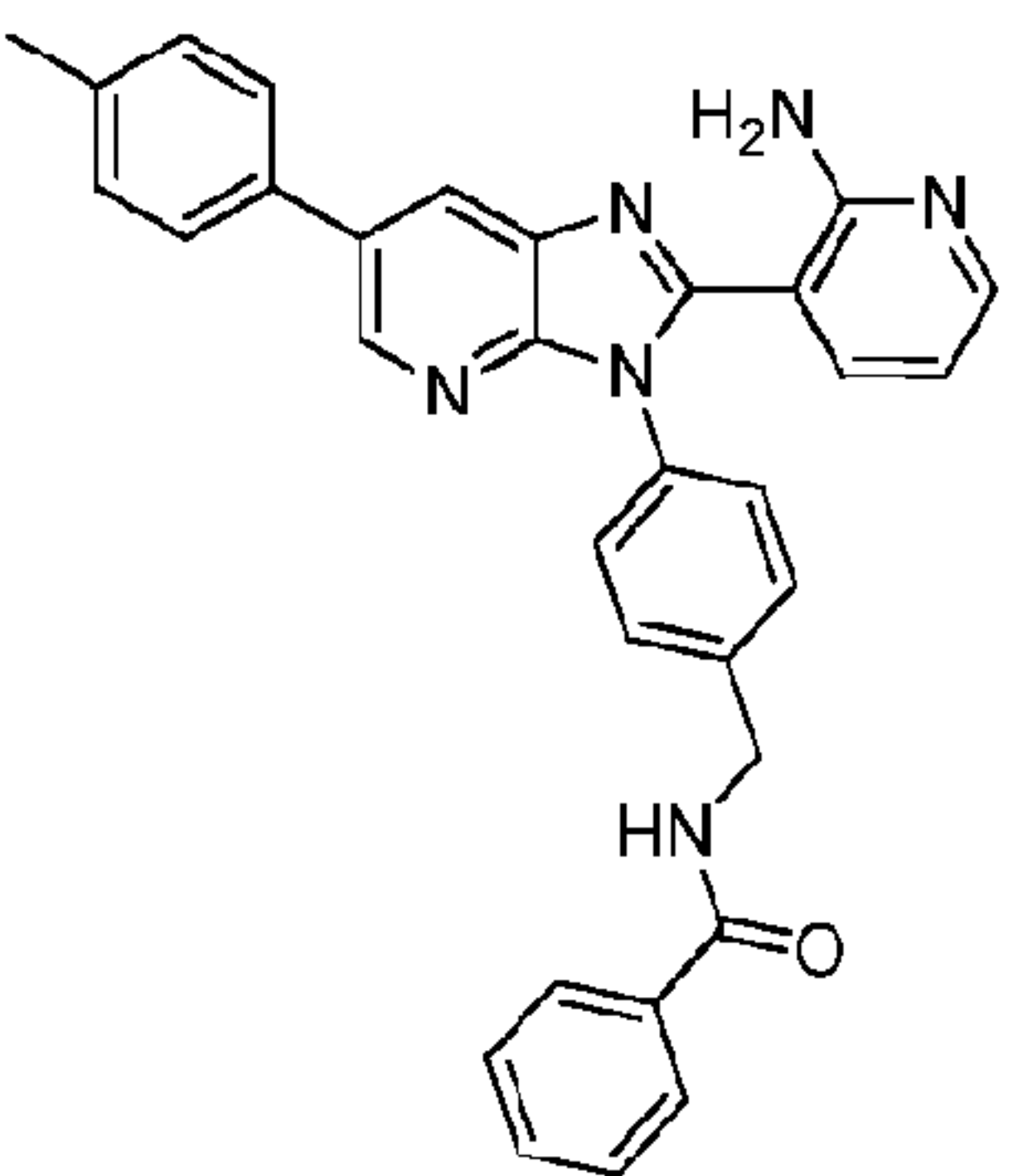
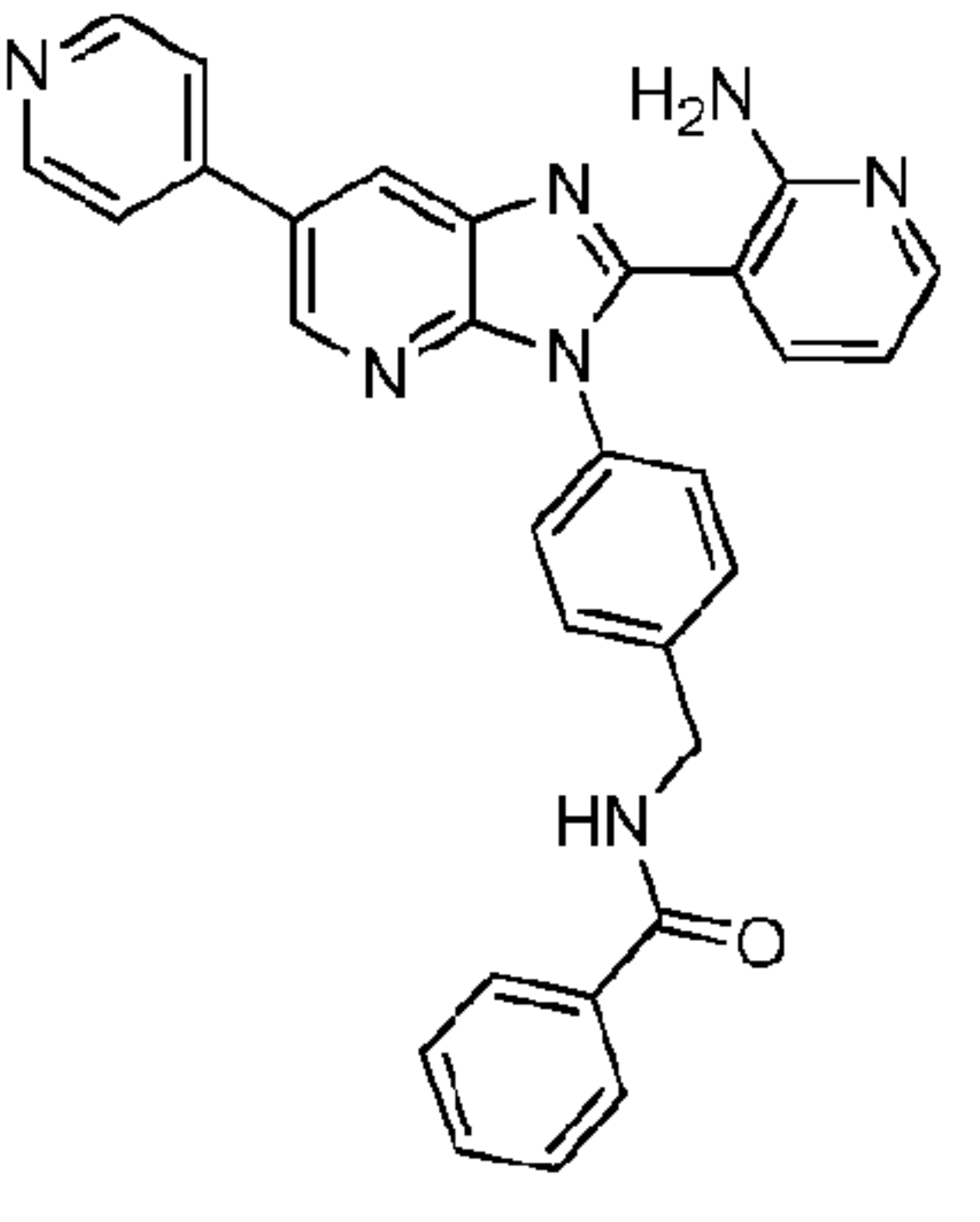
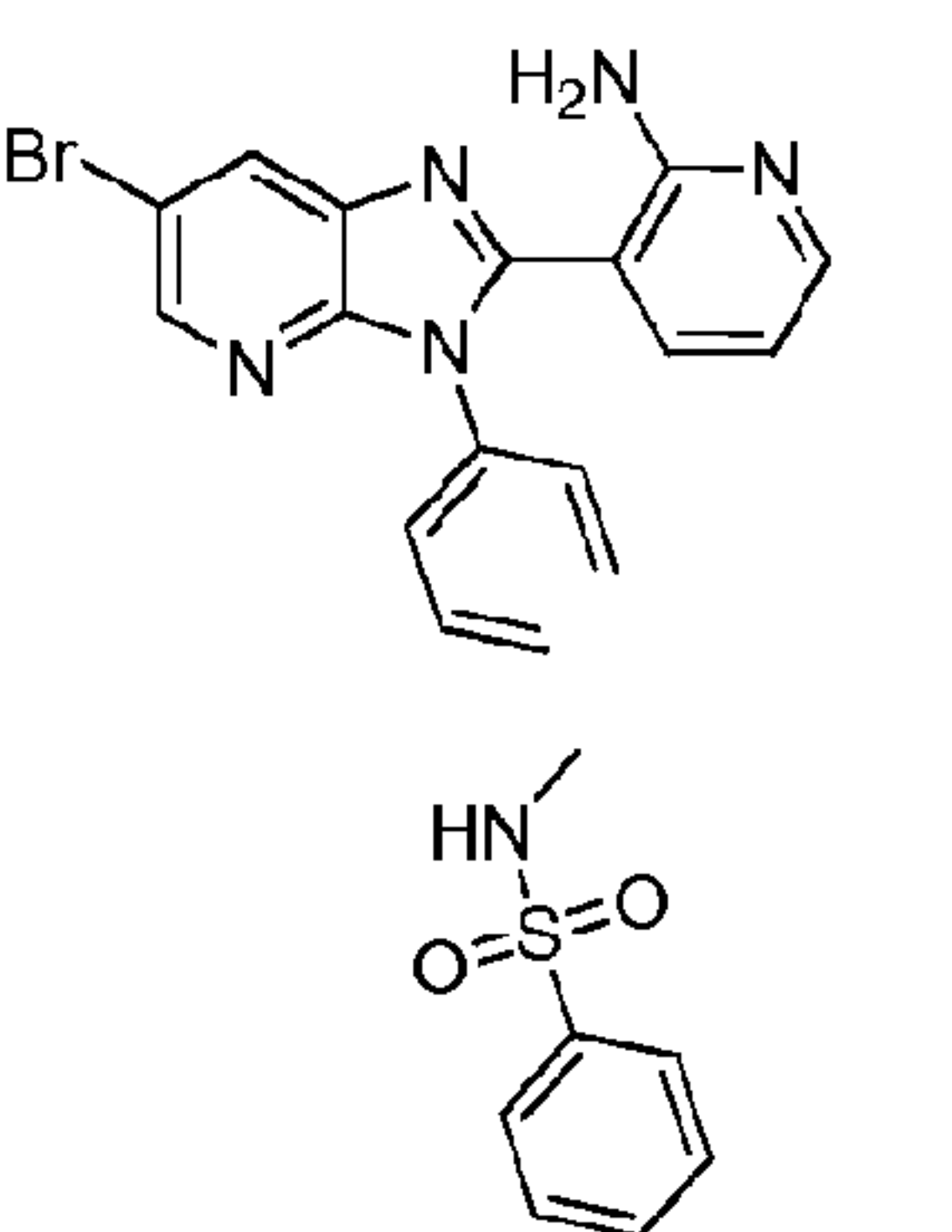
N-{4-[2-(2-aminopyridin-3-yl)-6-(thiophen-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}benzamide

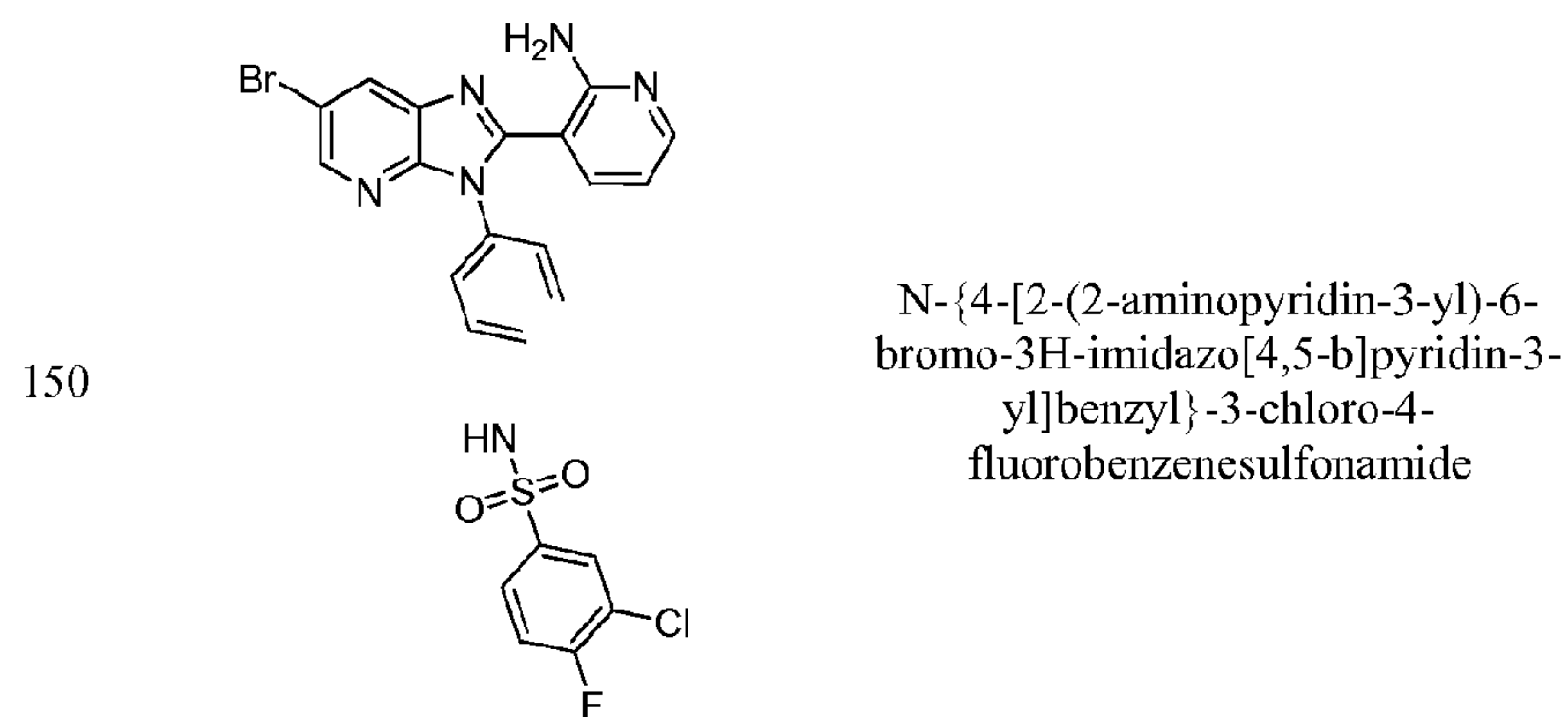
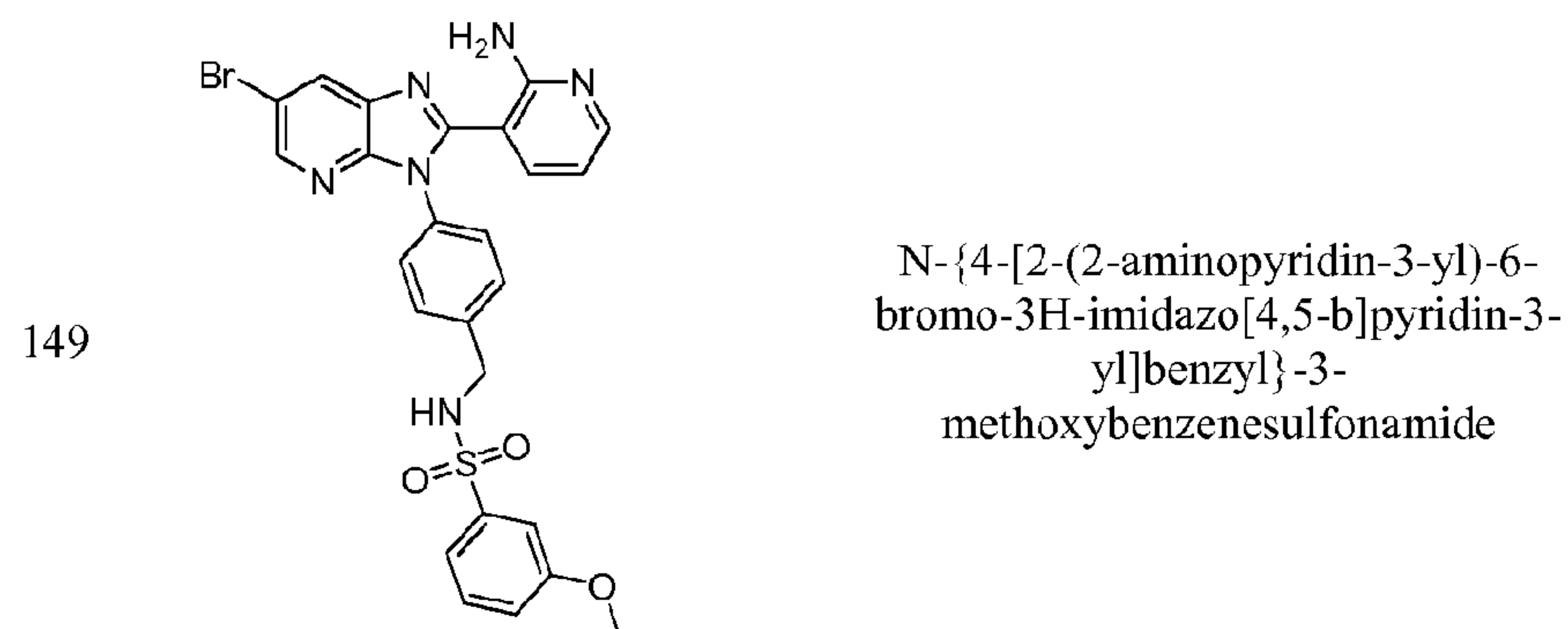
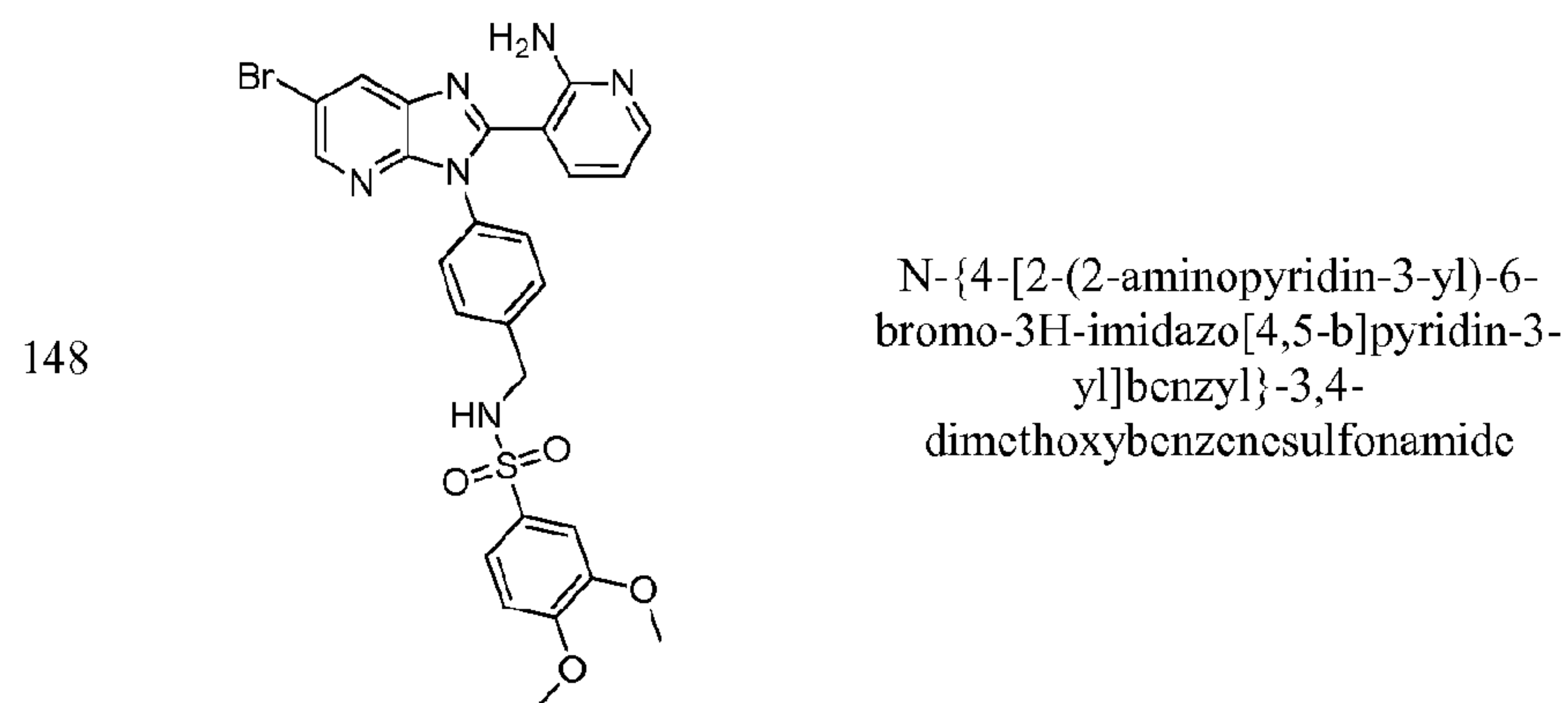
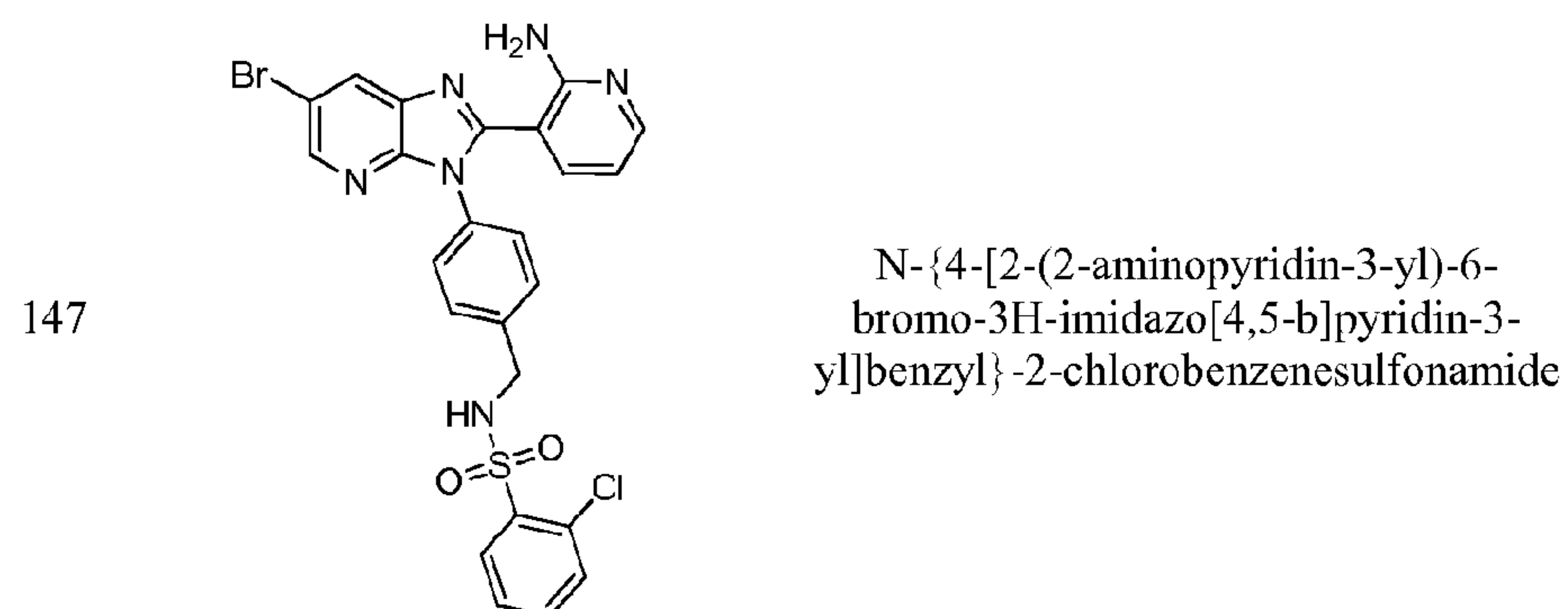
138

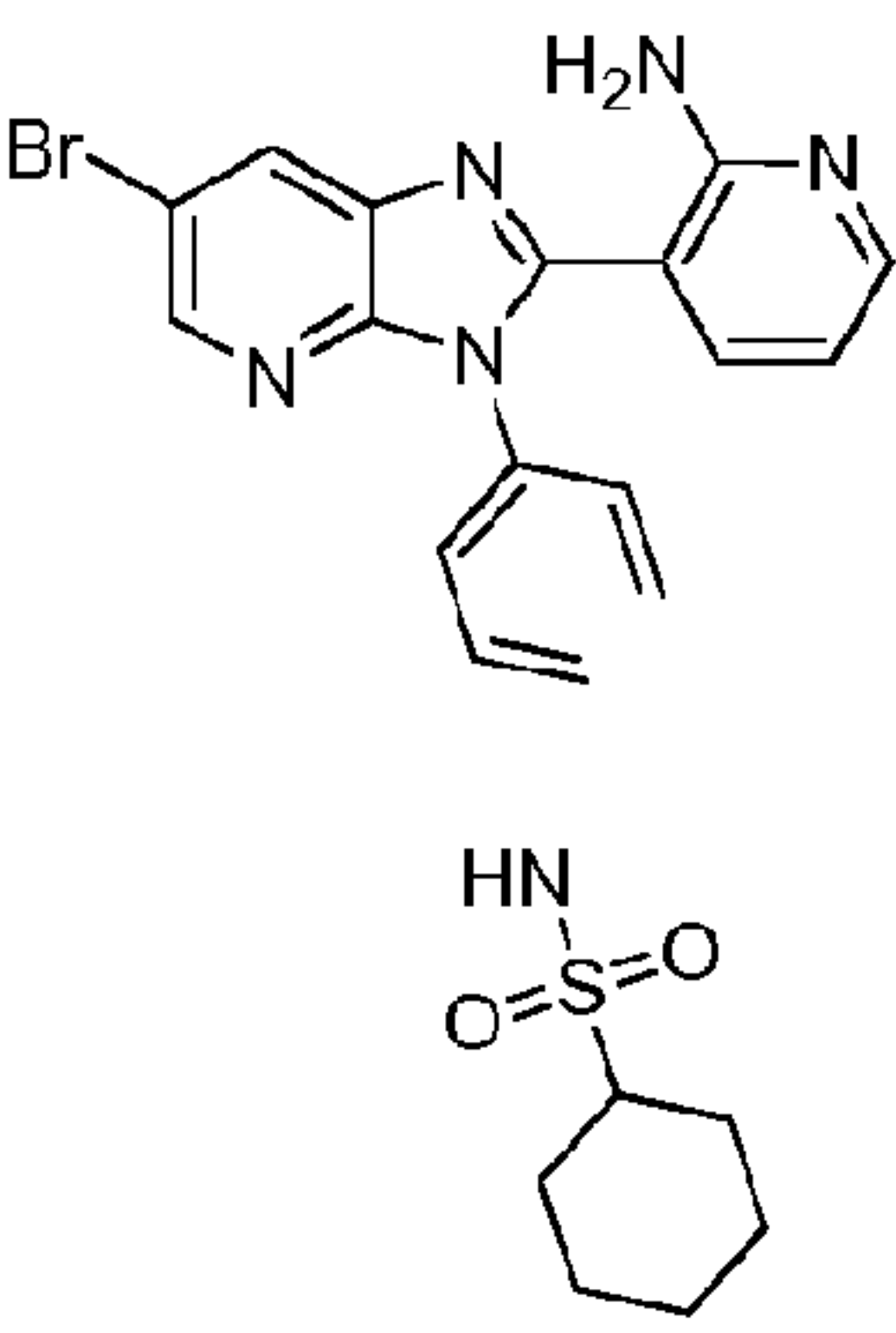
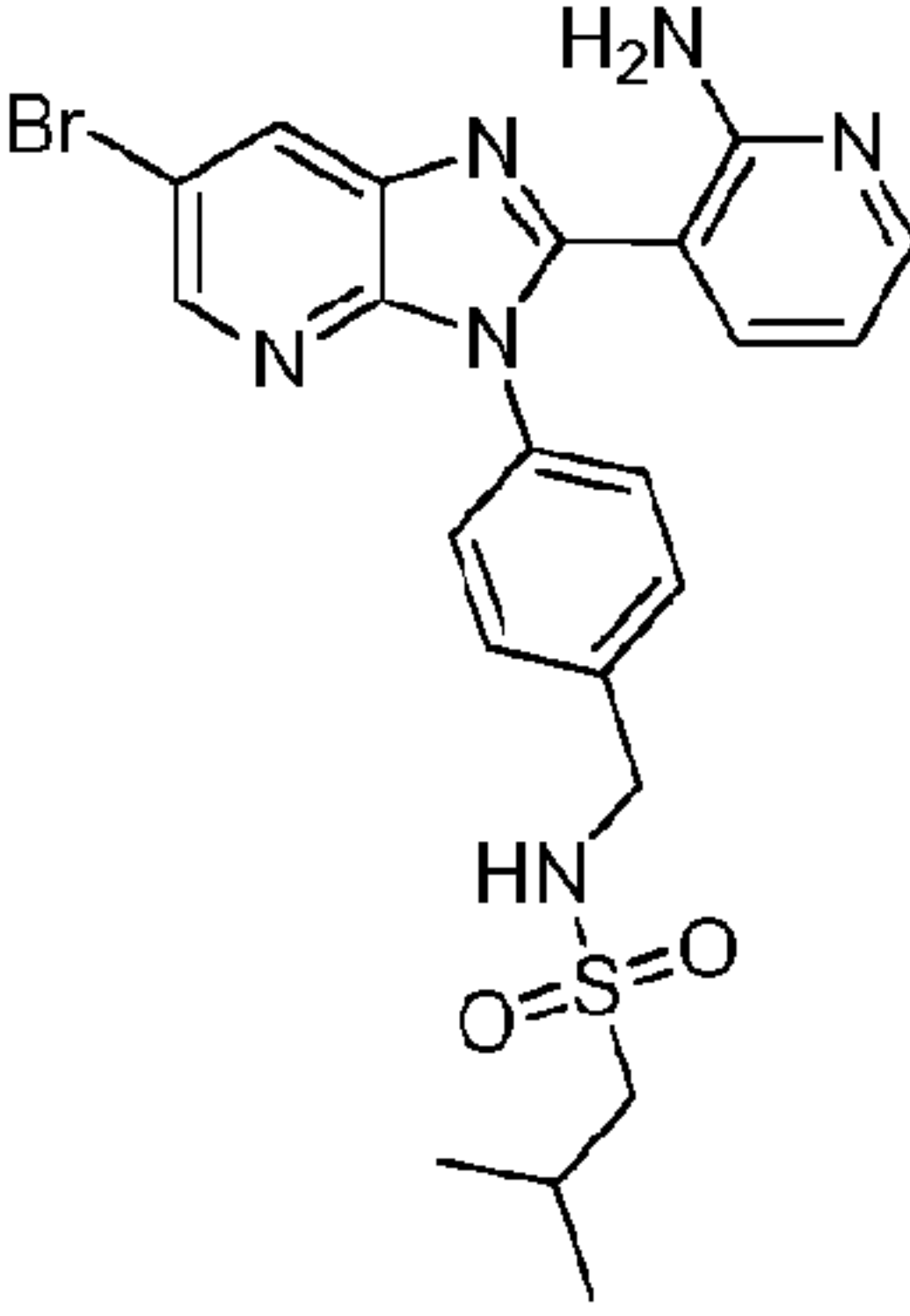
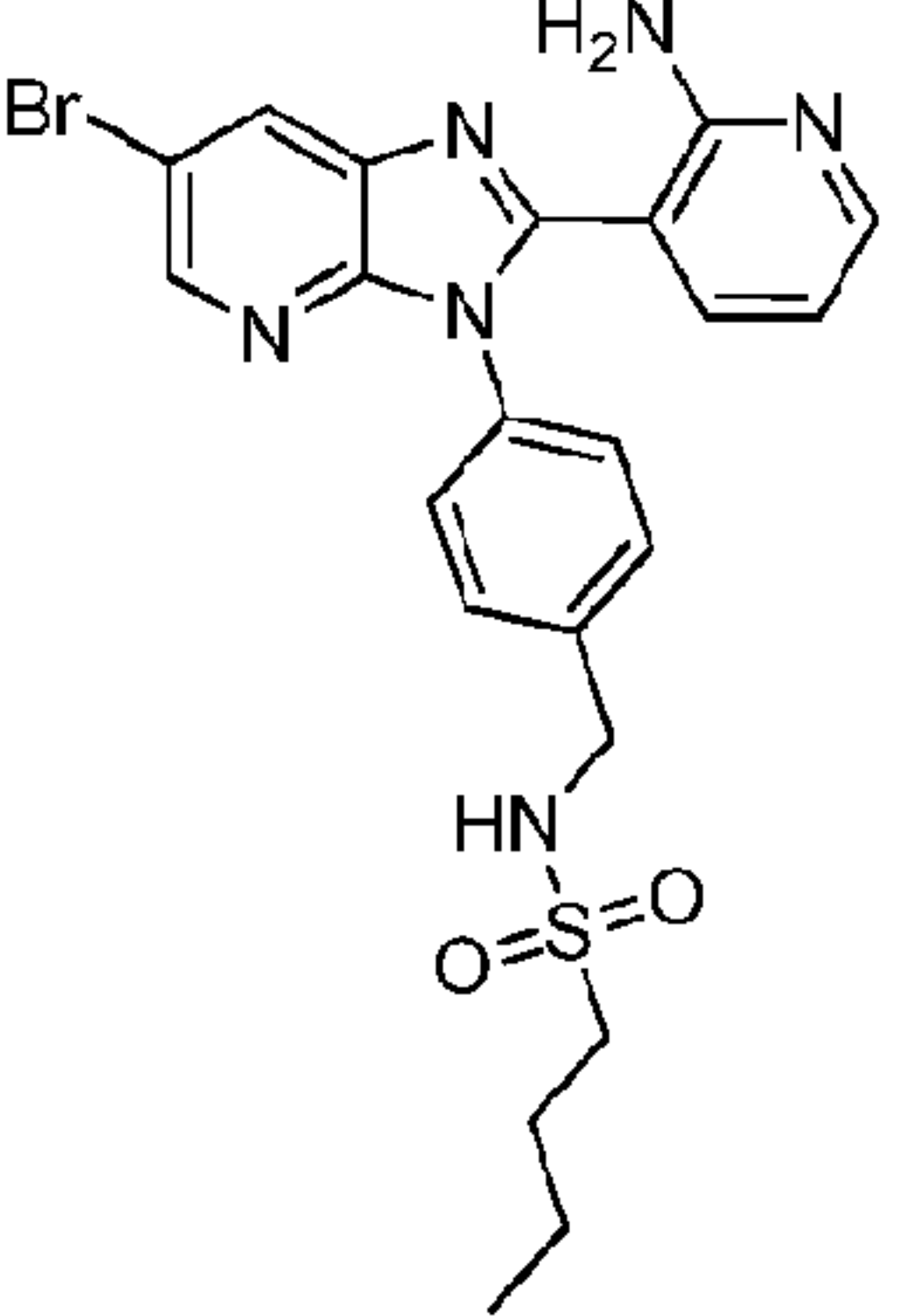
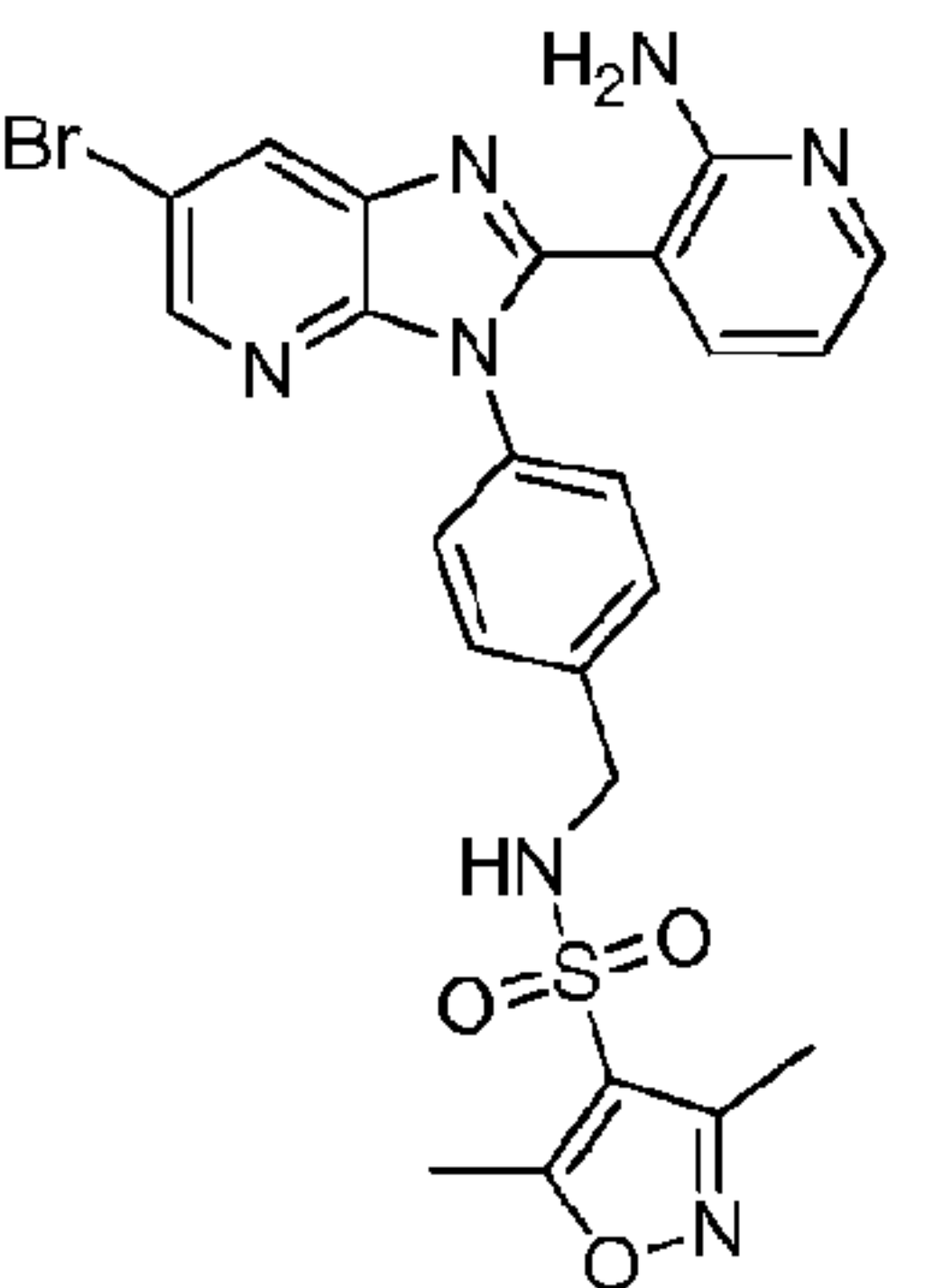


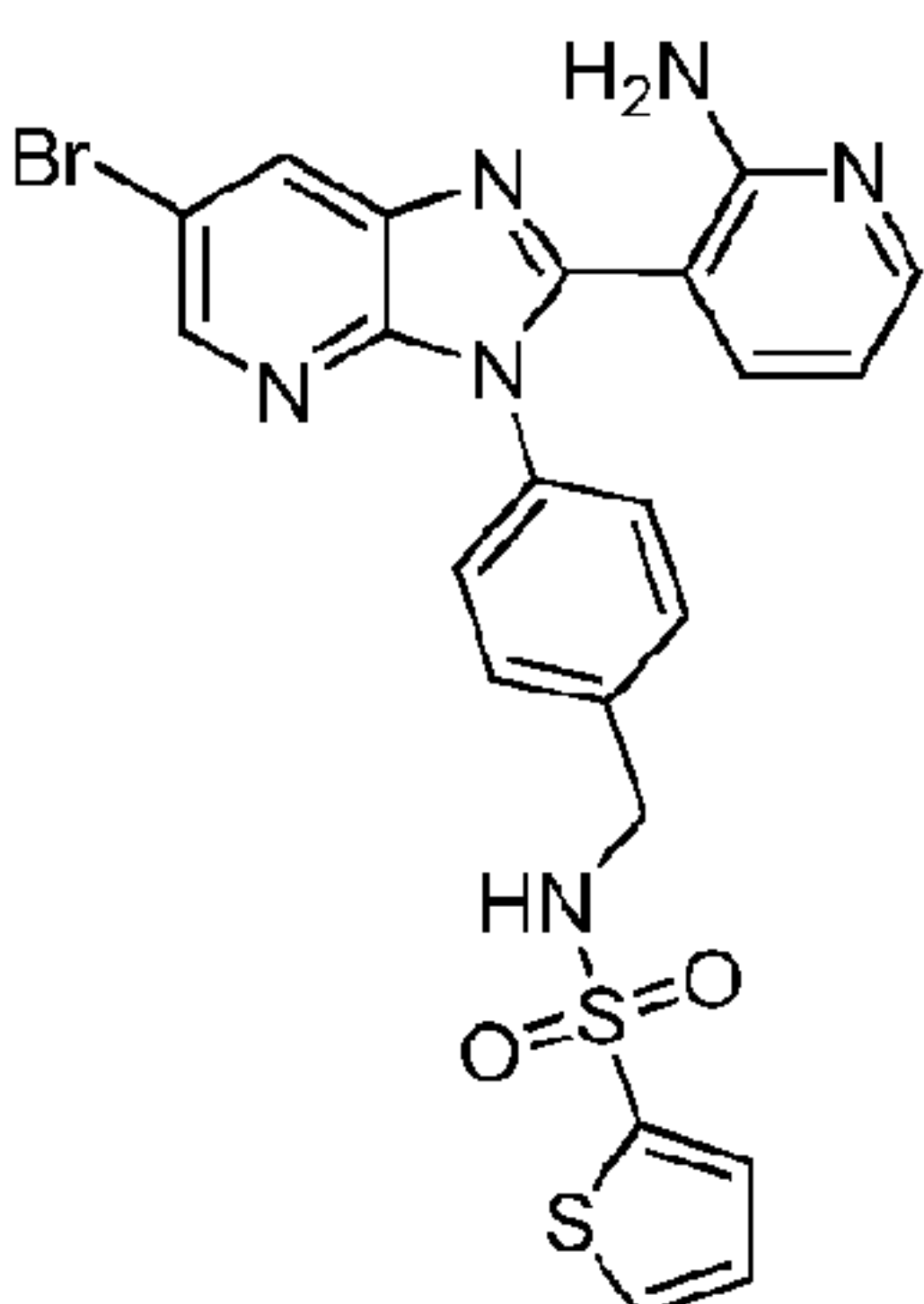
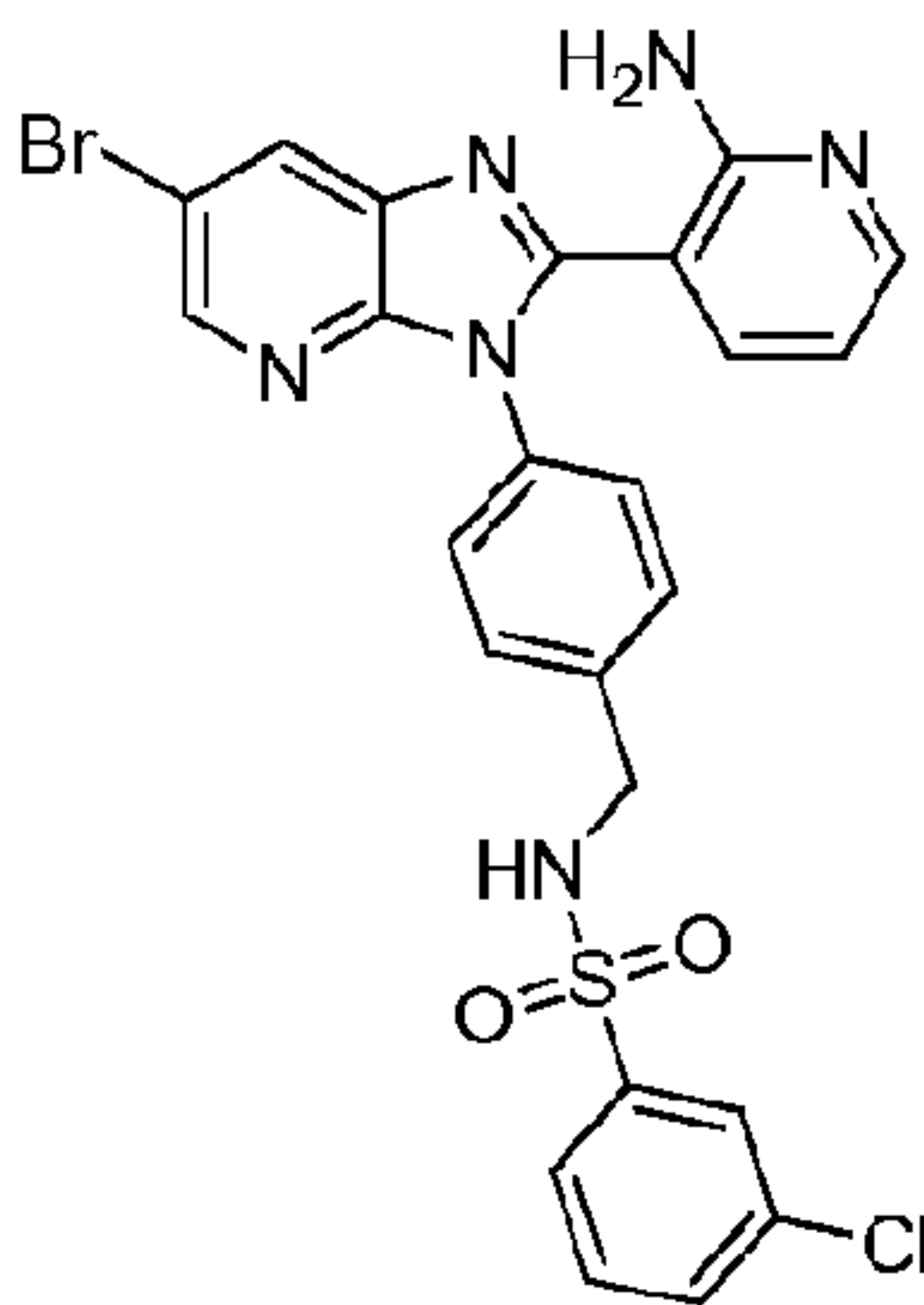
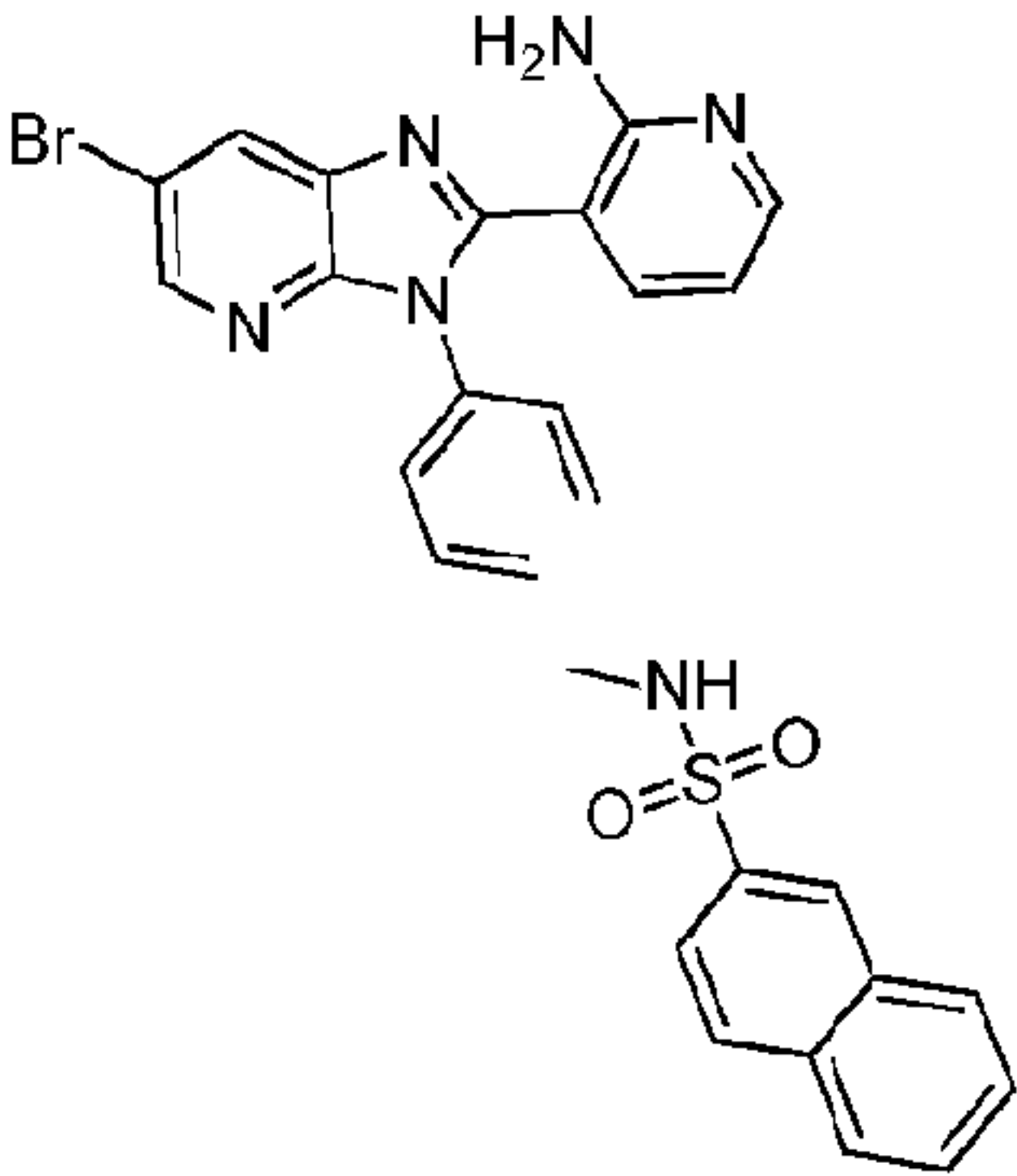
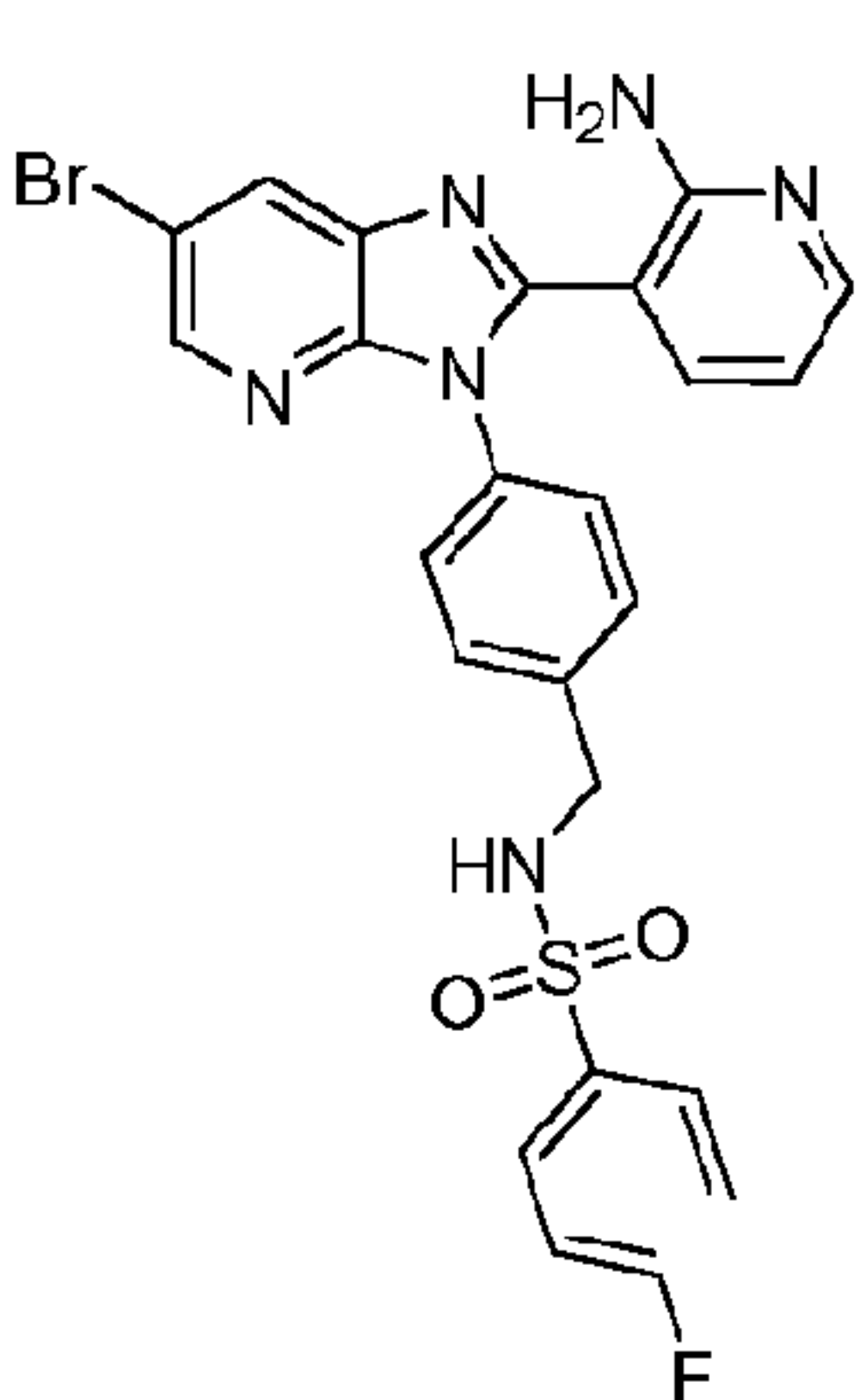
N-(4-{2-(2-aminopyridin-3-yl)-6-[4-(methylsulfonyl)phenyl]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide

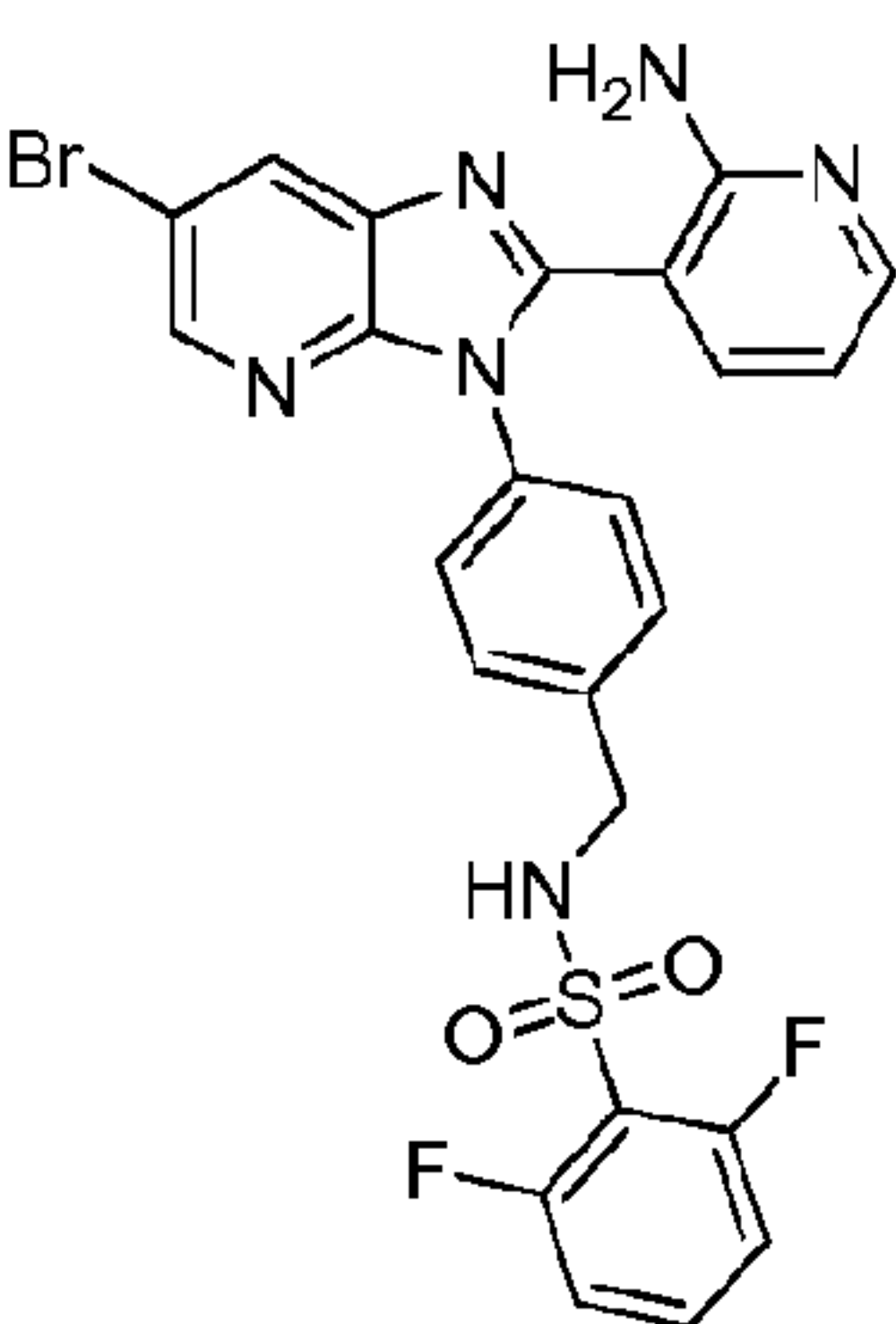
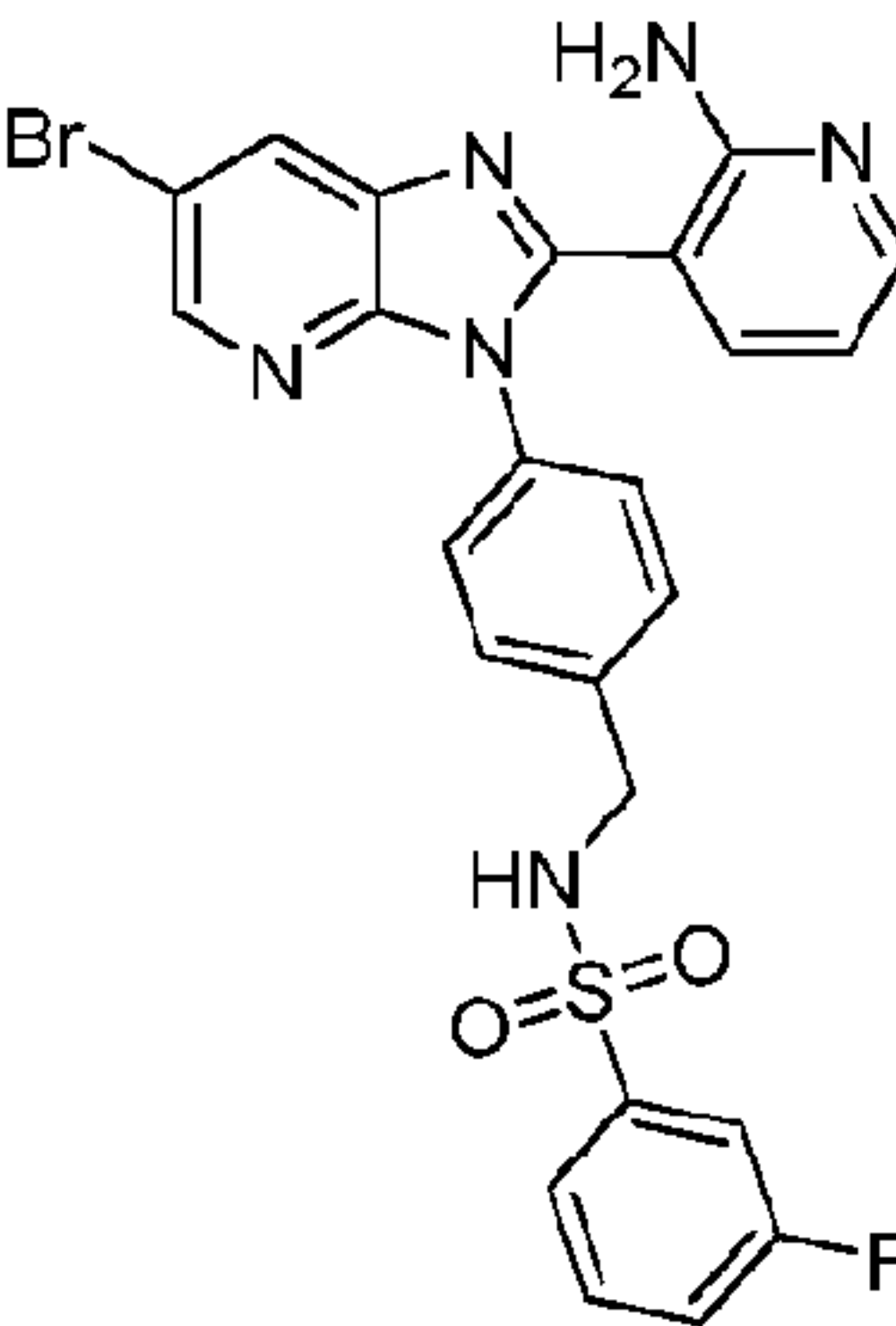
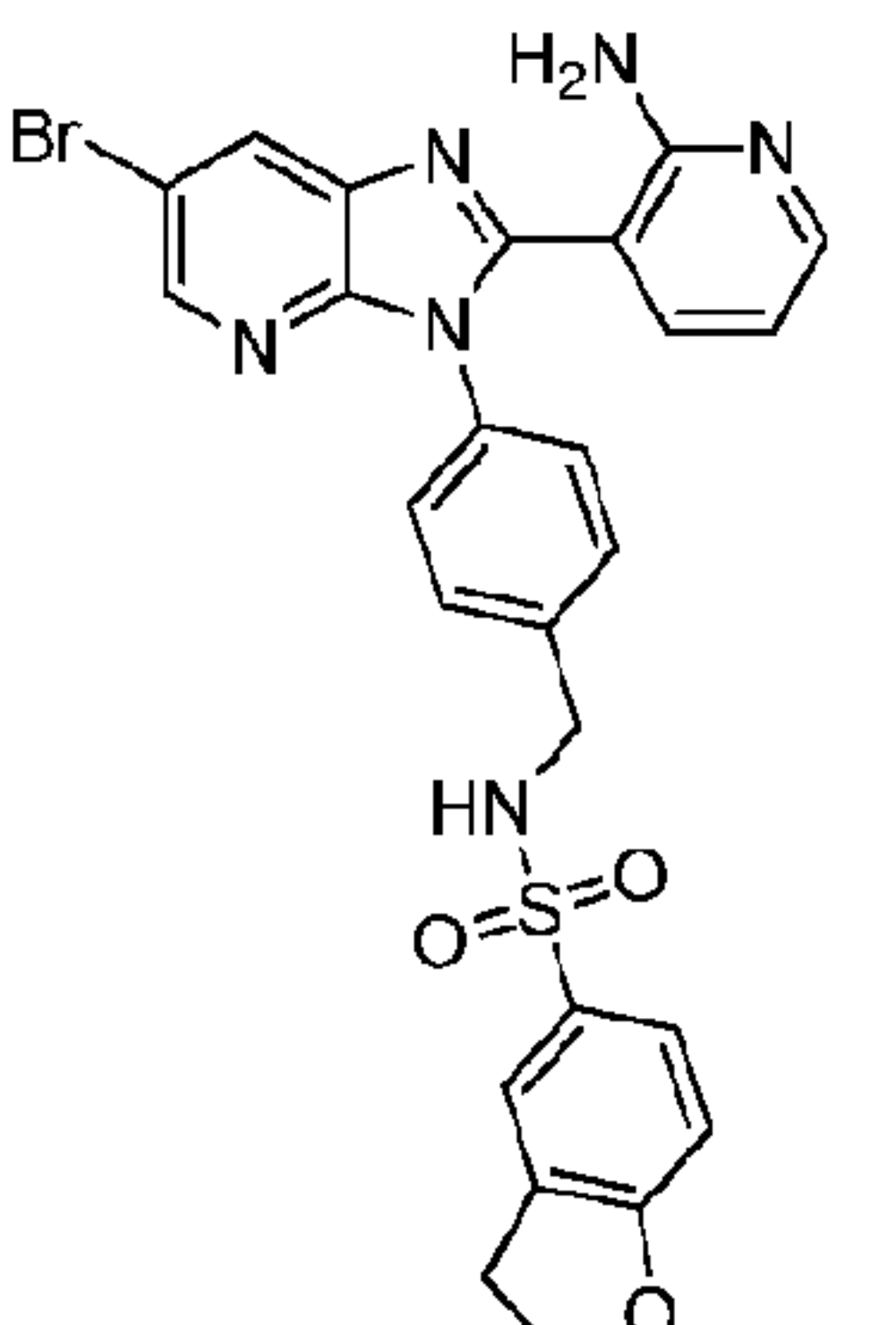
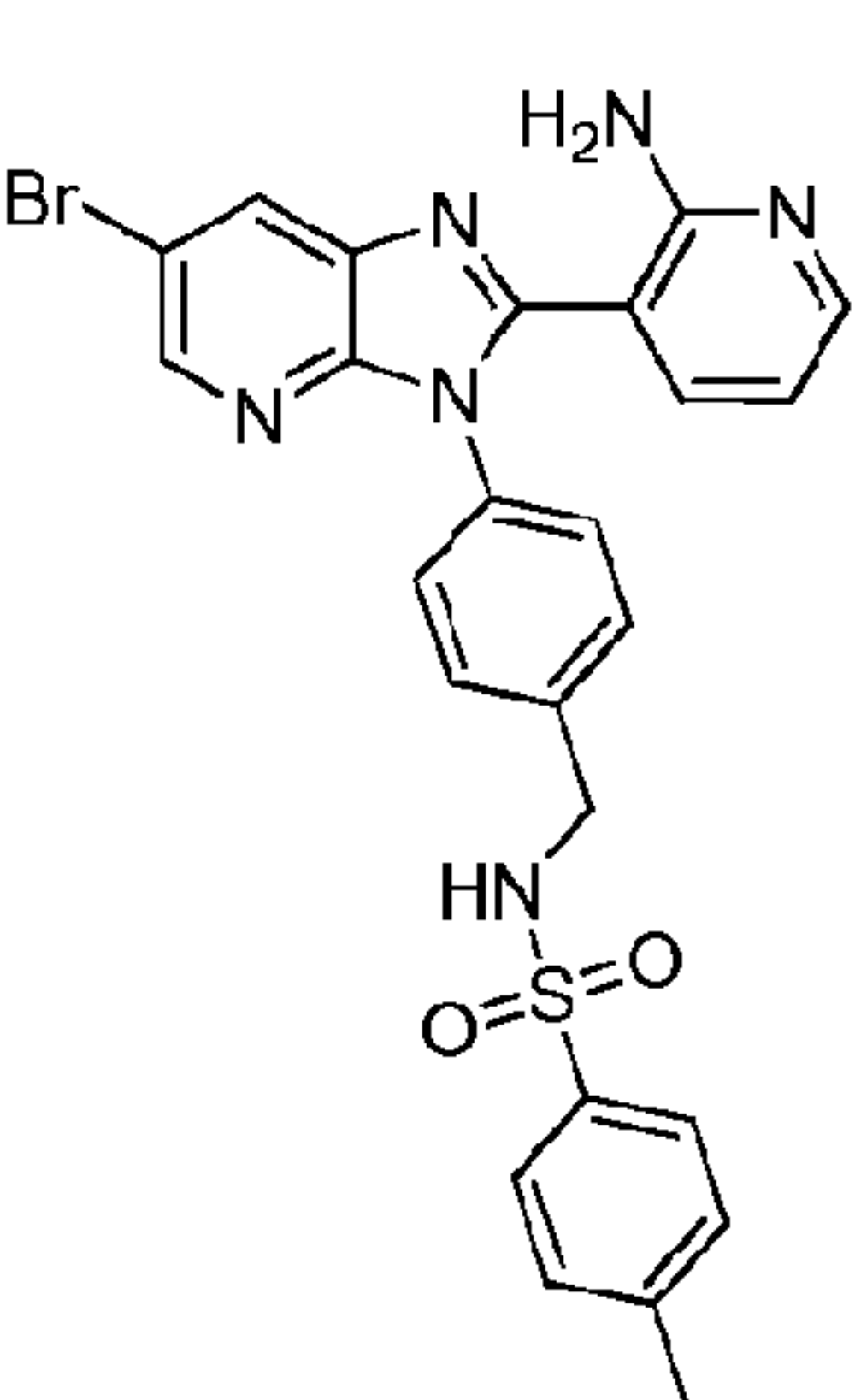


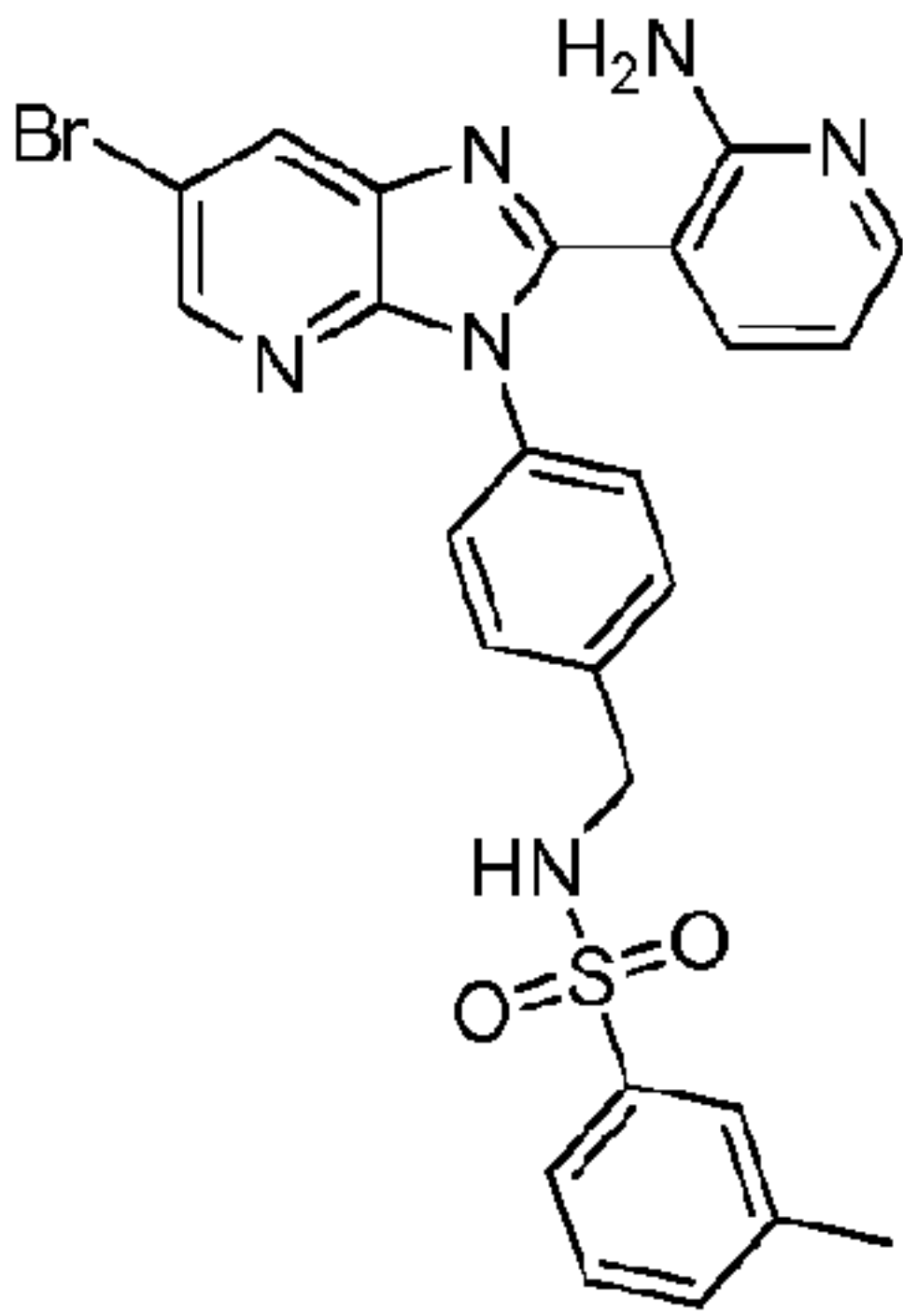
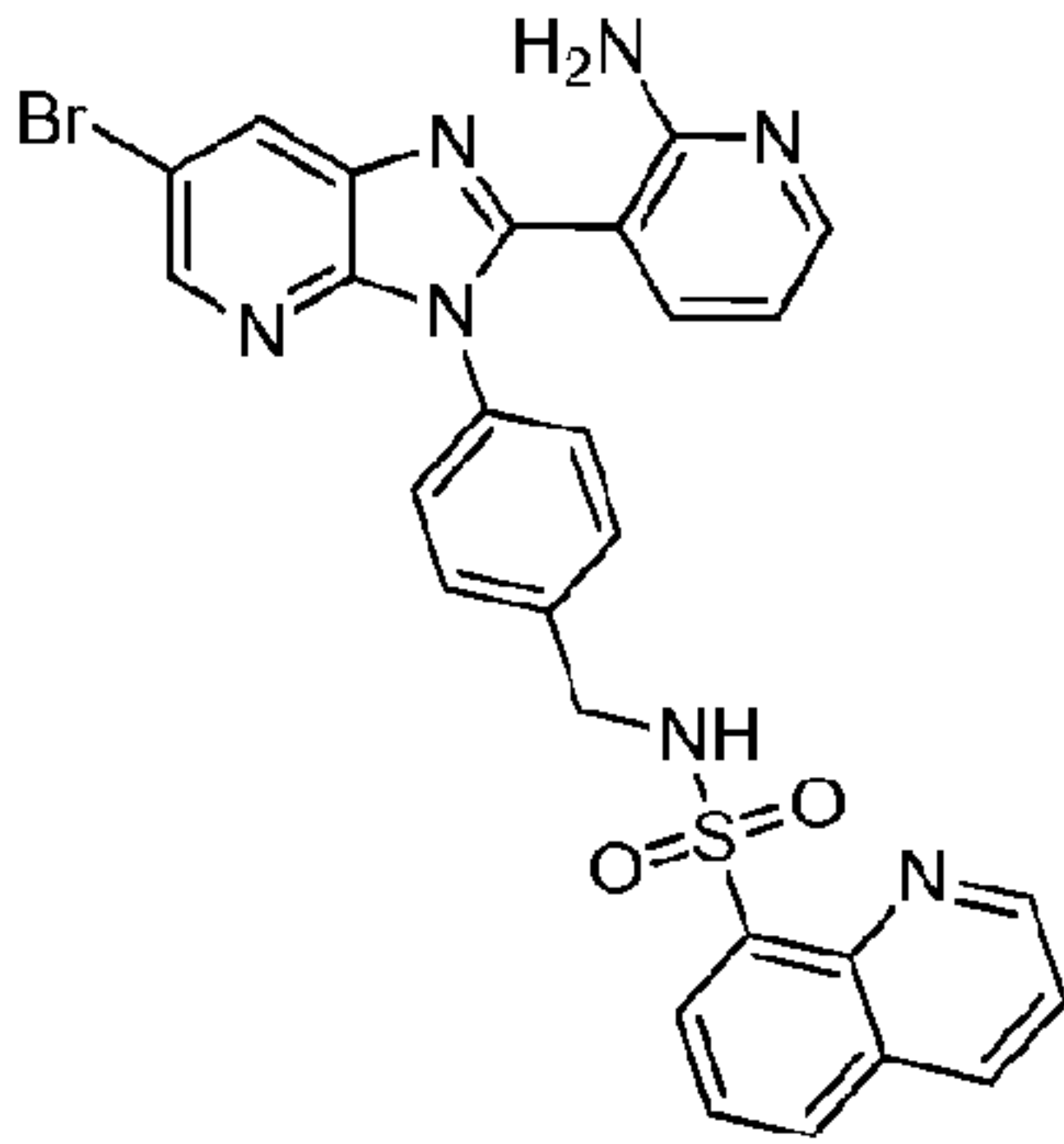
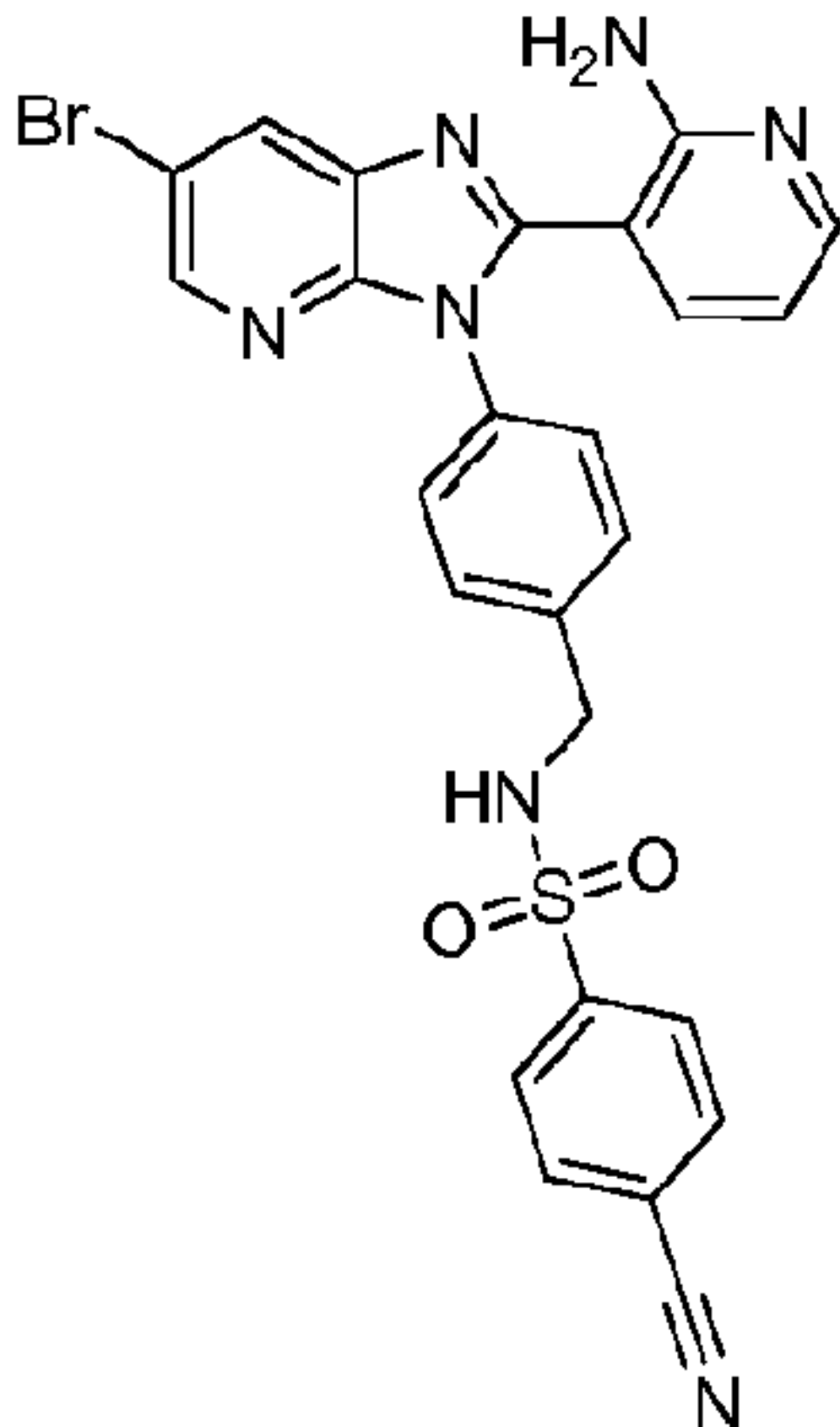
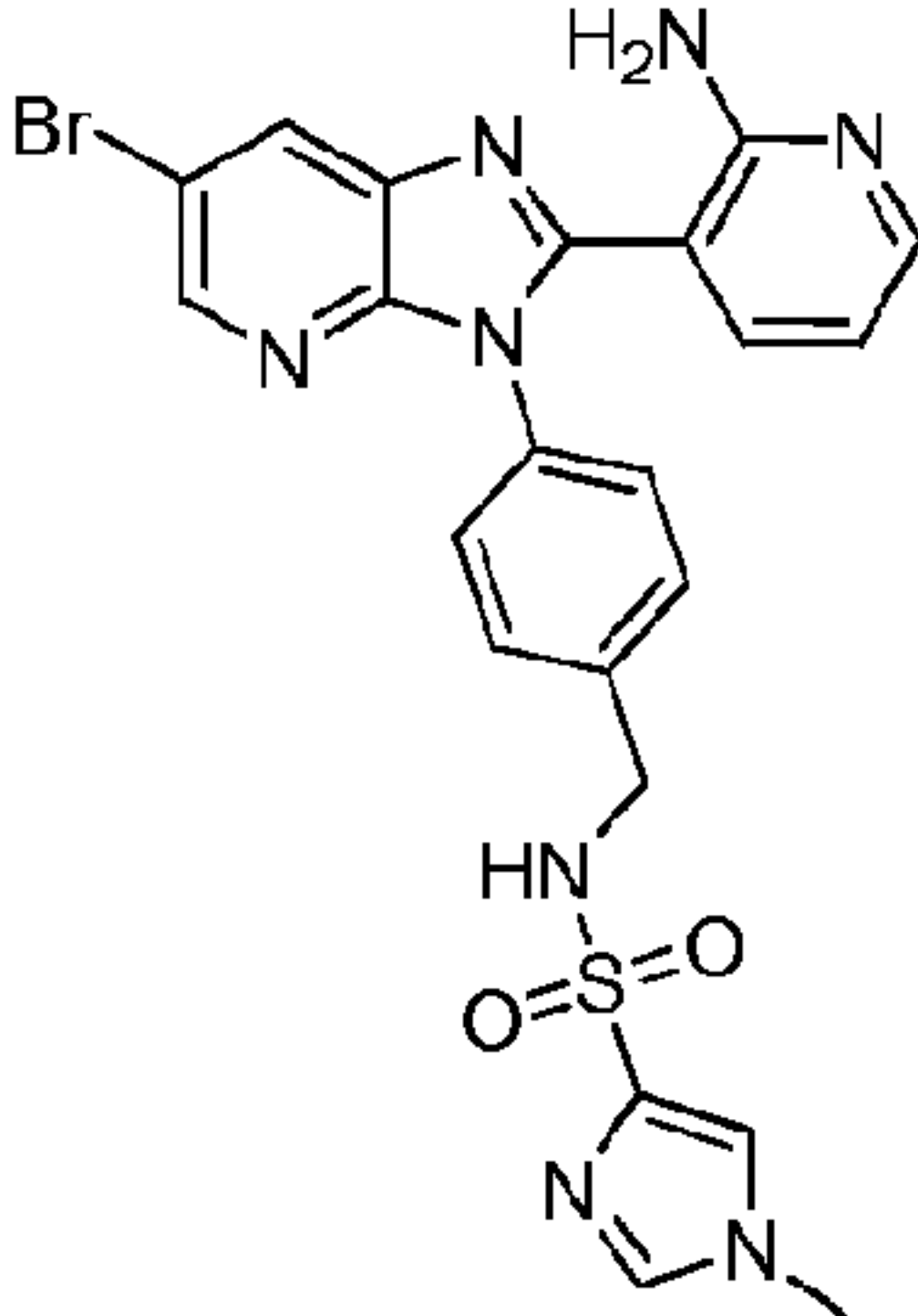
- 143 
- 144 
- 145 
- 146 

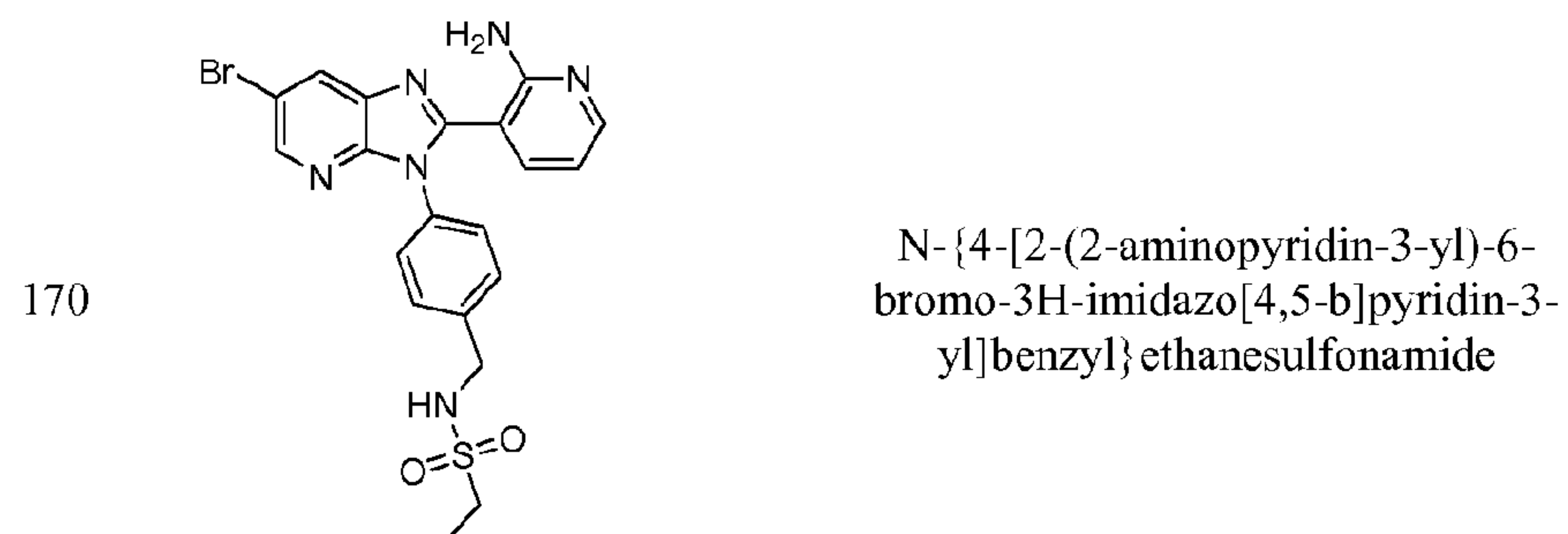
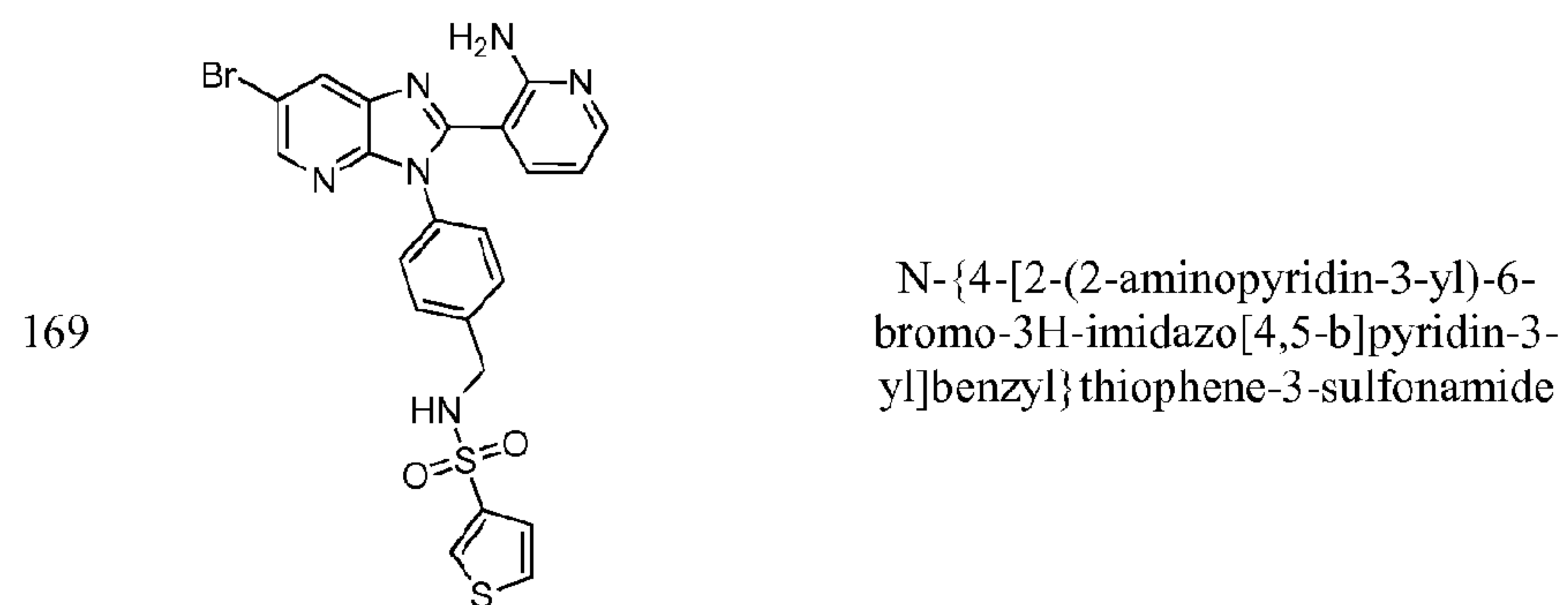
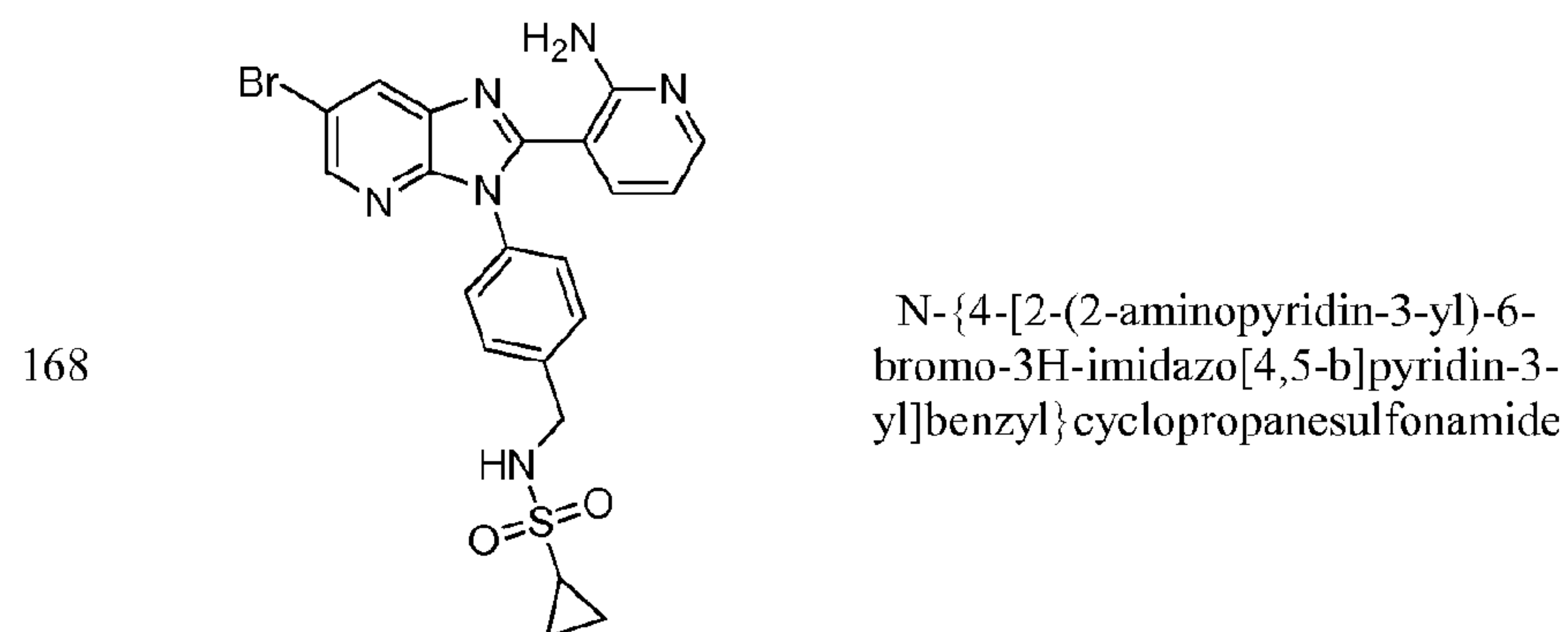
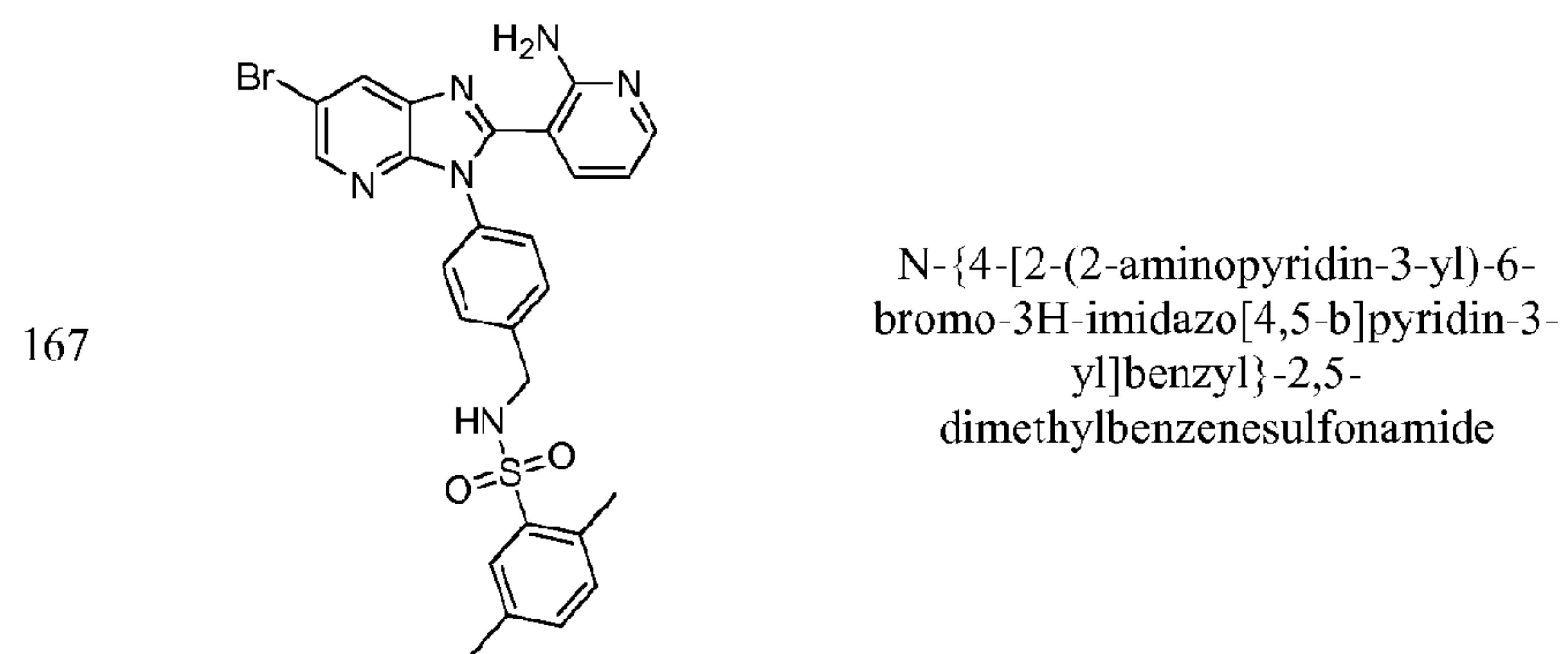


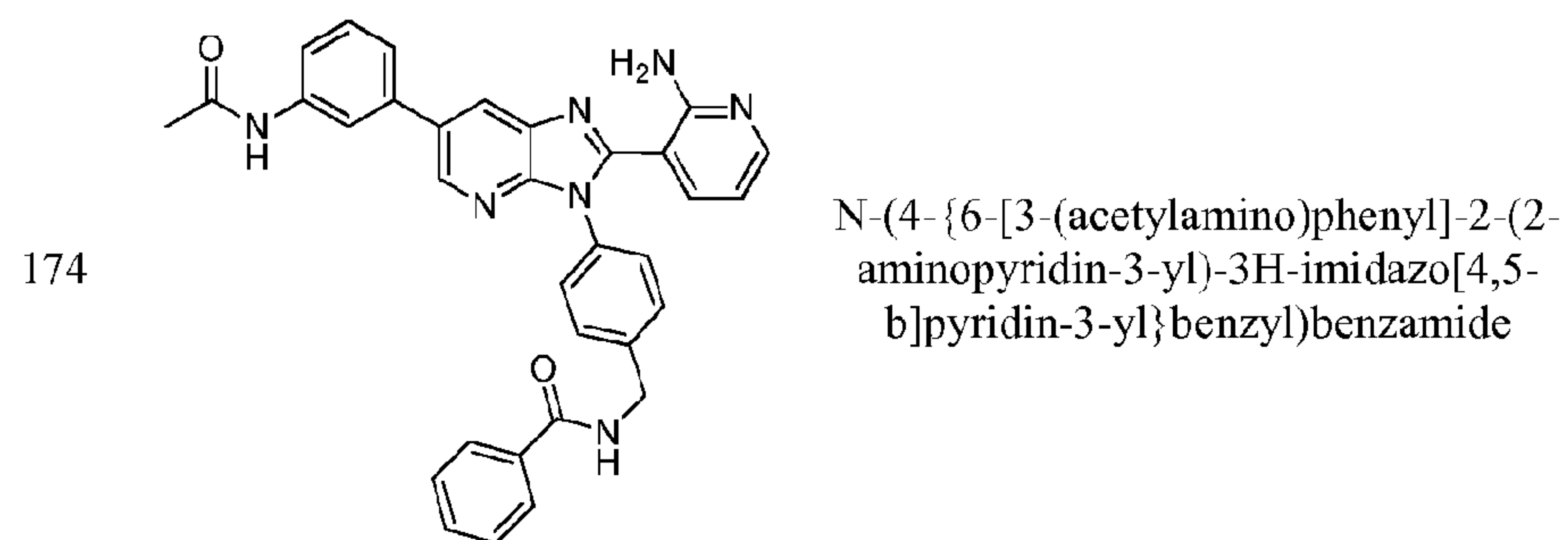
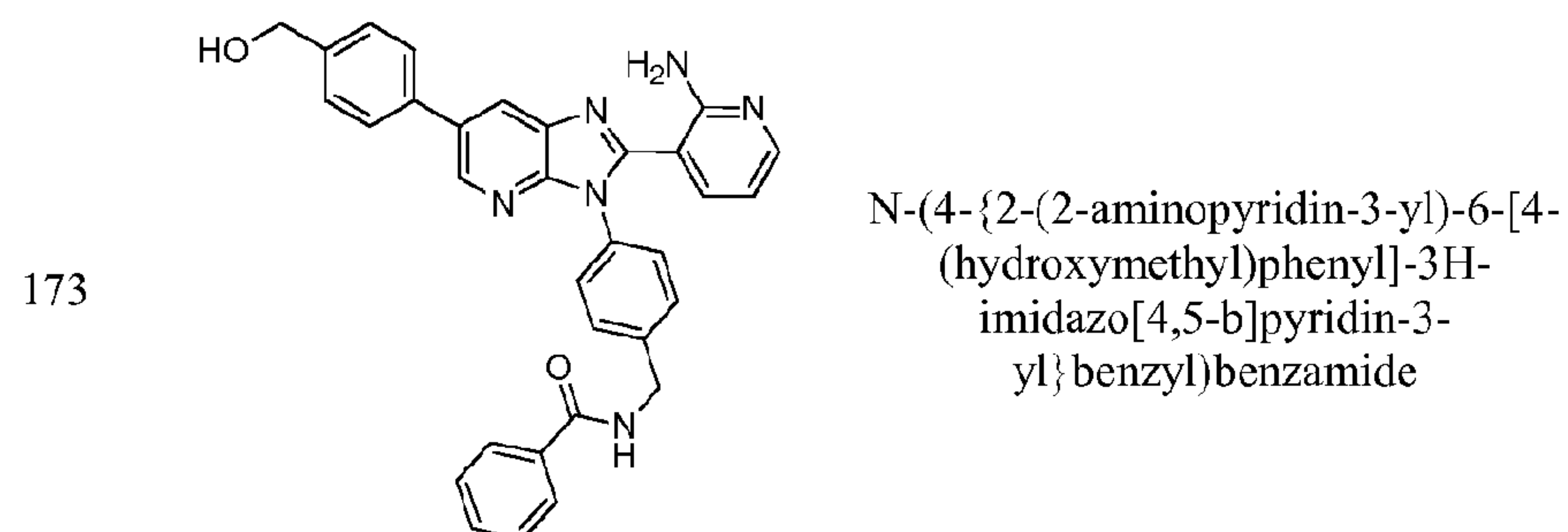
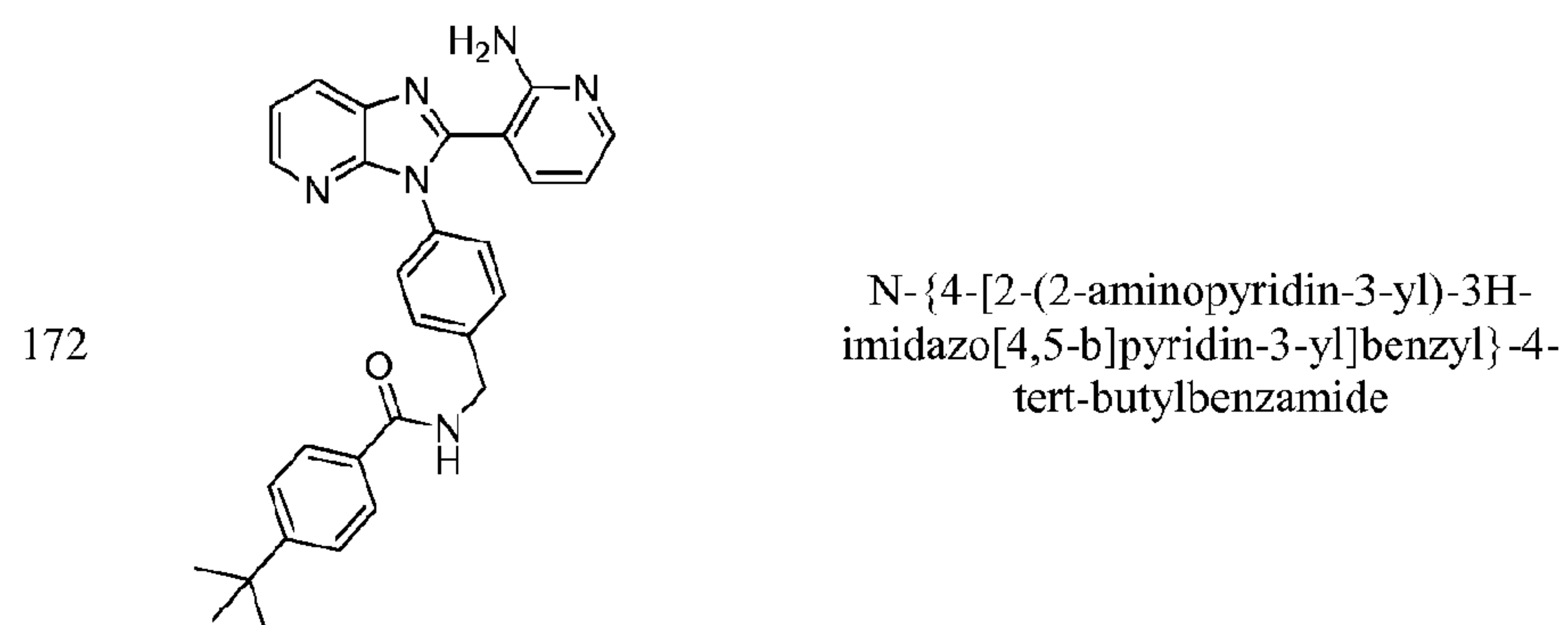
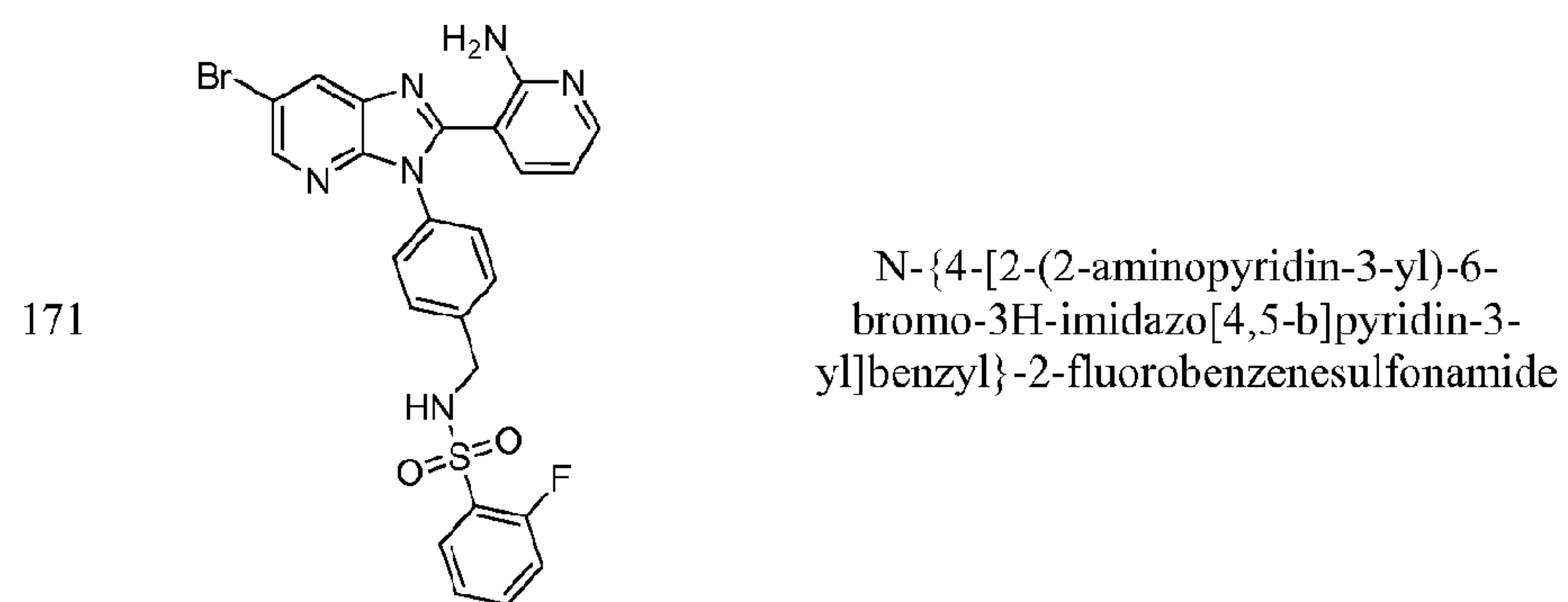
- 151 
N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}cyclohexanesulfonamide
- 152 
N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-2-methylpropane-1-sulfonamide
- 153 
N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}butane-1-sulfonamide
- 154 
N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3,5-dimethylisoxazole-4-sulfonamide

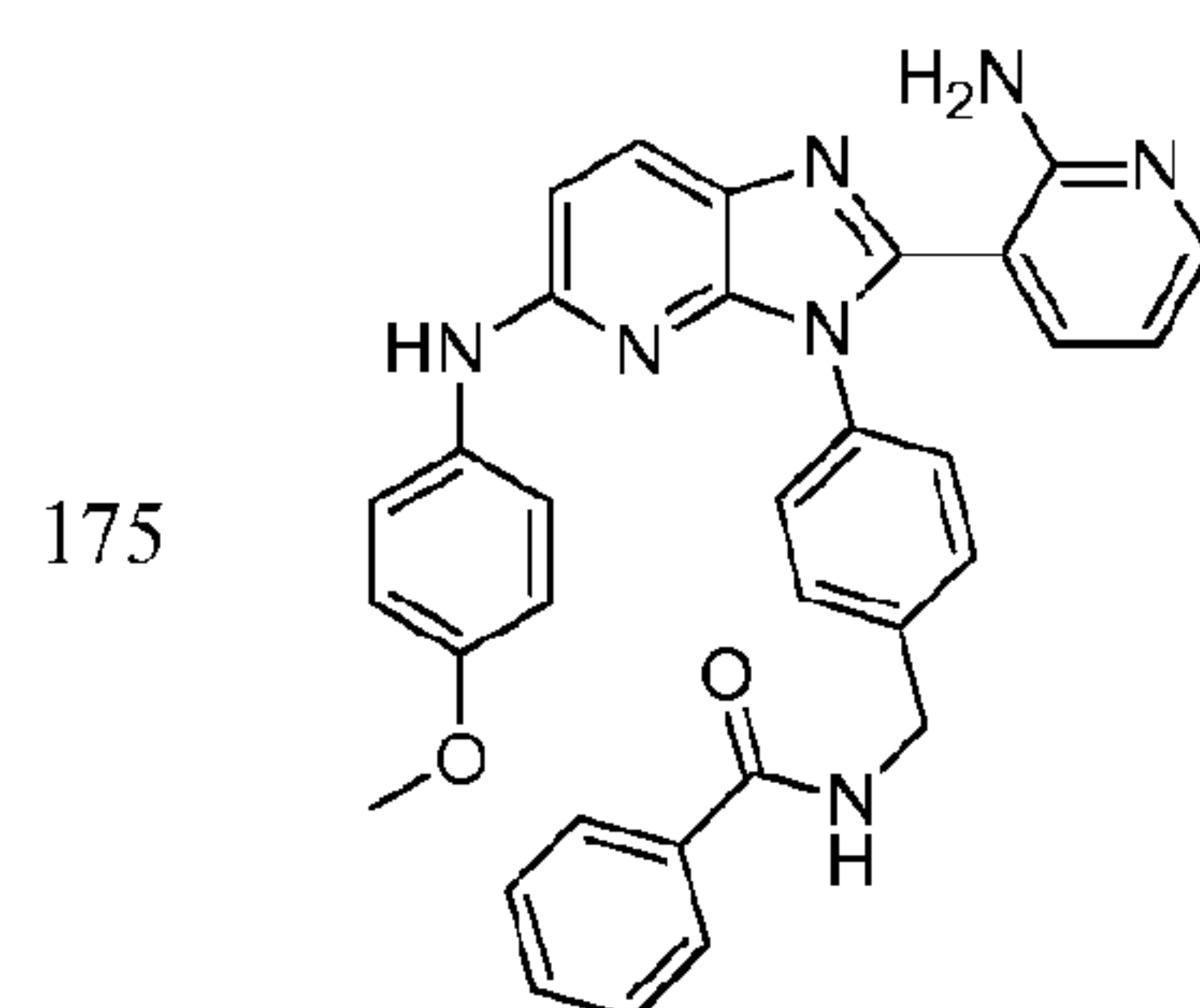
- 155 
- N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}thiophene-2-sulfonamide
- 156 
- N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-chlorobenzenesulfonamide
- 157 
- N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}naphthalene-2-sulfonamide
- 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

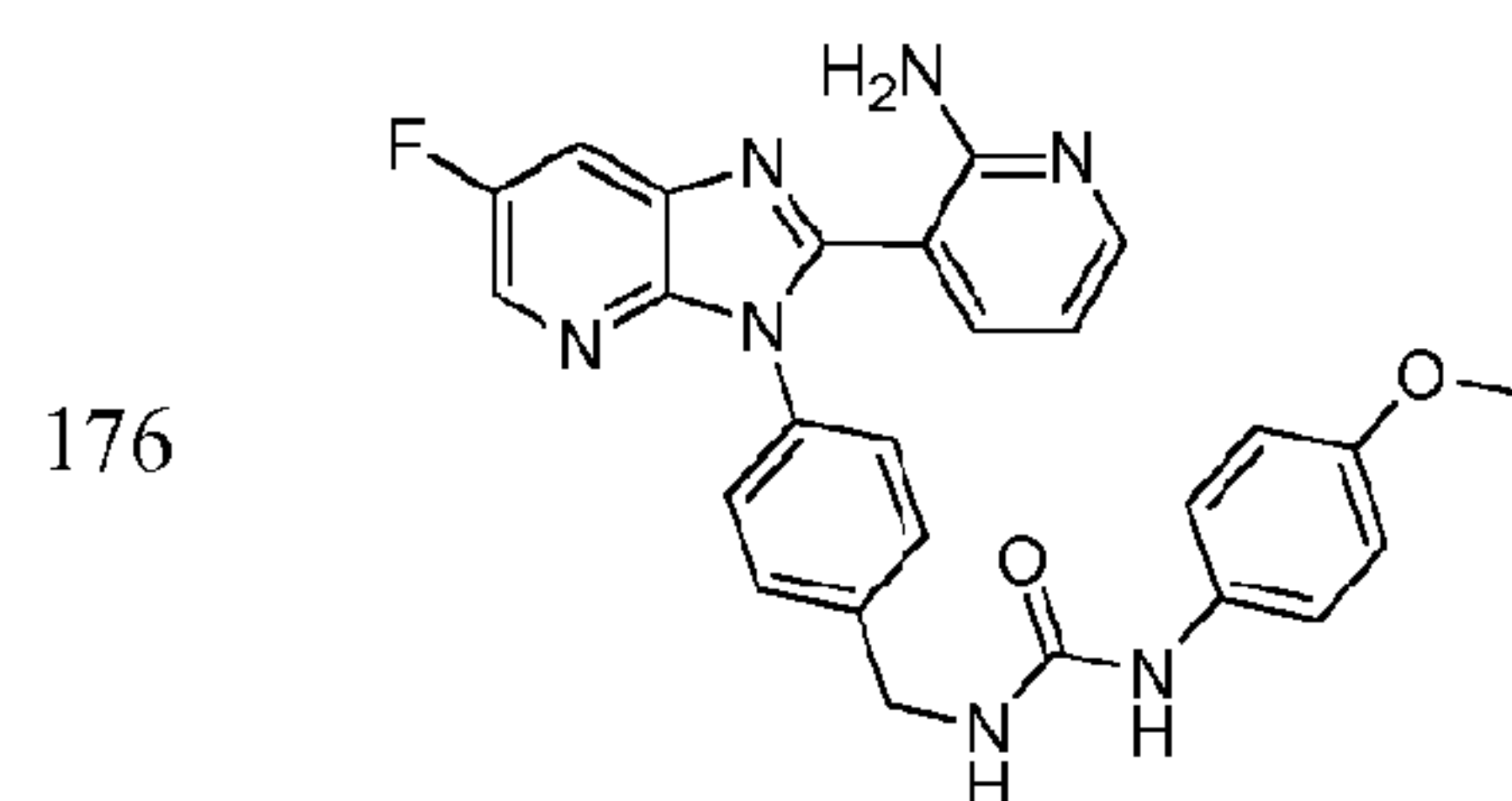
- 163 
- N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-methylbenzenesulfonamide
- 164 
- N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}quinoline-8-sulfonamide
- 165 
- N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-cyanobenzenesulfonamide
- 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



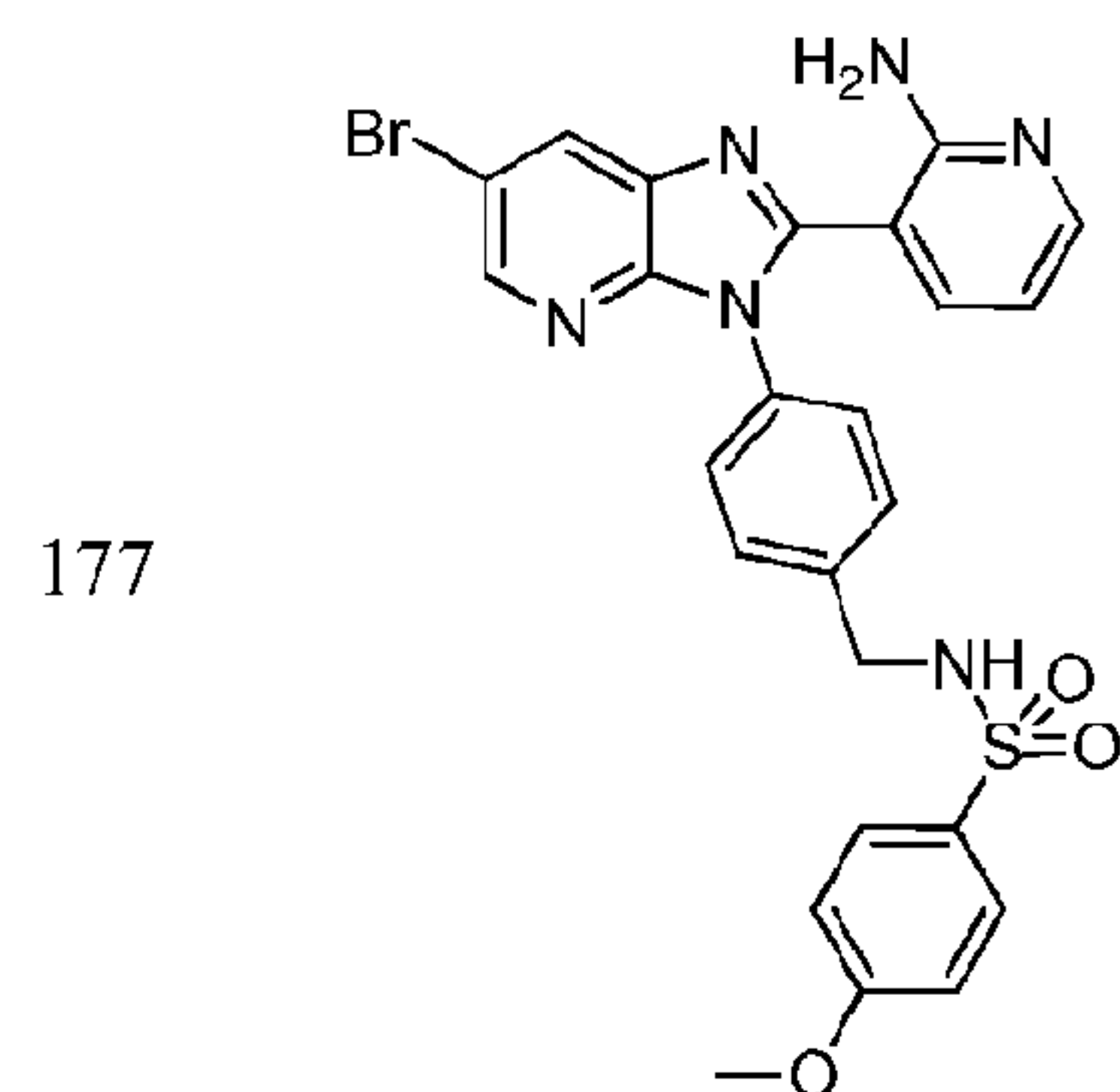




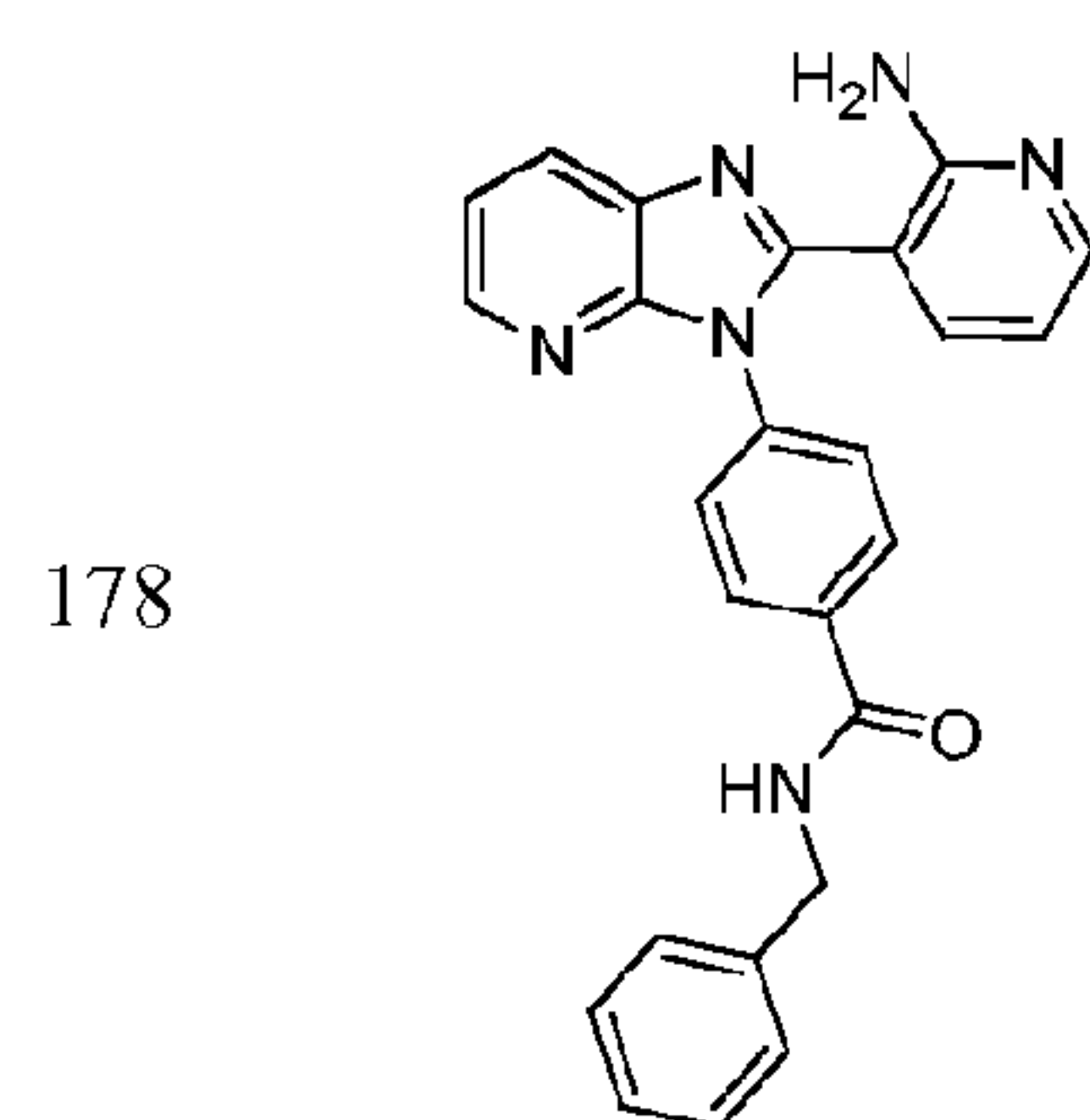
N-(4-{2-(2-aminopyridin-3-yl)-5-[(4-methoxyphenyl)amino]-3H-imidazo[4,5-b]pyridin-3-yl}benzyl)benzamide



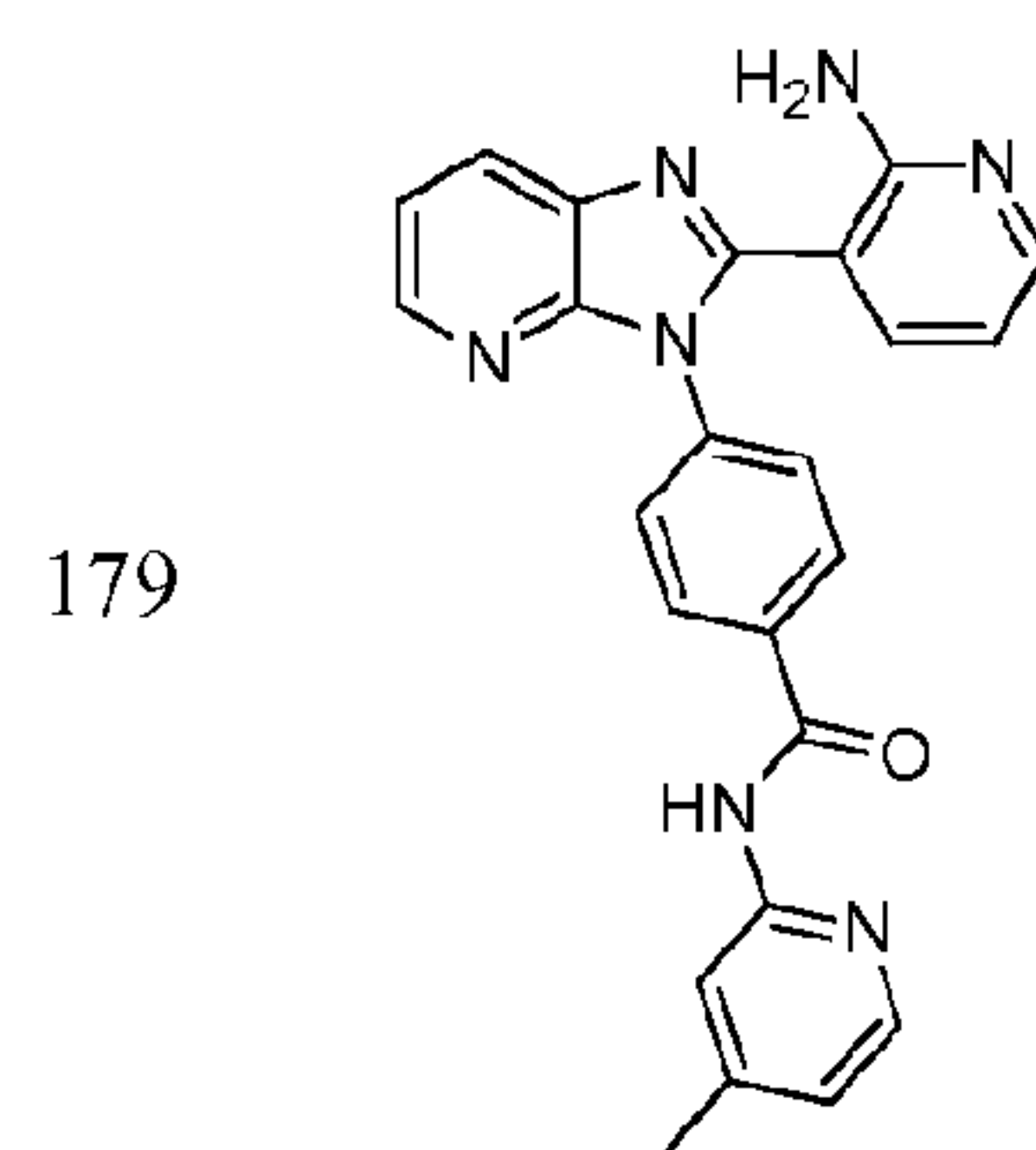
1-{4-[2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-(4-methoxyphenyl)urea



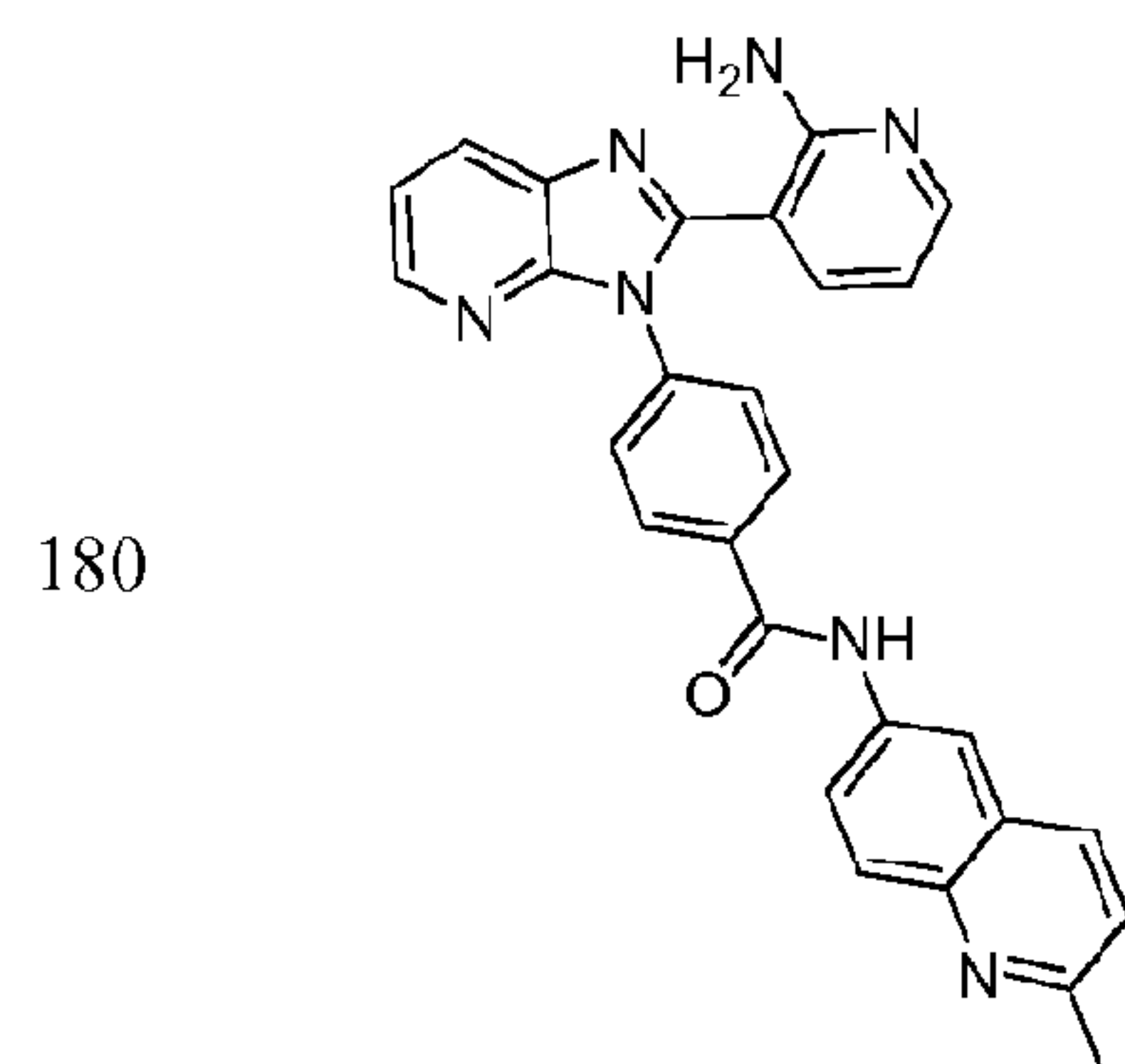
N-{4-[2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-4-methoxybenzenesulfonamide



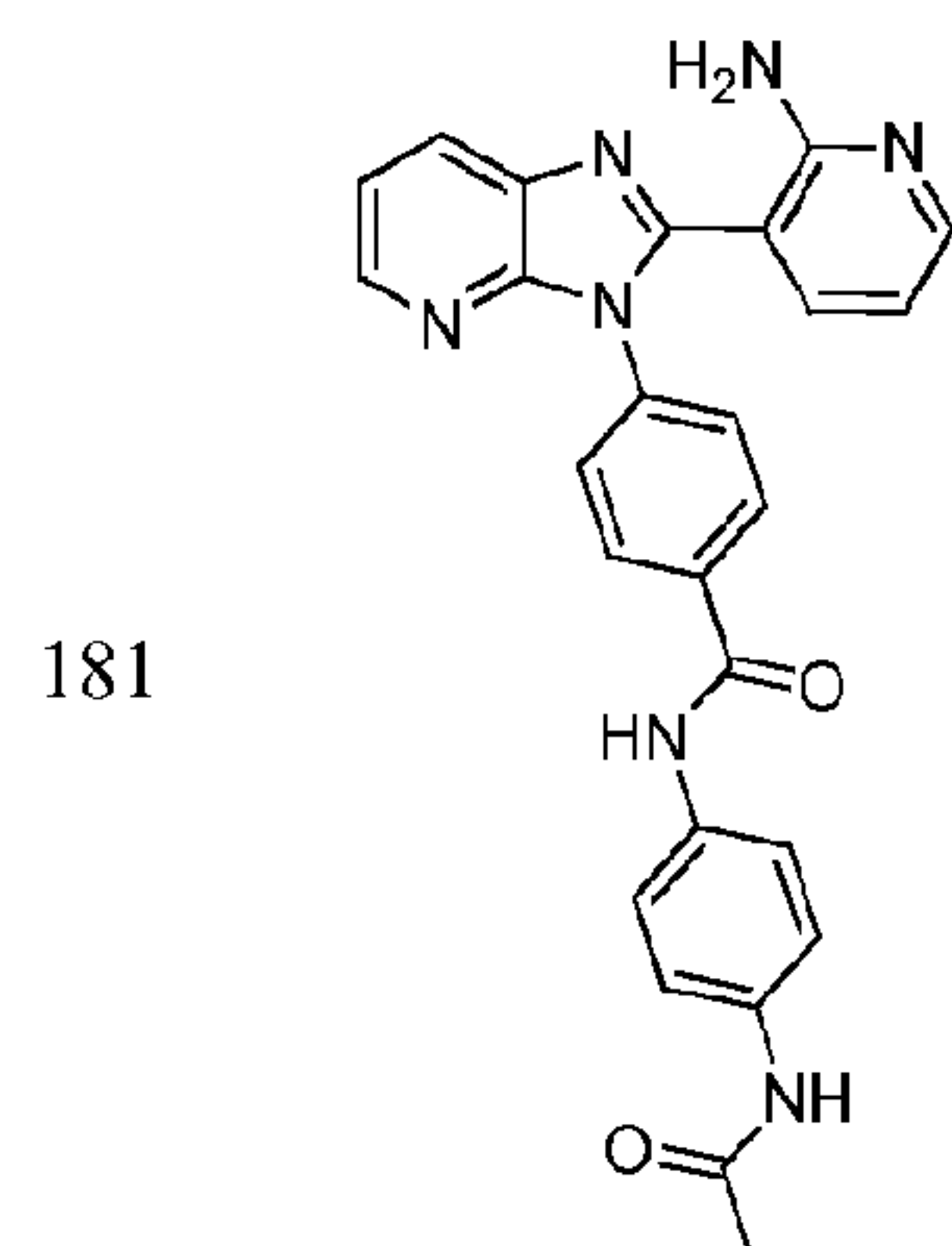
4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-benzylbenzamide



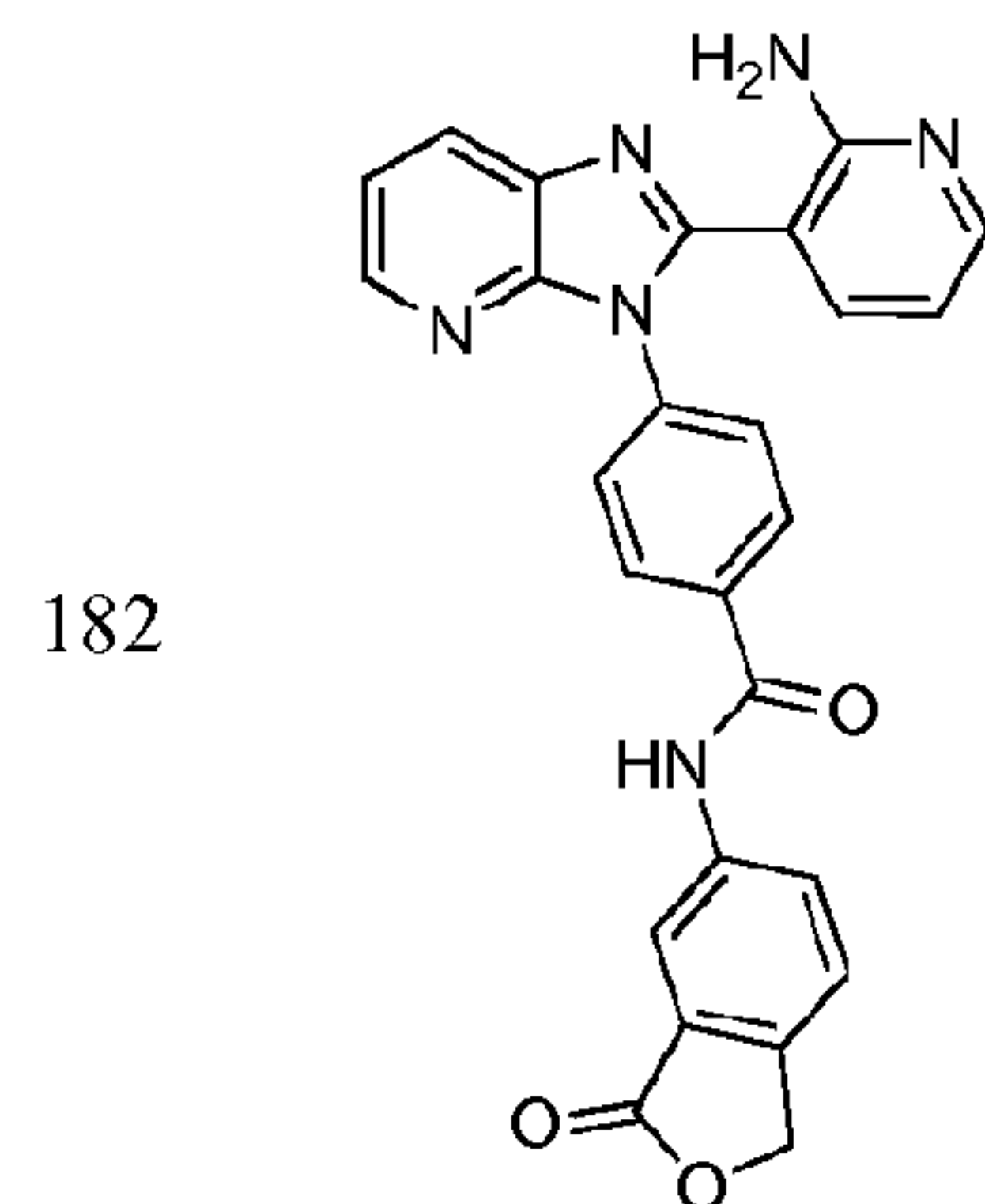
4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(4-methylpyridin-2-yl)benzamide



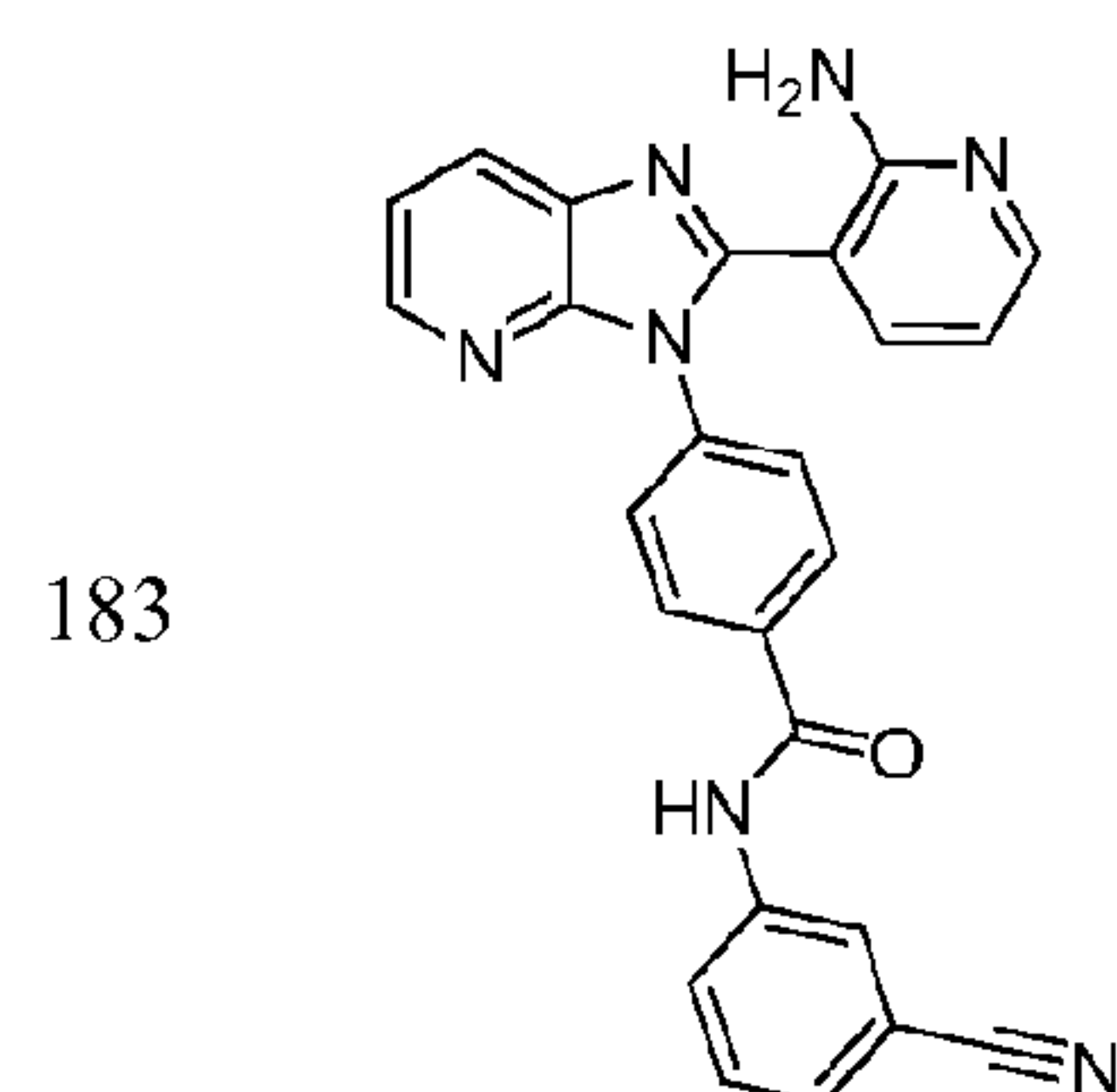
4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(2-methylquinolin-6-yl)benzamide



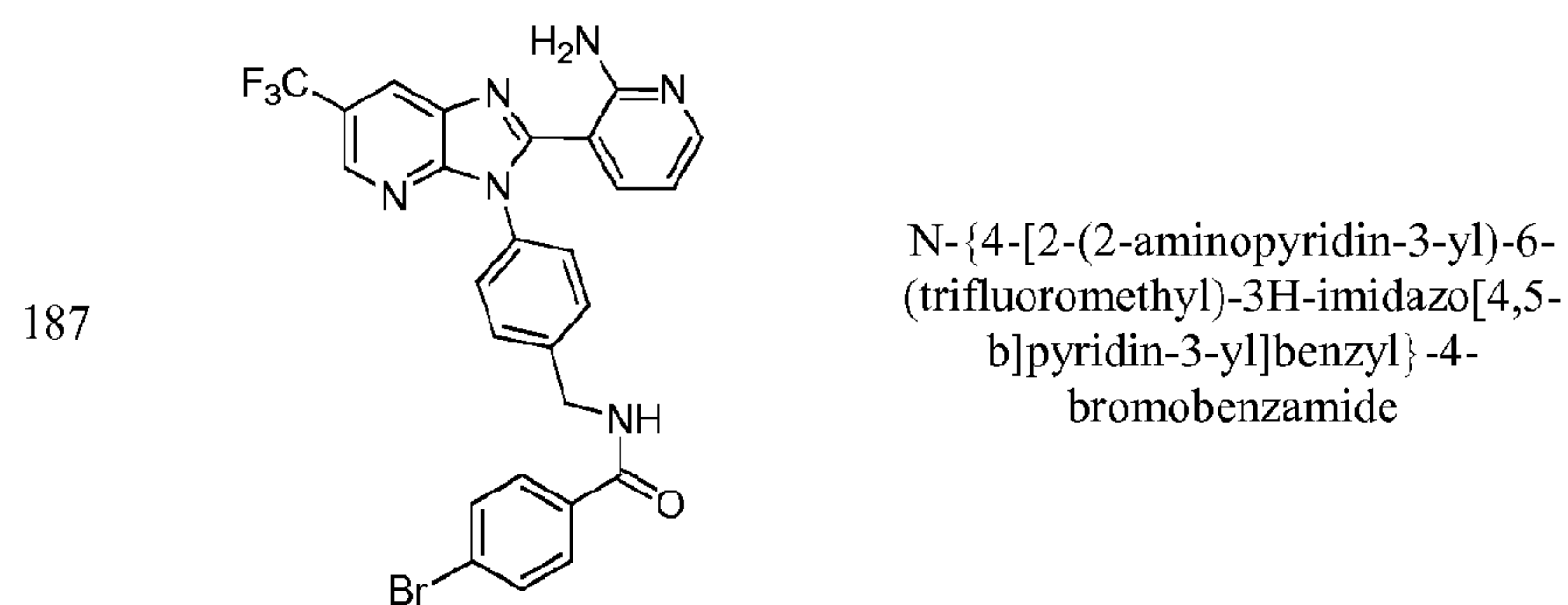
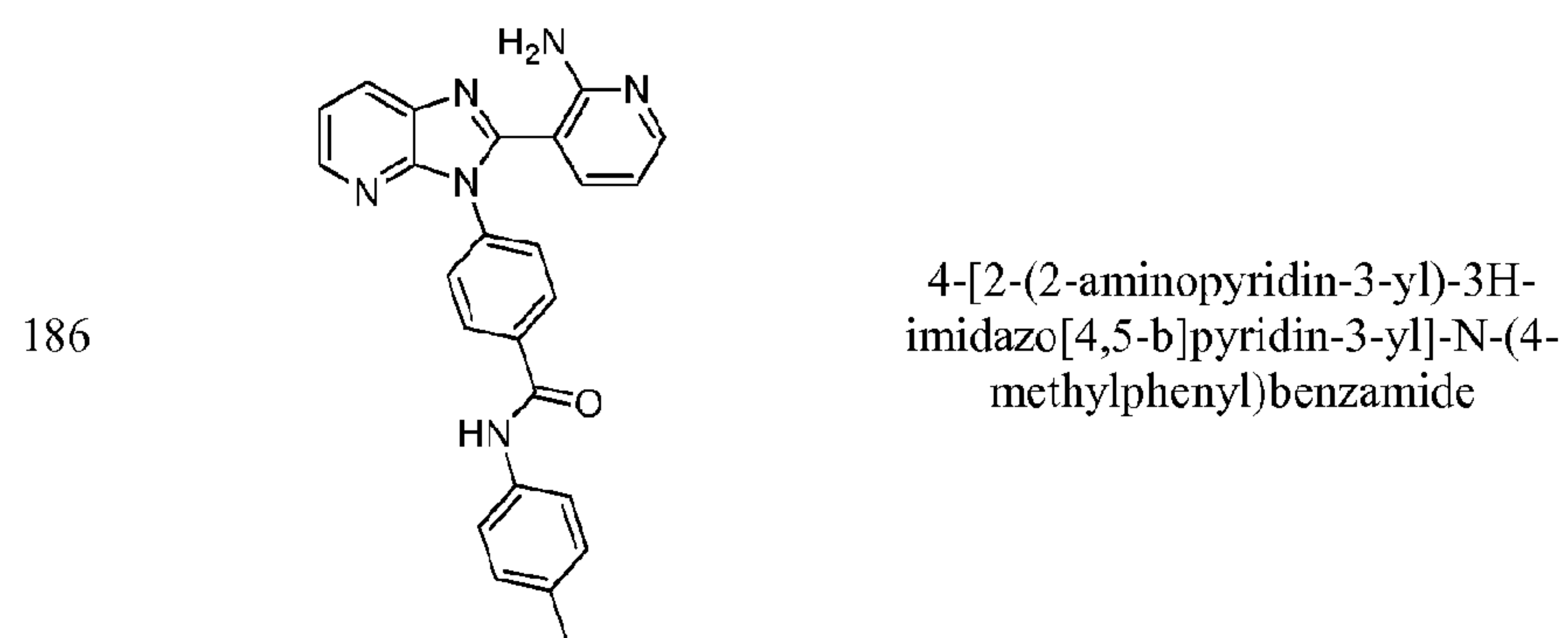
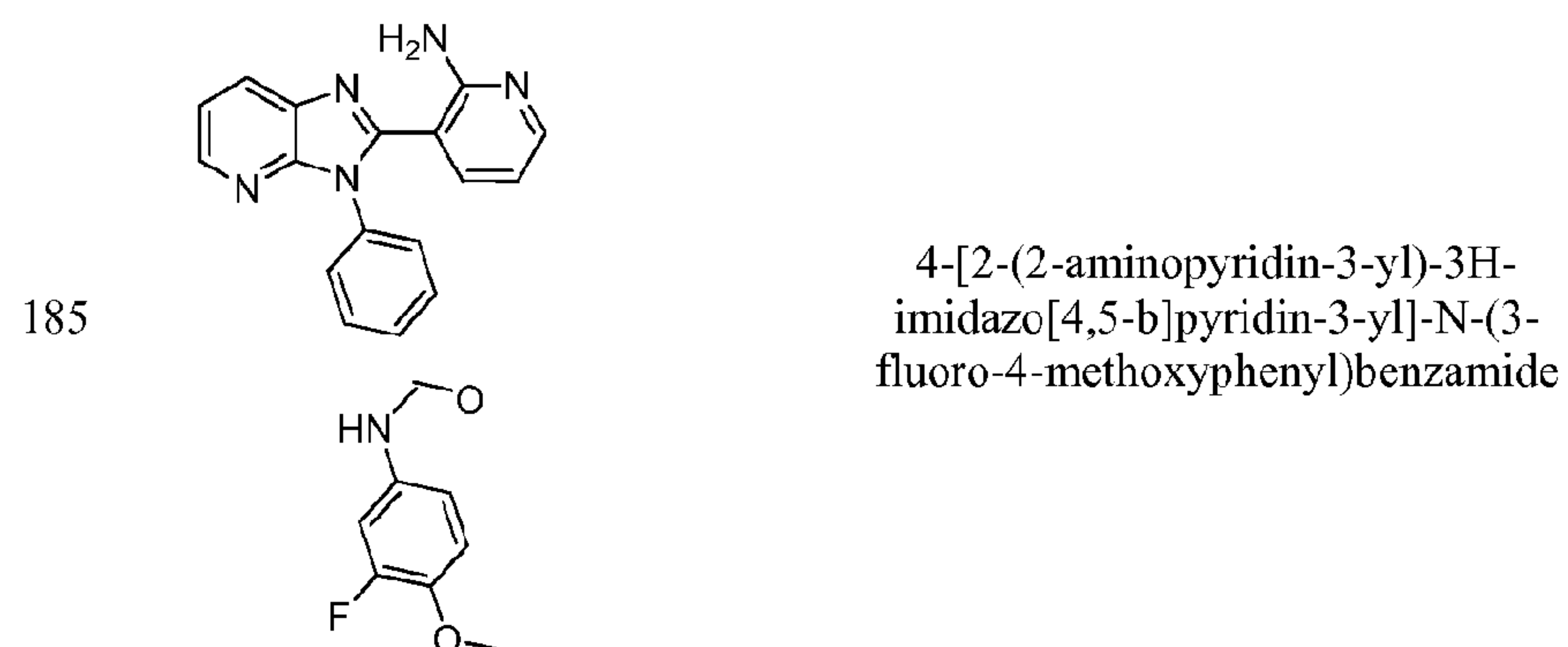
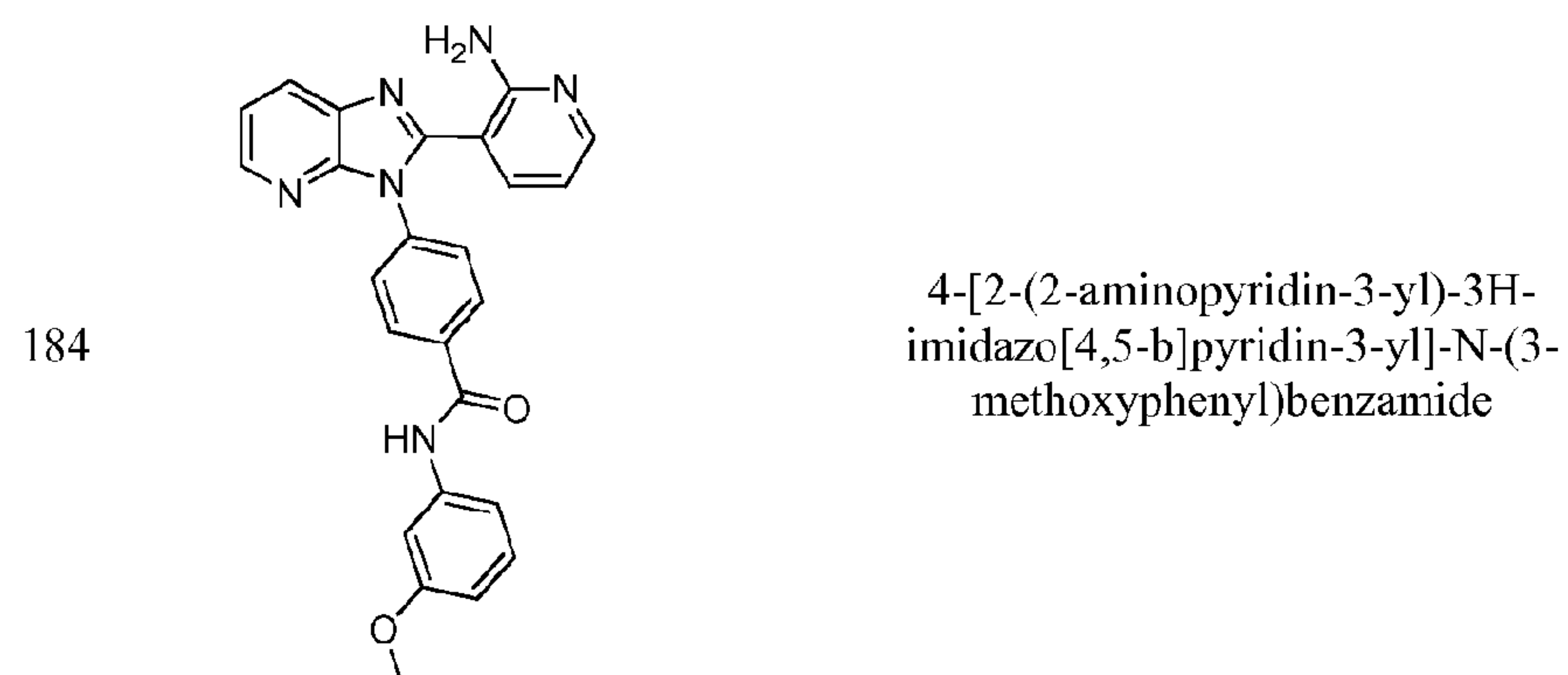
N-[4-(acetylamino)phenyl]-4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]benzamide

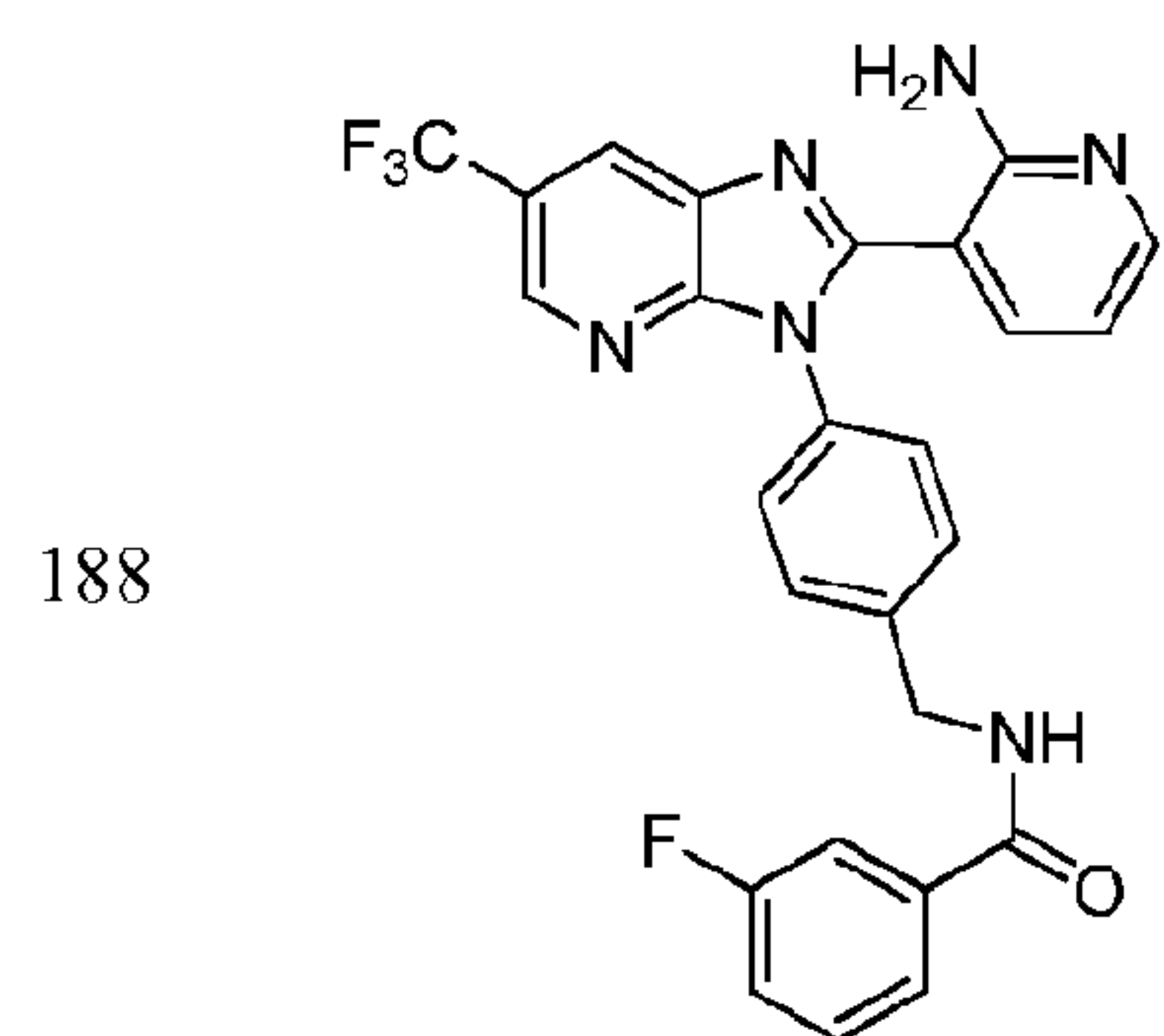


4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-oxo-1,3-dihydro-2-benzofuran-5-yl)benzamide

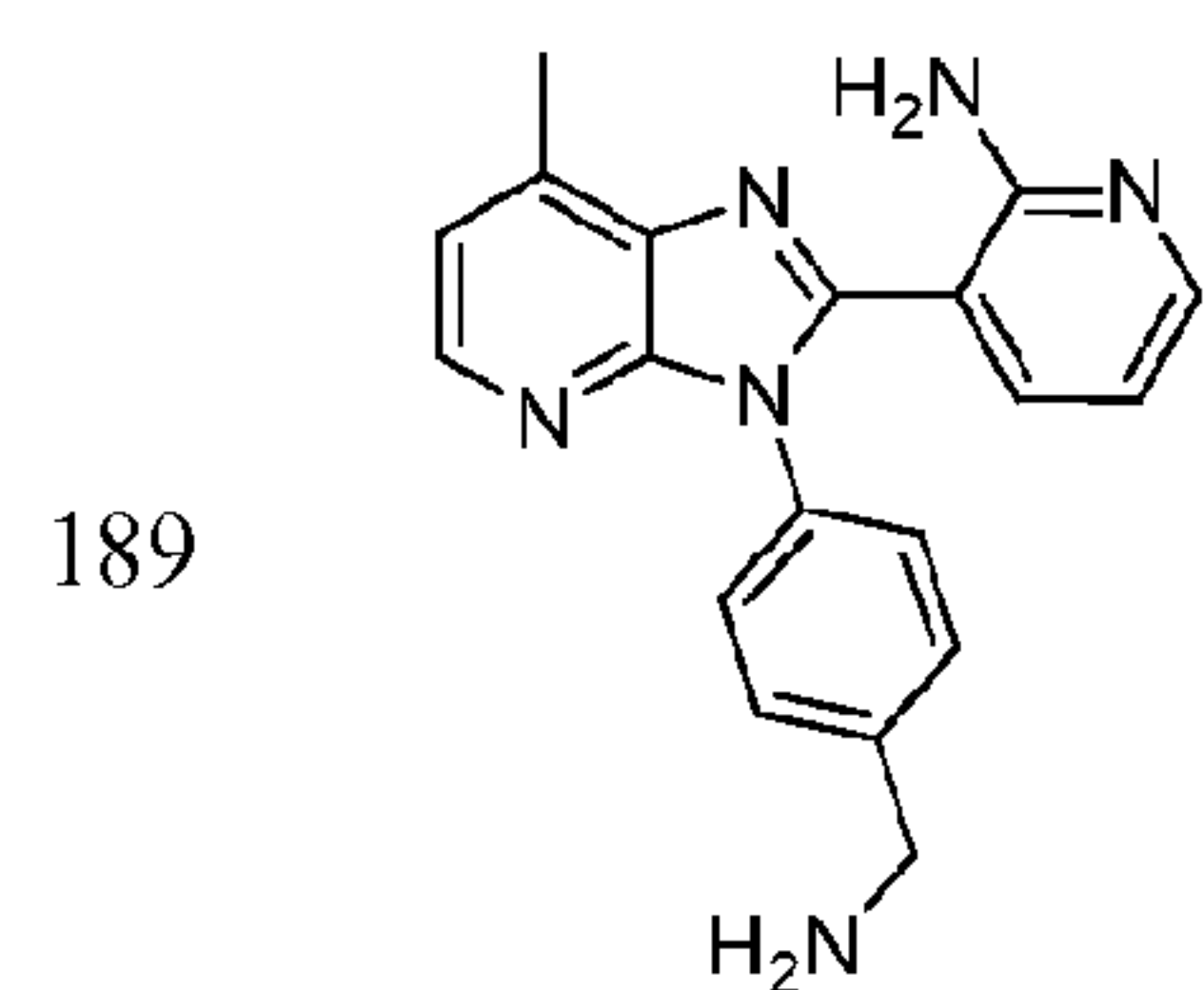


4-[2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl]-N-(3-cyanophenyl)benzamide

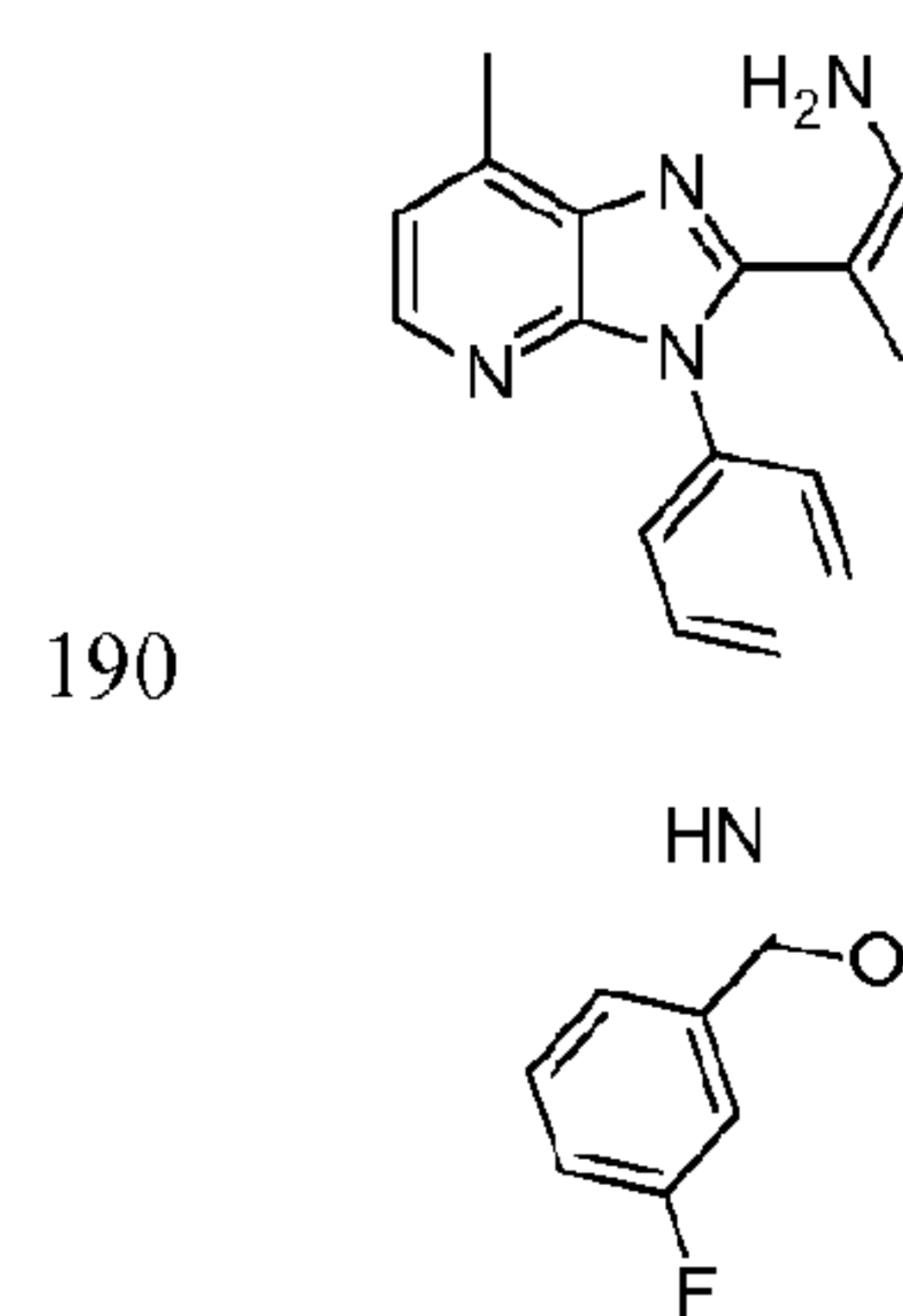




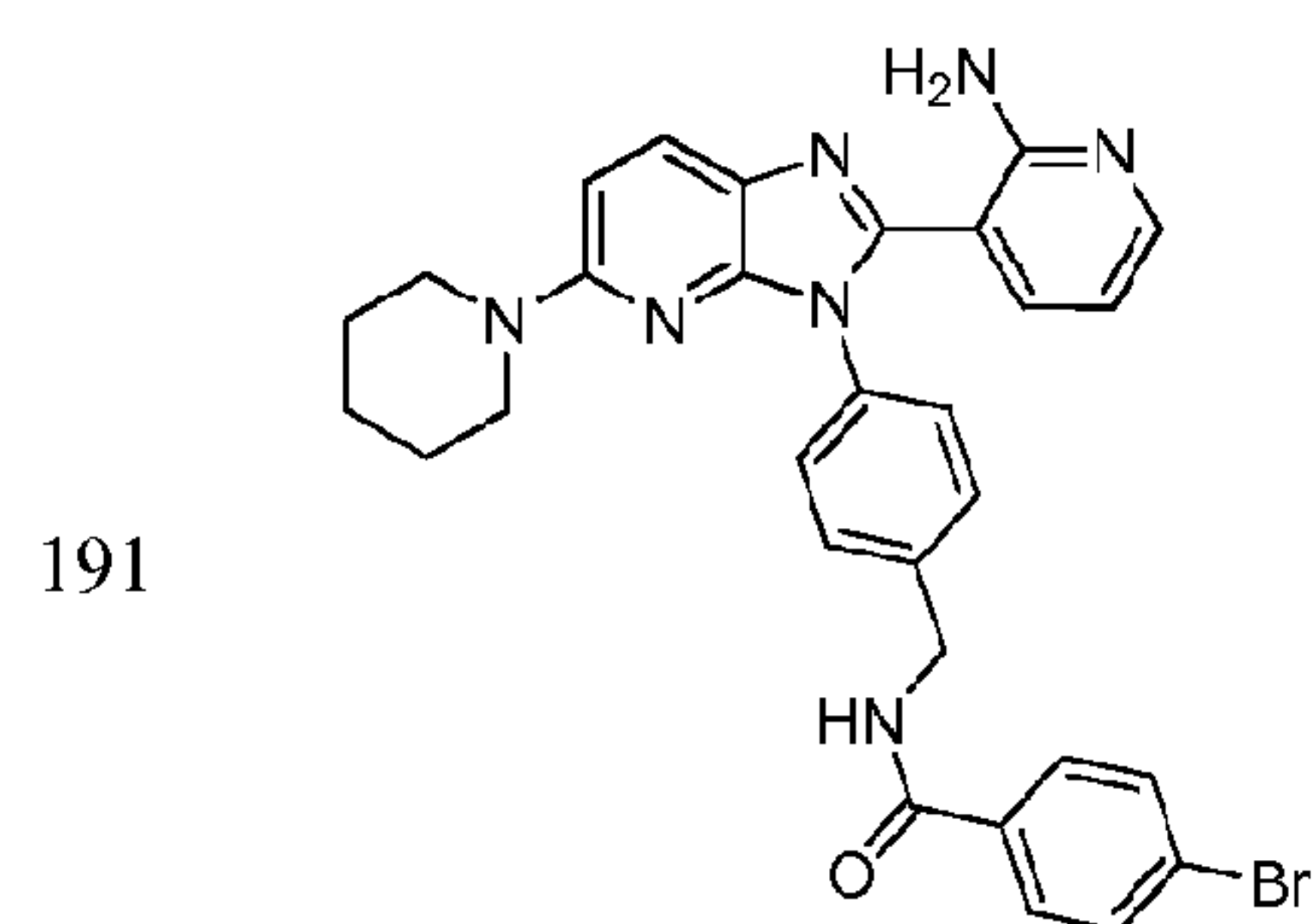
N-{4-[2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl]benzyl}-3-fluorobenzamide



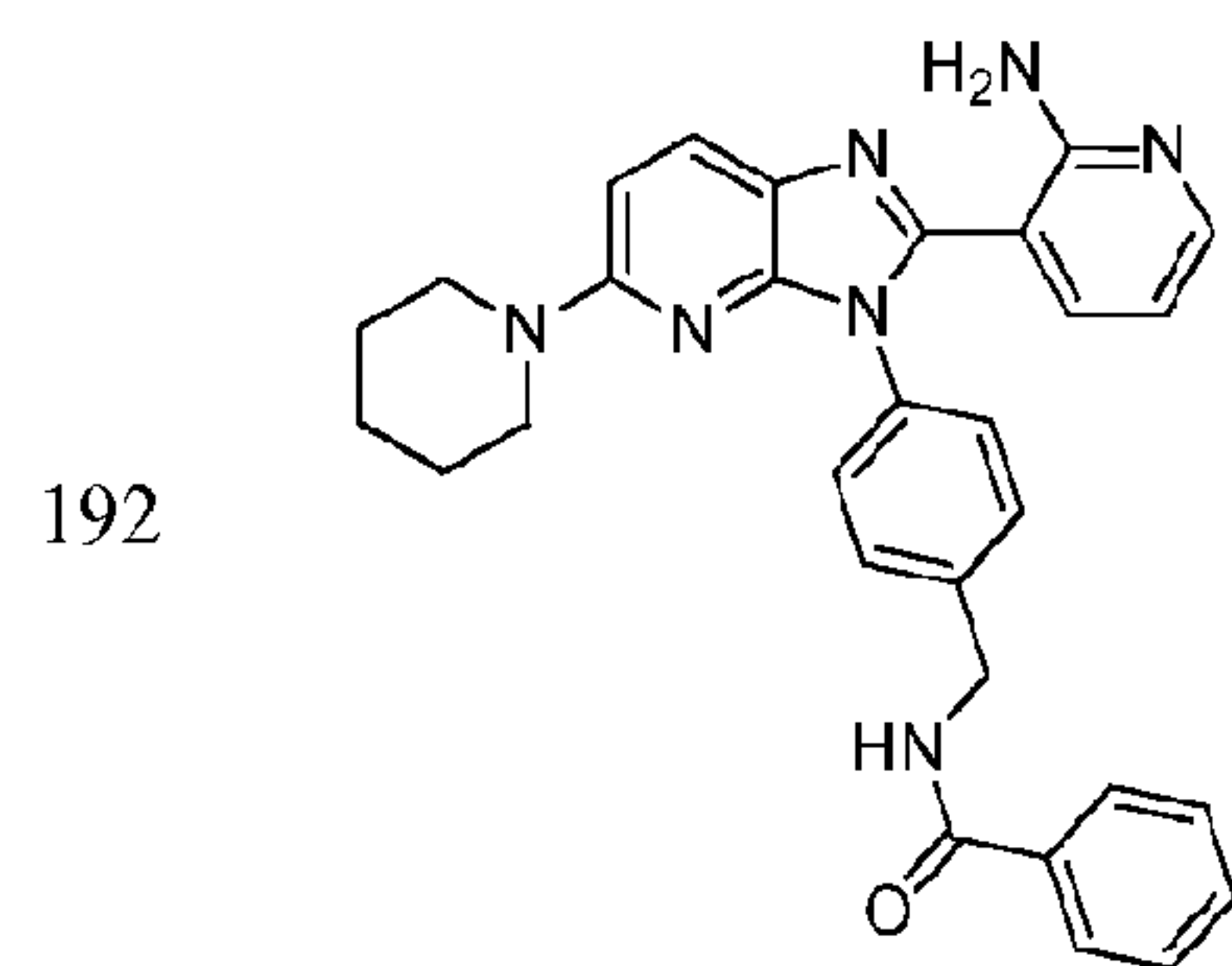
3-(3-(4-(aminomethyl)phenyl)-7-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



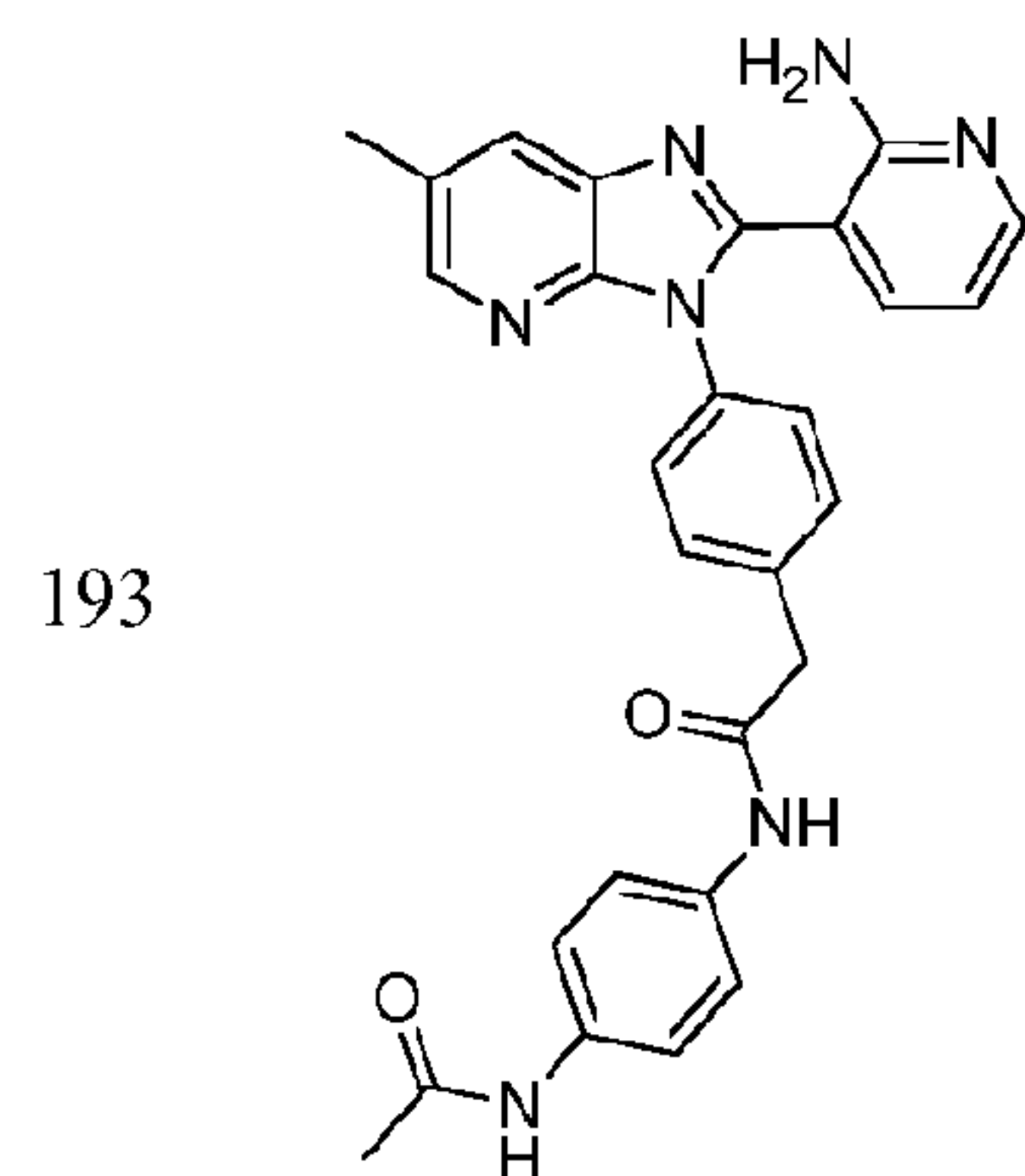
N-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



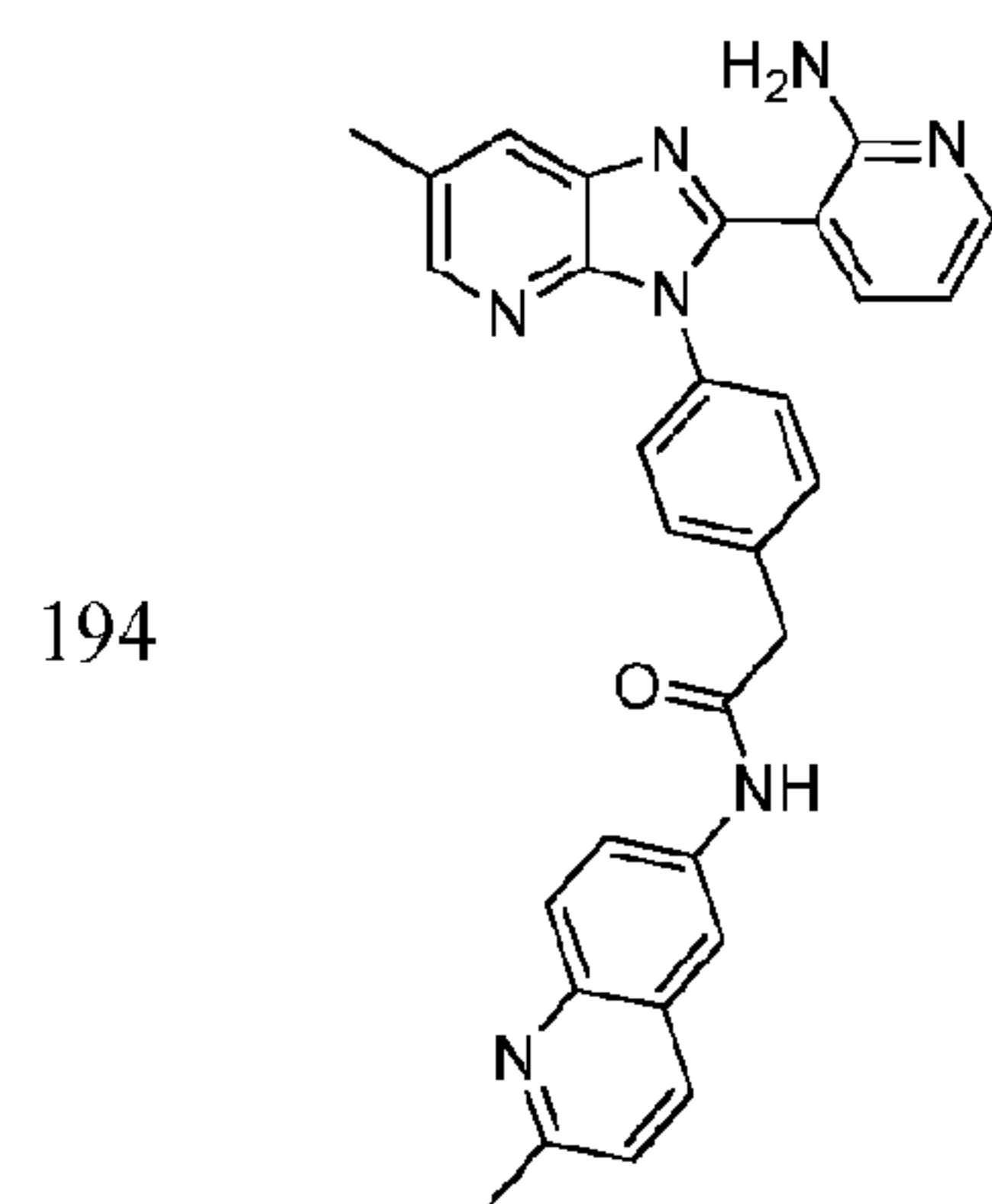
N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-bromobenzamide



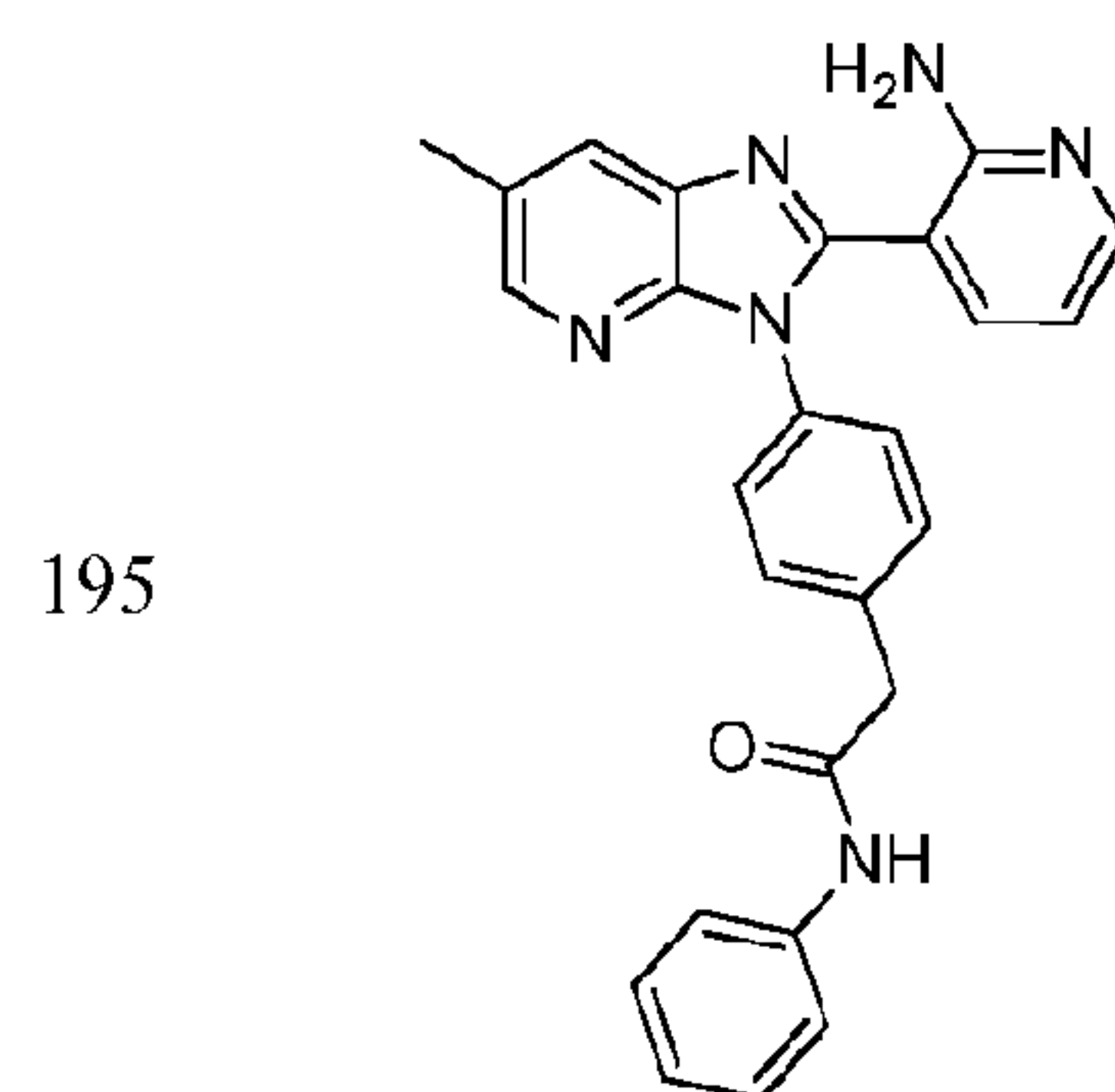
N-(4-(2-(2-aminopyridin-3-yl)-5-(piperidin-1-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



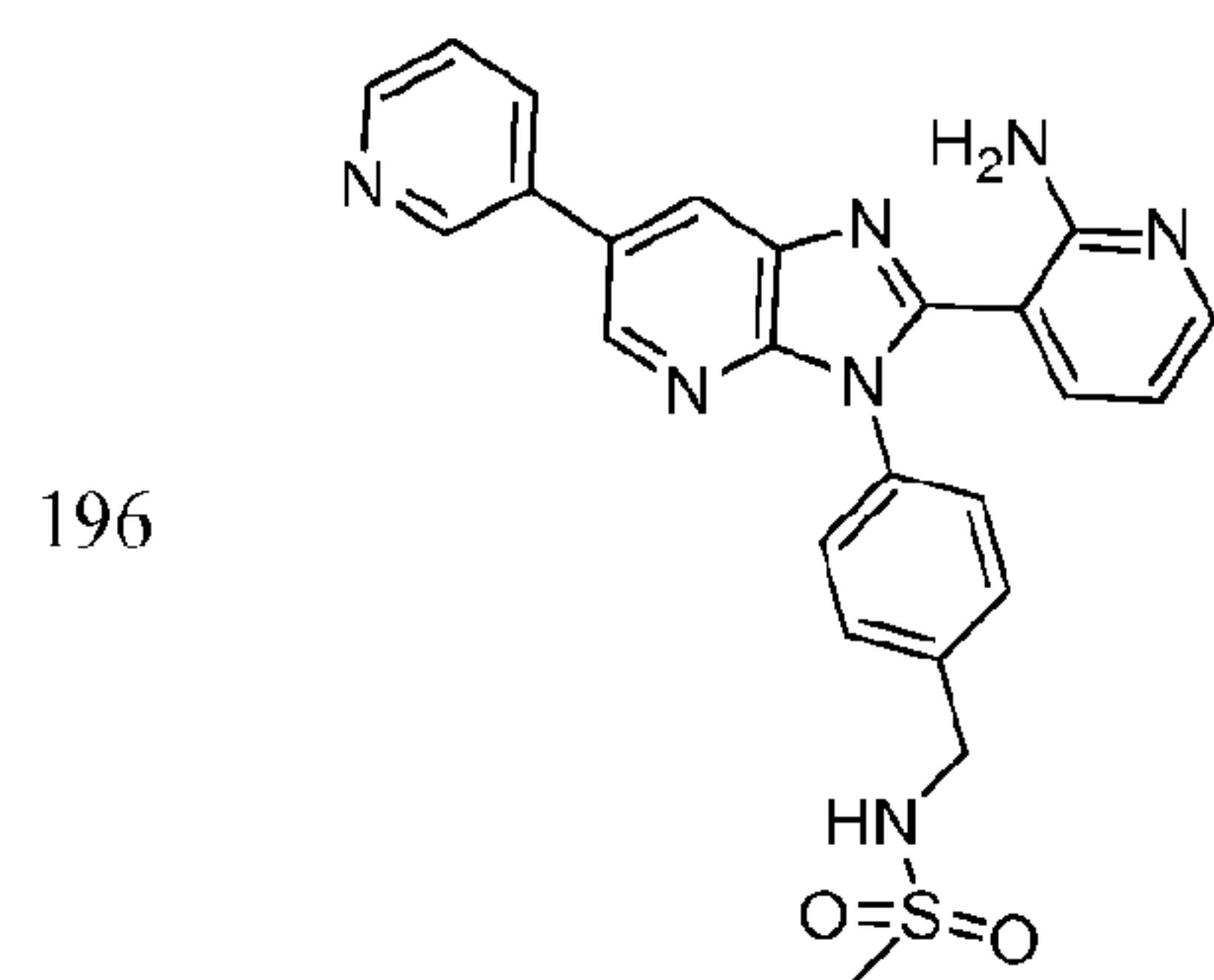
N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide



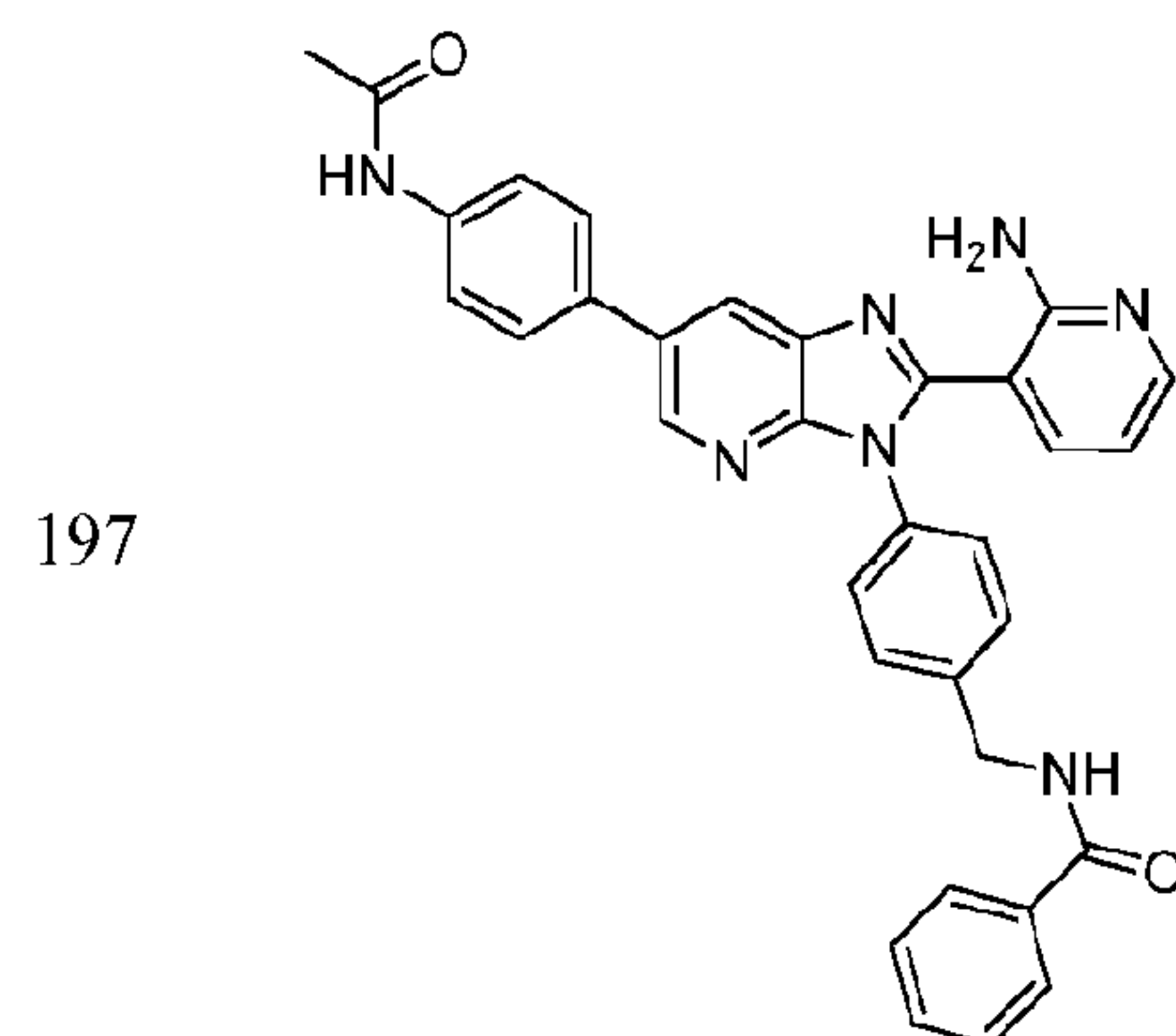
2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide



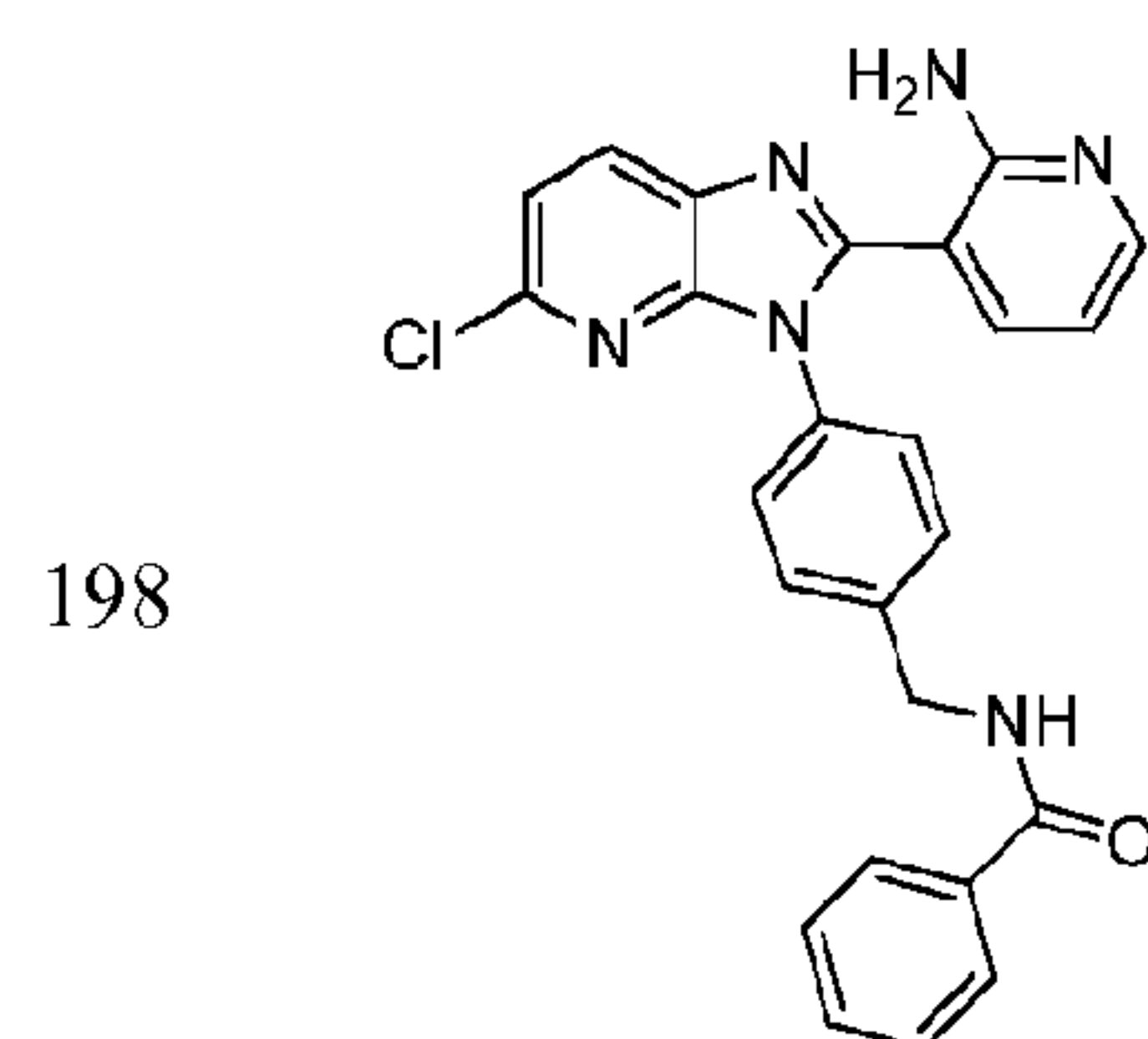
2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide



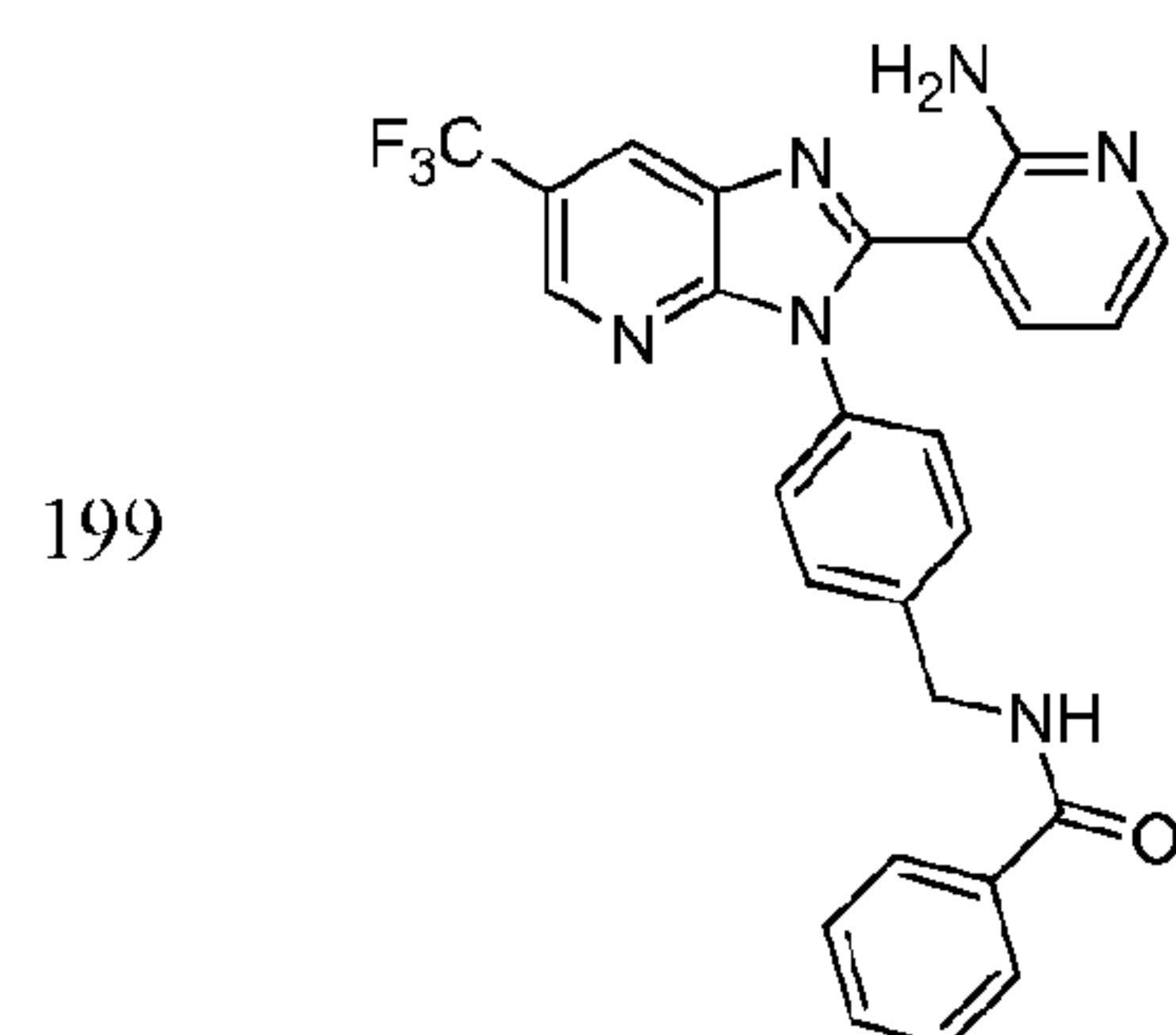
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)methanesulfonamide



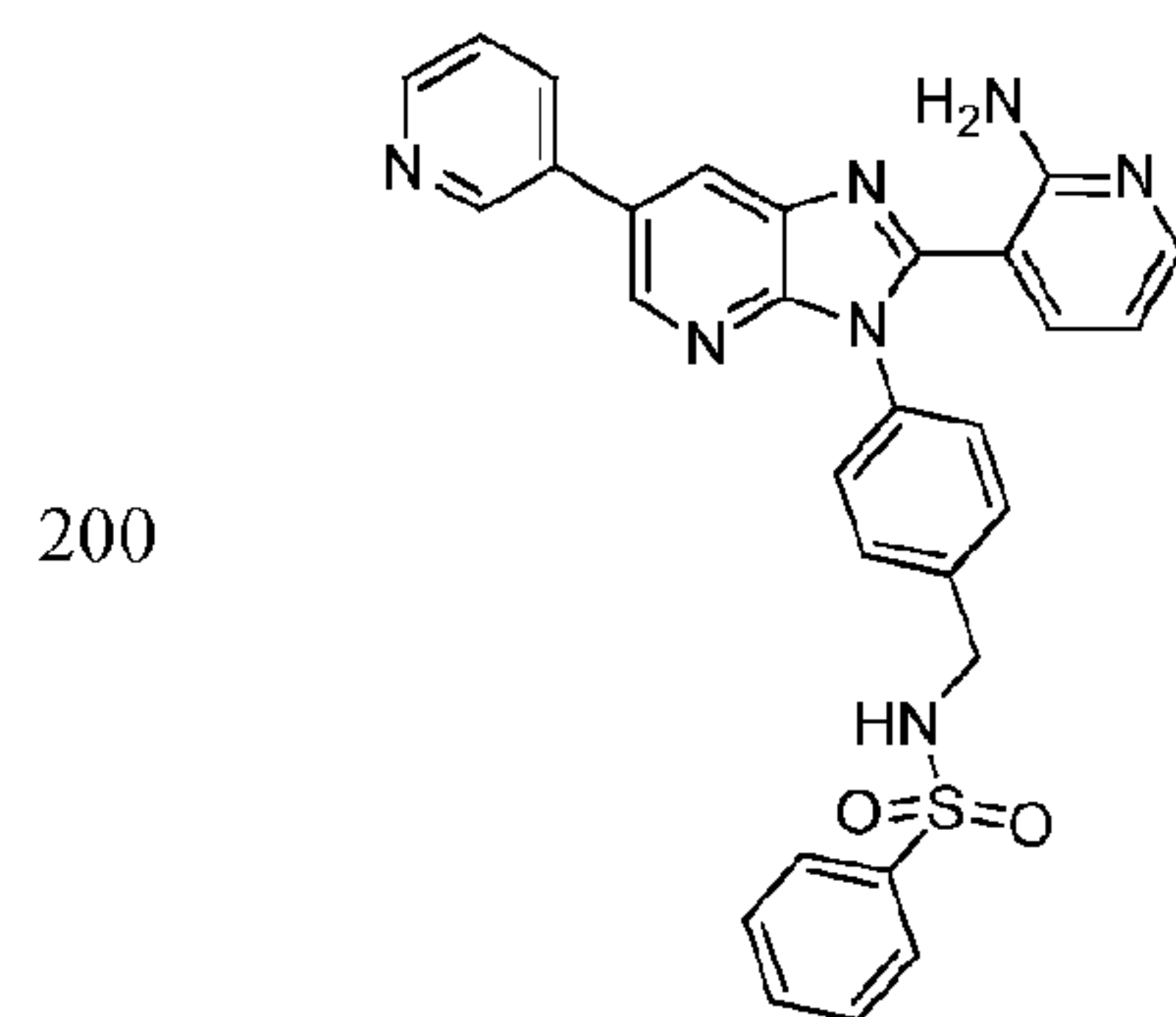
N-(4-(6-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



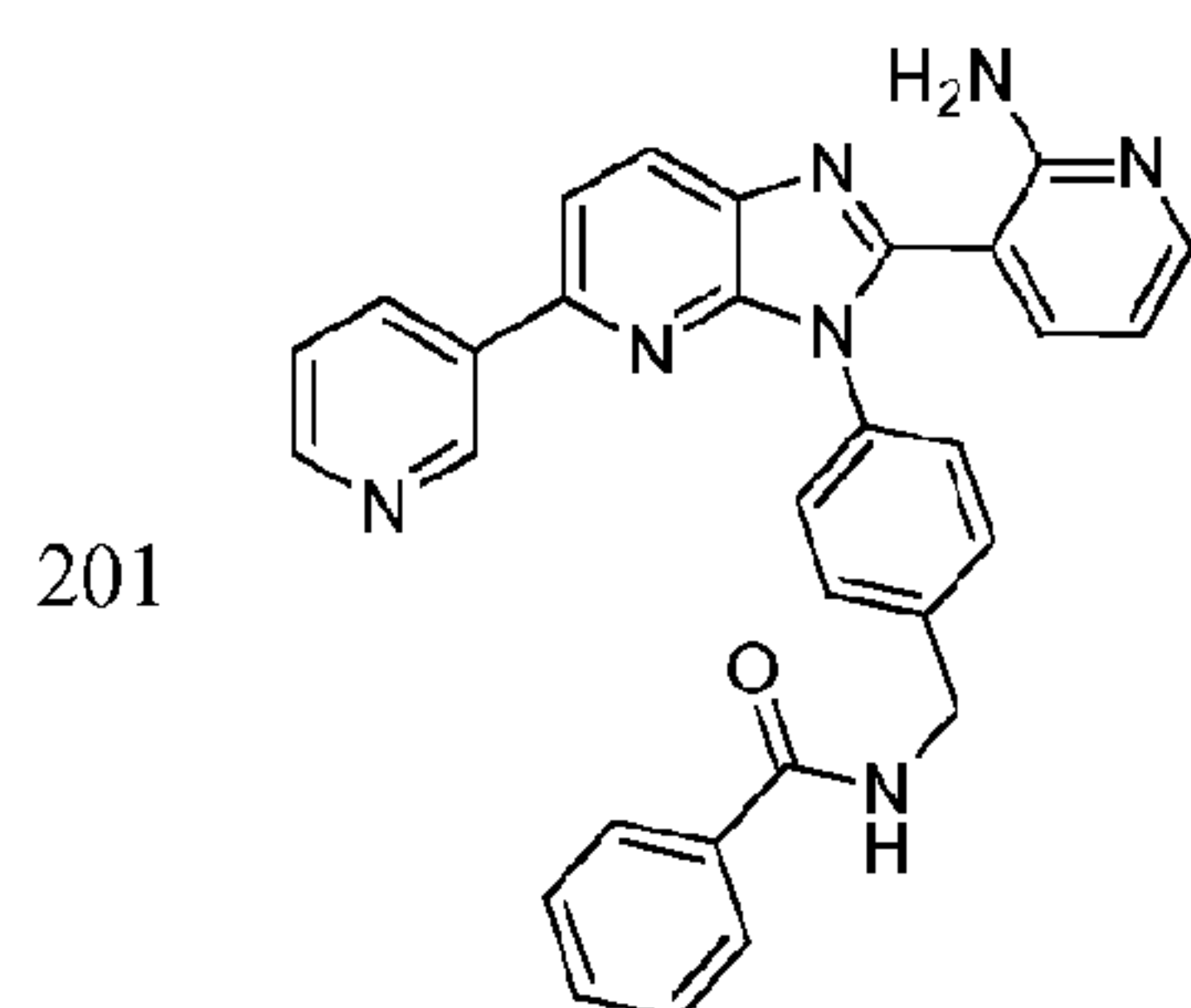
N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



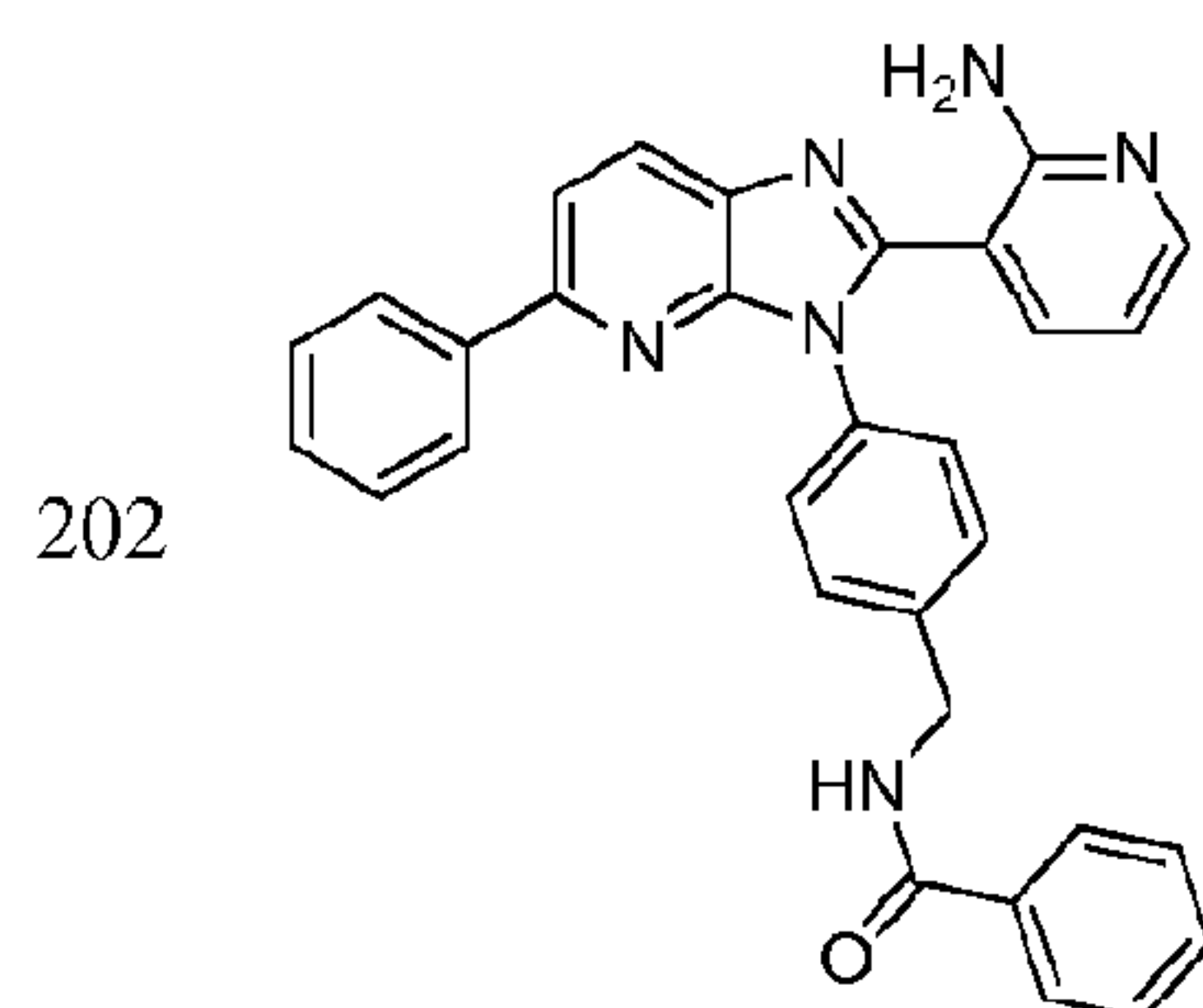
N-(4-(2-(2-aminopyridin-3-yl)-6-(trifluoromethyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



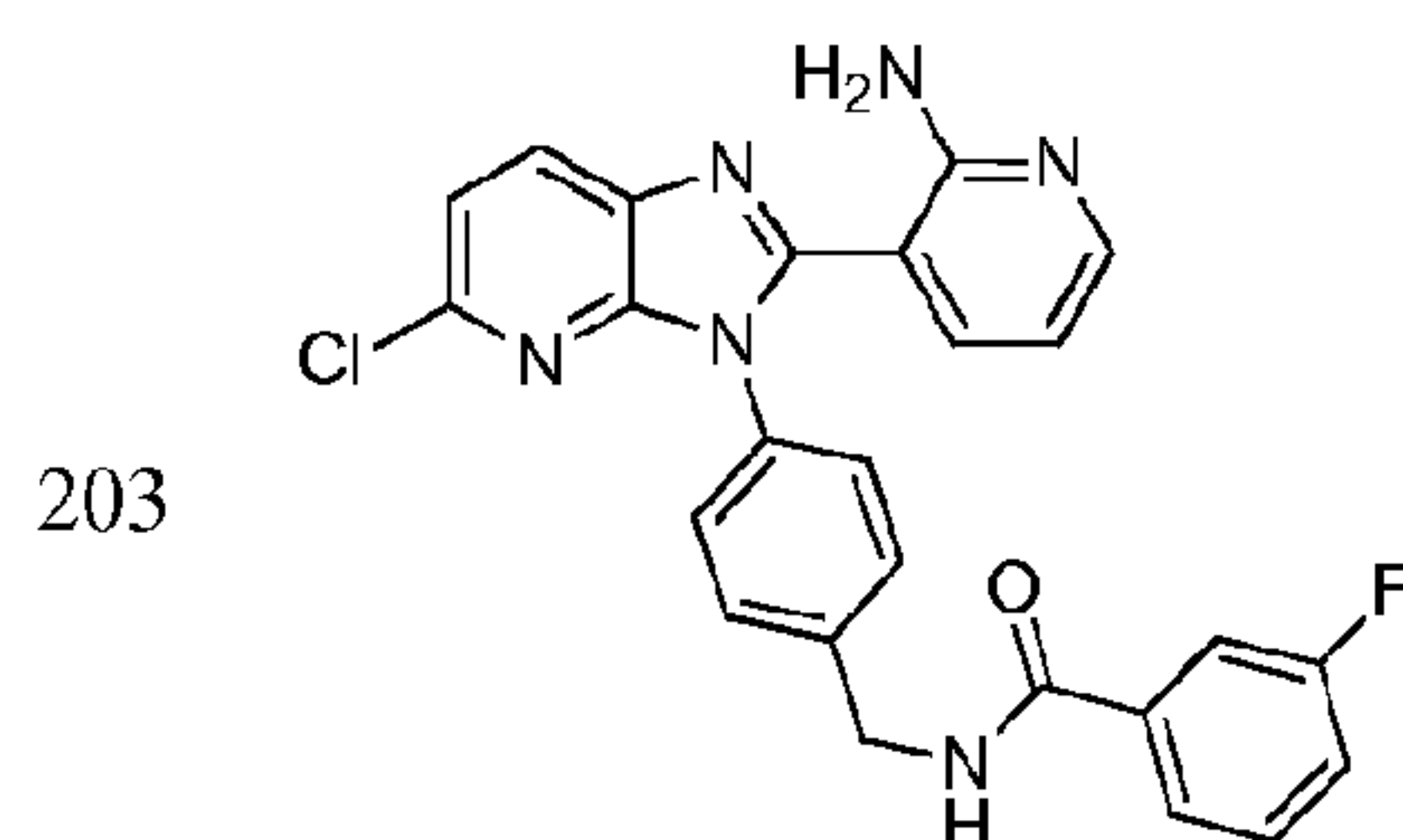
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzenesulfonamide



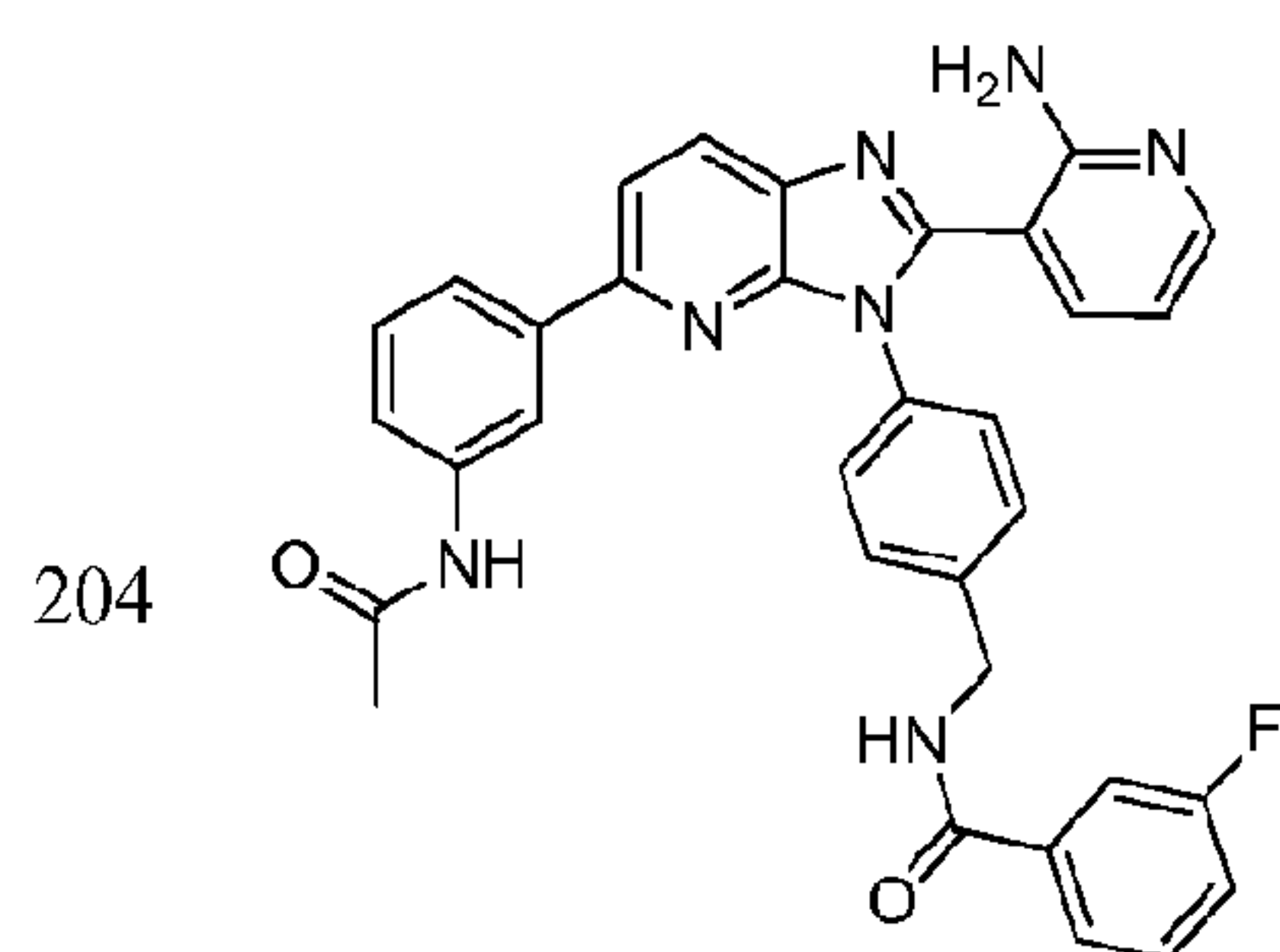
N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



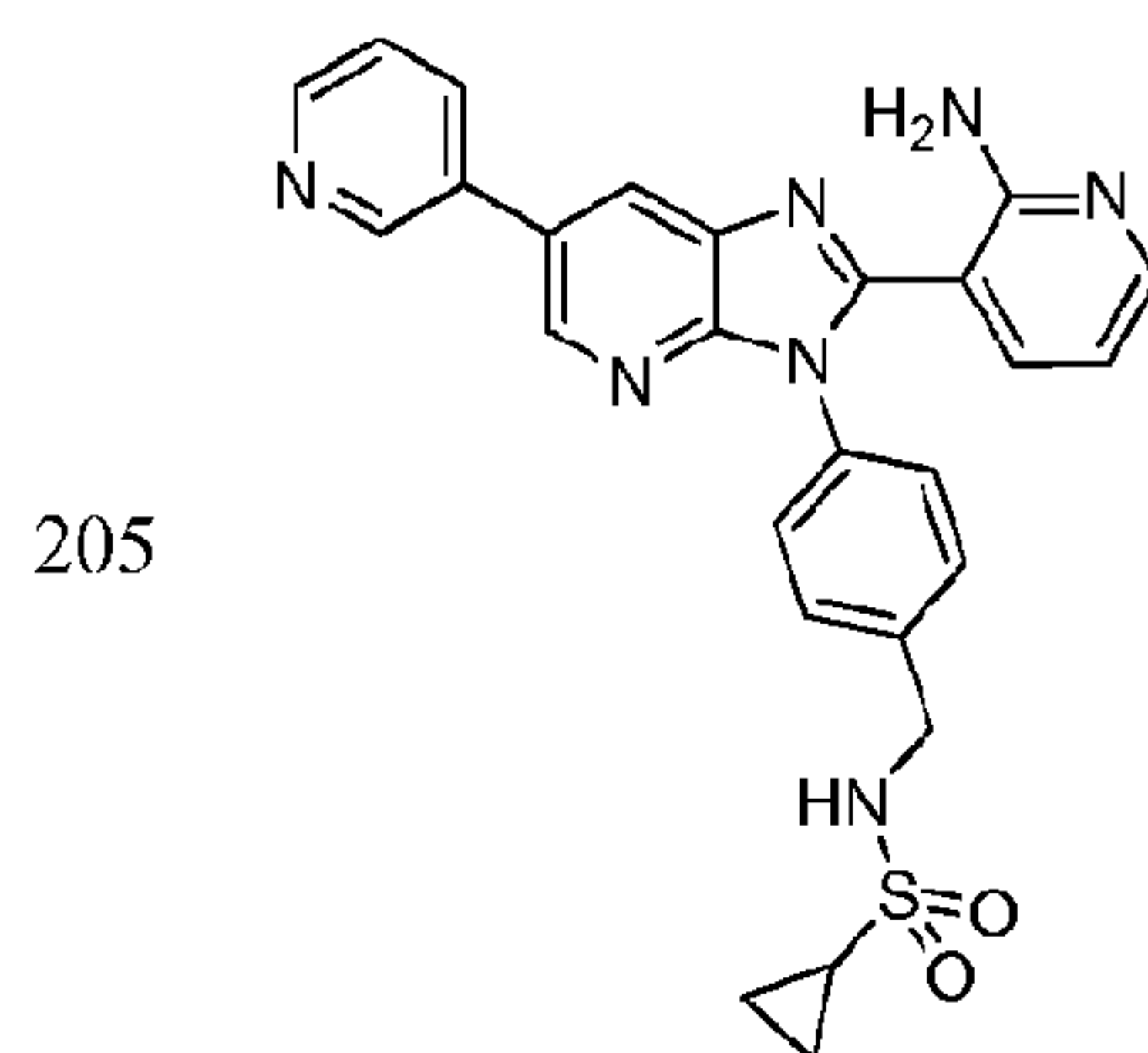
N-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



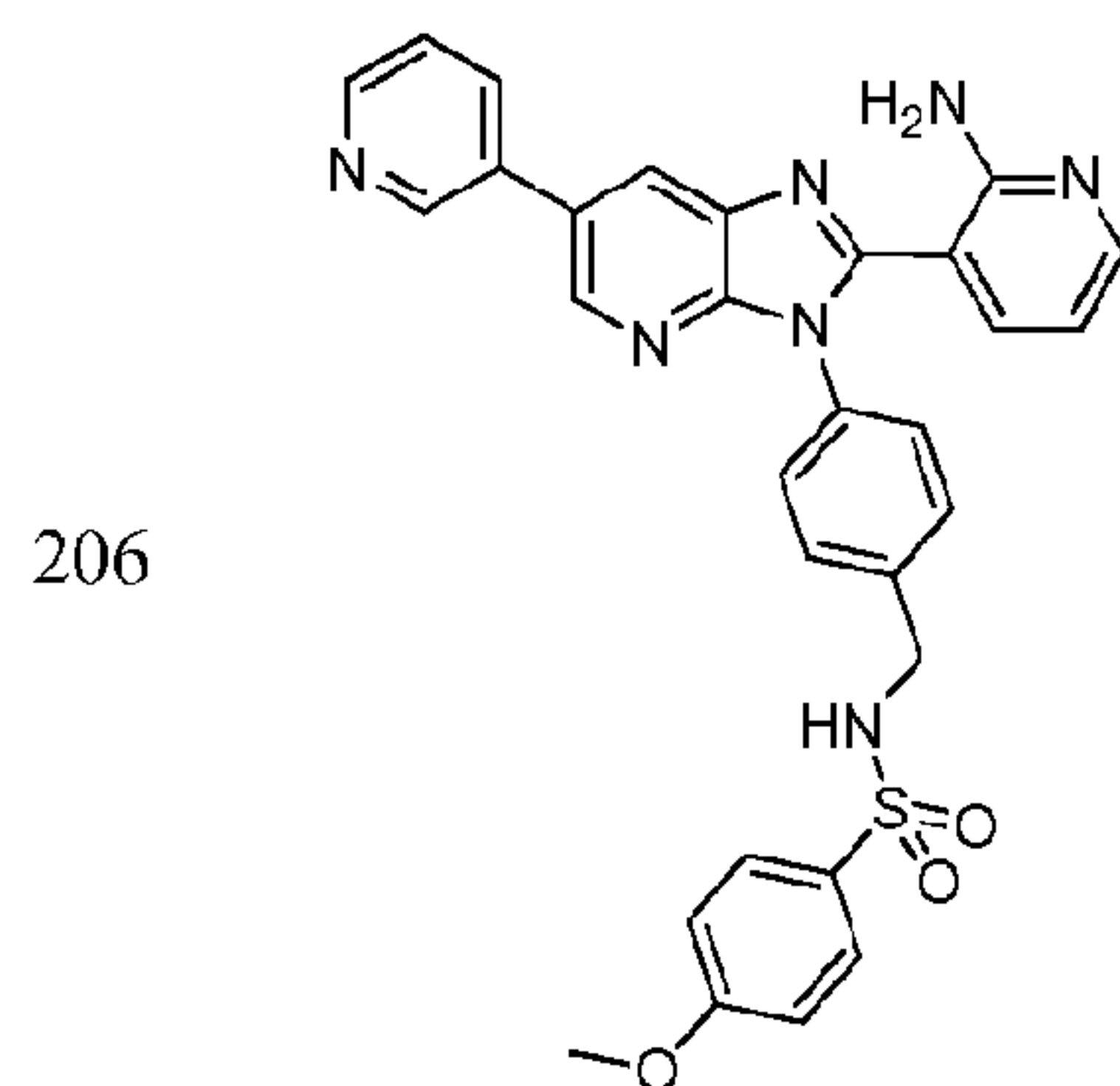
N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



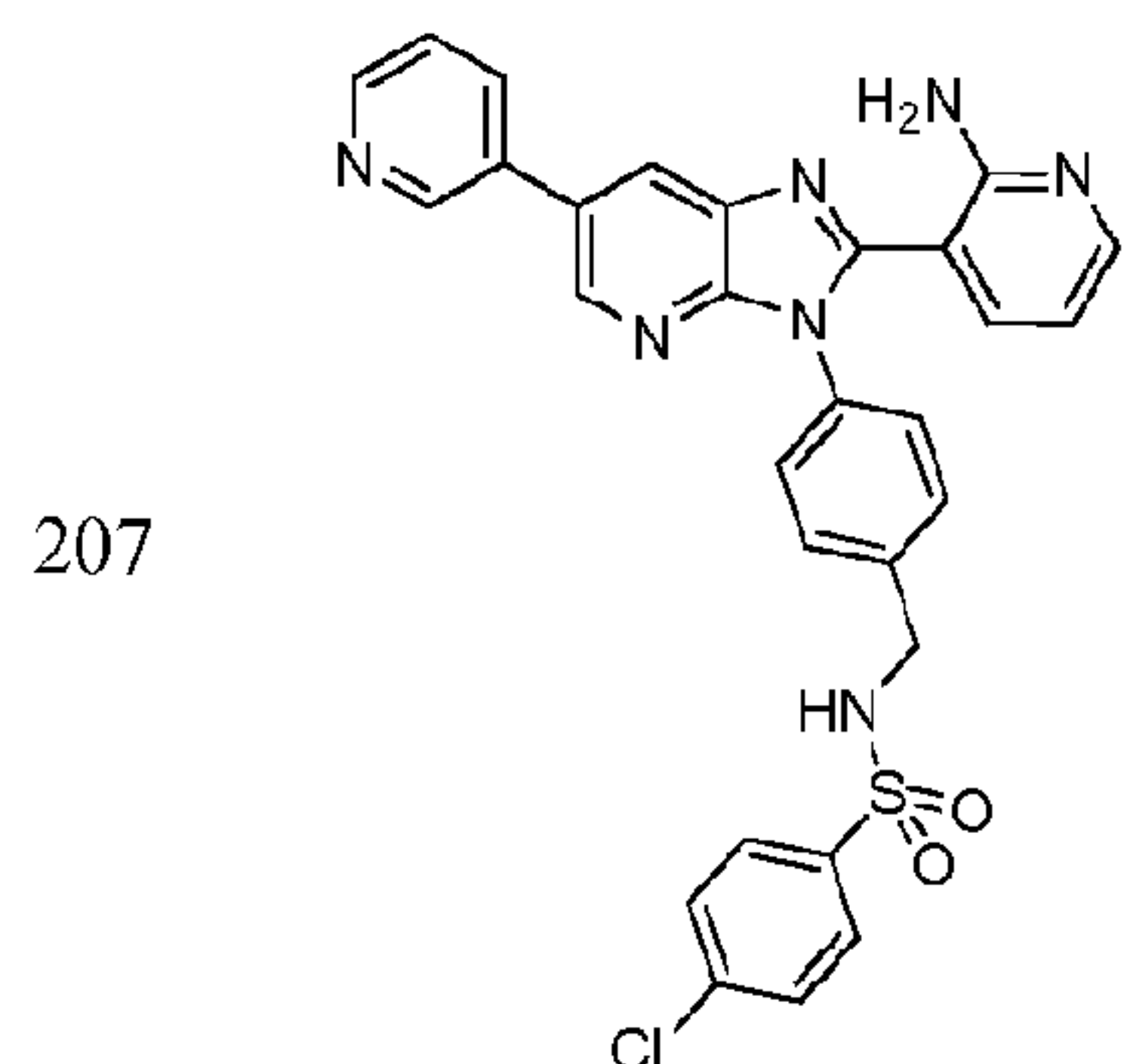
N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



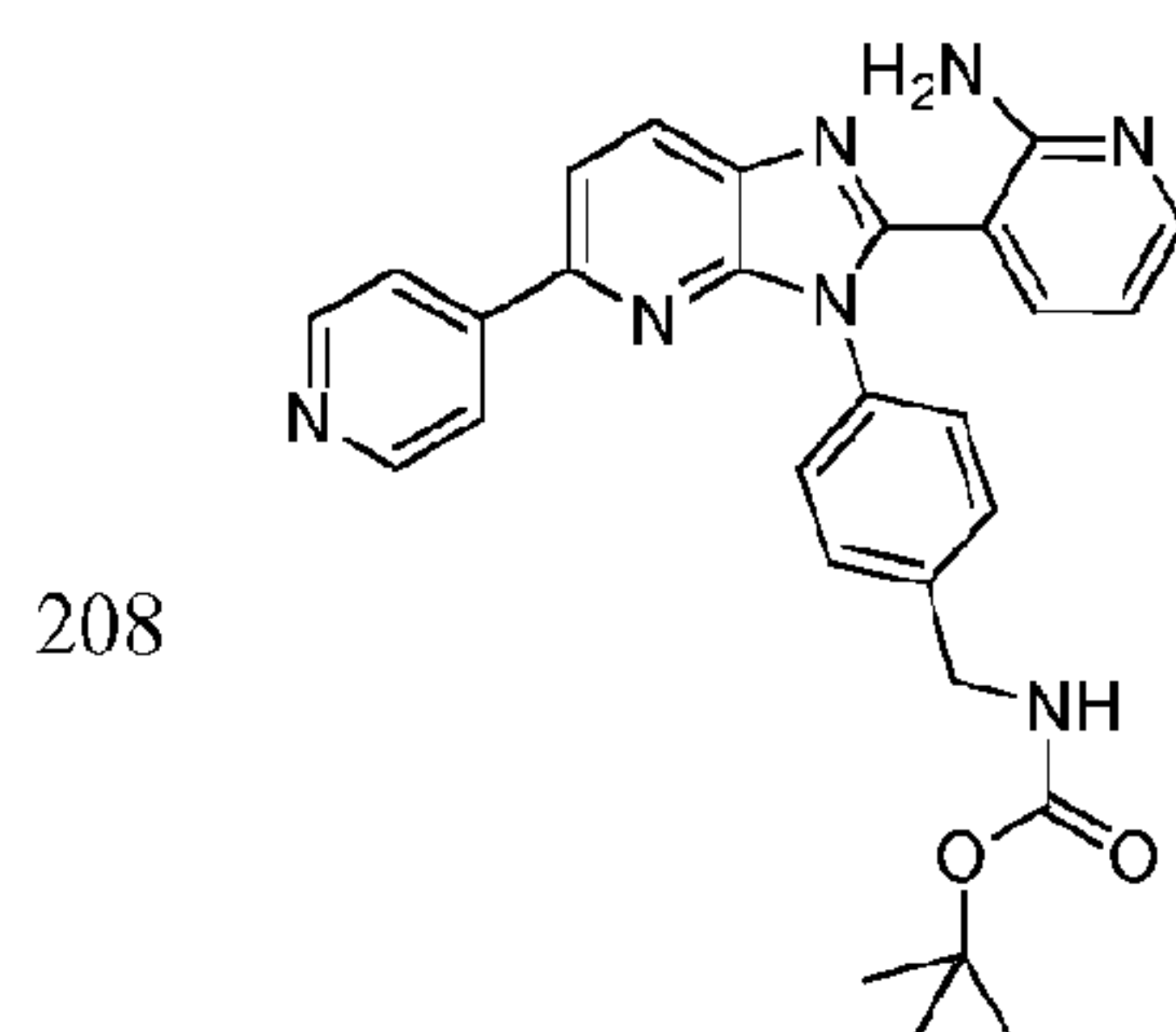
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)cyclopropanesulfonamide



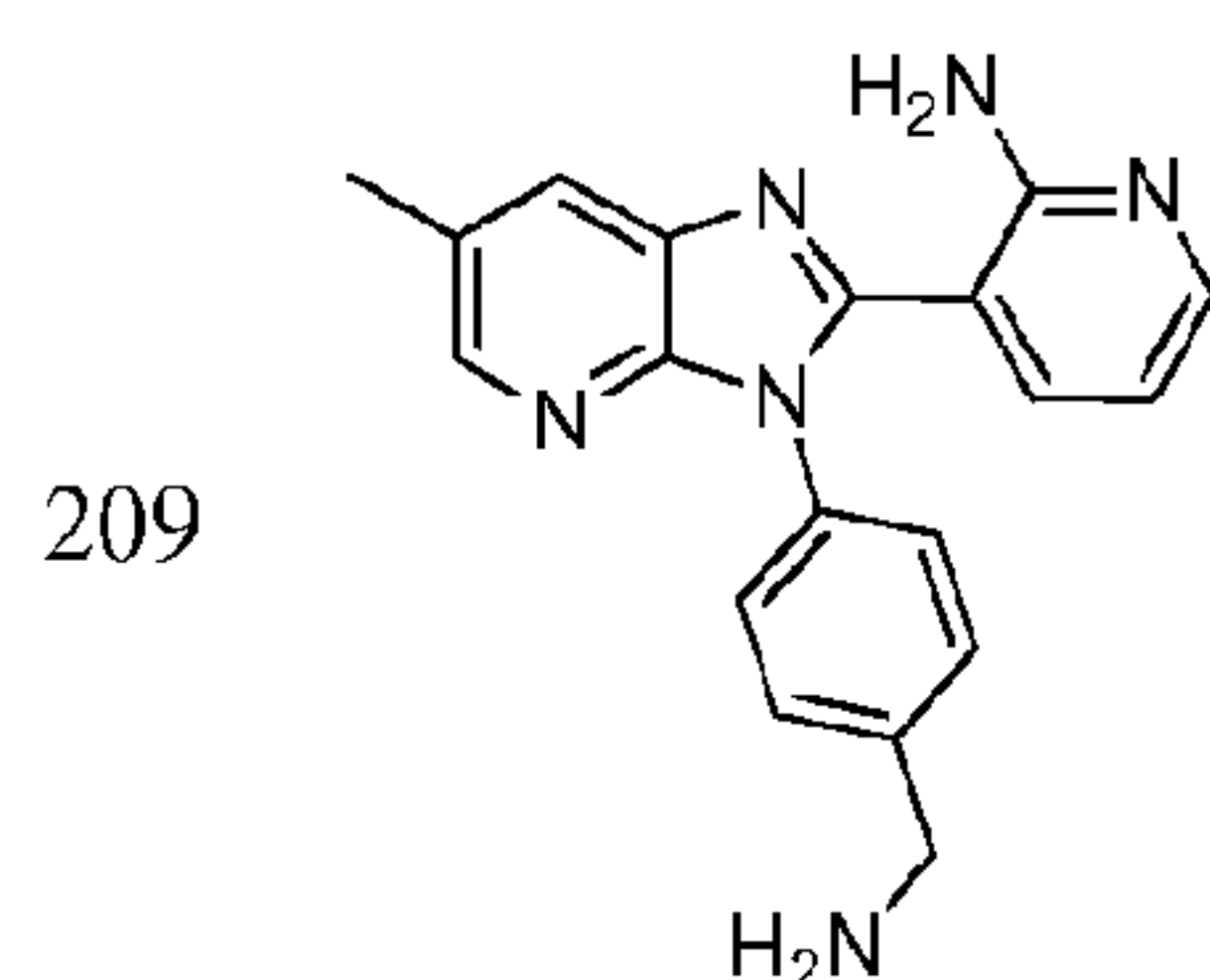
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-methoxybenzenesulfonamide



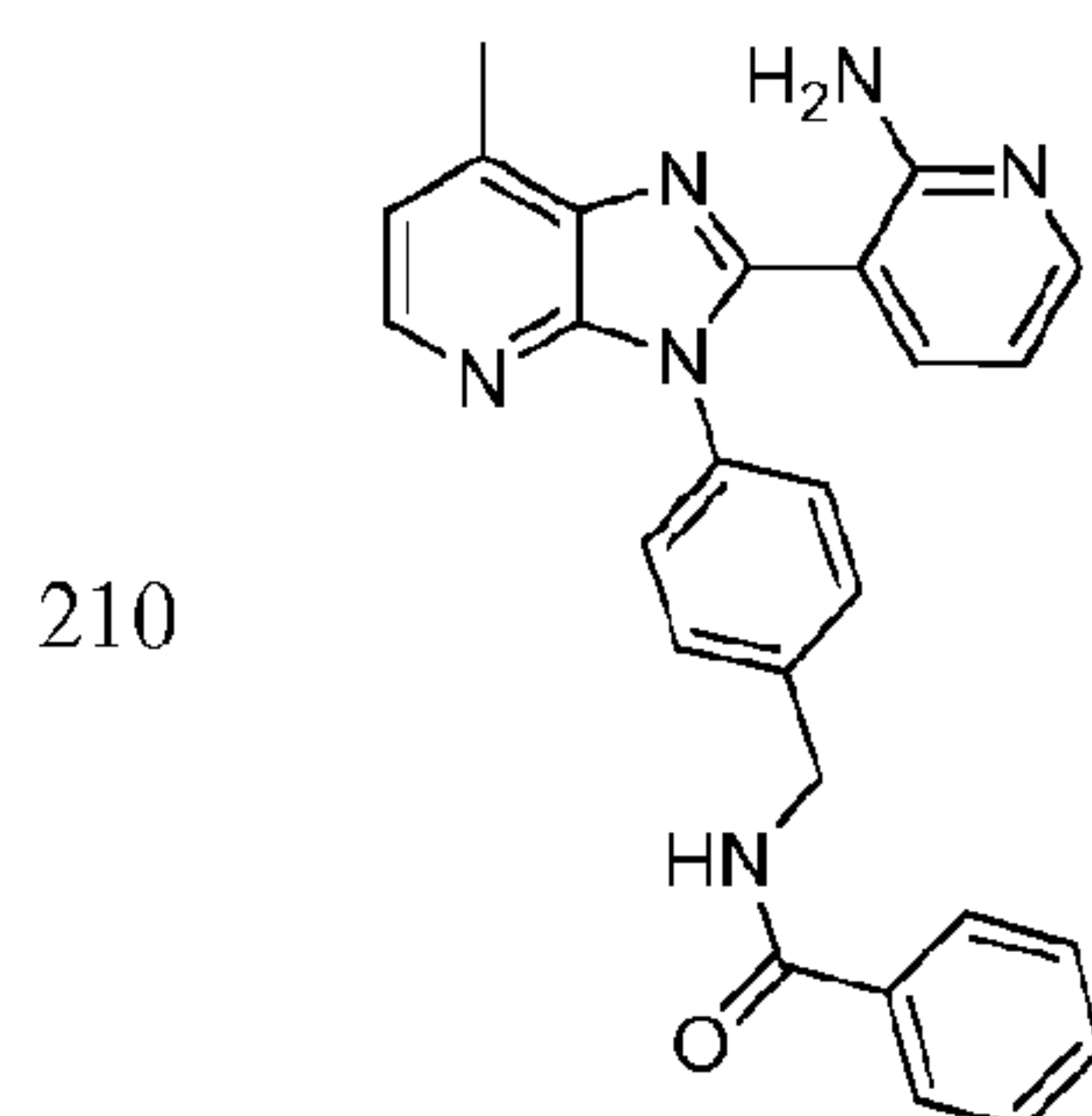
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridine-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chlorobenzenesulfonamide



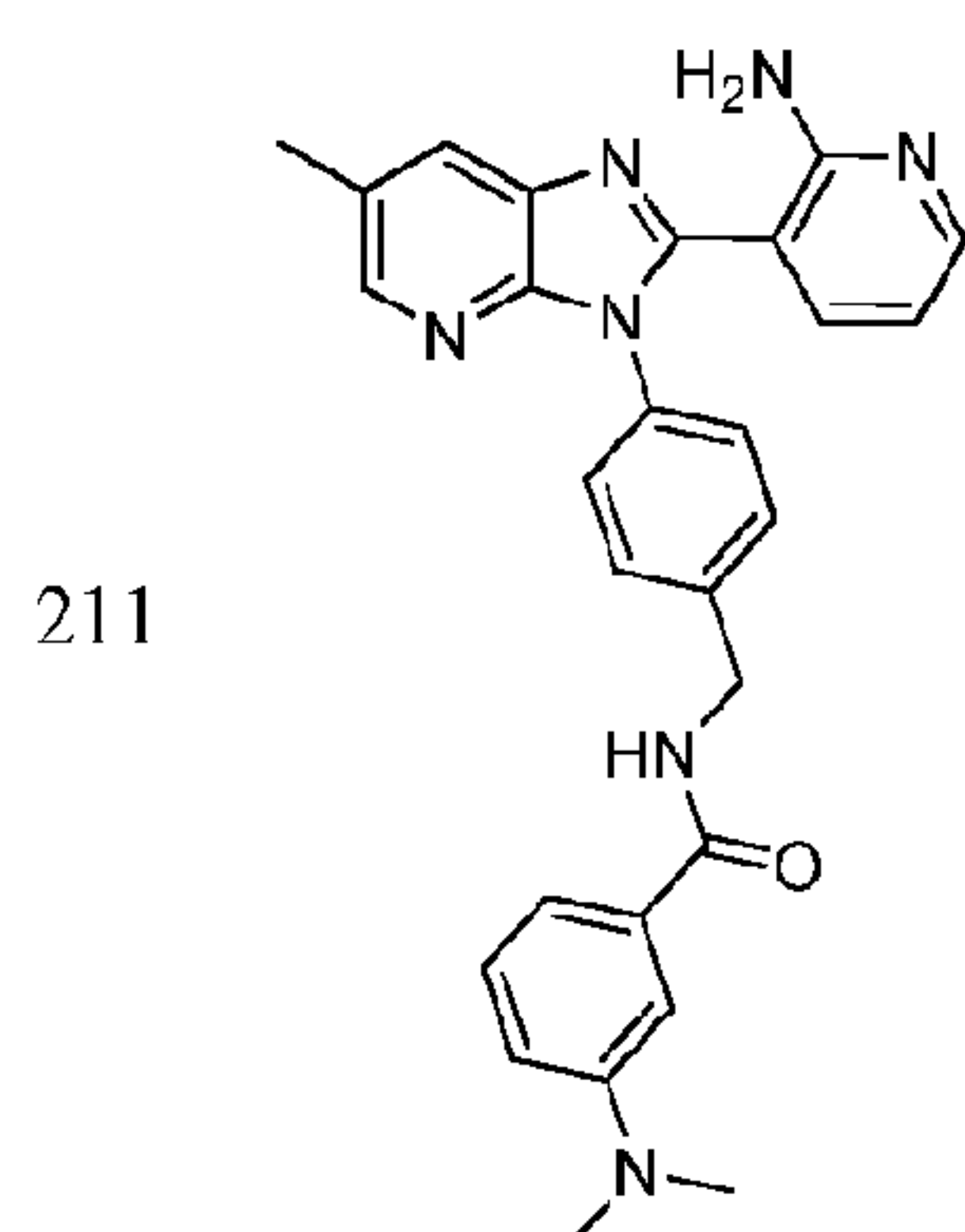
tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate



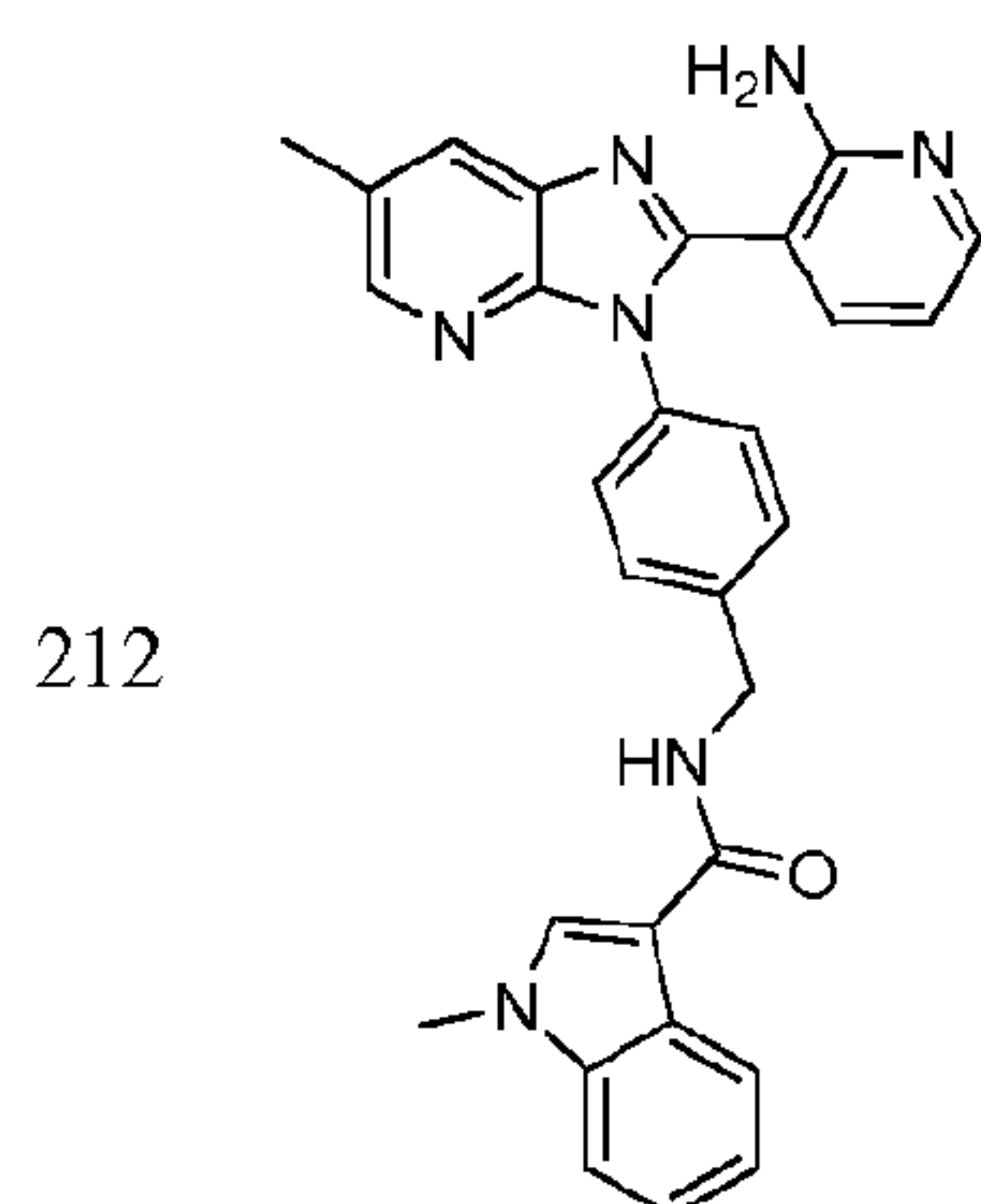
3-(3-(4-(aminomethyl)phenyl)-6-methyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



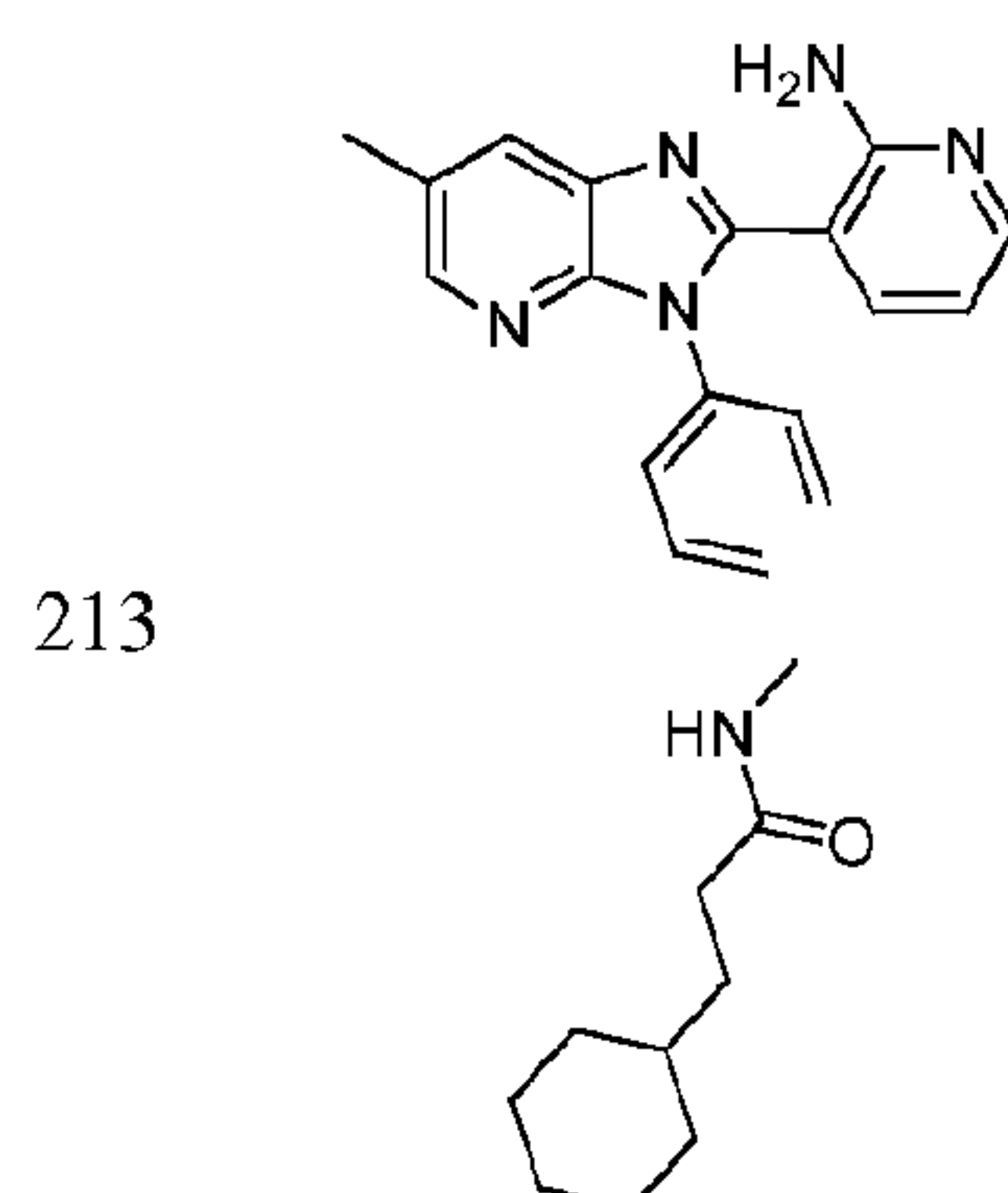
N-(4-(2-(2-aminopyridin-3-yl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



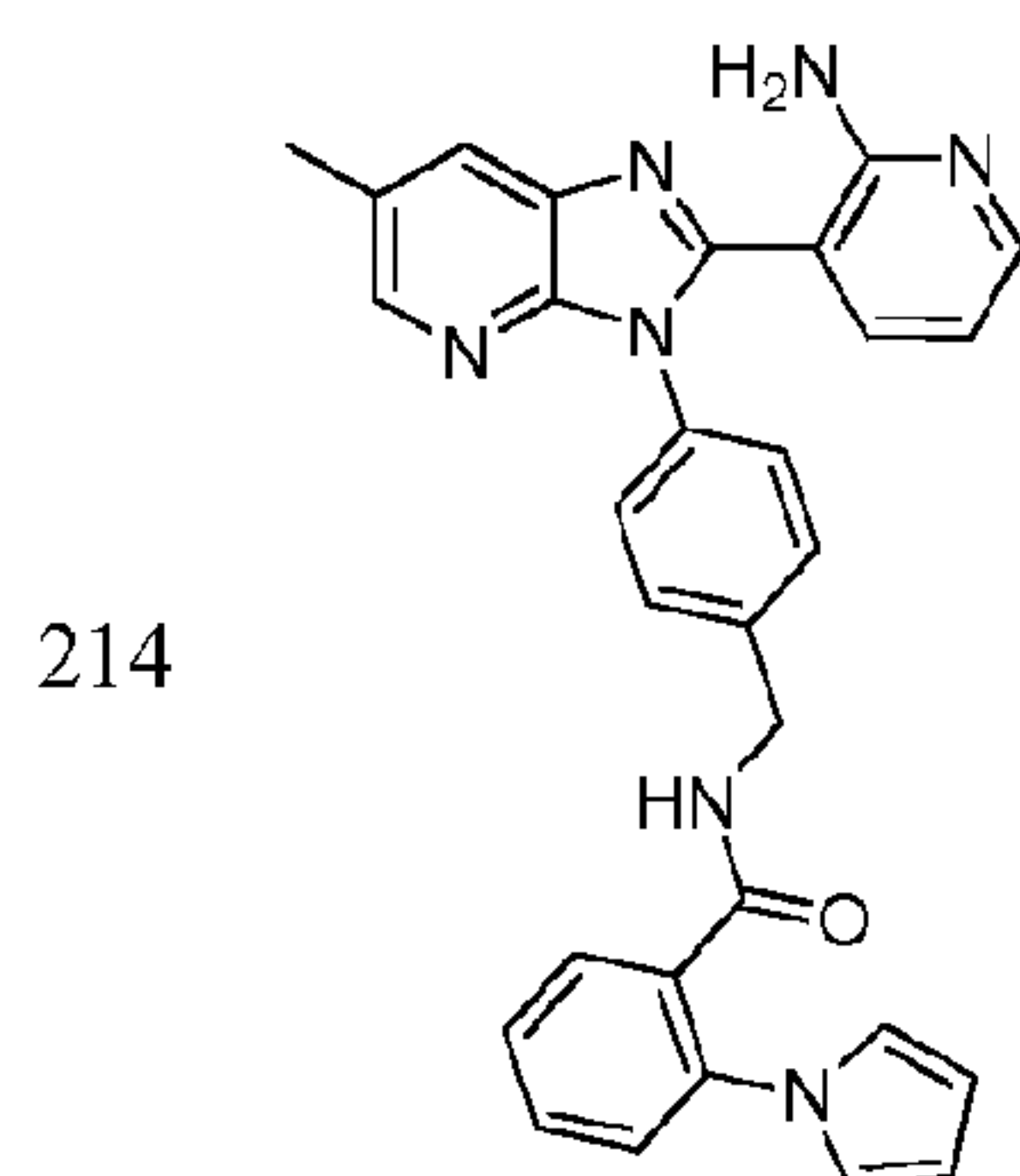
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(dimethylamino)benzamide



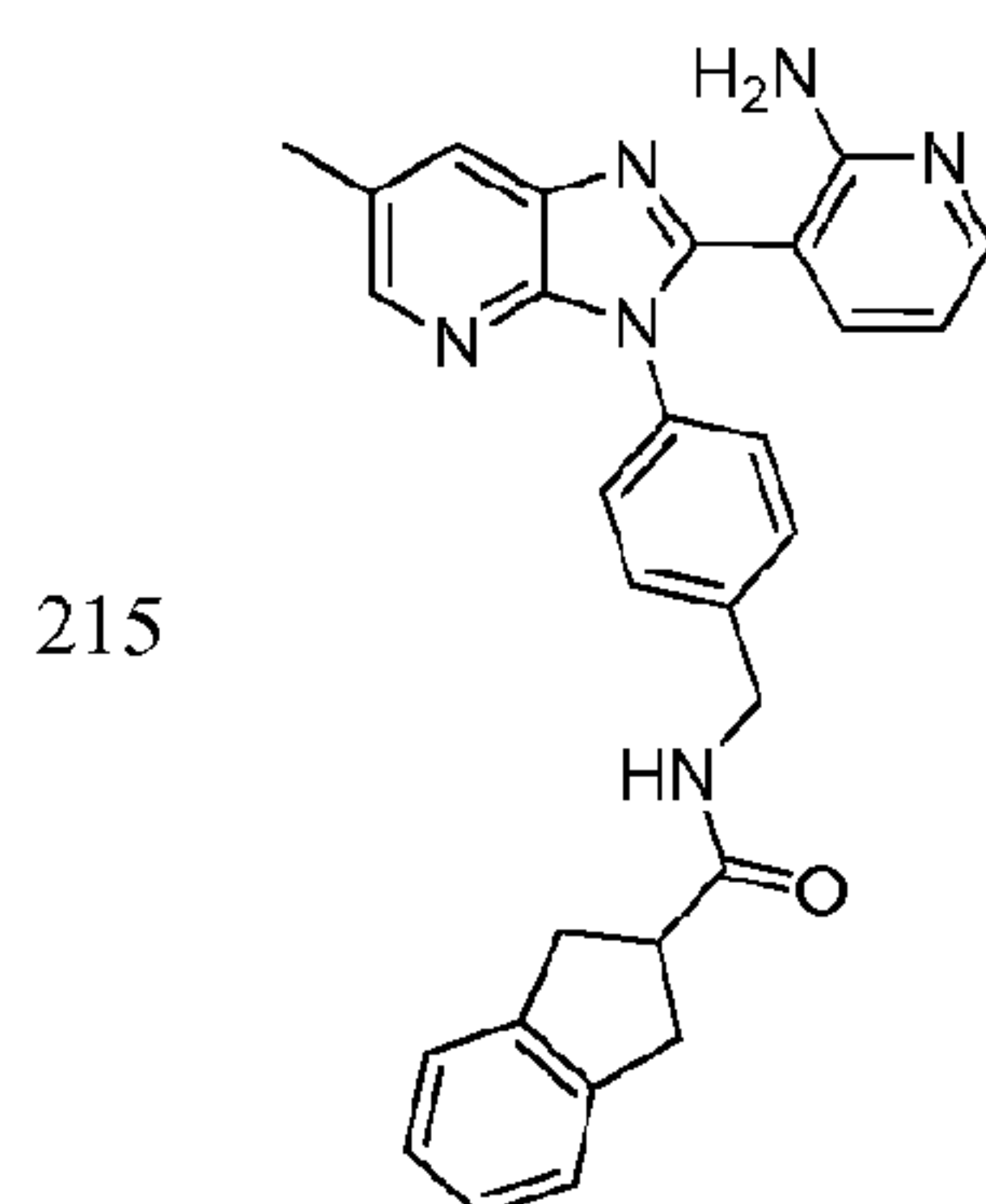
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-indole-3-carboxamide



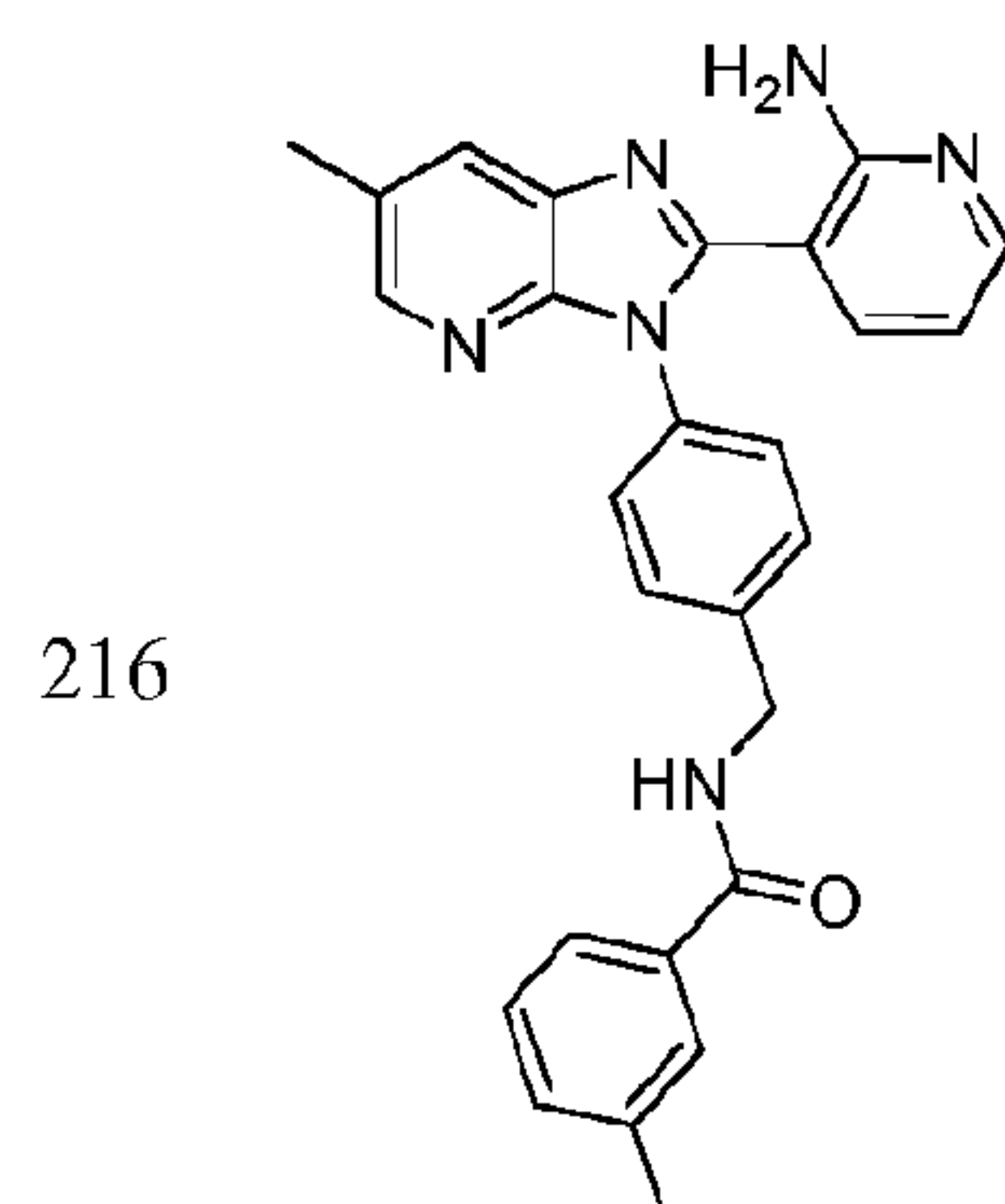
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-cyclohexylpropanamide



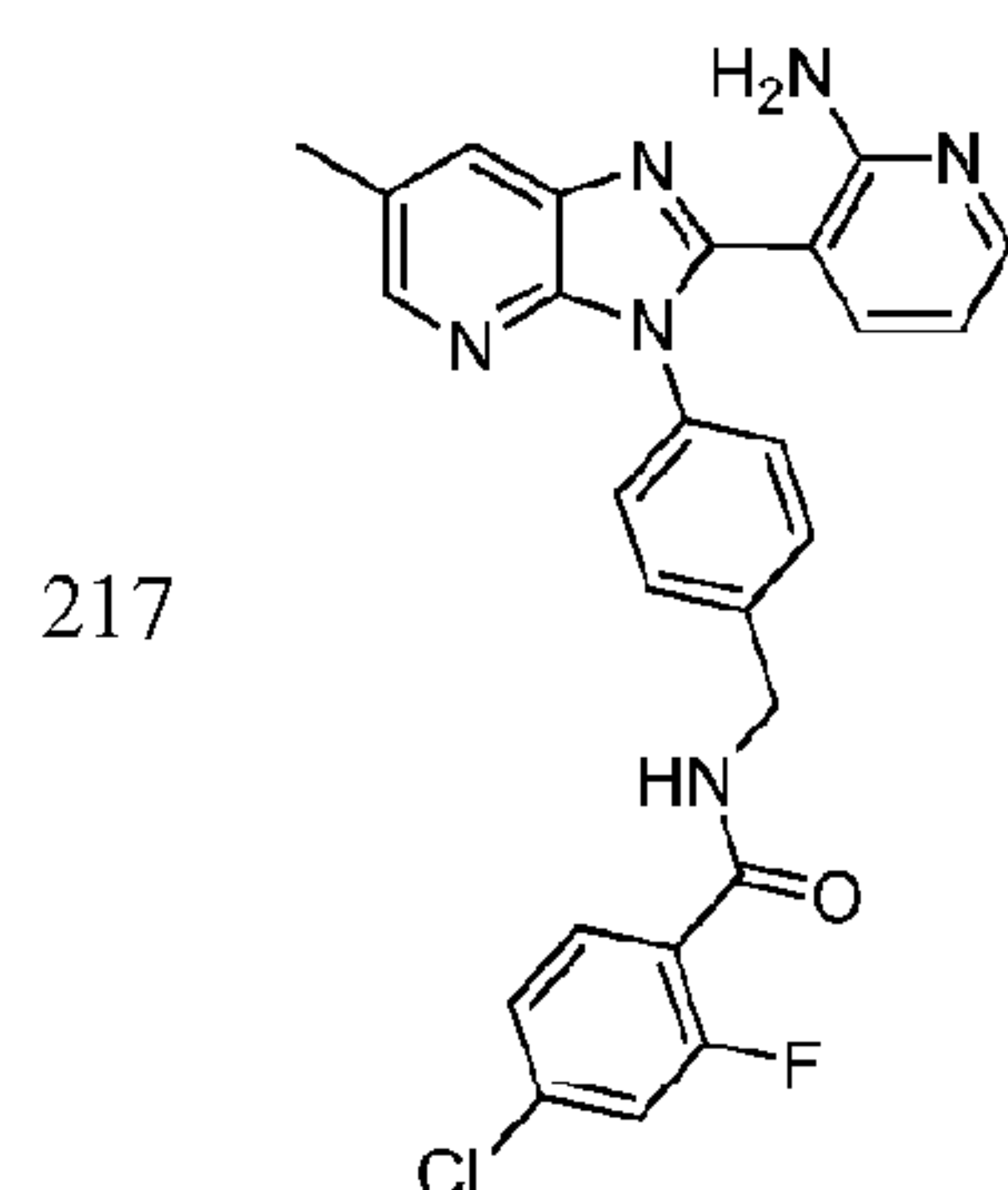
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(1H-pyrrol-1-yl)benzamide



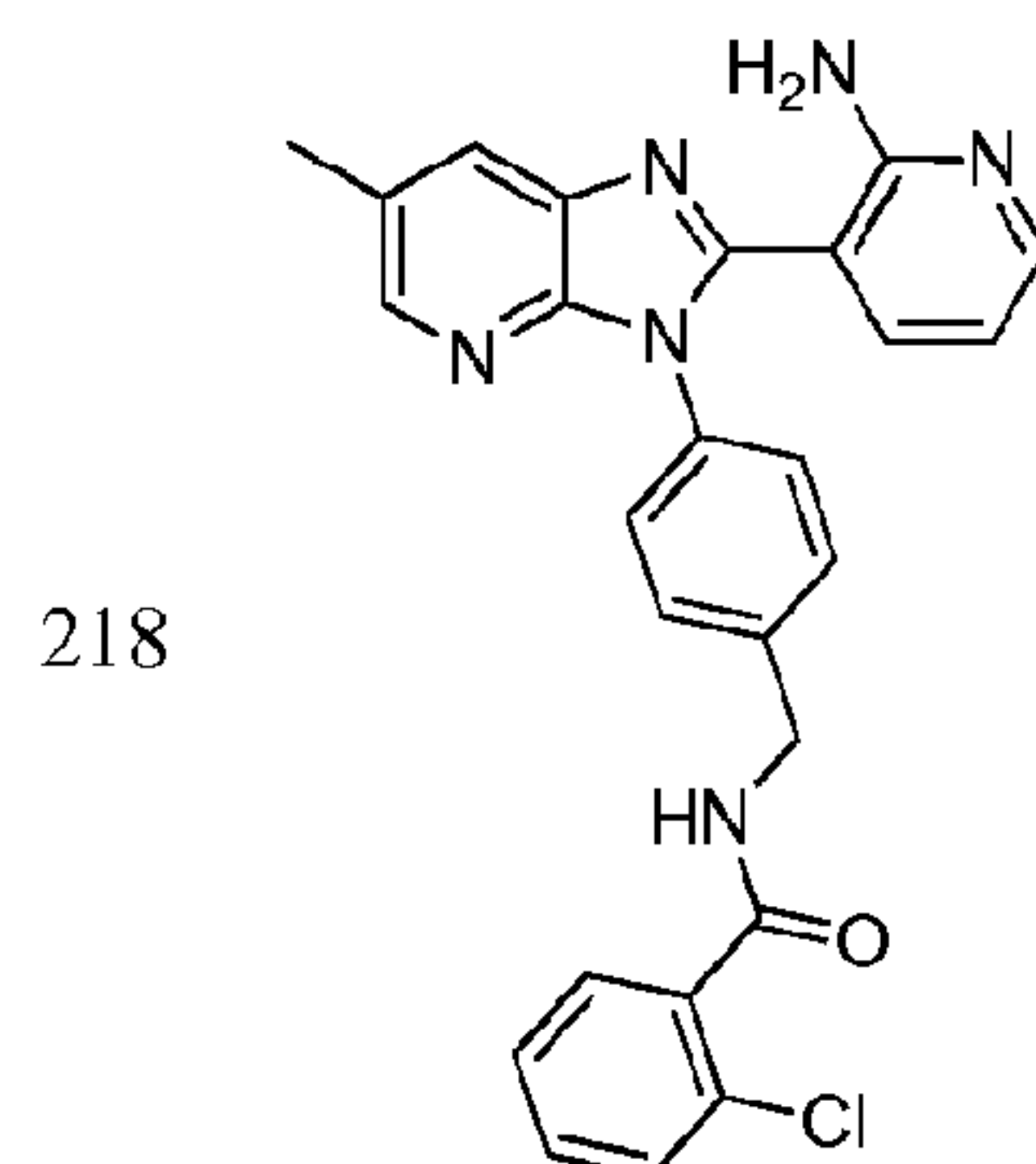
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,3-dihydro-1H-indene-2-carboxamide



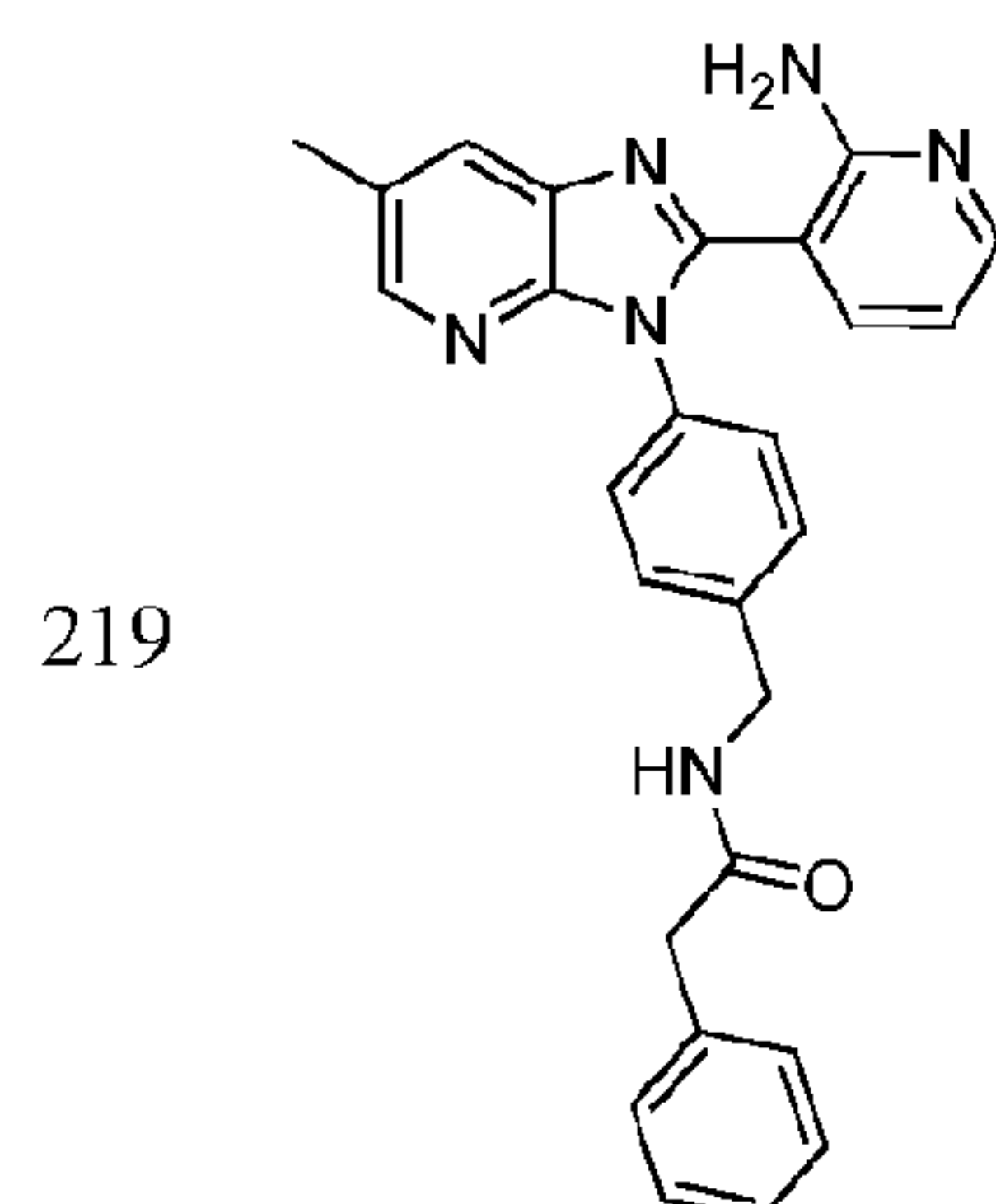
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-methylbenzamide



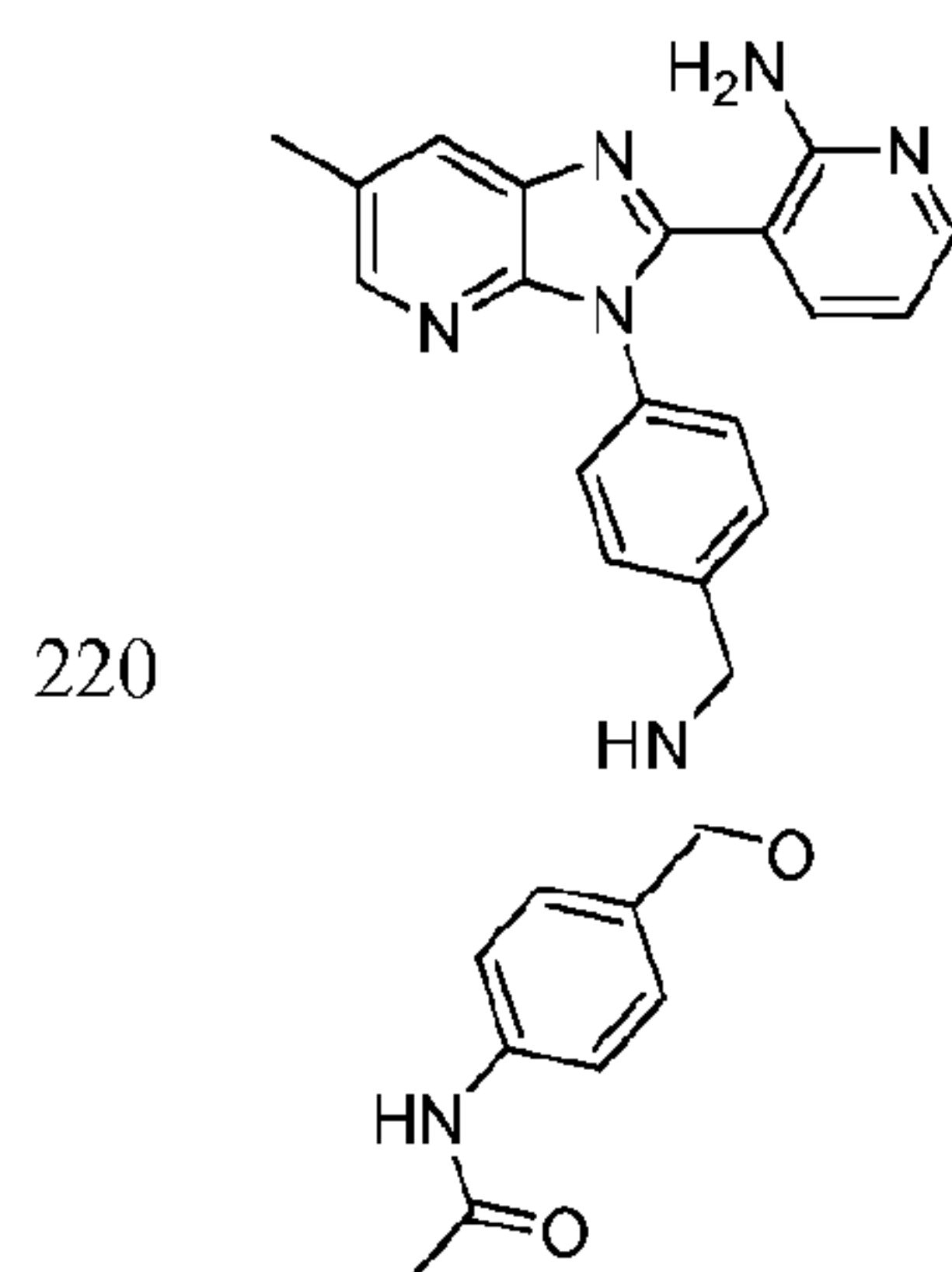
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-2-fluorobenzamide



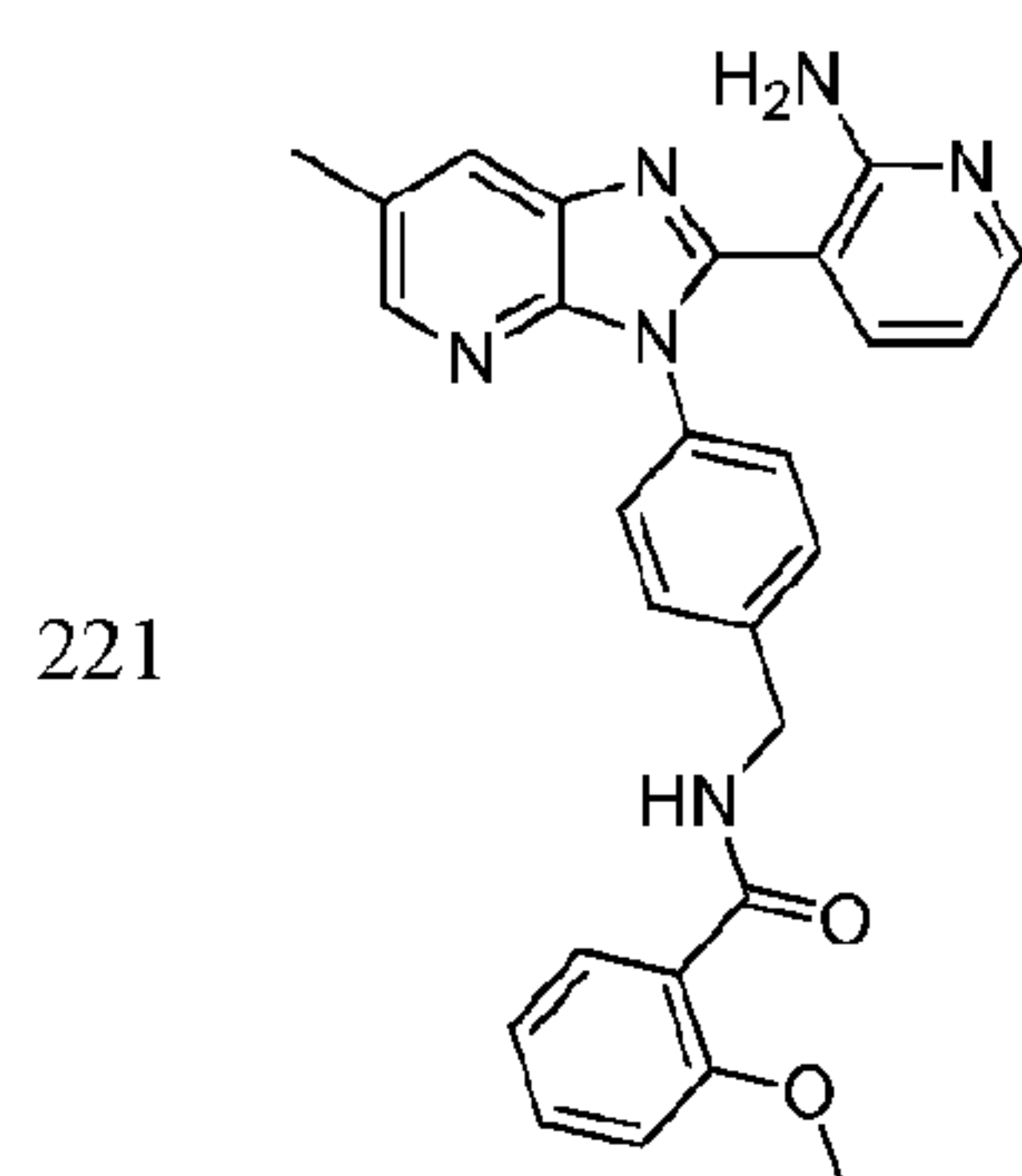
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-chlorobenzamide



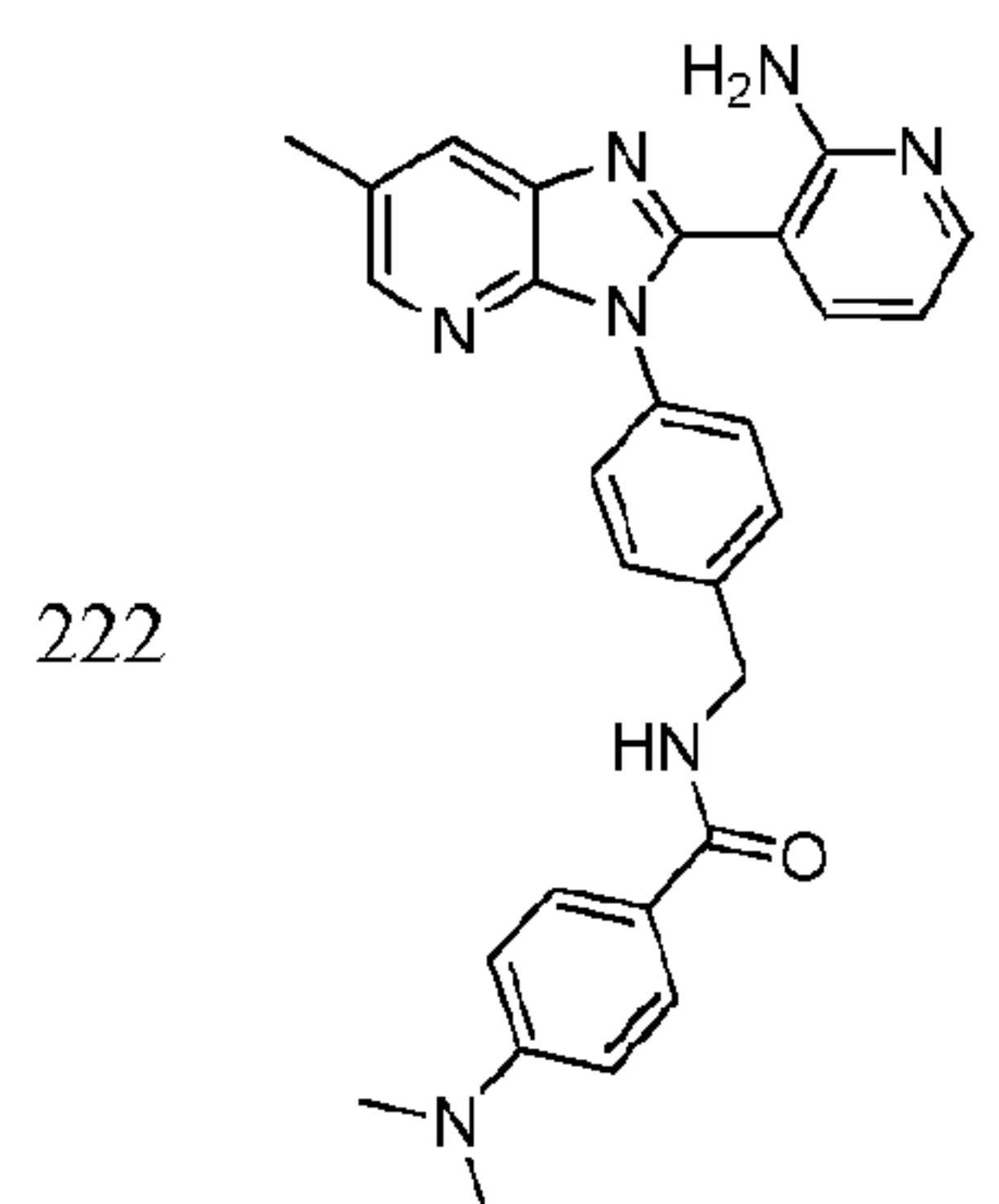
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-phenylacetamide



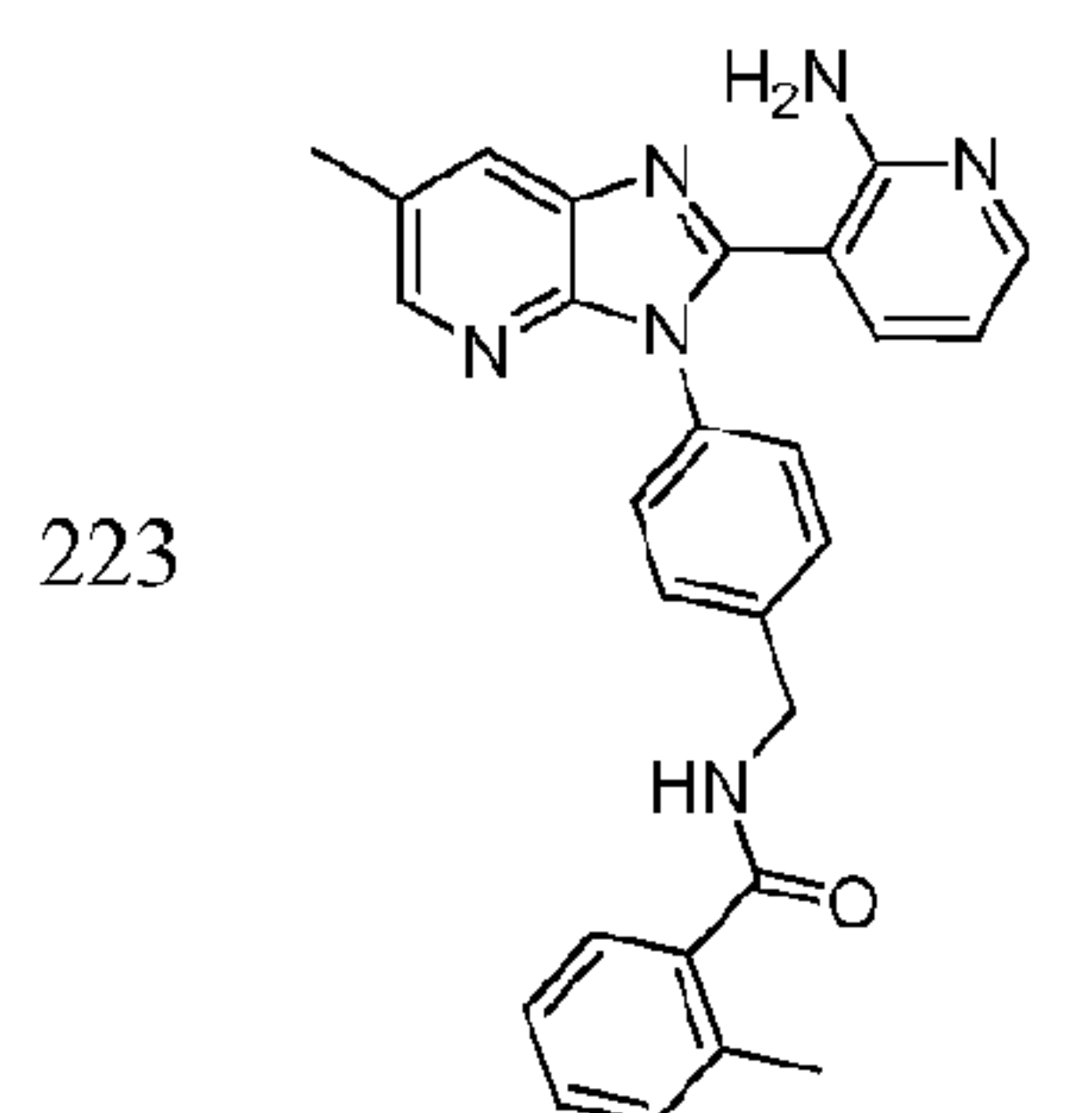
4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



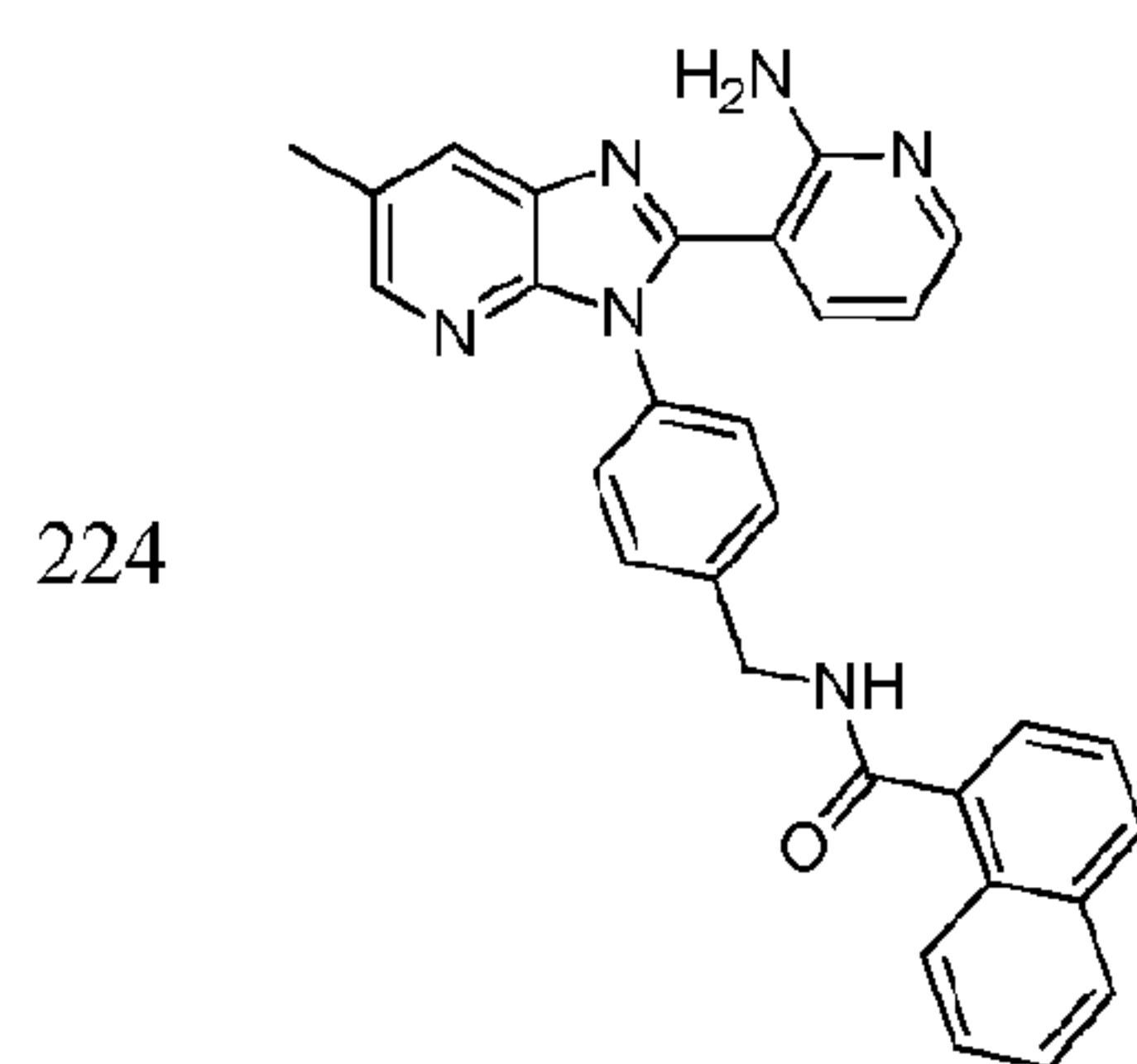
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methoxybenzamide



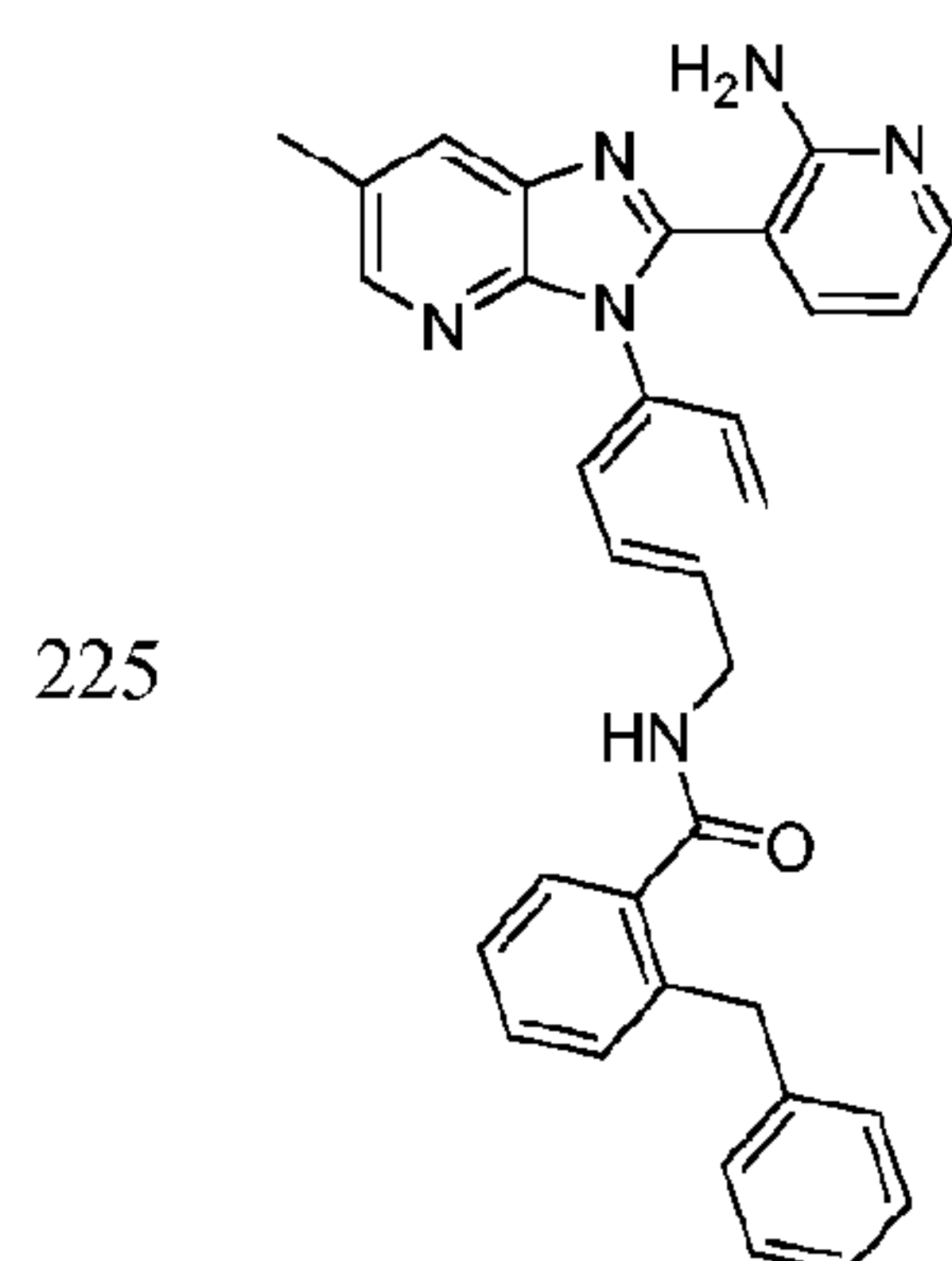
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-(dimethylamino)benzamide



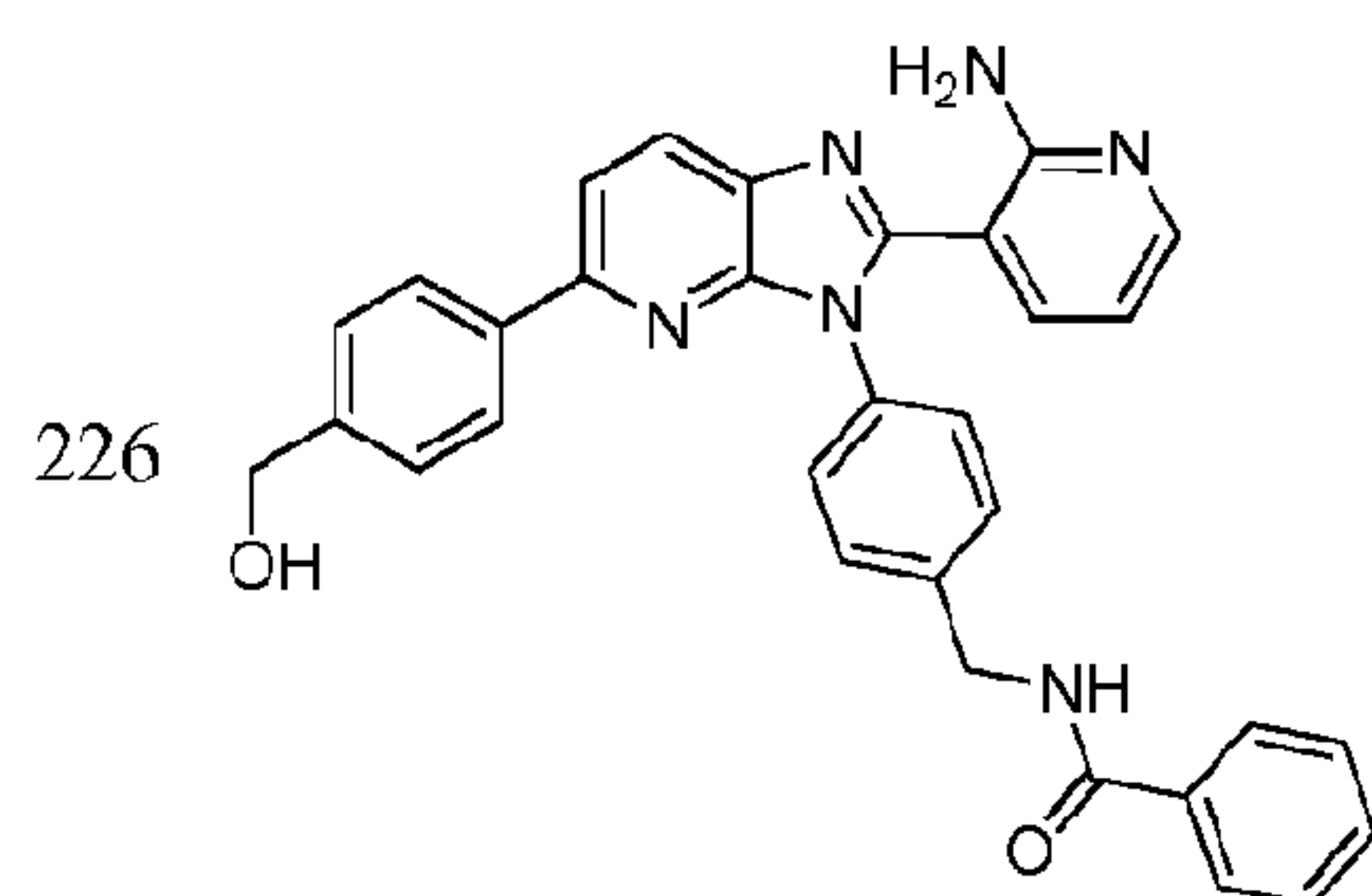
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylbenzamide



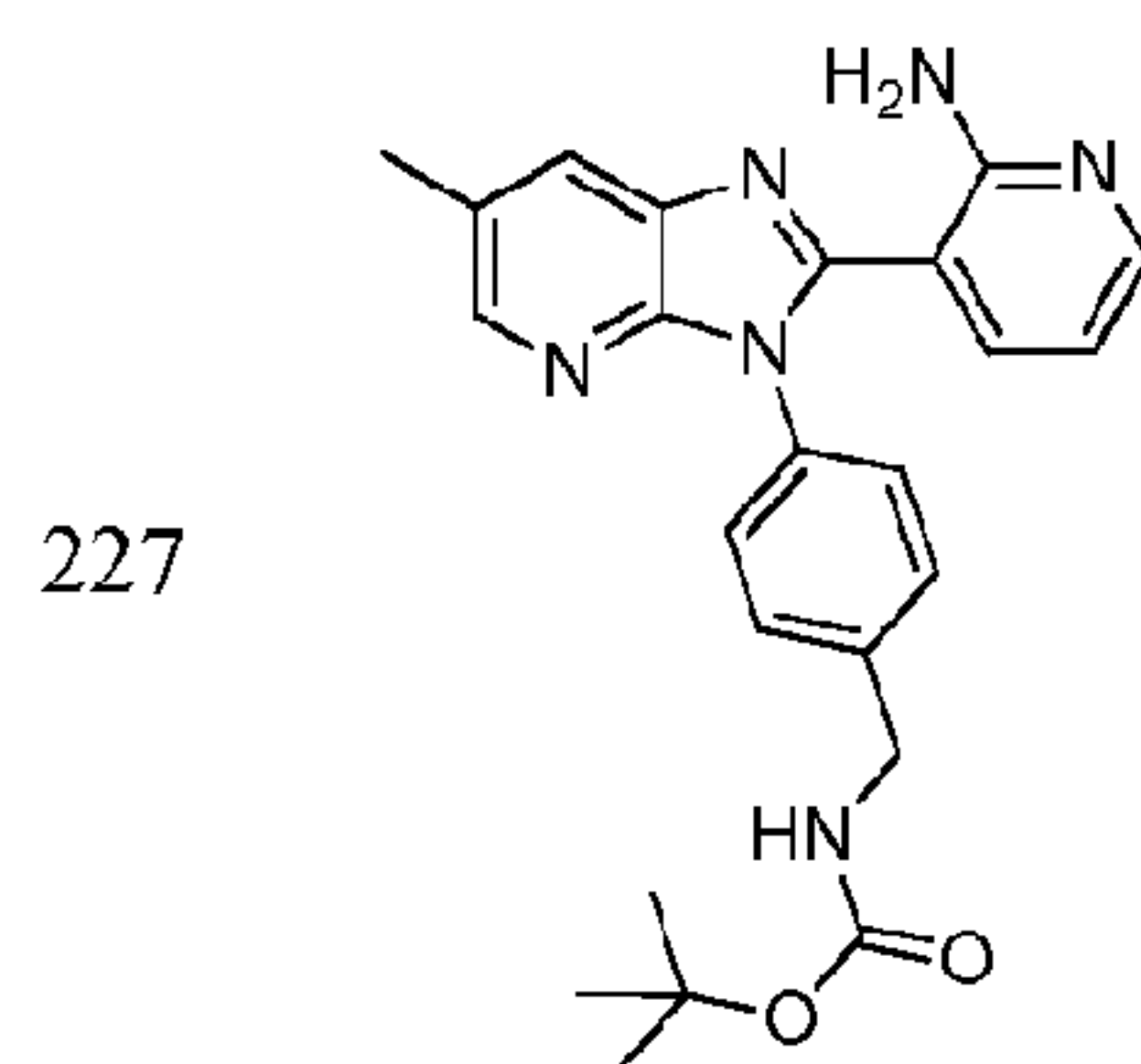
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-naphthamide



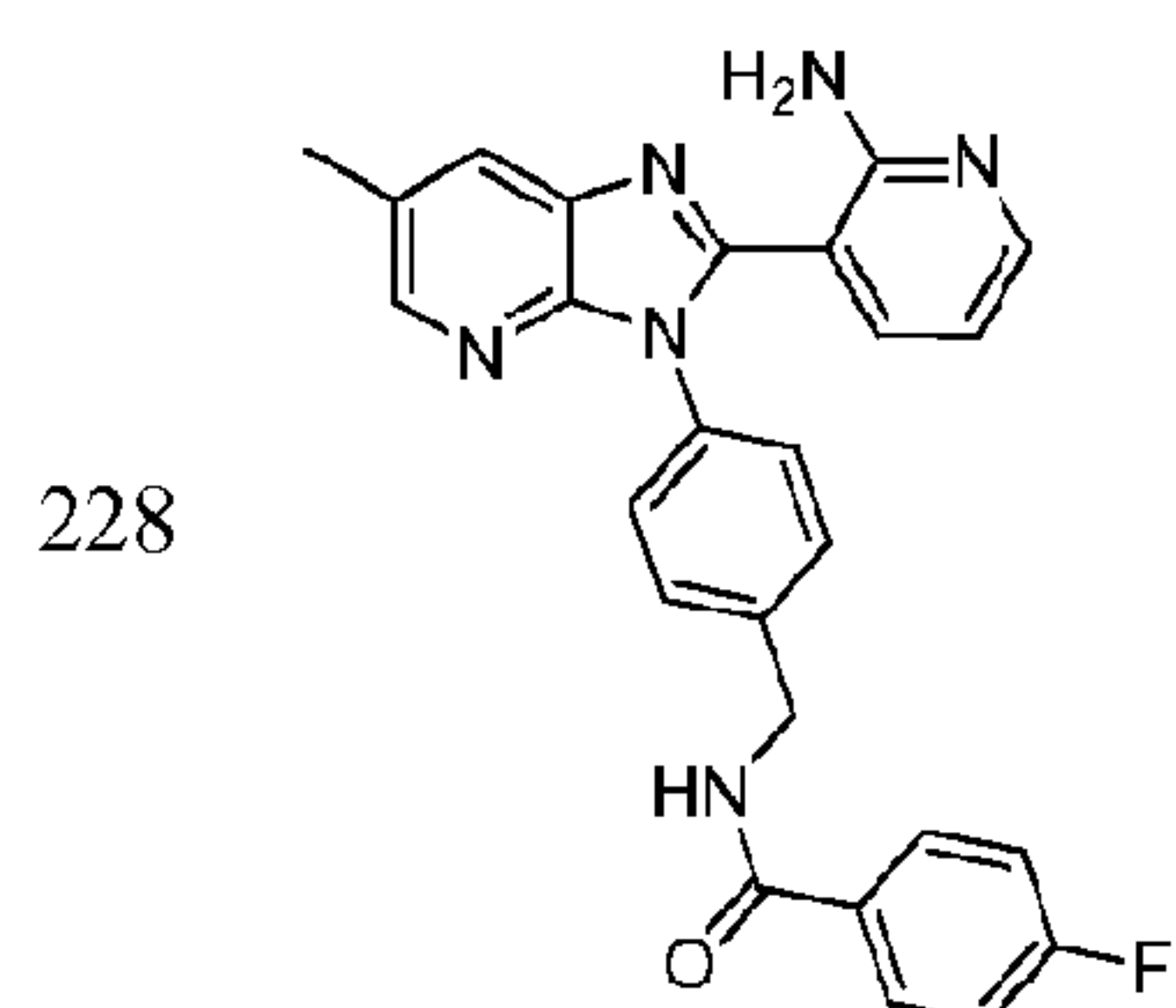
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-benzylbenzamide



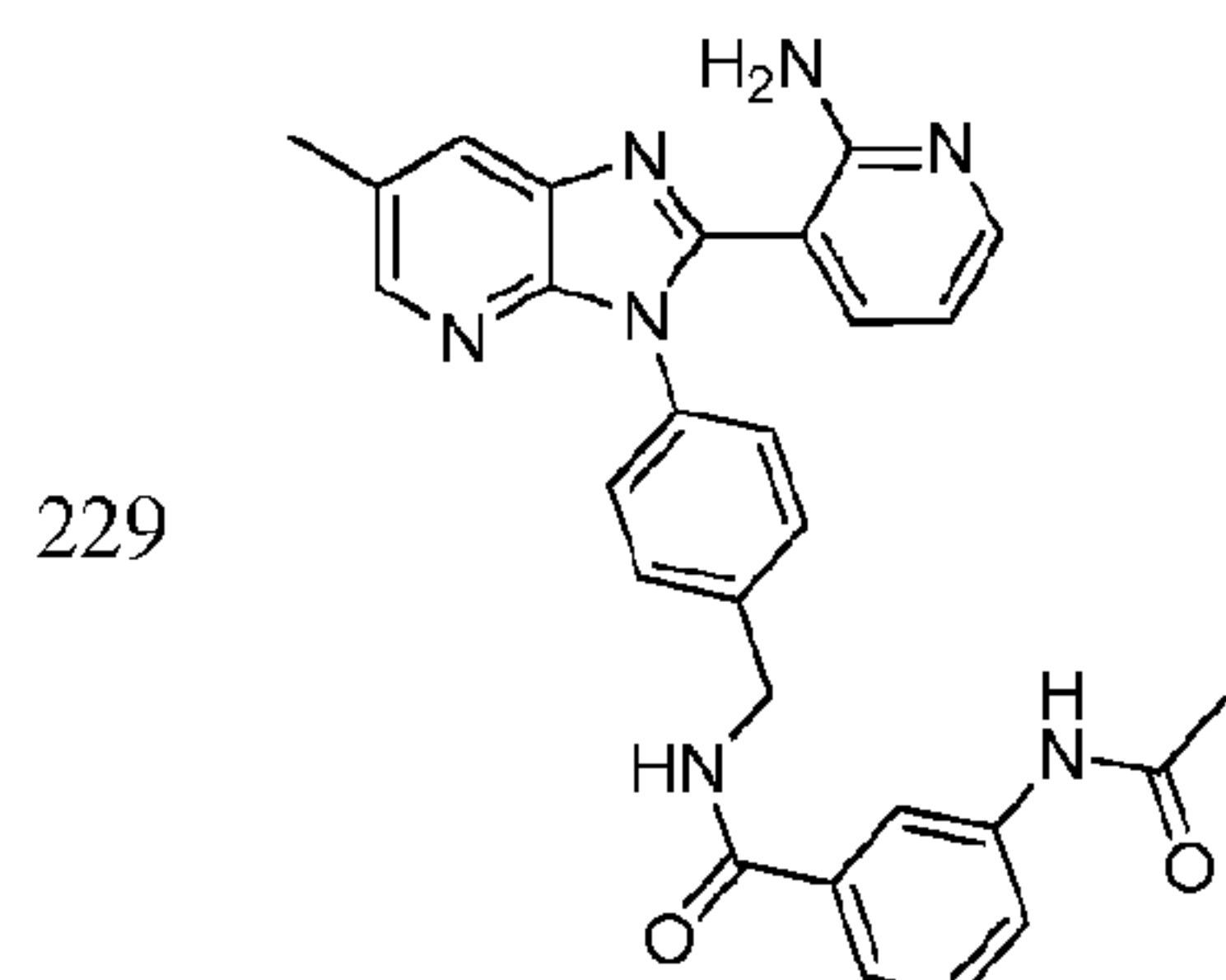
N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



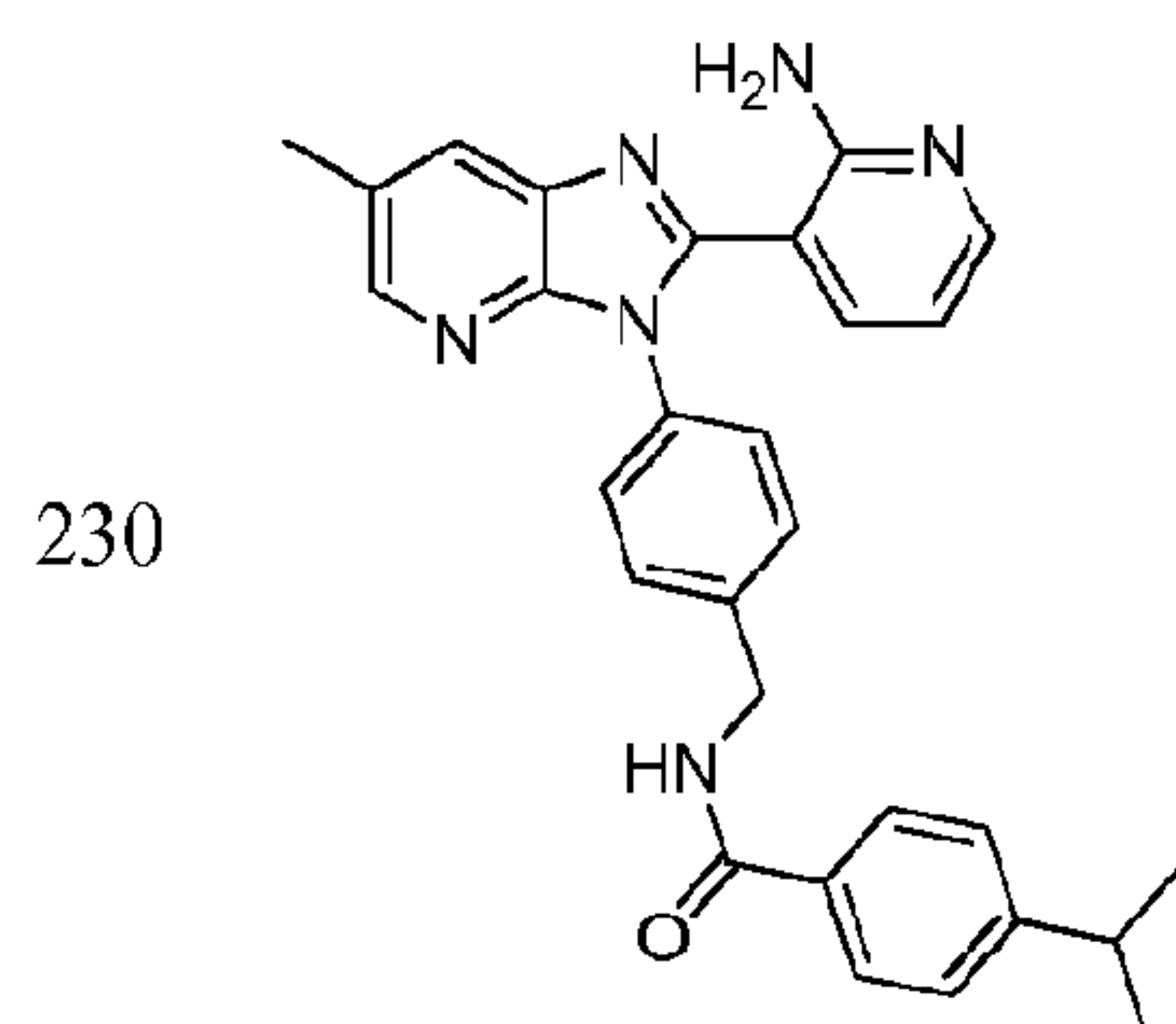
tert-butyl 4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate



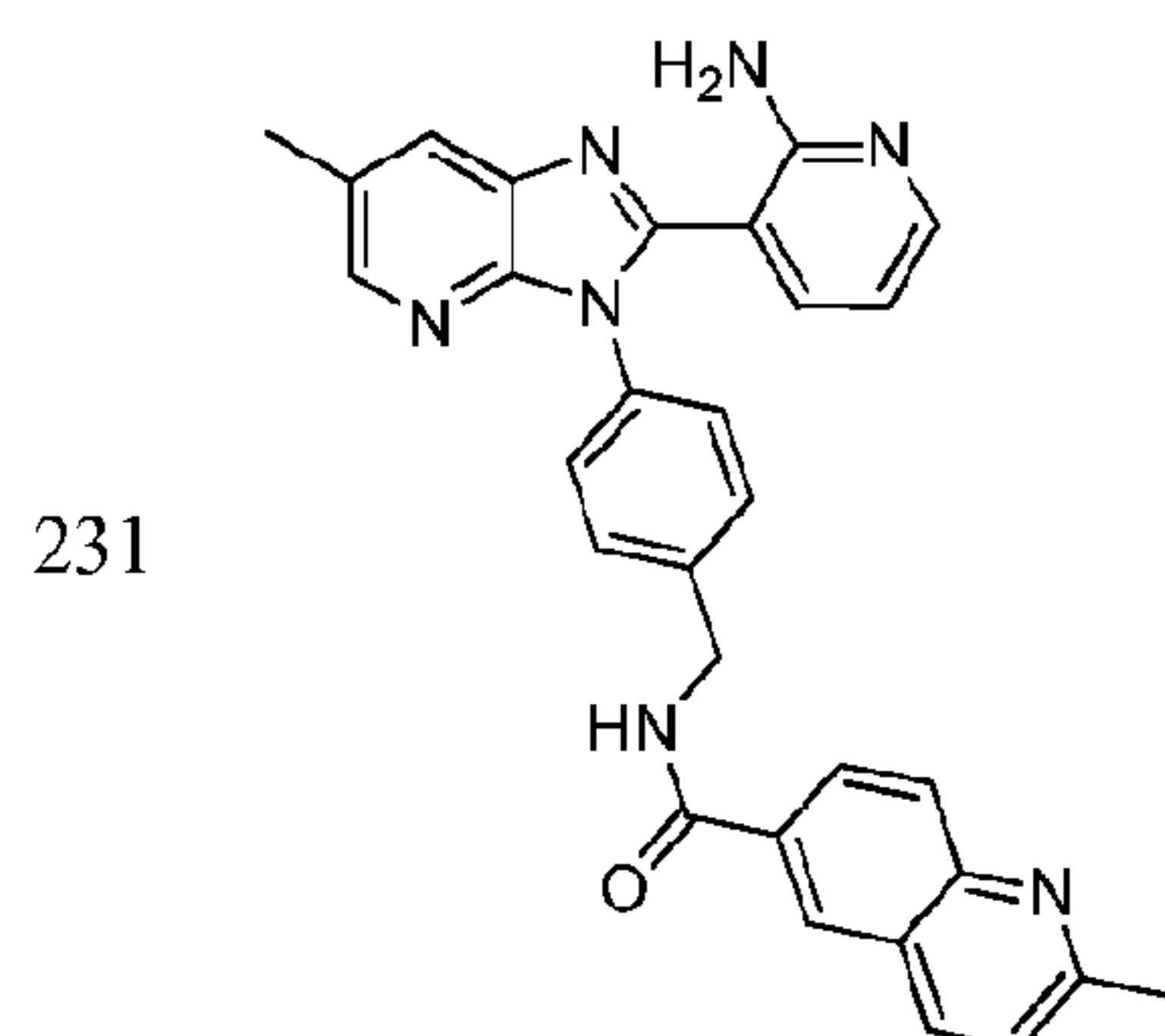
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-fluorobenzamide



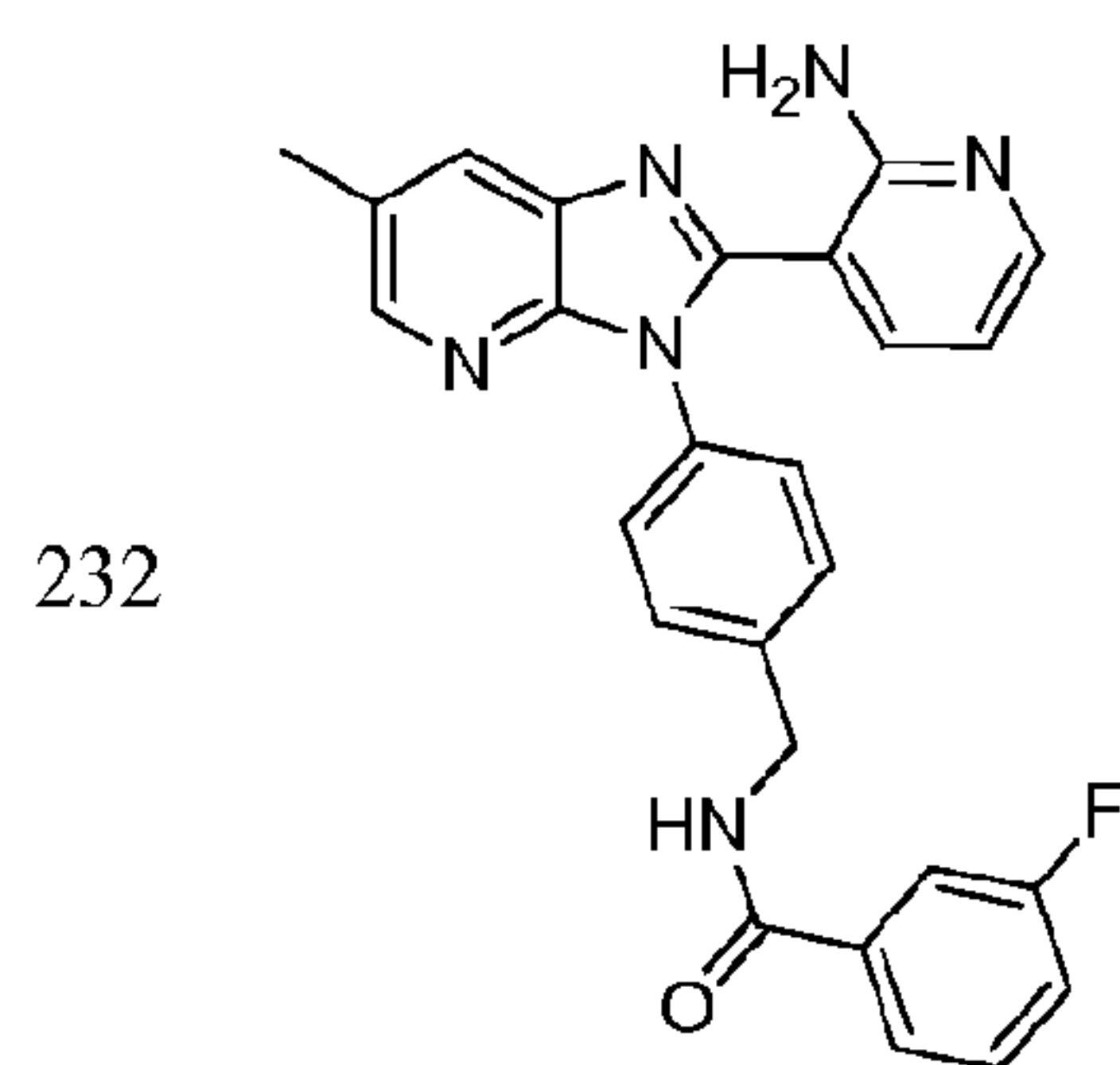
3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



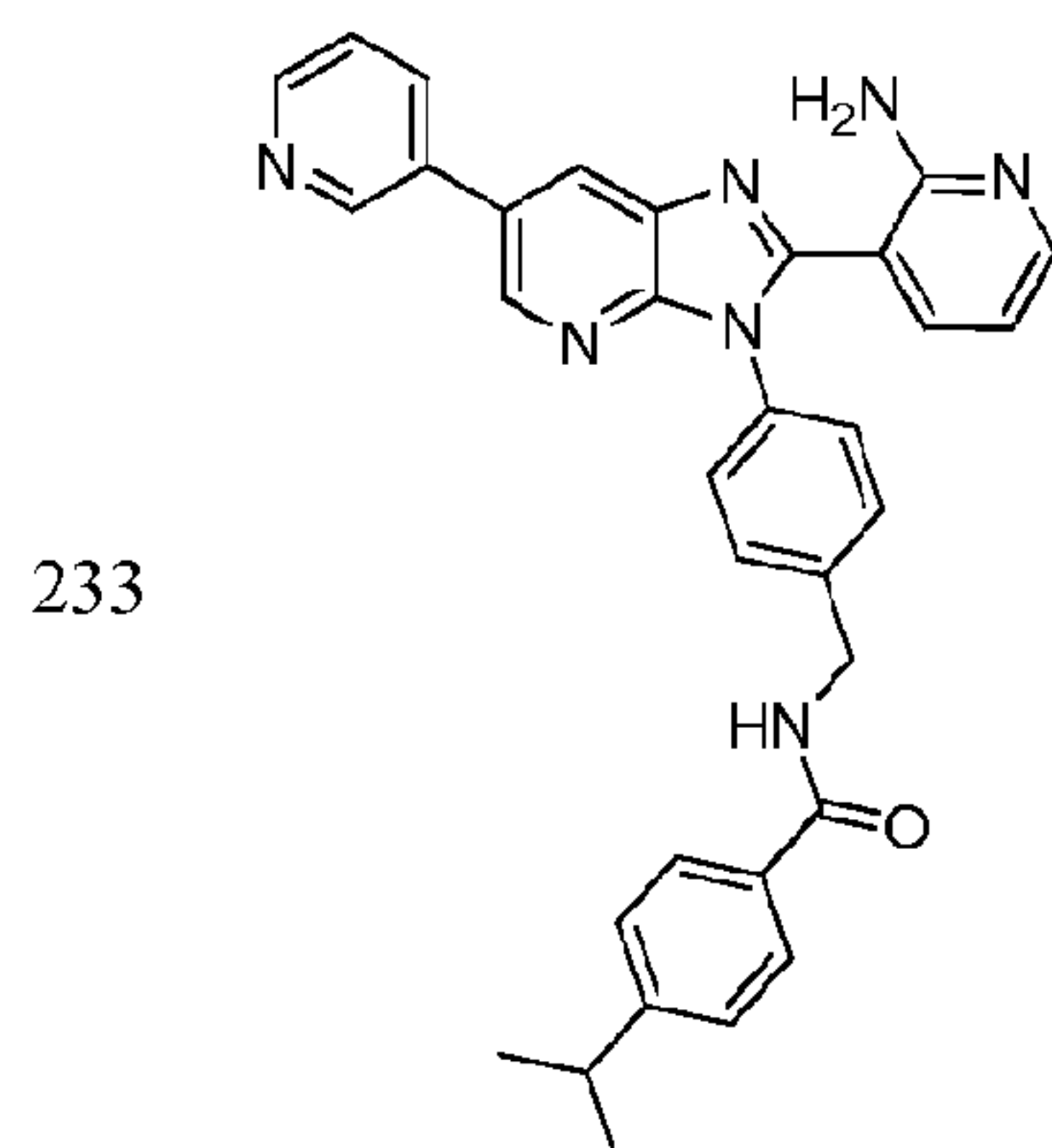
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide



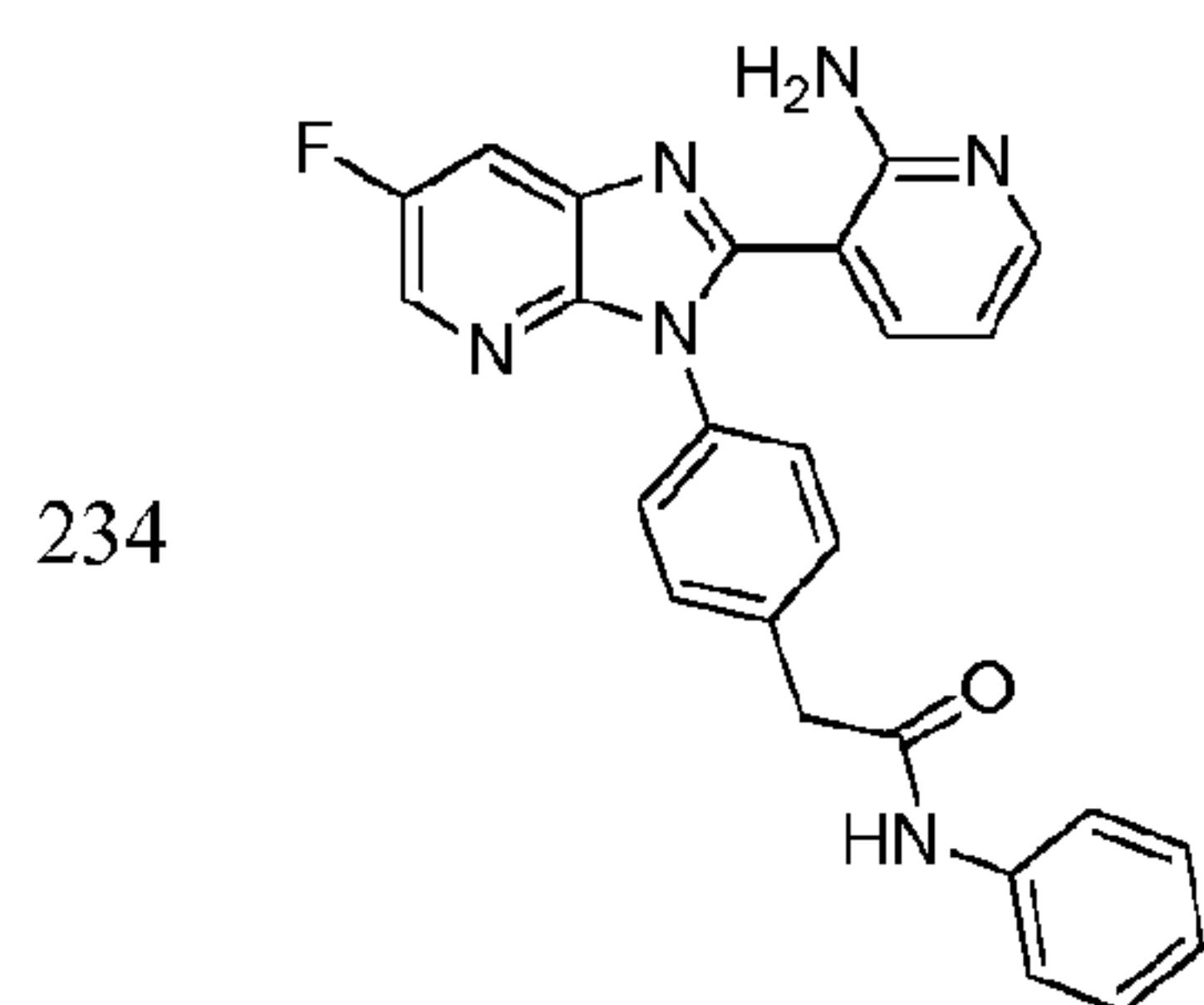
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide



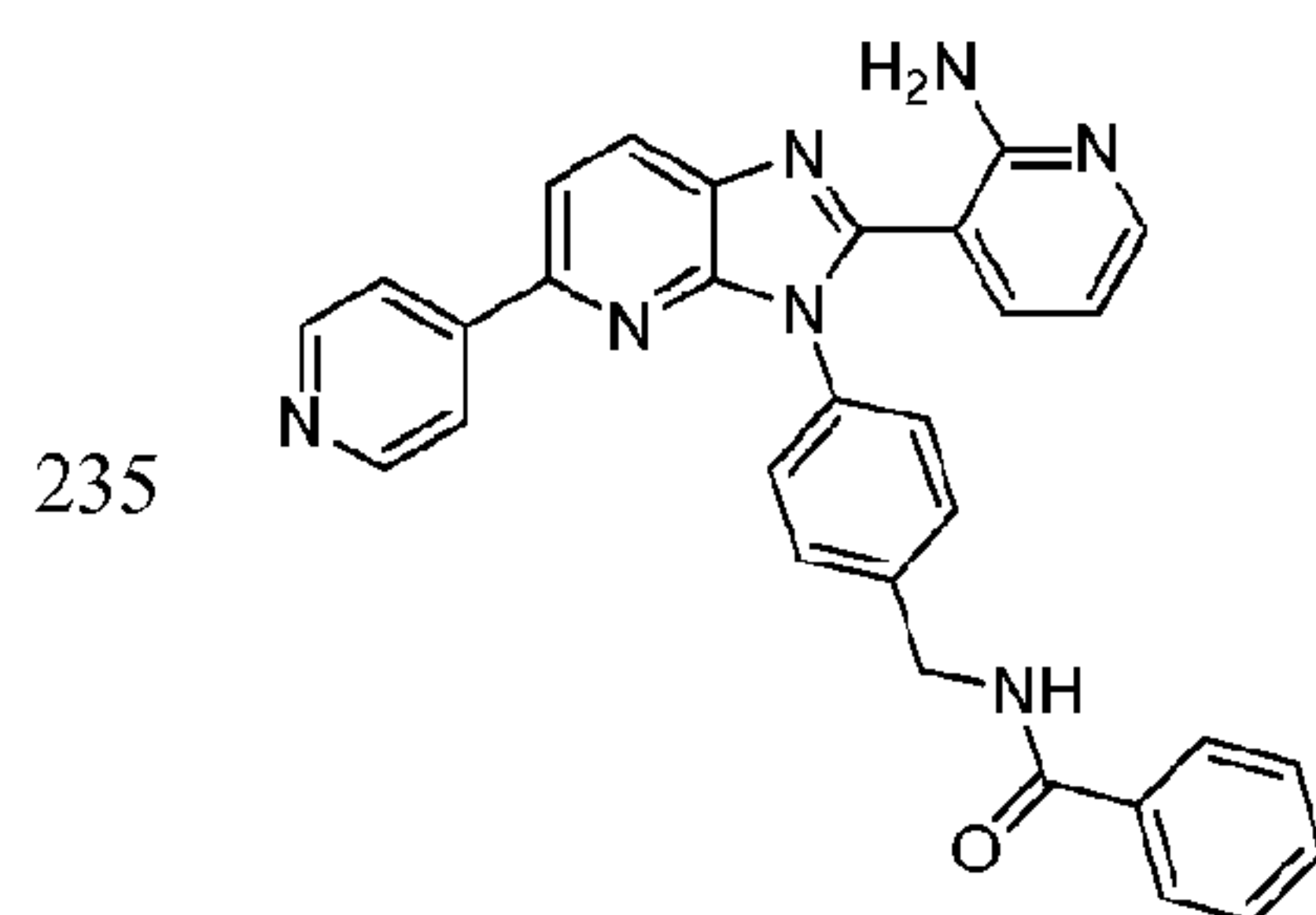
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



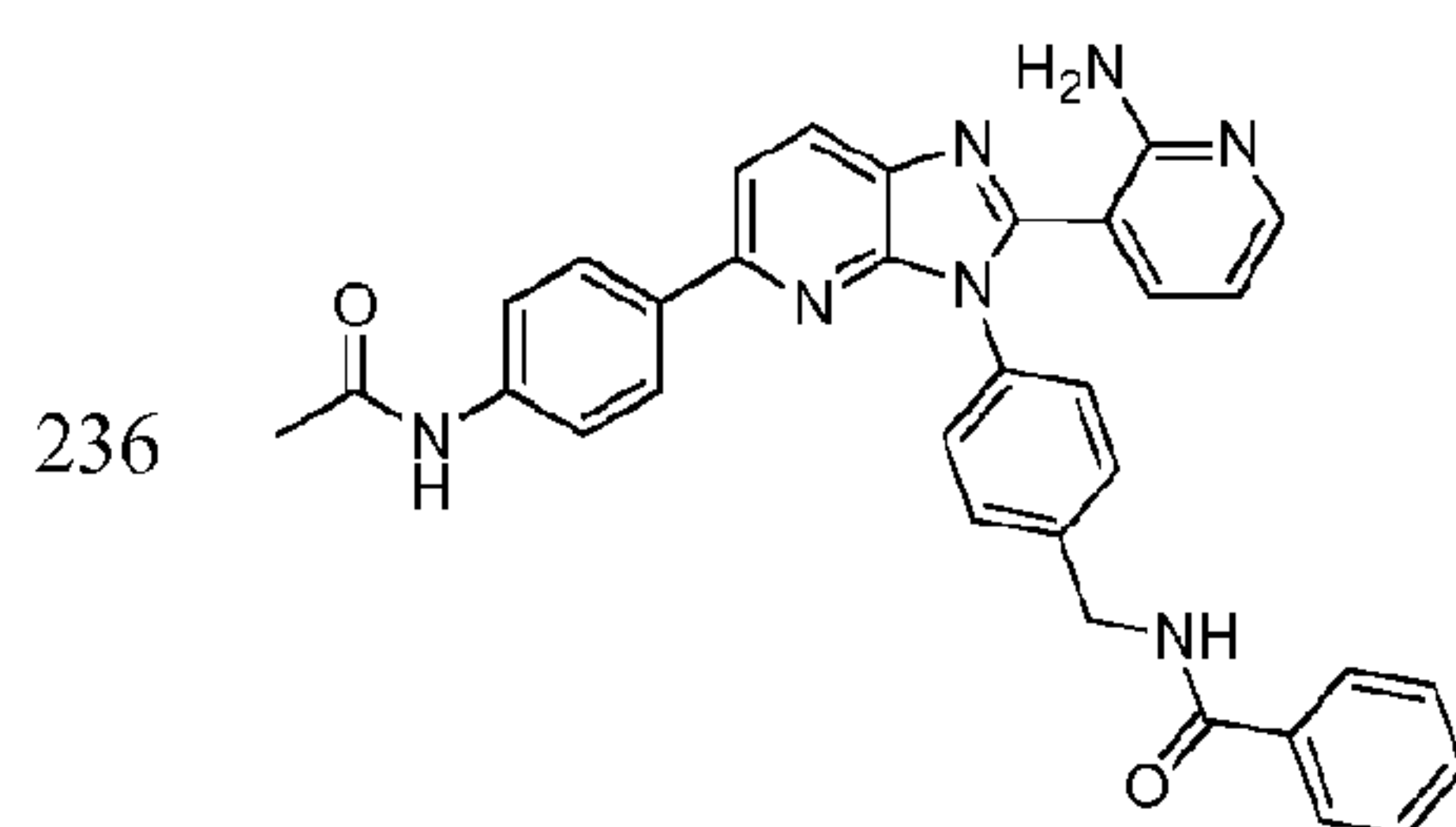
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide



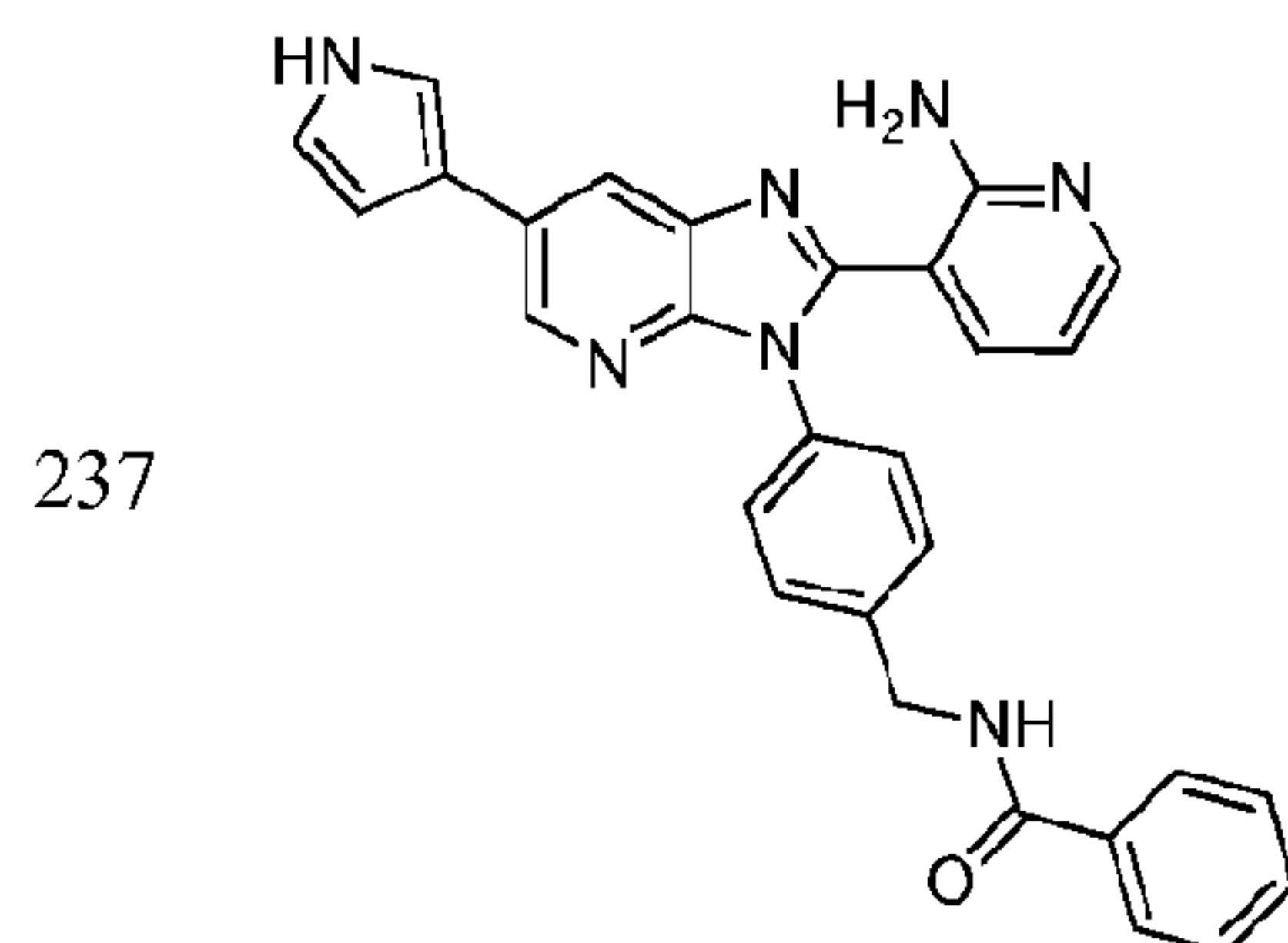
2-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide



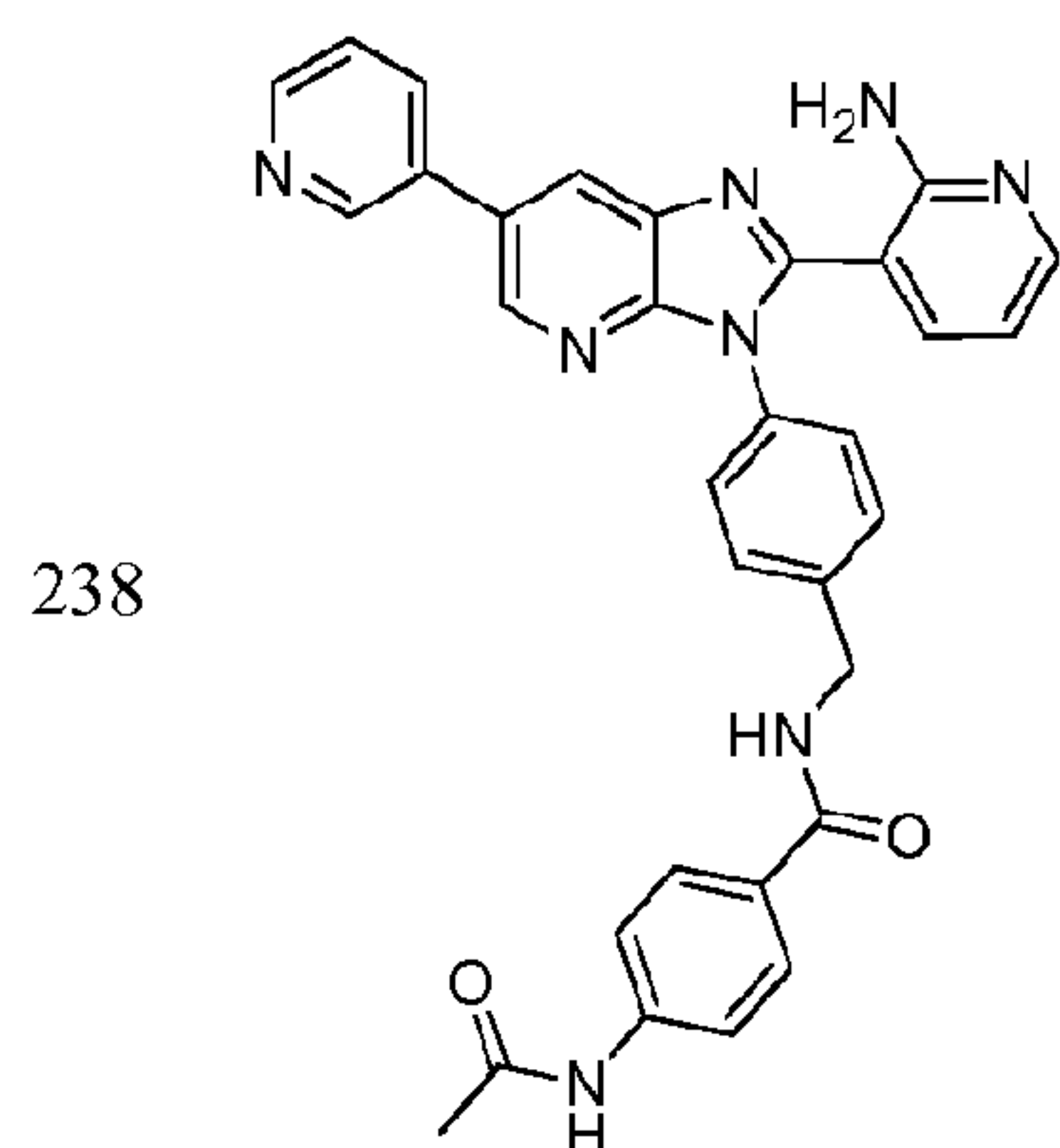
N-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



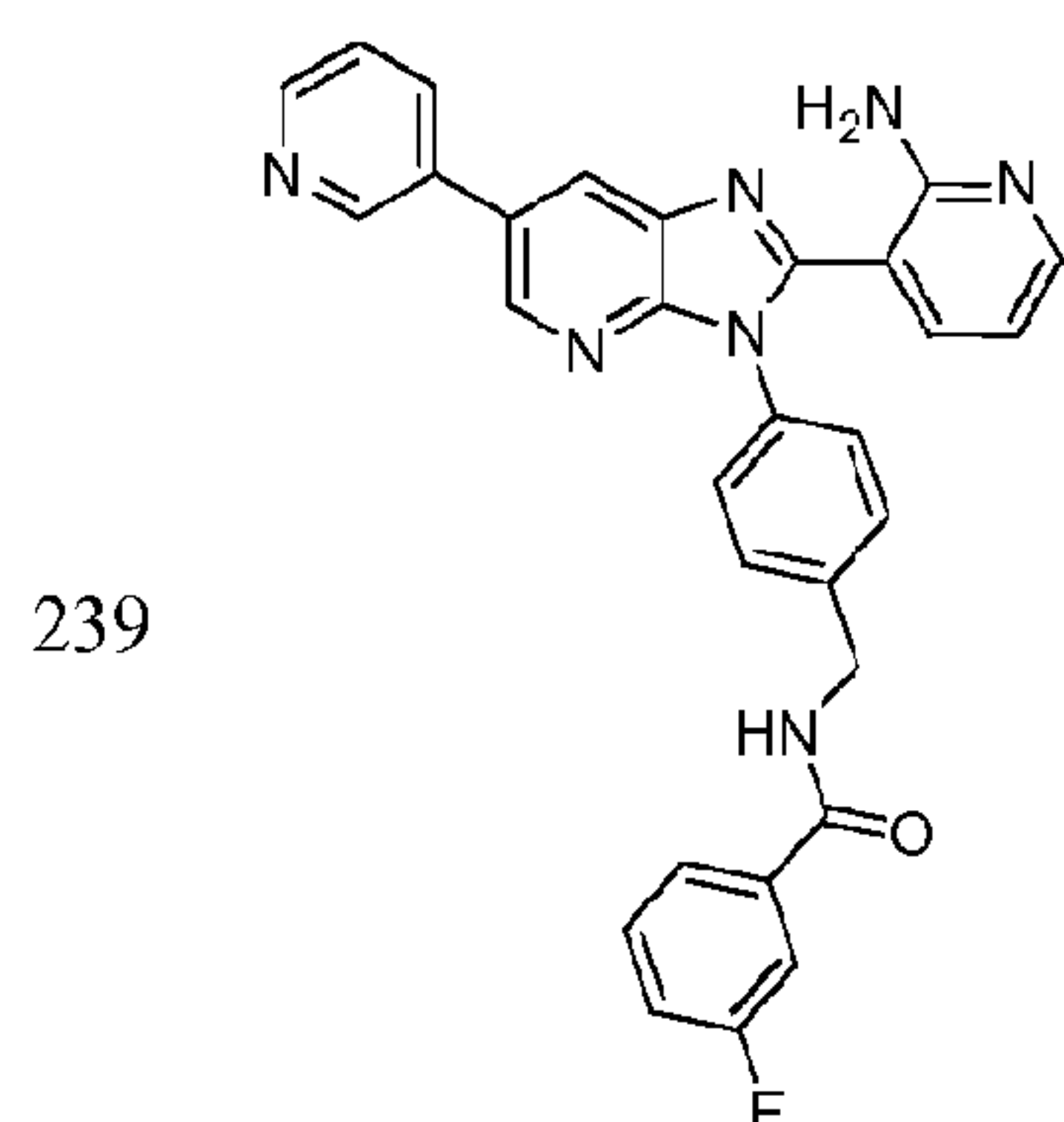
N-(4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



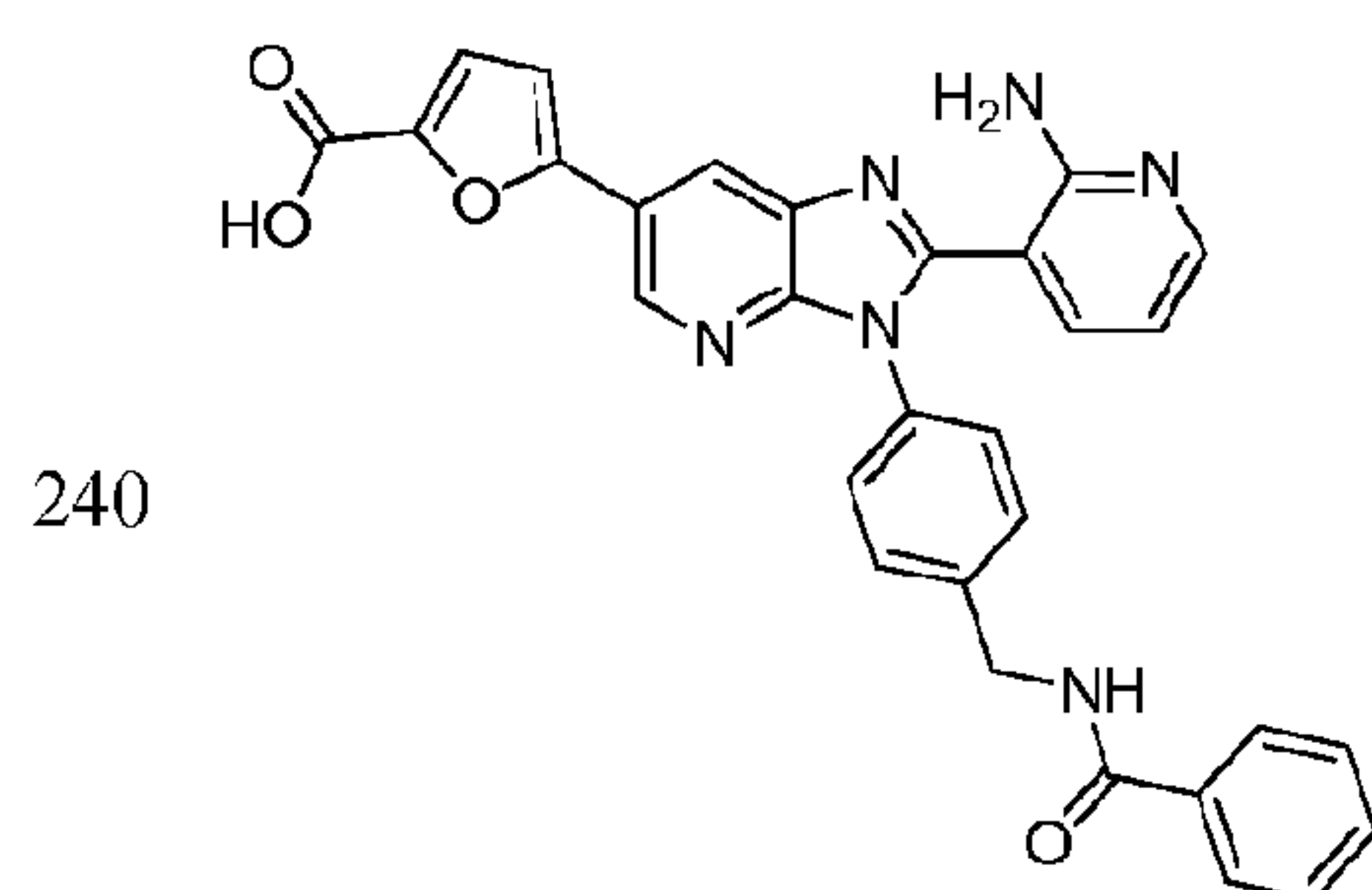
N-(4-(2-(2-aminopyridin-3-yl)-6-(1H-pyrrol-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



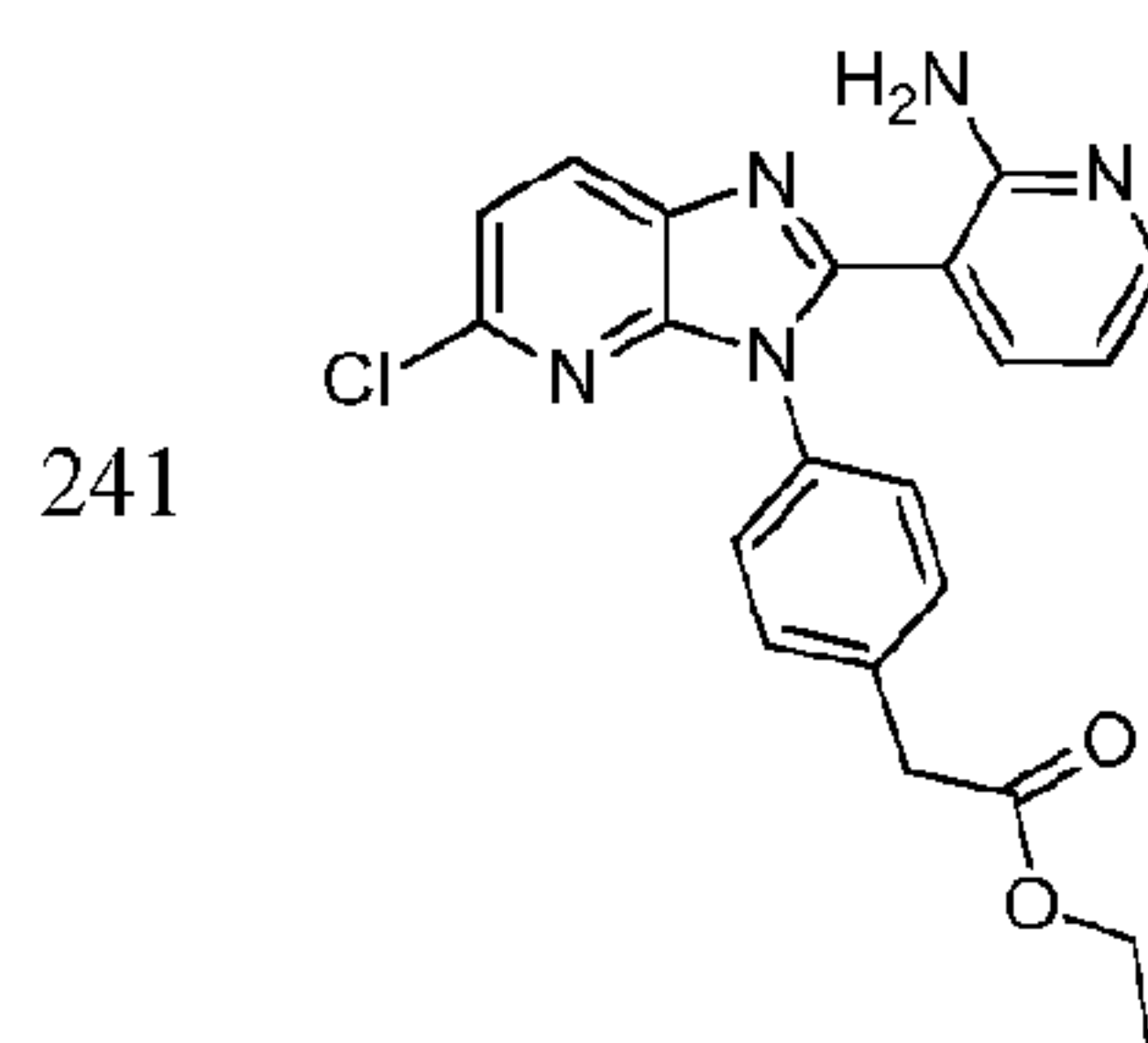
4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



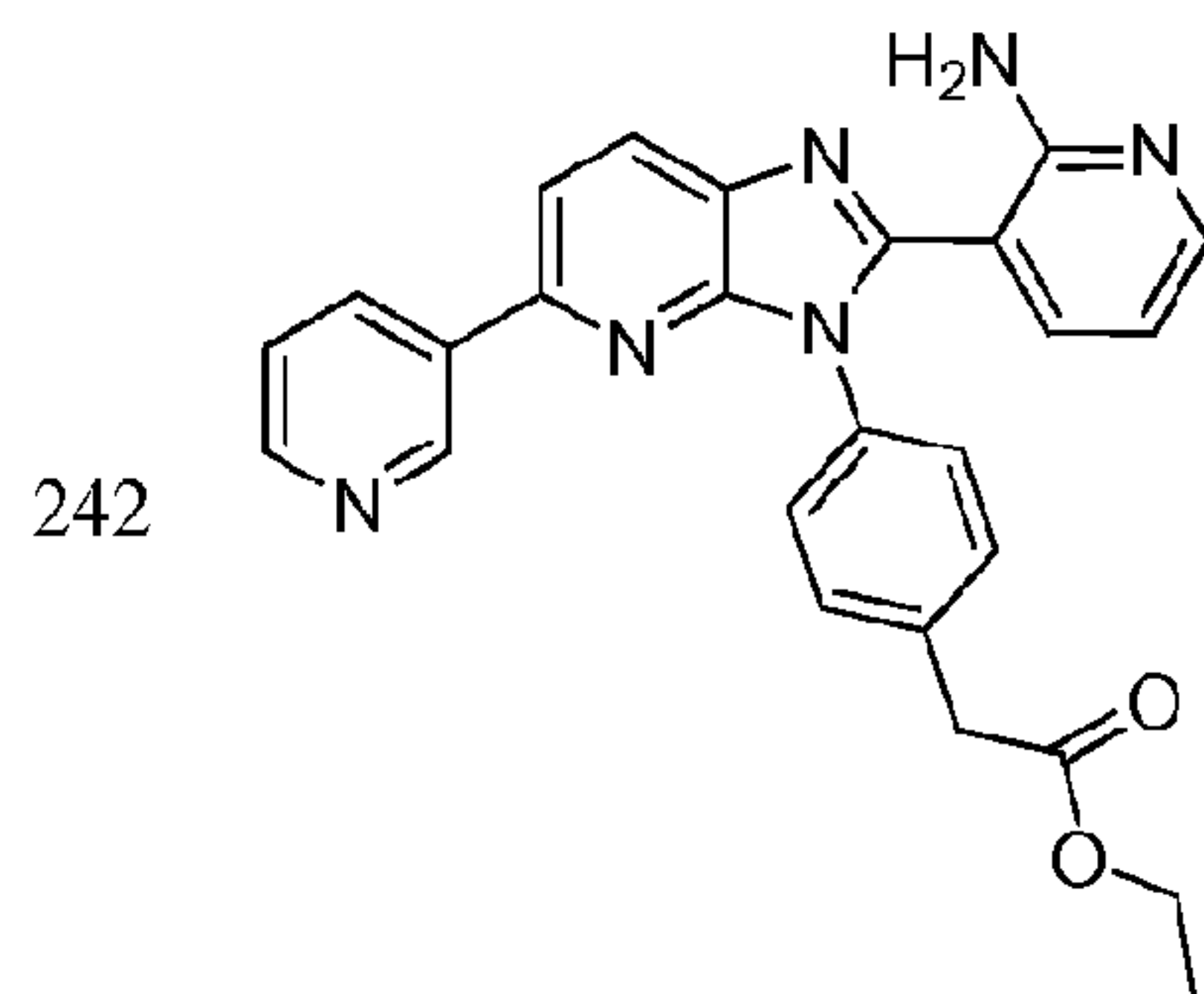
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



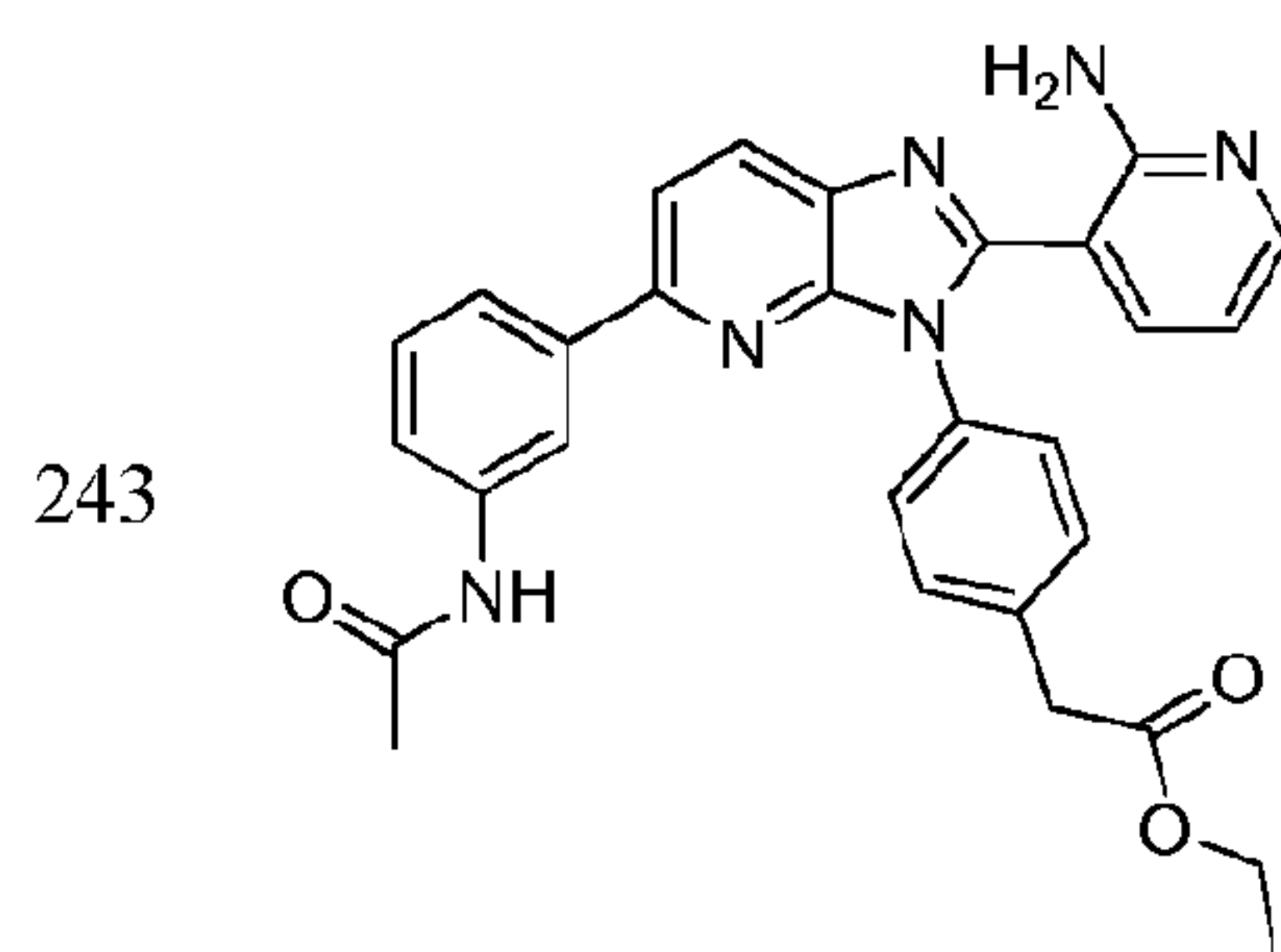
5-(2-(2-aminopyridin-3-yl)-3-(4-(benzamidomethyl)phenyl)-3H-imidazo[4,5-b]pyridin-6-yl)furan-2-carboxylic acid



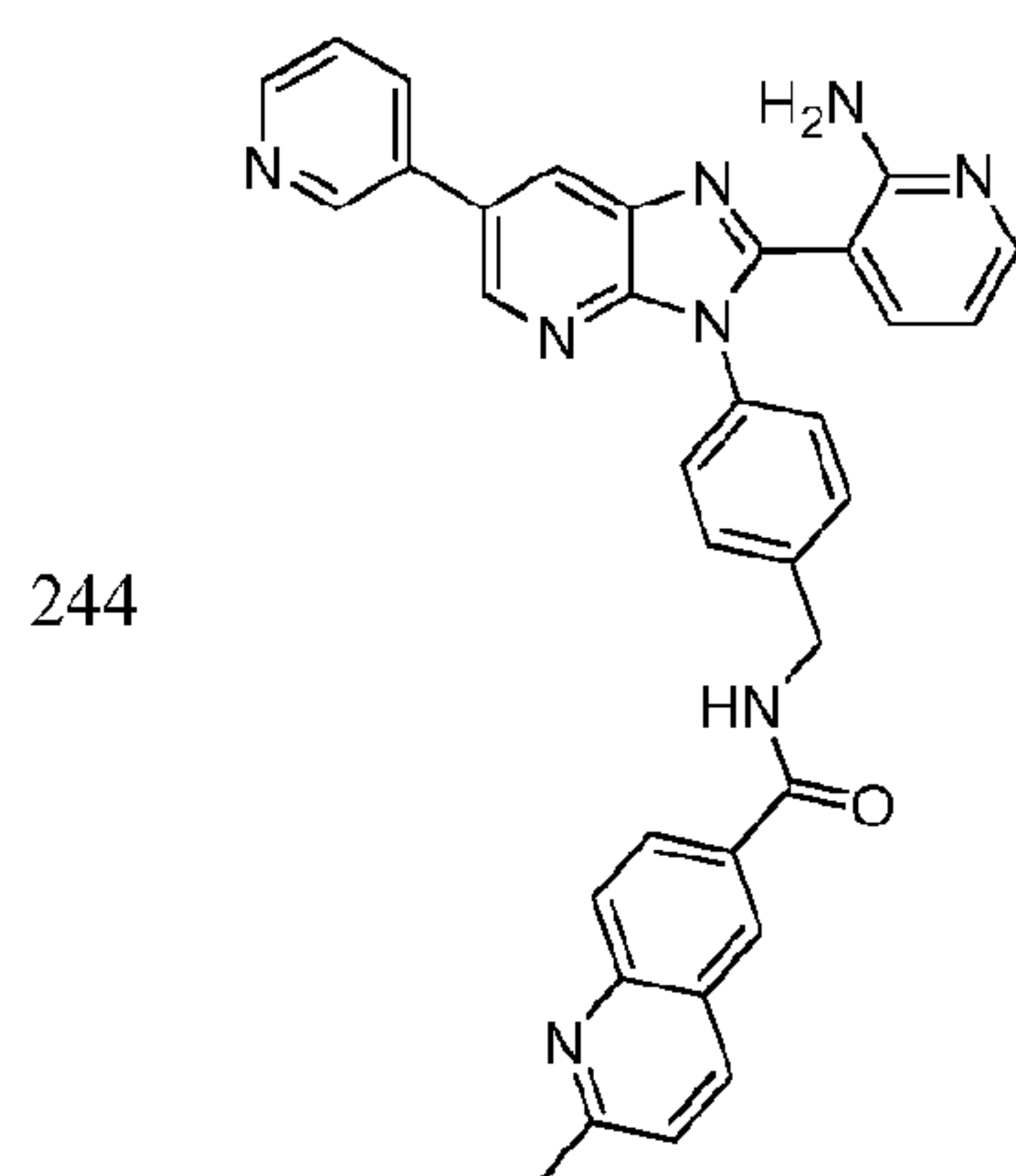
ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-chloro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate



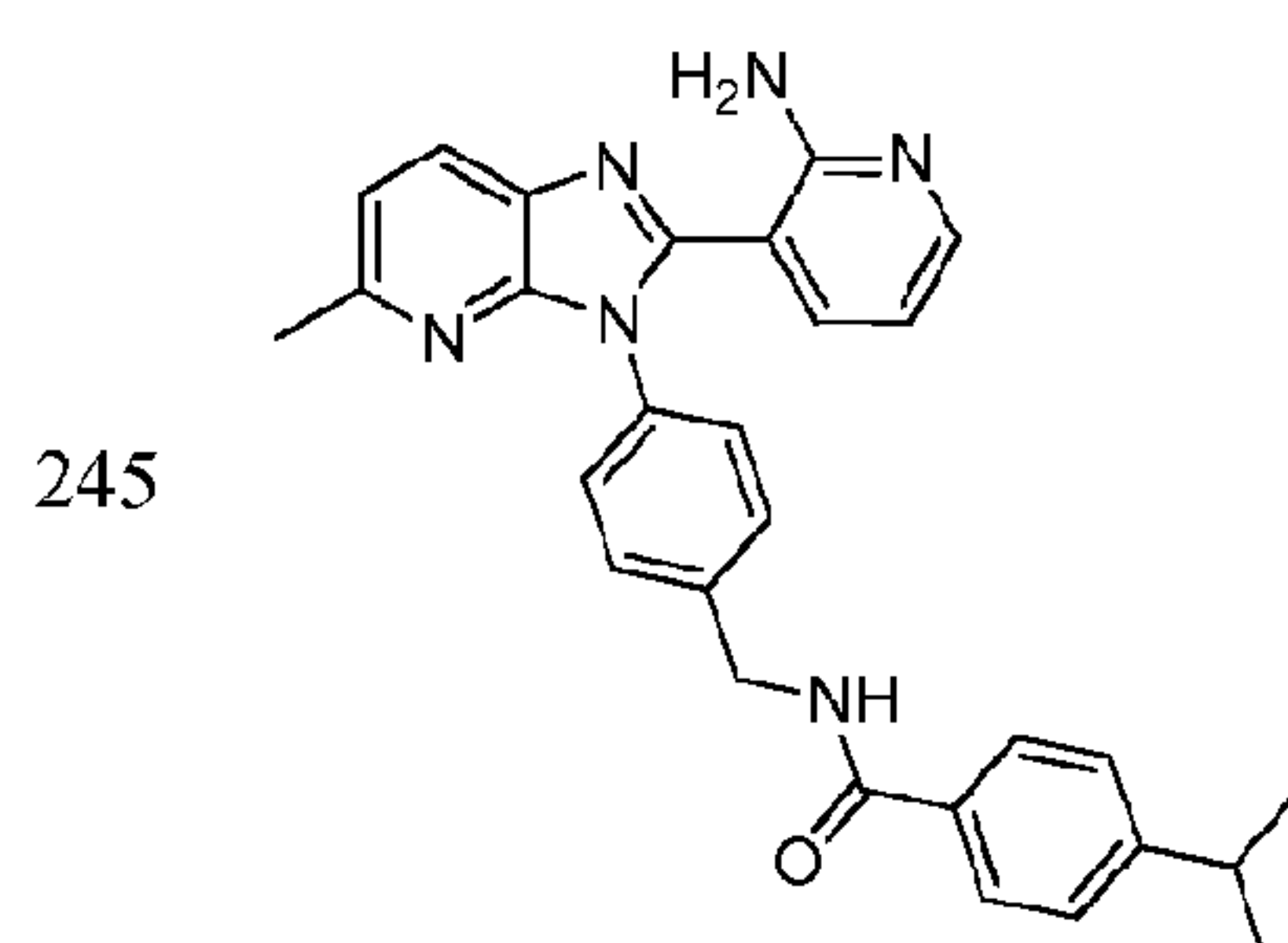
ethyl 2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate



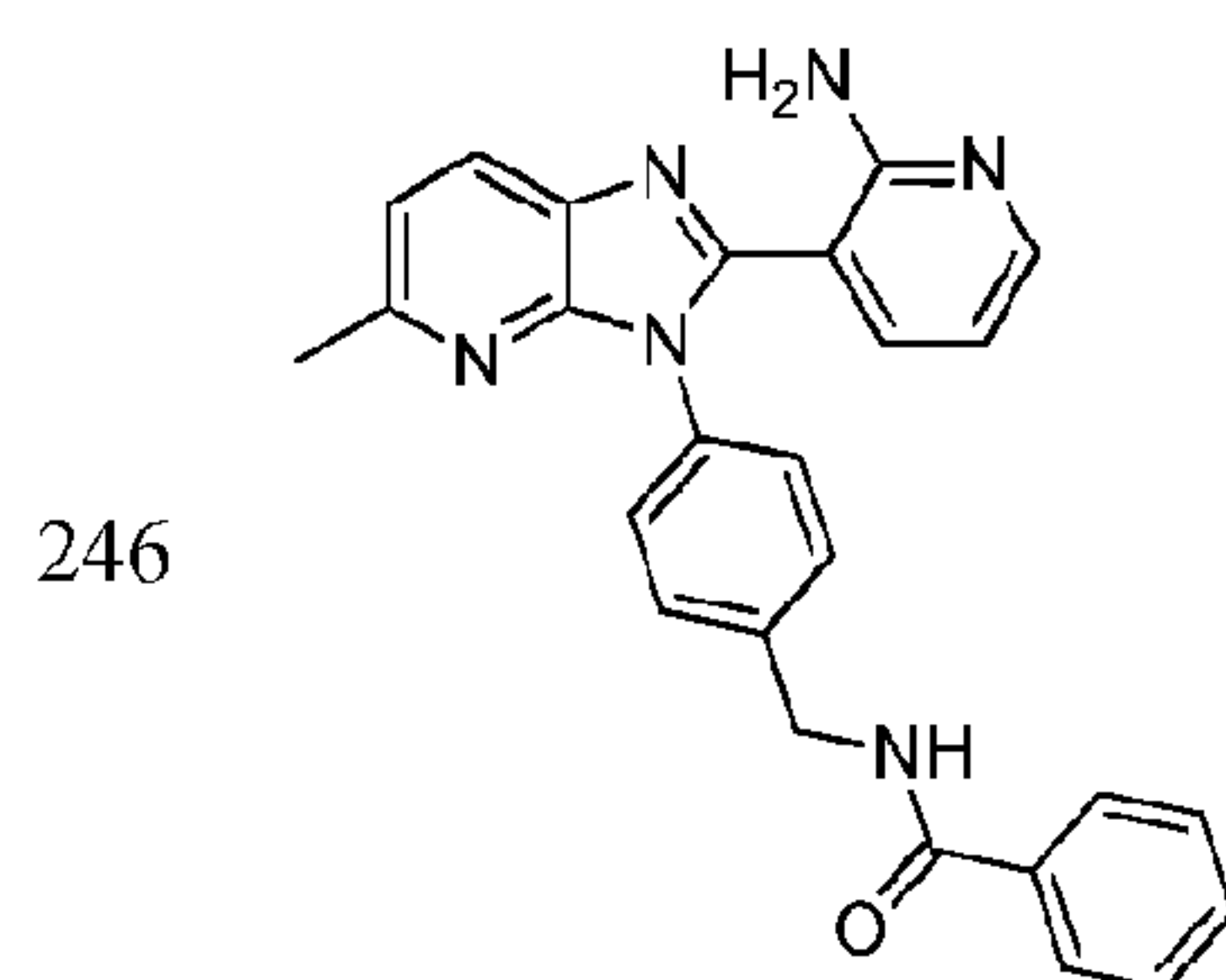
ethyl 2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetate



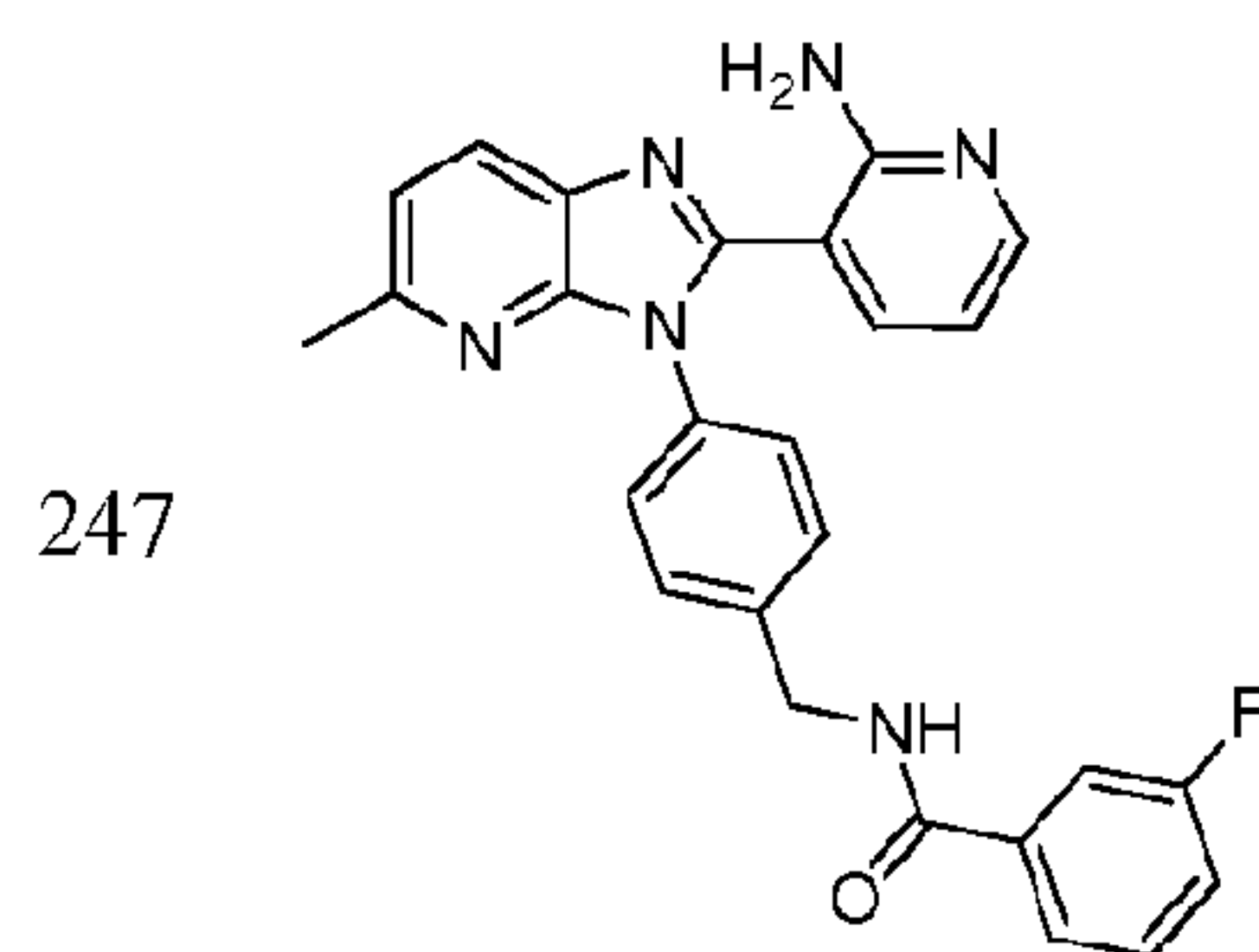
N-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide



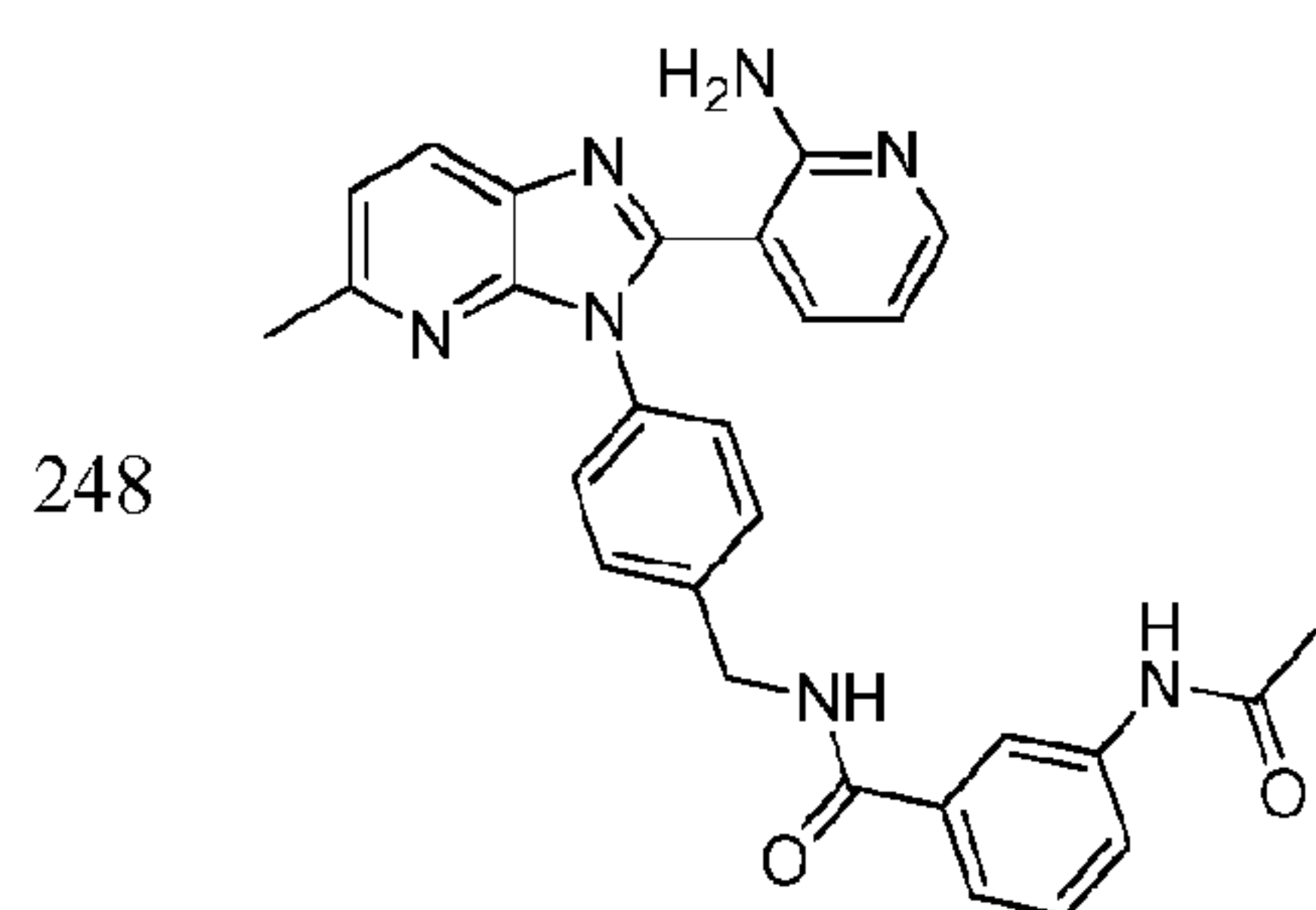
N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-isopropylbenzamide



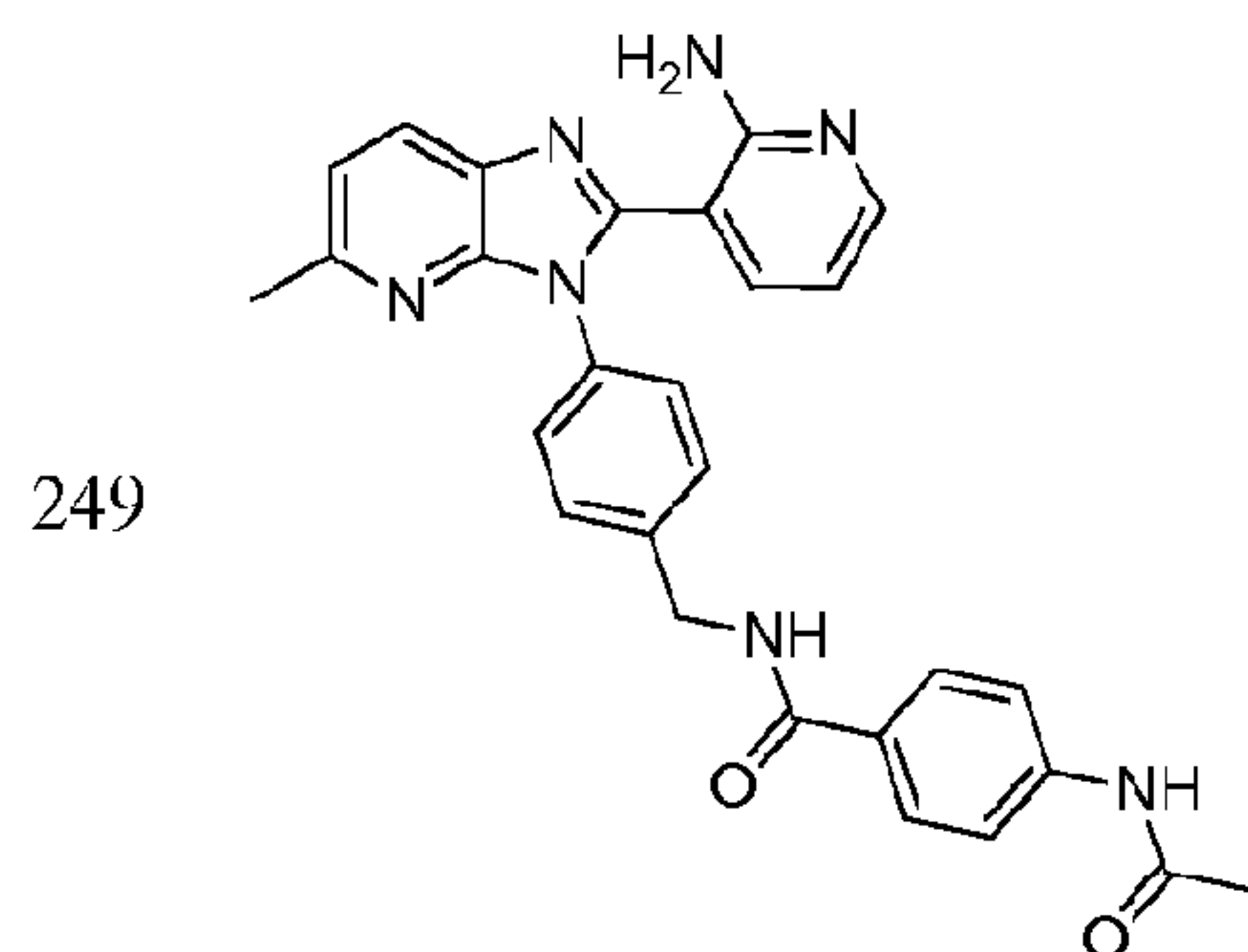
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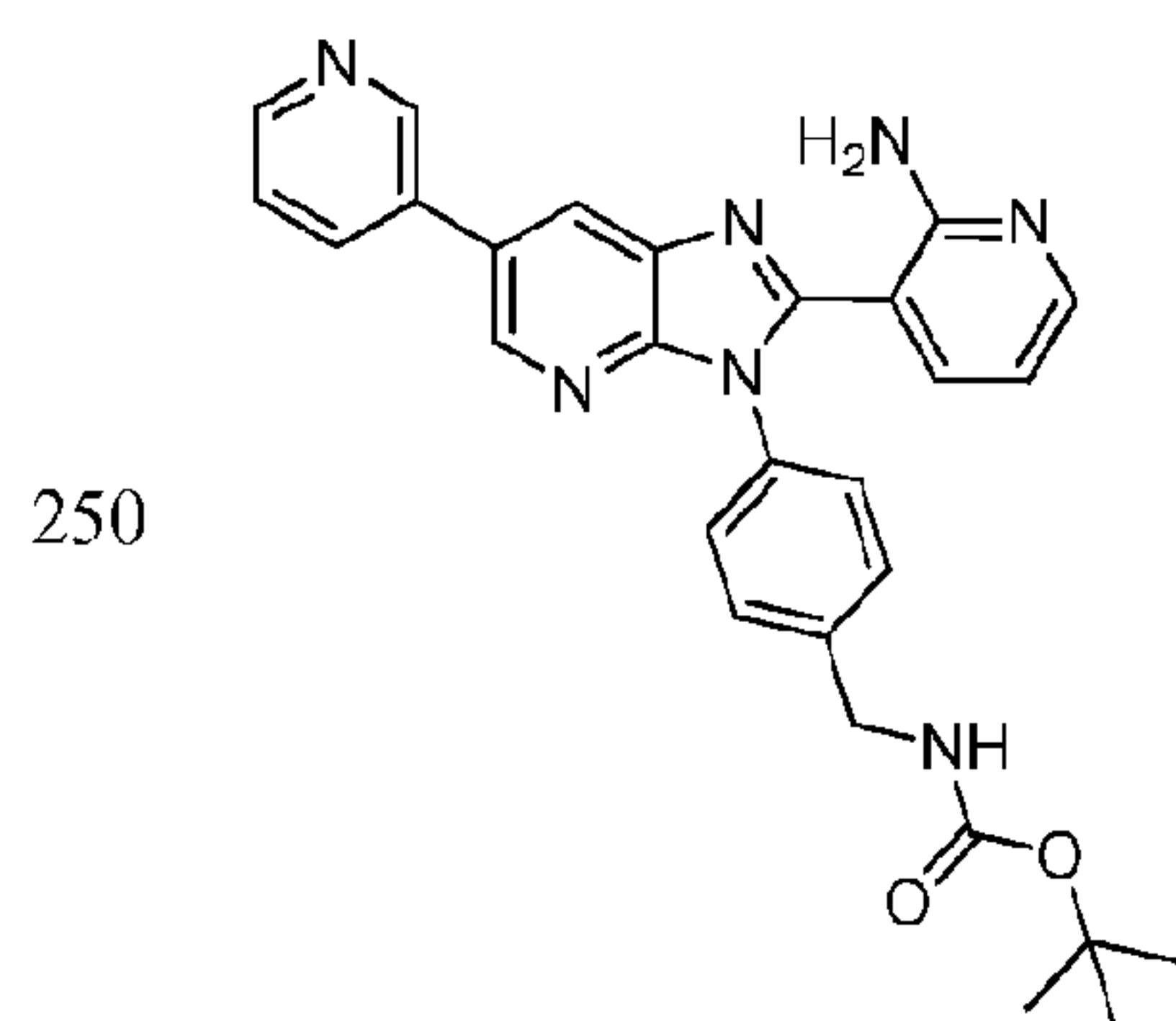
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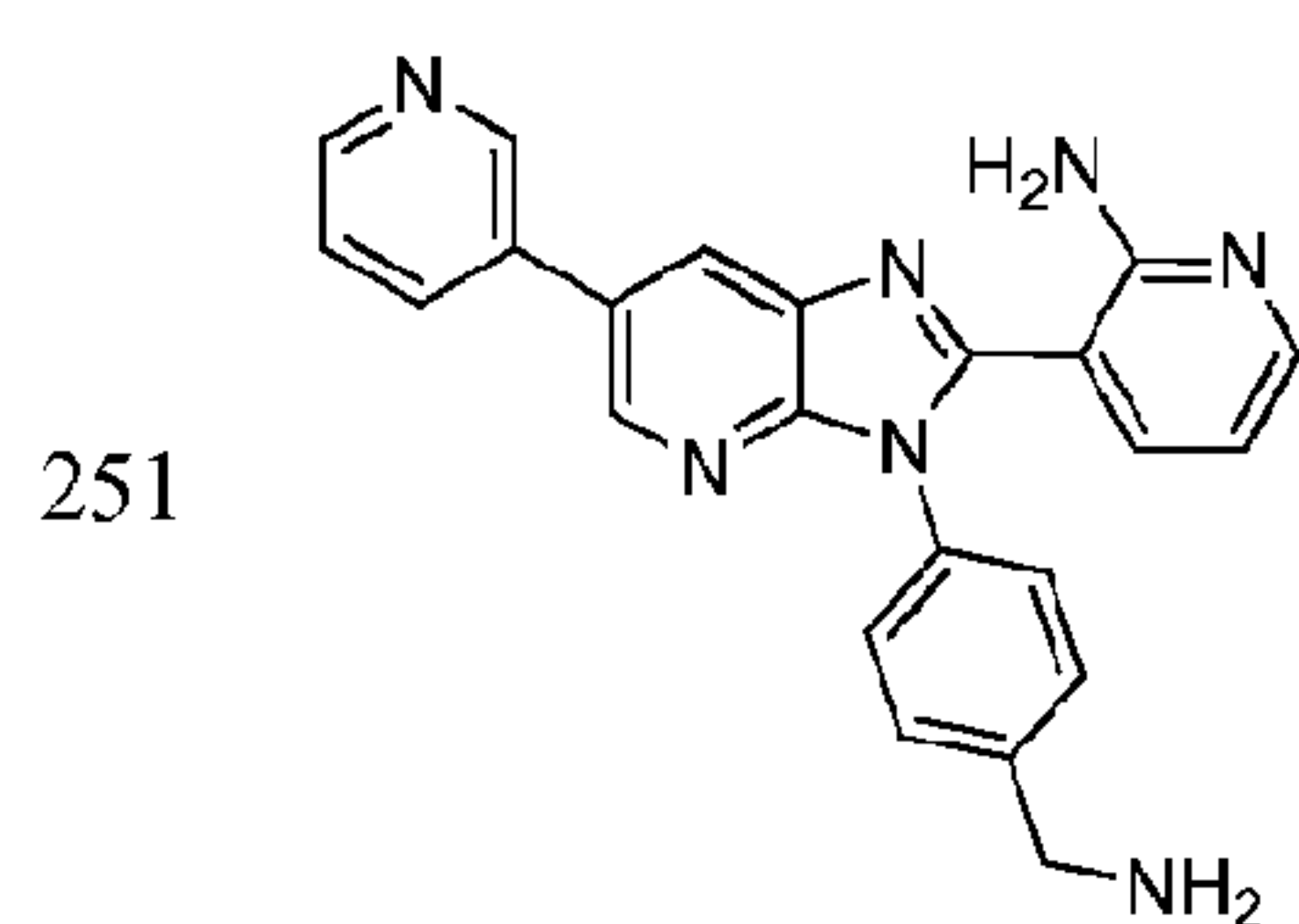
3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



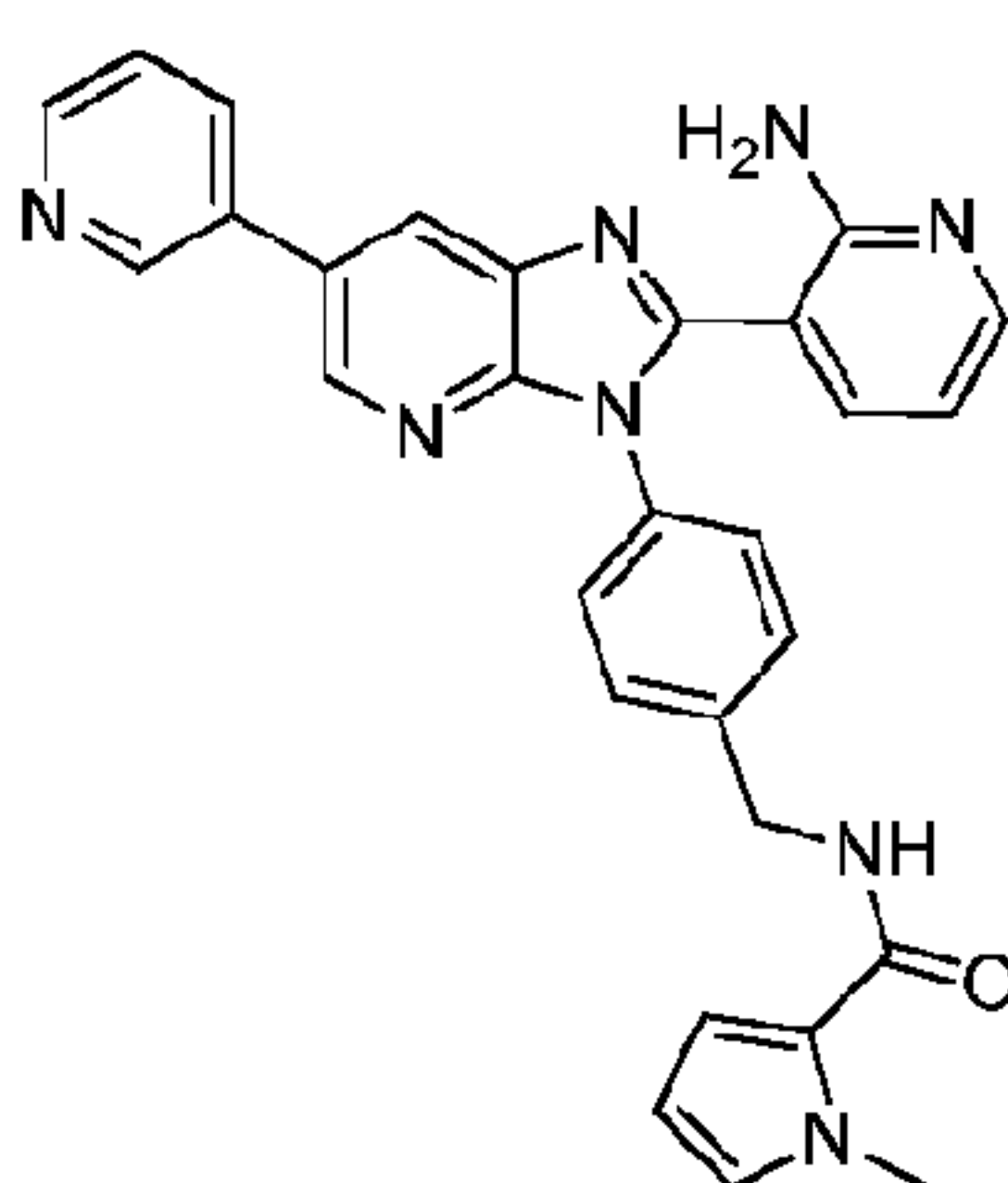
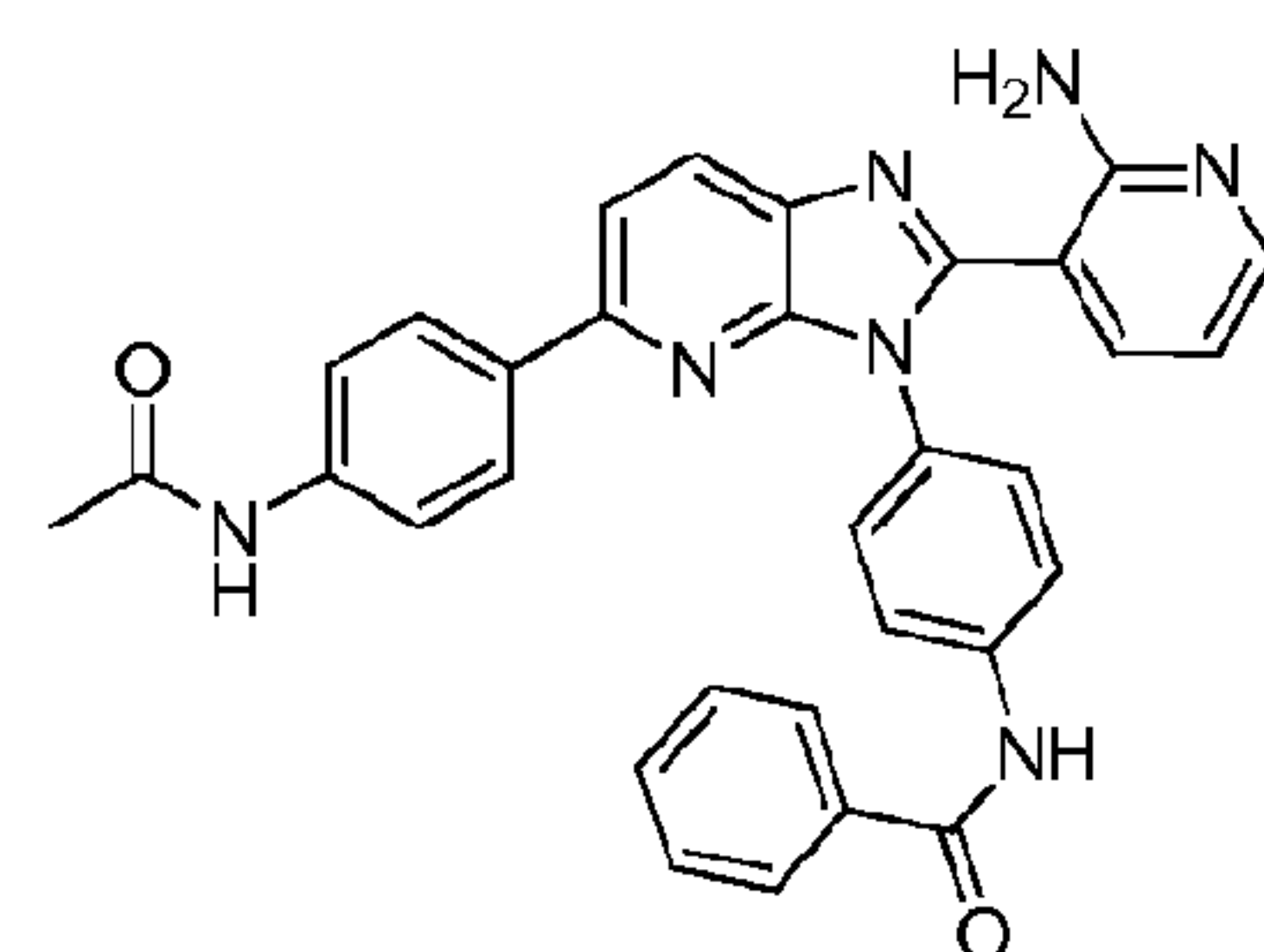
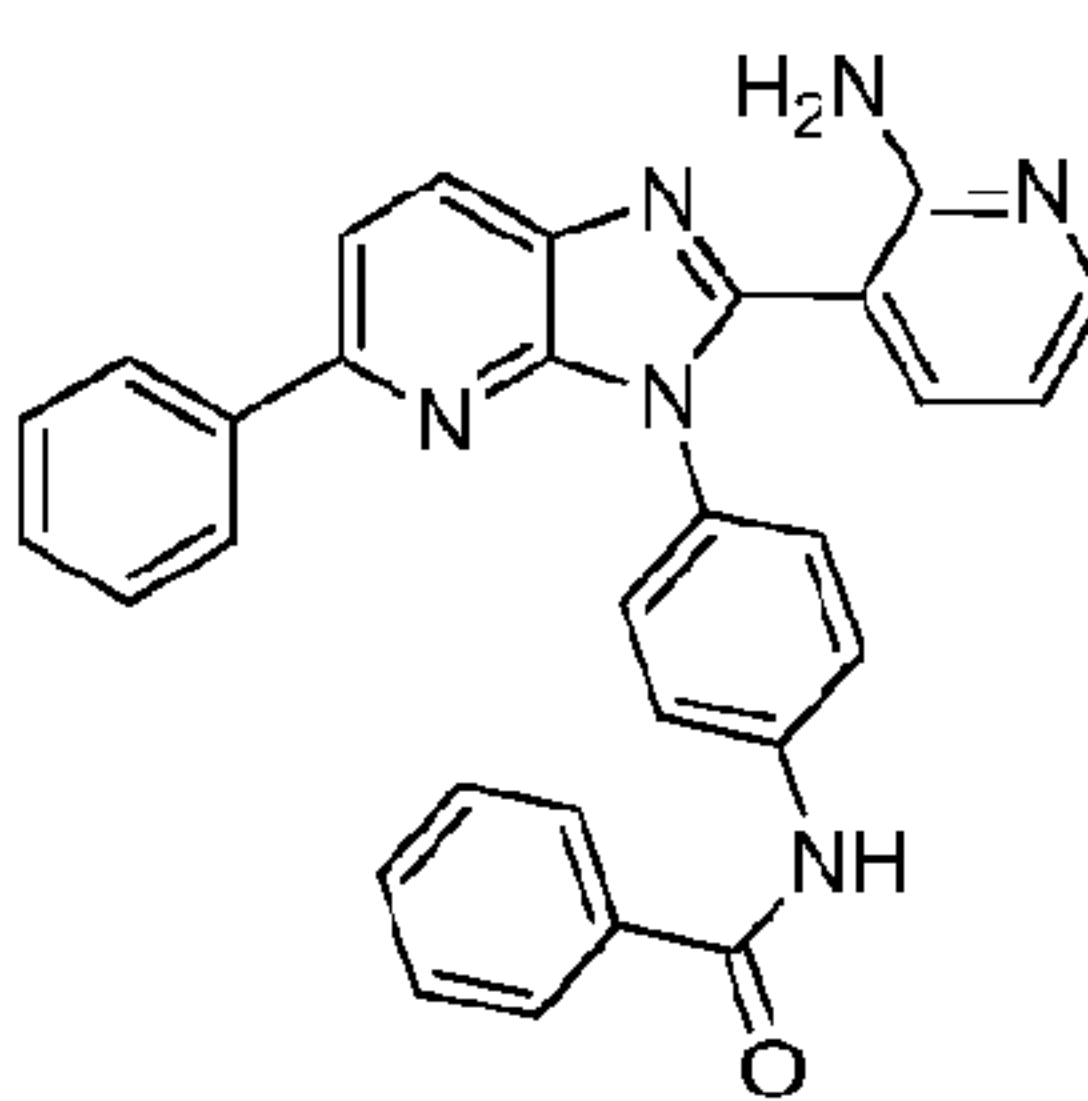
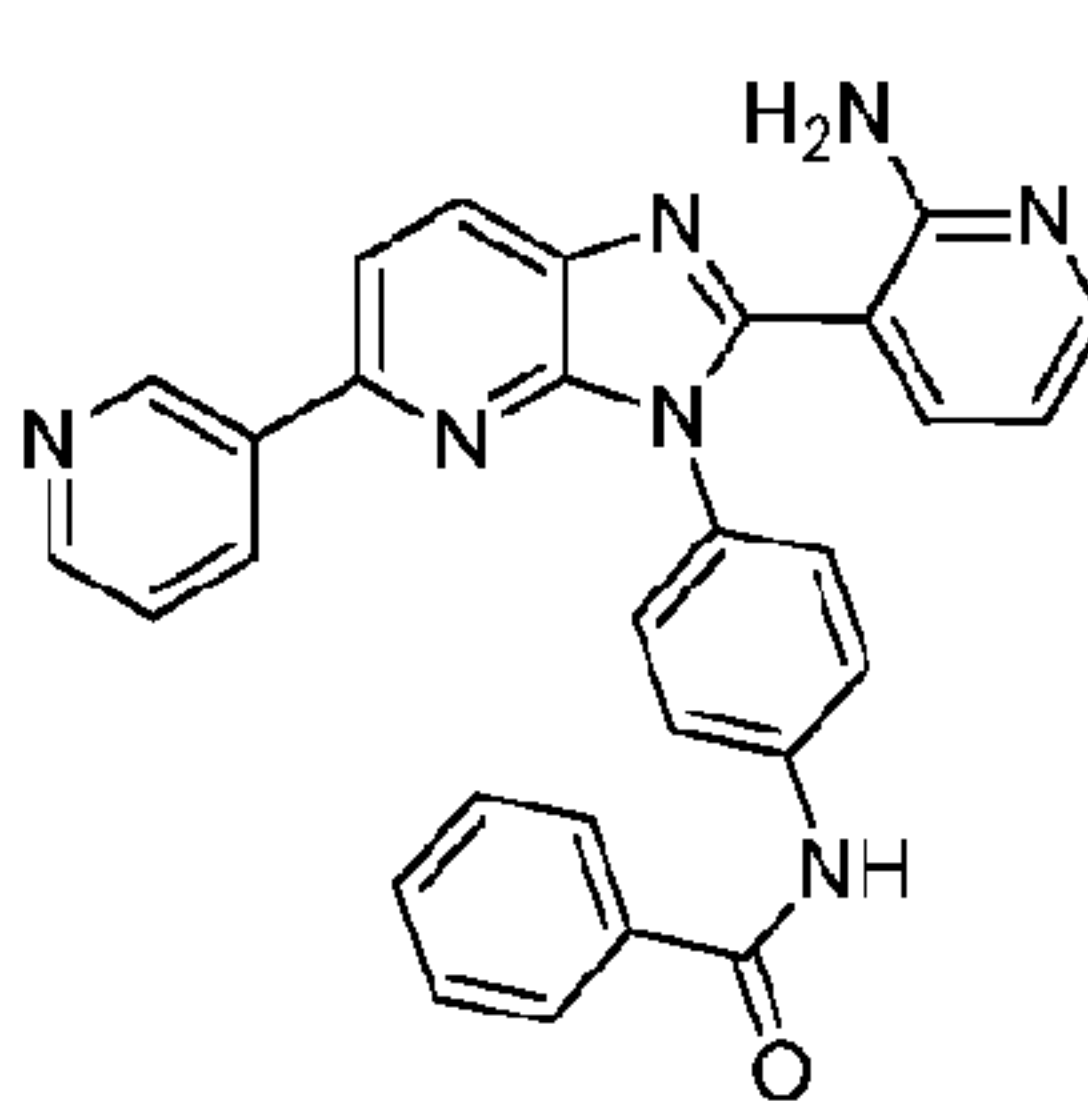
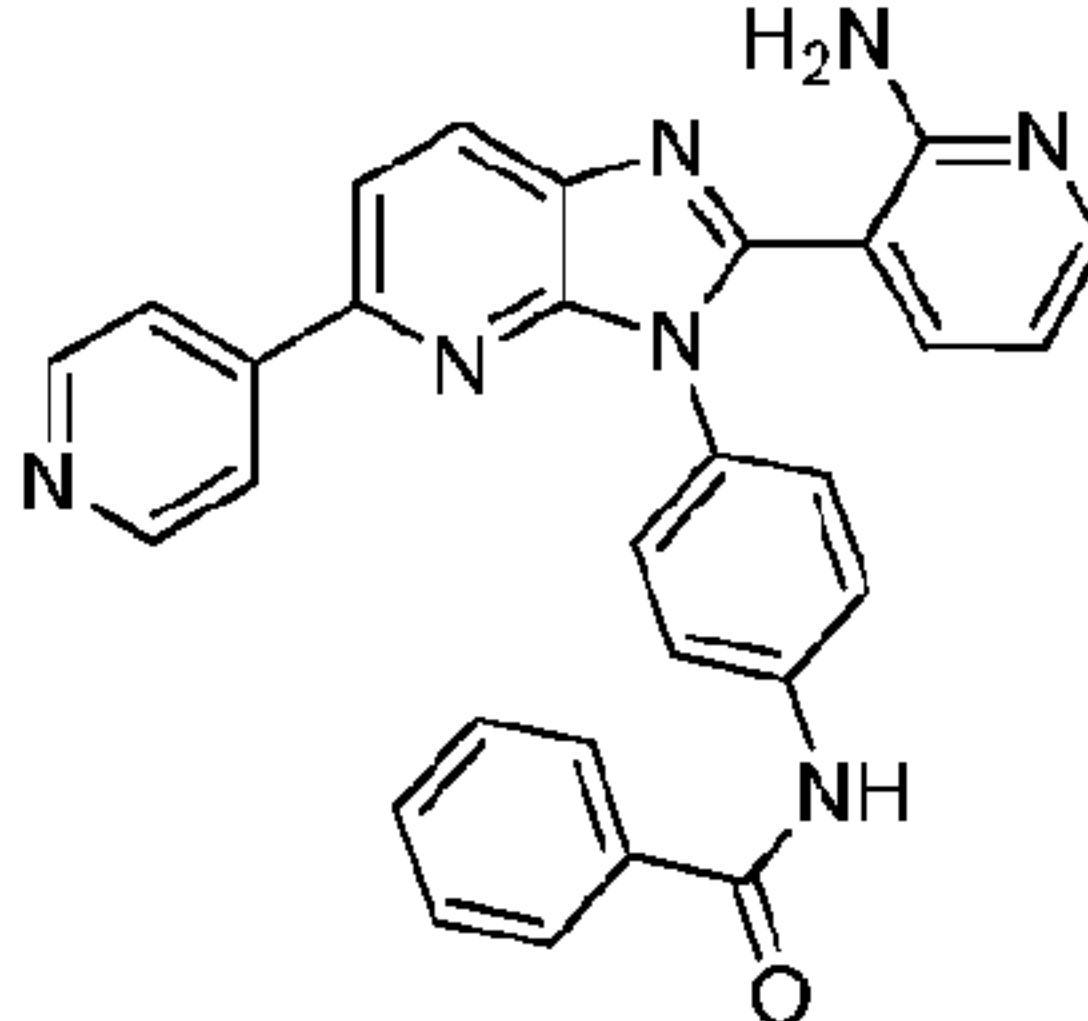
4-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide

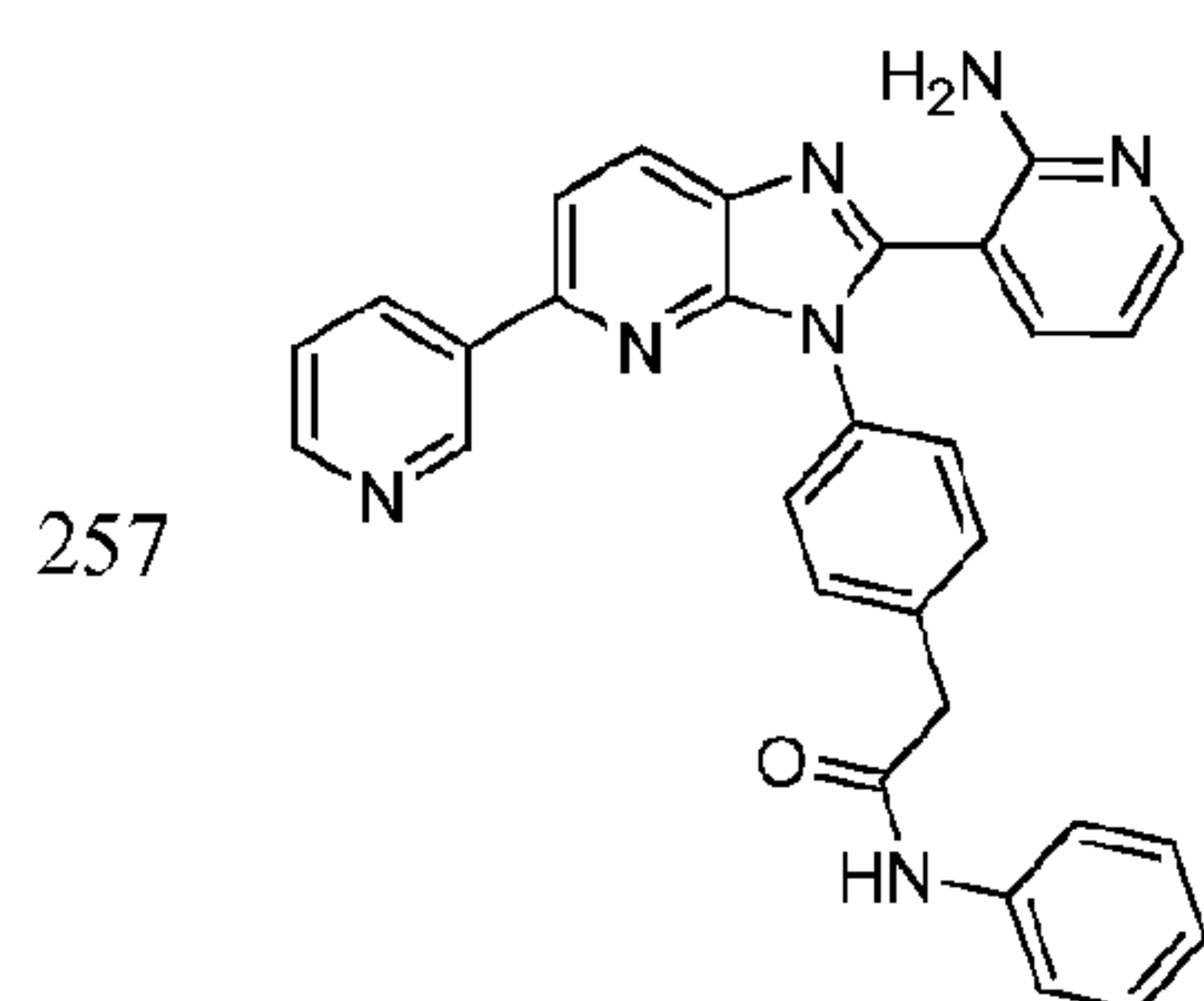


tert-butyl 4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate

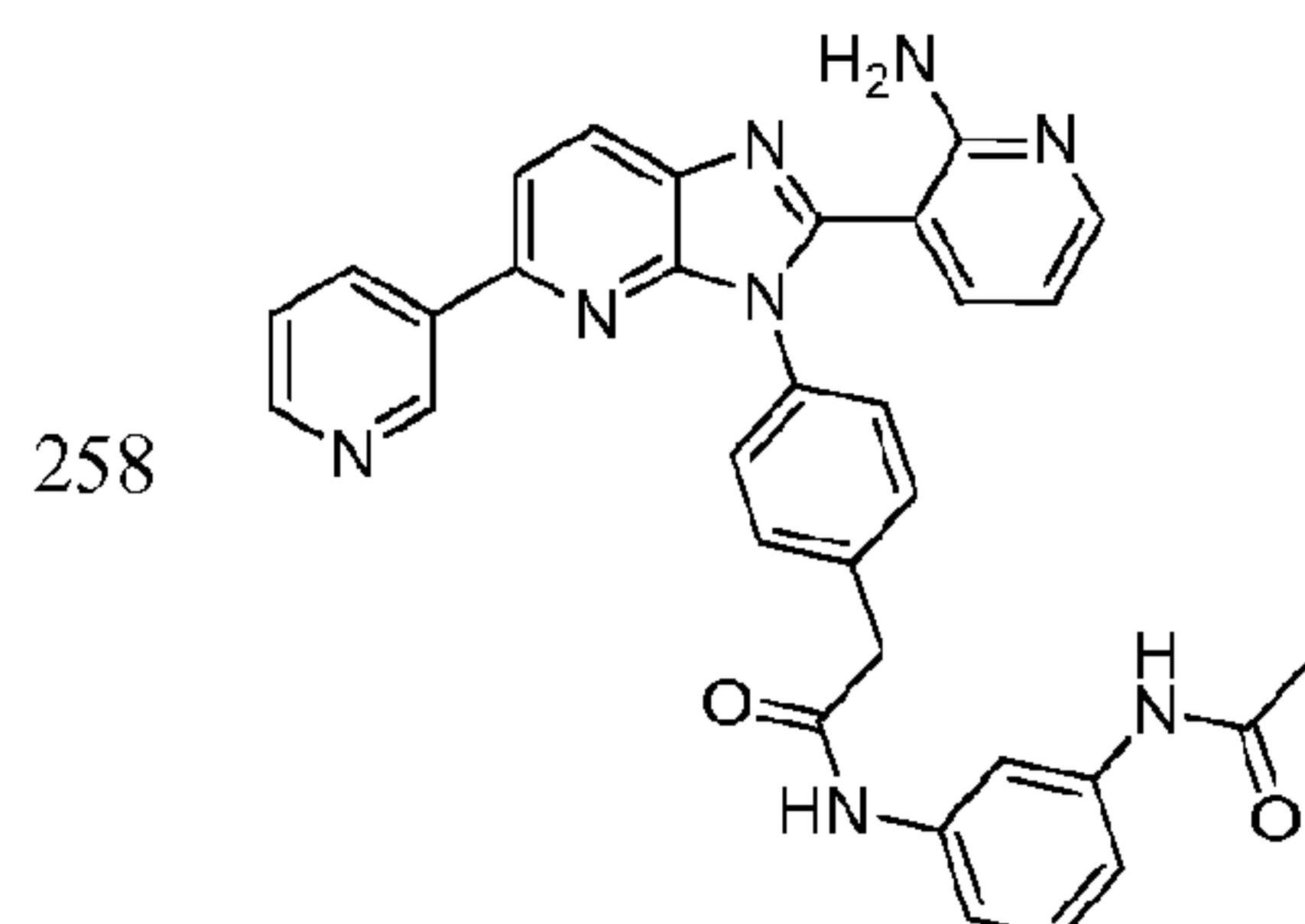


3-(3-(4-(aminomethyl)phenyl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

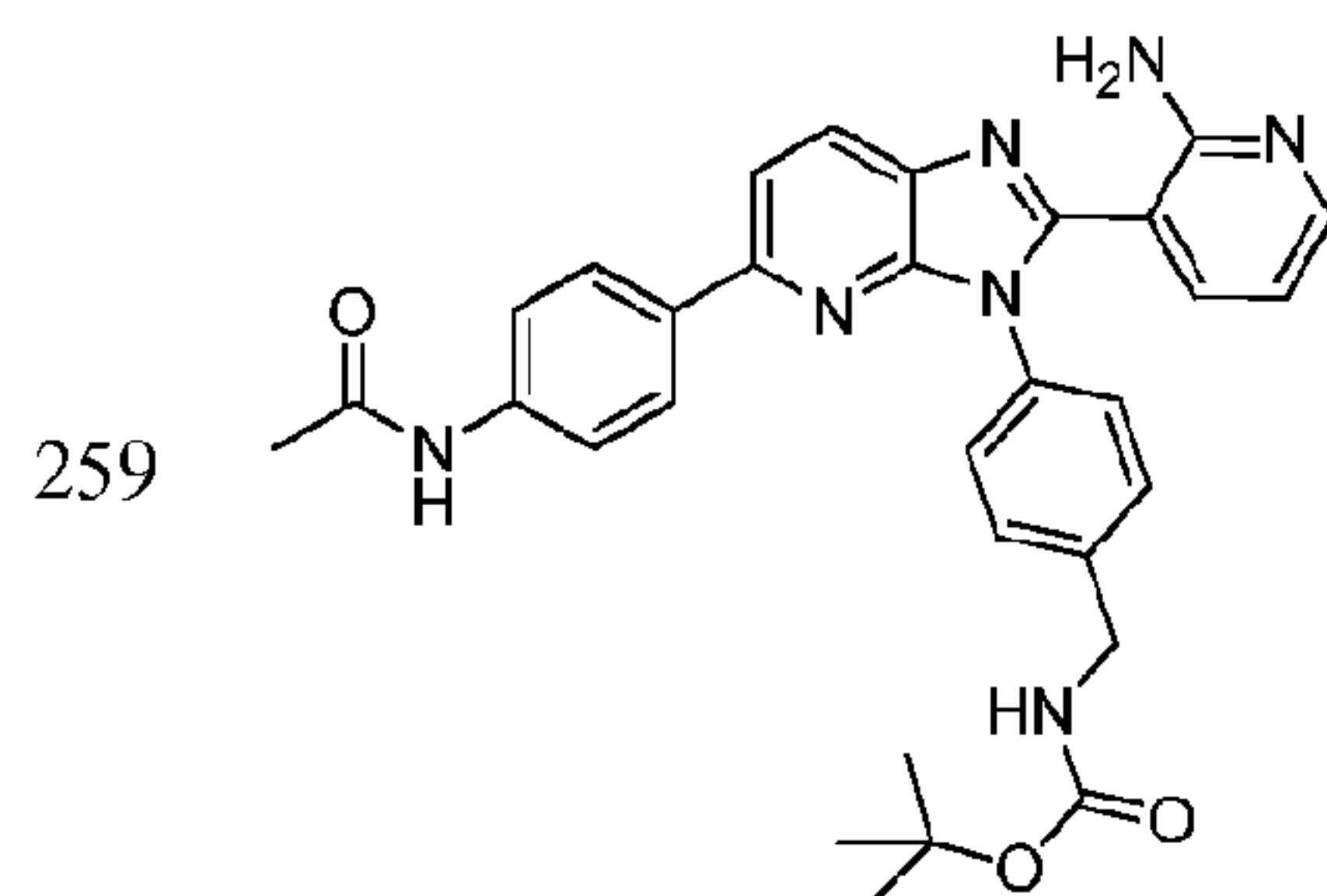
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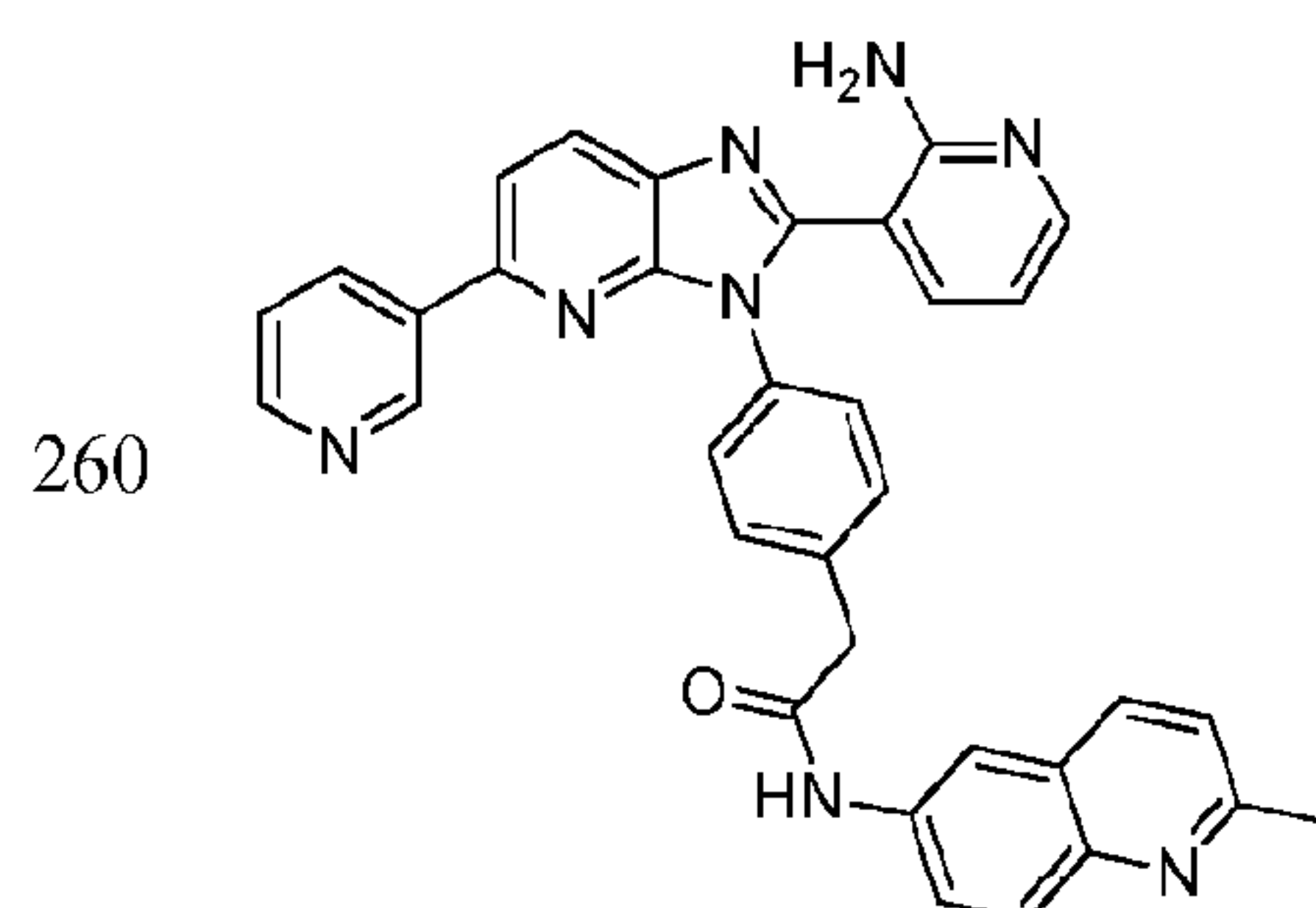
2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide



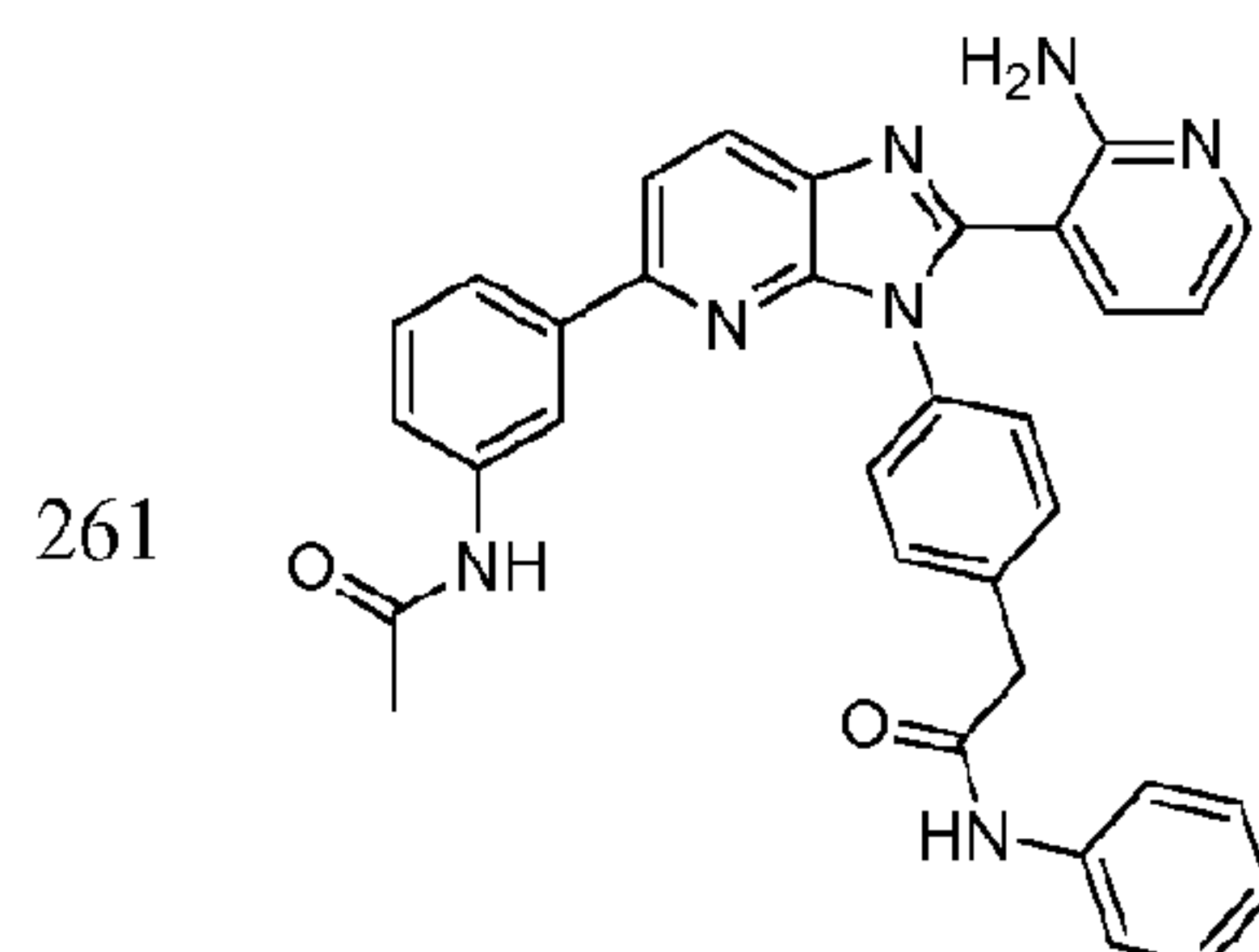
N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide



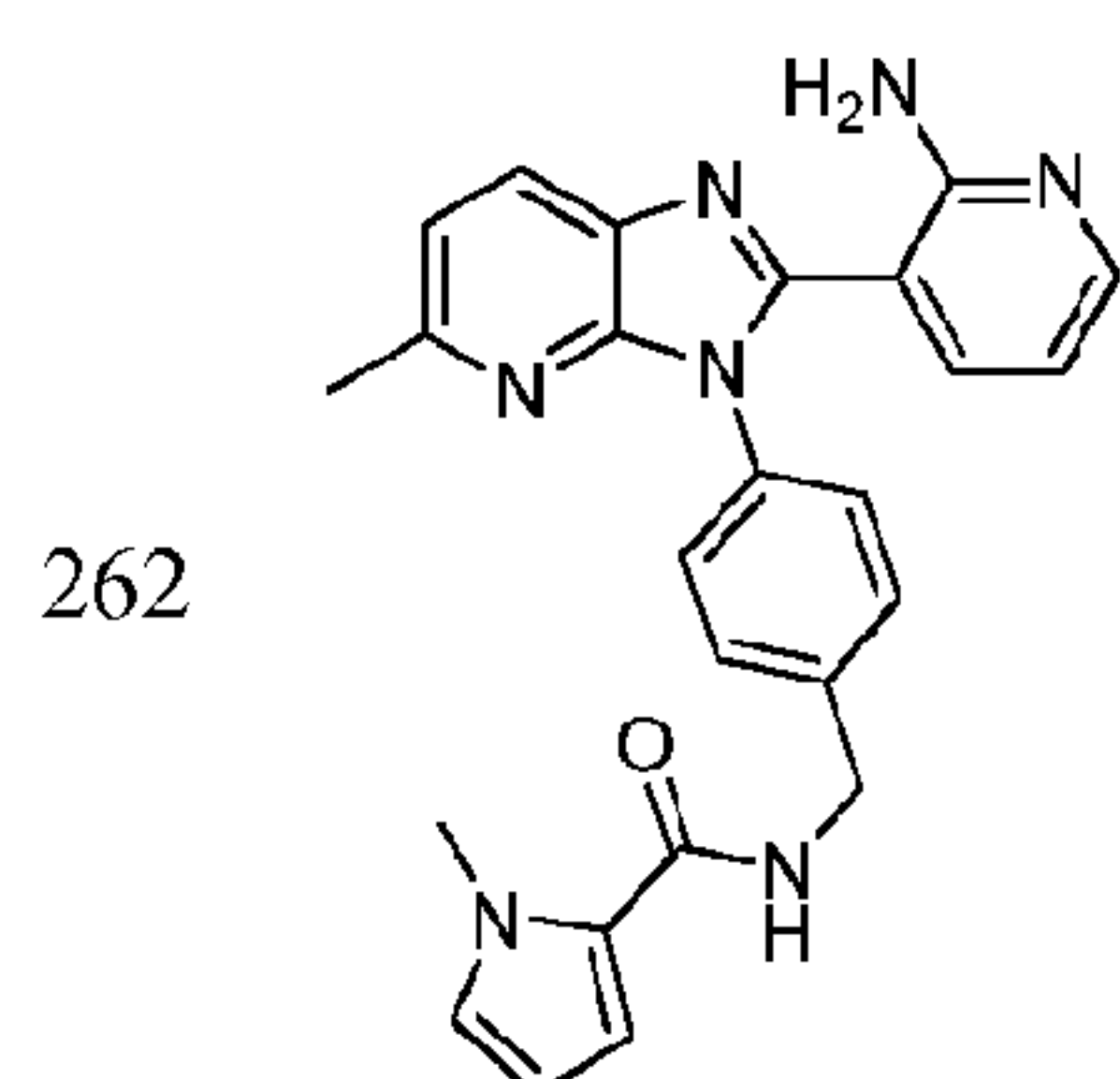
tert-butyl 4-(5-(4-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate



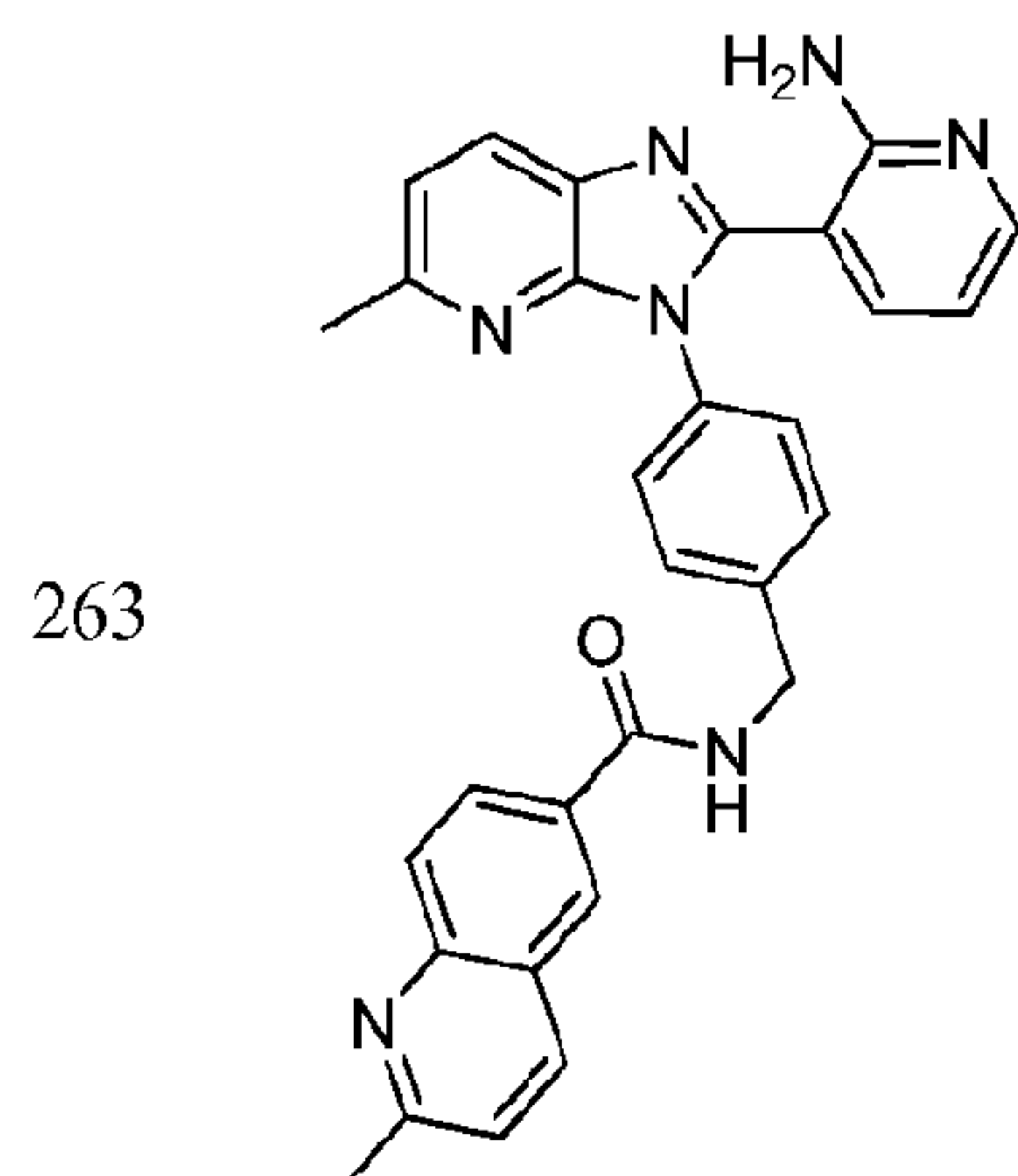
2-(4-(2-(2-aminopyridin-3-yl)-5-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide



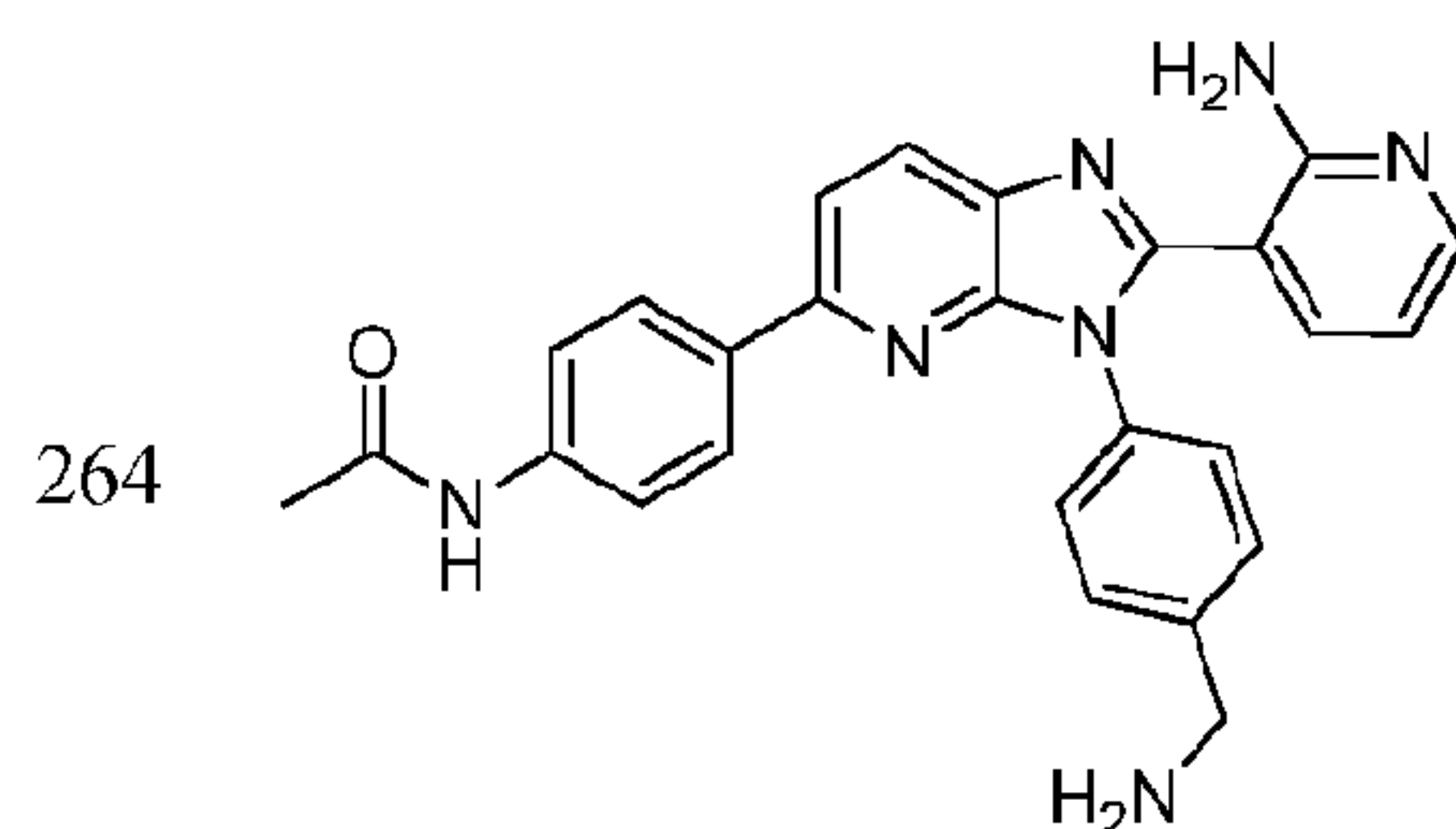
2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide



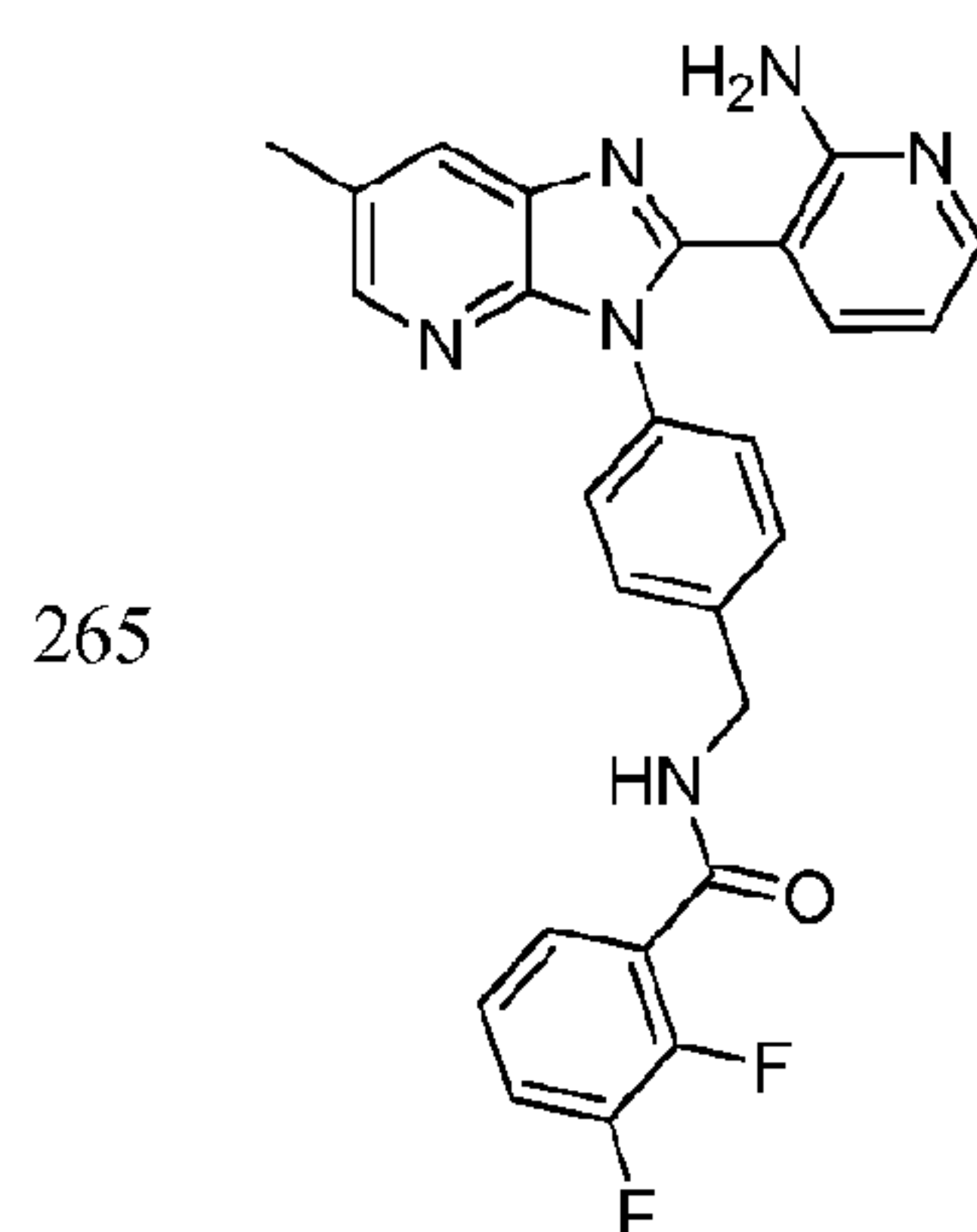
N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-1-methyl-1H-pyrrole-2-carboxamide



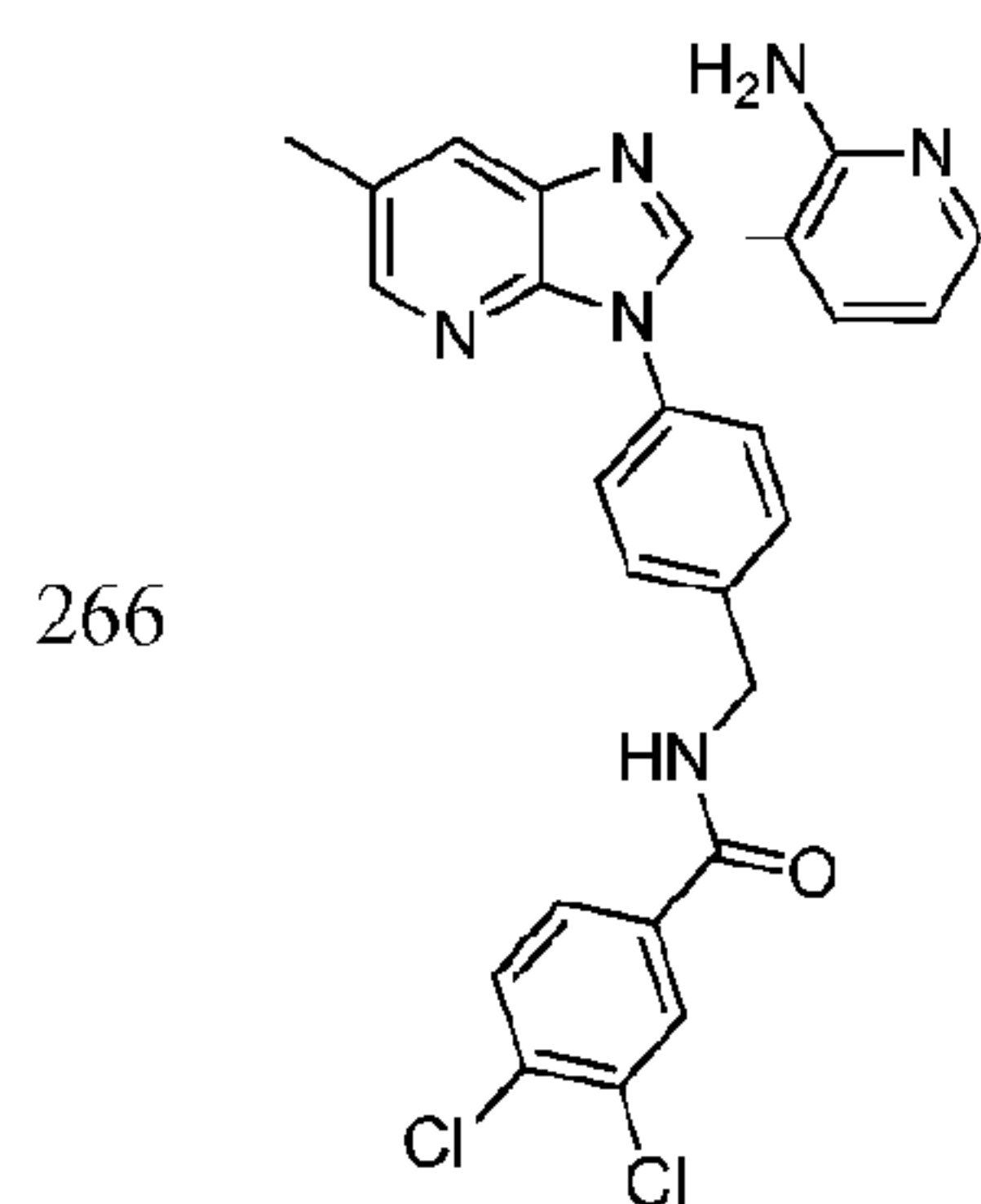
N-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-methylquinoline-6-carboxamide



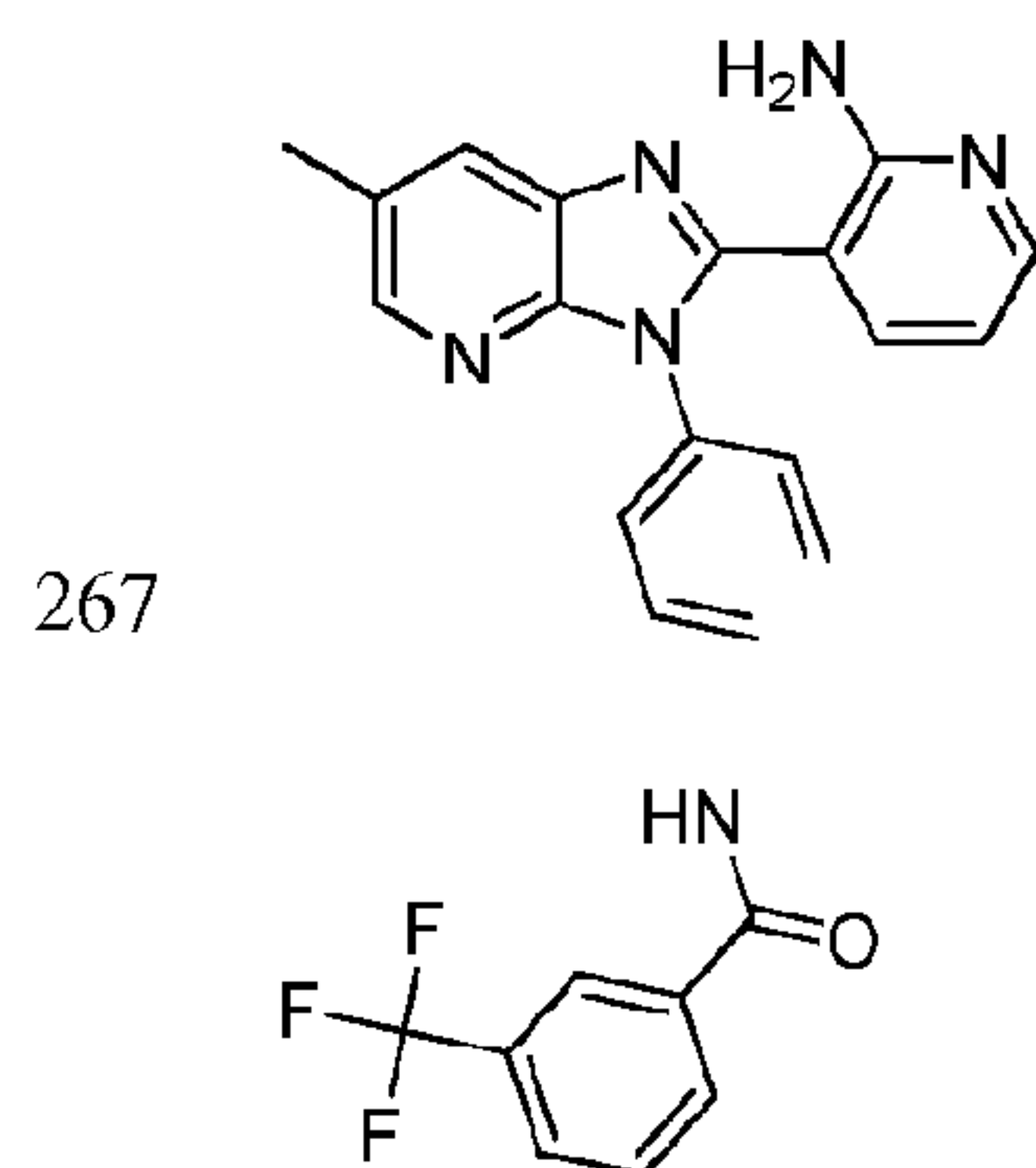
N-(4-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide



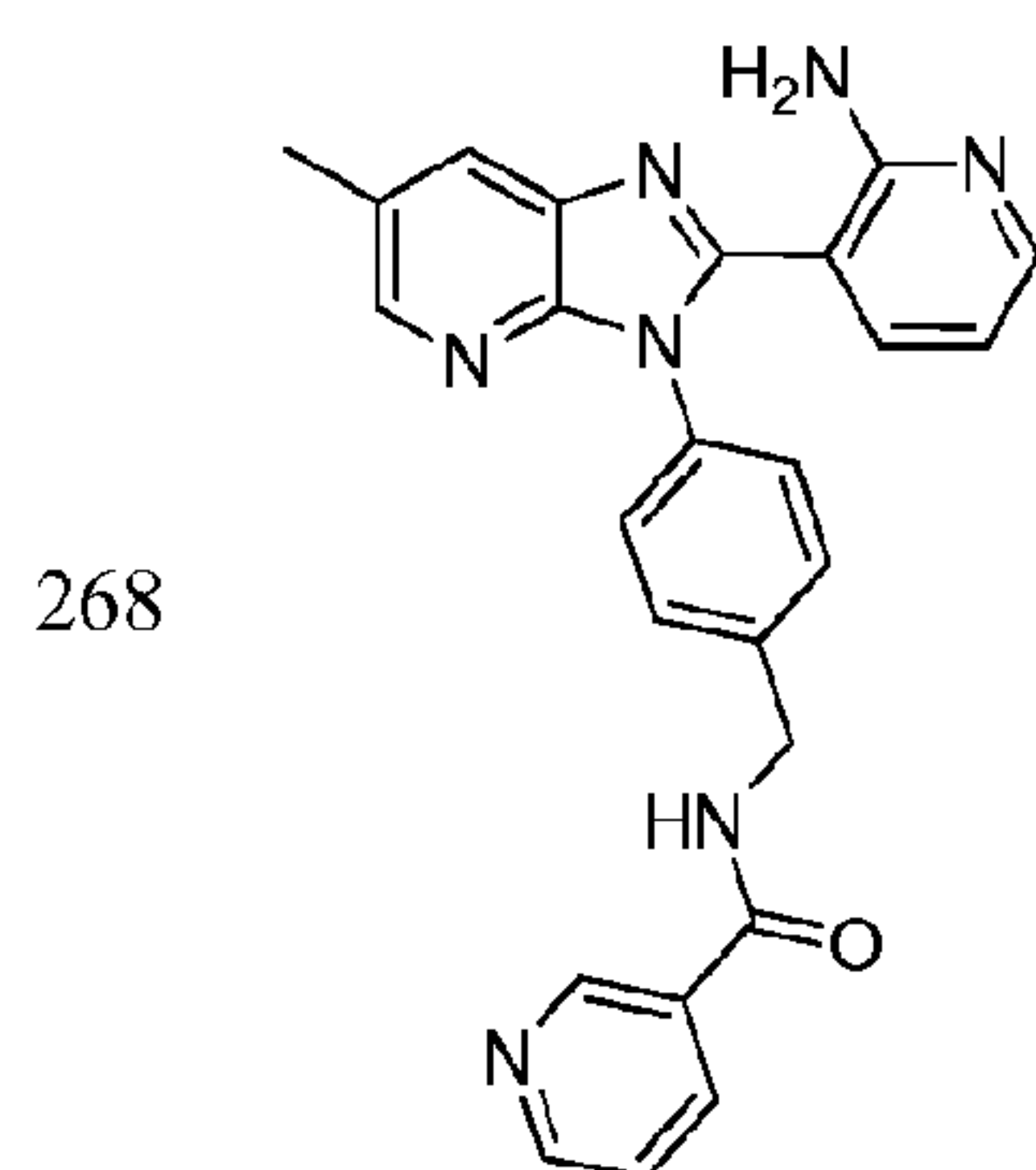
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,3-difluorobenzamide



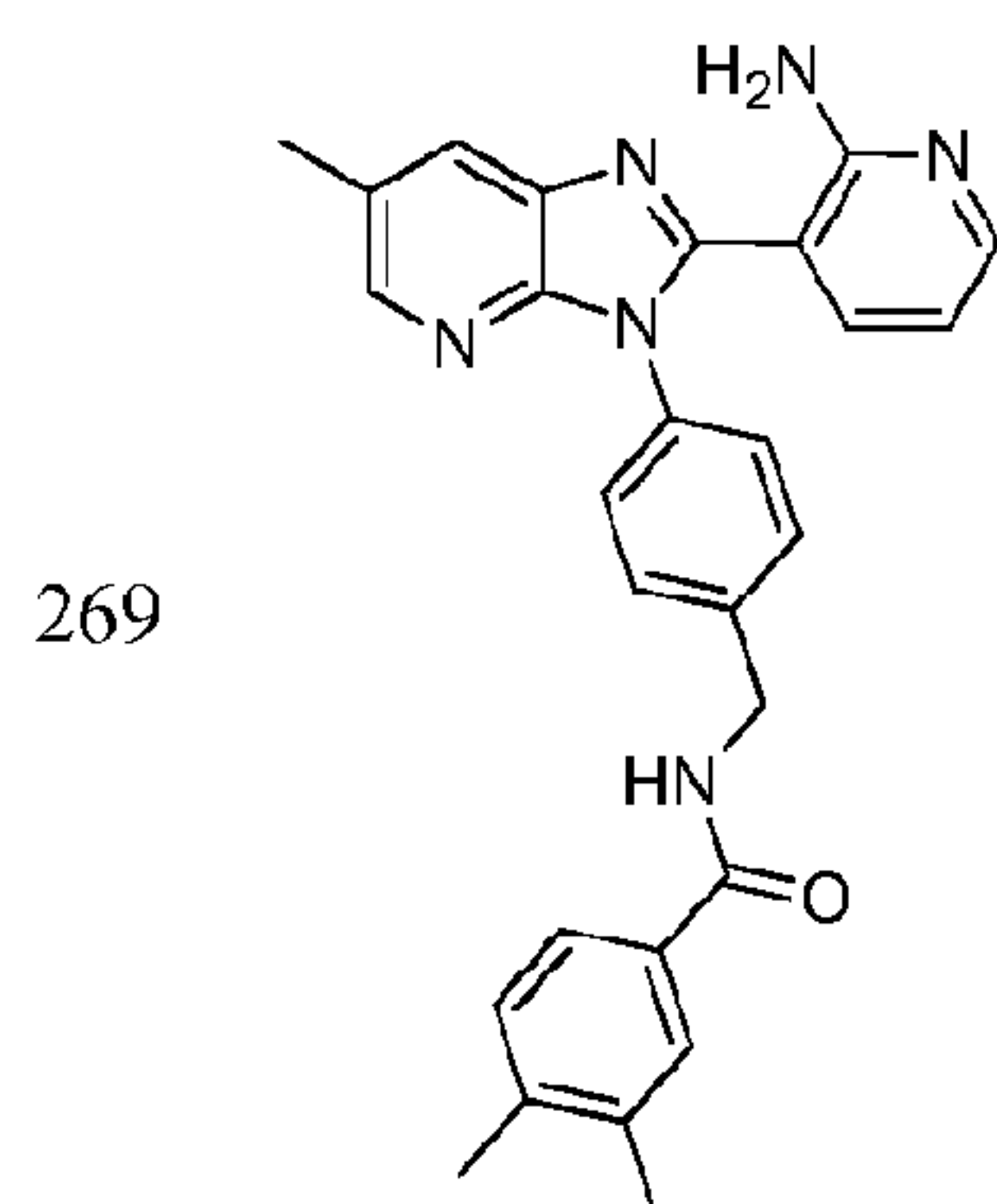
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,4-dichlorobenzamide



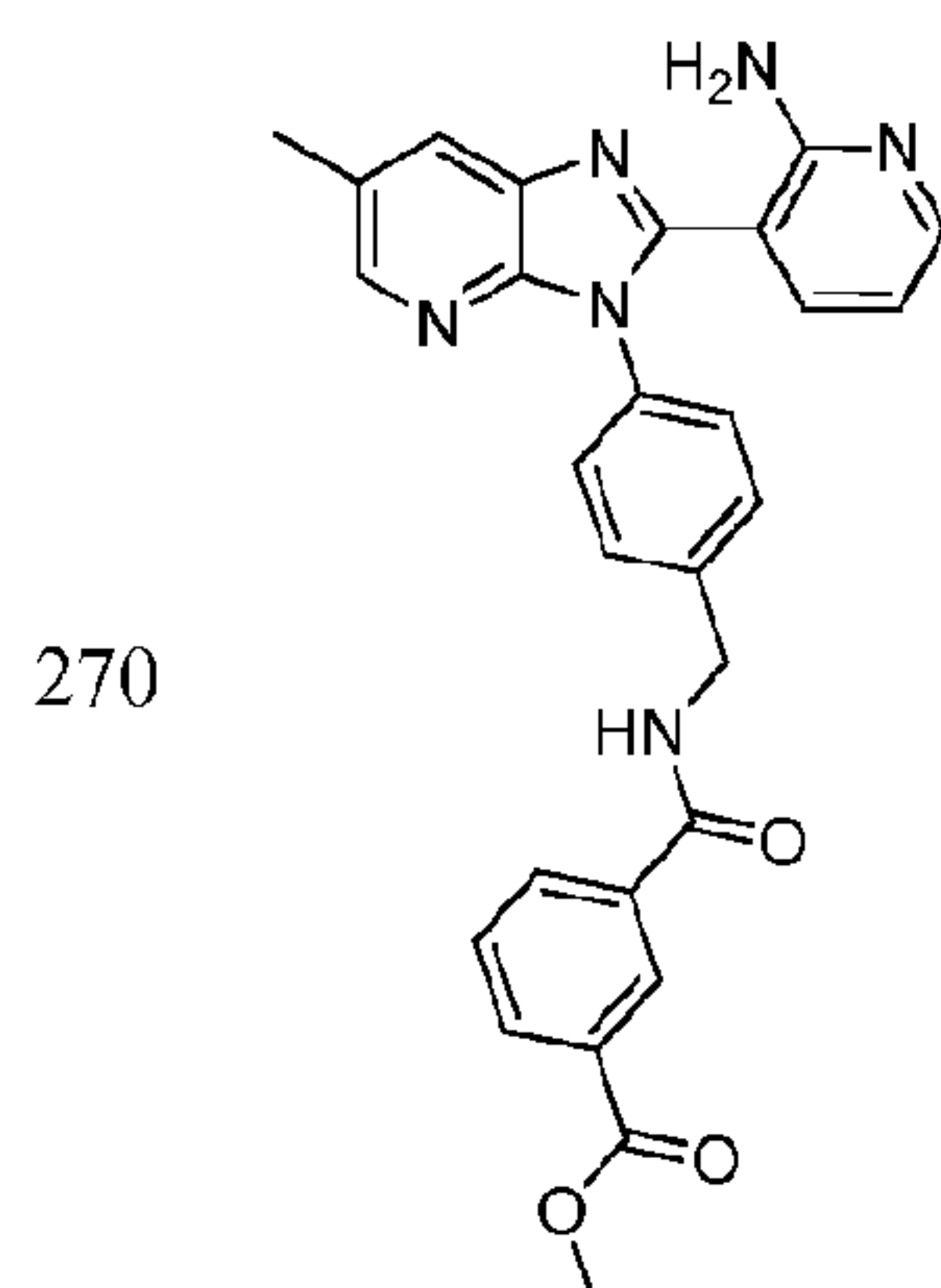
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-(trifluoromethyl)benzamide



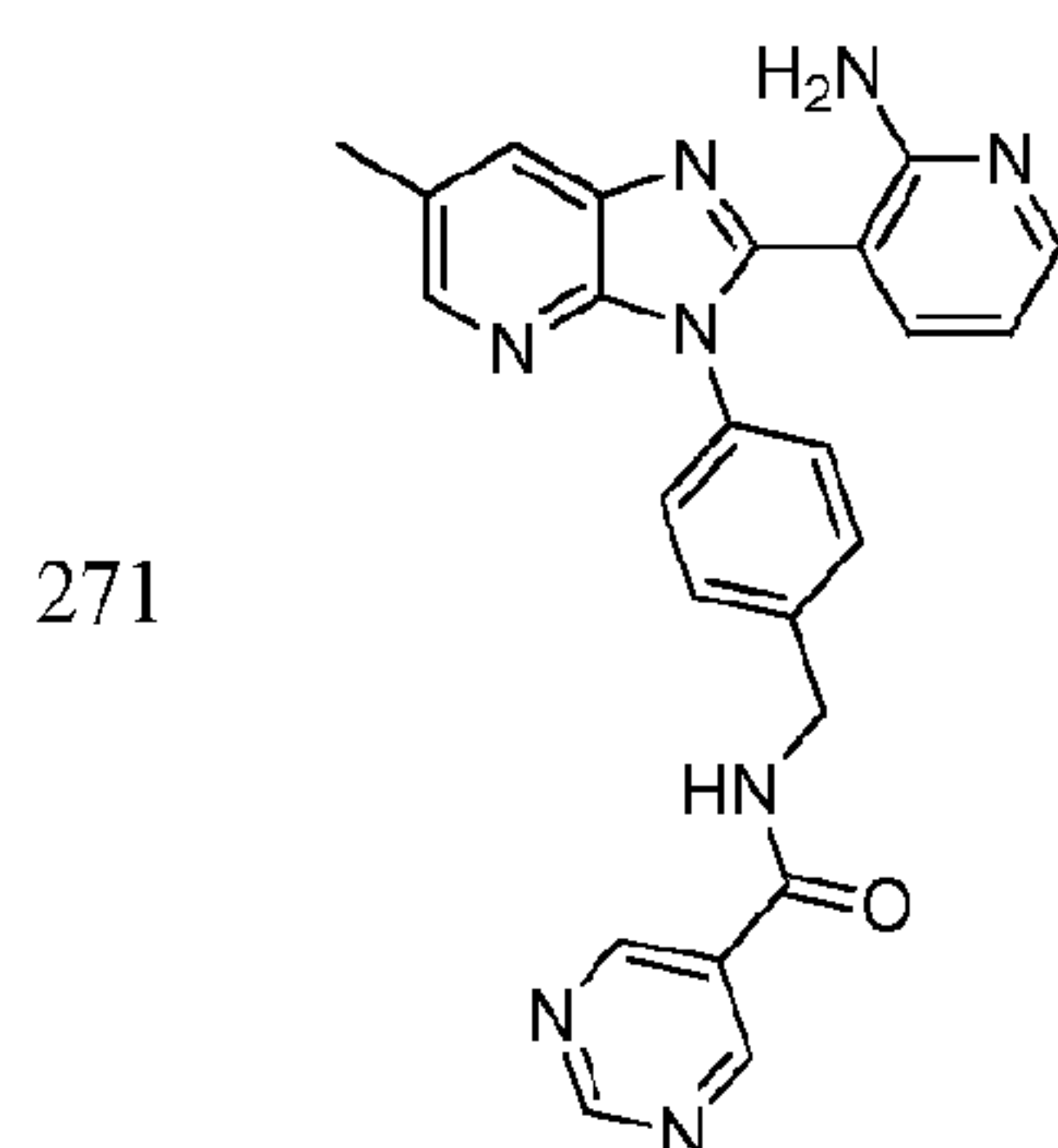
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)nicotinamide



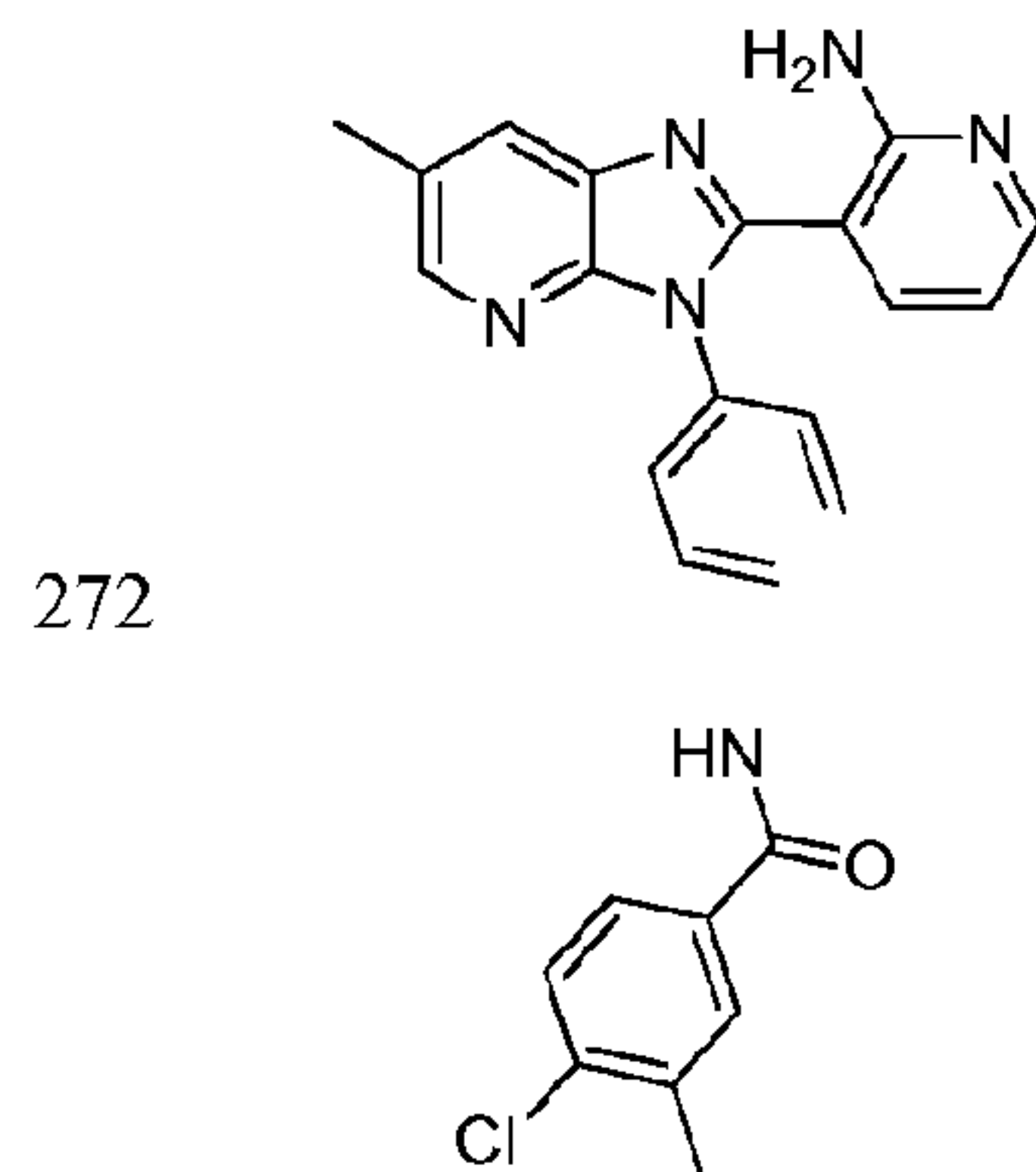
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3,4-dimethylbenzamide



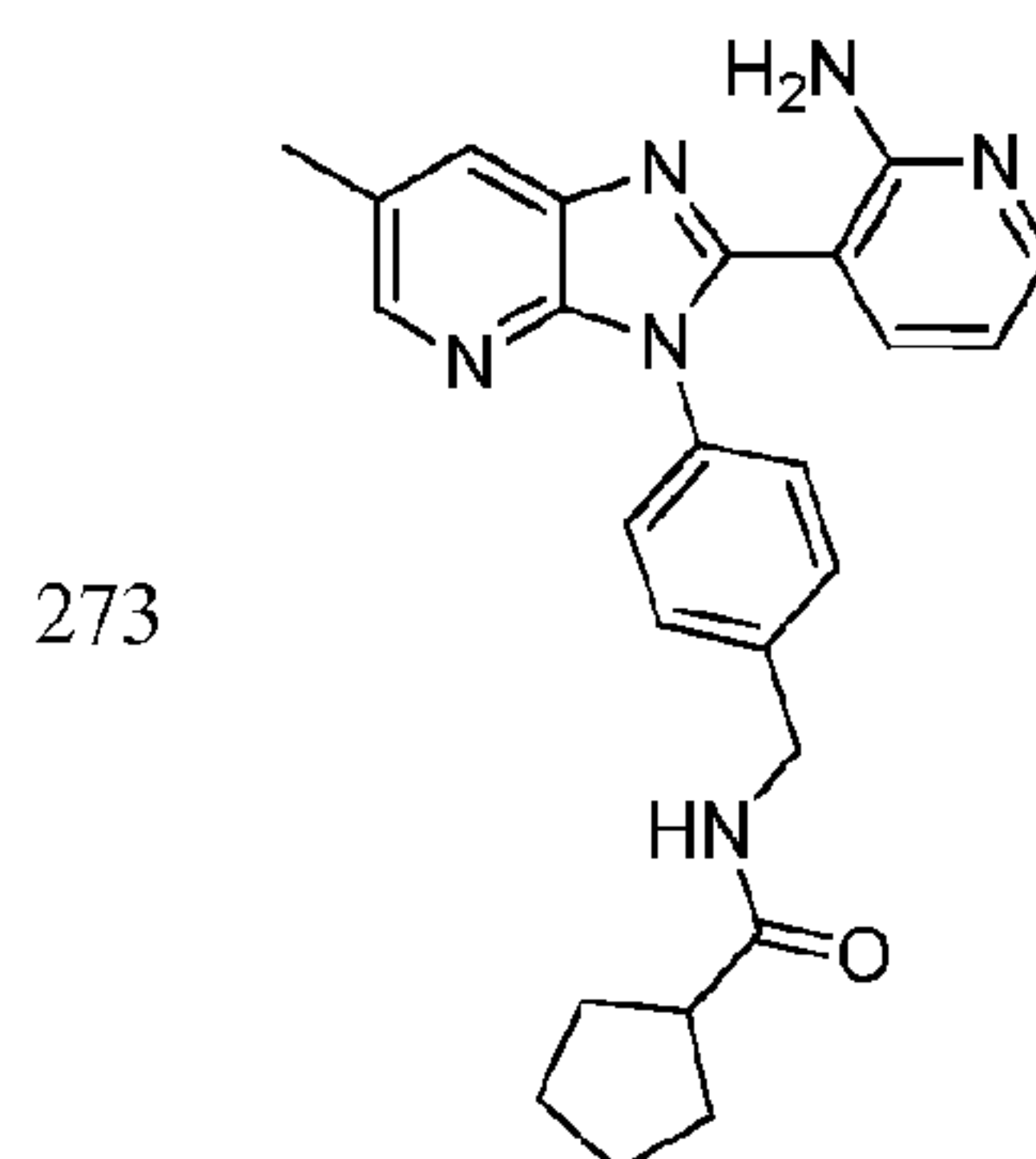
methyl 3-((4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)carbamoyl)benzoate



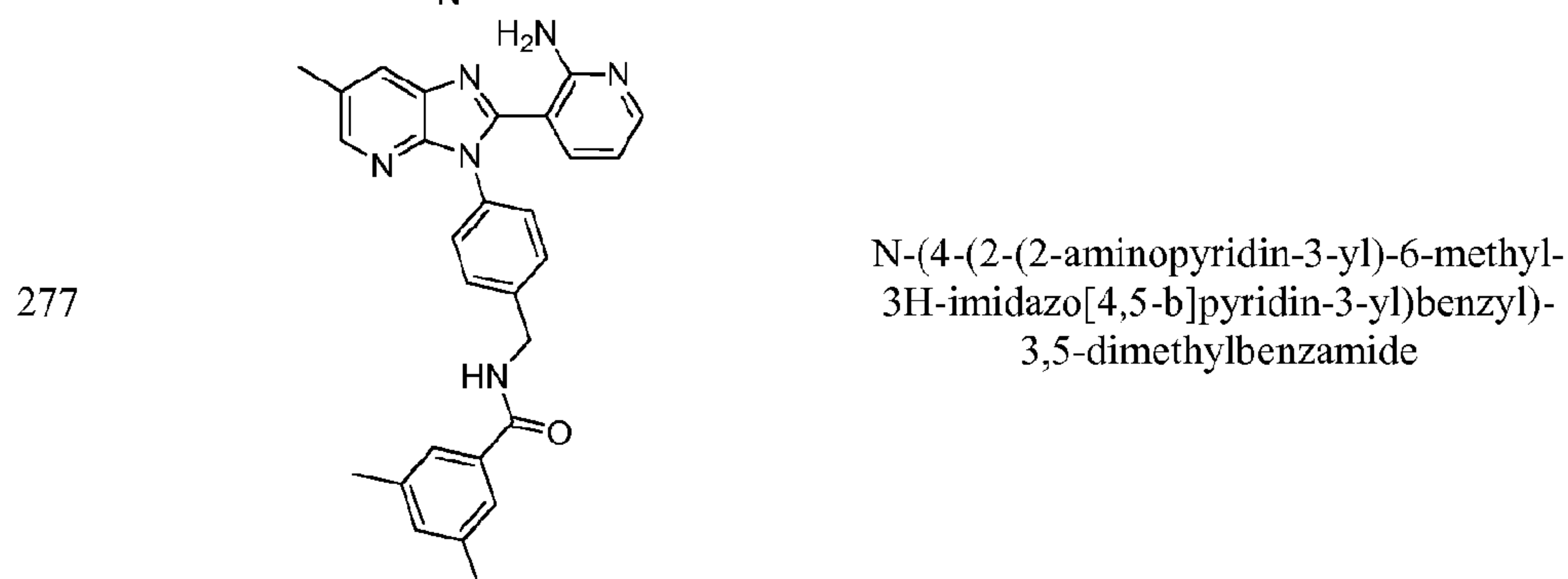
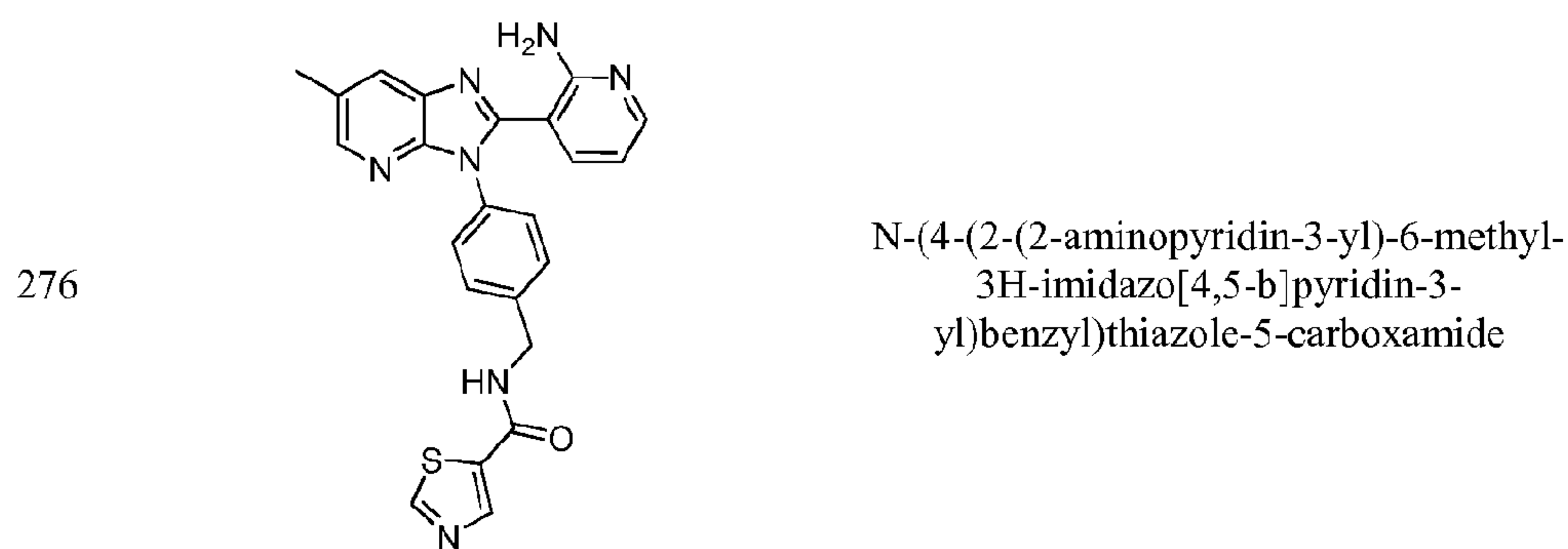
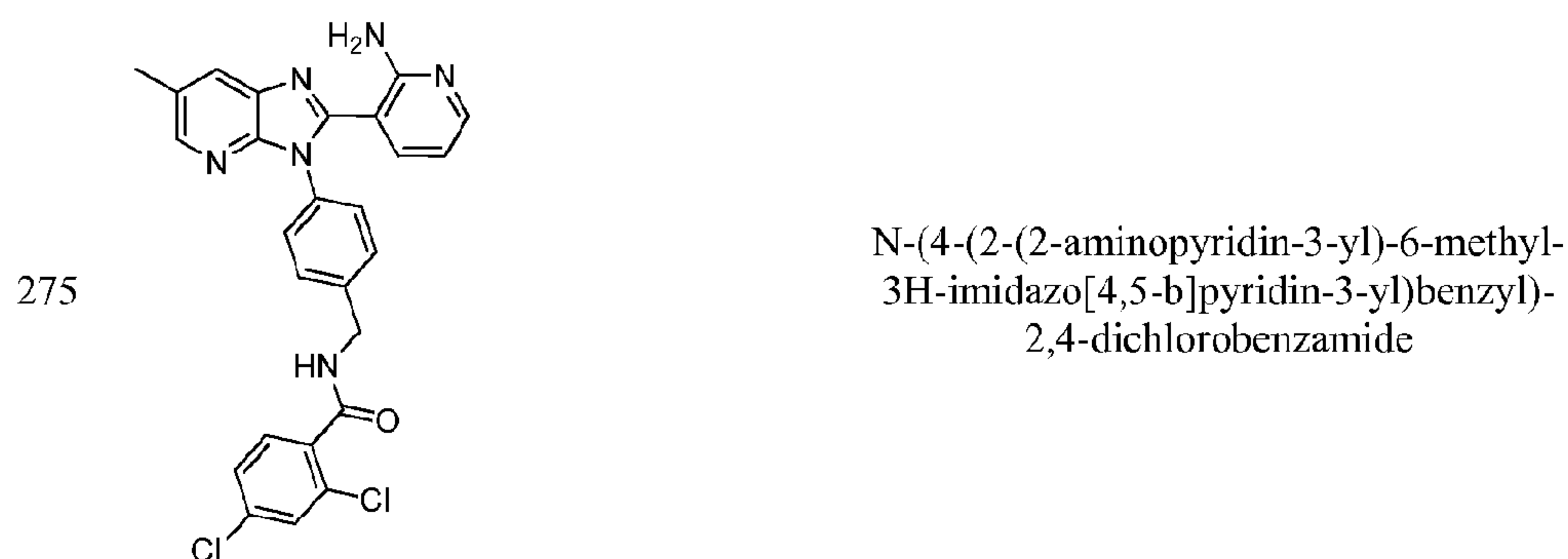
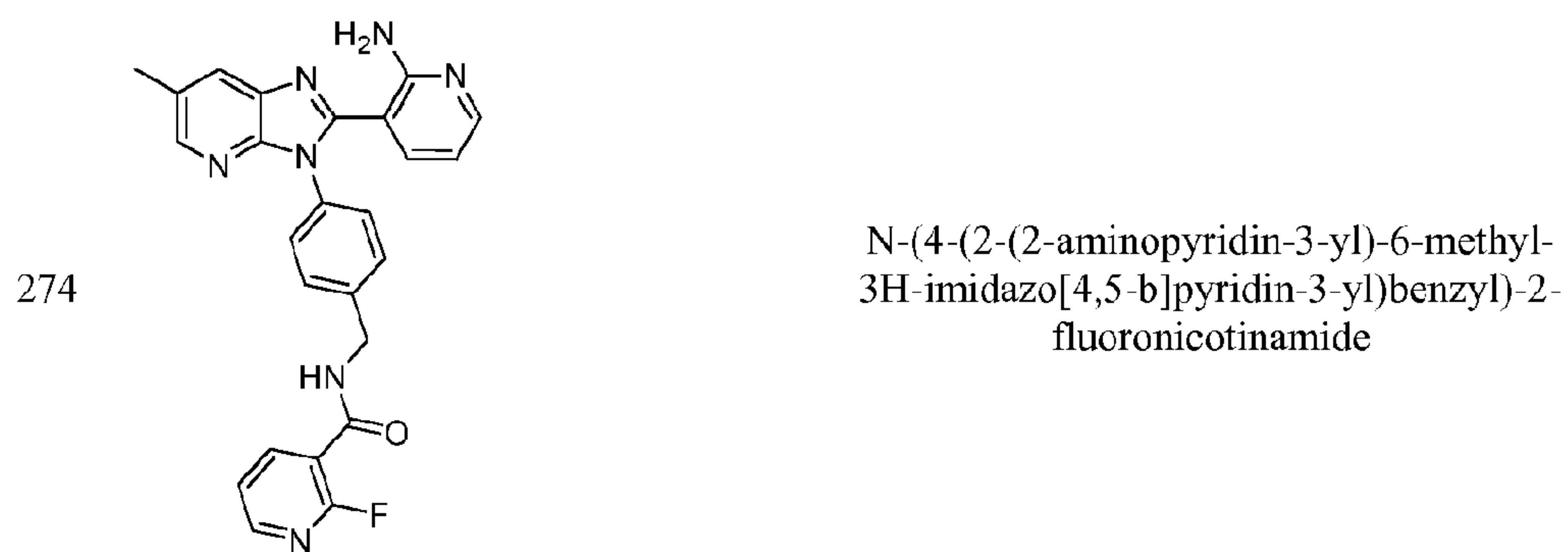
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)pyrimidine-5-carboxamide

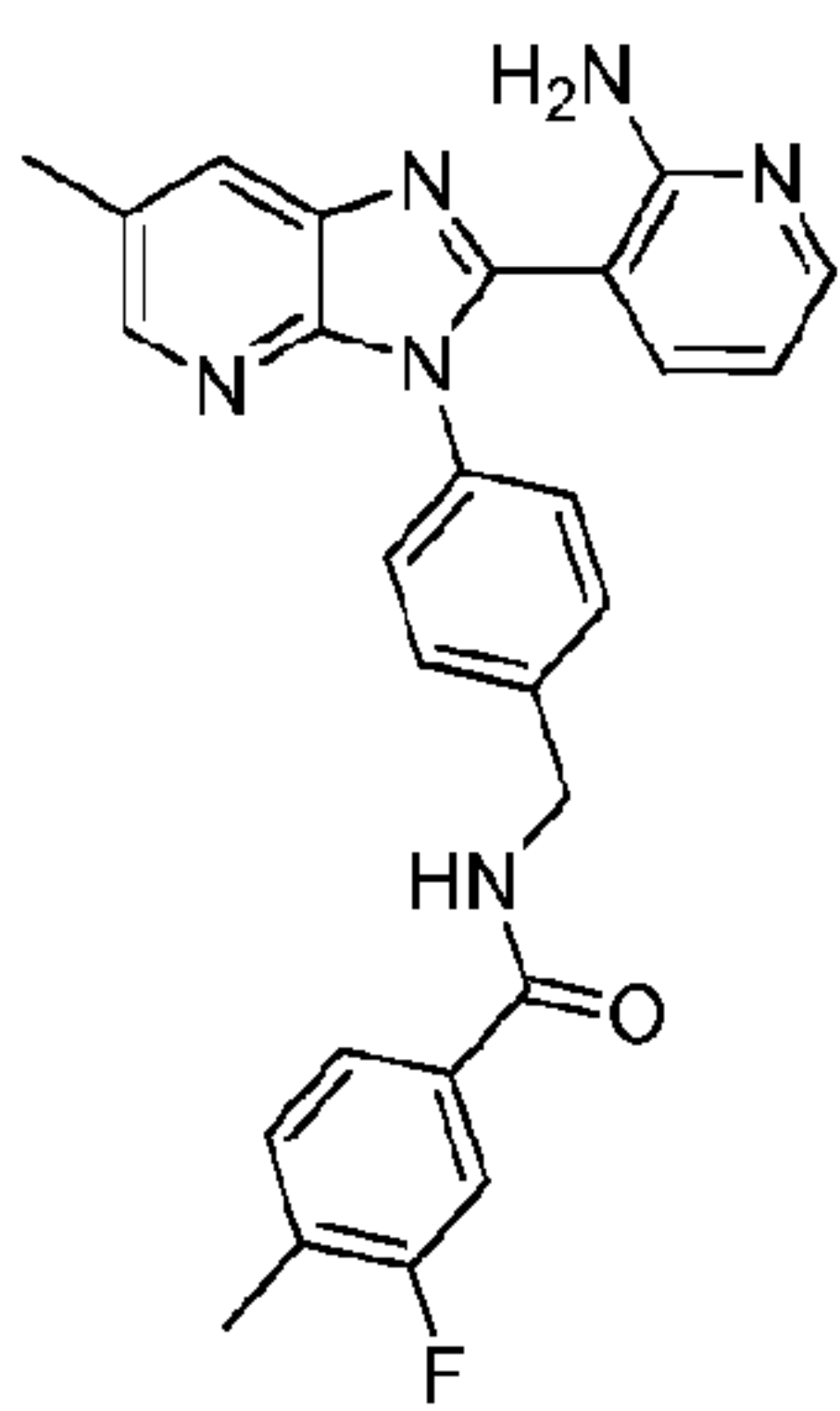
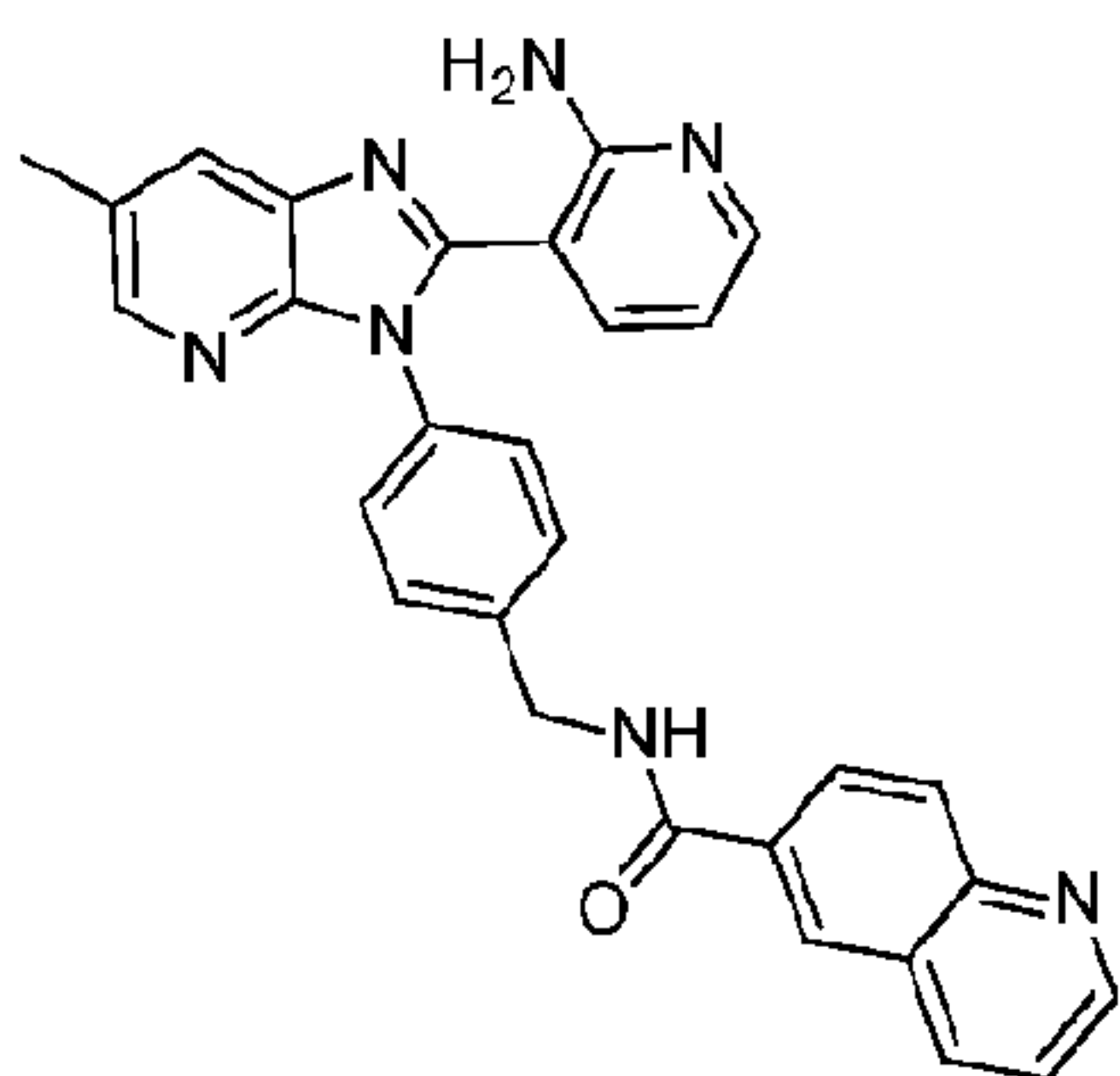
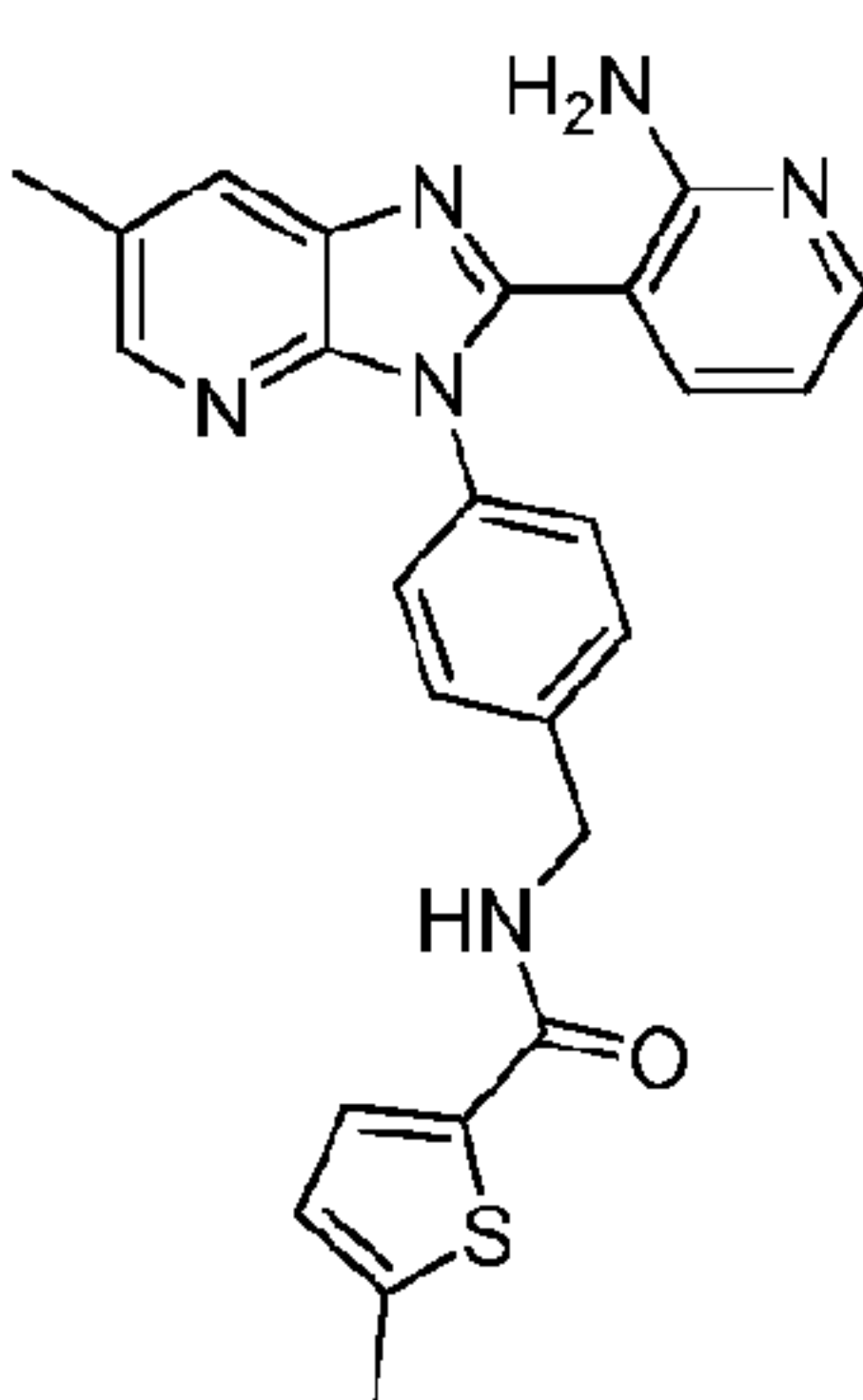
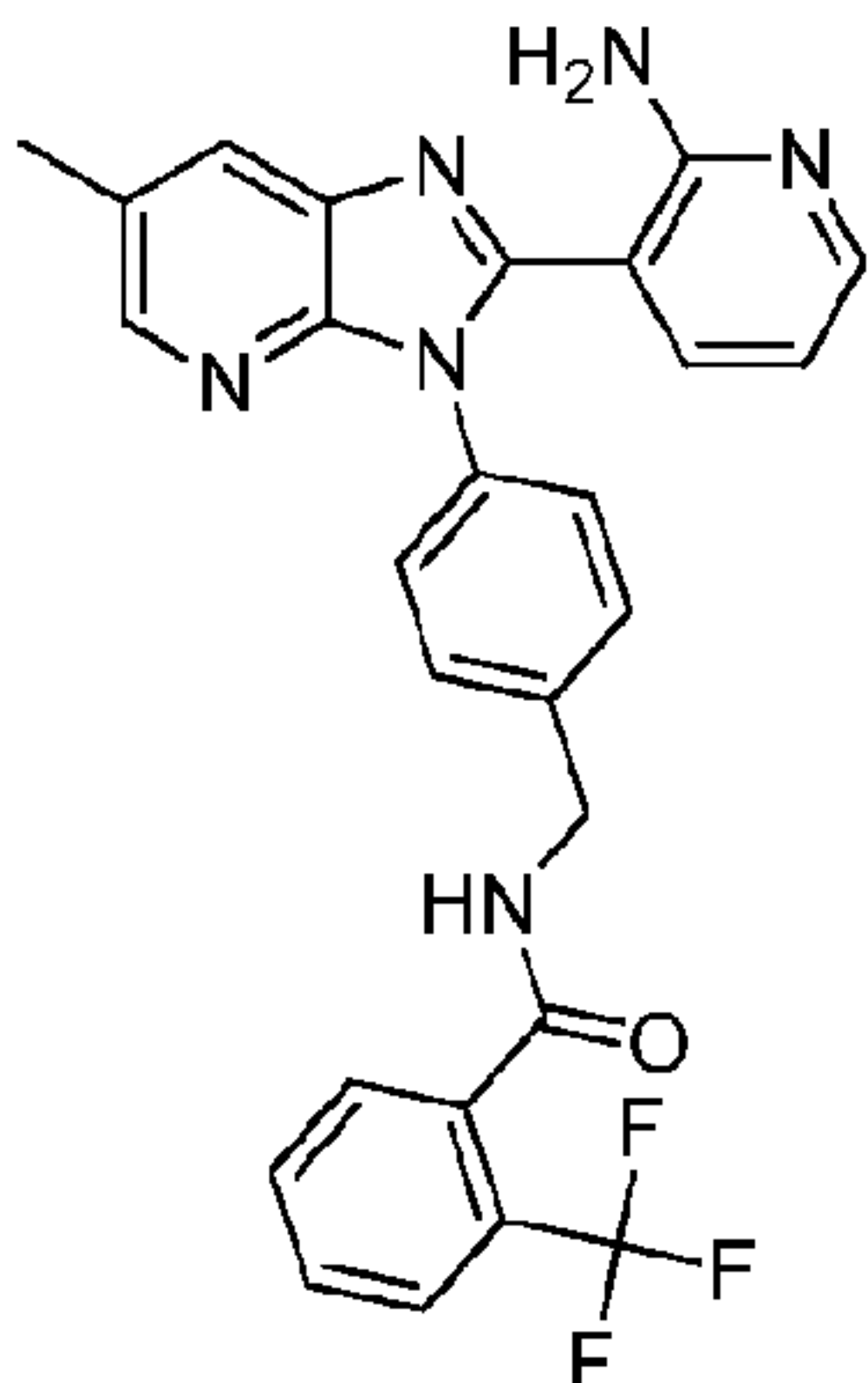


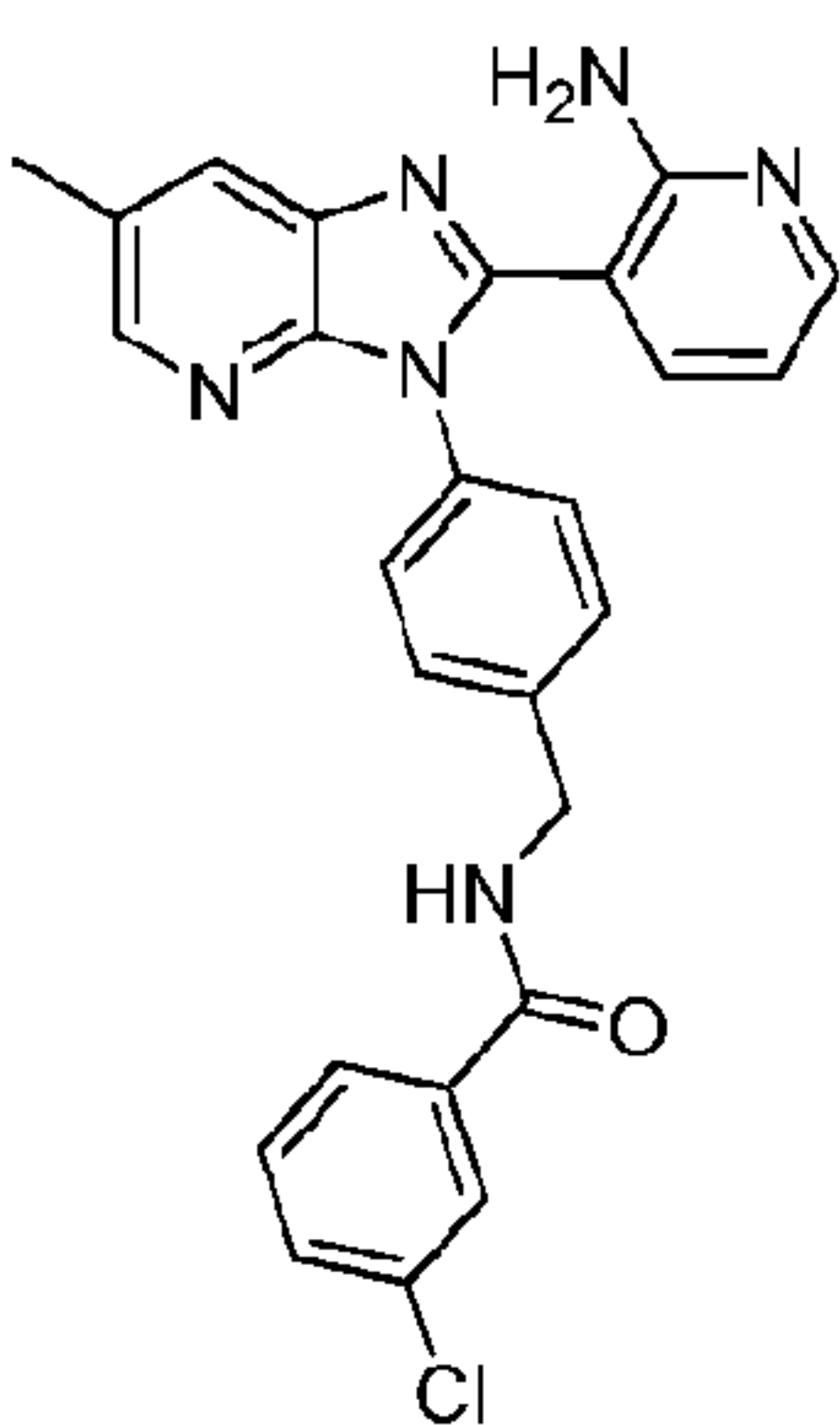
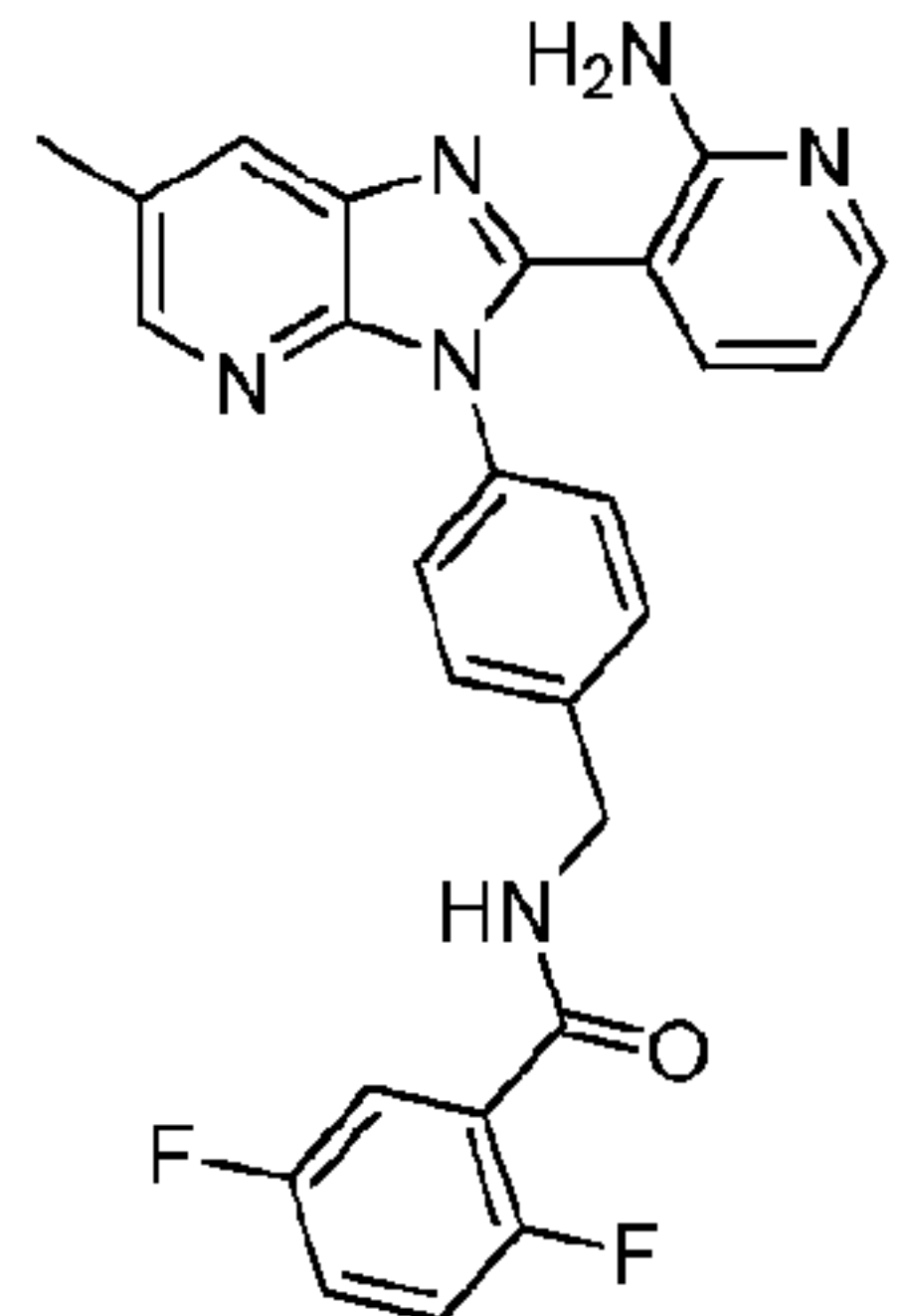
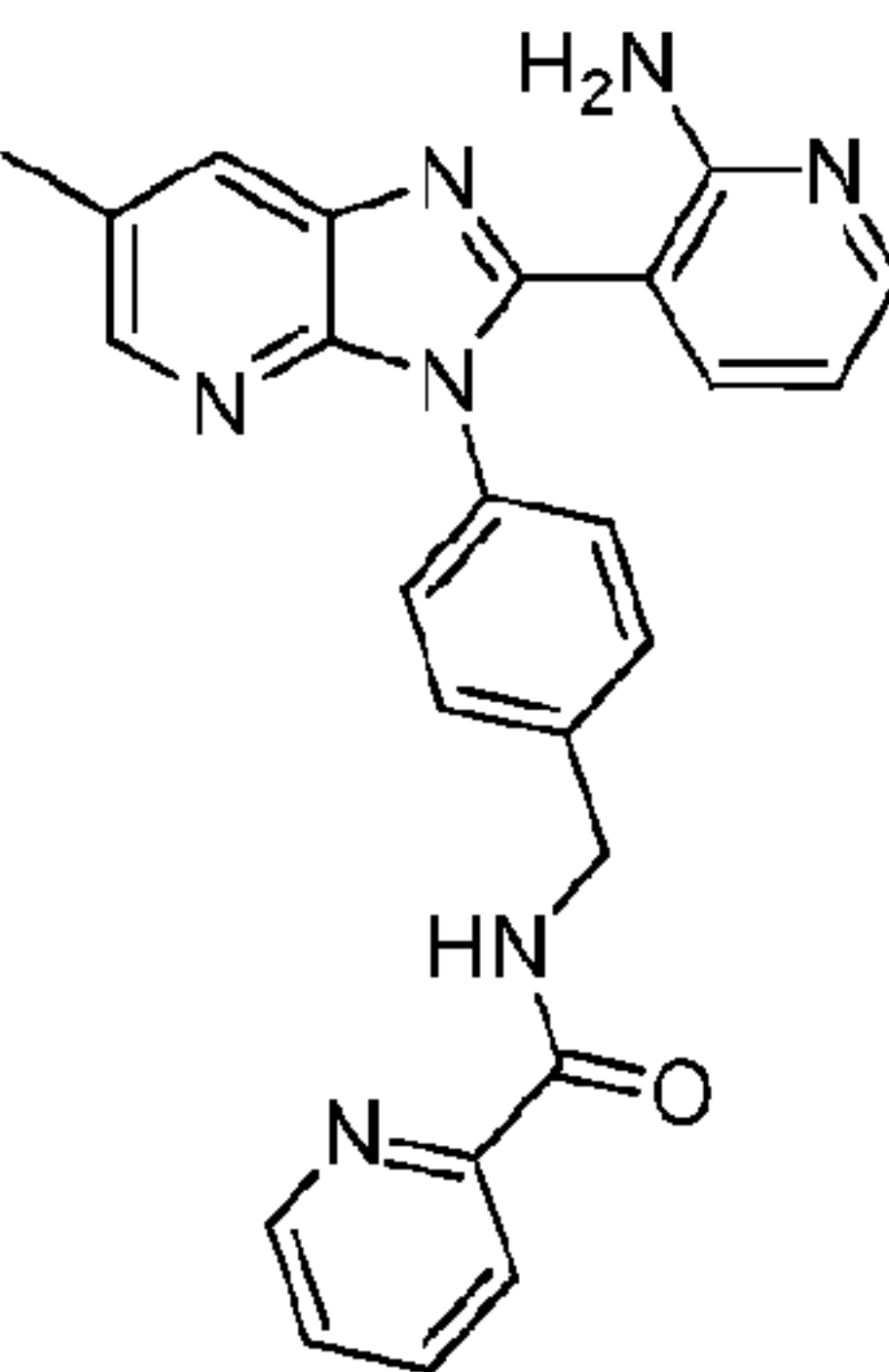
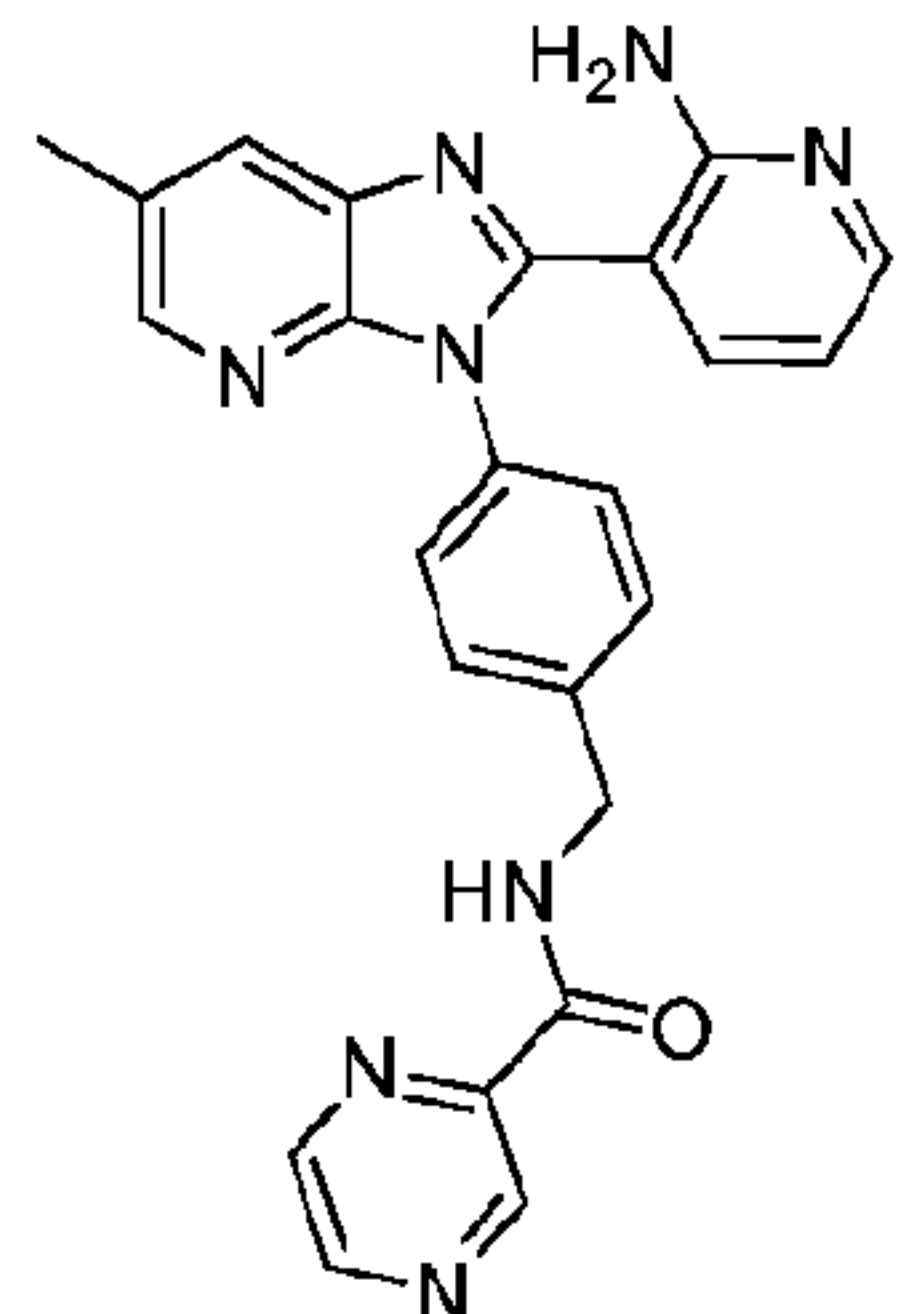
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-4-chloro-3-methylbenzamide



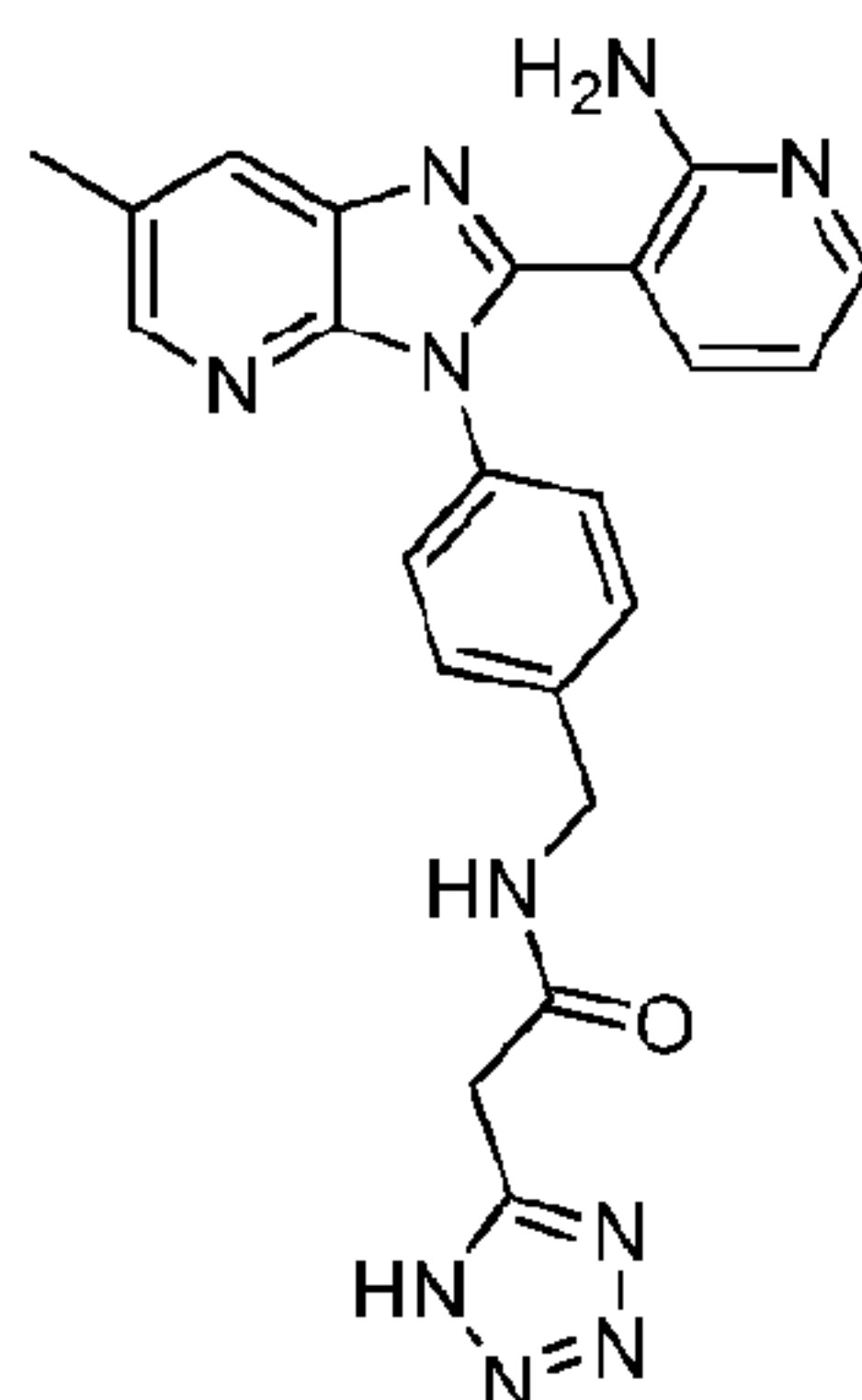
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)cyclopentanecarboxamide



- 278 
- 279 
- 280 
- 281 

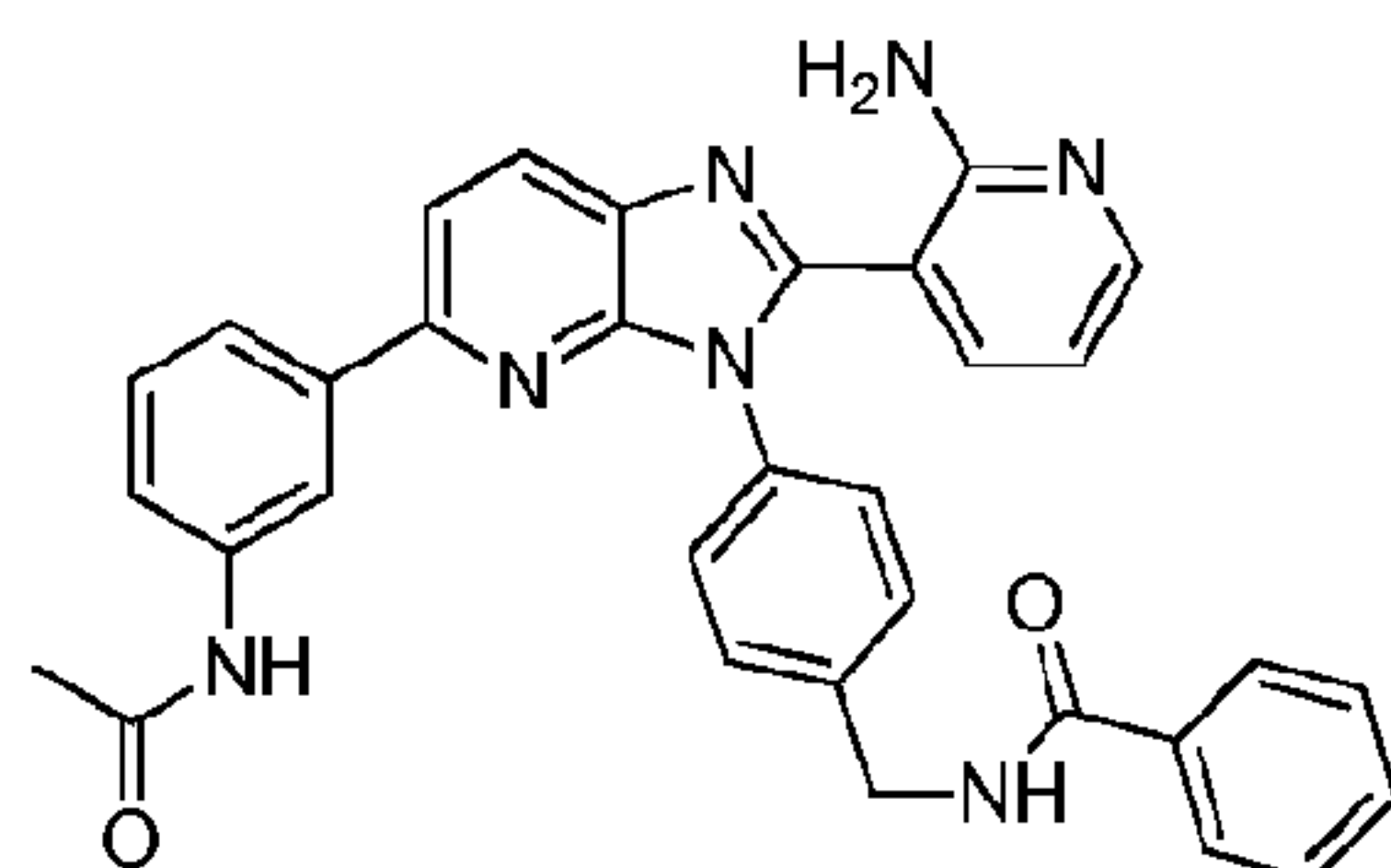
- 282 
- N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-chlorobenzamide
- 283 
- N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2,5-difluorobenzamide
- 284 
- N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)picolinamide
- 285 
- N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)pyrazine-2-carboxamide

286



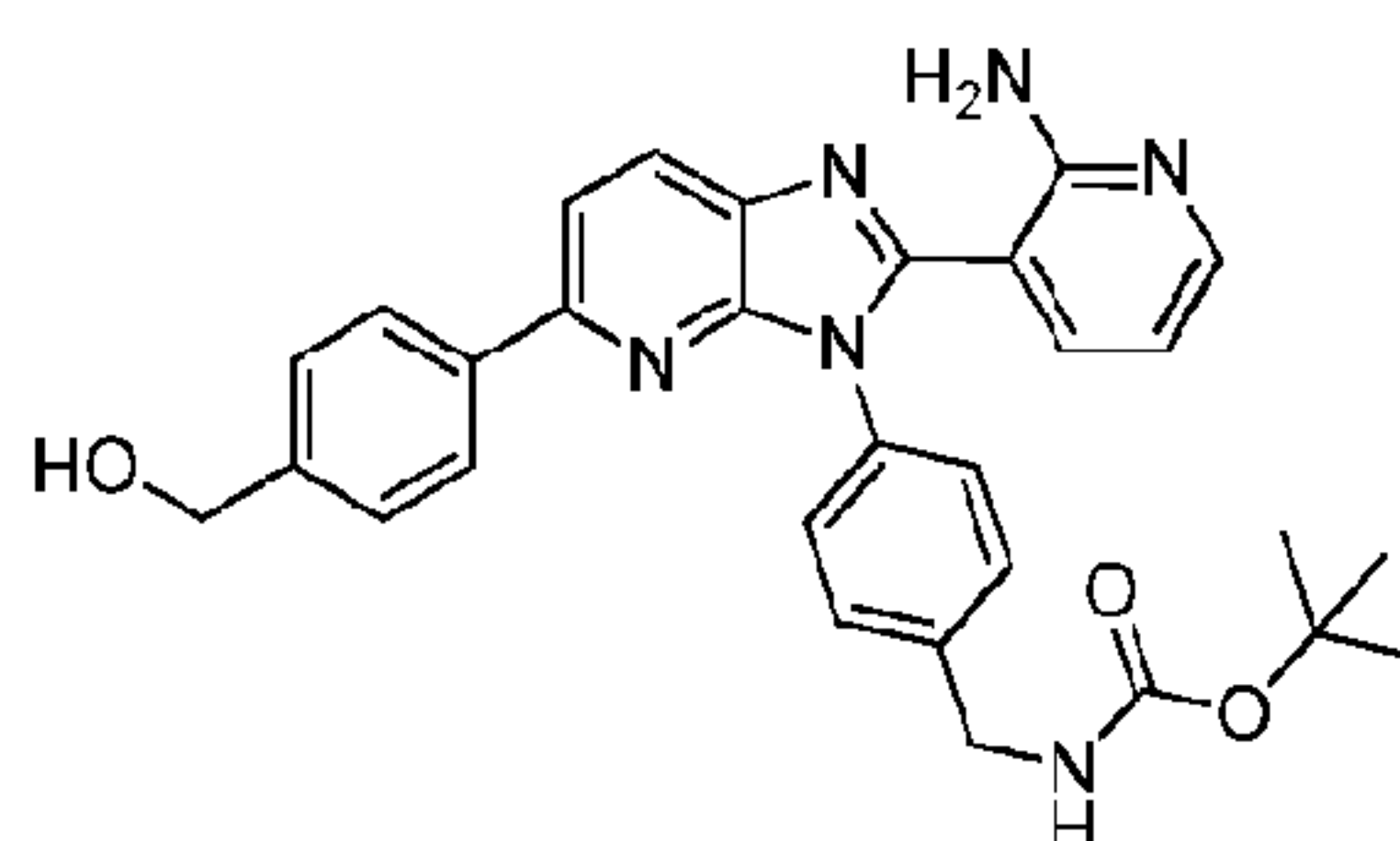
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-2-(1H-tetrazol-5-yl)acetamide

287



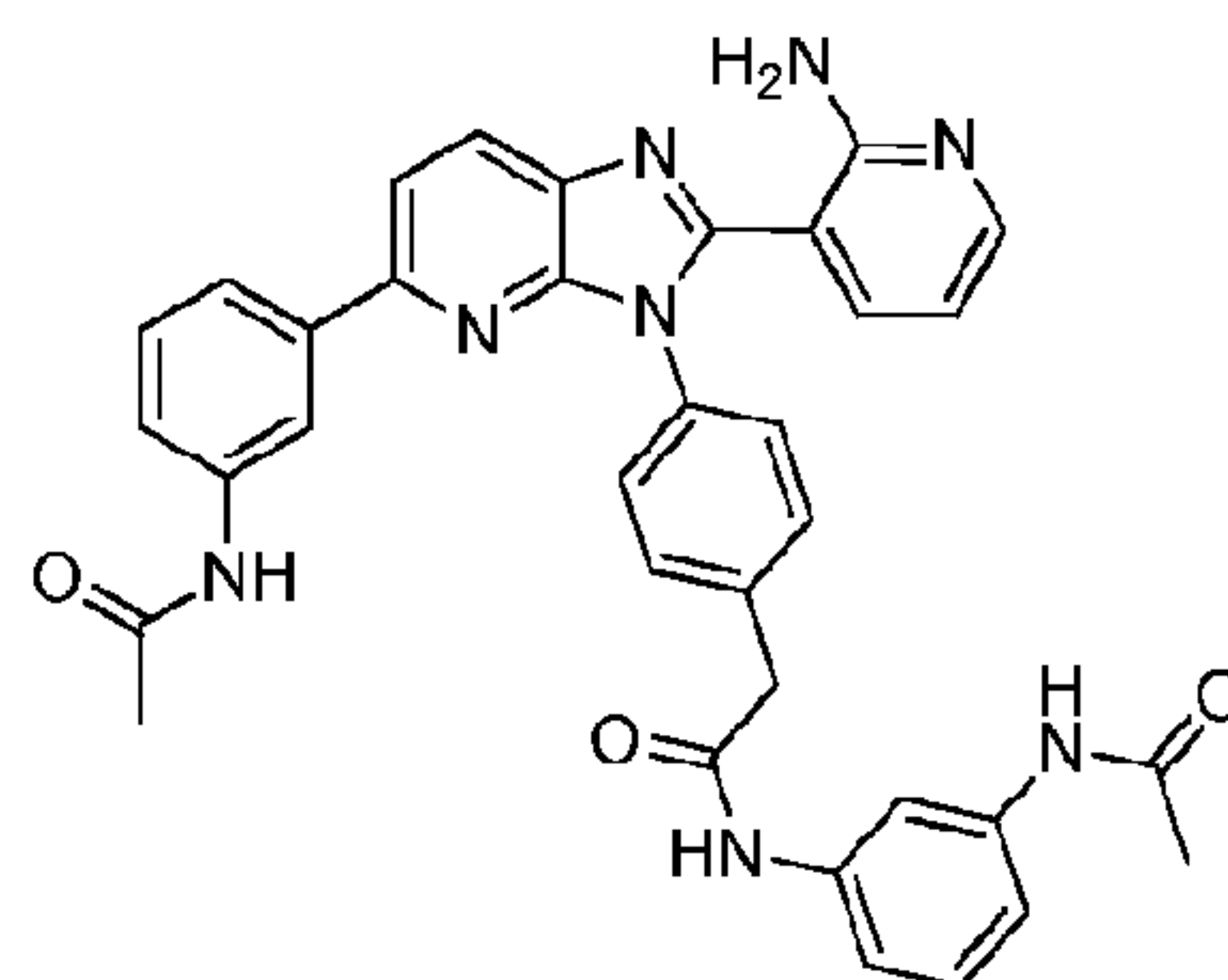
N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide

288



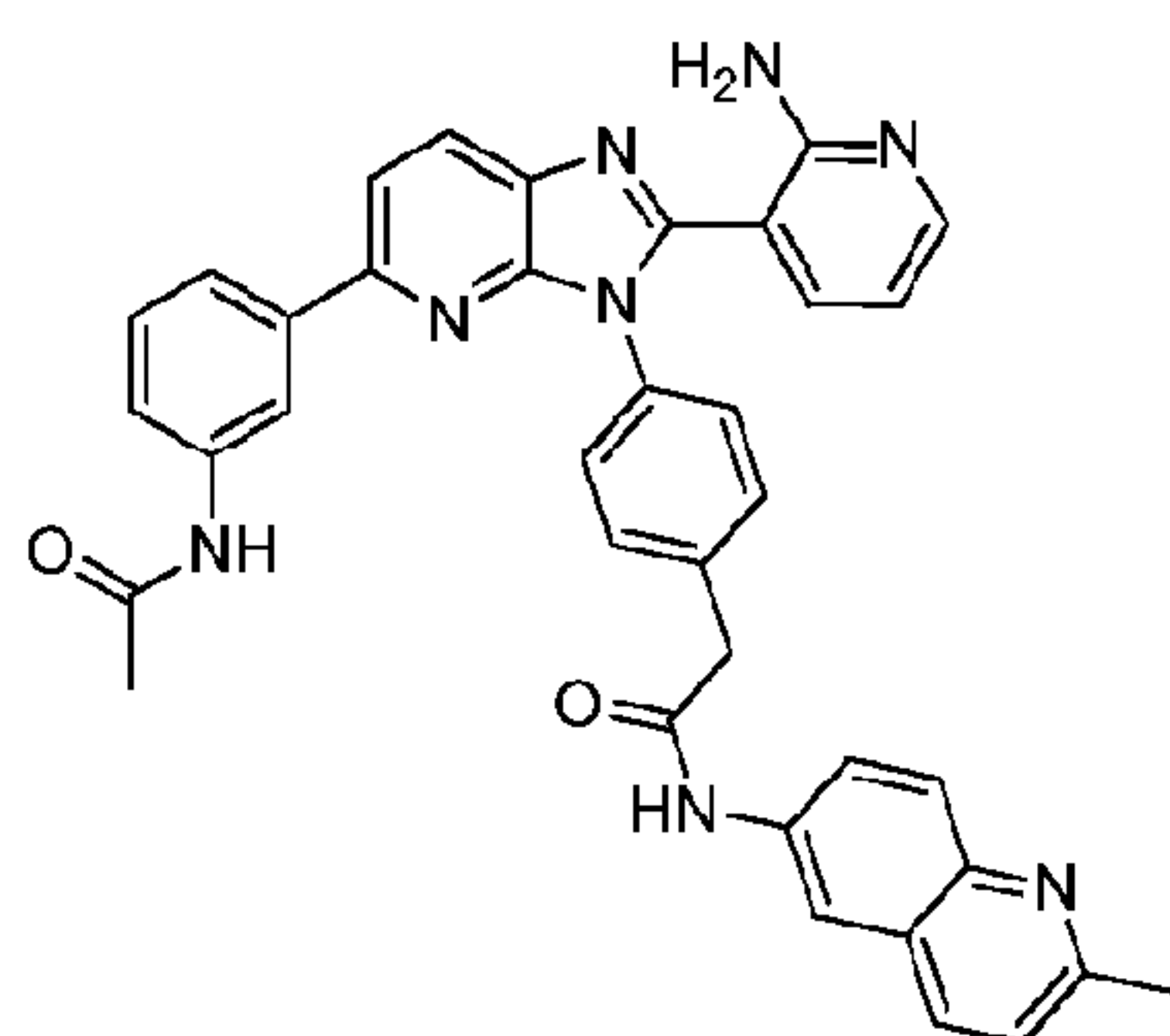
tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(4-(hydroxymethyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate

289

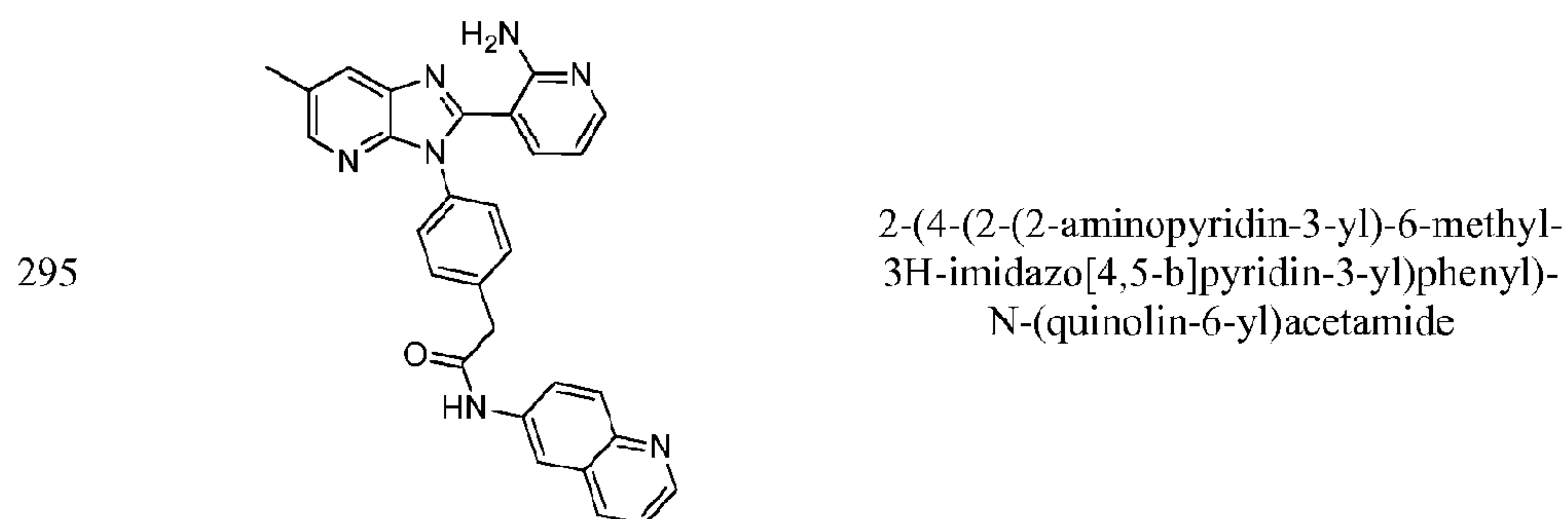
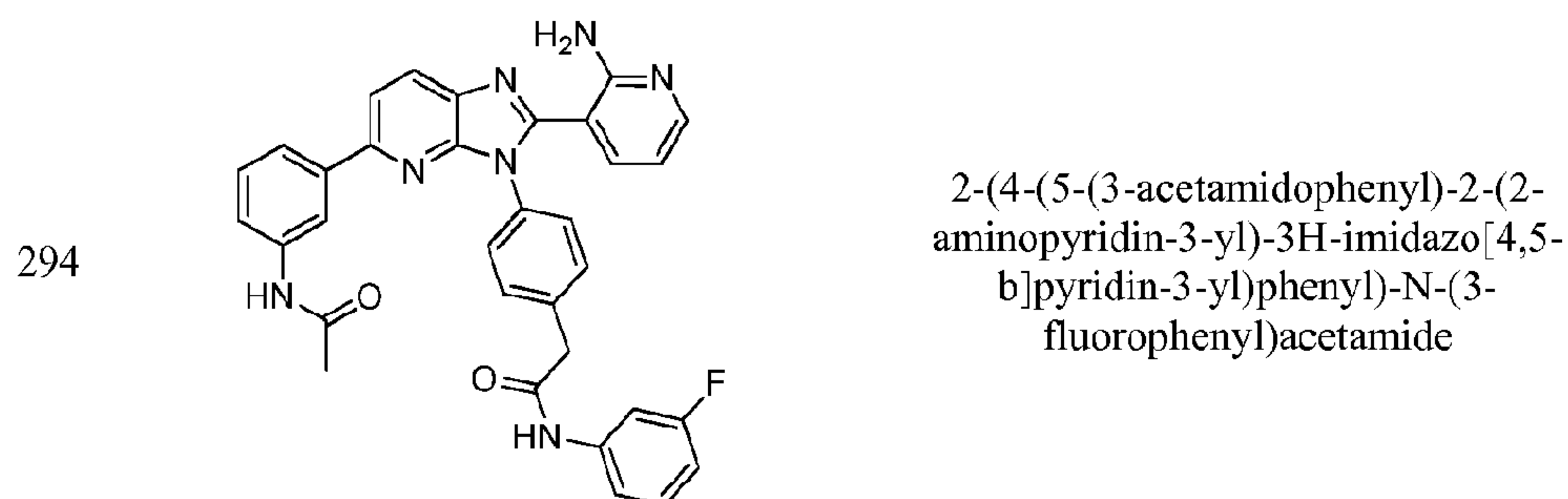
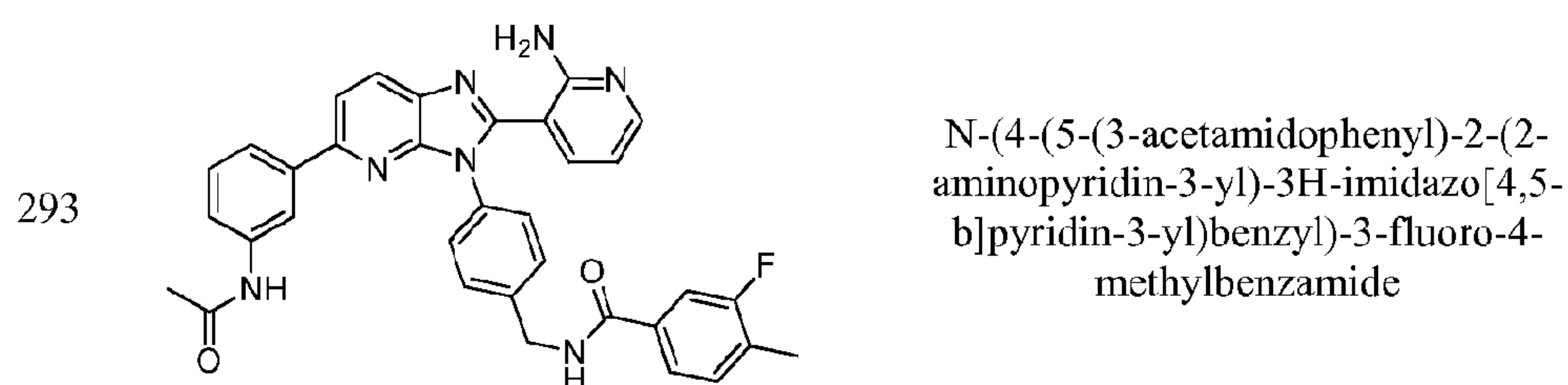
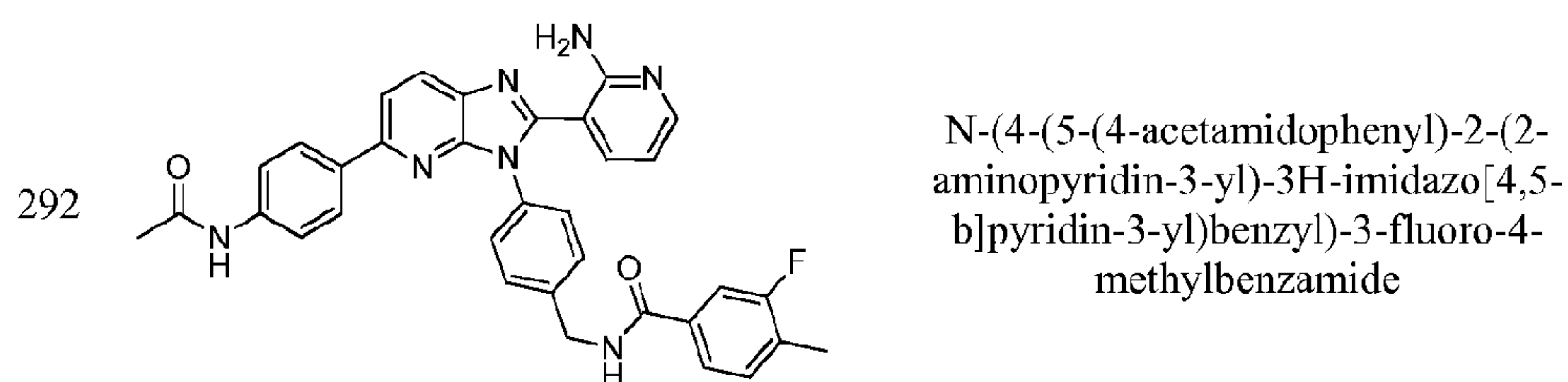
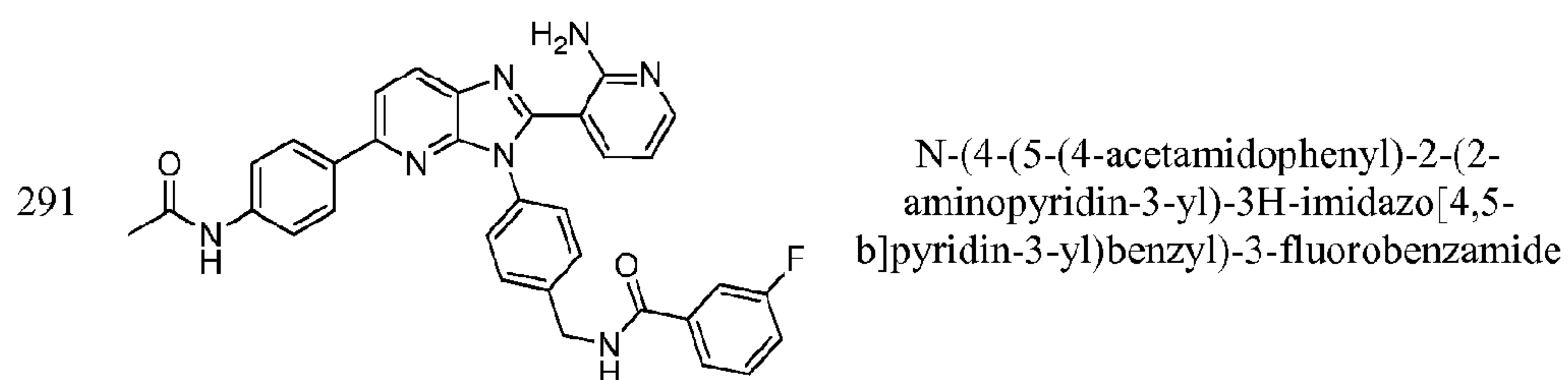


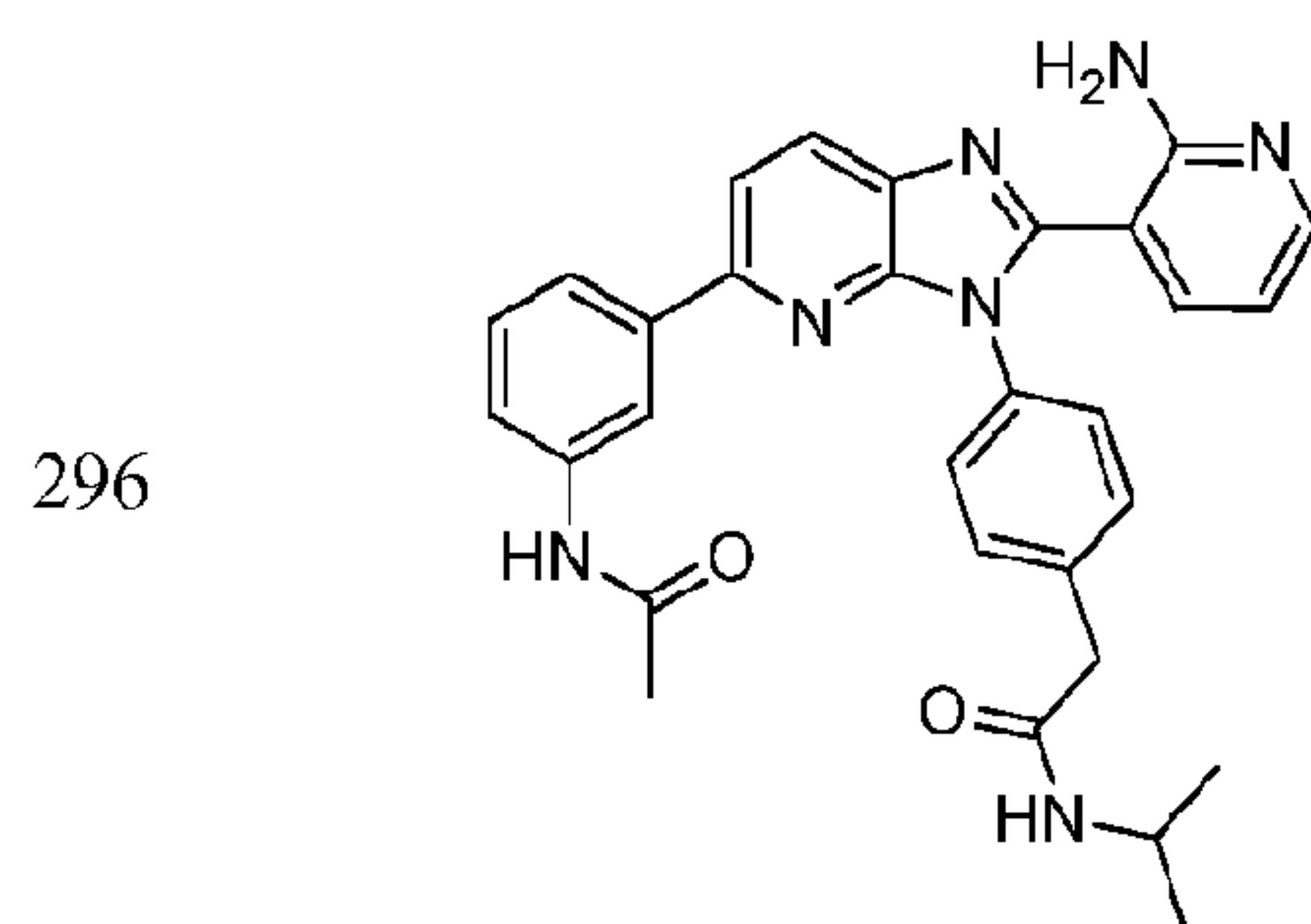
N-(3-acetamidophenyl)-2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide

290

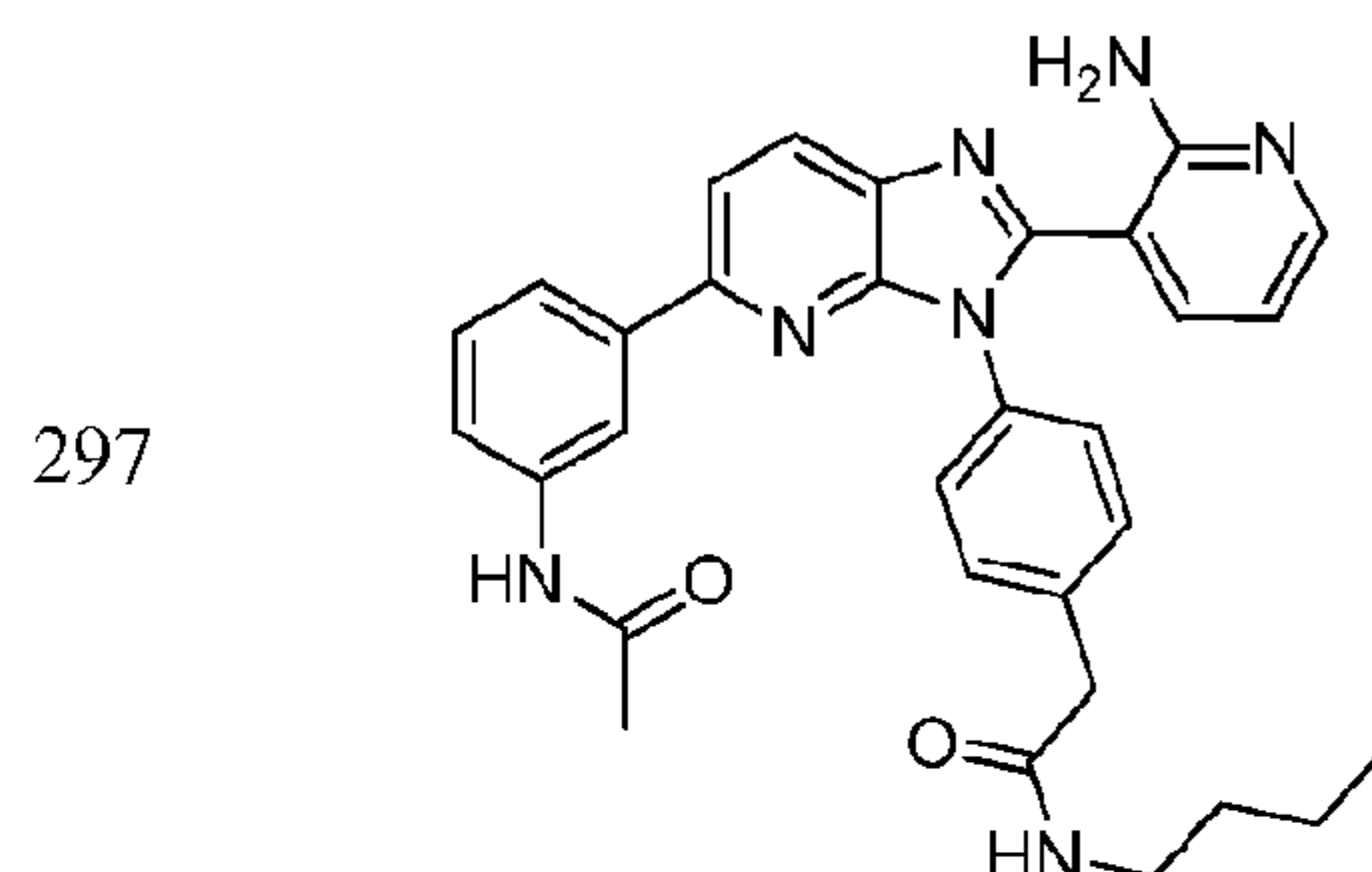


2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide

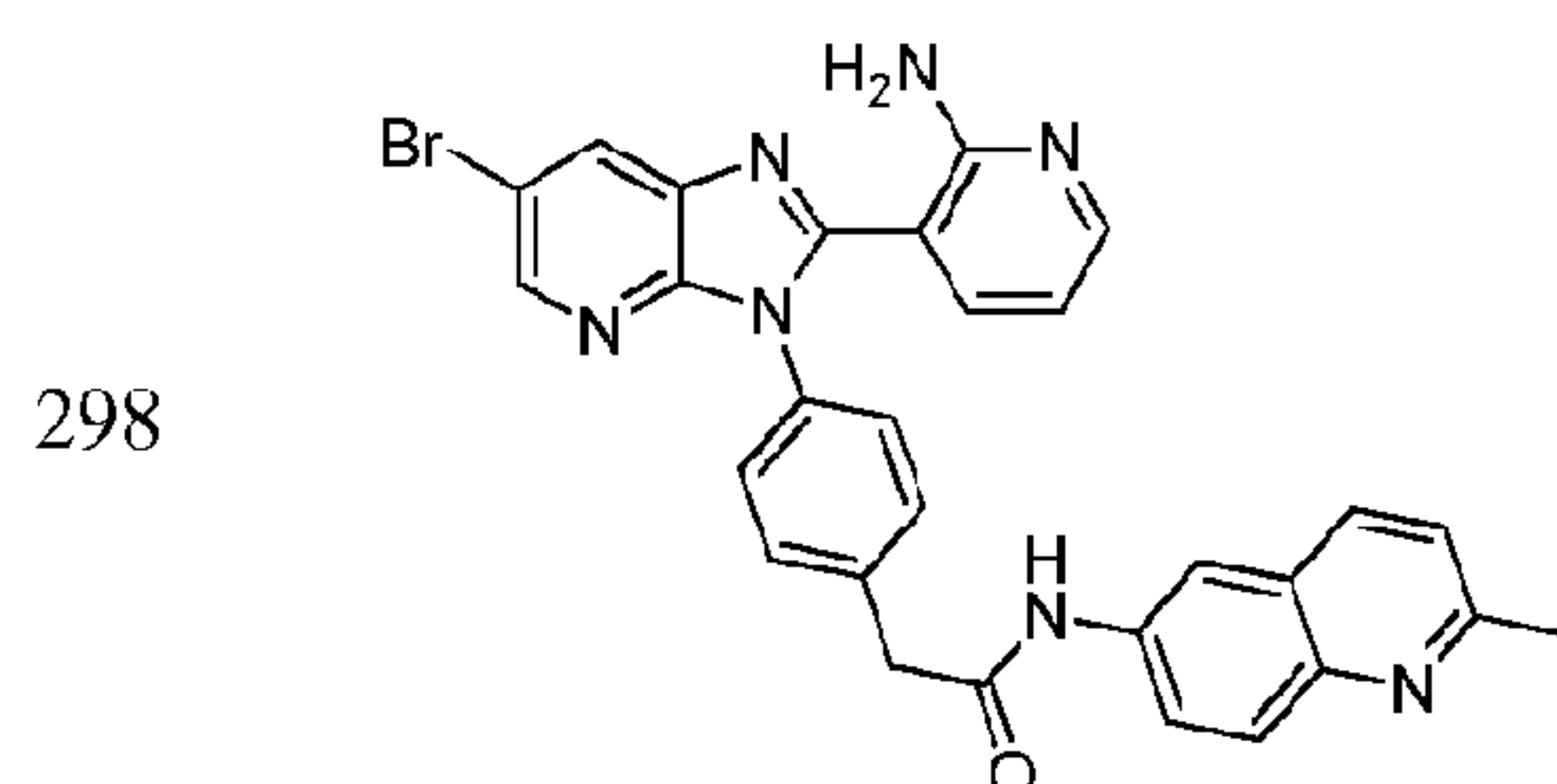




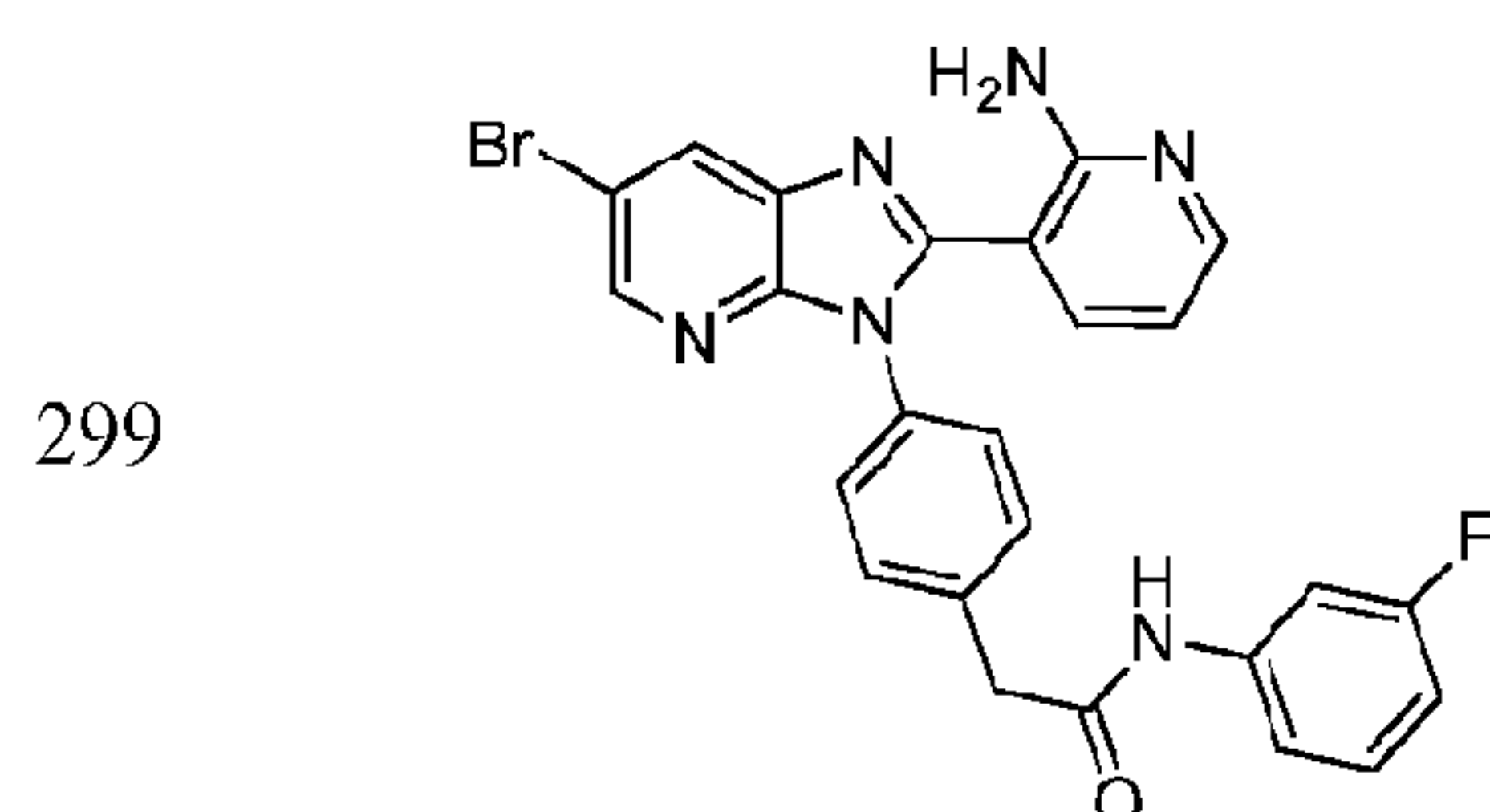
2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-isopropylacetamide



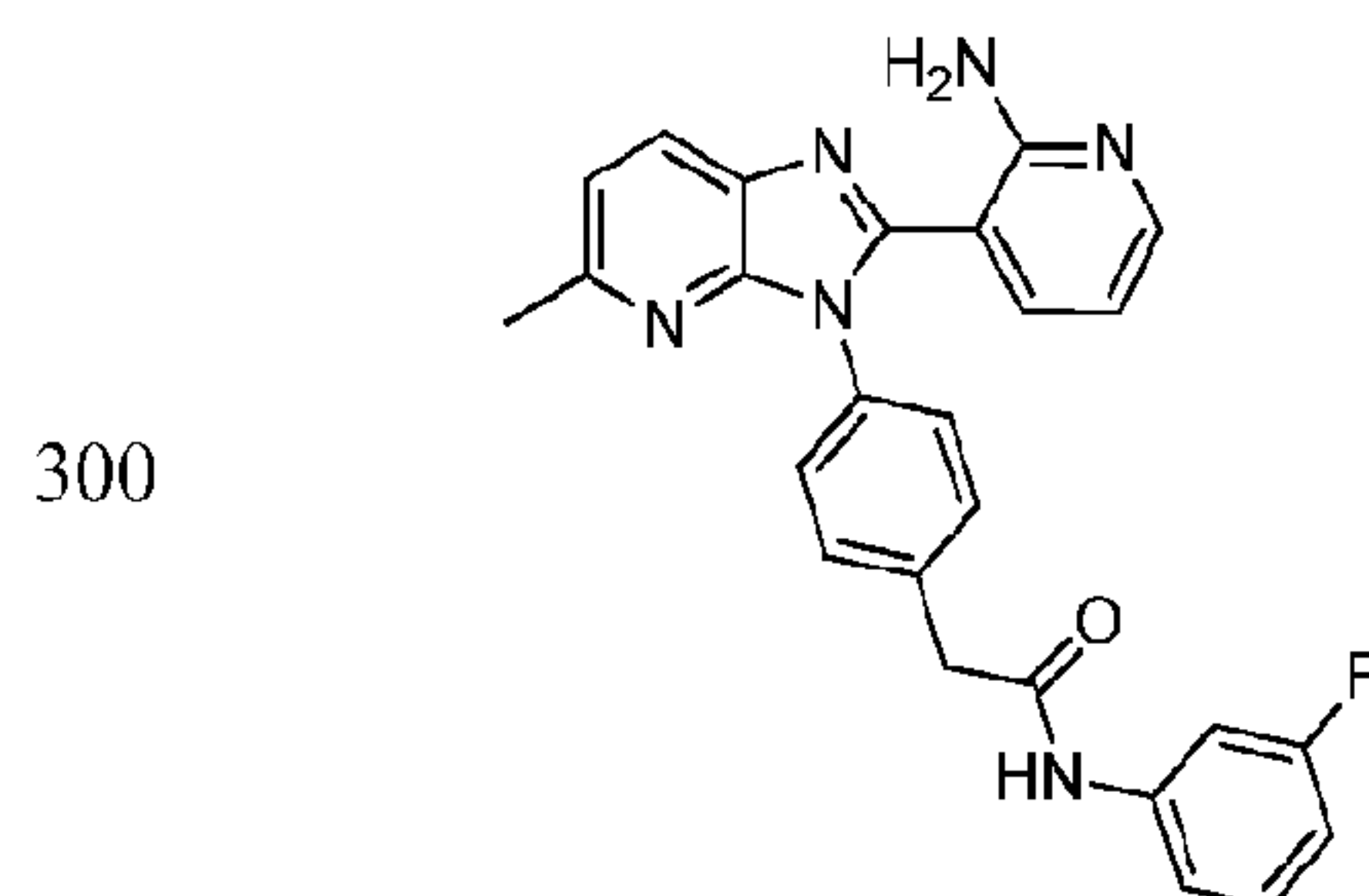
2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-butylacetamide



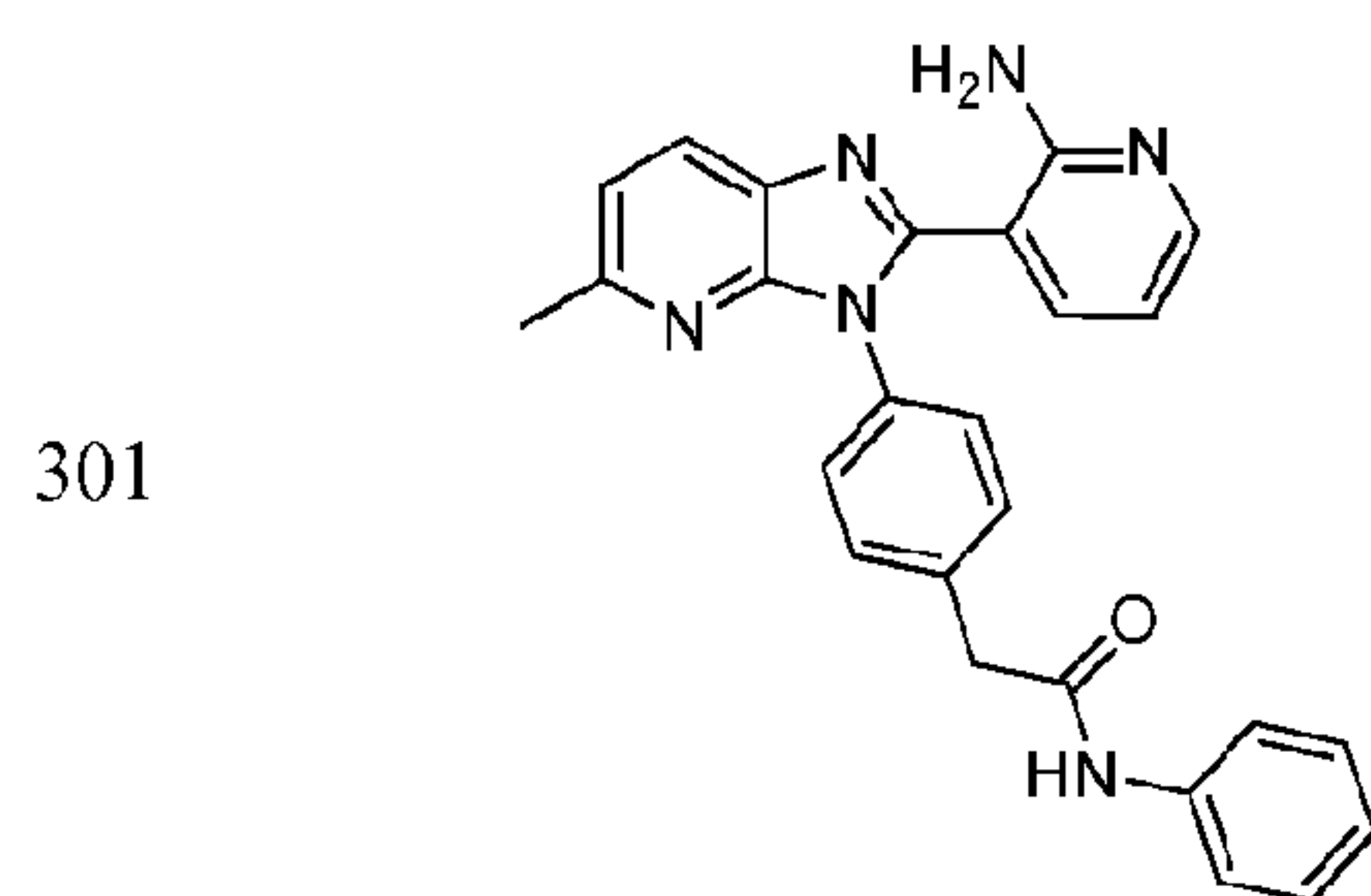
2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide



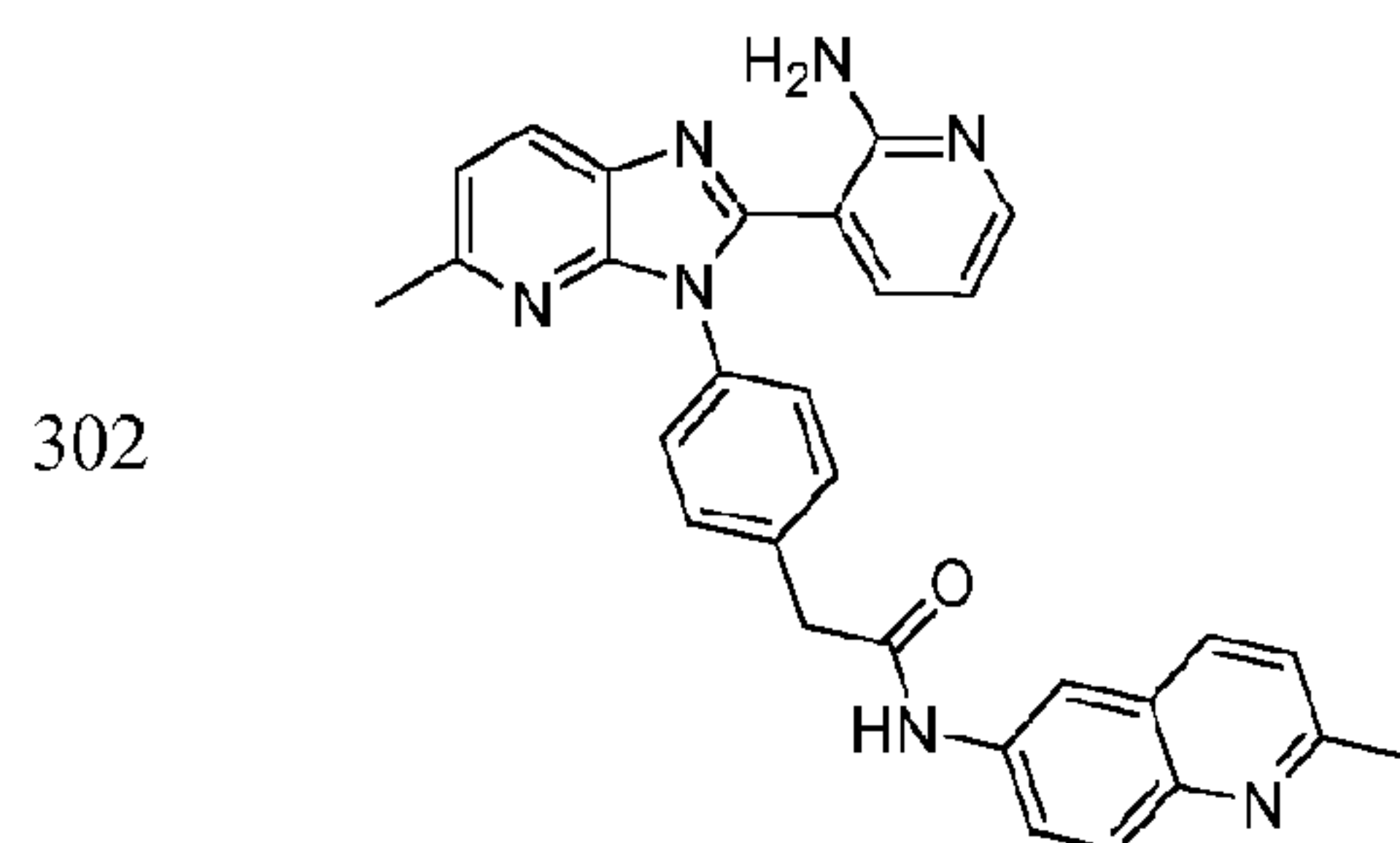
2-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide



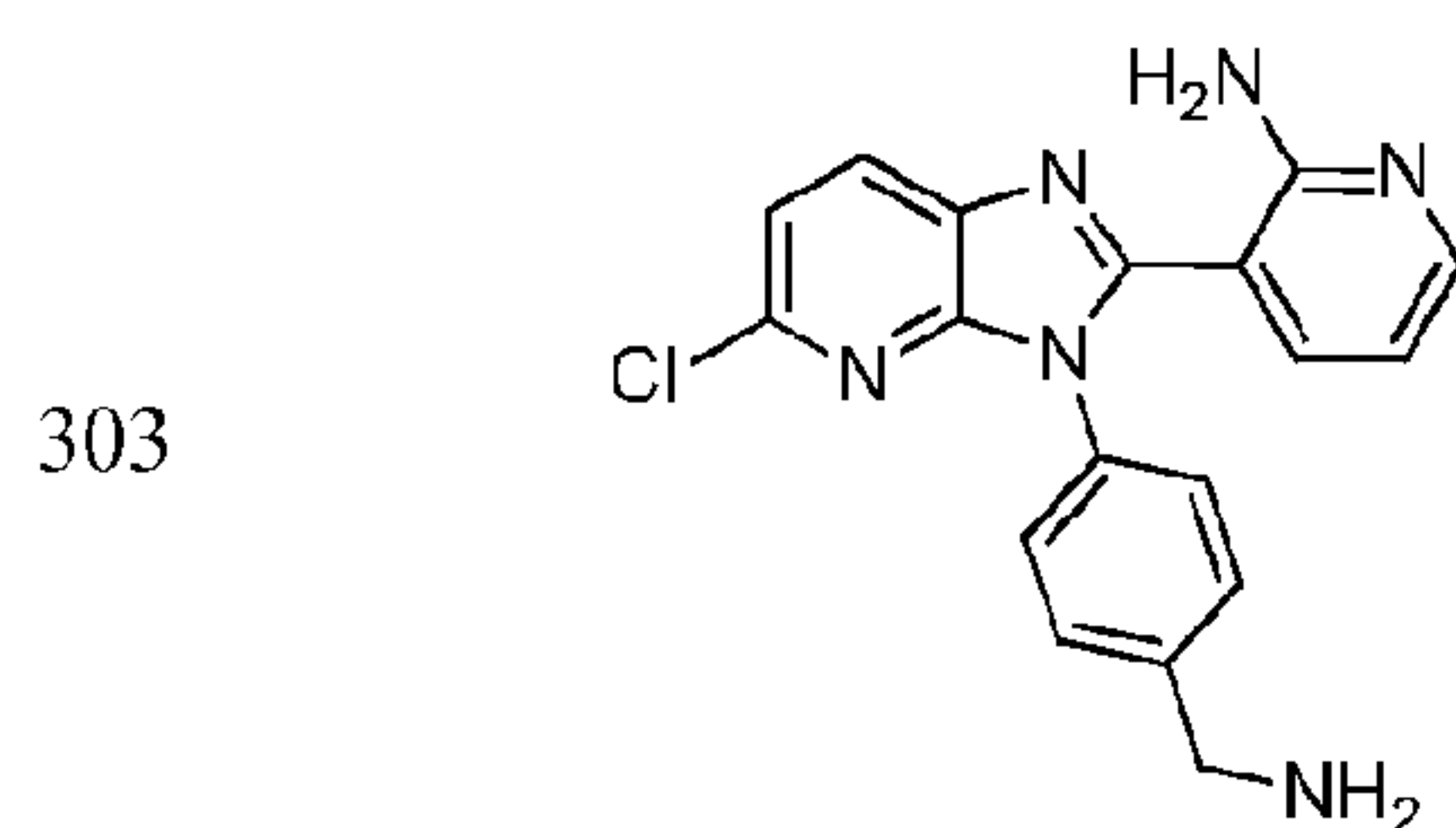
2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(3-fluorophenyl)acetamide



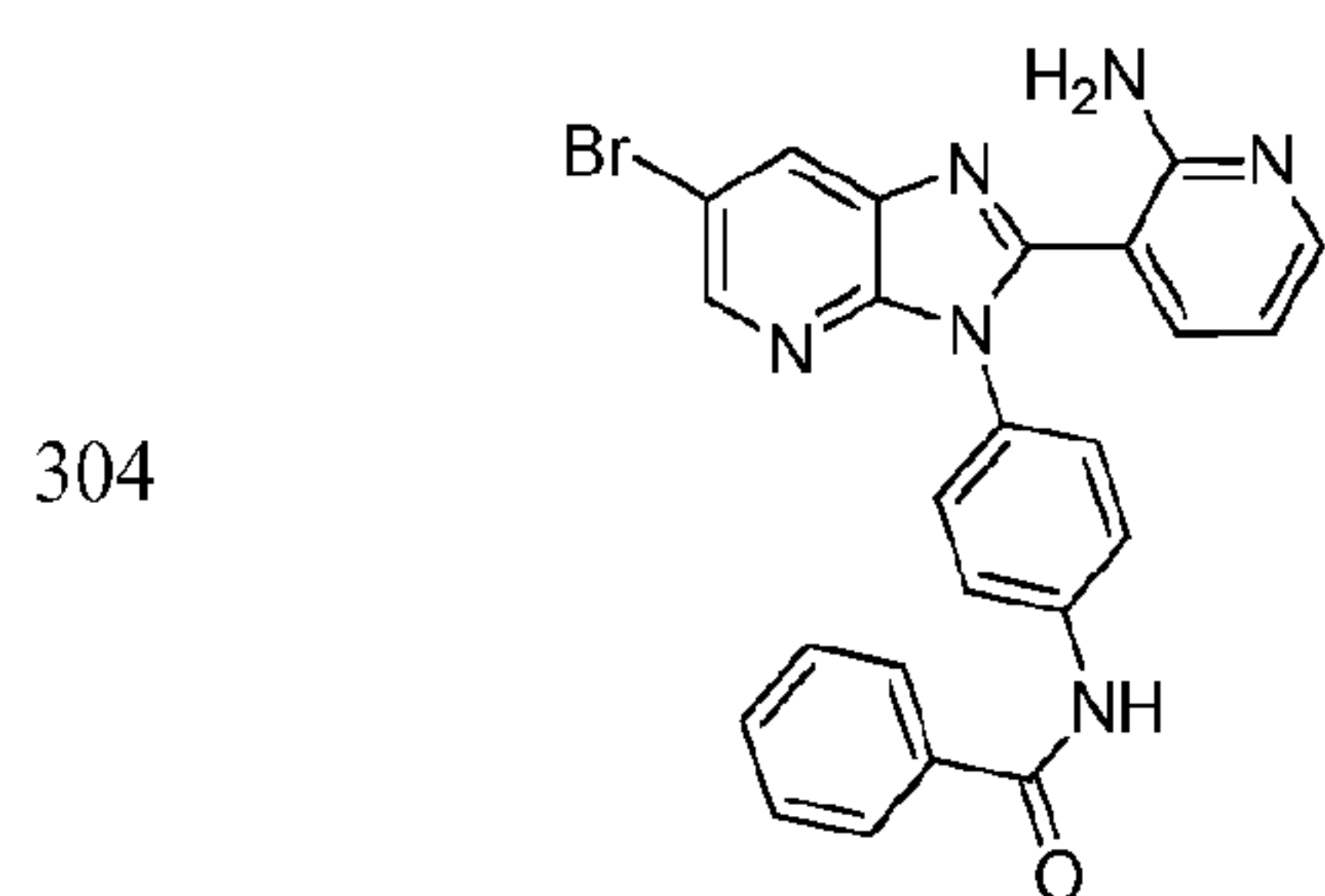
2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-phenylacetamide



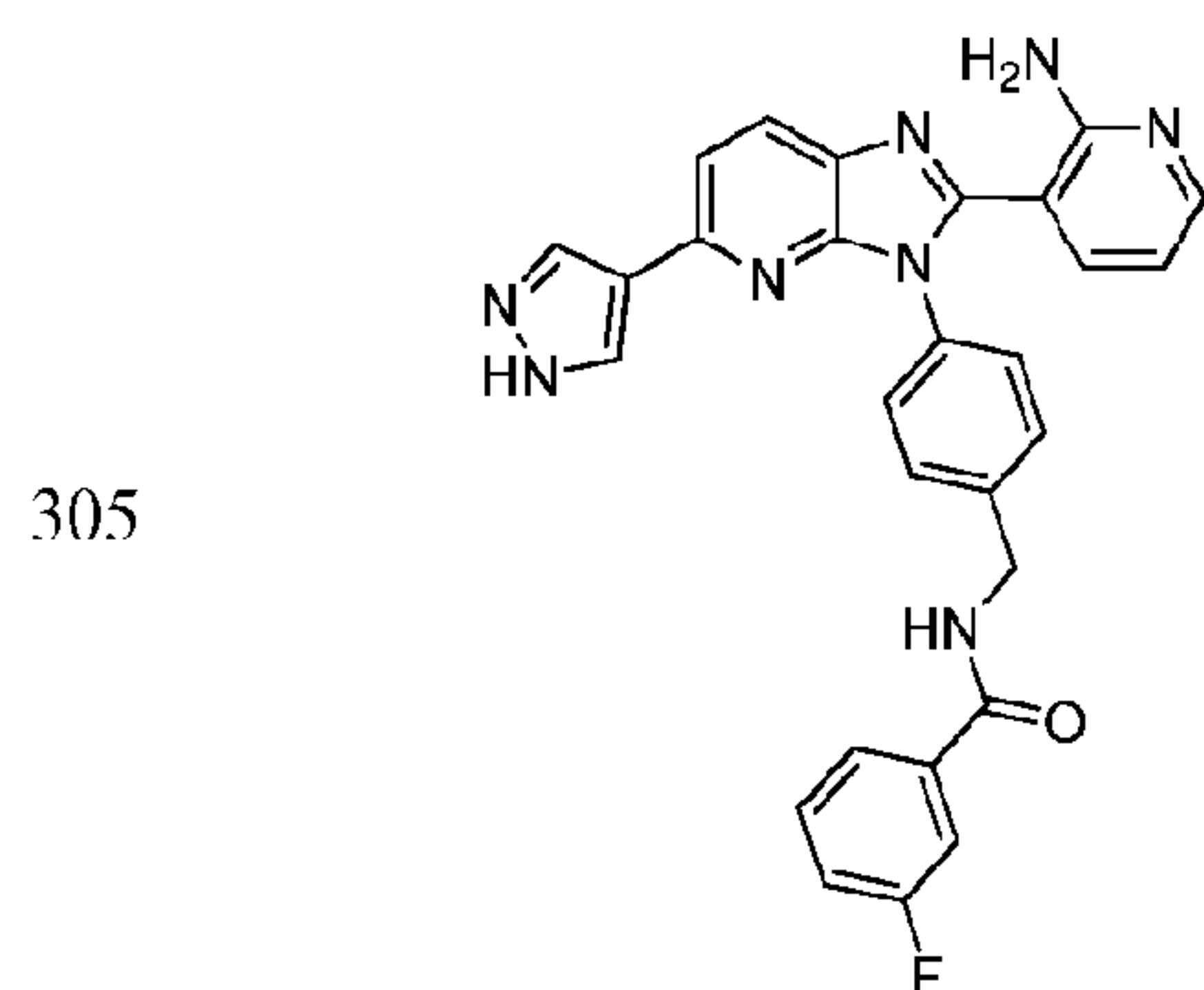
2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide



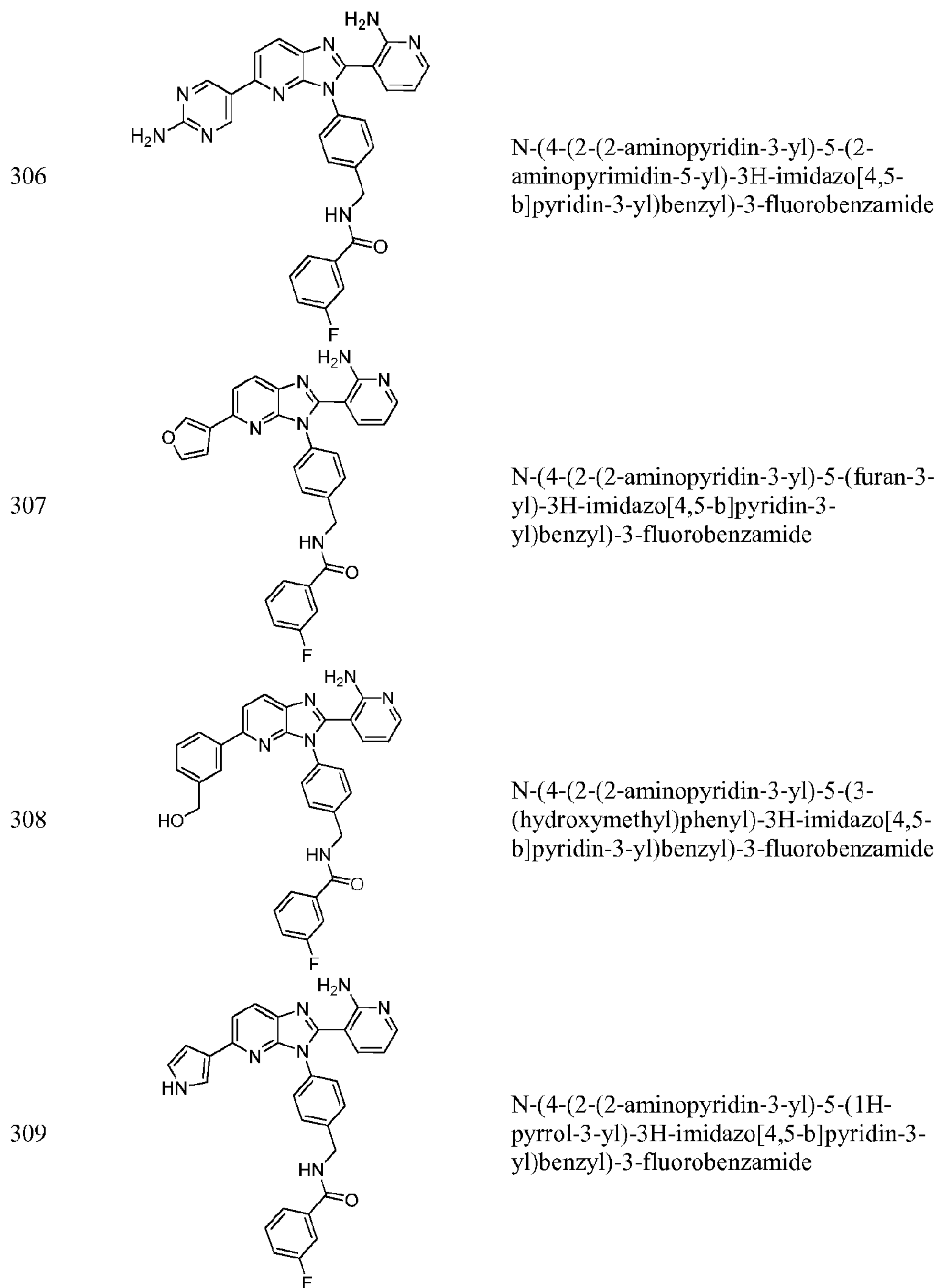
3-(3-(4-(aminomethyl)phenyl)-5-chloro-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

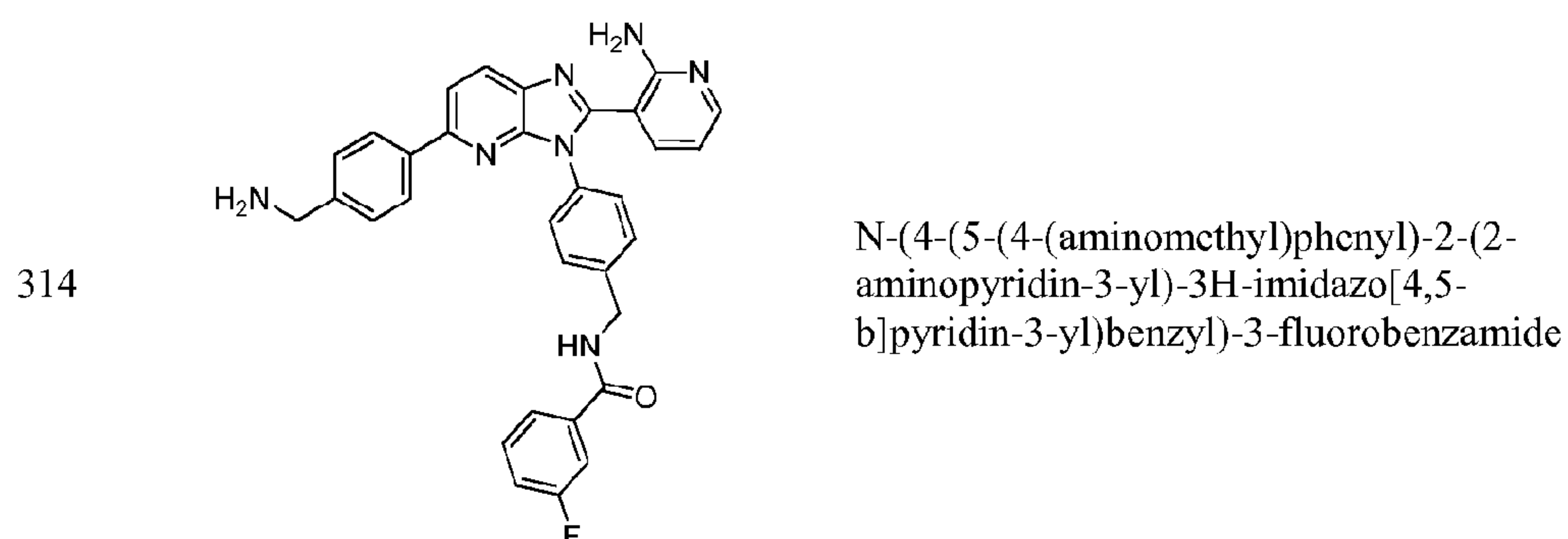
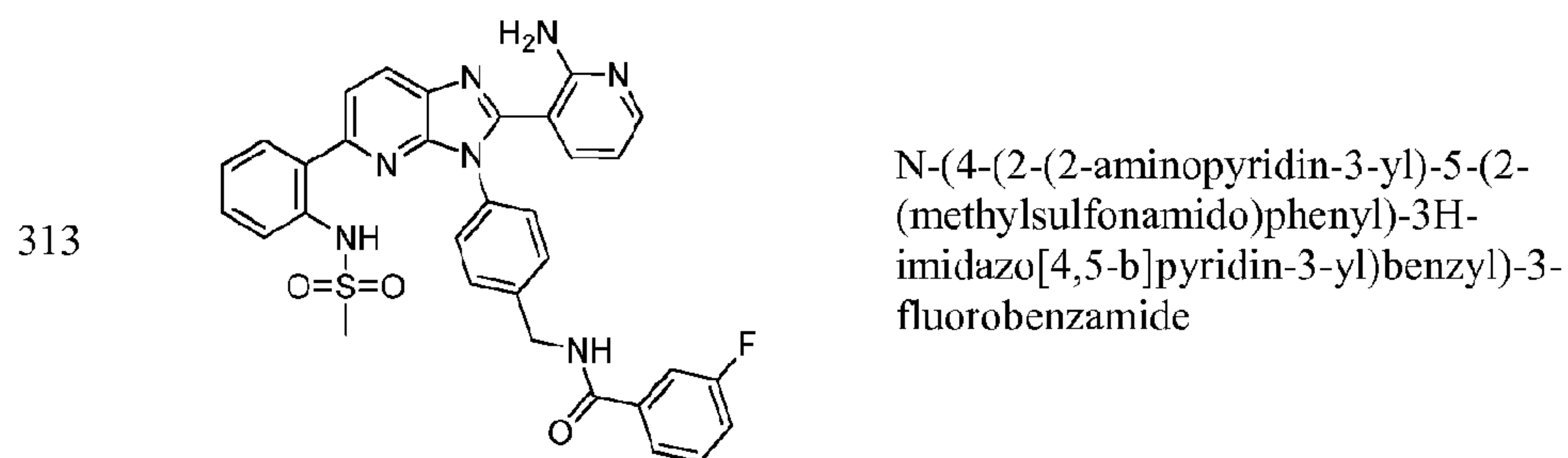
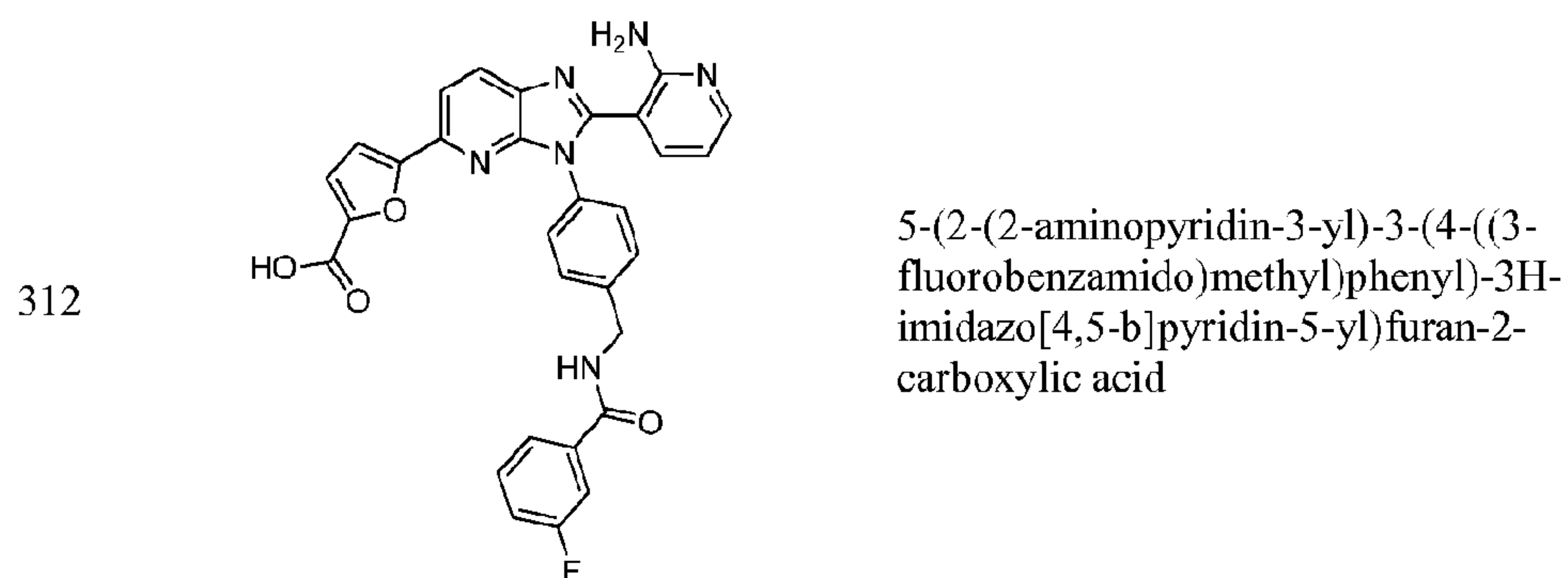
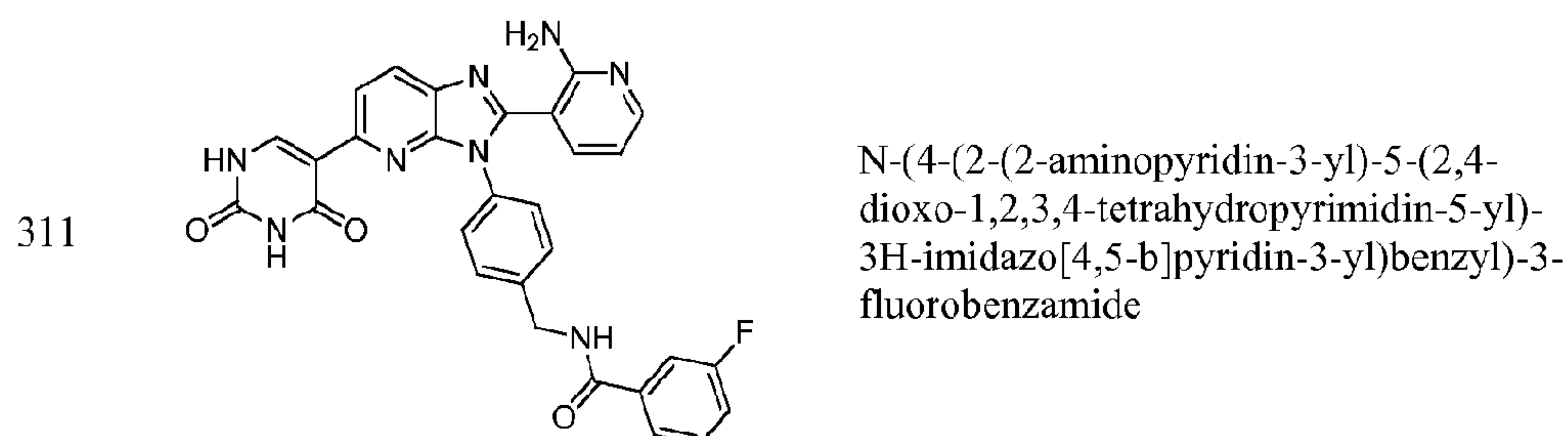
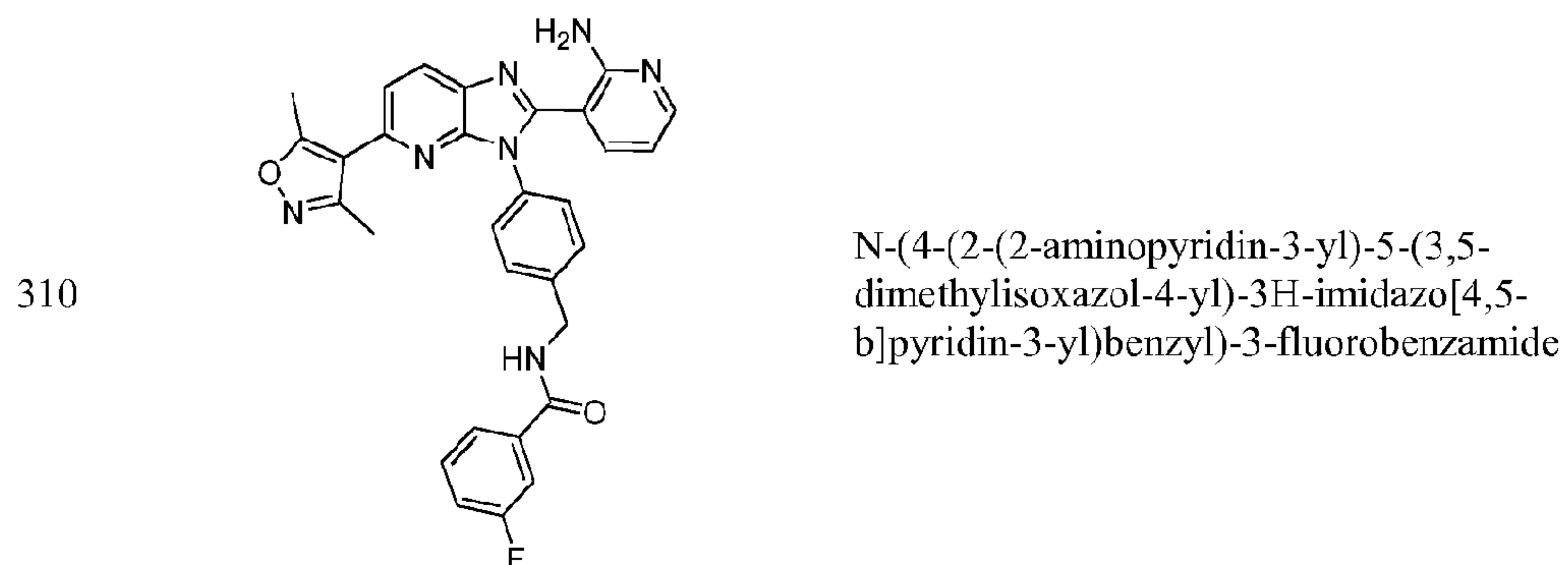


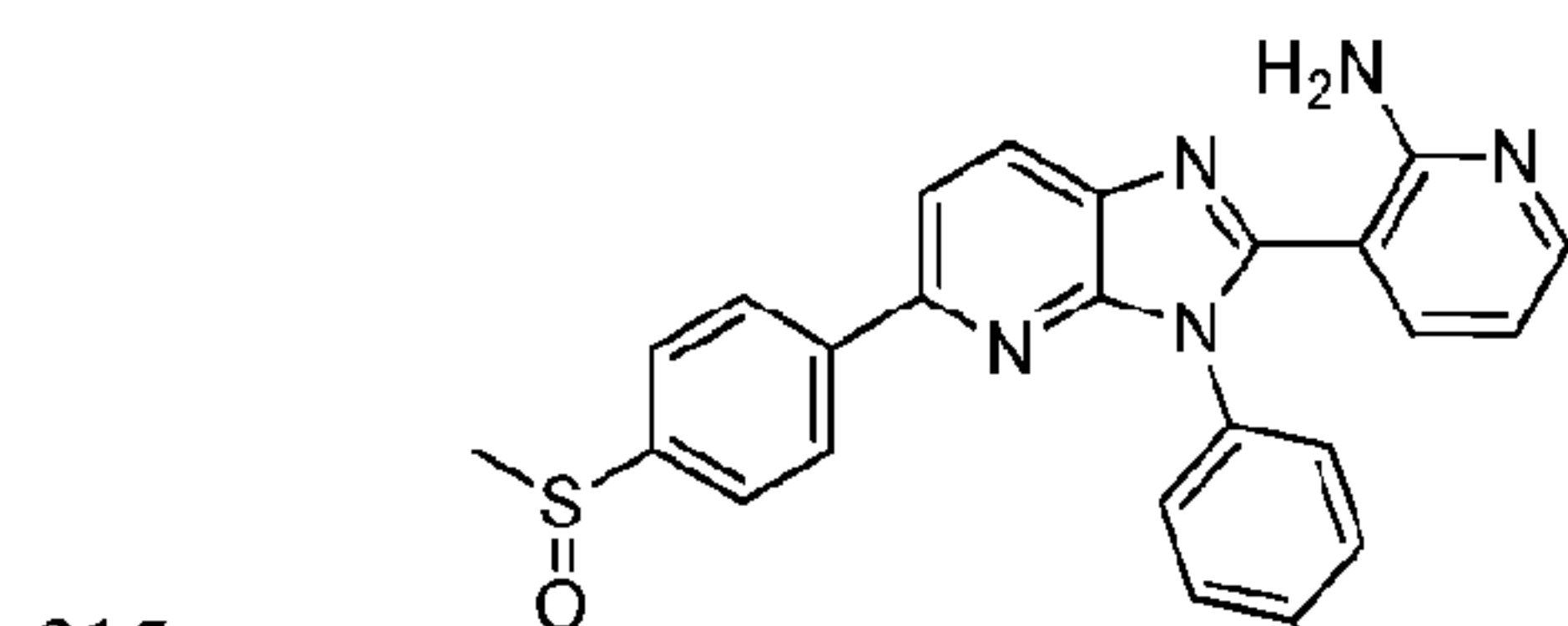
N-(4-(2-(2-aminopyridin-3-yl)-6-bromo-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)benzamide



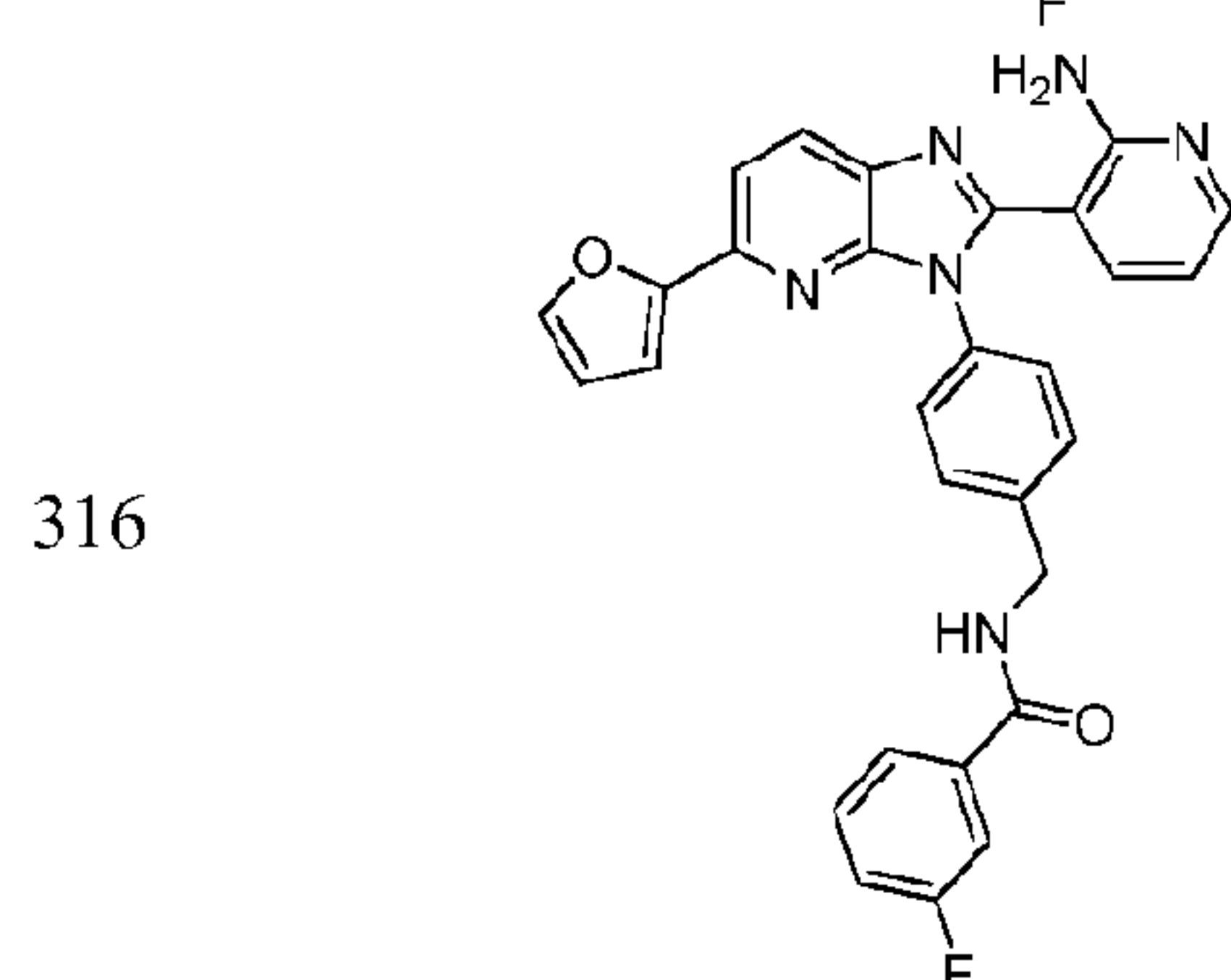
N-(4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



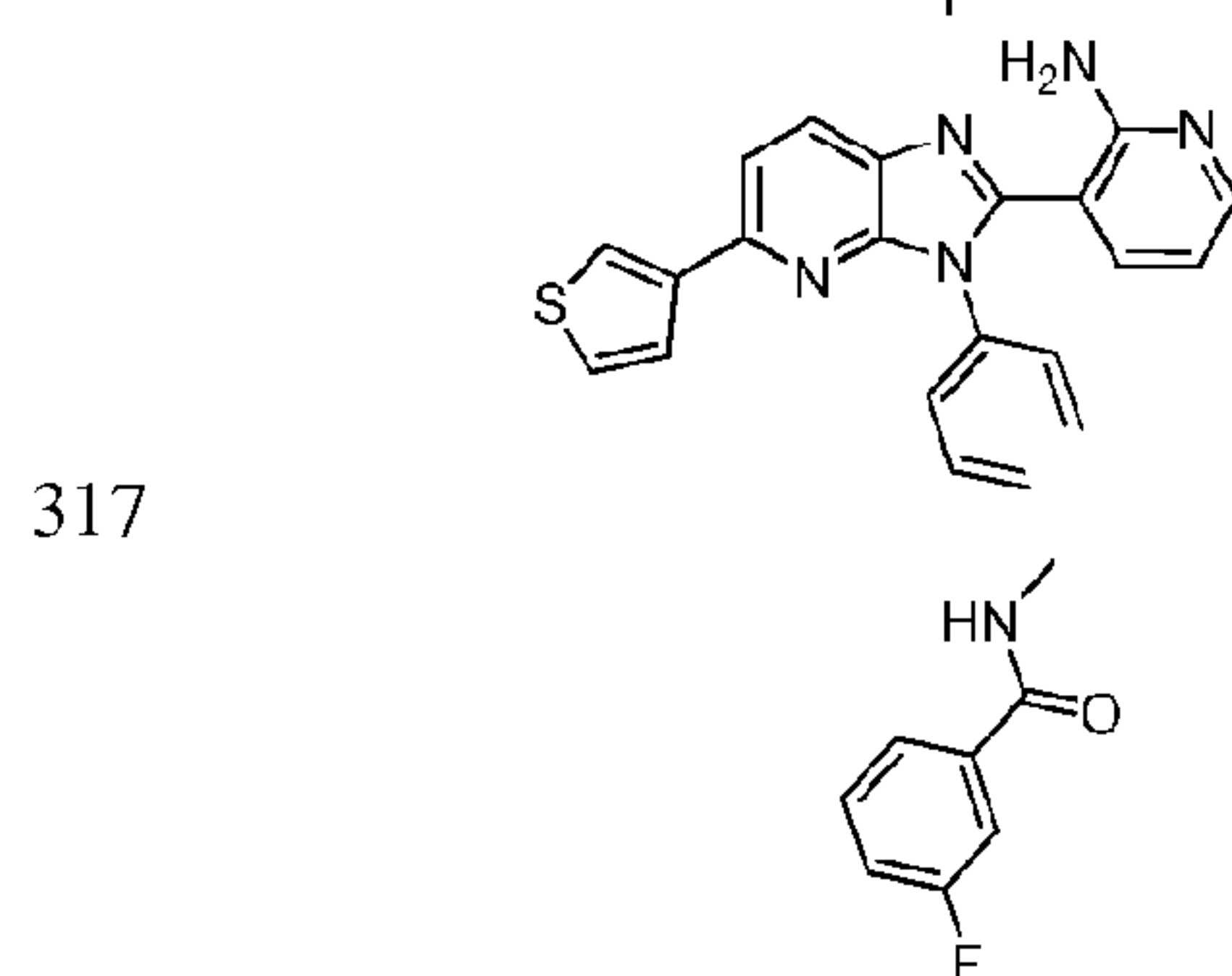




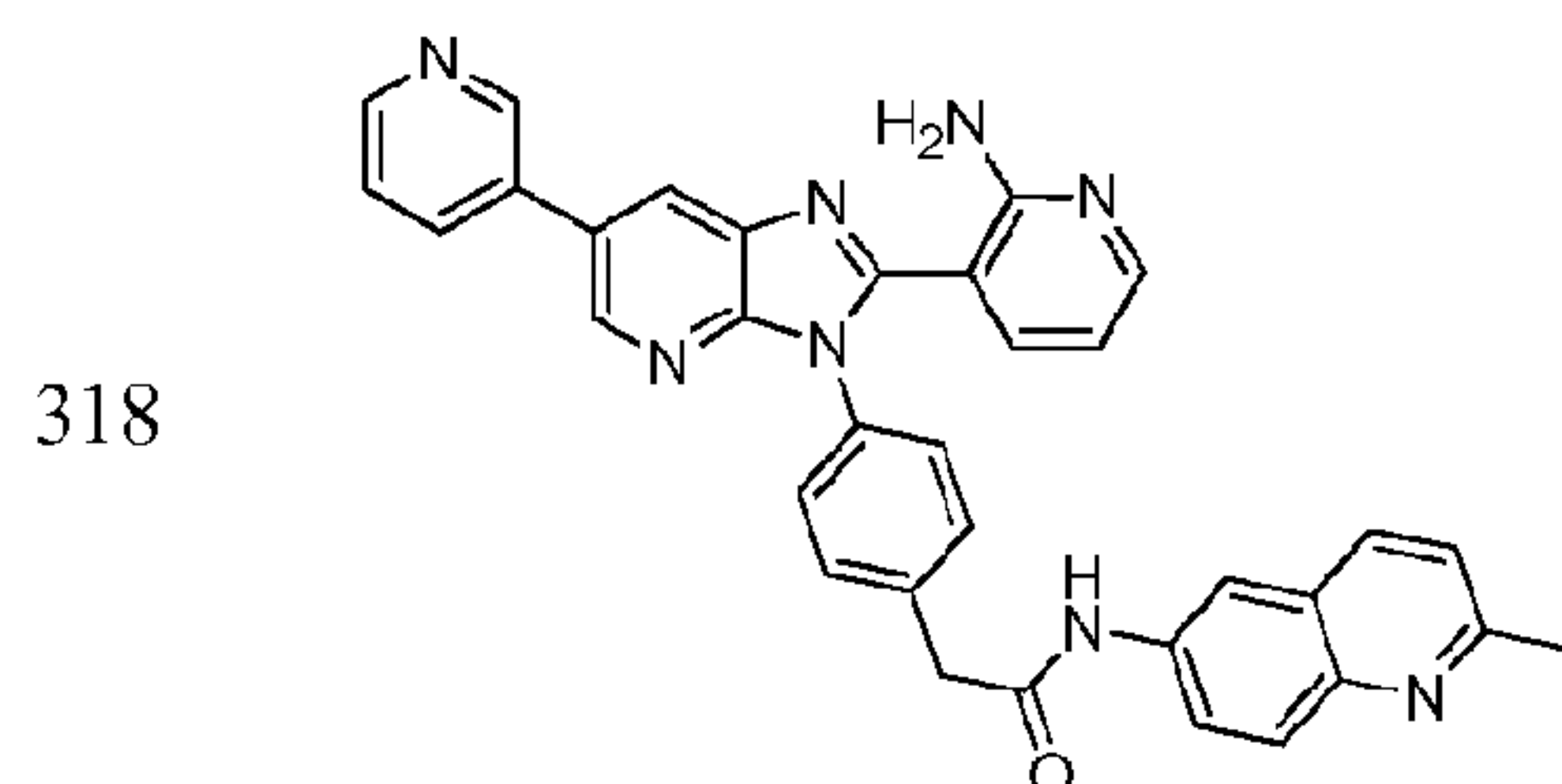
N-(4-(2-(2-aminopyridin-3-yl)-5-(4-(methylsulfinyl)phenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



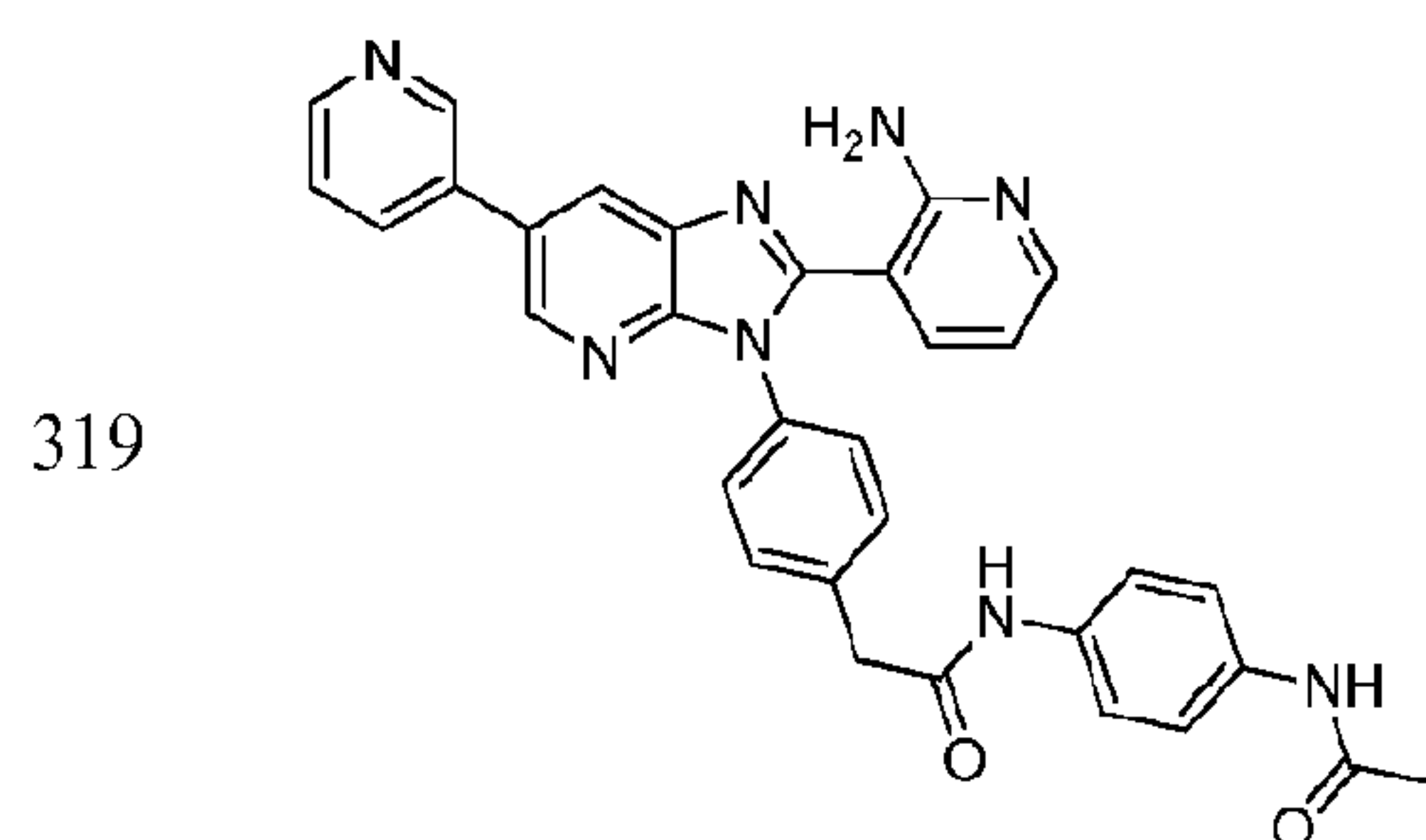
N-(4-(2-(2-aminopyridin-3-yl)-5-(furan-2-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



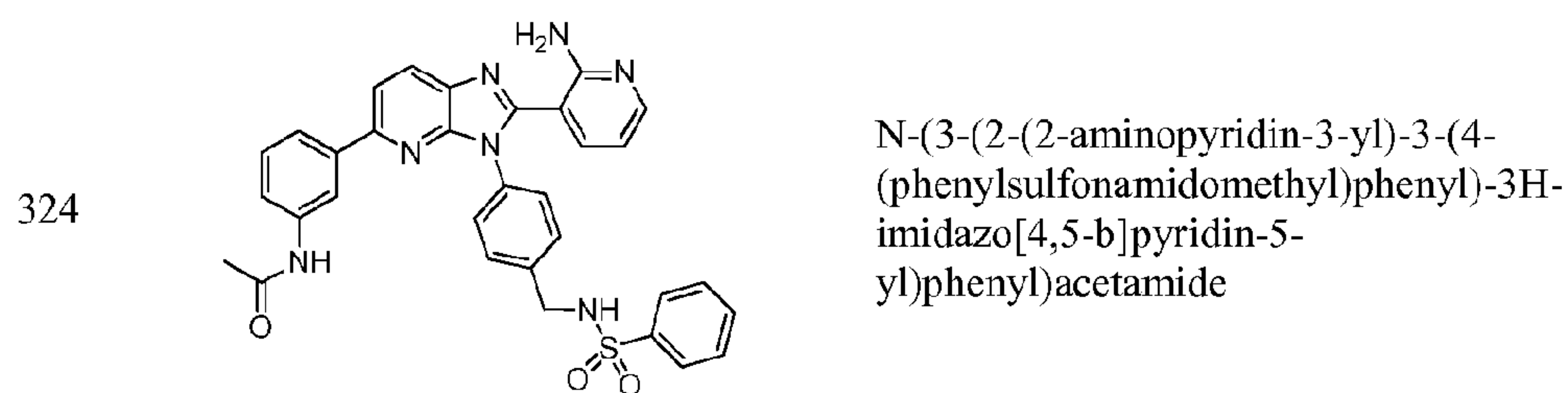
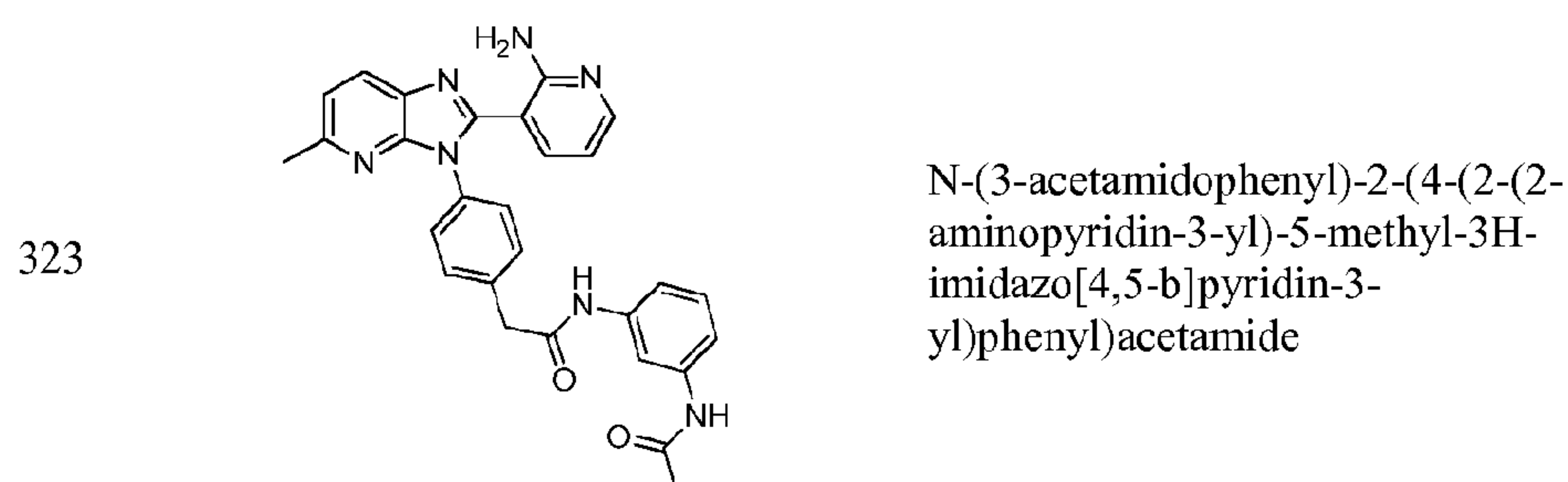
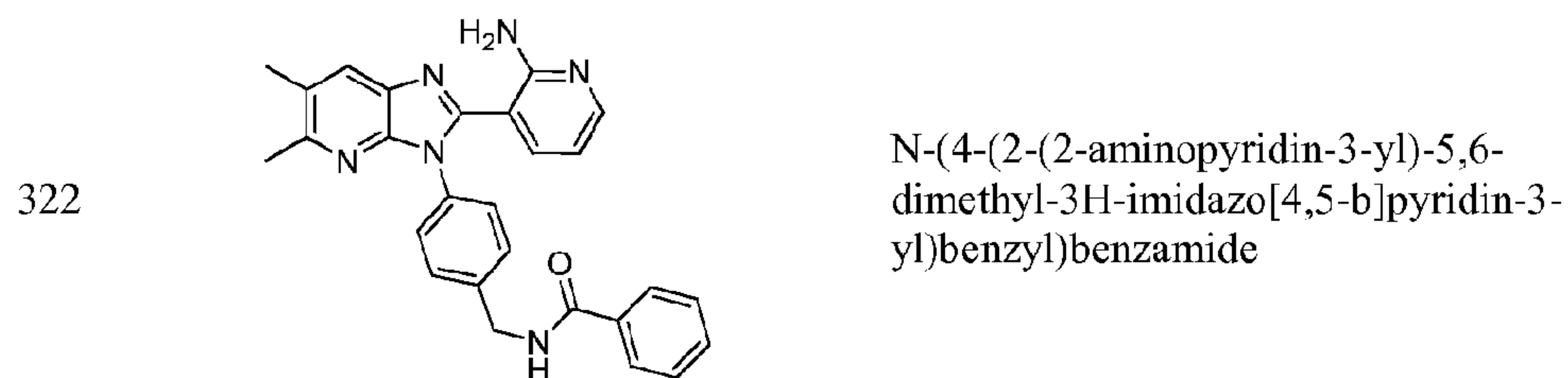
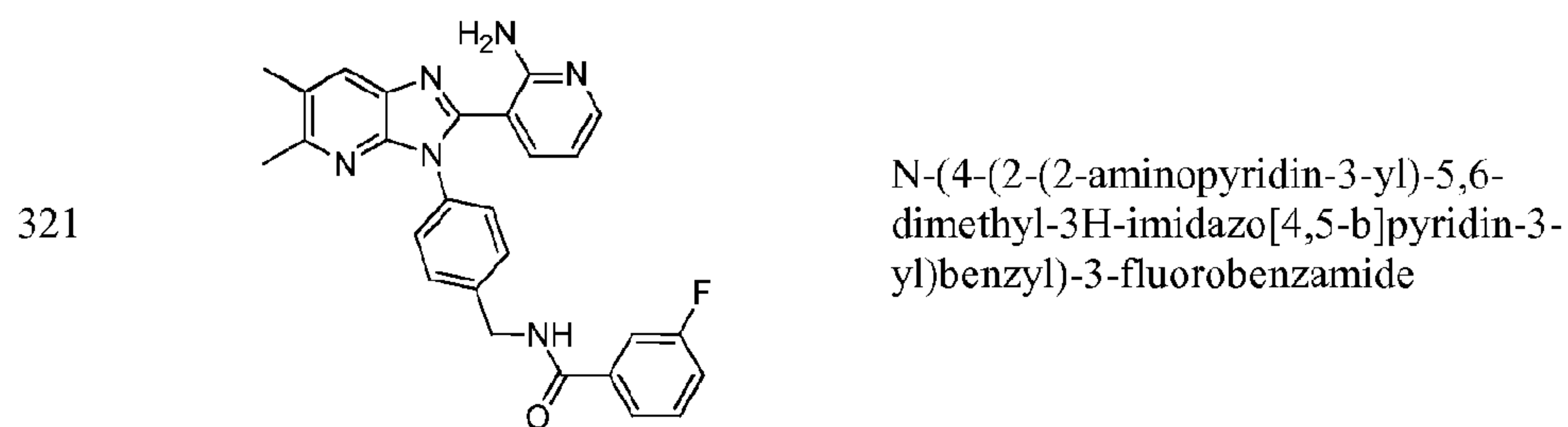
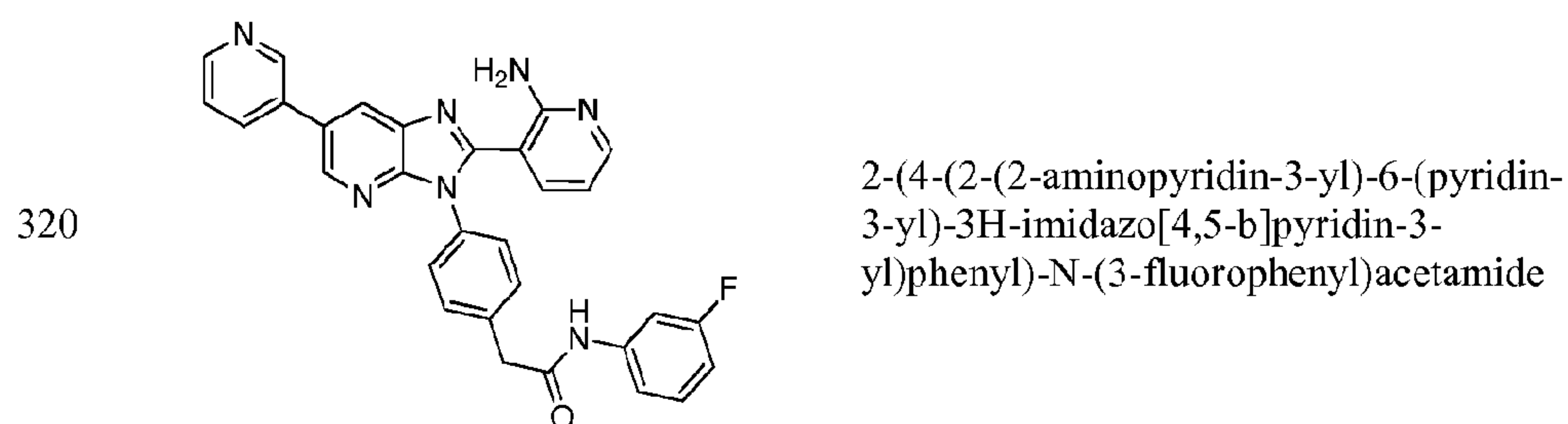
N-(4-(2-(2-aminopyridin-3-yl)-5-(thiophen-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

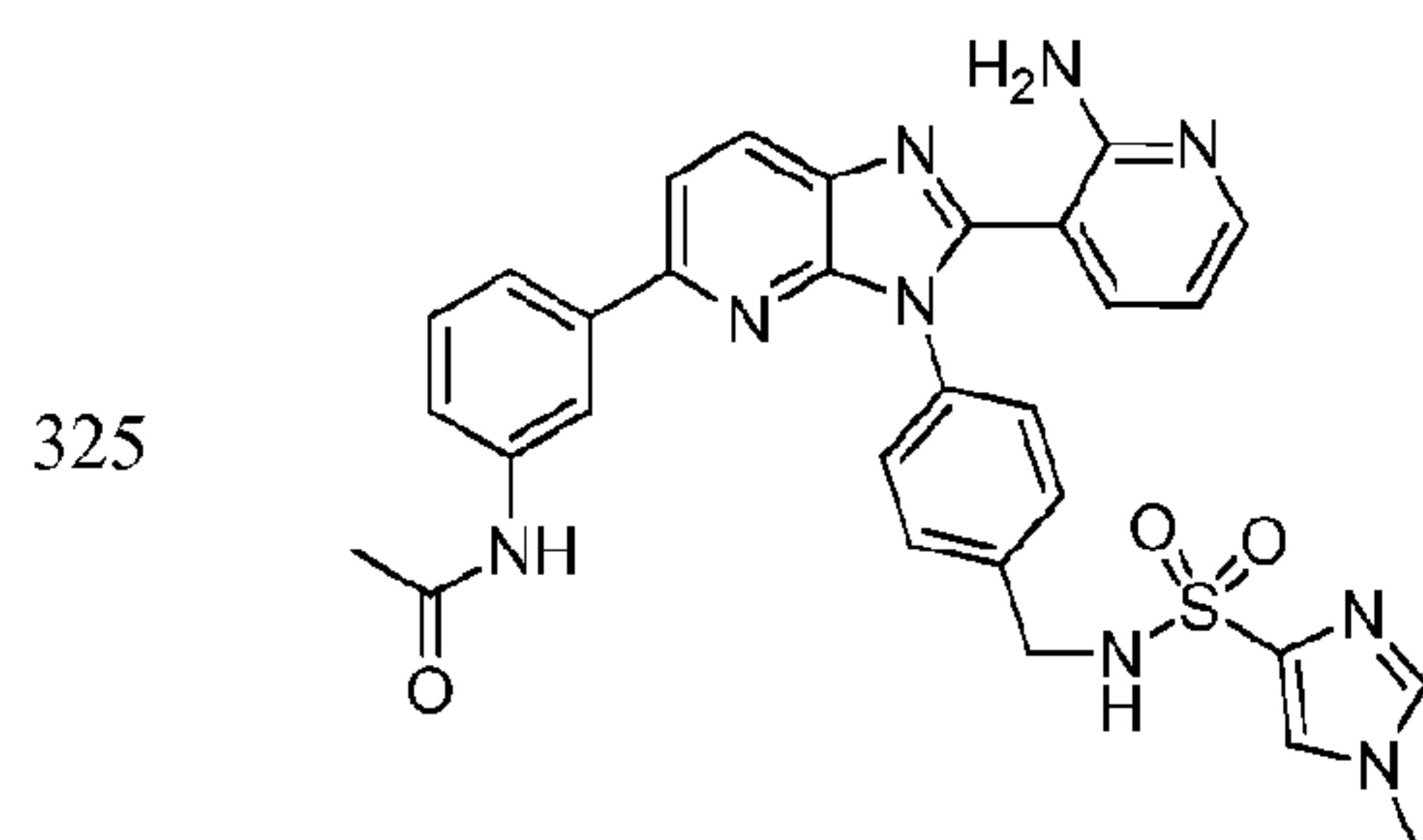


2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-methylquinolin-6-yl)acetamide

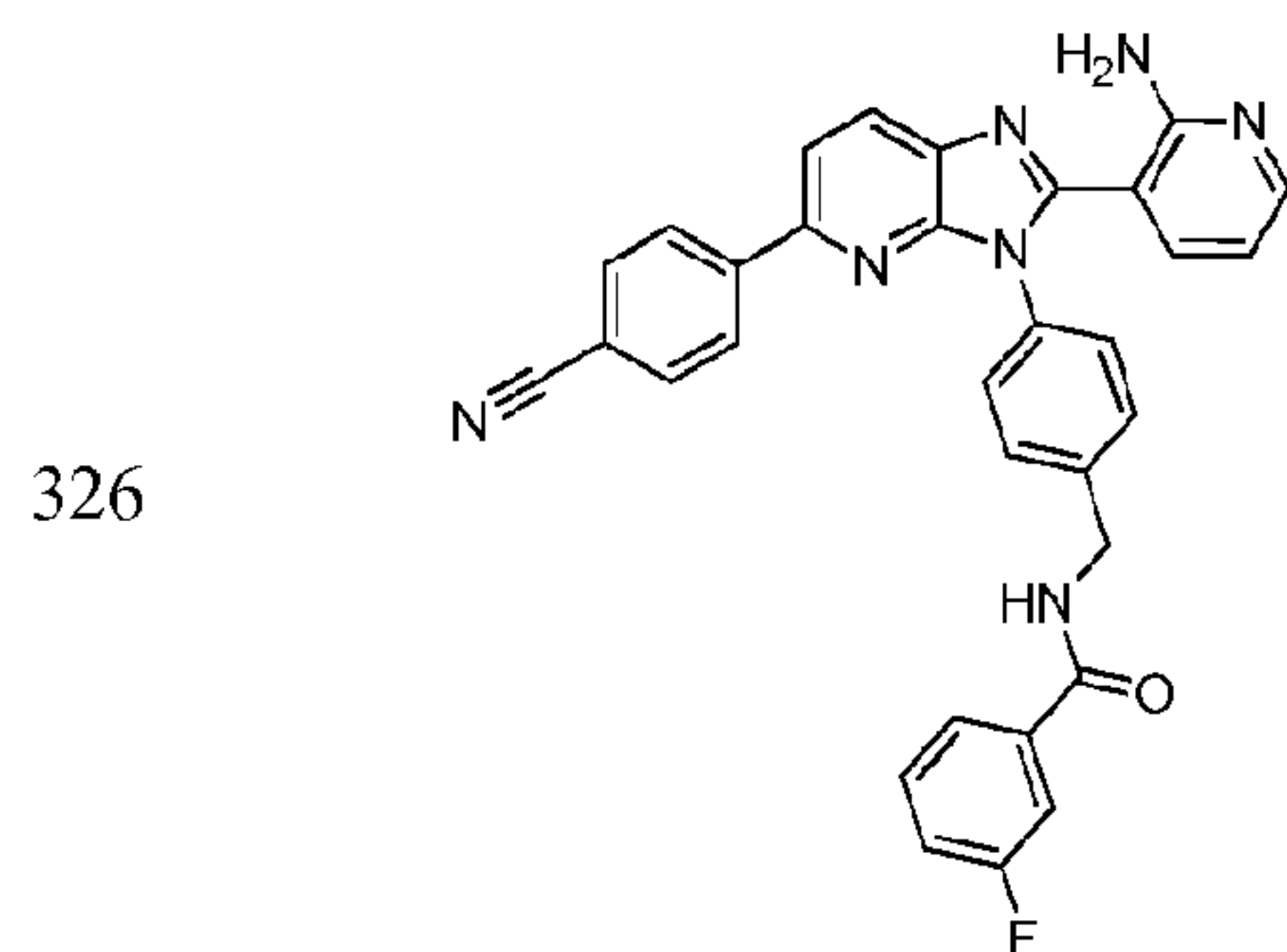


N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-(pyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide

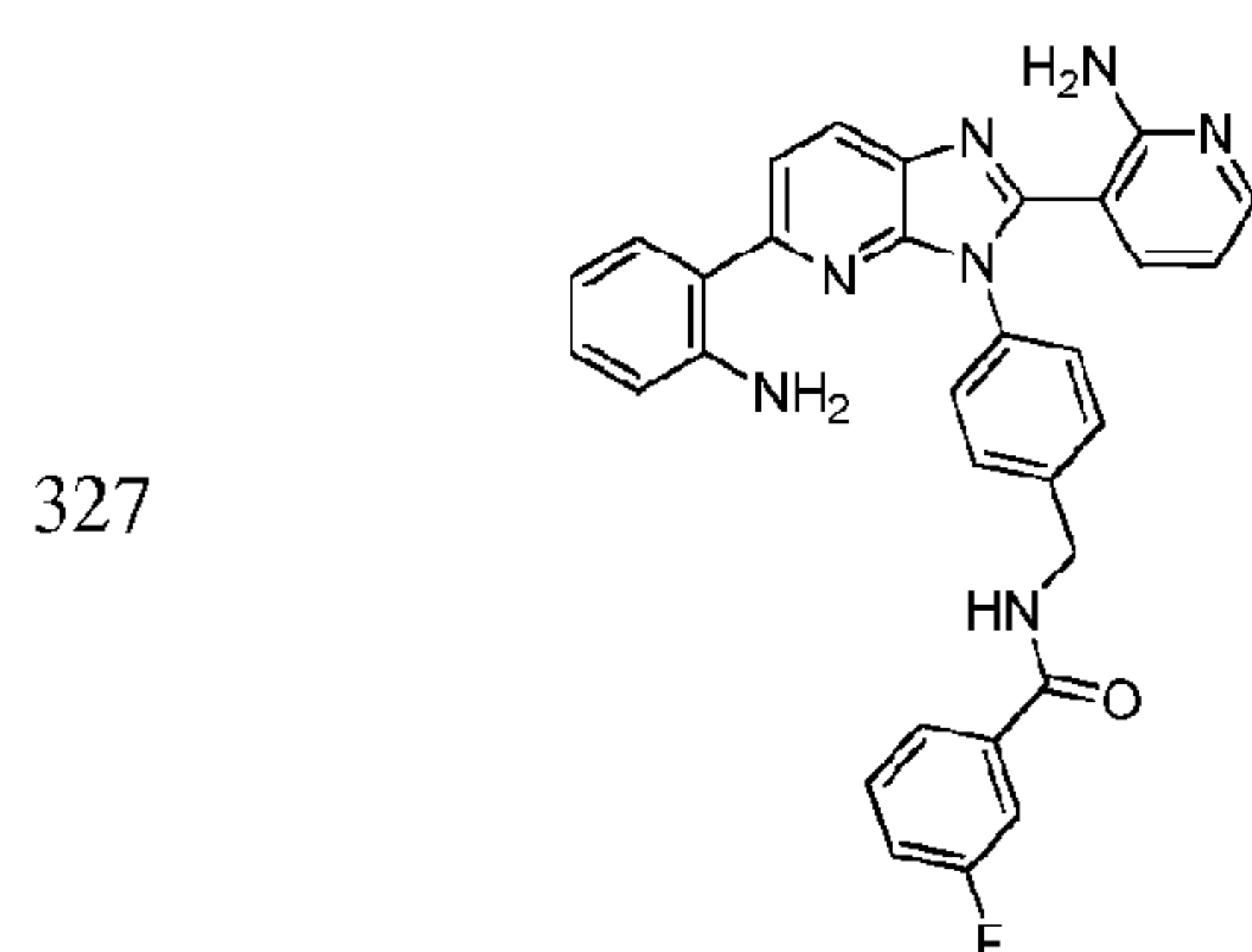




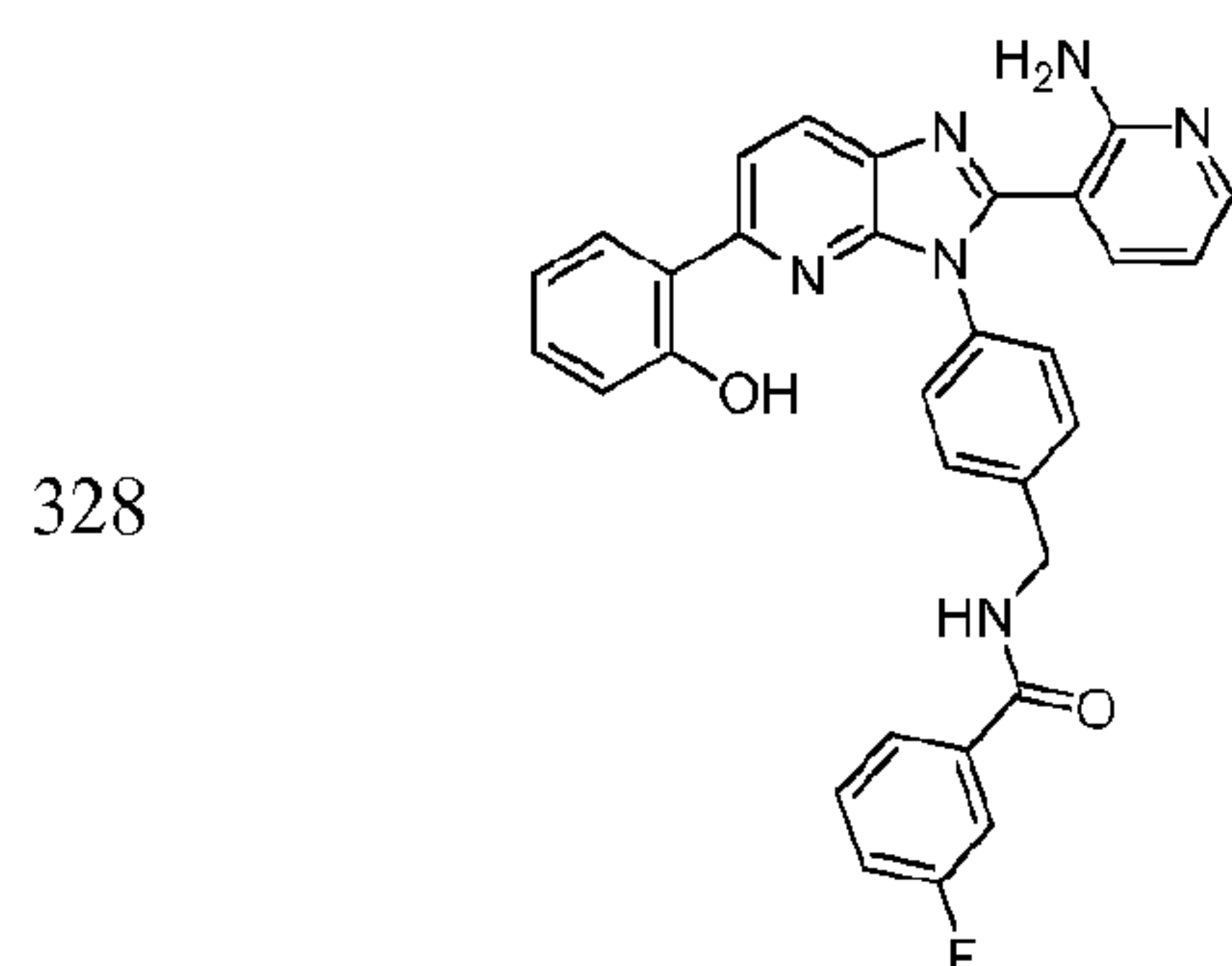
N-(3-(2-(2-aminopyridin-3-yl)-3-(4-((1-methyl-1H-imidazole-4-sulfonamido)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide



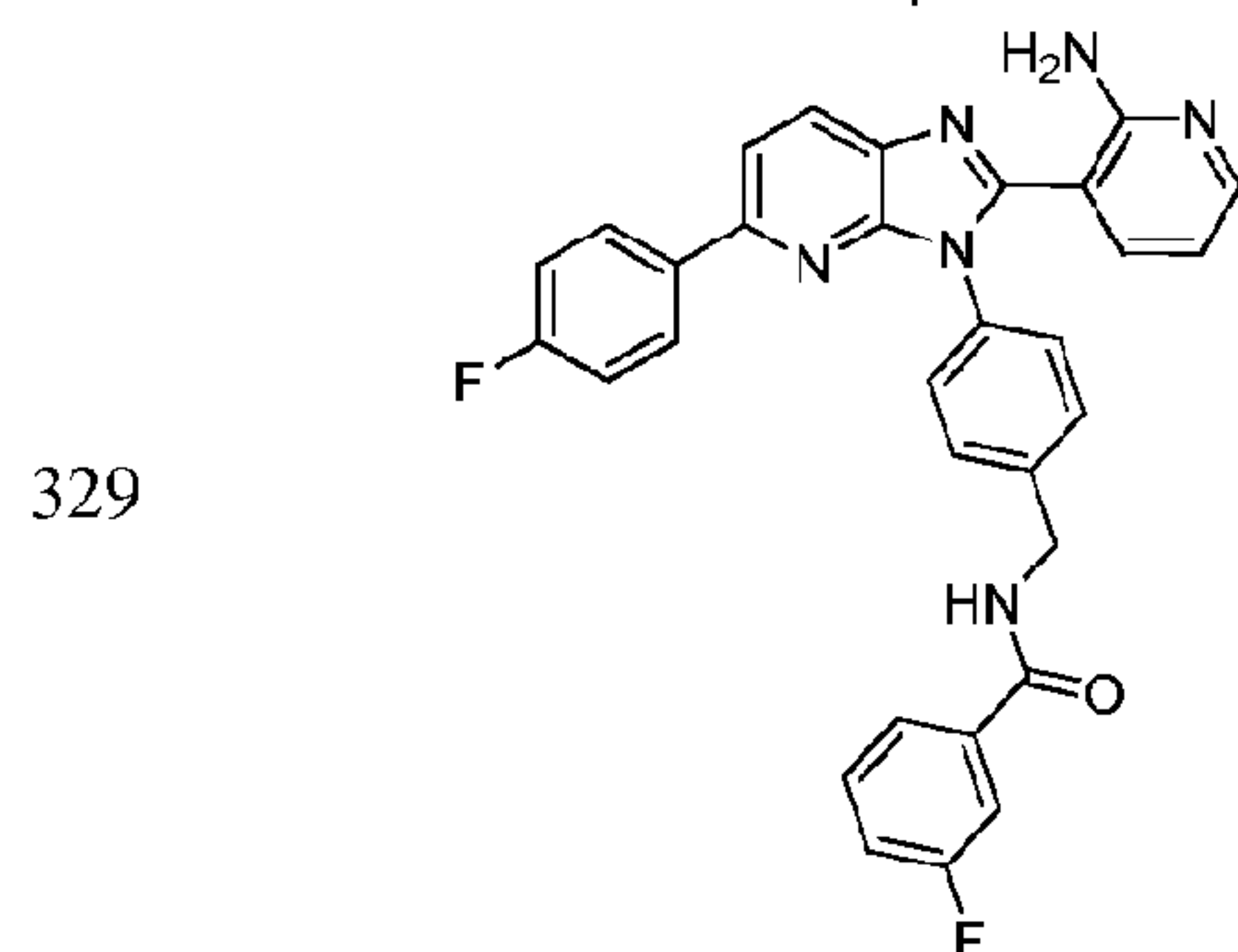
N-(4-(2-(2-aminopyridin-3-yl)-5-(4-cyanophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



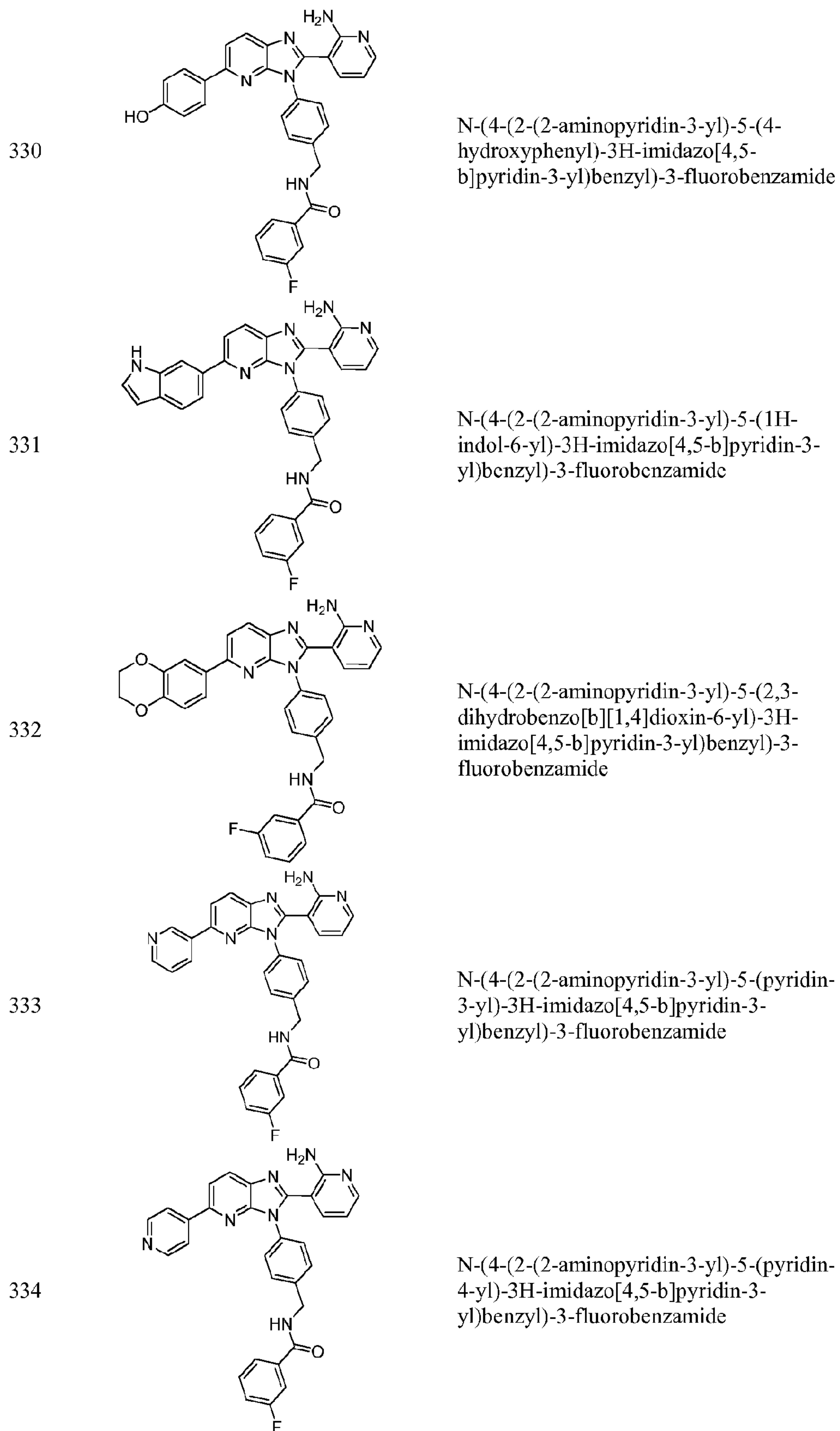
N-(4-(5-(2-aminophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

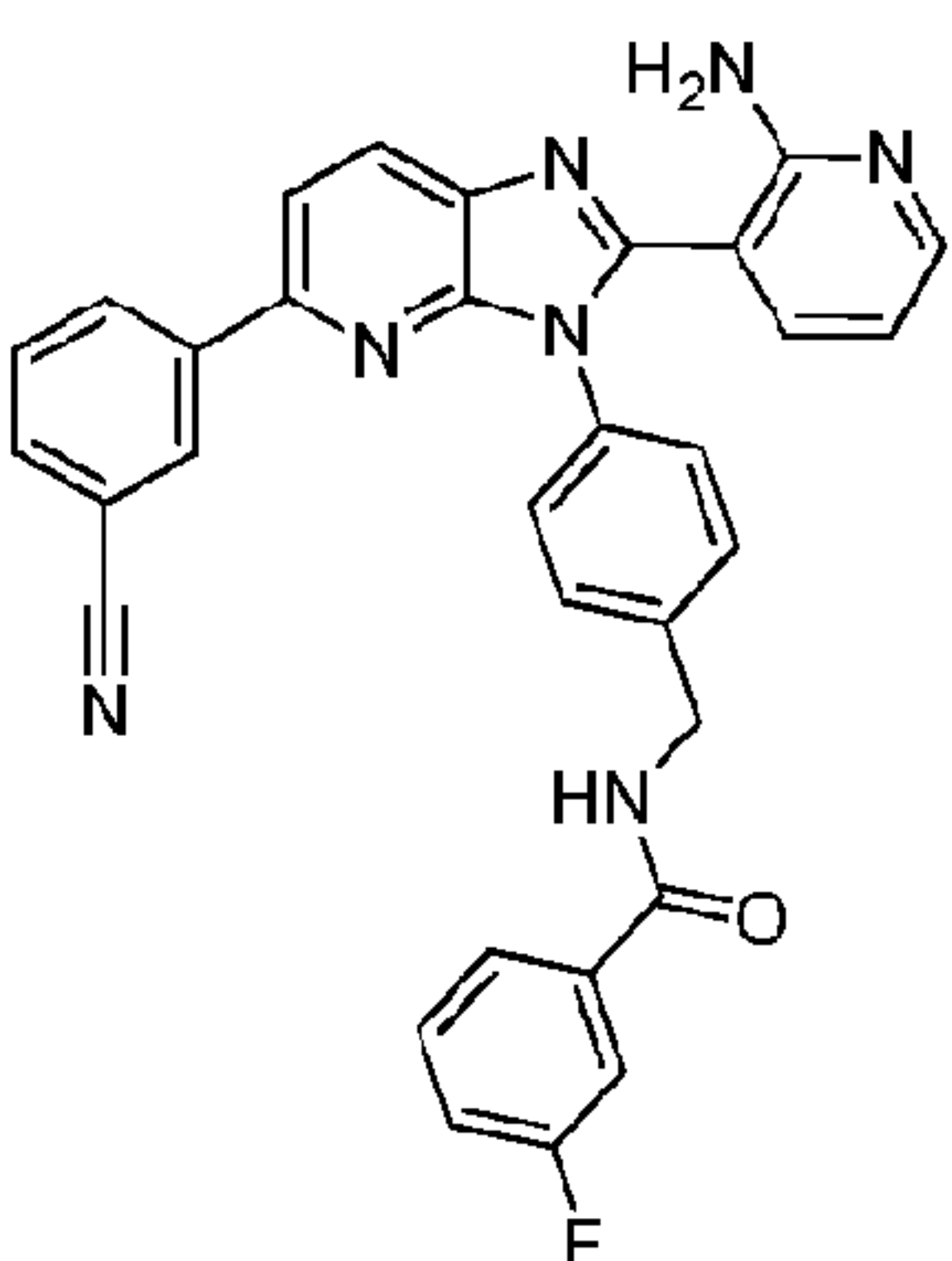
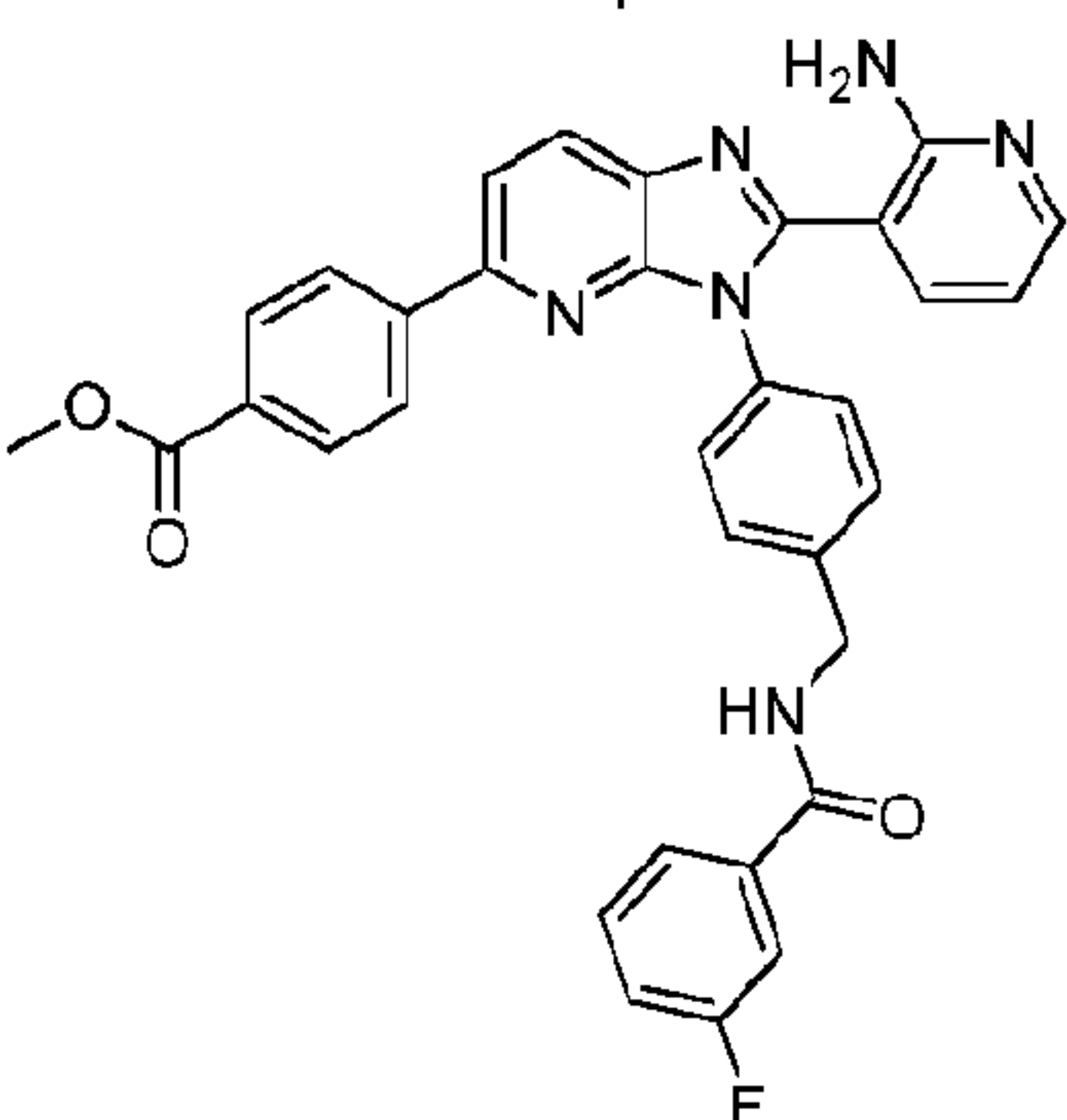
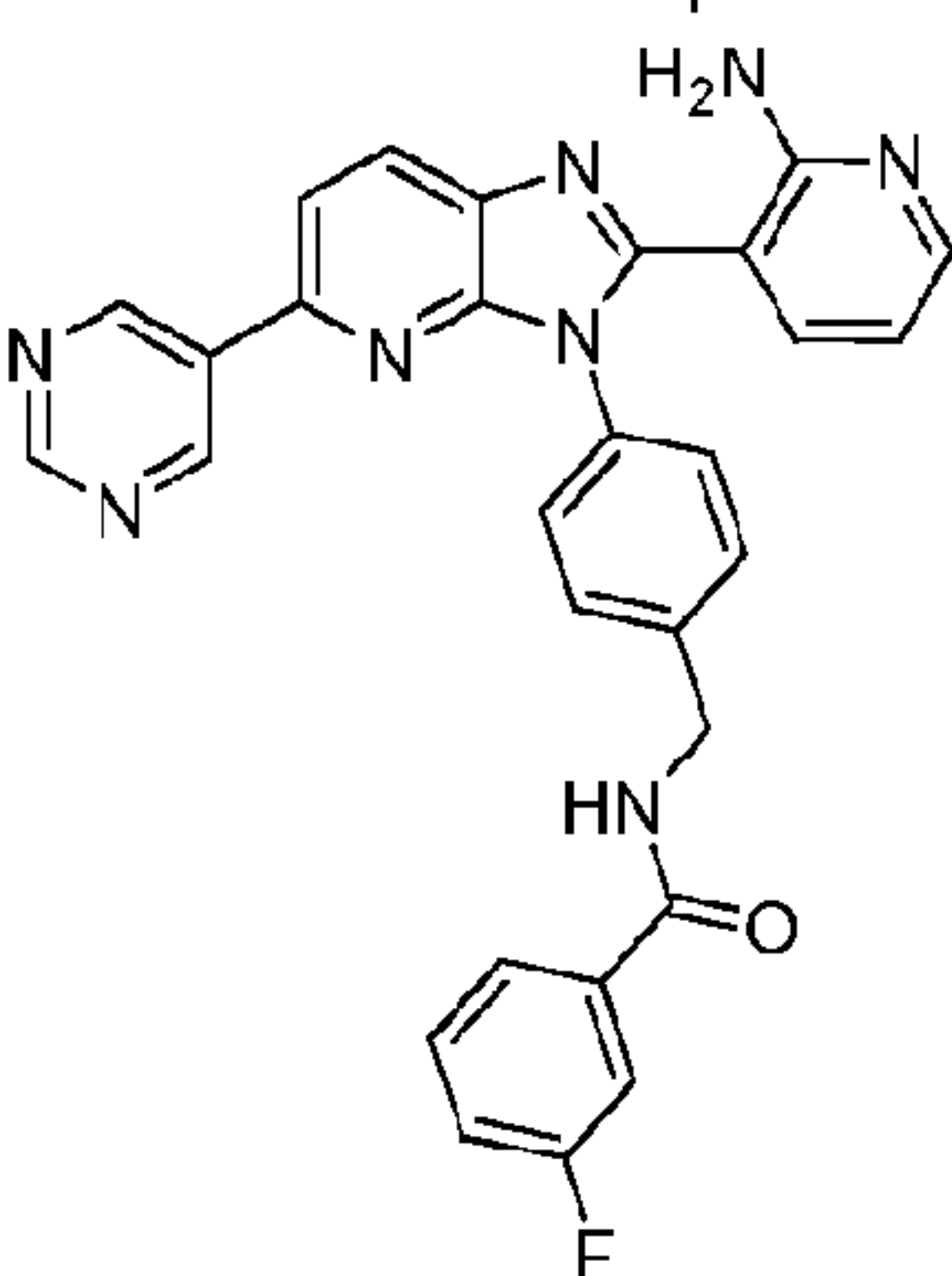
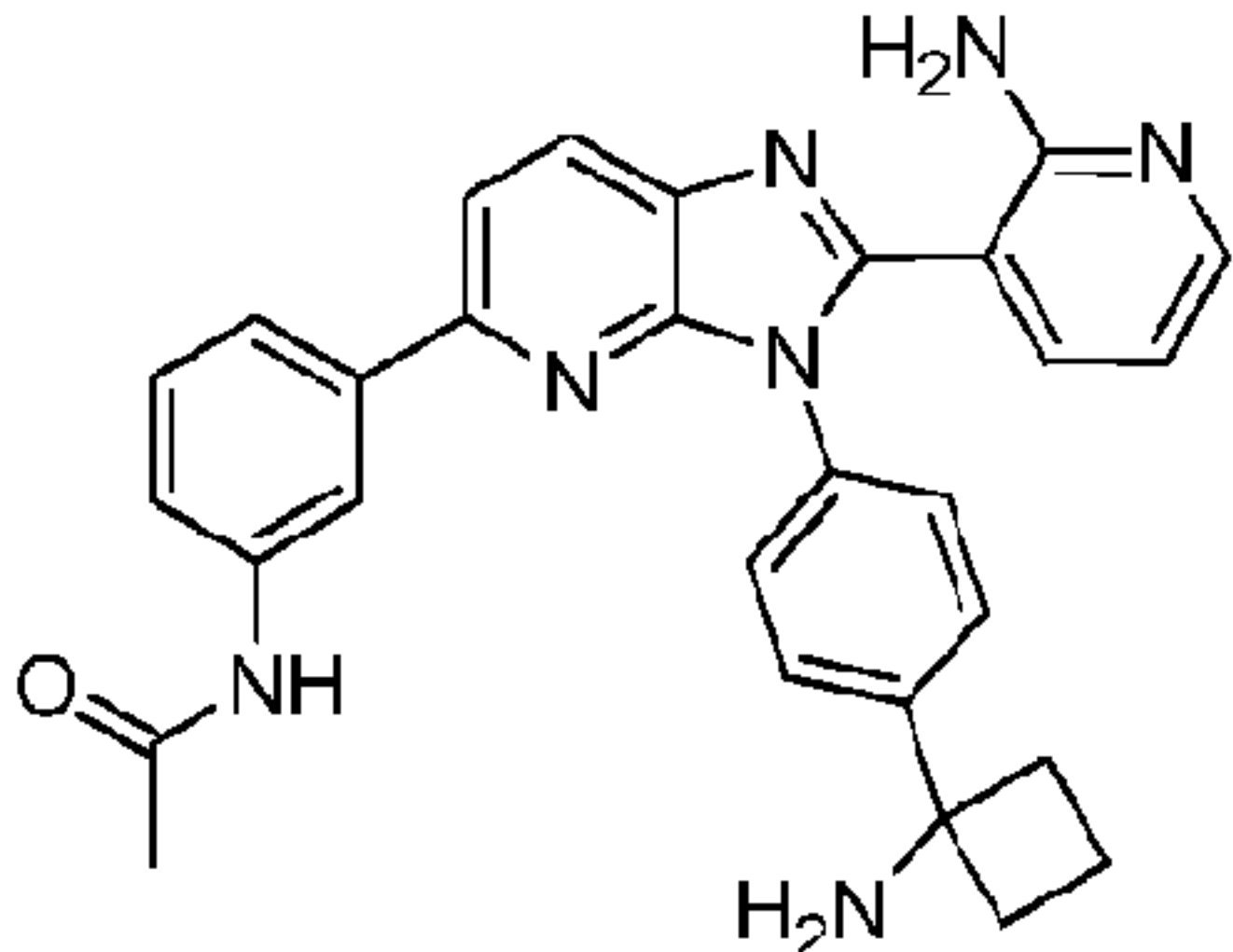


N-(4-(2-(2-aminopyridin-3-yl)-5-(2-hydroxyphenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide

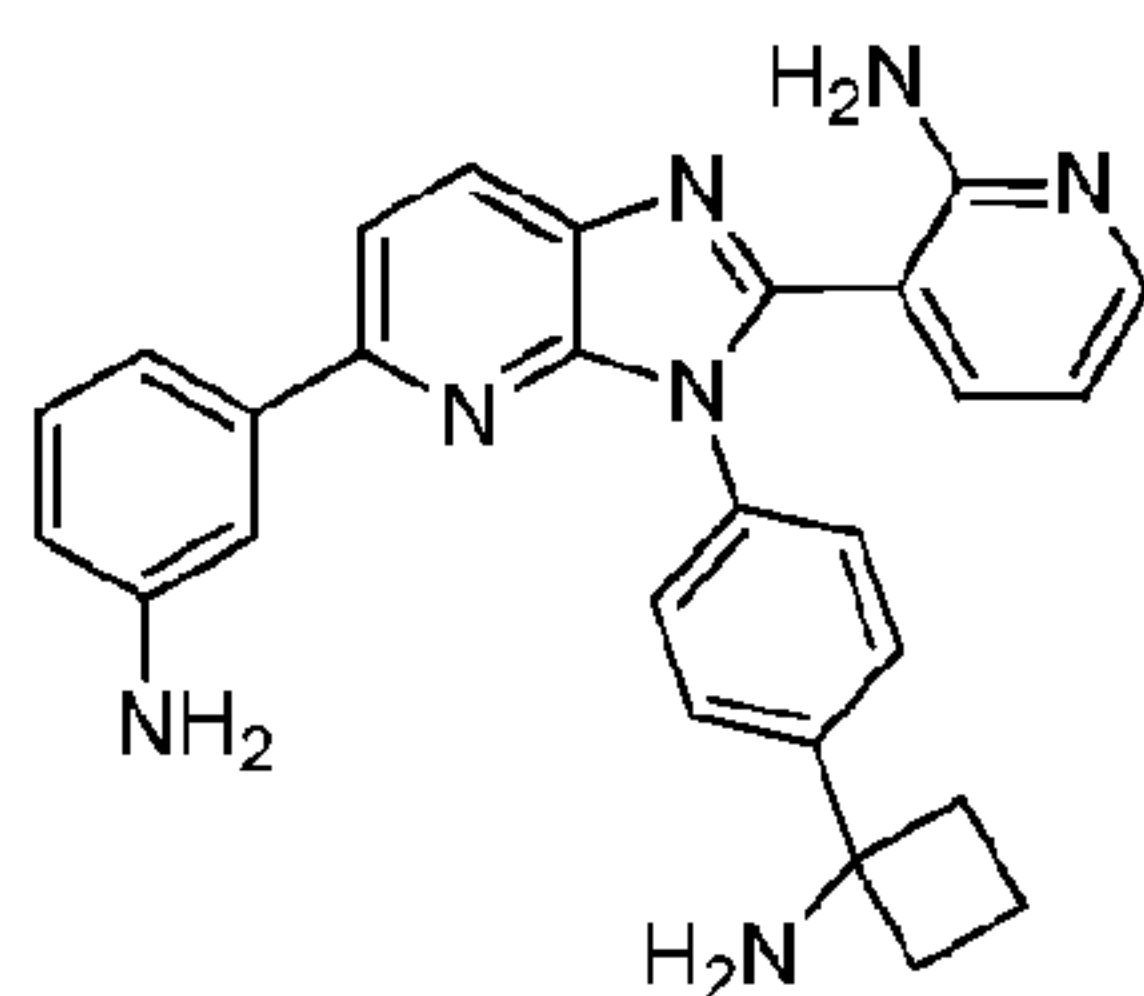


N-(4-(2-(2-aminopyridin-3-yl)-5-(4-fluorophenyl)-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)-3-fluorobenzamide



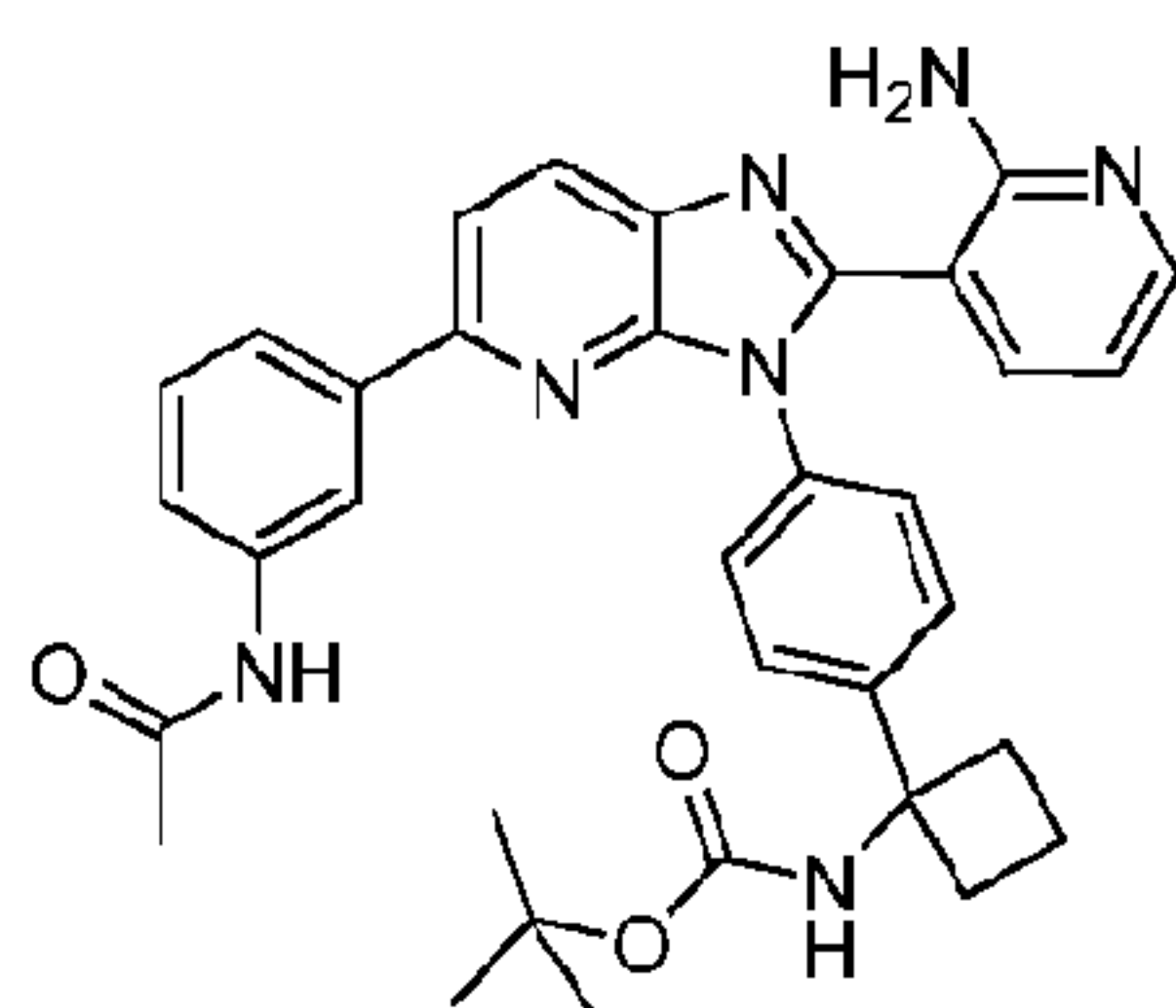
- 335 
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339



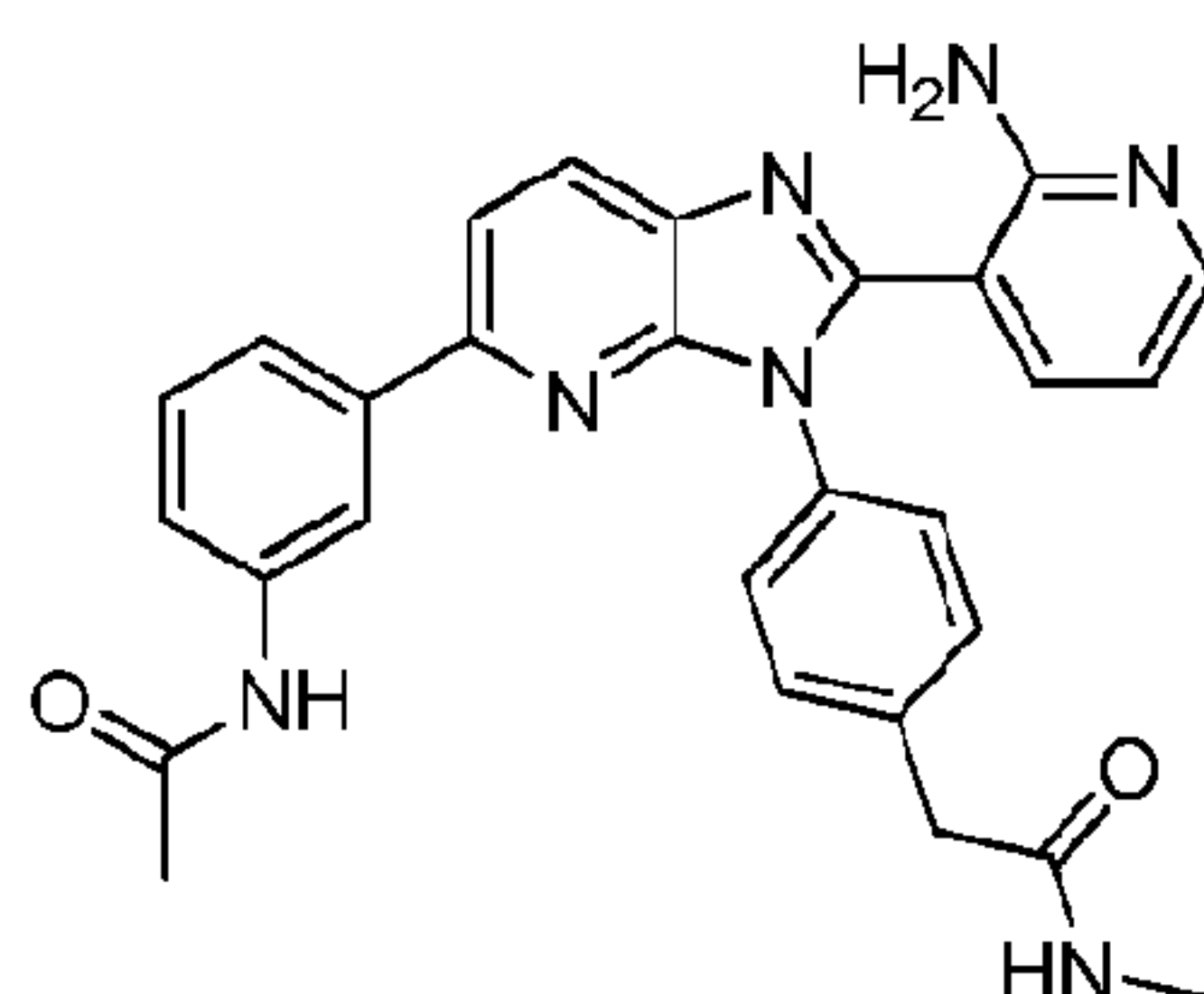
3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-aminophenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

340



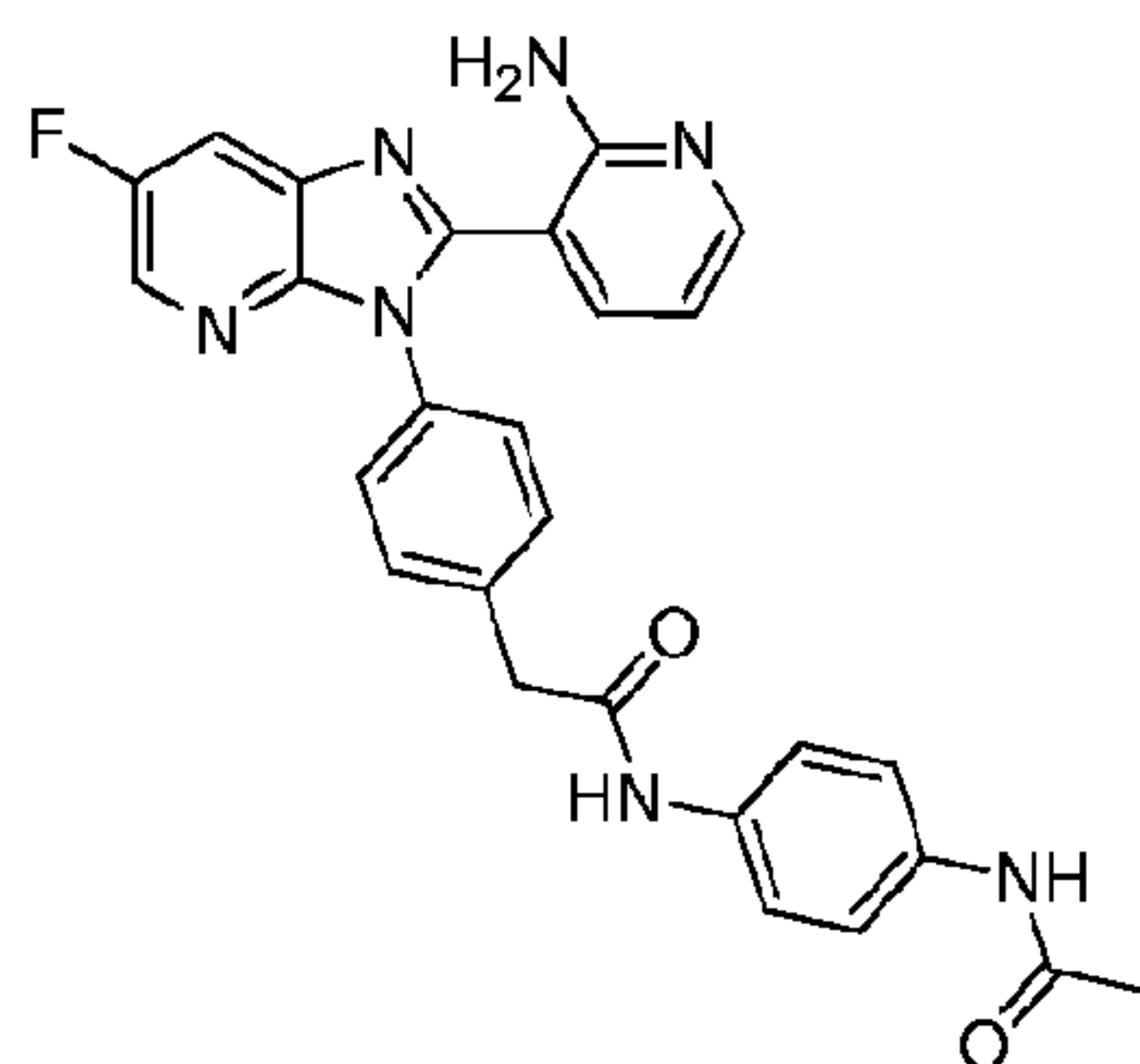
tert-butyl (1-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate

341



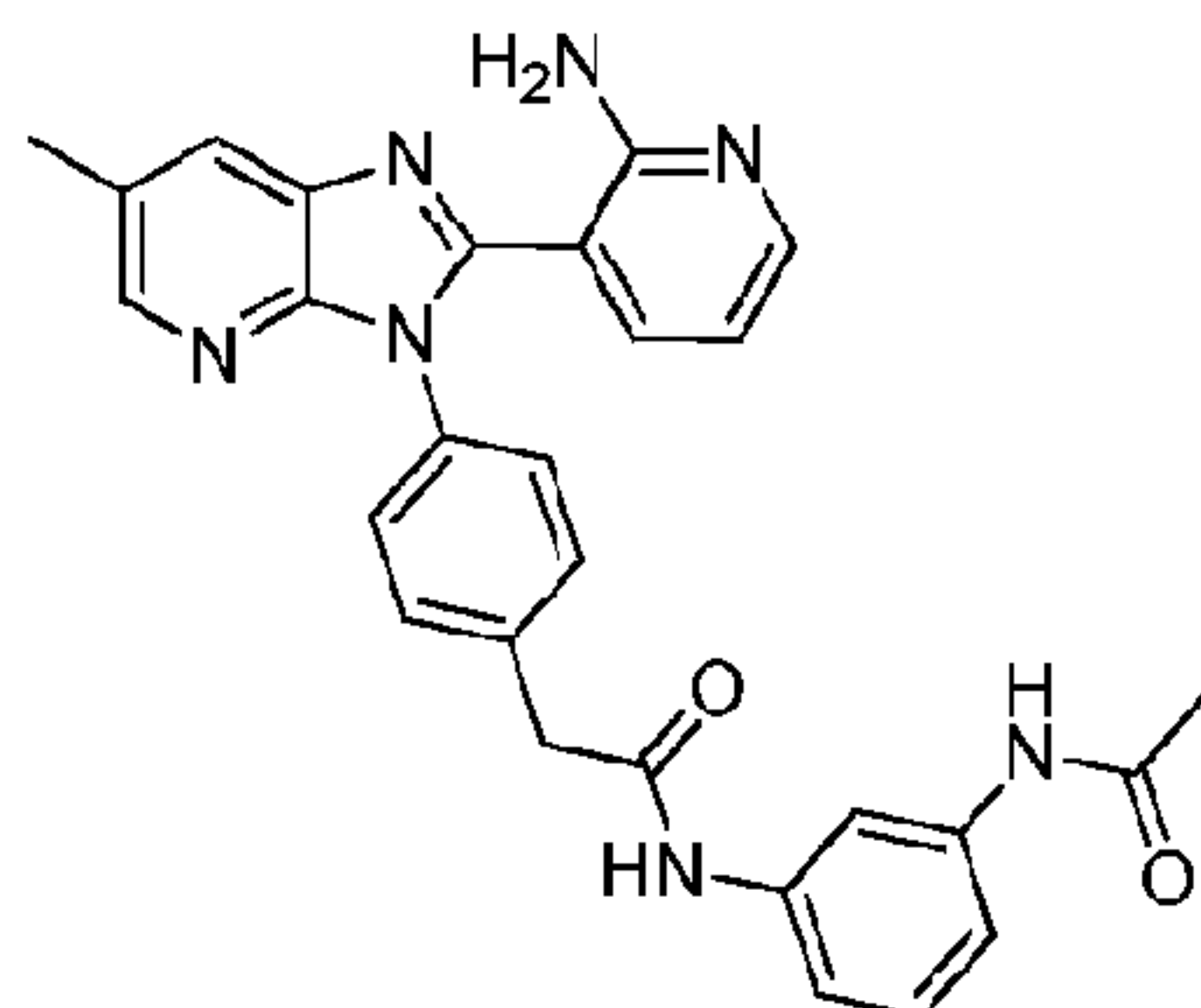
2-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylacetamide

342

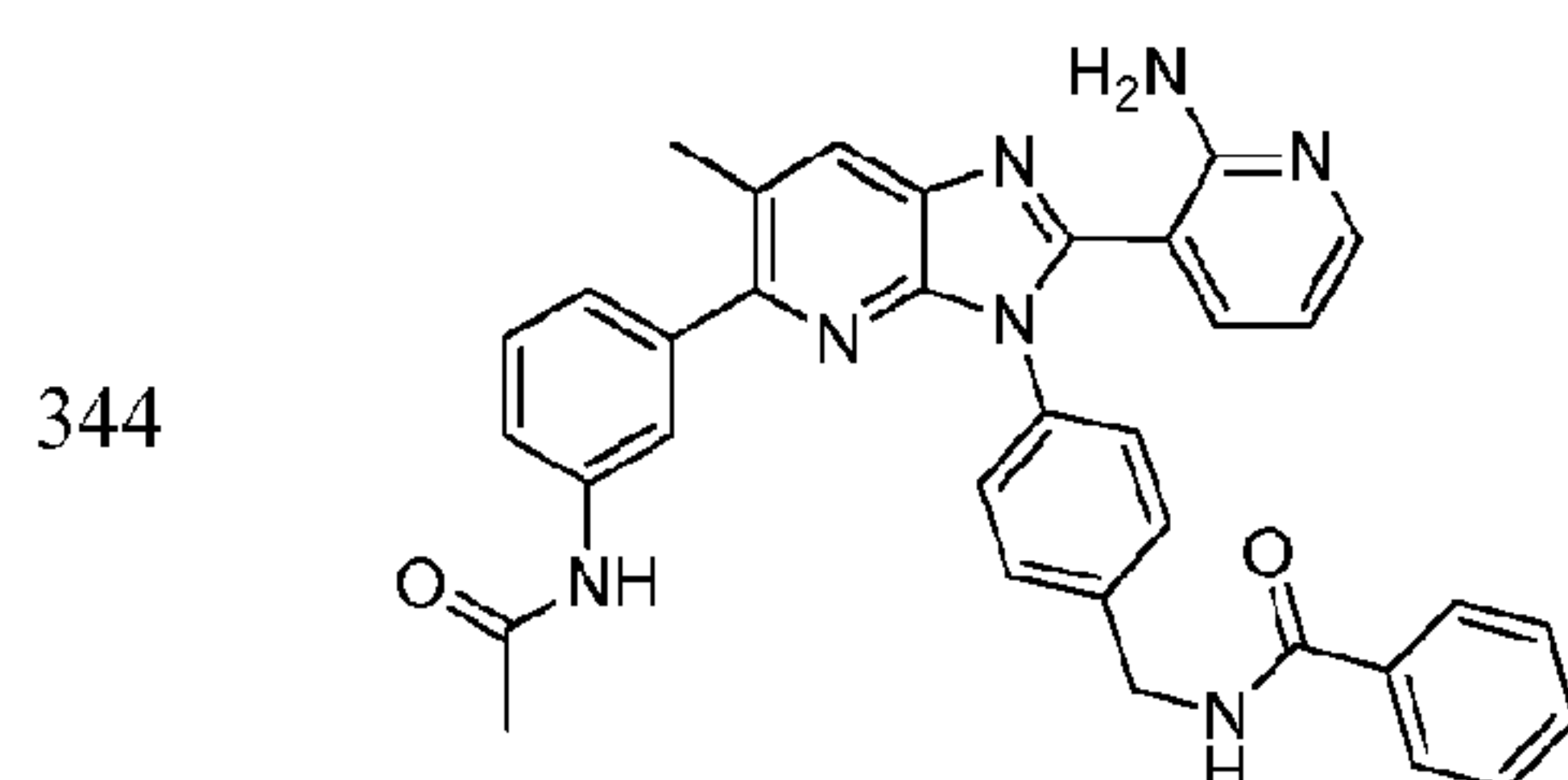


N-(4-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-fluoro-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide

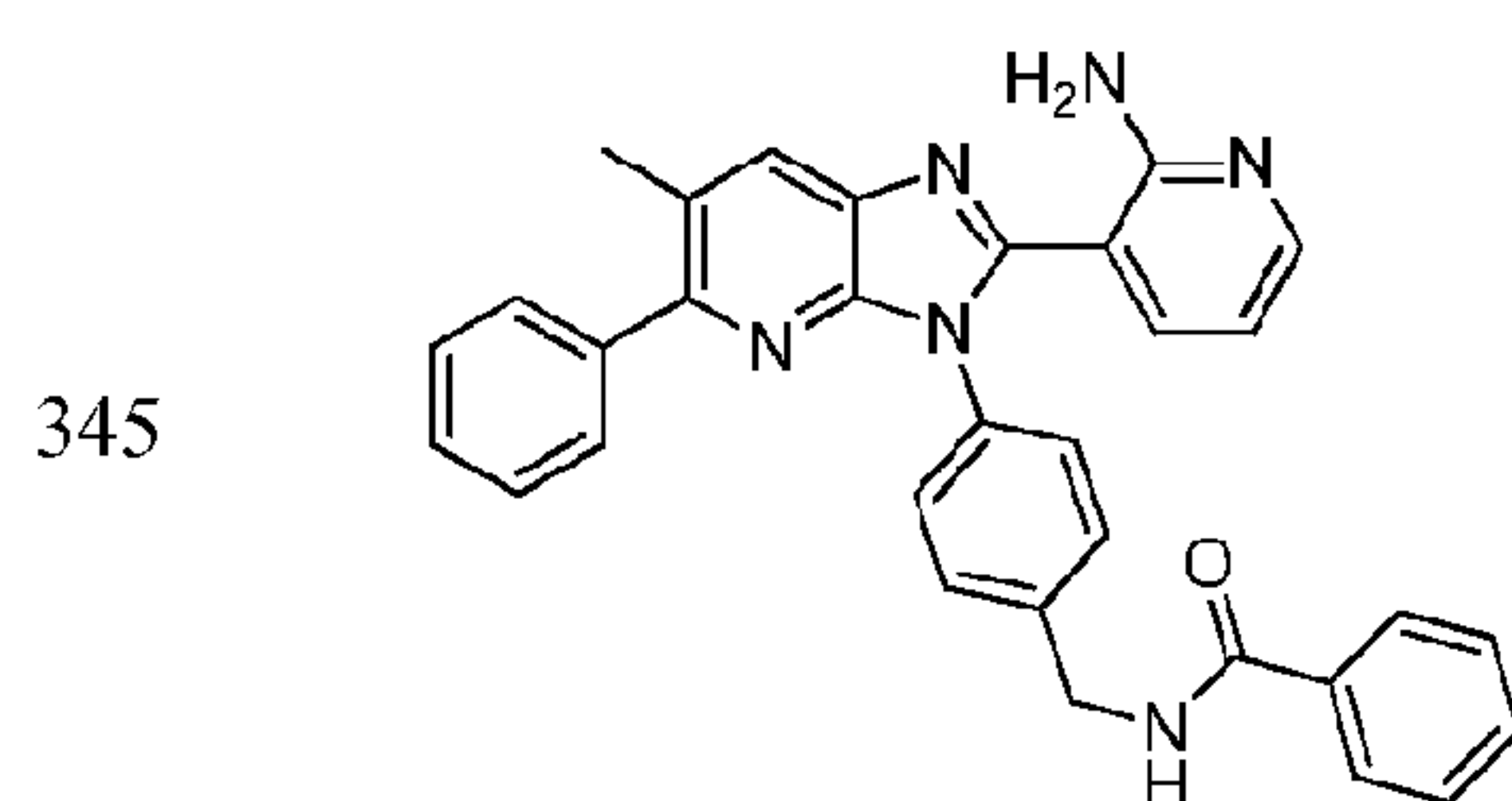
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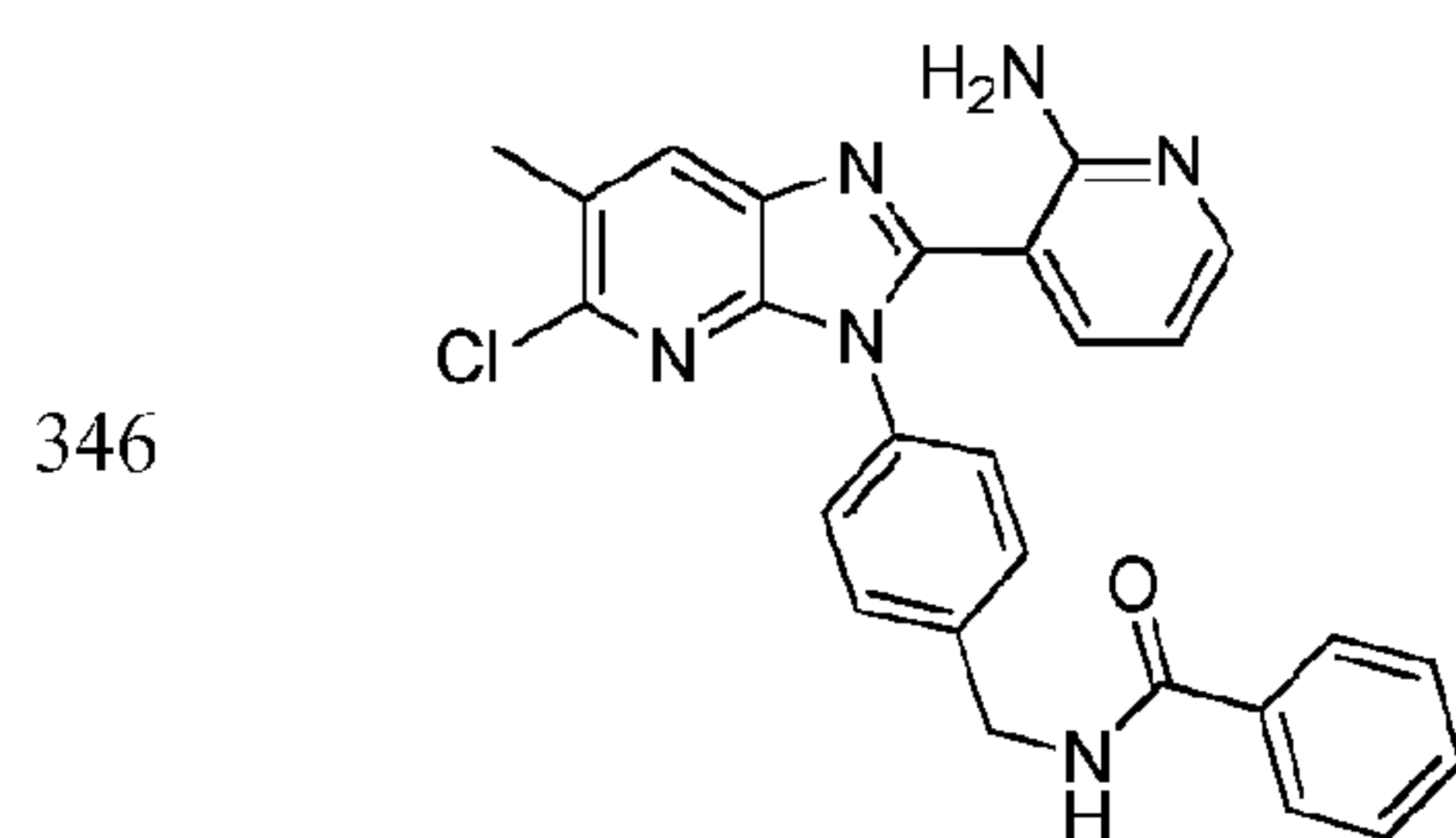
N-(3-acetamidophenyl)-2-(4-(2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)acetamide



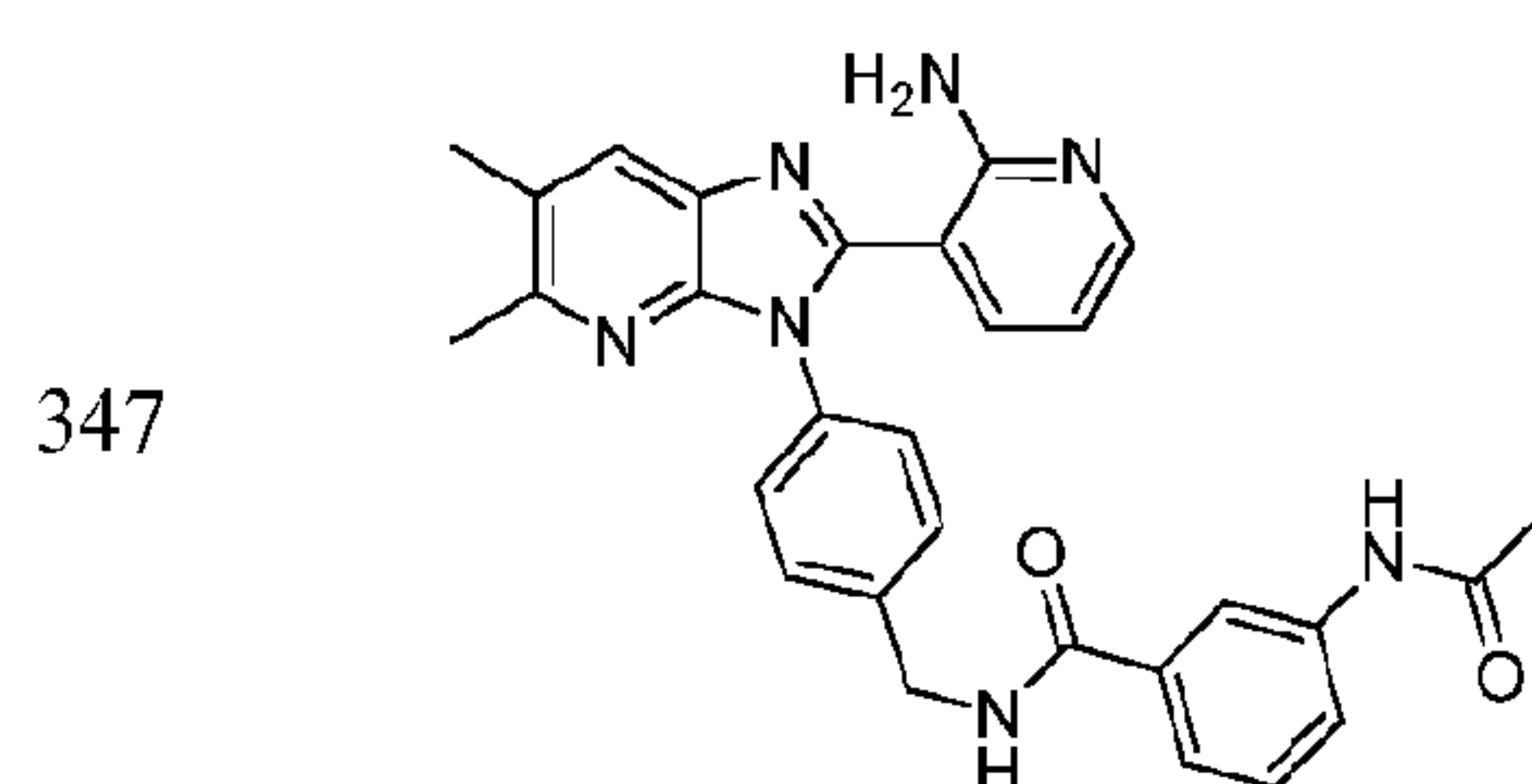
N-(4-(5-(3-acetamidophenyl)-2-(2-aminopyridin-3-yl)-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



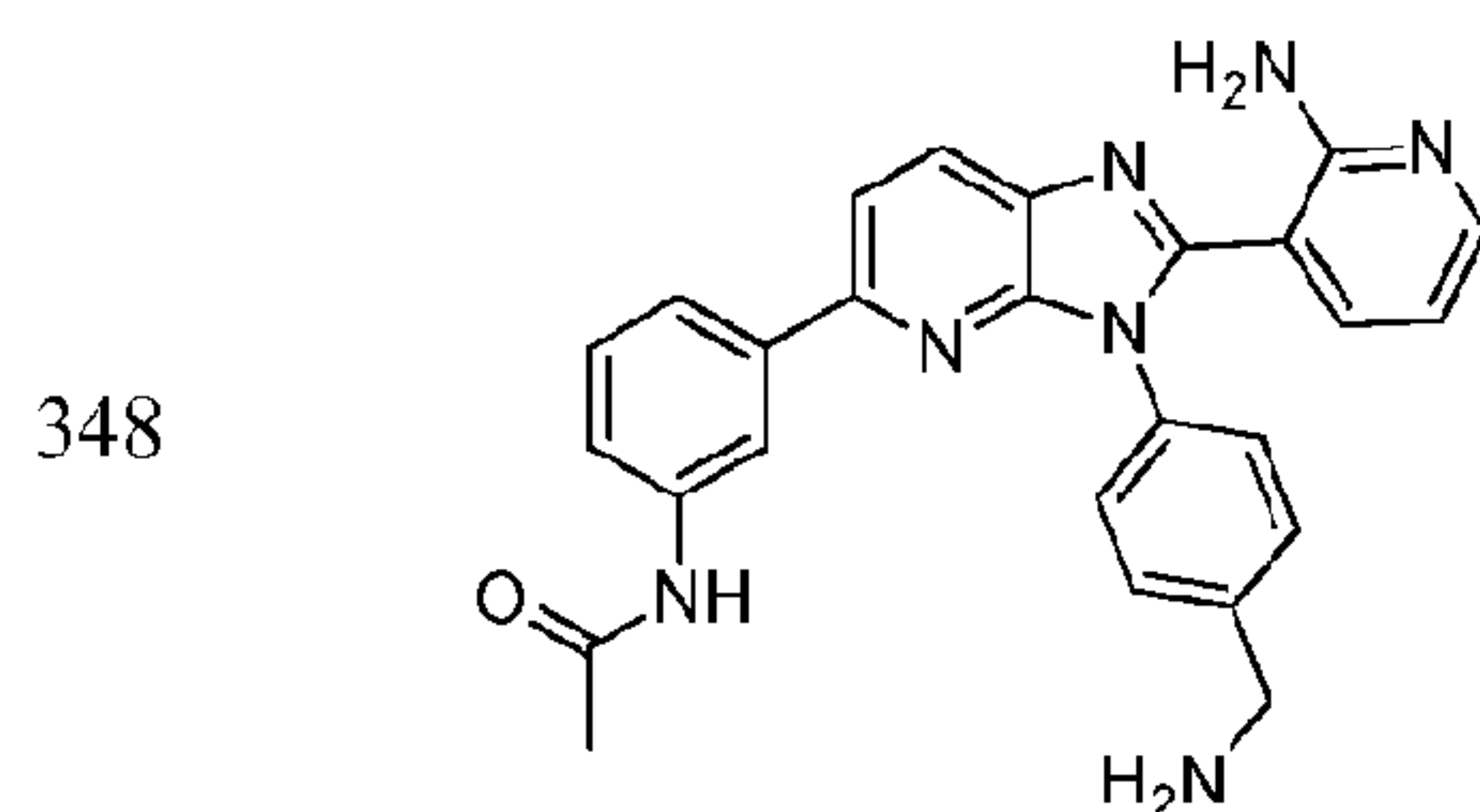
N-(4-(2-(2-aminopyridin-3-yl)-6-methyl-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



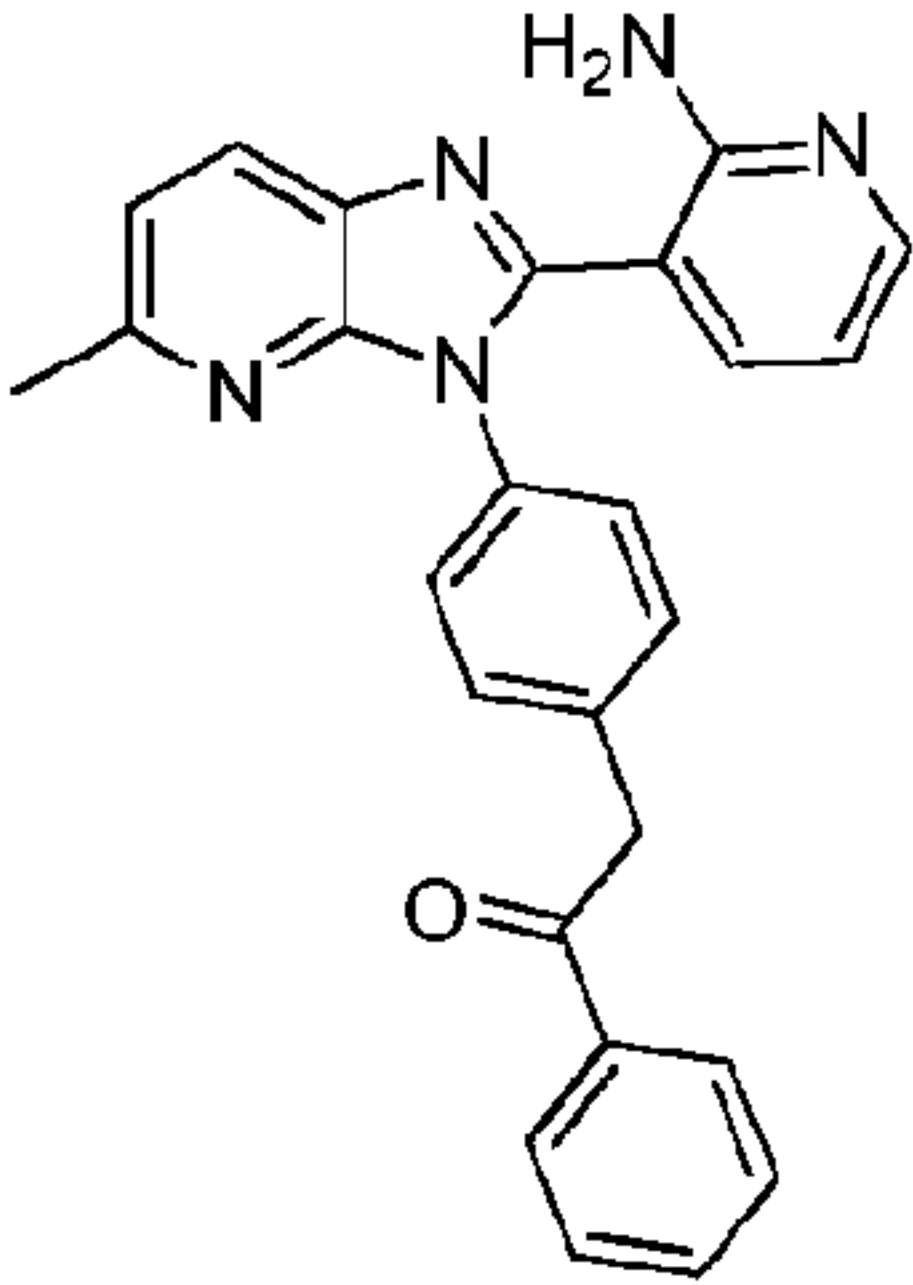
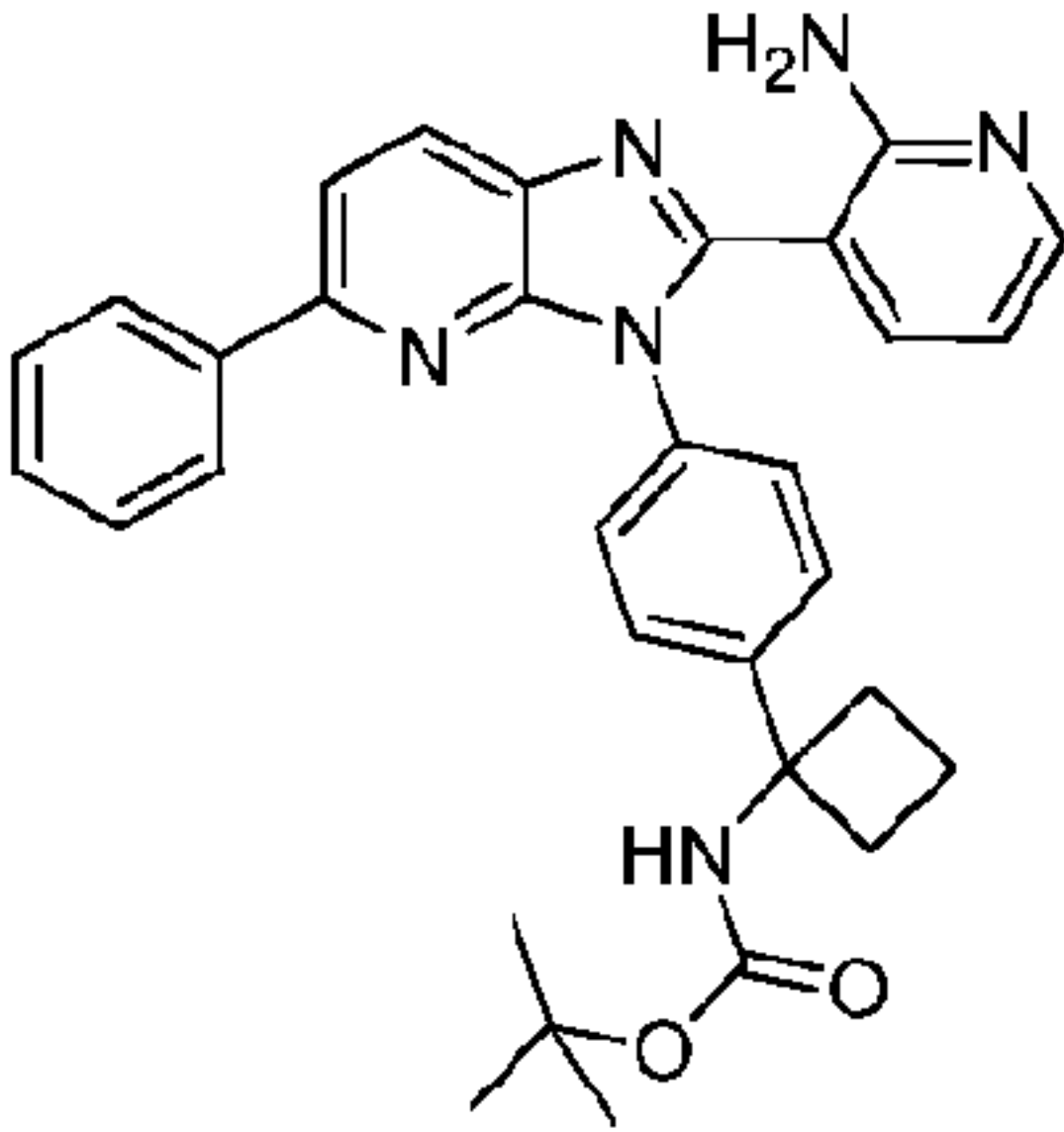
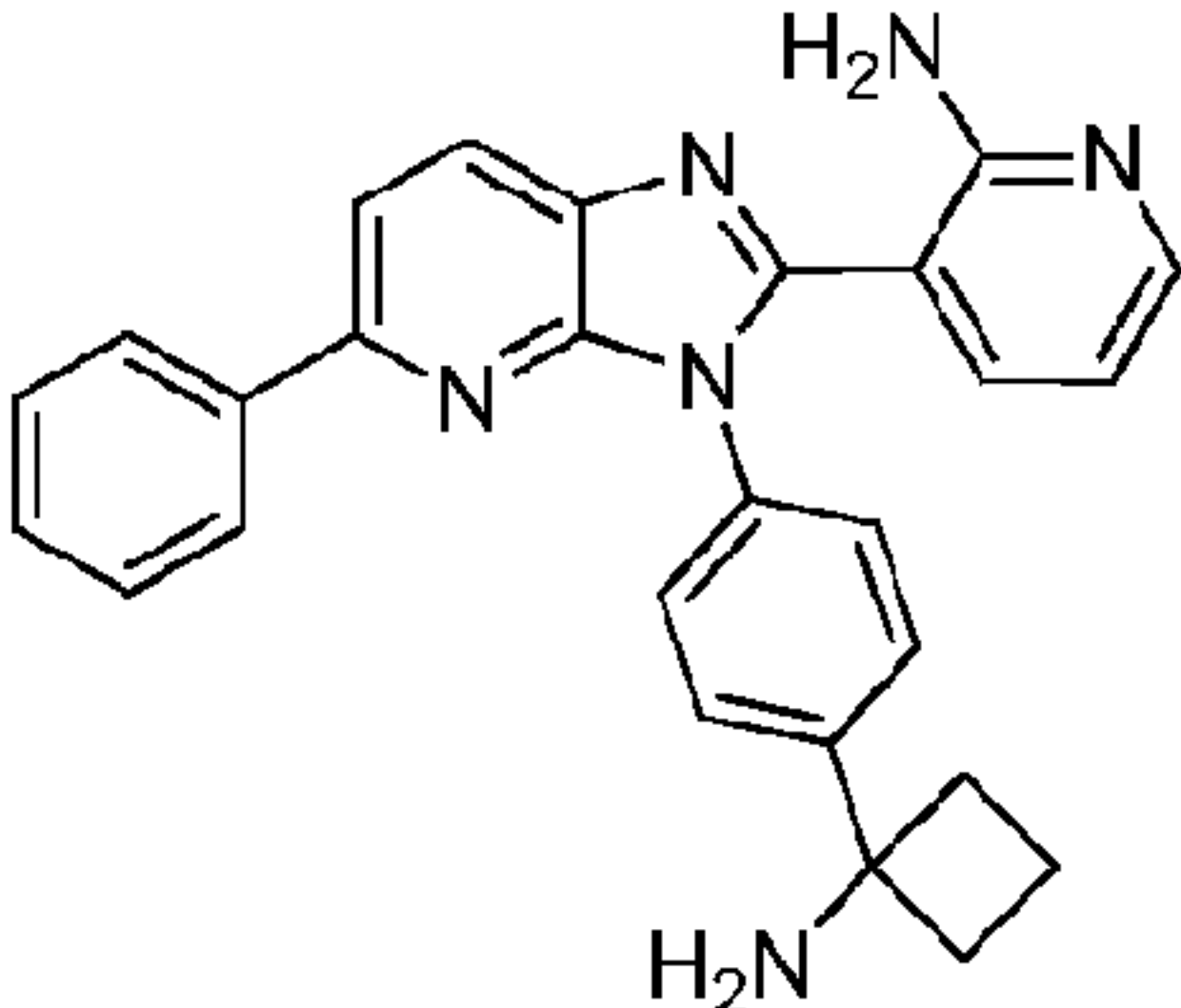
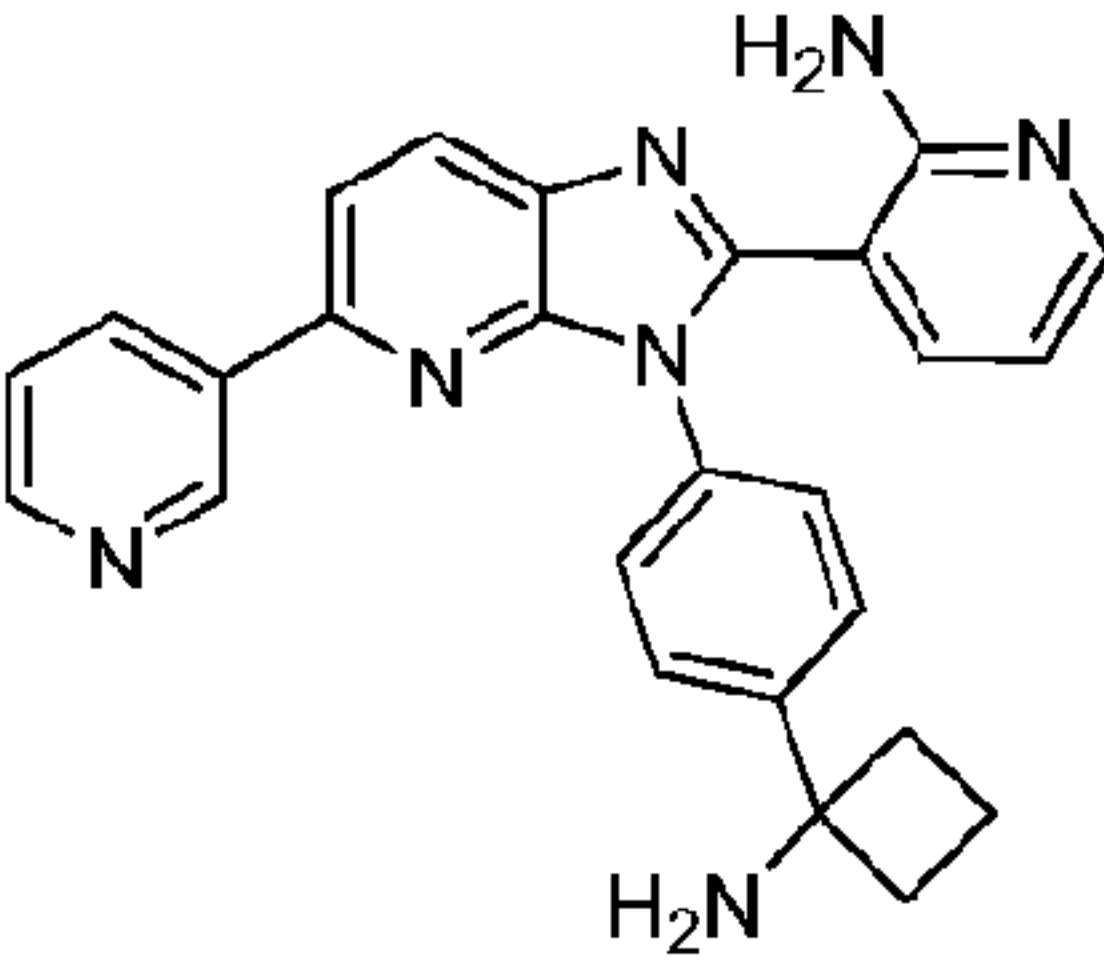
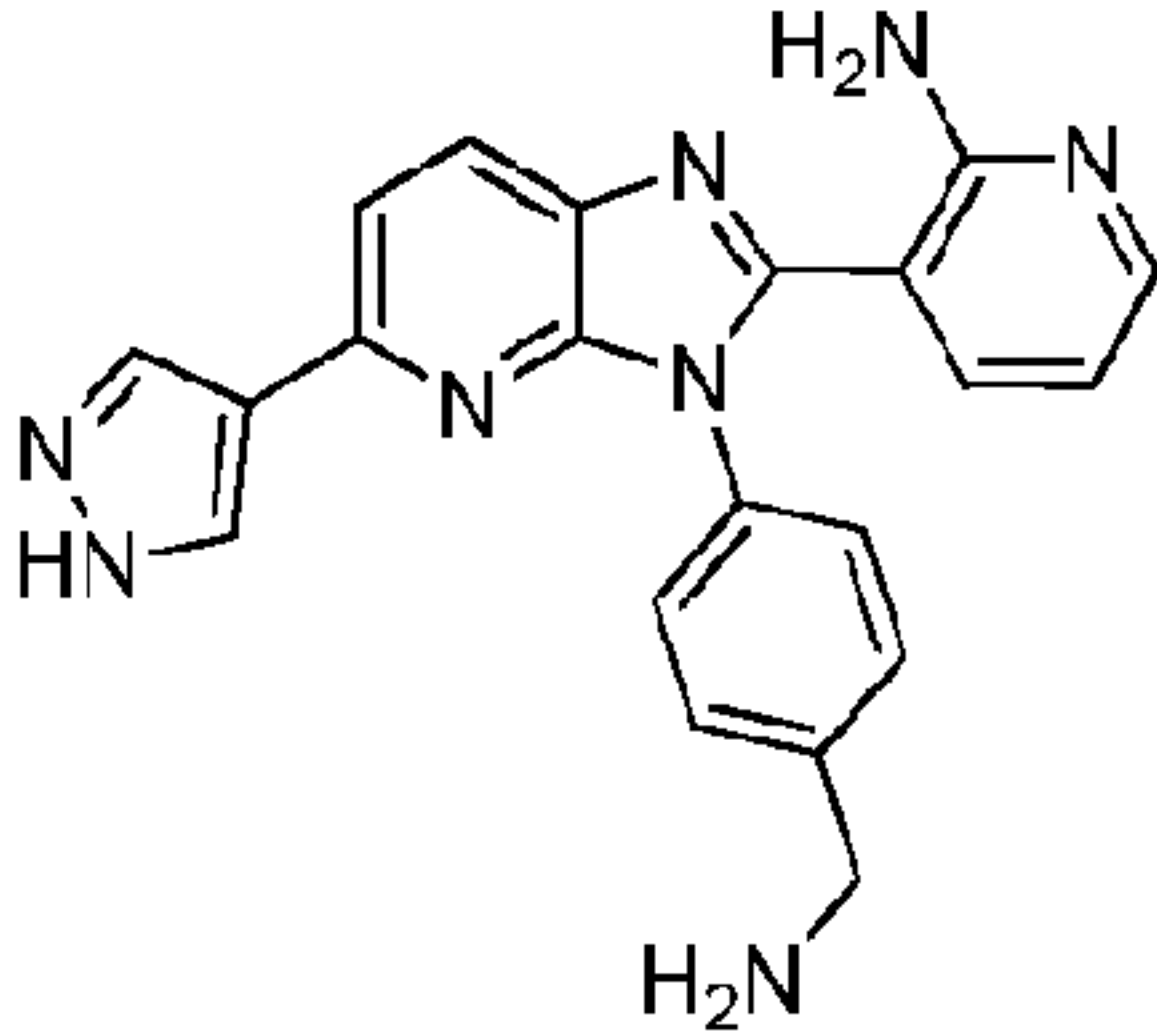
N-(4-(2-(2-aminopyridin-3-yl)-5-chloro-6-methyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



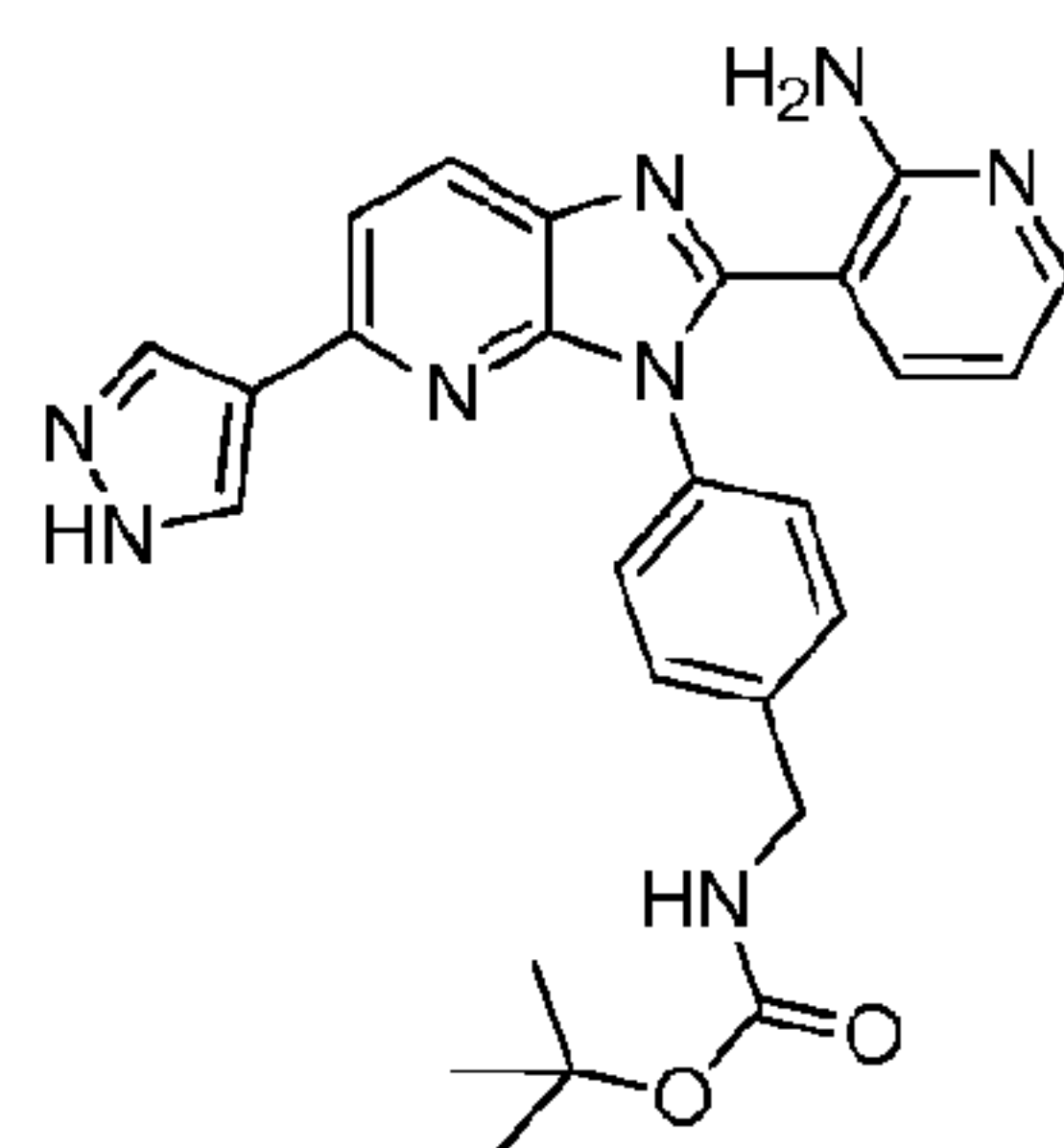
3-acetamido-N-(4-(2-(2-aminopyridin-3-yl)-5,6-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)benzyl)benzamide



N-(3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)acetamide

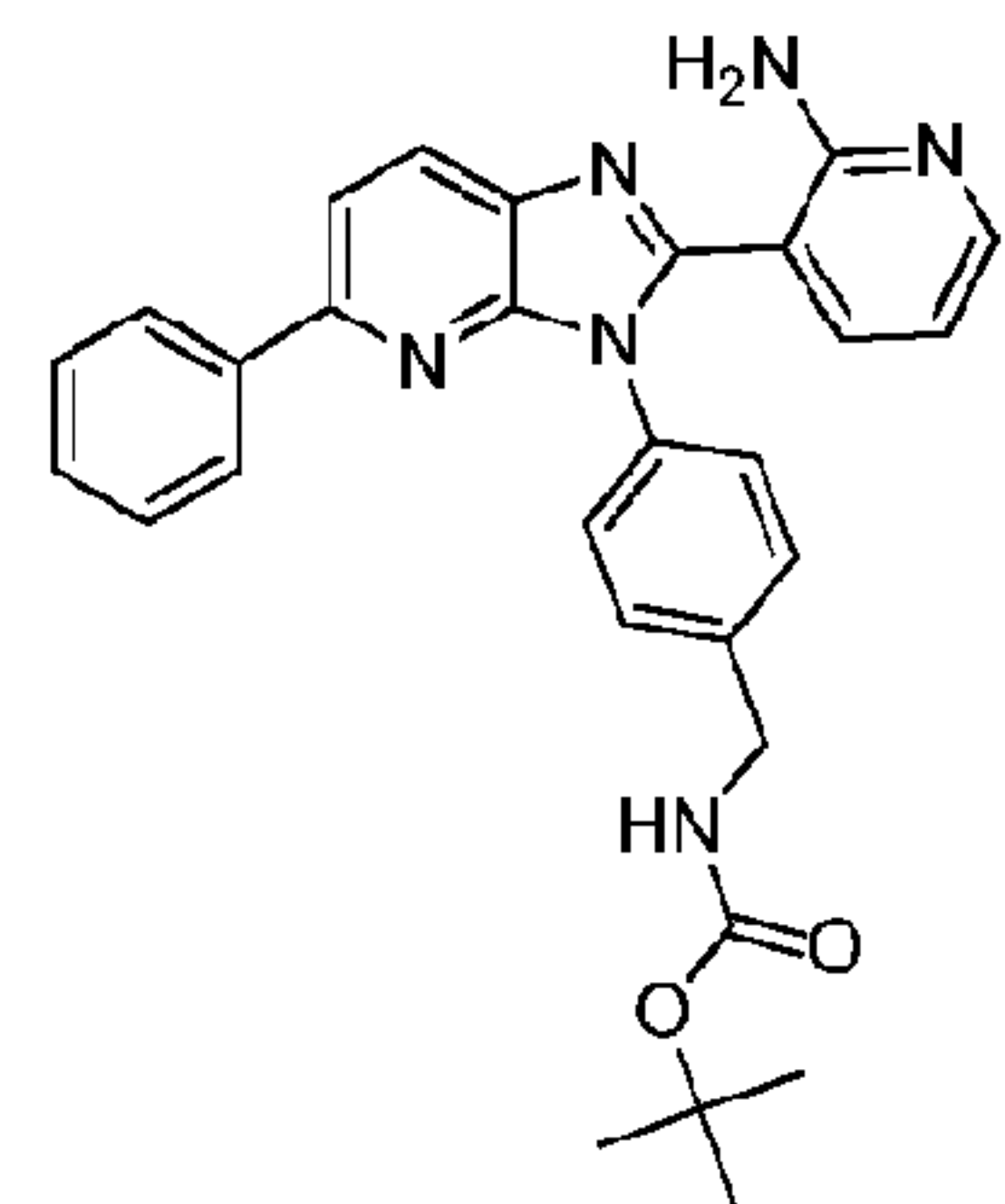
- 349  2-(4-(2-(2-aminopyridin-3-yl)-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-1-phenylethanone
- 350  tert-butyl (1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)carbamate
- 351  3-(3-(4-(1-aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine
- 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



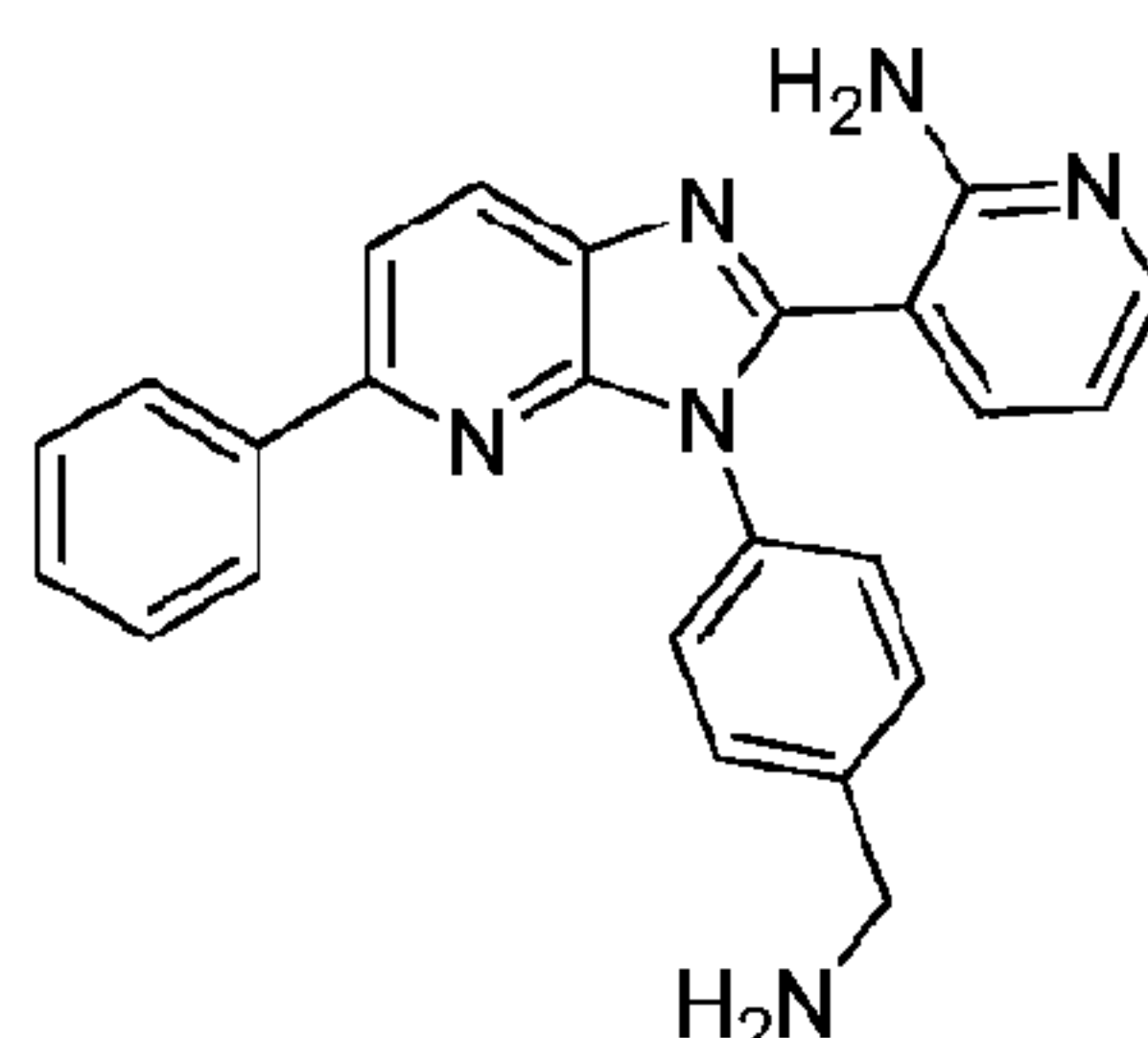
tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-(1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate

355



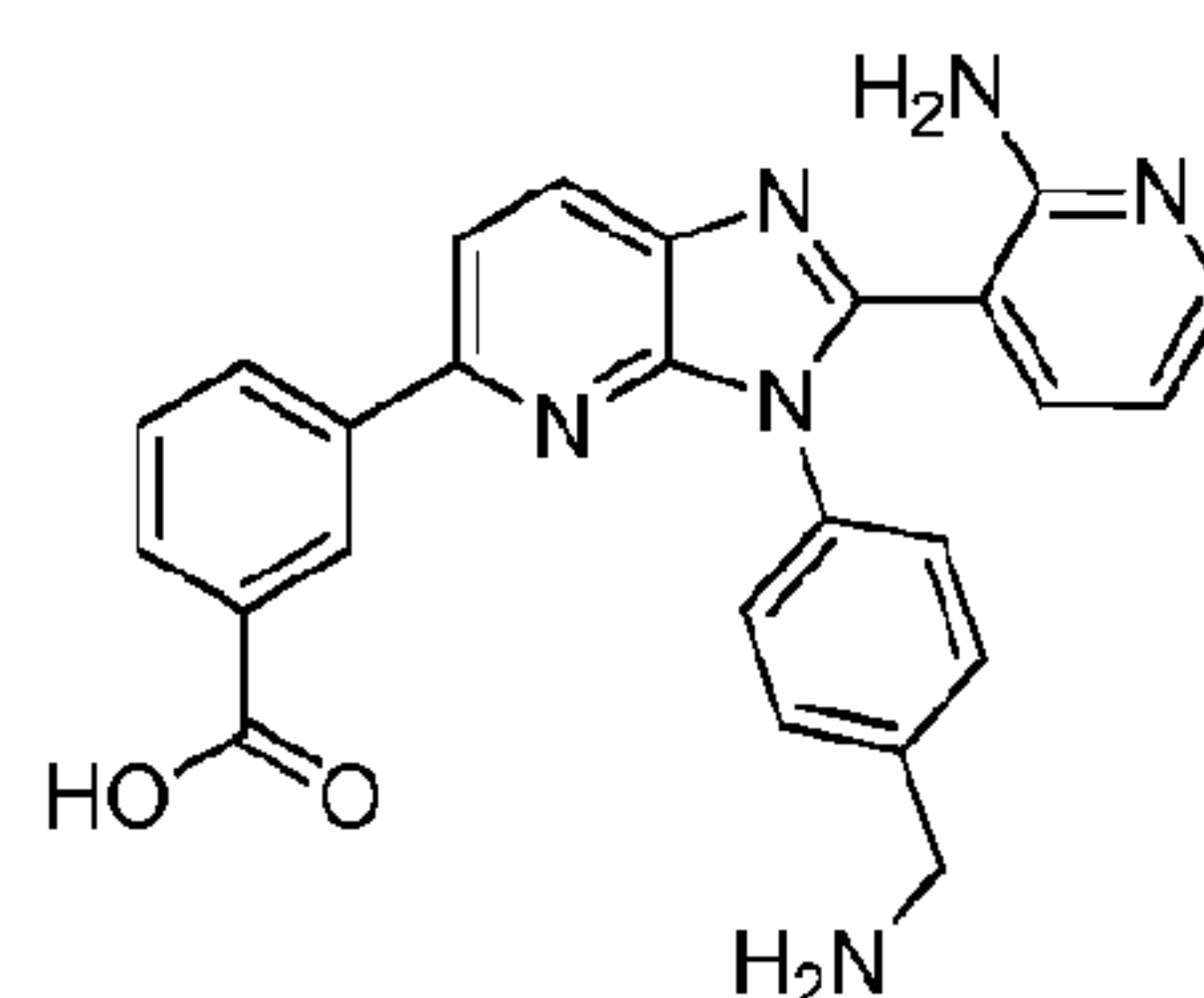
tert-butyl 4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)benzylcarbamate

356



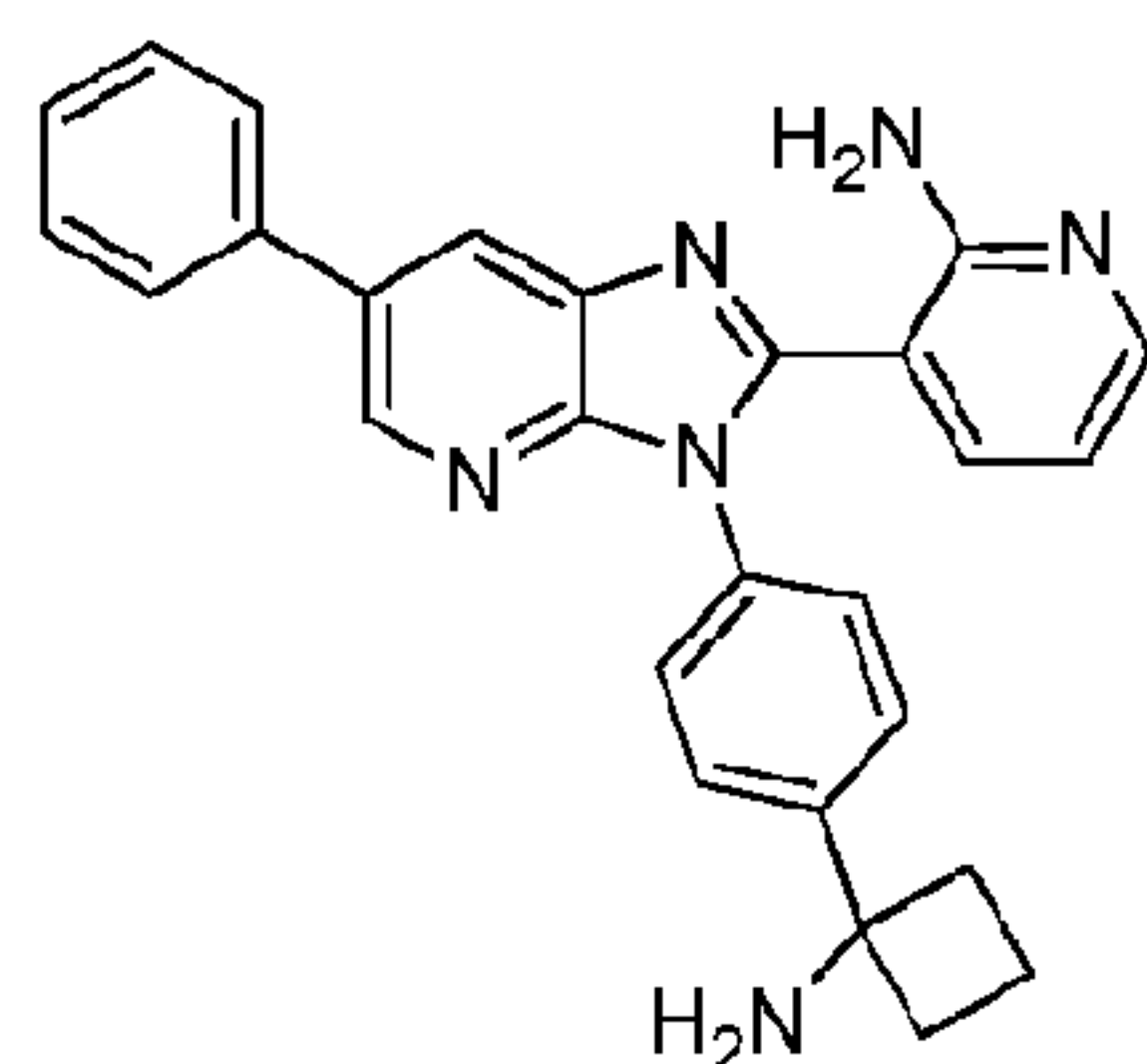
3-(3-(4-(aminomethyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

357

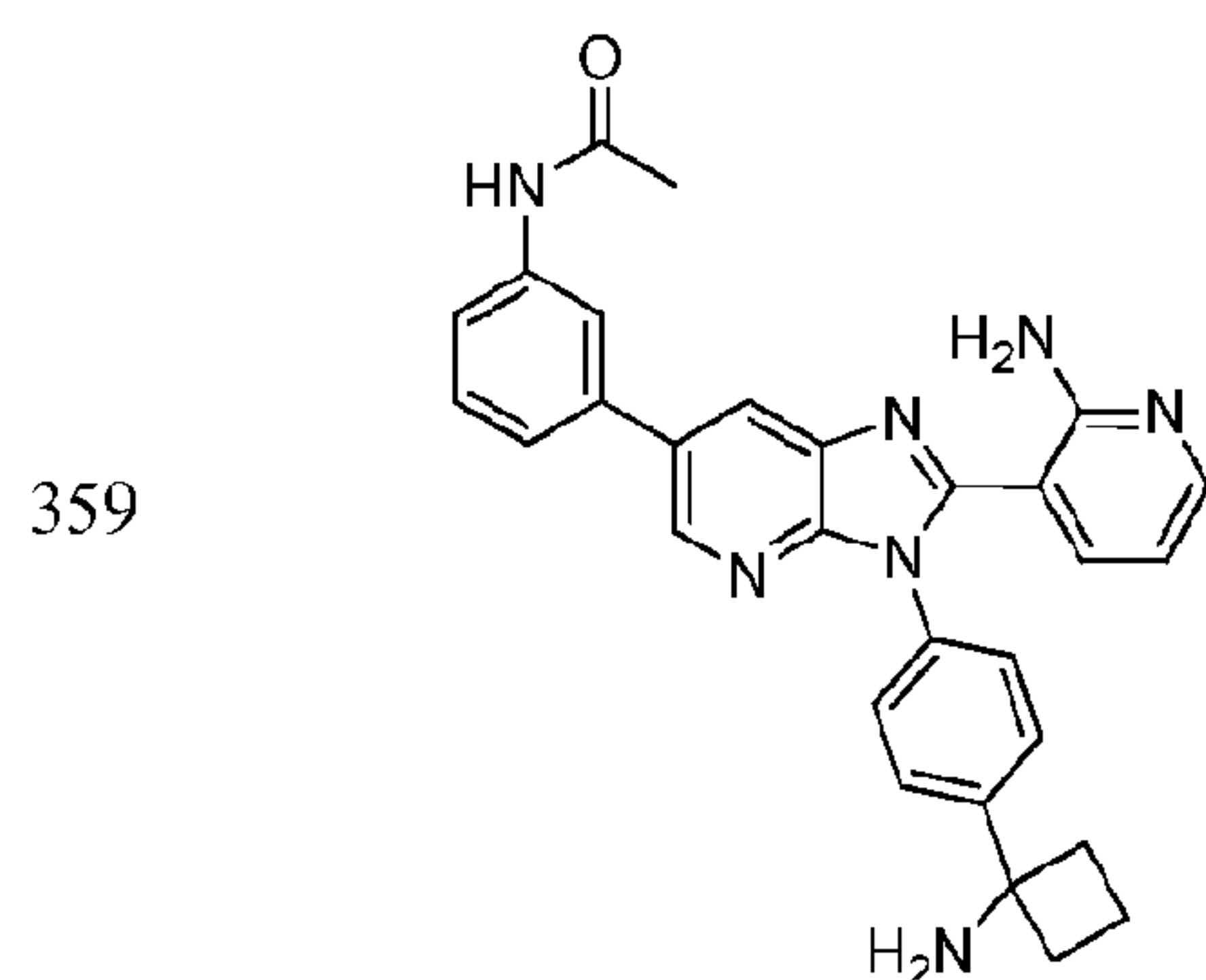


3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoic acid

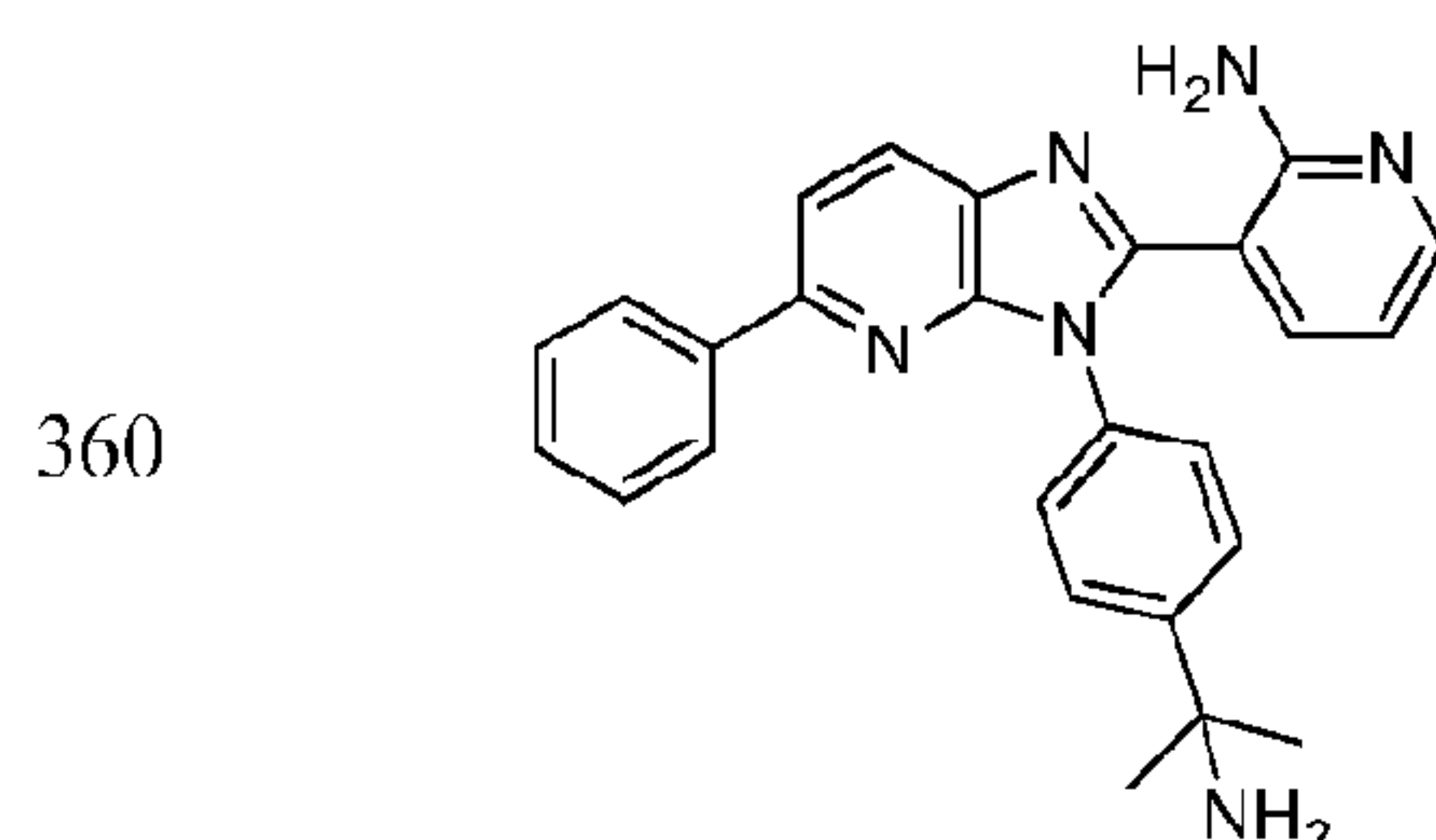
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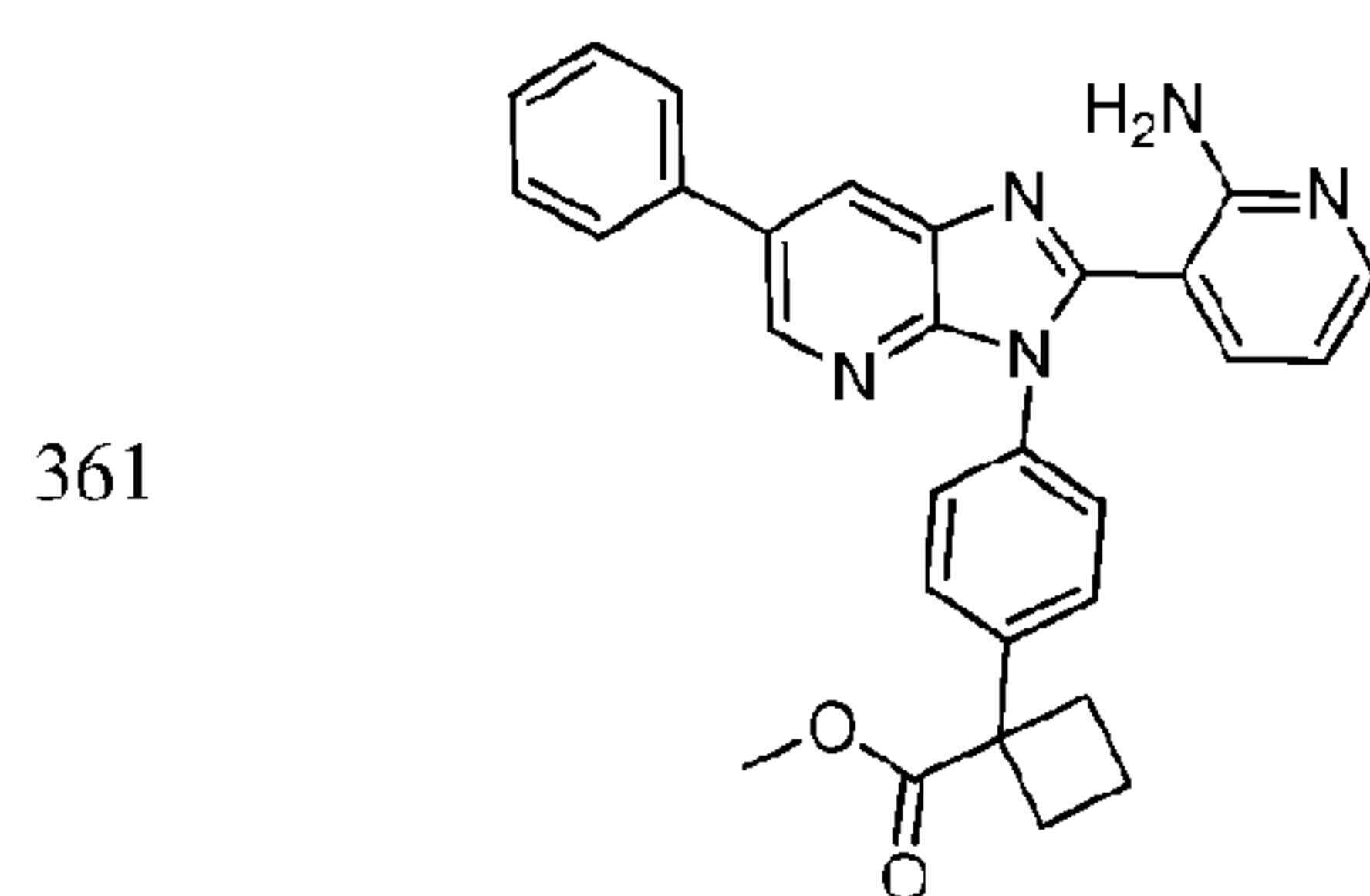
3-(3-(4-(1-aminocyclobutyl)phenyl)-6-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



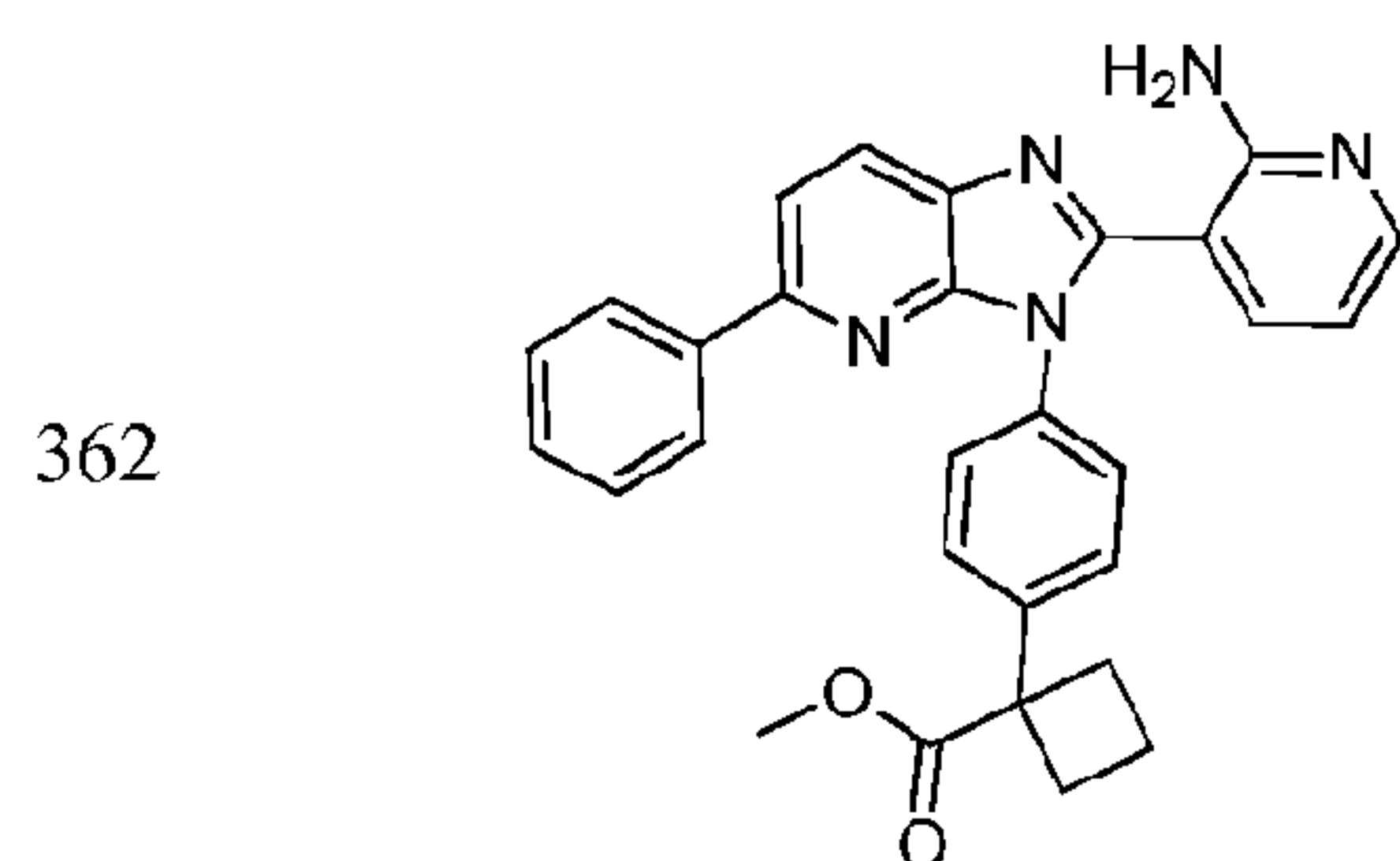
N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-6-yl)phenyl)acetamide



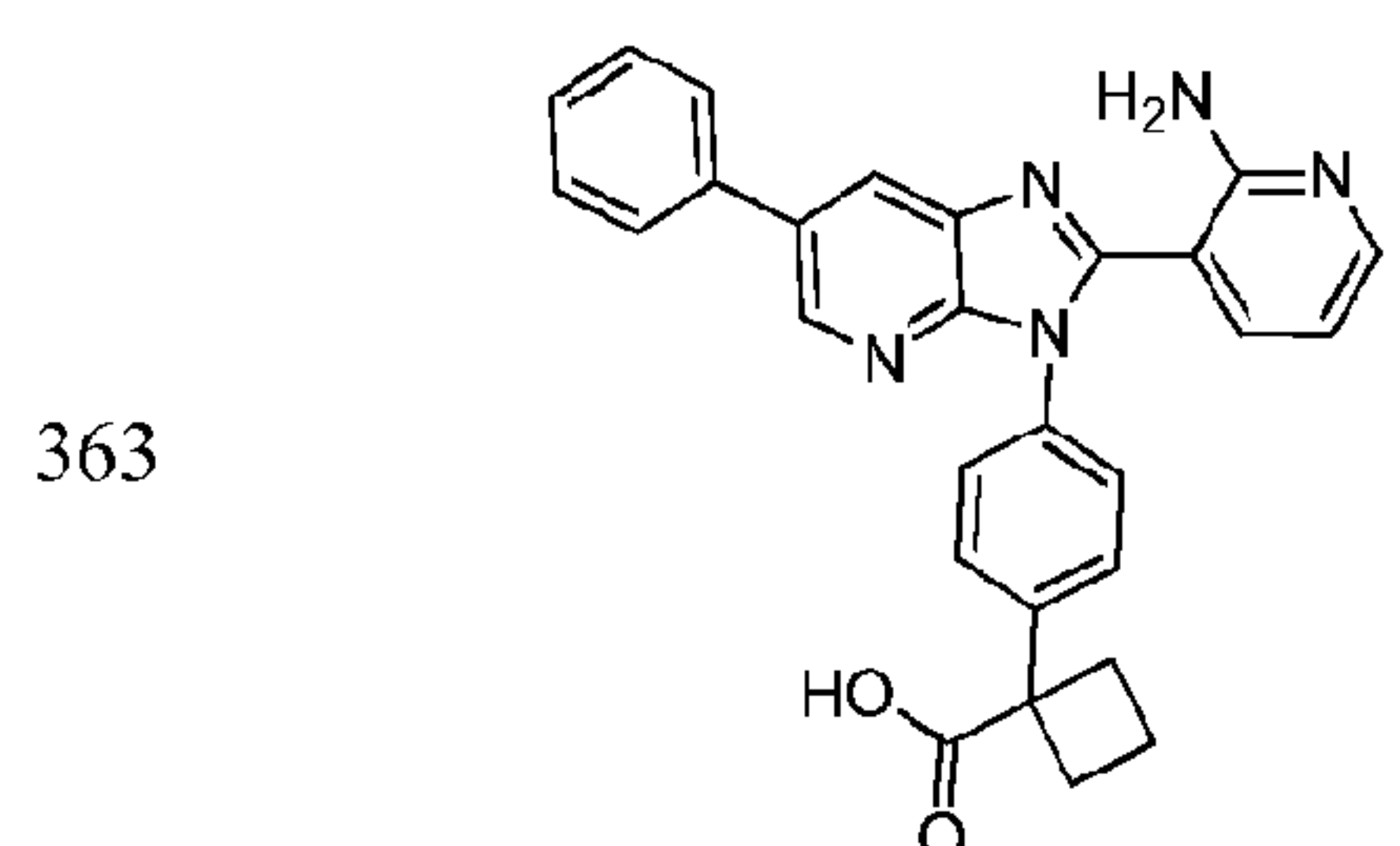
3-(3-(4-(2-aminopropan-2-yl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



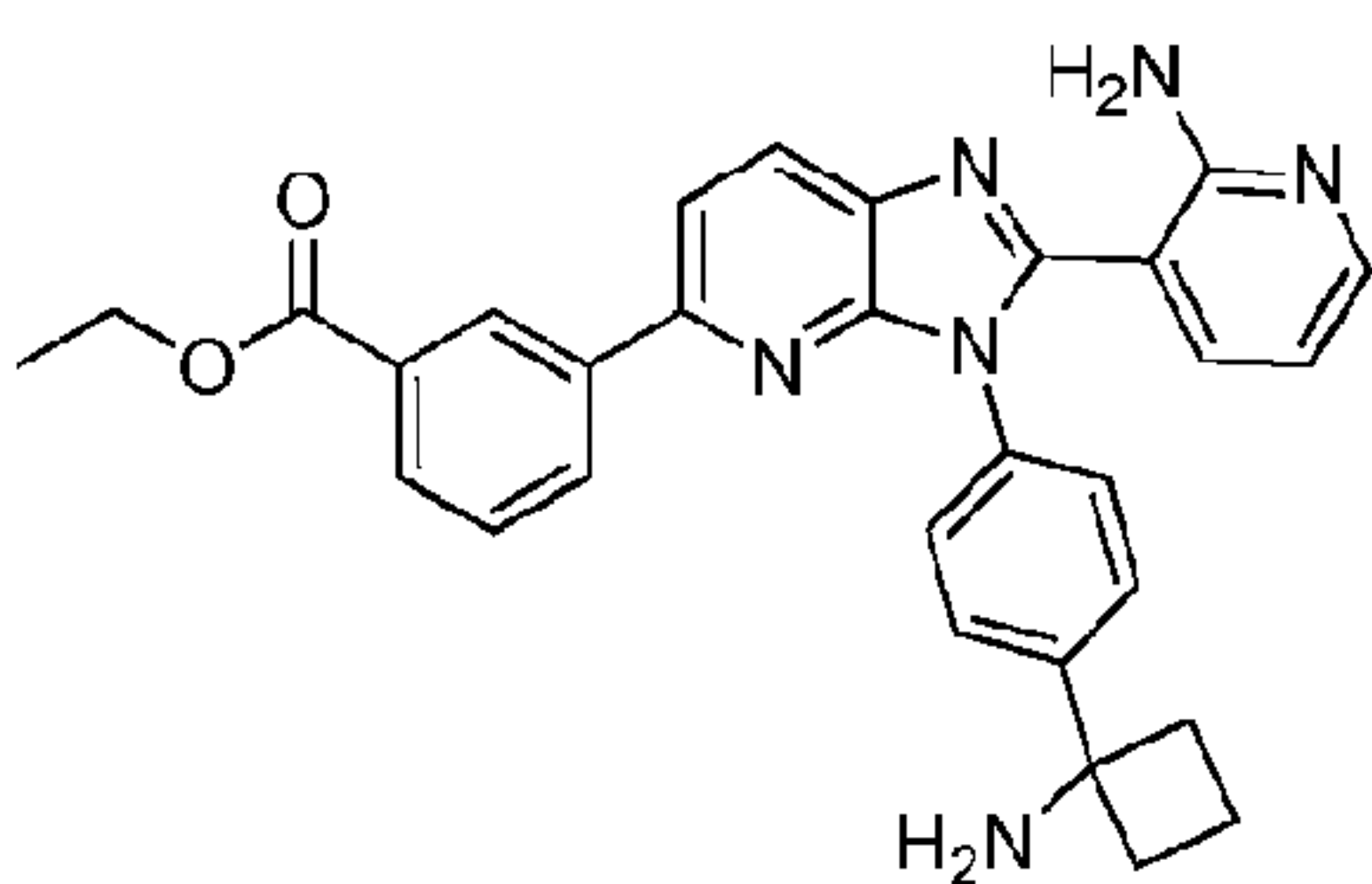
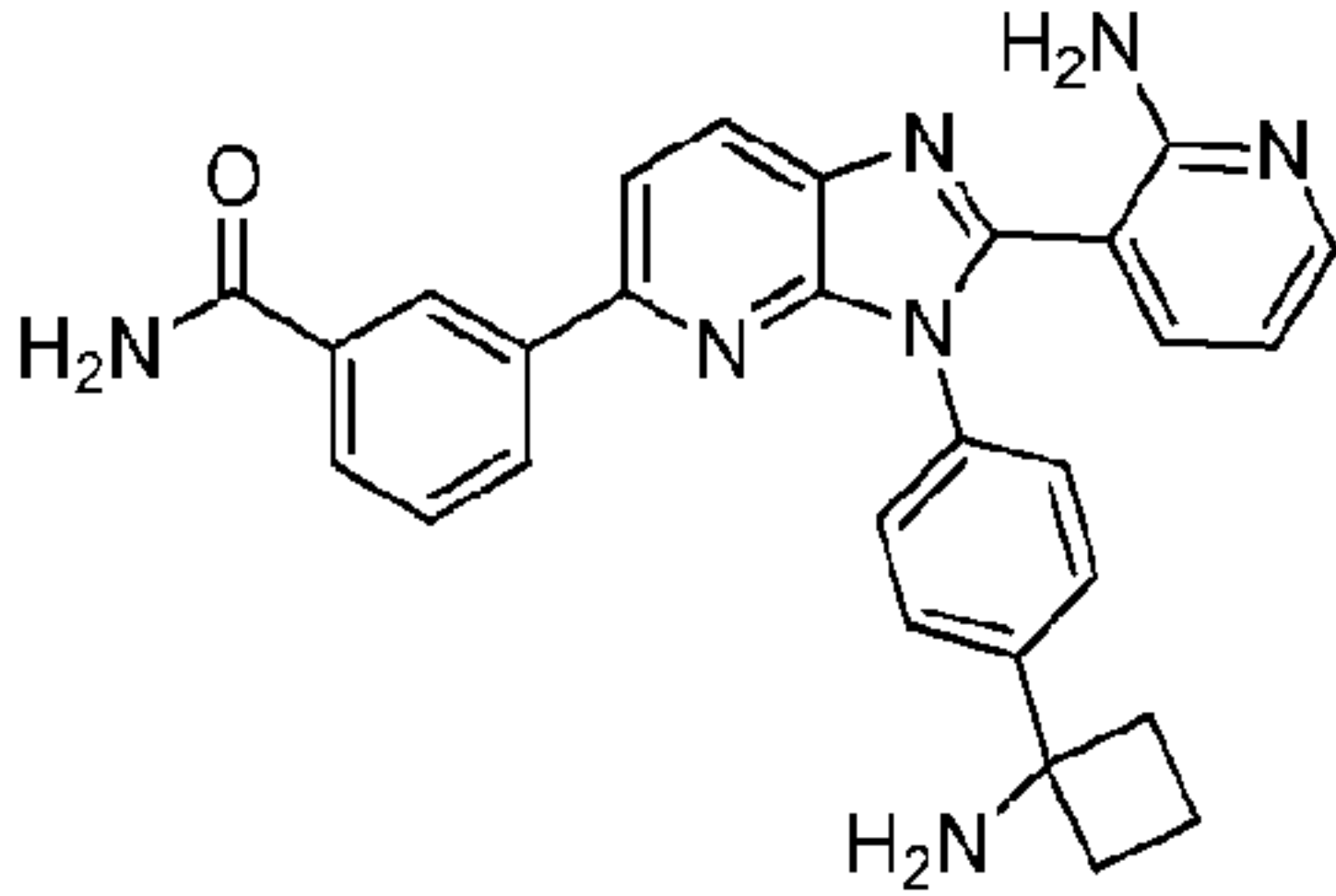
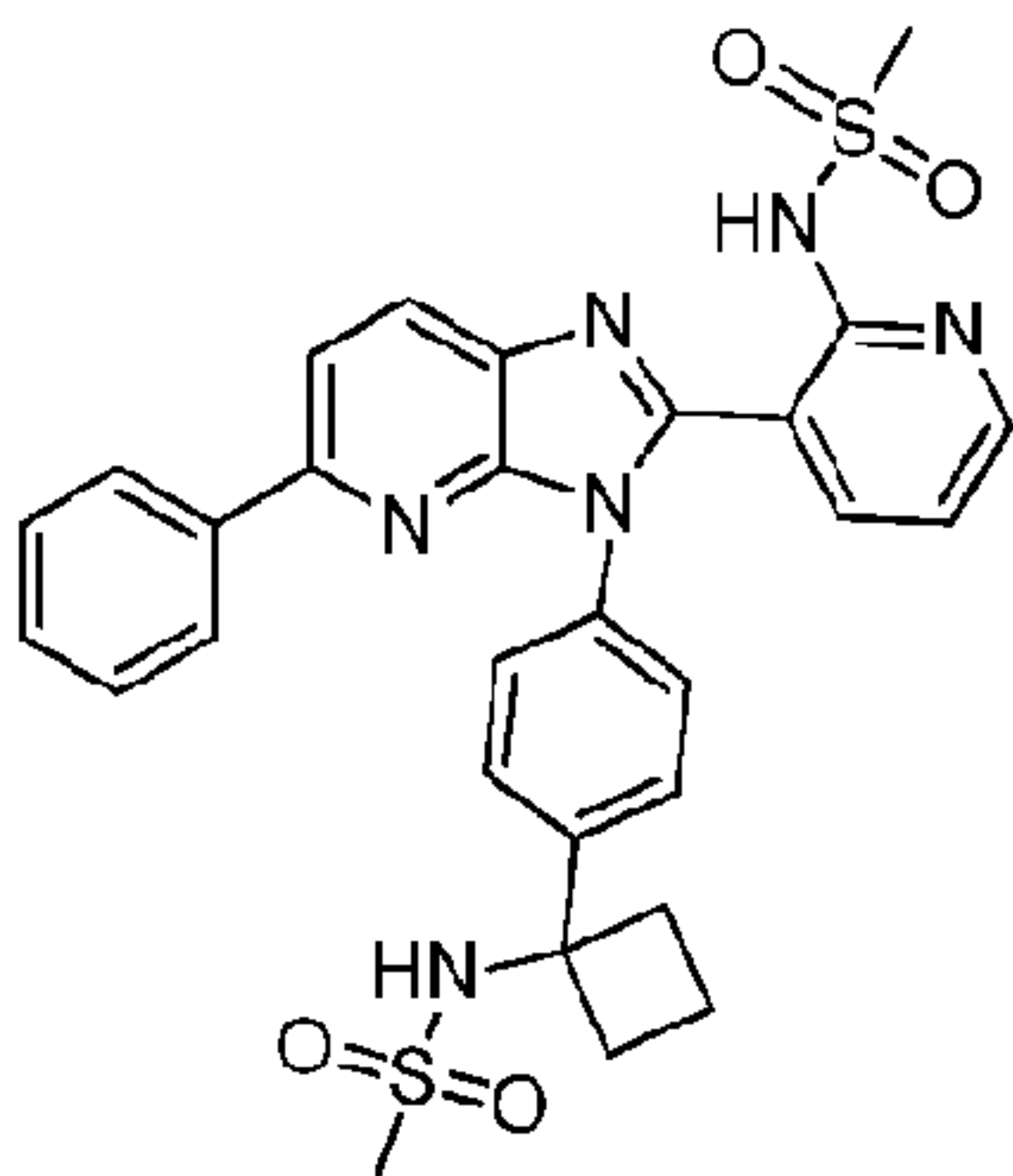
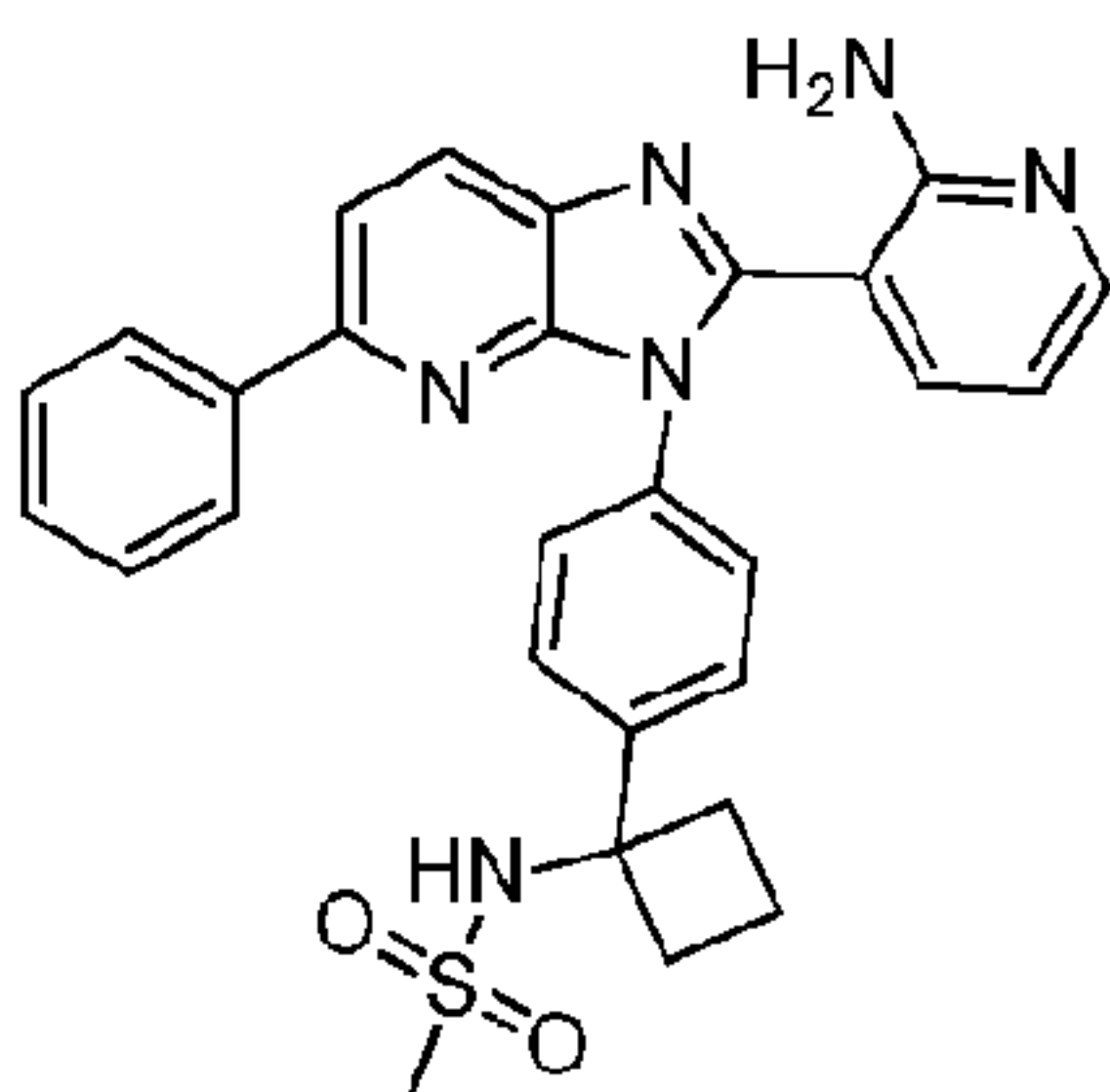
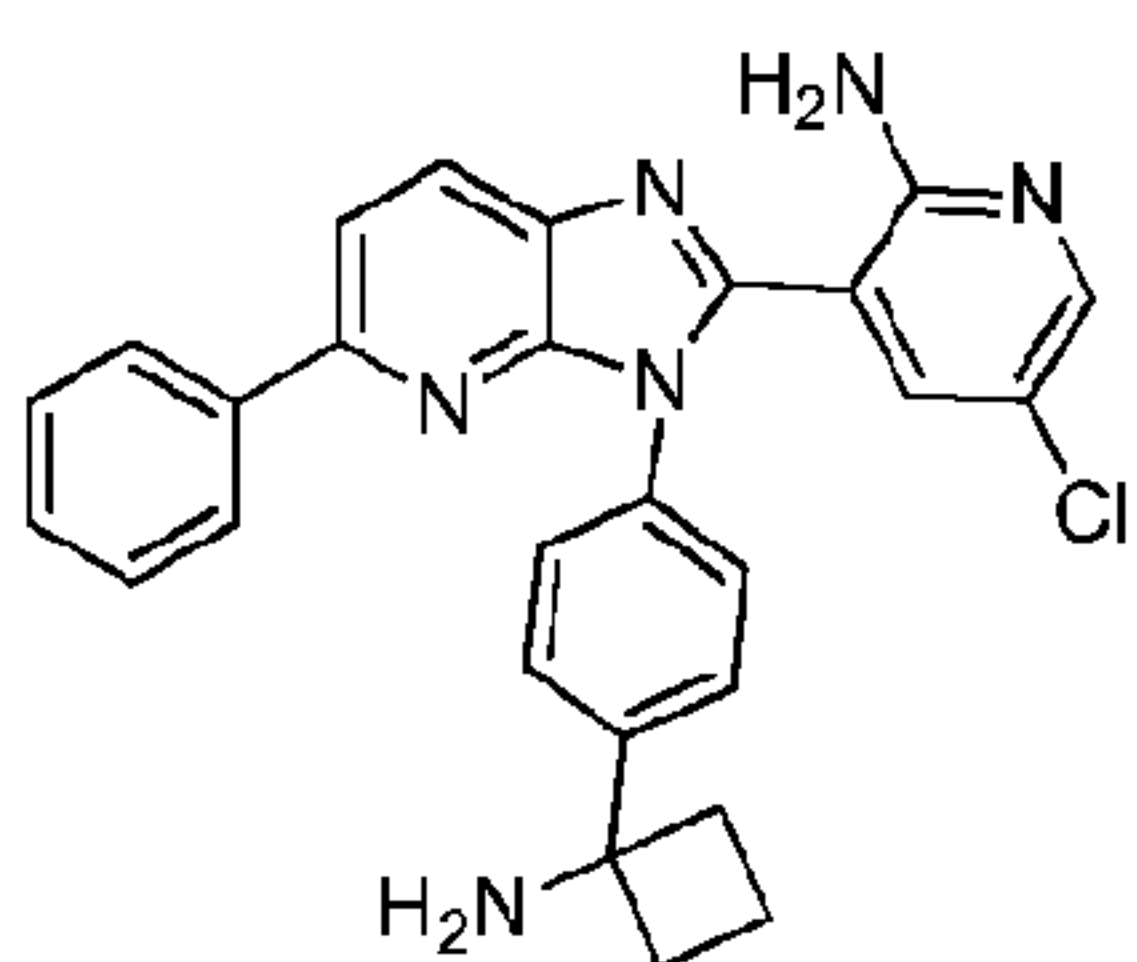
methyl 1-(4-(2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylate

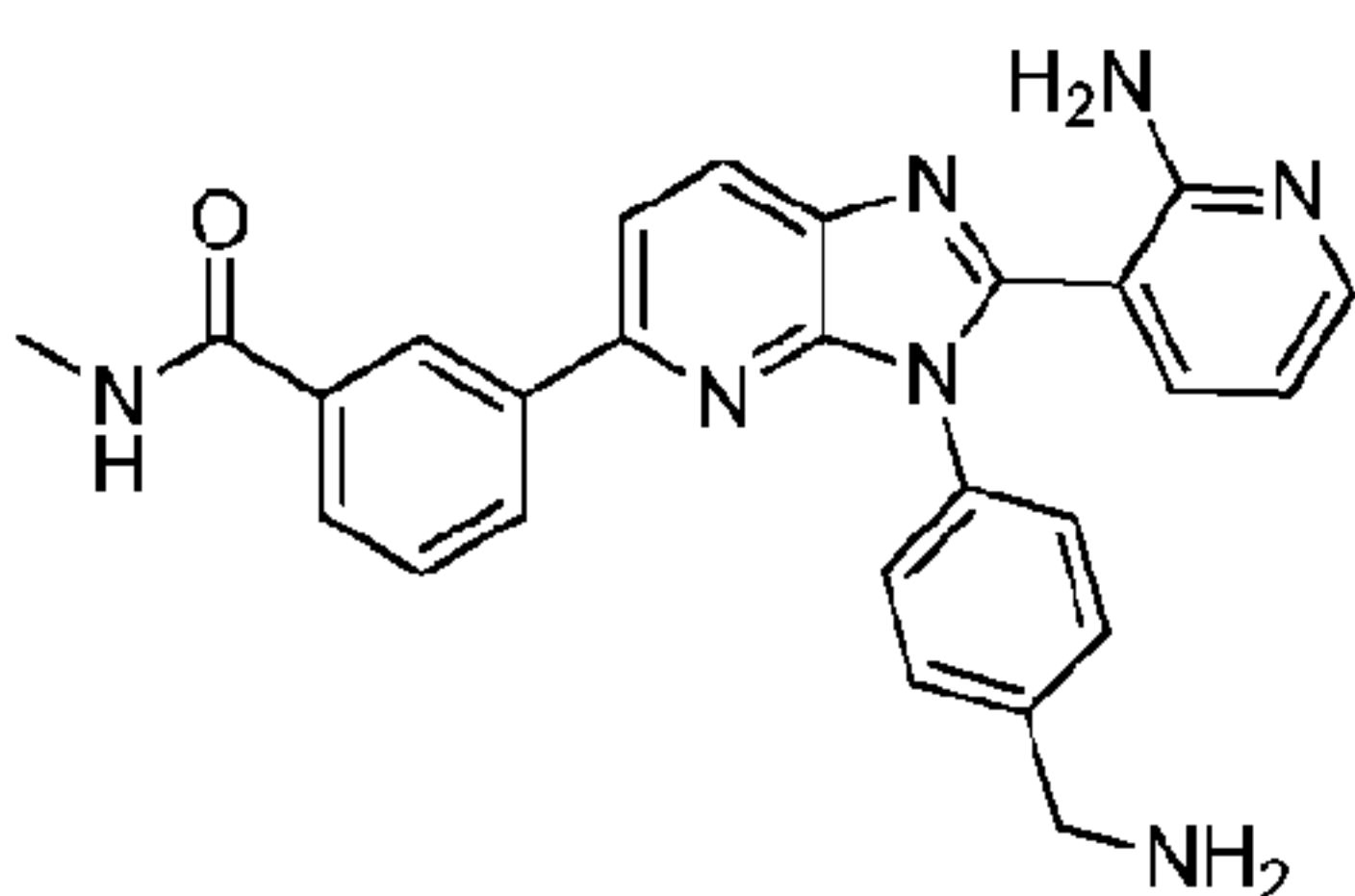
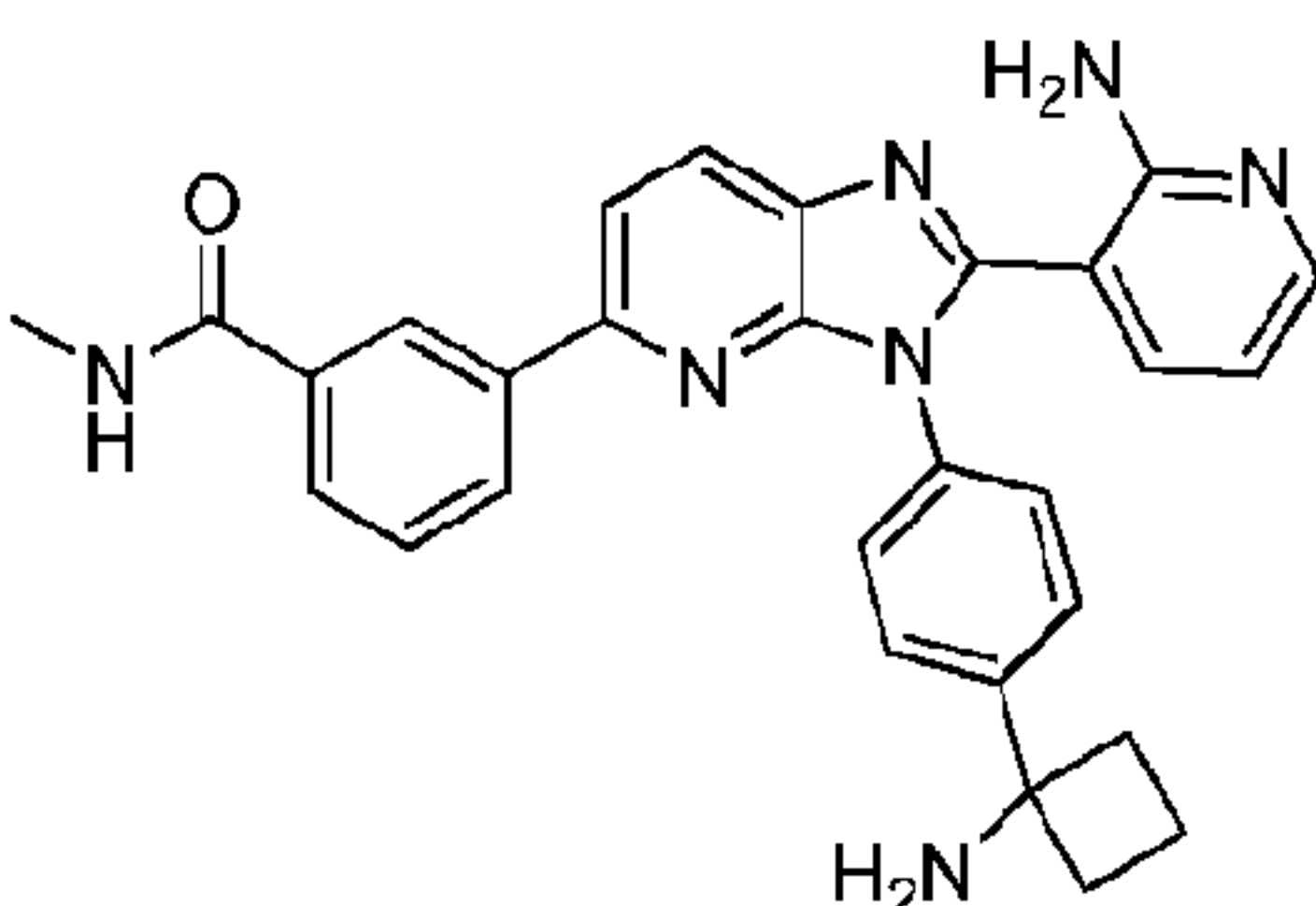
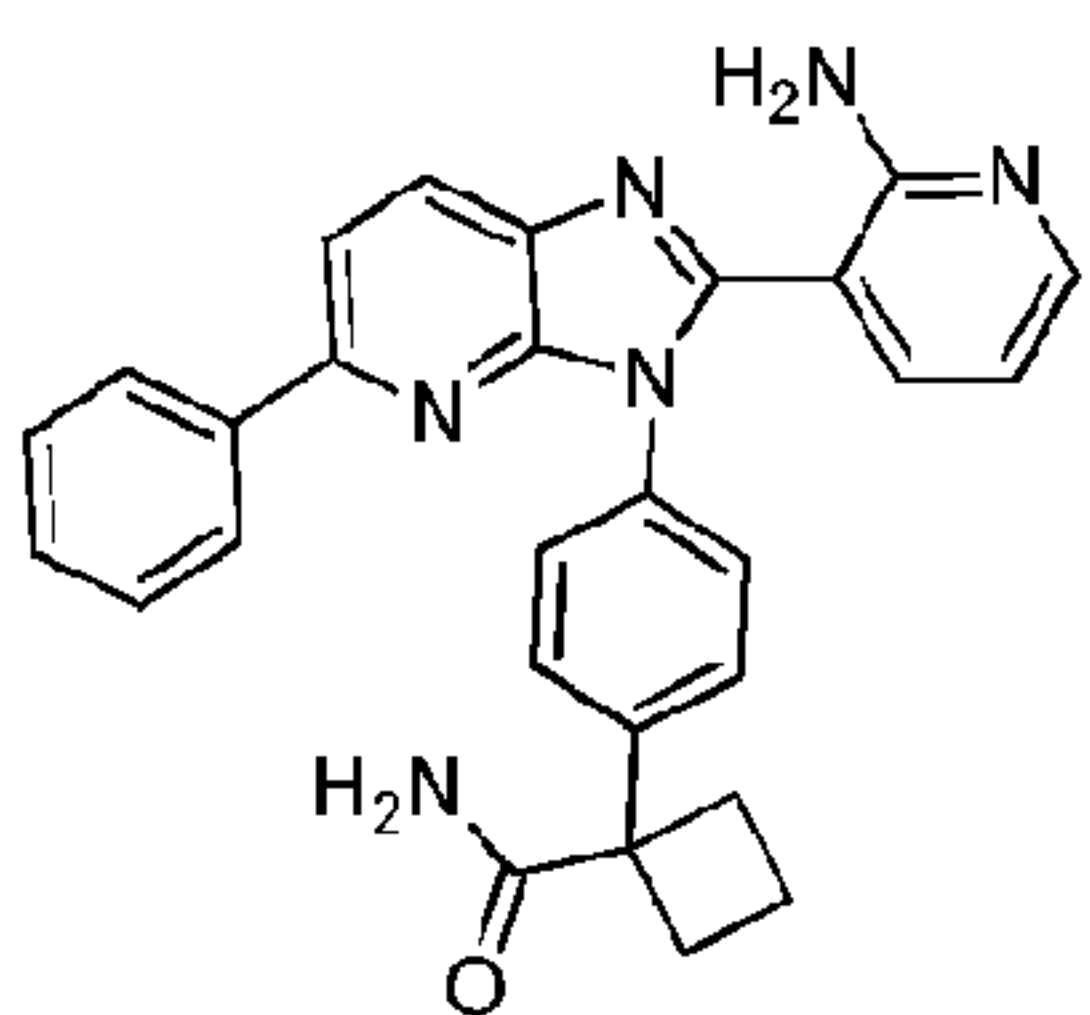
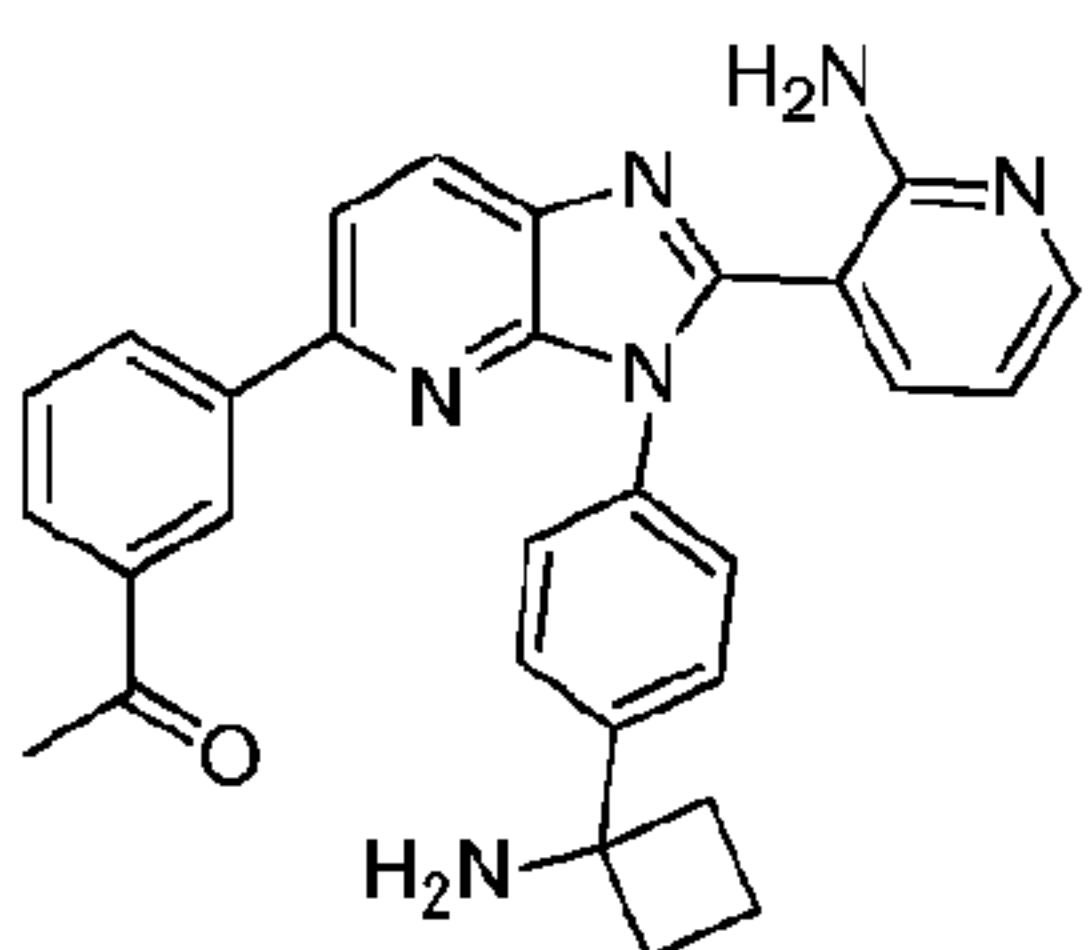
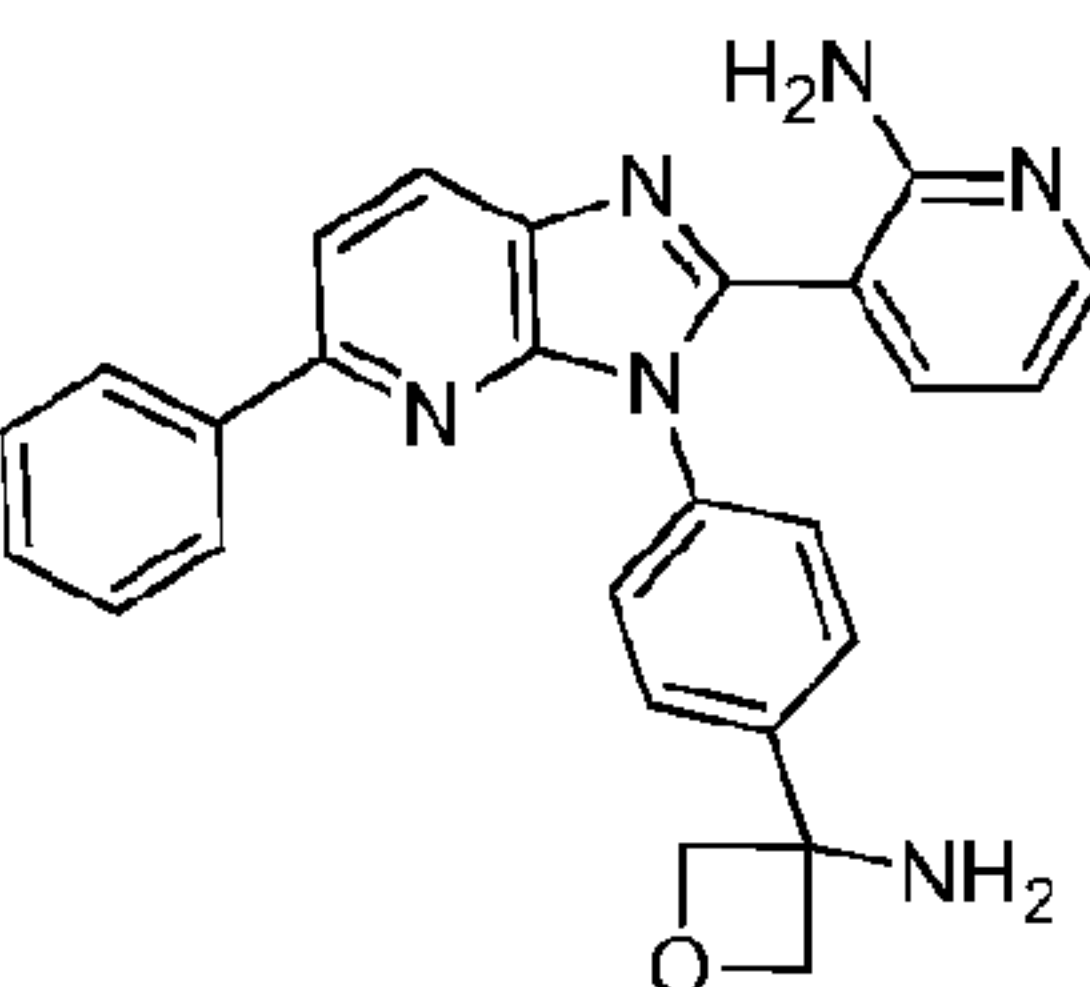


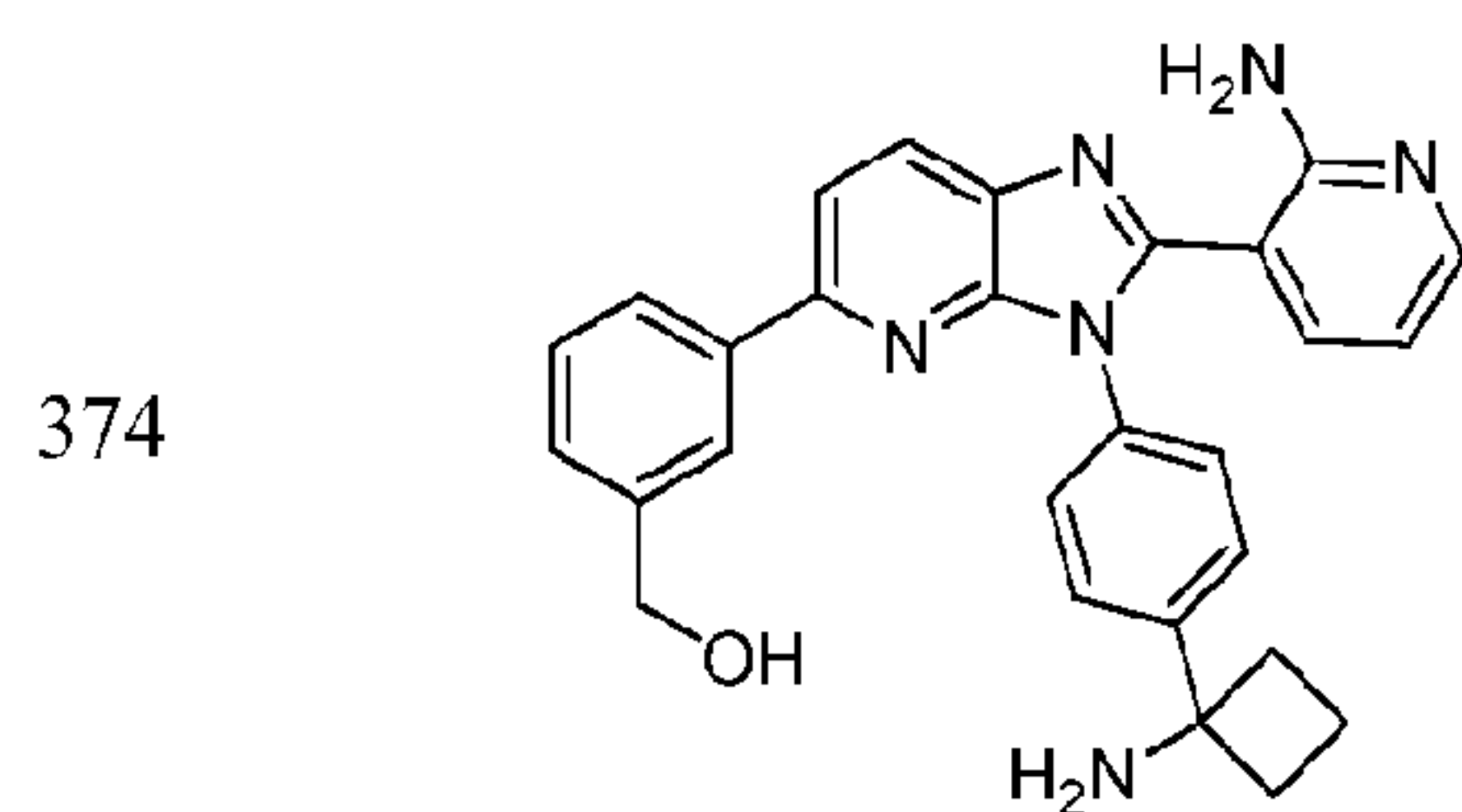
methyl 1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylate



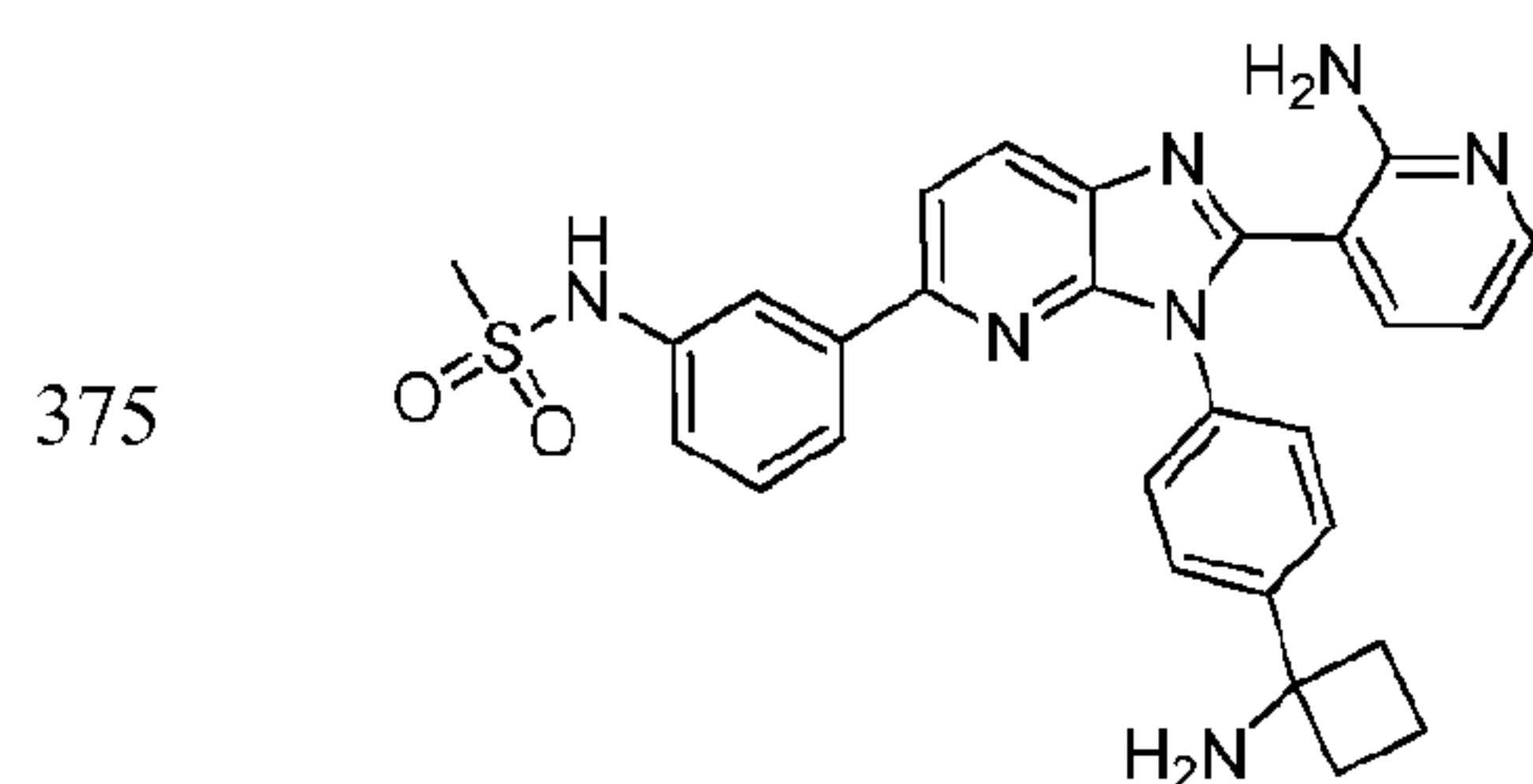
1-(4-(2-(2-aminopyridin-3-yl)-6-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxylic acid

- 364 
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- 368 

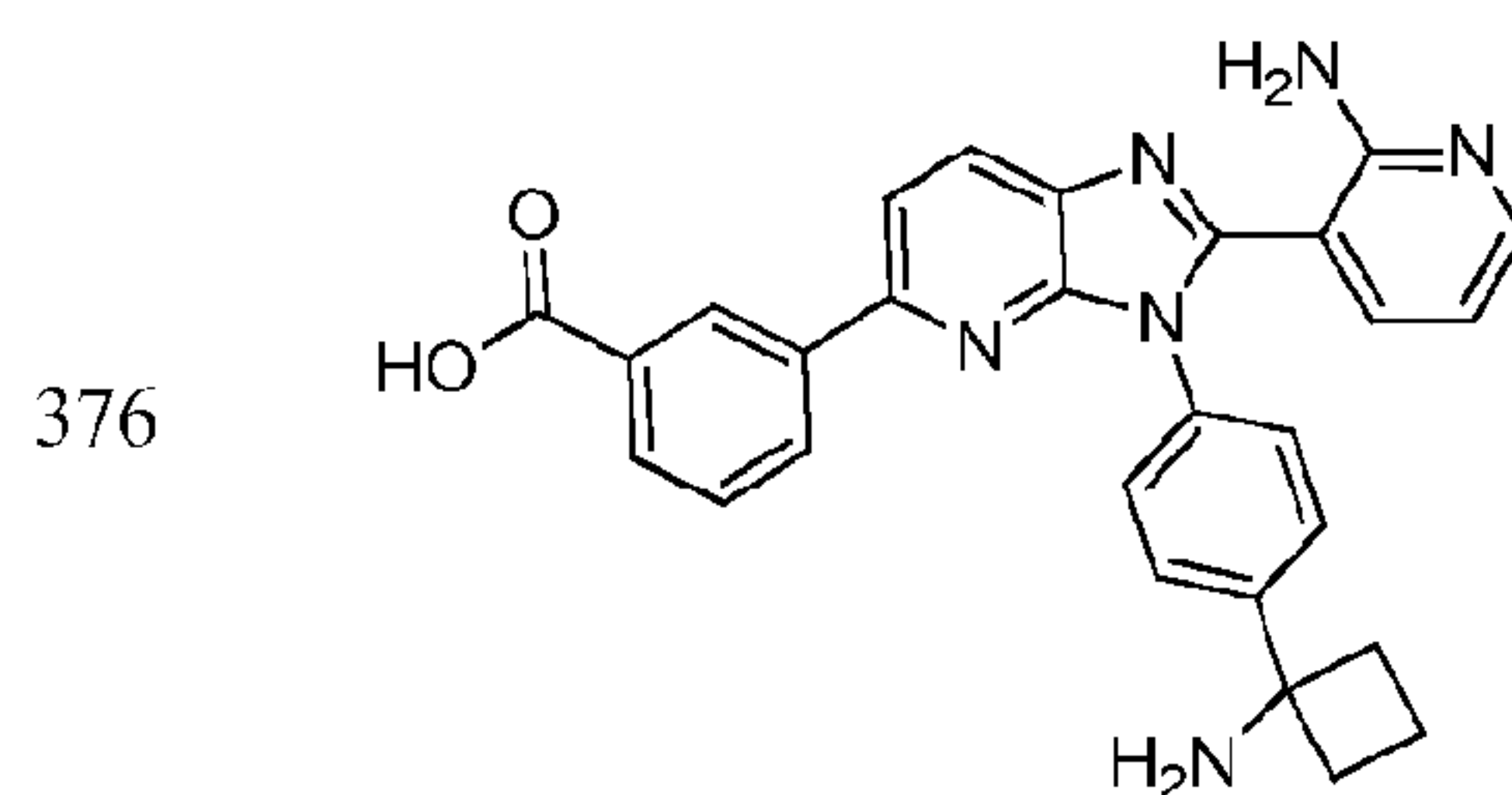
- 369  3-(3-(4-(aminomethyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide
- 370  3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-methylbenzamide
- 371  1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutanecarboxamide
- 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



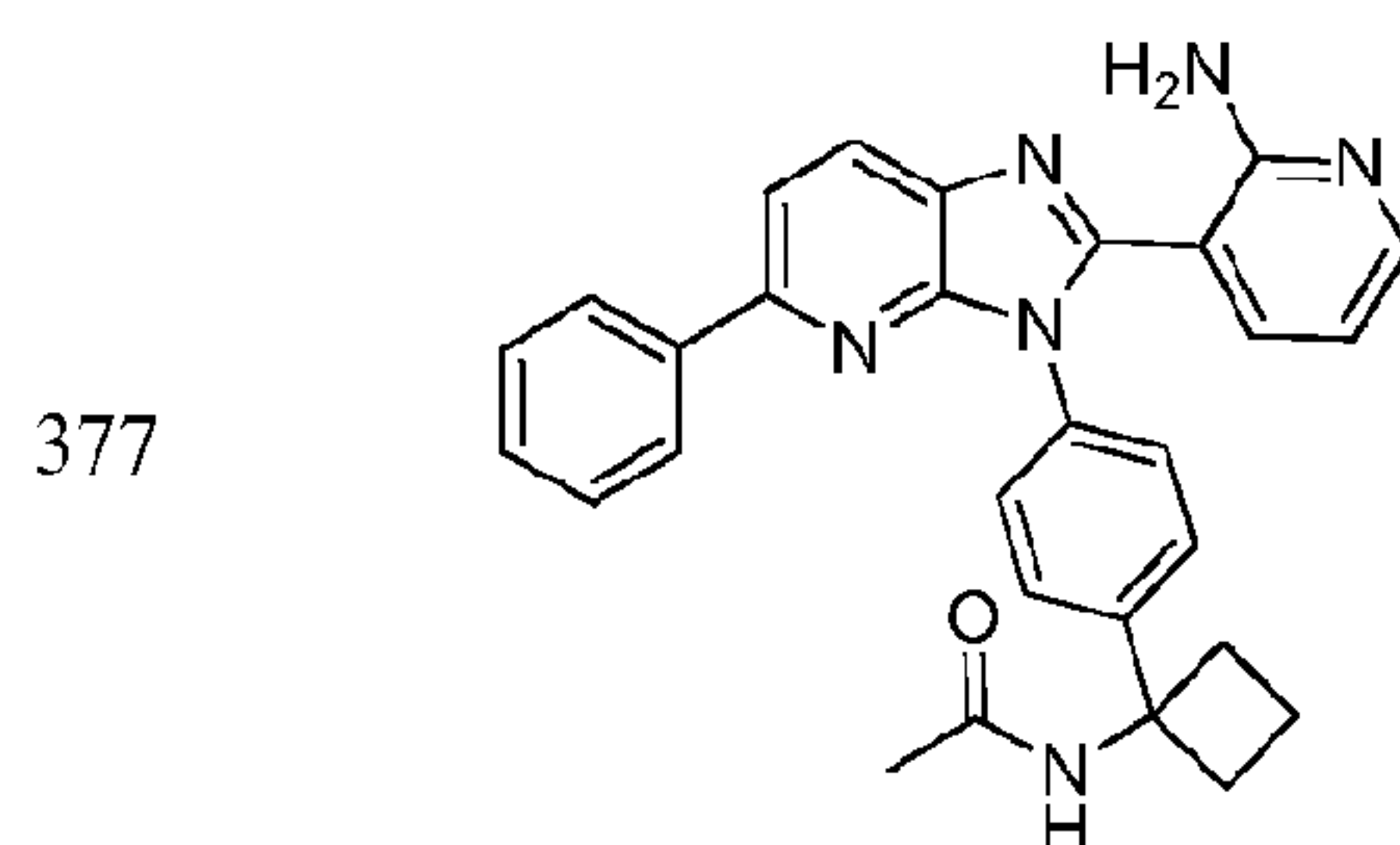
(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol



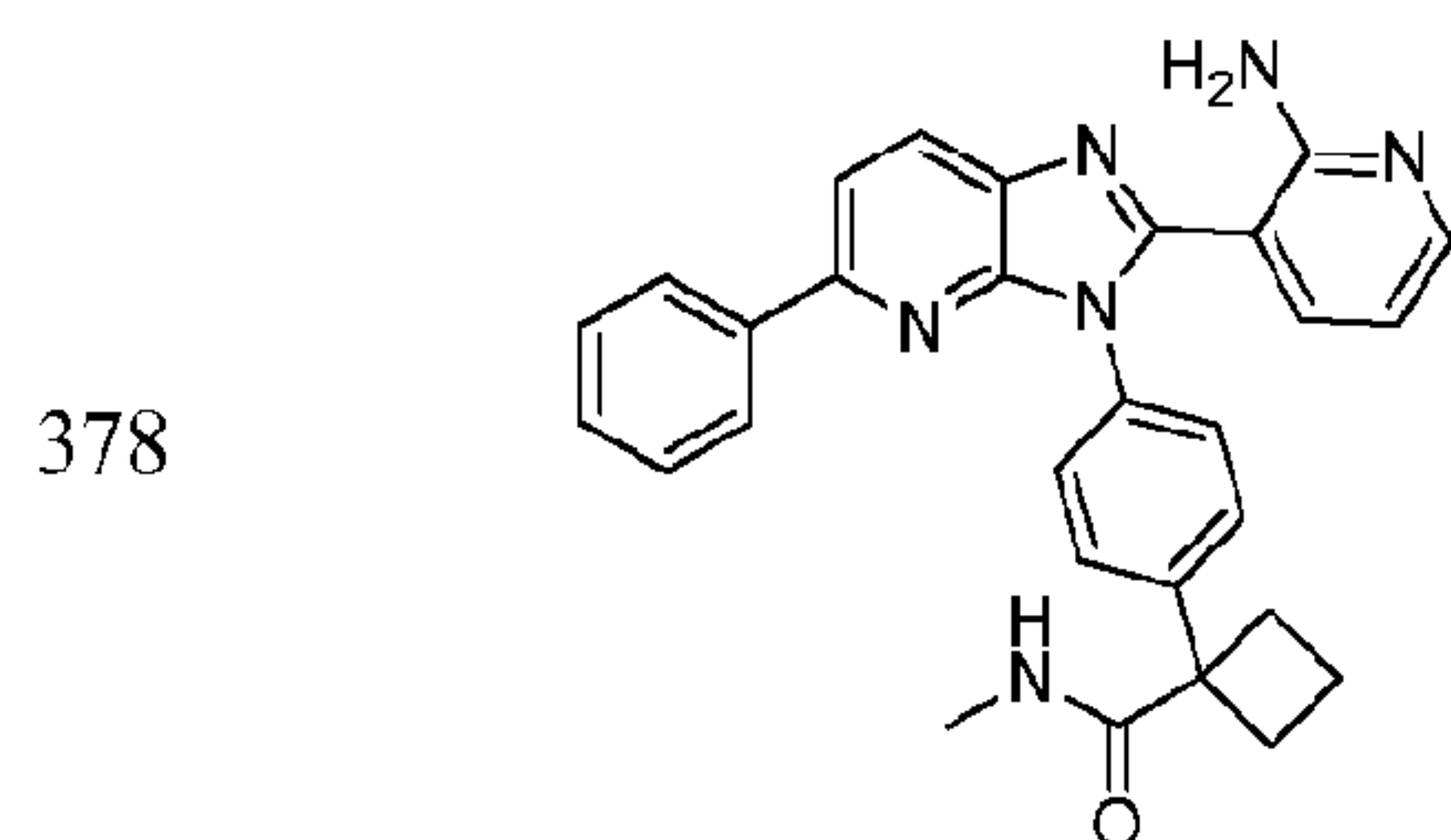
N-(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanesulfonamide



3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzoic acid

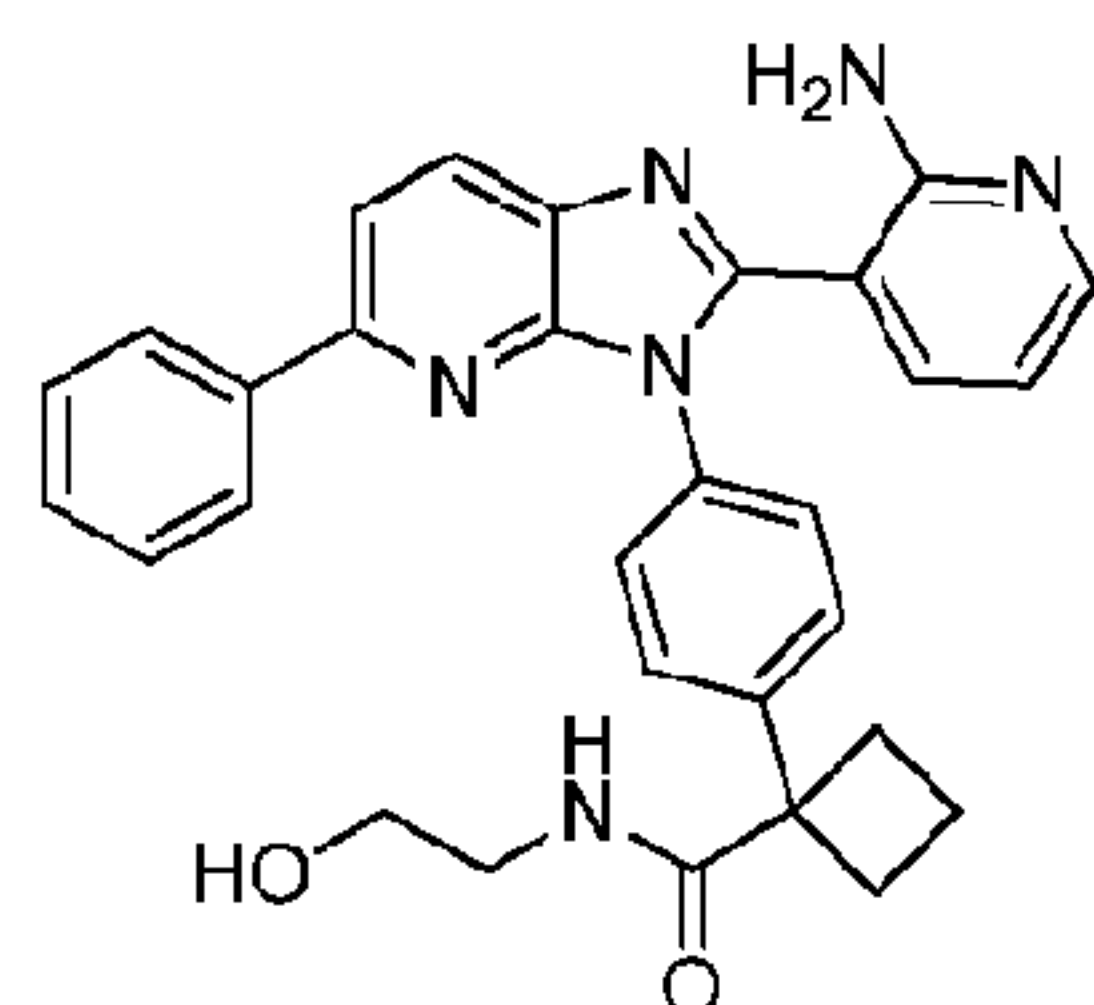


N-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)acetamide



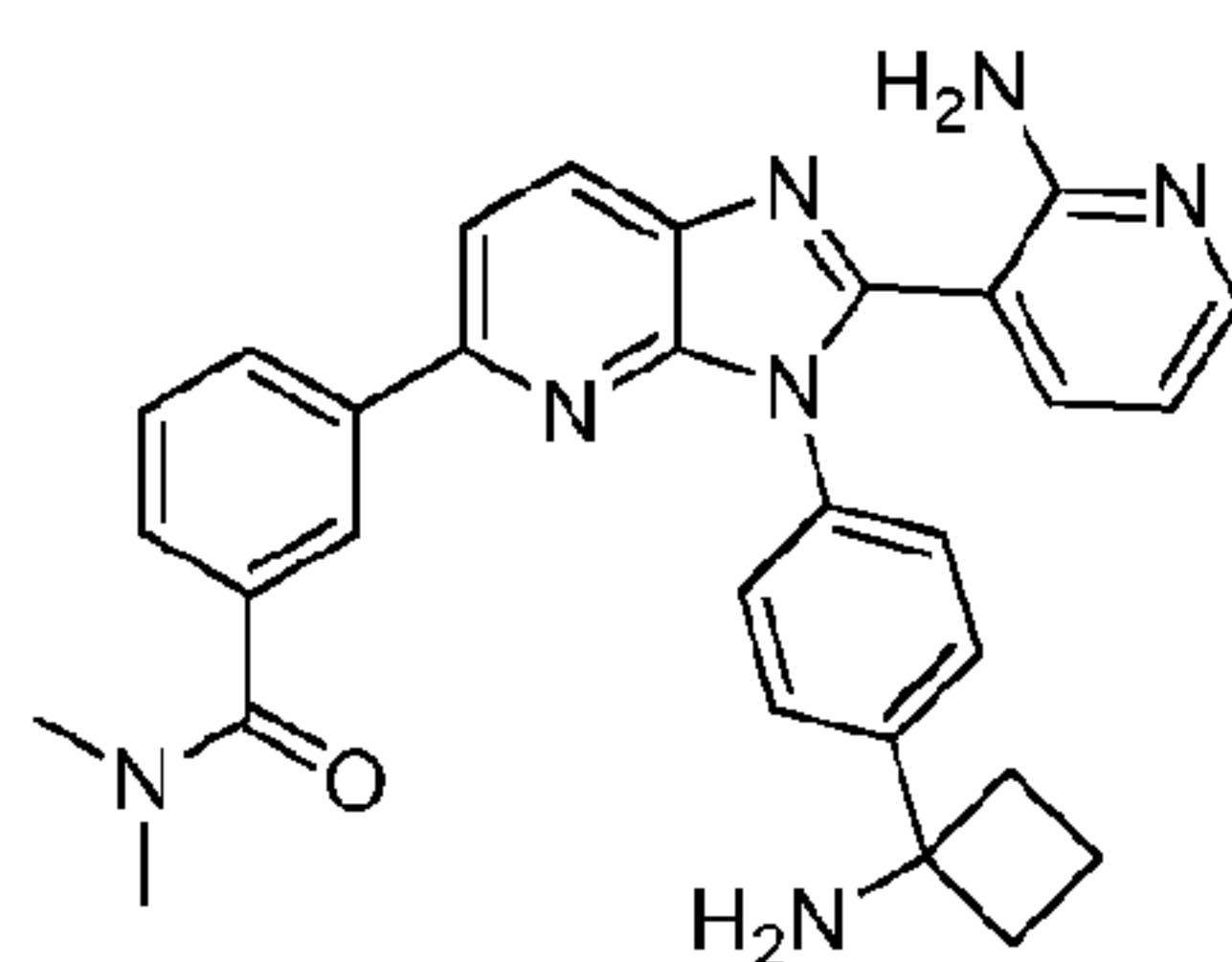
1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-methylcyclobutanecarboxamide

379



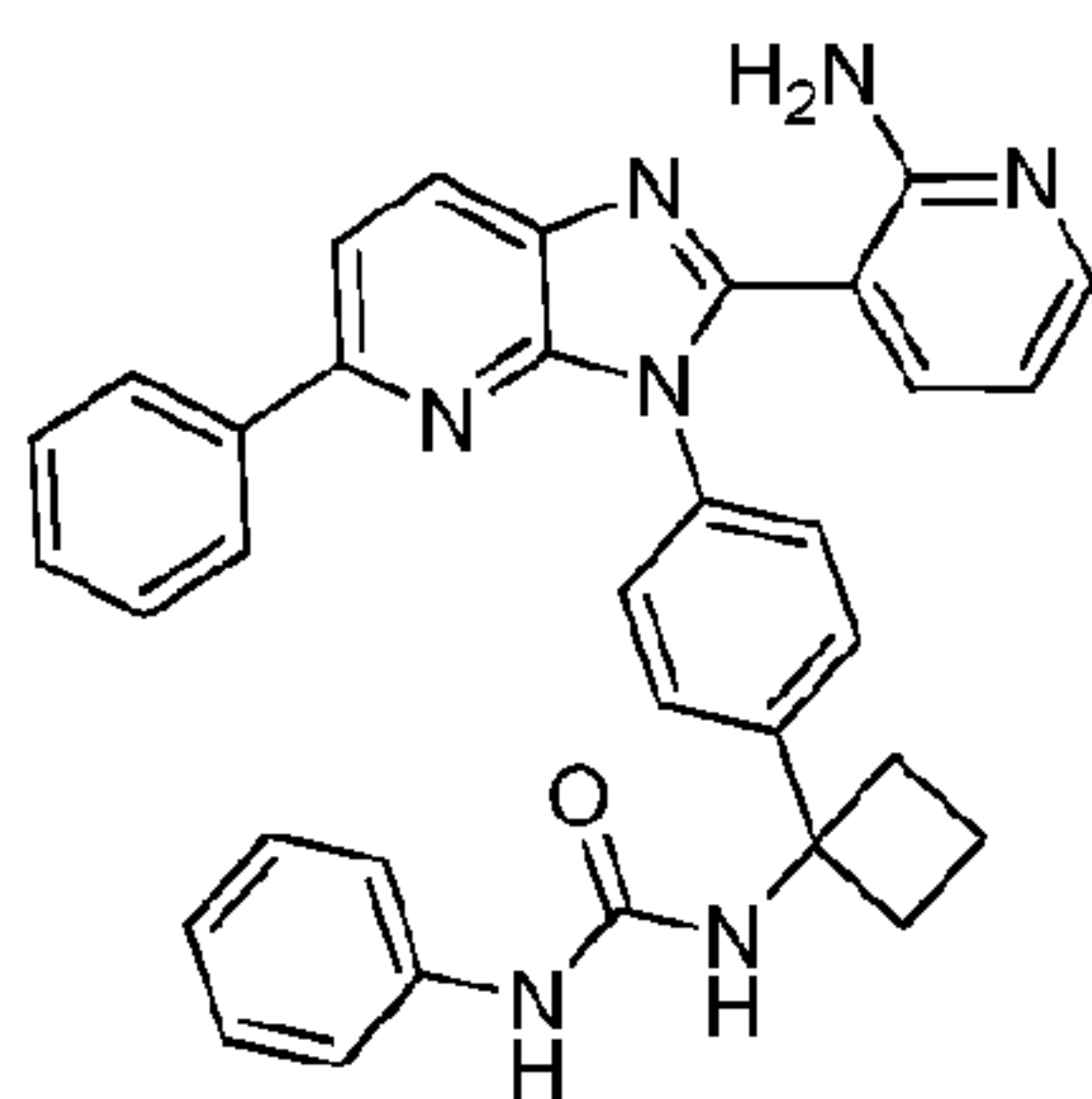
1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)-N-(2-hydroxyethyl)cyclobutanecarboxamide

380



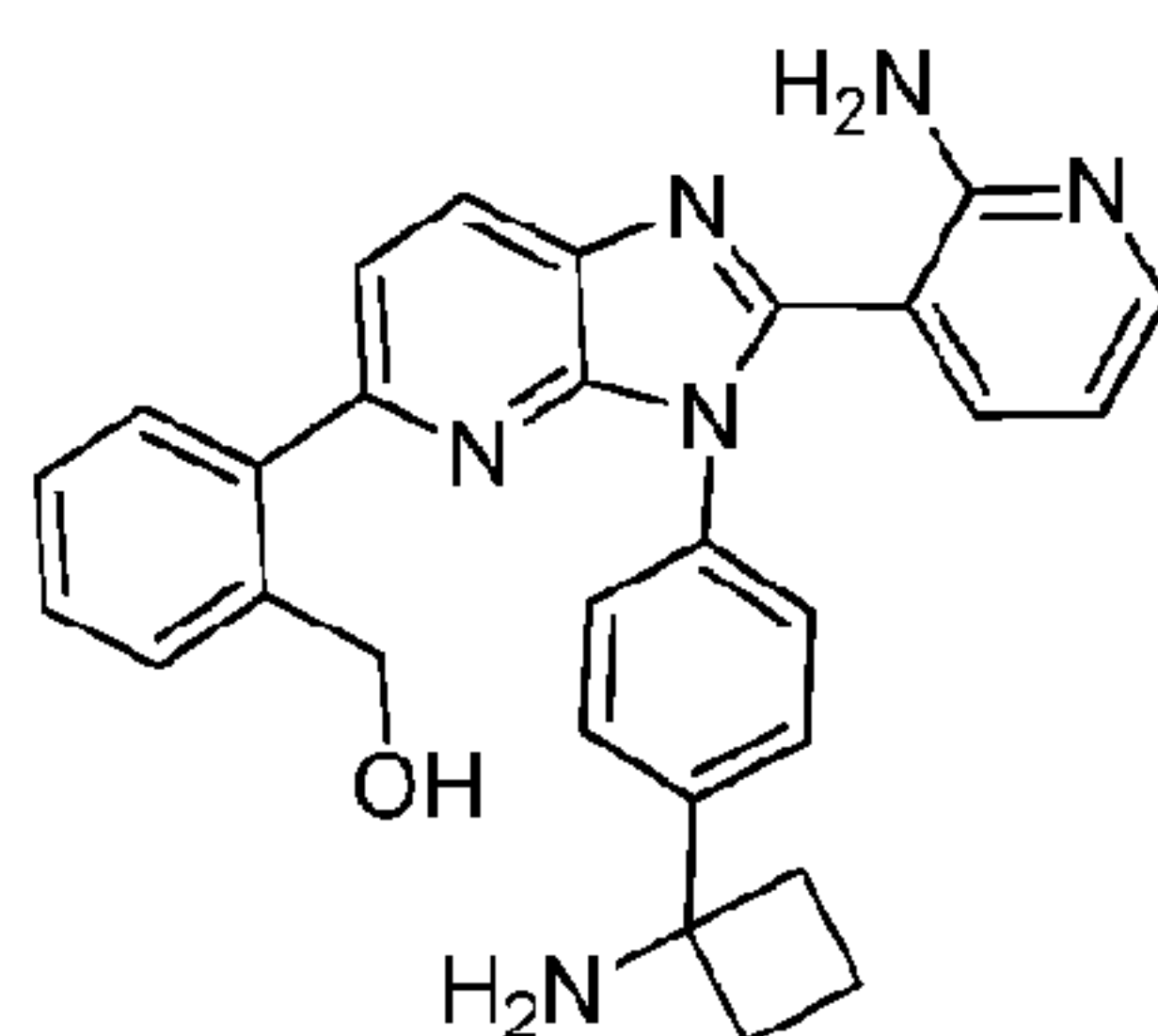
3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N,N-dimethylbenzamide

381



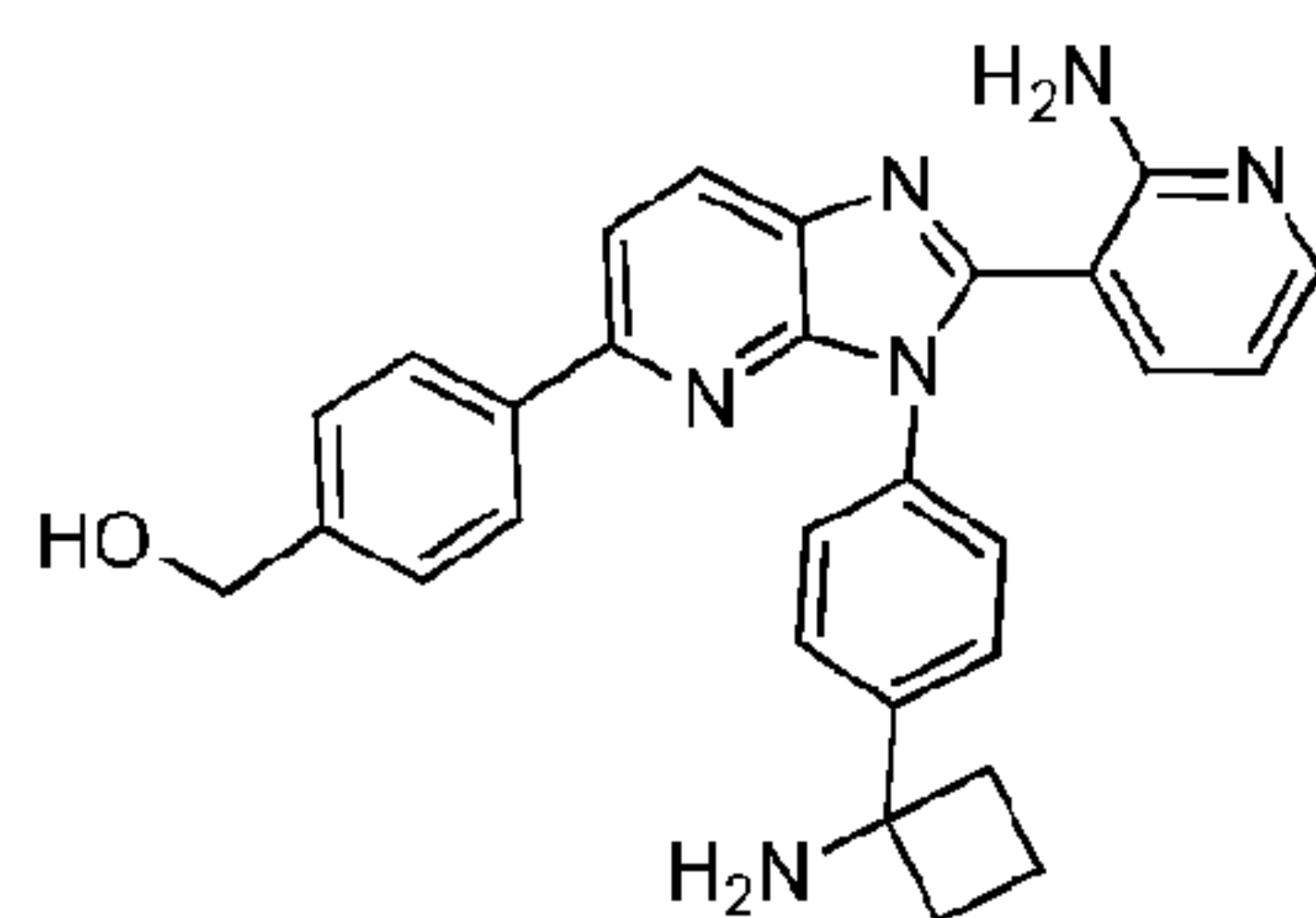
1-(1-(4-(2-(2-aminopyridin-3-yl)-5-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl)cyclobutyl)-3-phenylurea

382

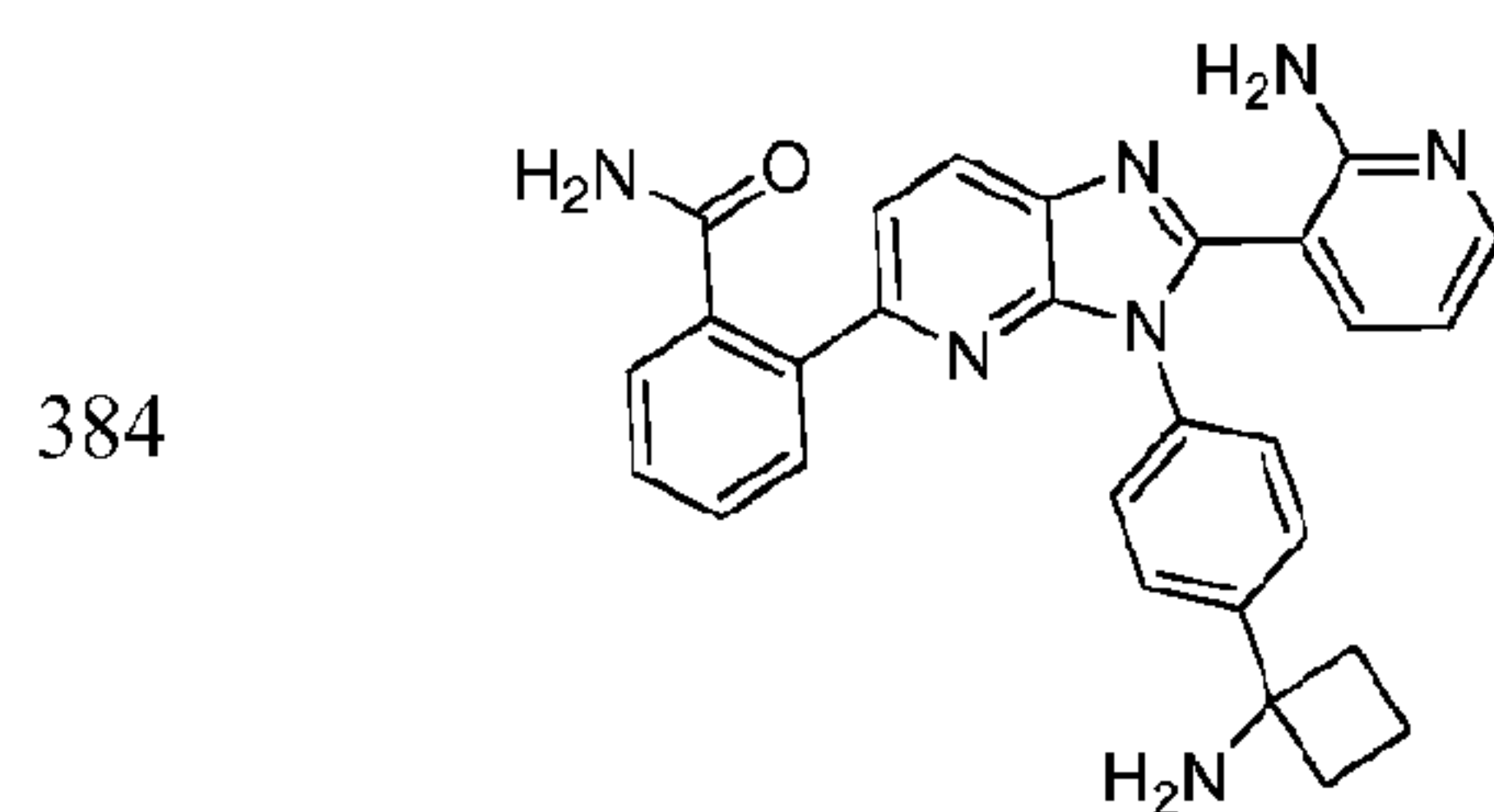


(2-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol

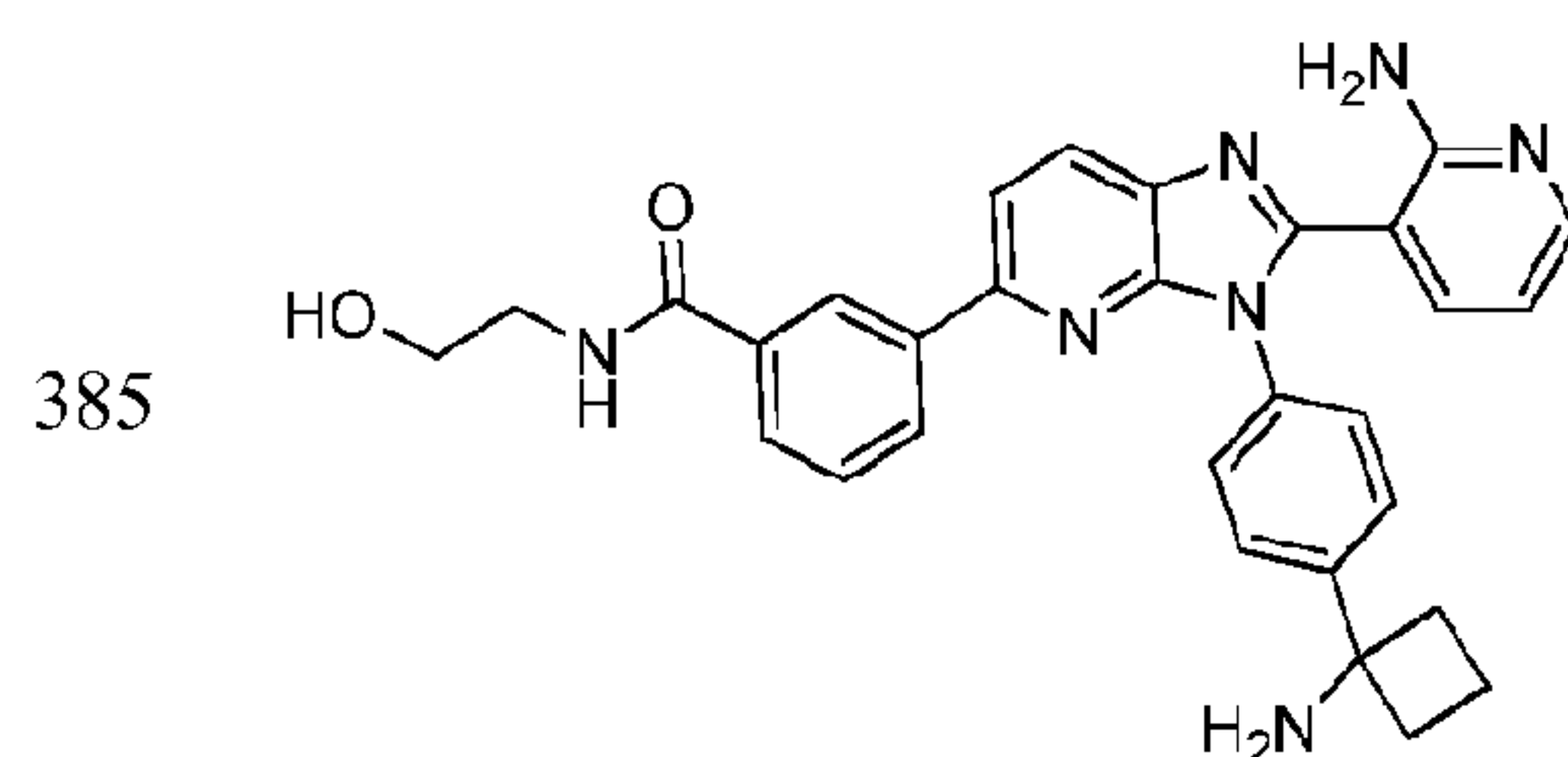
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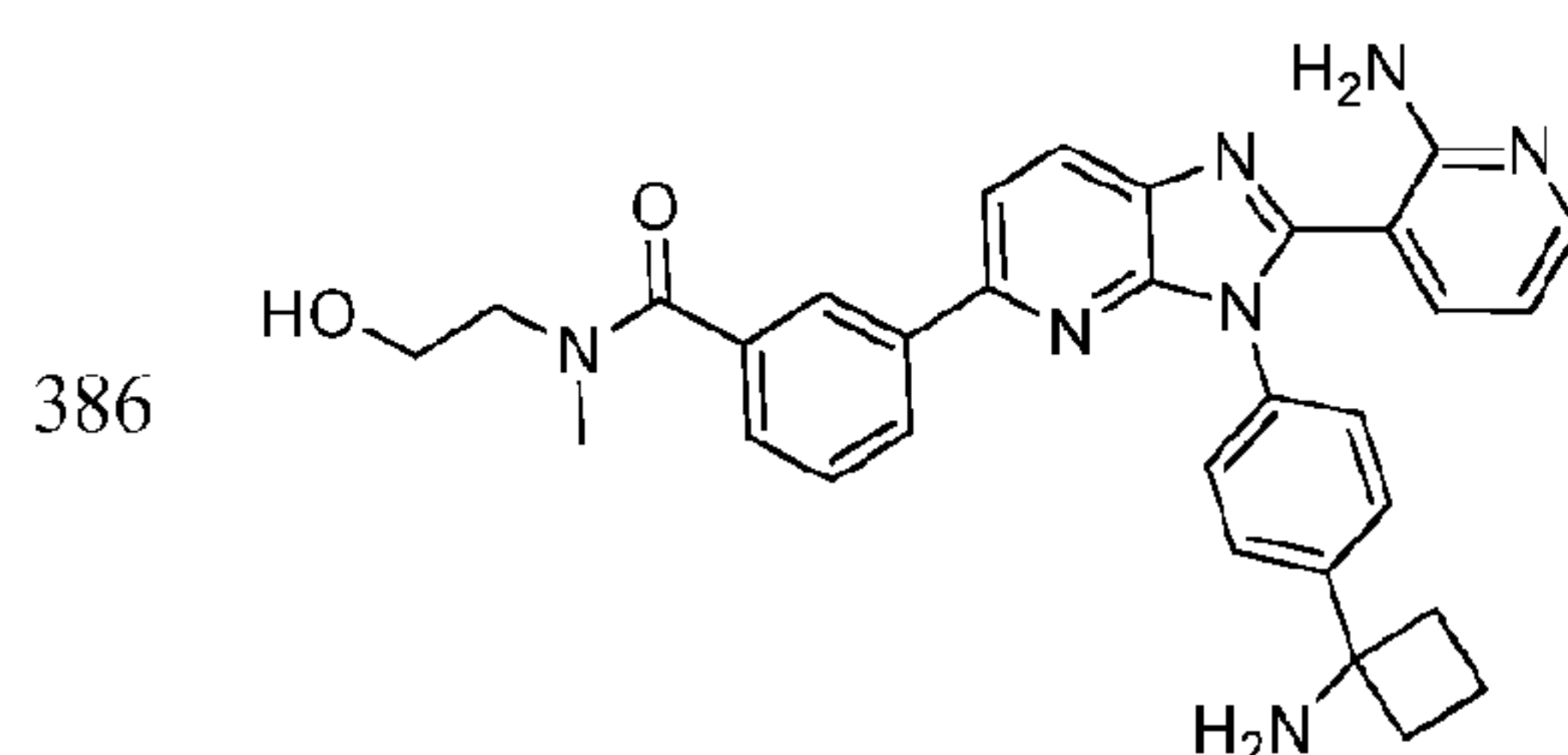
(4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)methanol



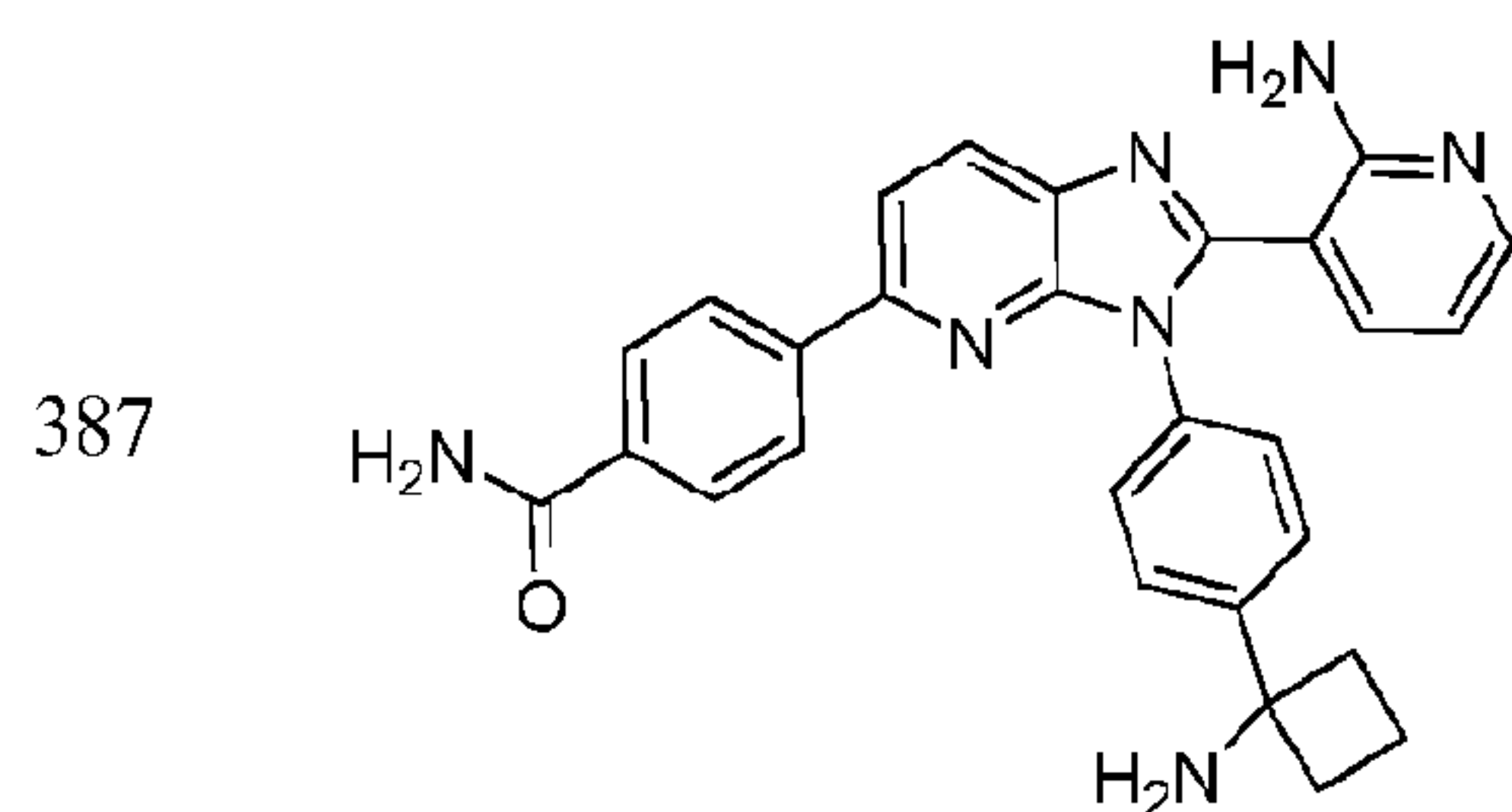
2-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide



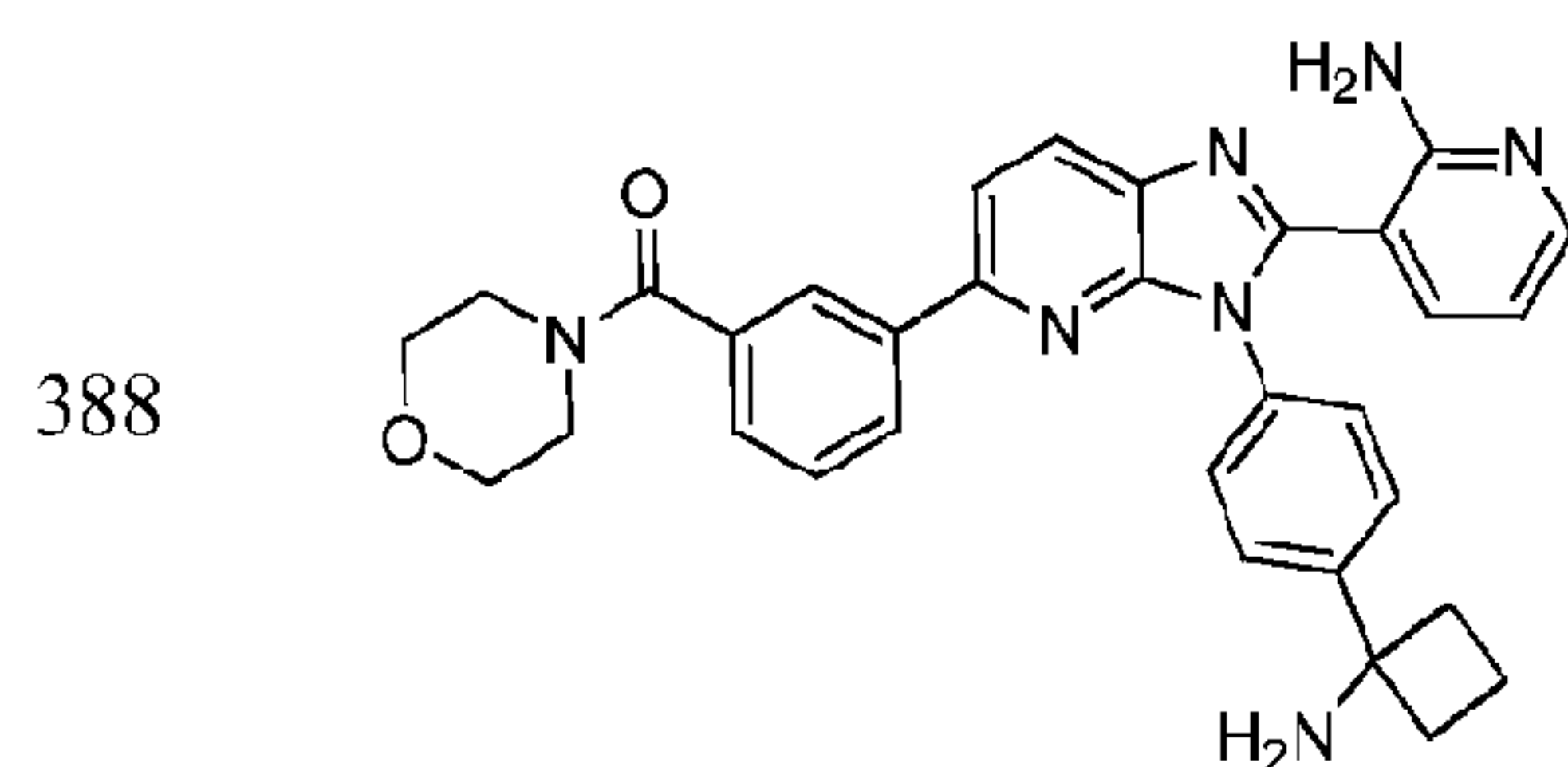
3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-(2-hydroxyethyl)benzamide



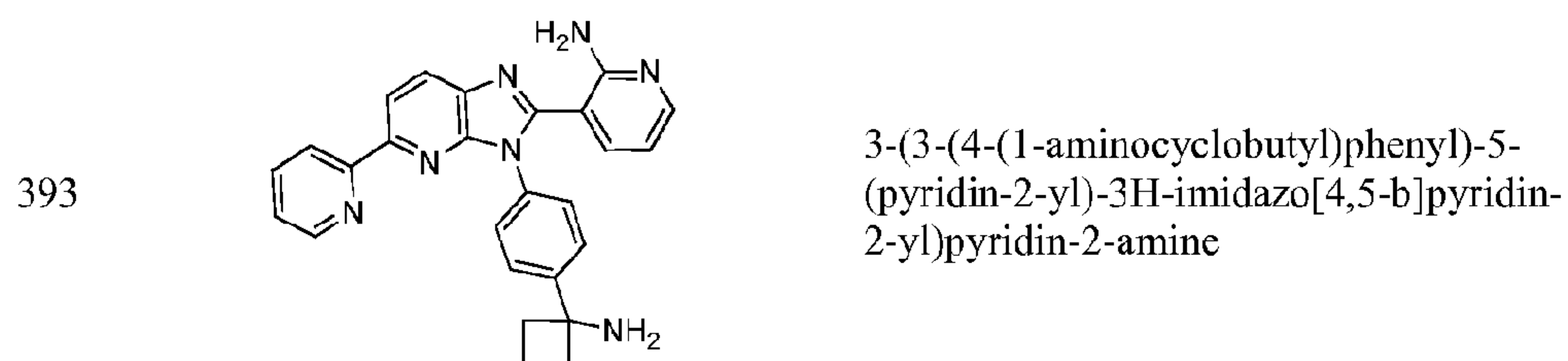
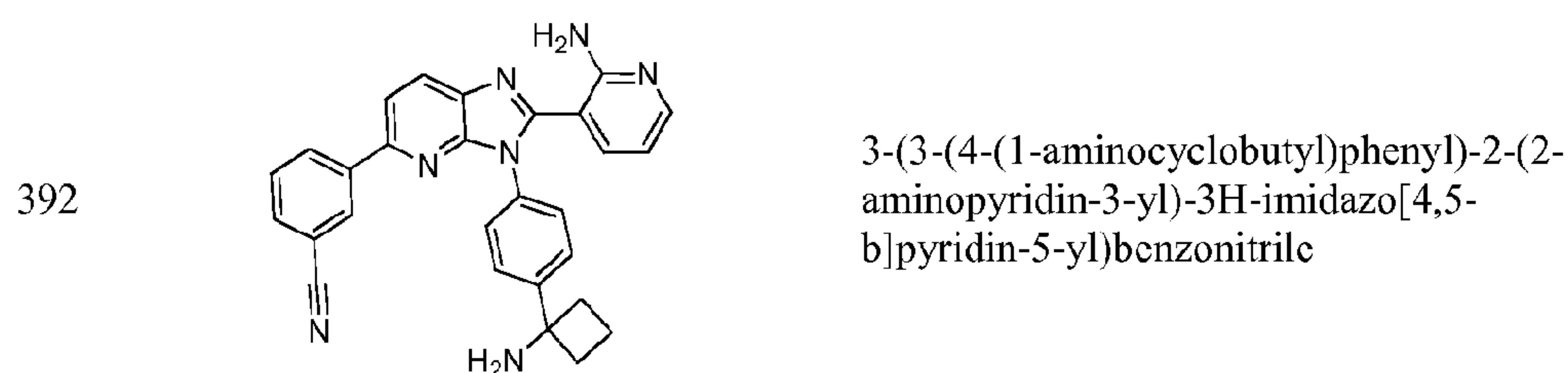
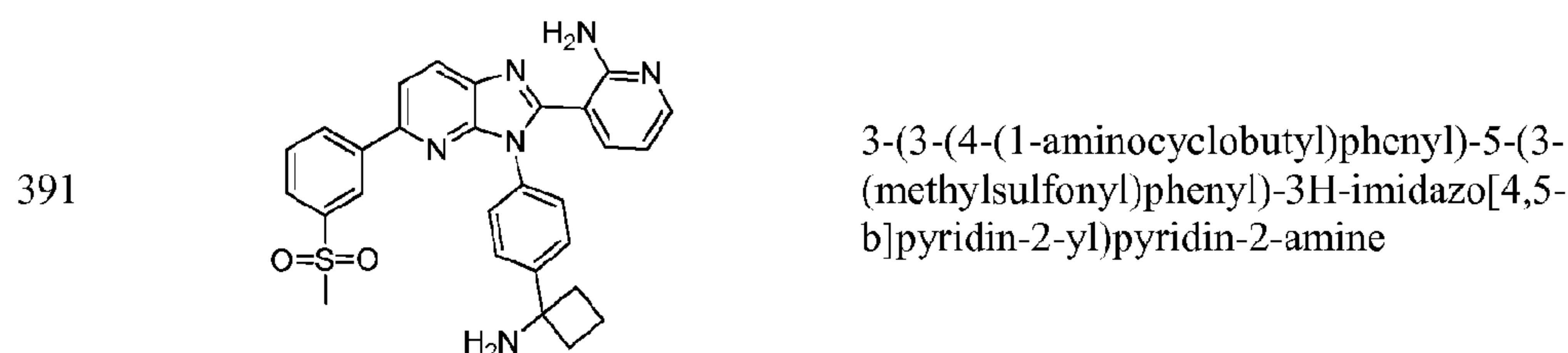
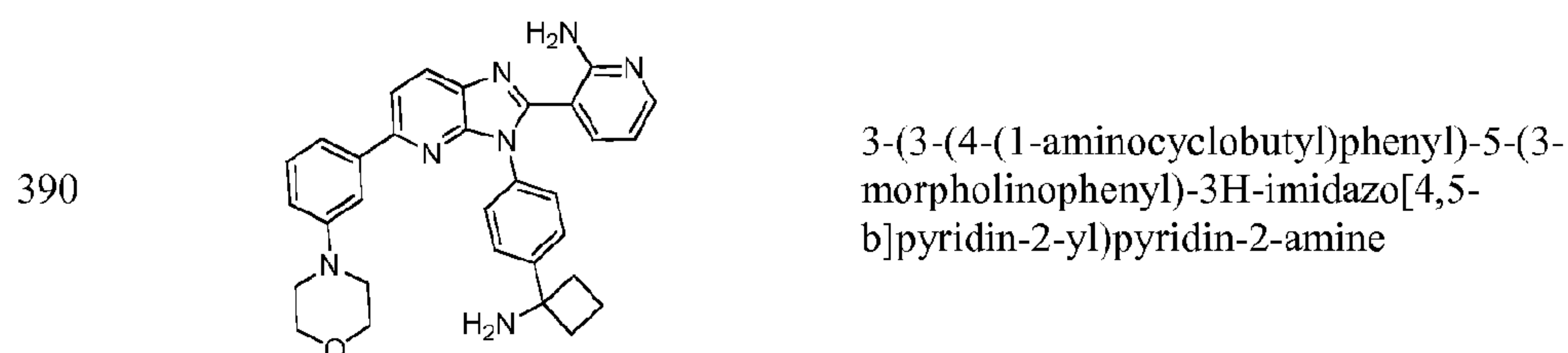
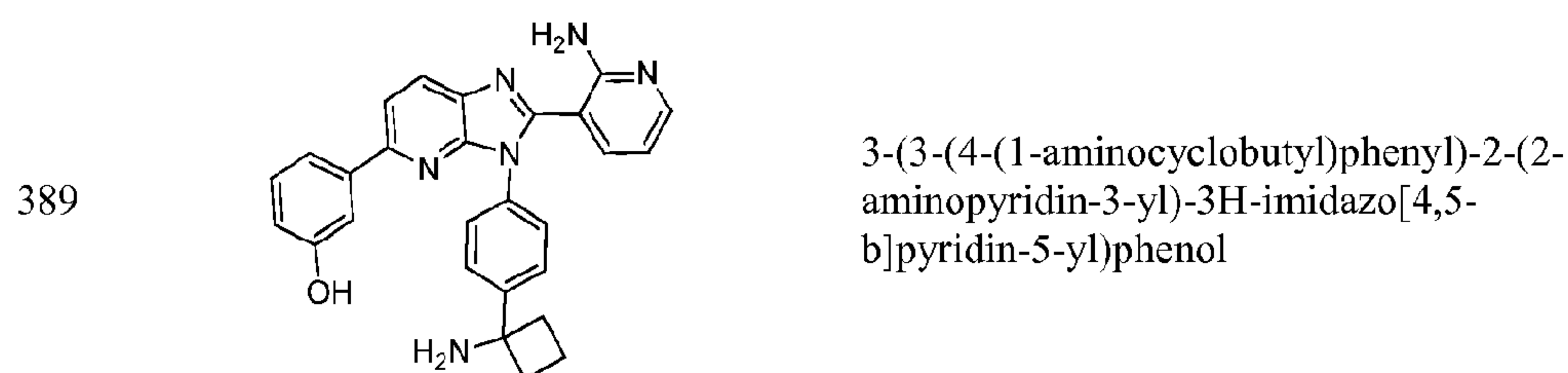
3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)-N-(2-hydroxyethyl)-N-methylbenzamide



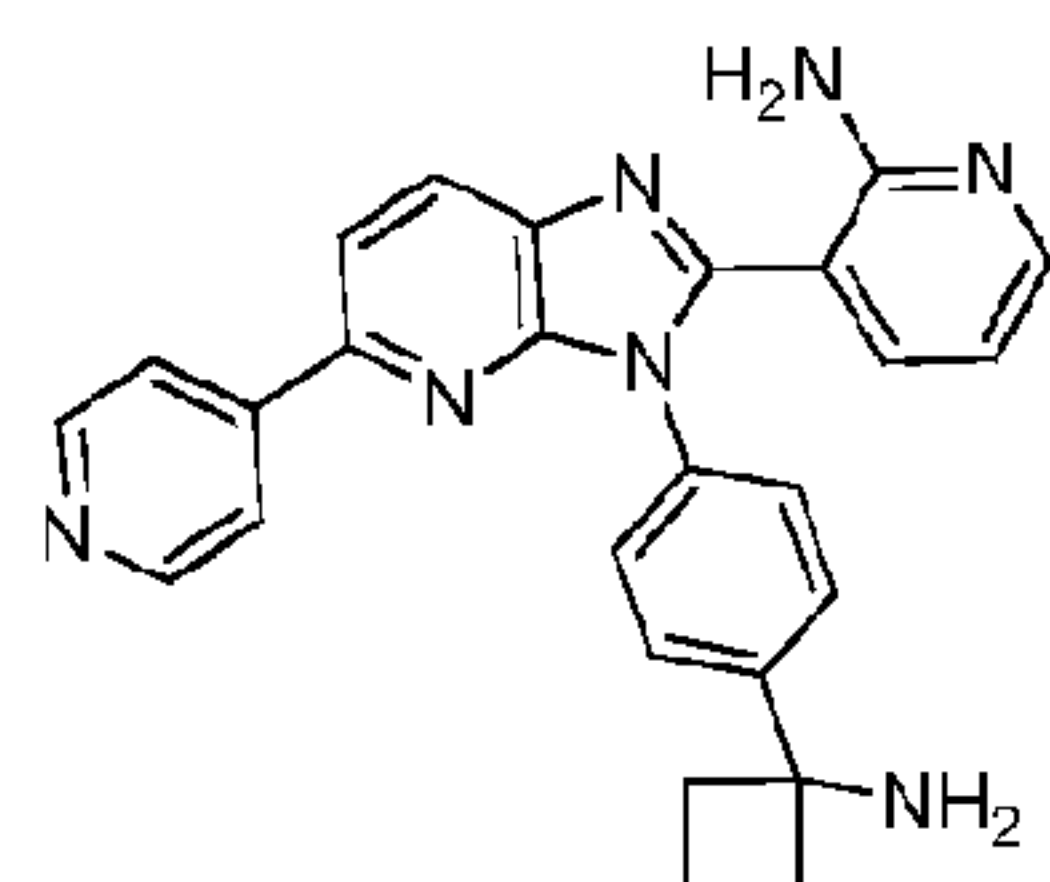
4-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)benzamide



(3-(3-(4-(1-aminocyclobutyl)phenyl)-2-(2-aminopyridin-3-yl)-3H-imidazo[4,5-b]pyridin-5-yl)phenyl)(morpholino)methanone

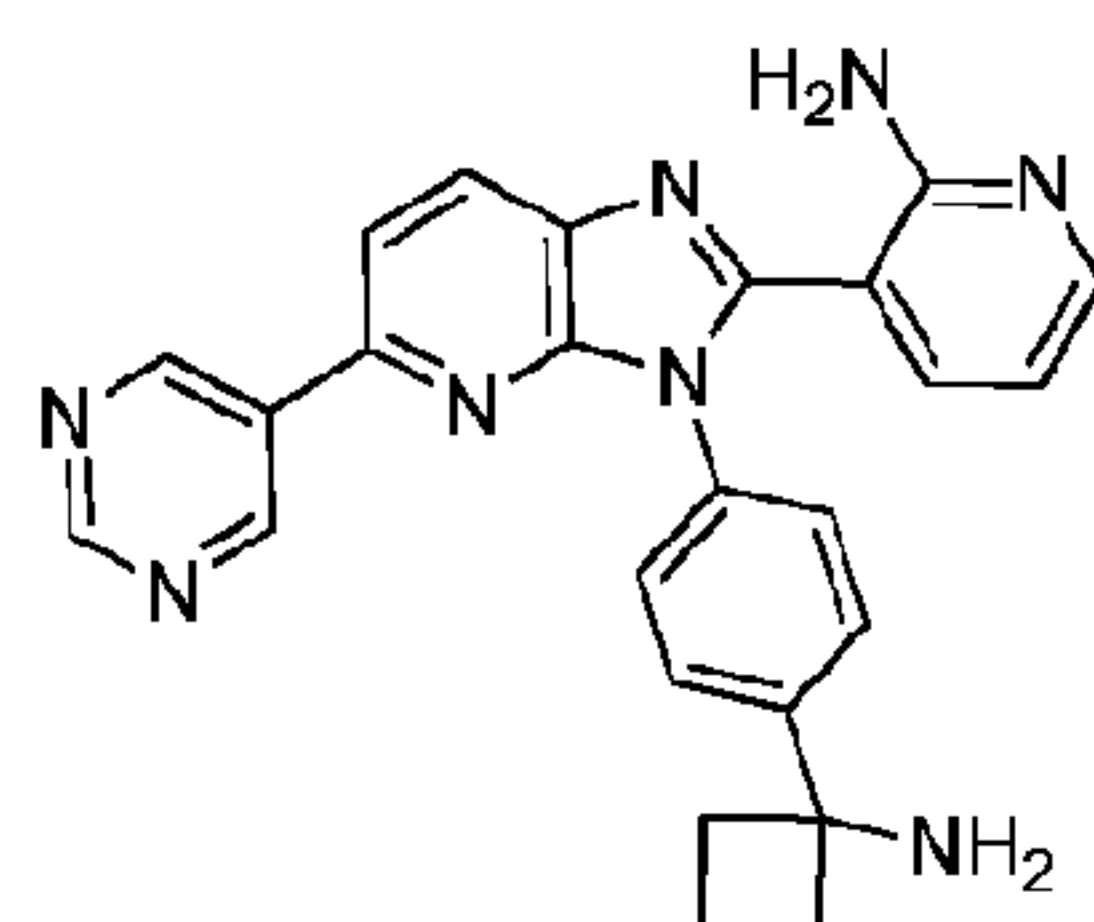


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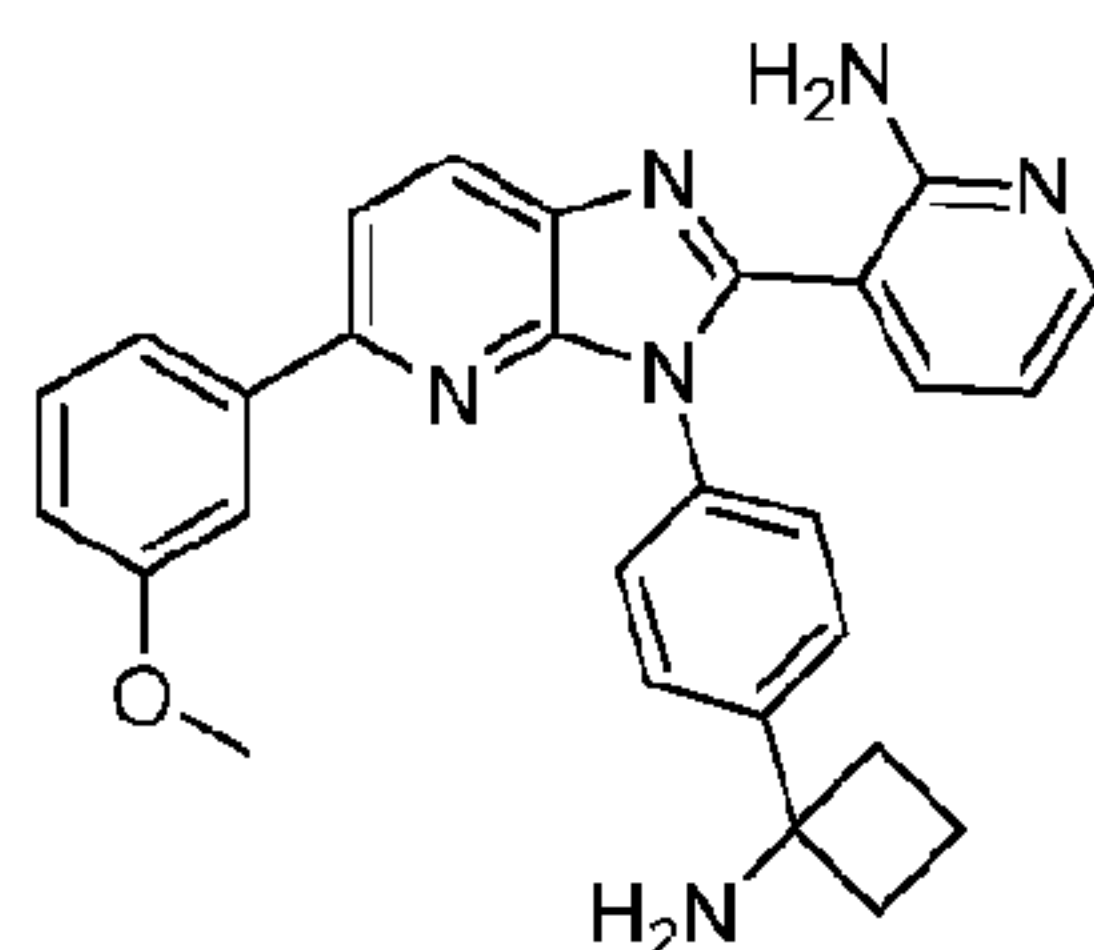
3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(pyridin-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

395



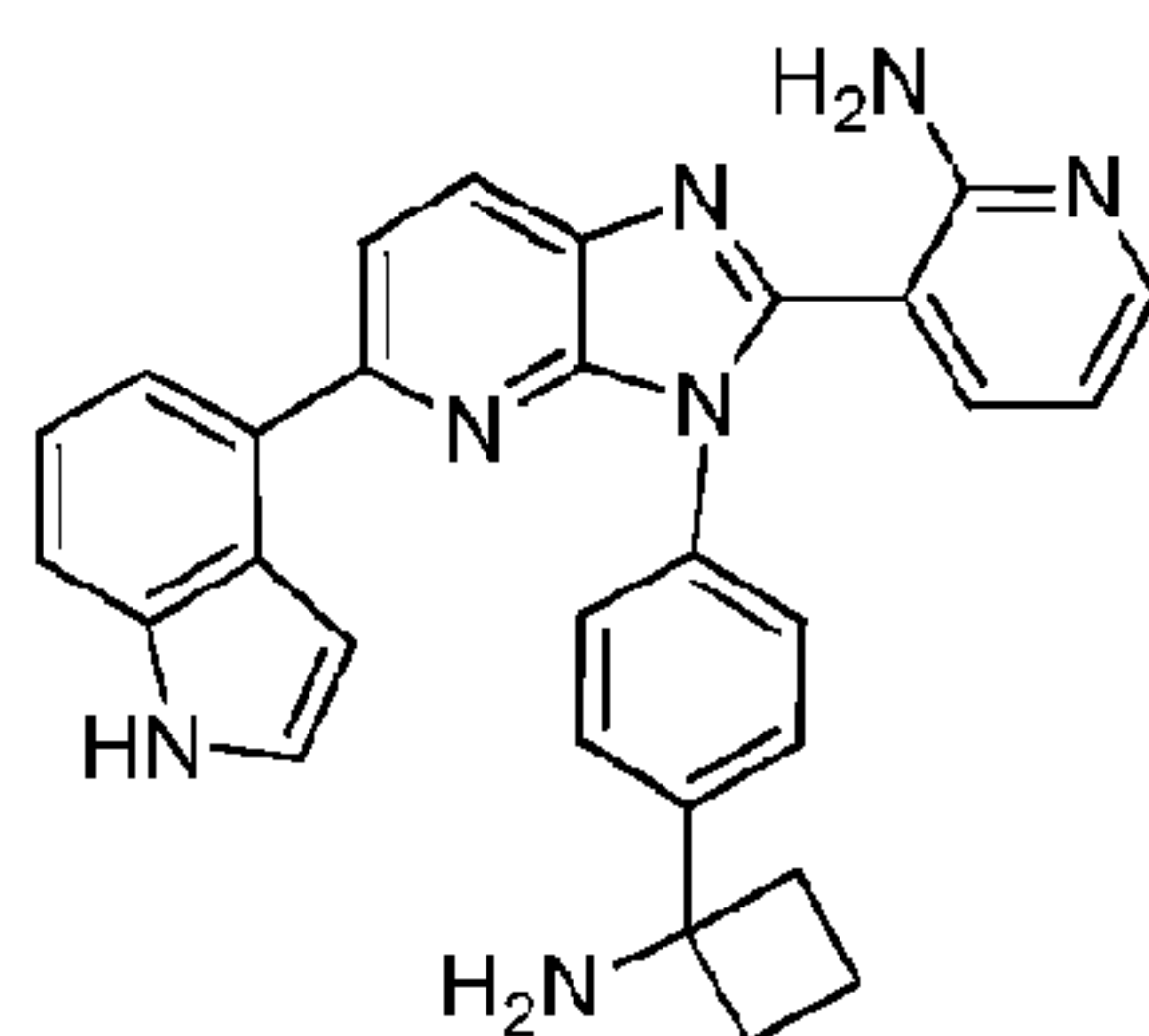
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396



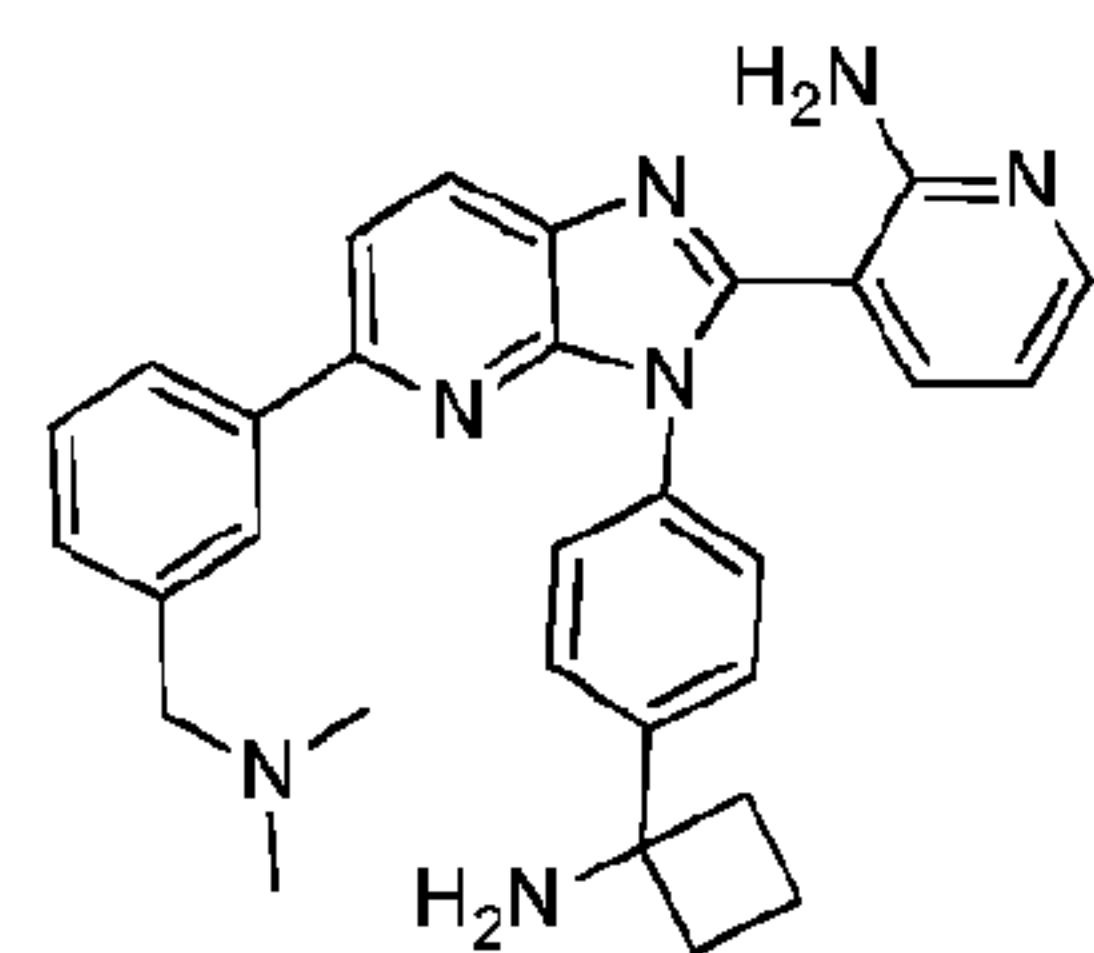
3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

397

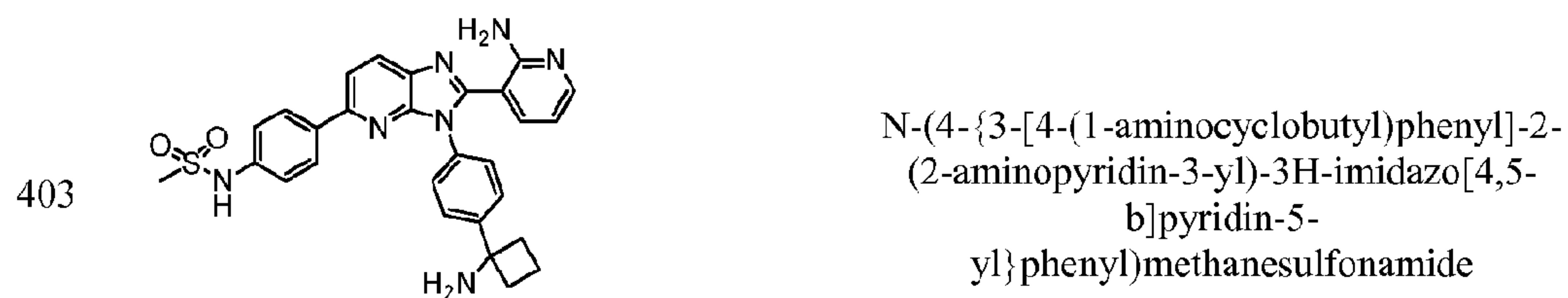
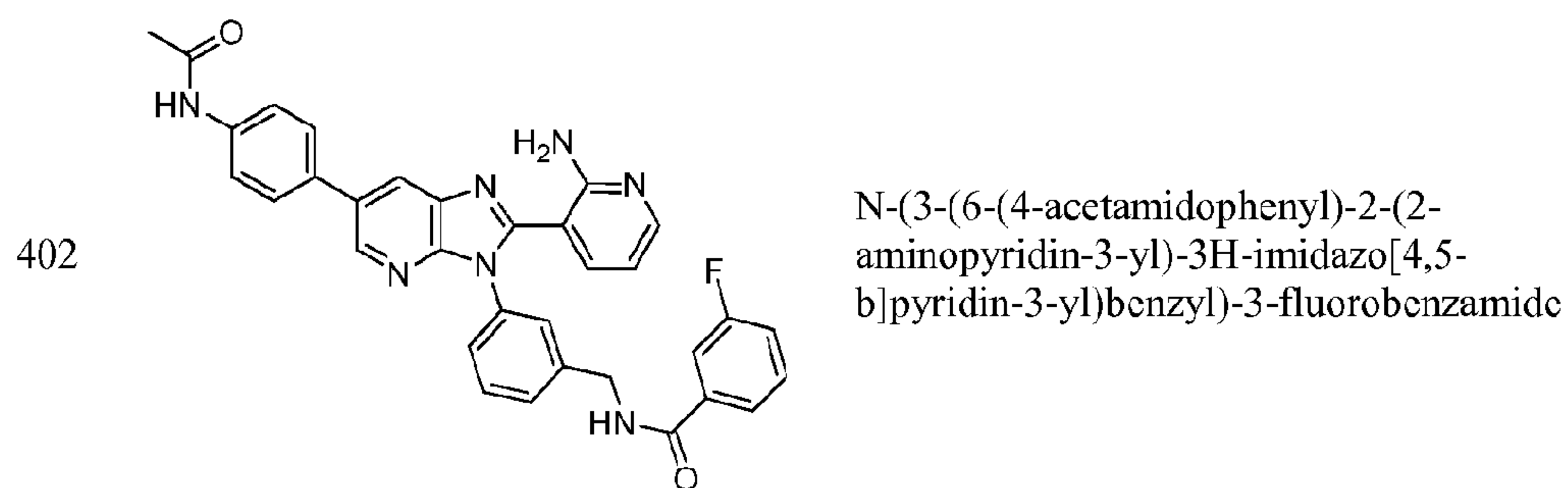
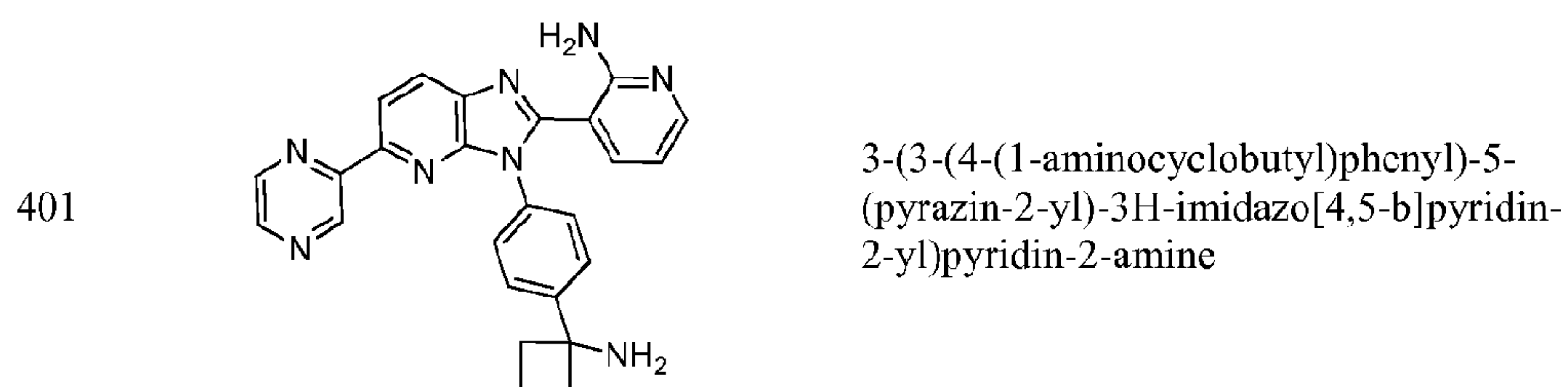
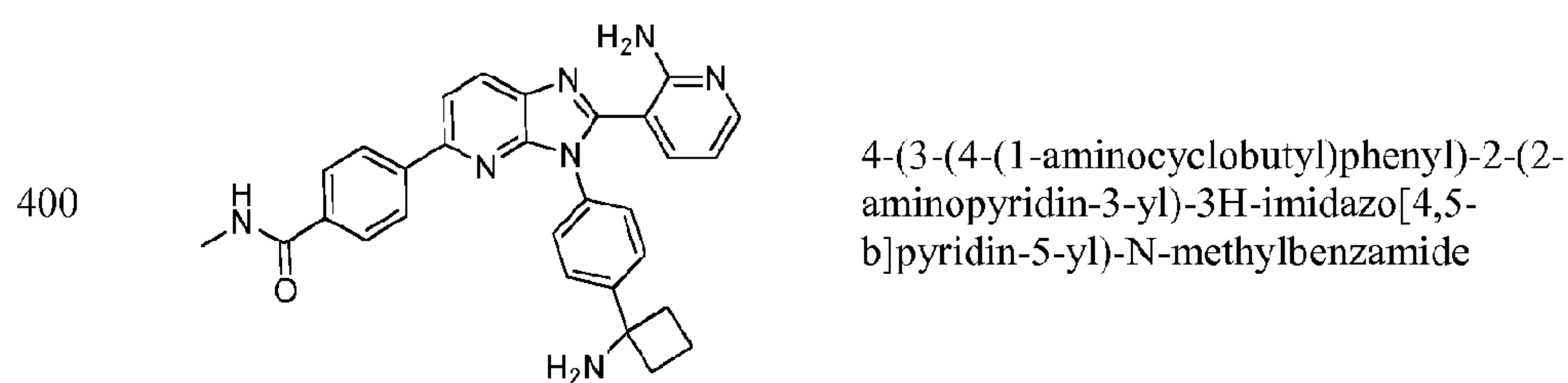
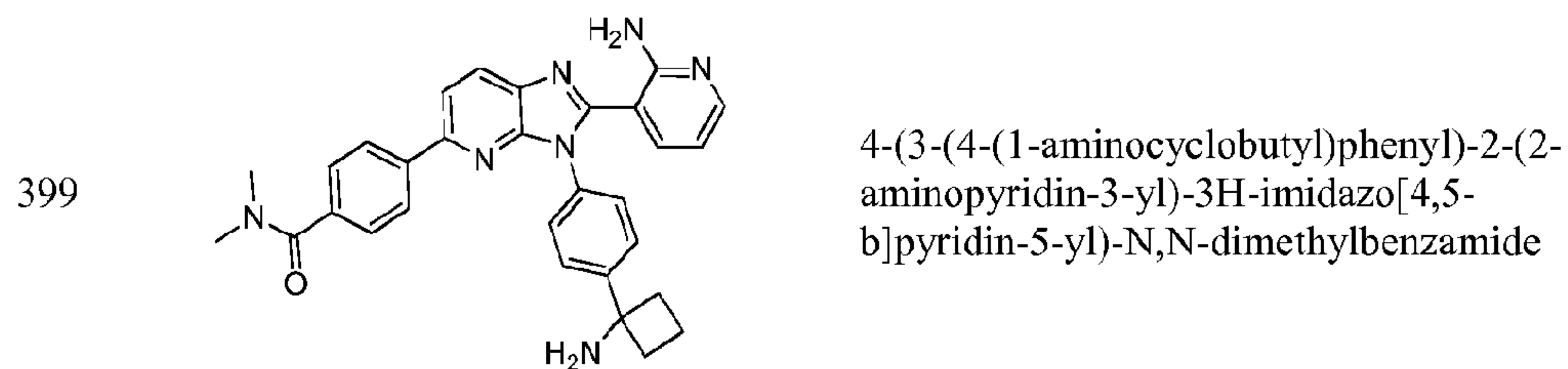


3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(1H-indol-4-yl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine

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3-(3-(4-(1-aminocyclobutyl)phenyl)-5-(3-((dimethylamino)methyl)phenyl)-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine



DEMANDES OU BREVETS VOLUMINEUX

**LA PRÉSENTE PARTIE DE CETTE DEMANDE OU CE BREVETS
COMPREND PLUS D'UN TOME.**

CECI EST LE TOME __1__ DE __2__

NOTE: Pour les tomes additionels, veuillez contacter le Bureau Canadien des Brevets.

JUMBO APPLICATIONS / PATENTS

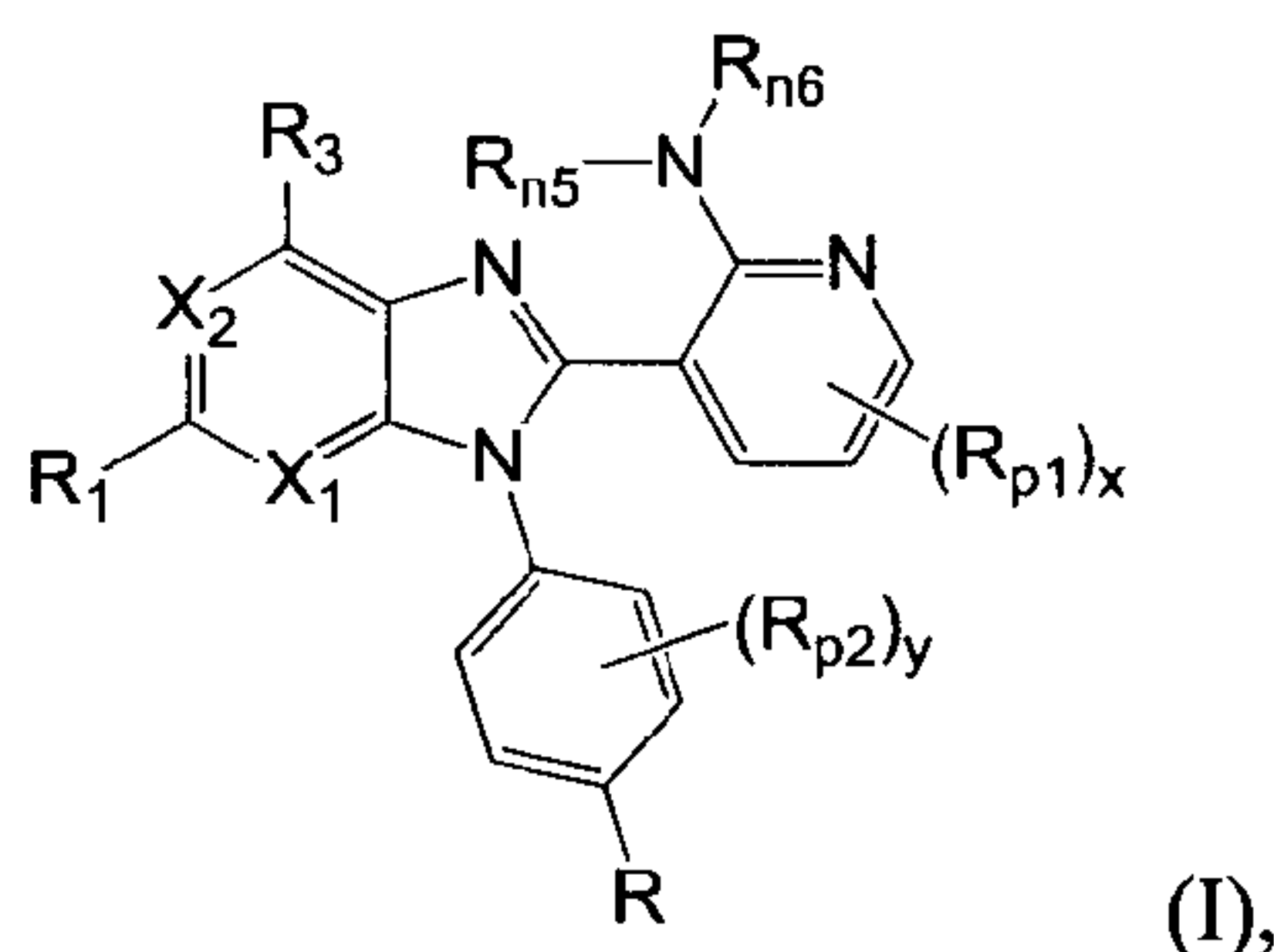
**THIS SECTION OF THE APPLICATION / PATENT CONTAINS MORE
THAN ONE VOLUME.**

THIS IS VOLUME __1__ OF __2__

NOTE: For additional volumes please contact the Canadian Patent Office.

CLAIMS

1. A compound having formula I:



or a pharmaceutically acceptable salt thereof, wherein:

X_1 is N and X_2 is CR_x ;

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 C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₄, C(O)OR₄, C(O)NR₄'R₄, S(O)R₅, S(O)₂R₅, S(O)NR₅'R₅, or S(O)₂NR₅'R₅, 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;

R₄, R₄', R₅ and R₅' are each independently H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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};

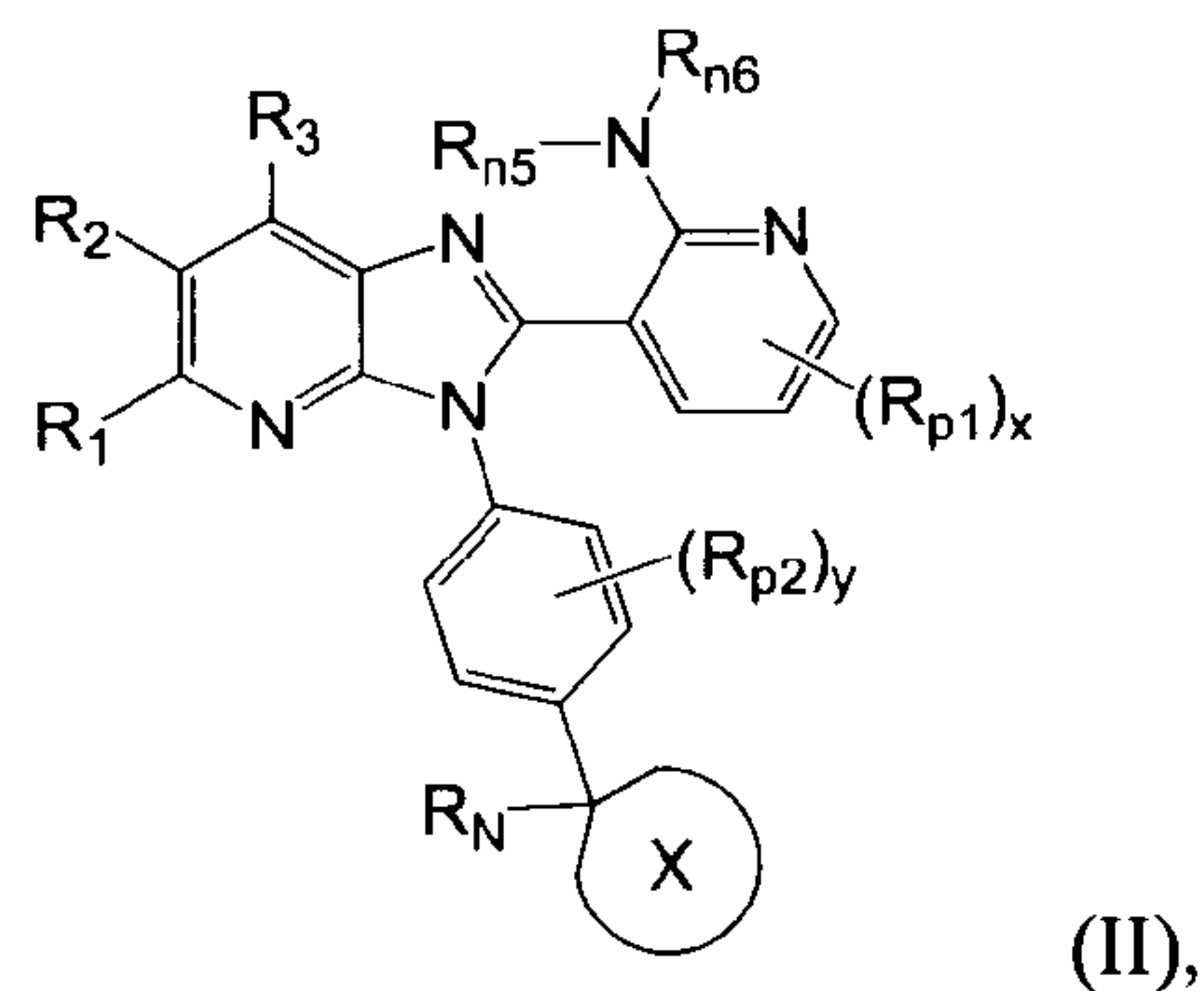
R_s is H, unsubstituted or substituted C_1-C_6 alkyl, unsubstituted or substituted C_6-C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3-C_{10} carbocycle, unsubstituted or substituted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



or a pharmaceutically acceptable salt 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 $S(O)_2R_8$,

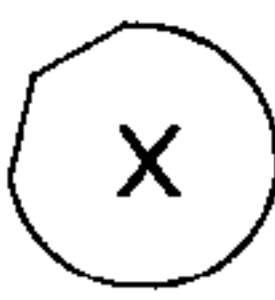
R_8 is H, unsubstituted or substituted C_1 - C_6 alkyl, unsubstituted or substituted C_6 - C_{10} aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C_3 - C_{10} carbocycle, or unsubstituted 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 $C(O)R_{11}$;

R₉ is H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₁₂, C(O)OR₁₂, C(O)NR₁₂R₁₃, S(O)R₁₂, or S(O)₂R₁₂;

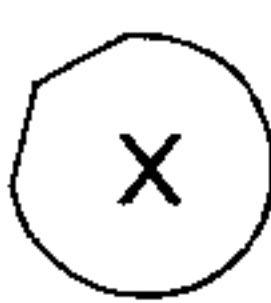
R₁₁ is H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C₃-C₁₀ carbocycle, unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, OR₁₄, or NR₁₄R₁₅;

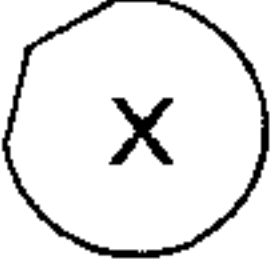
R₁₀, R₁₂, R₁₃, R₁₄ and R₁₅ are each independently H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C₃-C₁₀ 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.

3. The compound of claim 2, wherein x is 0 and y is 0.

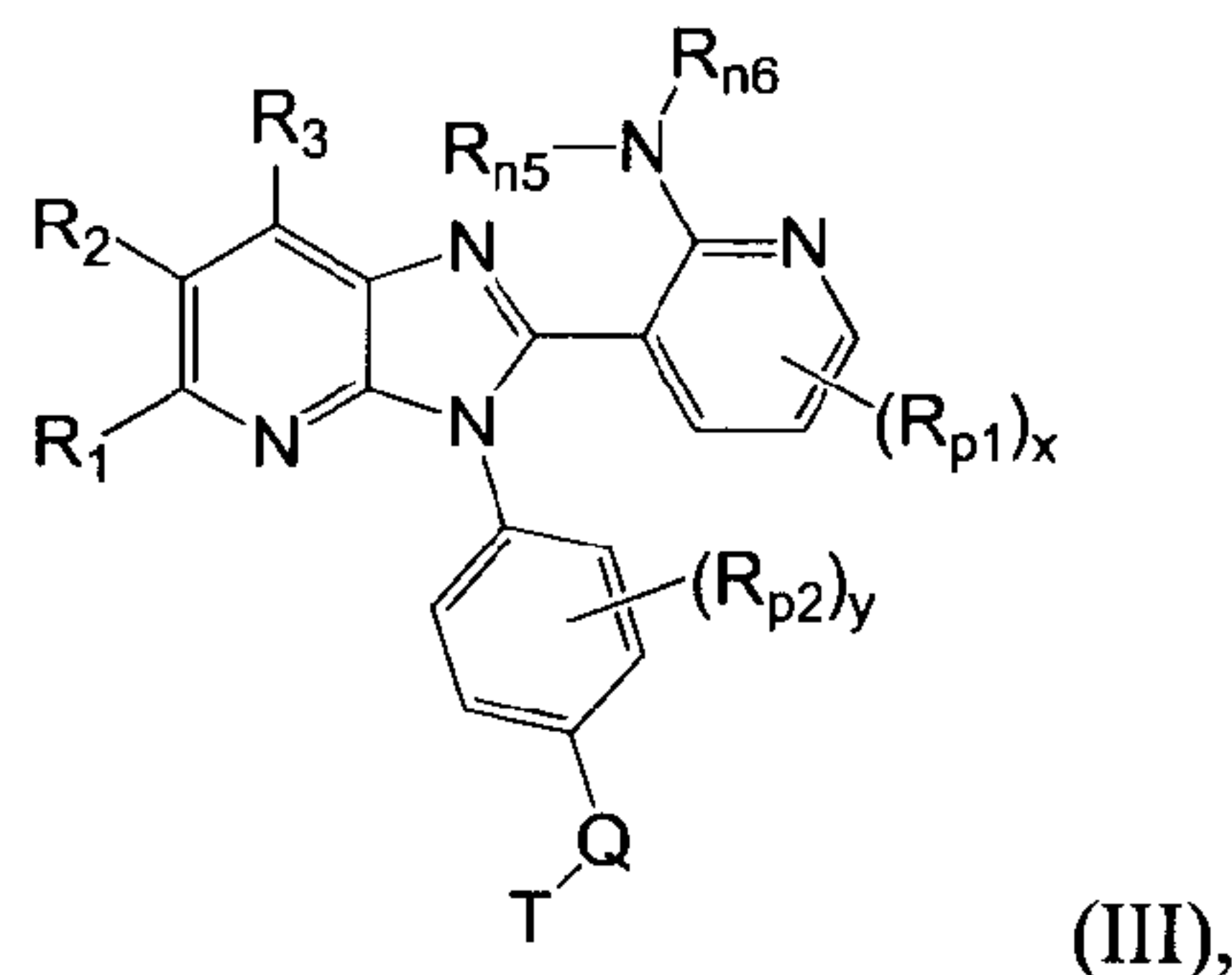
4. The compound of claim 2, wherein R₁ is unsubstituted or substituted phenyl.

5. The compound of claim 2, 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, azetidiny, oxetanyl, thietanyl, diazetidinyl, dioxetanyl, dithietanyl, oxazetidiny, thiazetidiny, pyrrolidinyl, tetrahydrofuranyl, tetrahydrothiophenyl, imidazolidinyl, pyrazolidinyl, oxazolidinyl, isoxazolidinyl, thiazolidinyl, isothiazolidinyl, triazolidinyl, oxadiazolidinyl, thiadiazolidinyl, piperidinyl, hexahydropyrimidinyl, piperazinyl, morpholinyl, oxazinanyl, thiazinanyl, azepanyl, oxepanyl, thiepanyl, diazepanyl, oxazepanyl, and thiazepanyl.

6. The compound of claim 5, wherein  is unsubstituted or substituted cyclobutyl or unsubstituted or substituted oxetanyl.

7. The compound of claim 2, wherein R_N is NH₂.

8. The compound of claim 1, having formula III:



or a pharmaceutically acceptable salt thereof, wherein:

R₁, R₂ and R₃ are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, or unsubstituted or substituted amino;

each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted amino, C(O)R₈, C(O)OR₈, S(O)R₈, or S(O)₂R₈;

R₈ is H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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)R₄, C(O)OR₄, C(O)NR_{4'}R₄, S(O)R₅, S(O)₂R₅, S(O)NR_{5'}R₅, or S(O)₂NR_{5'}R₅, 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;

R₄, R_{4'}, R₅ and R_{5'} are each independently H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 $\text{NR}_{\text{s}1}\text{R}_{\text{s}2}$;

$\text{R}_{\text{o}1}$ and $\text{R}_{\text{o}2}$ are each independently H, unsubstituted or substituted $\text{C}_1\text{-C}_6$ alkyl, unsubstituted or substituted $\text{C}_6\text{-C}_{10}$ 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 $\text{C}_3\text{-C}_{10}$ 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 $\text{R}_{\text{o}1}$ and $\text{R}_{\text{o}2}$, 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;

$\text{R}_{\text{o}3}$ is H, unsubstituted or substituted $\text{C}_1\text{-C}_6$ alkyl, unsubstituted or substituted $\text{C}_6\text{-C}_{10}$ 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 $\text{C}_3\text{-C}_{10}$ 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

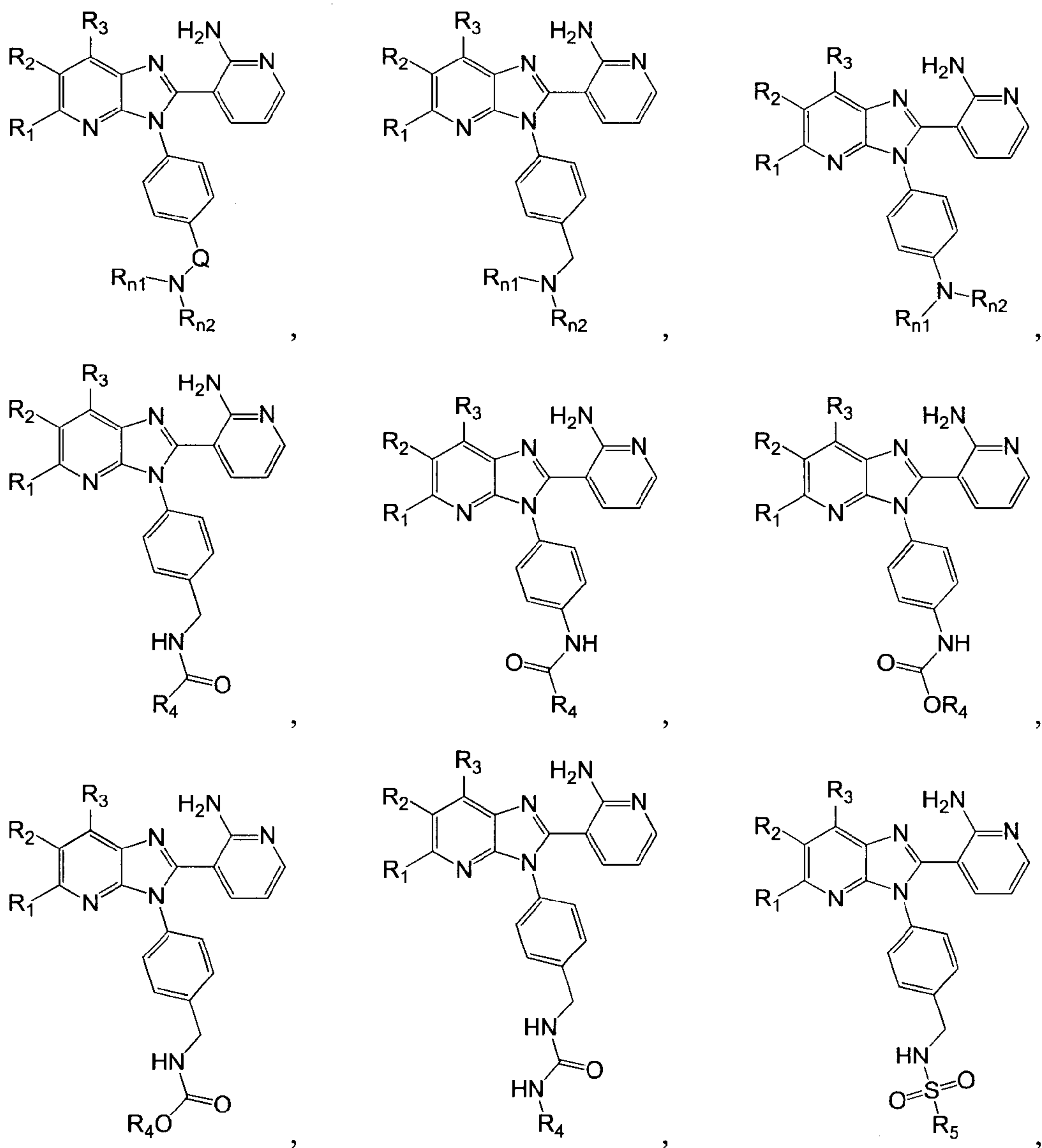
$\text{R}_{\text{s}1}$ and $\text{R}_{\text{s}2}$ are each independently H, unsubstituted or substituted $\text{C}_1\text{-C}_6$ alkyl, unsubstituted or substituted $\text{C}_6\text{-C}_{10}$ aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted $\text{C}_3\text{-C}_{10}$ 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 $\text{R}_{\text{s}1}$ and $\text{R}_{\text{s}2}$, 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.

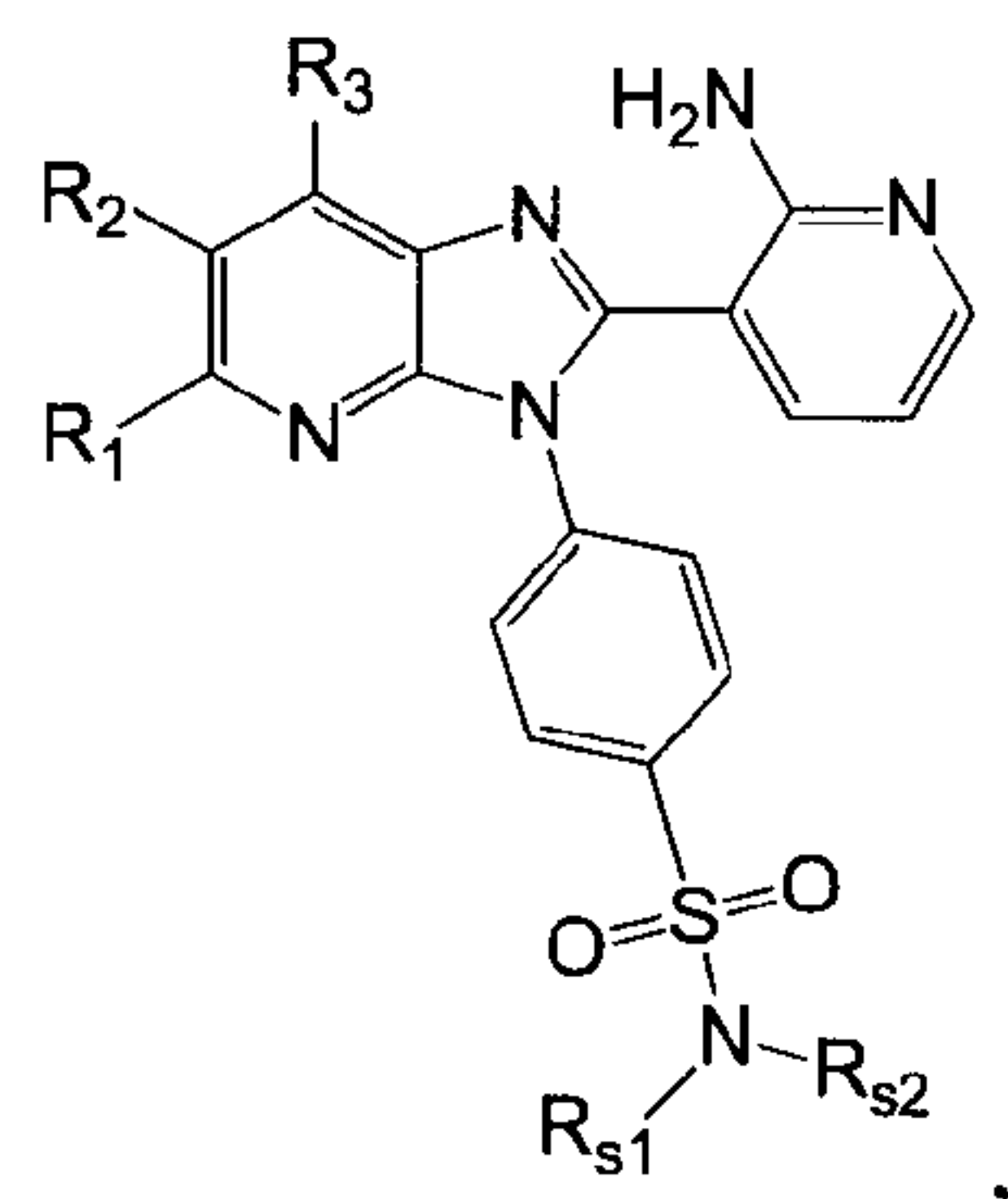
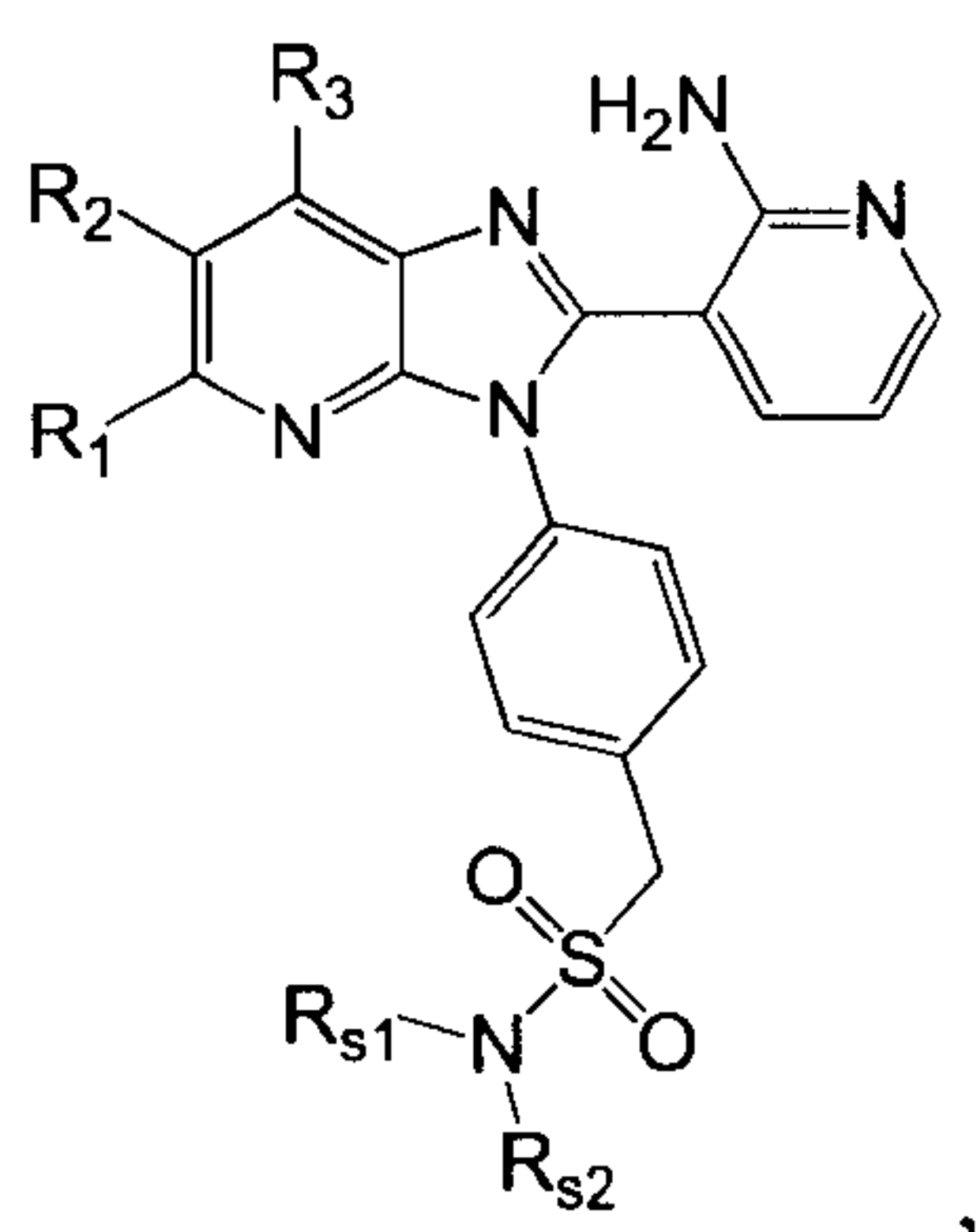
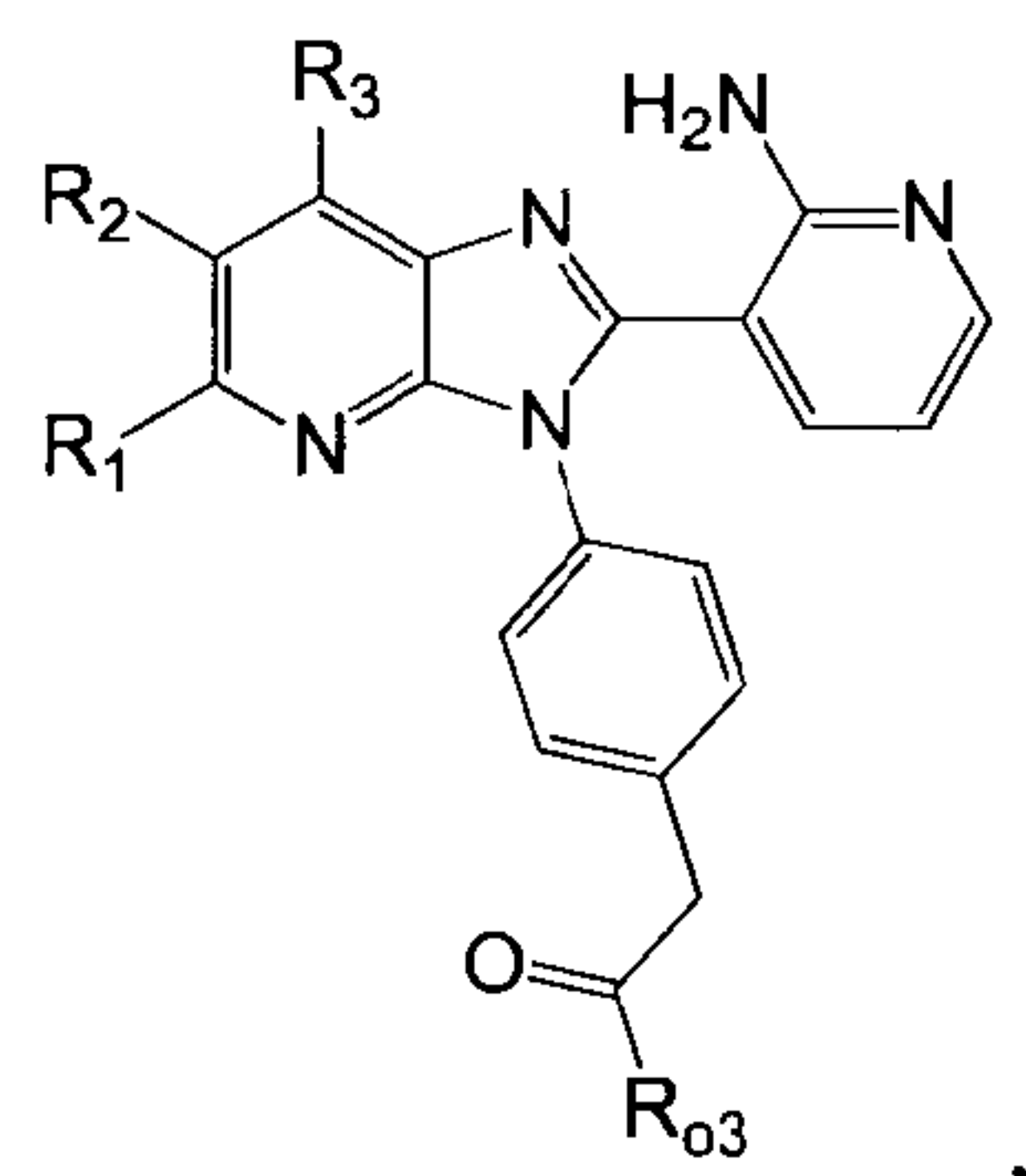
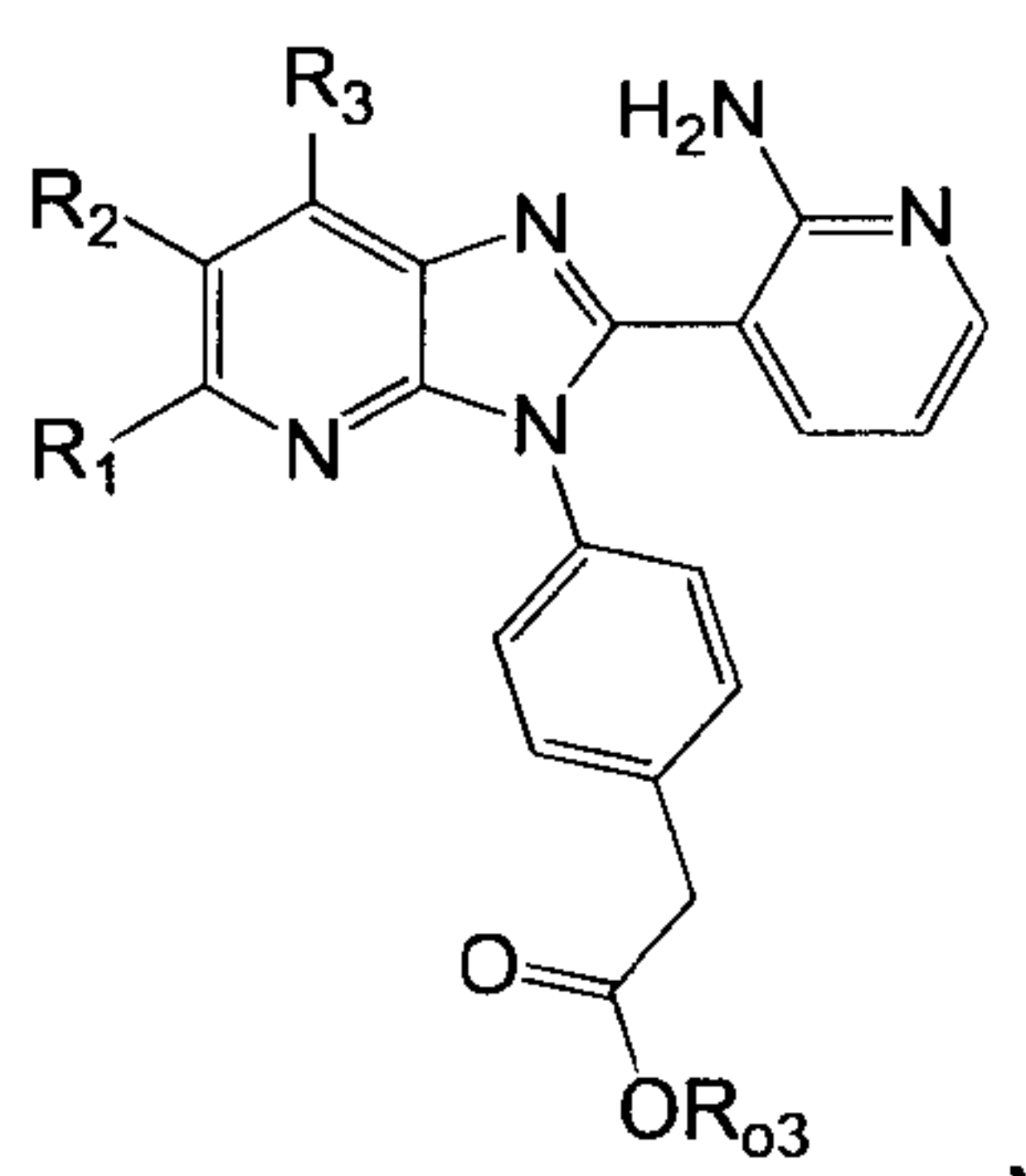
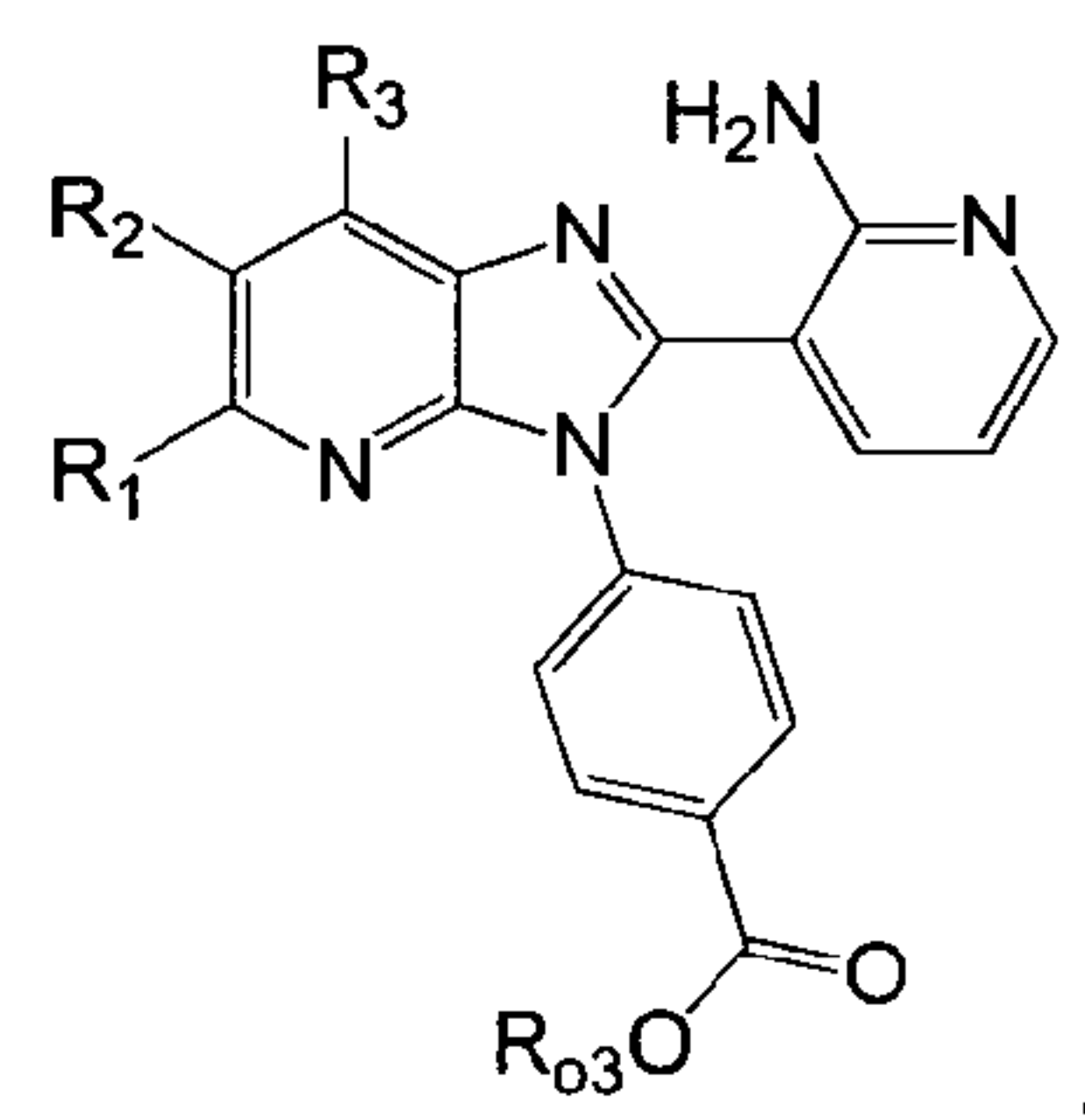
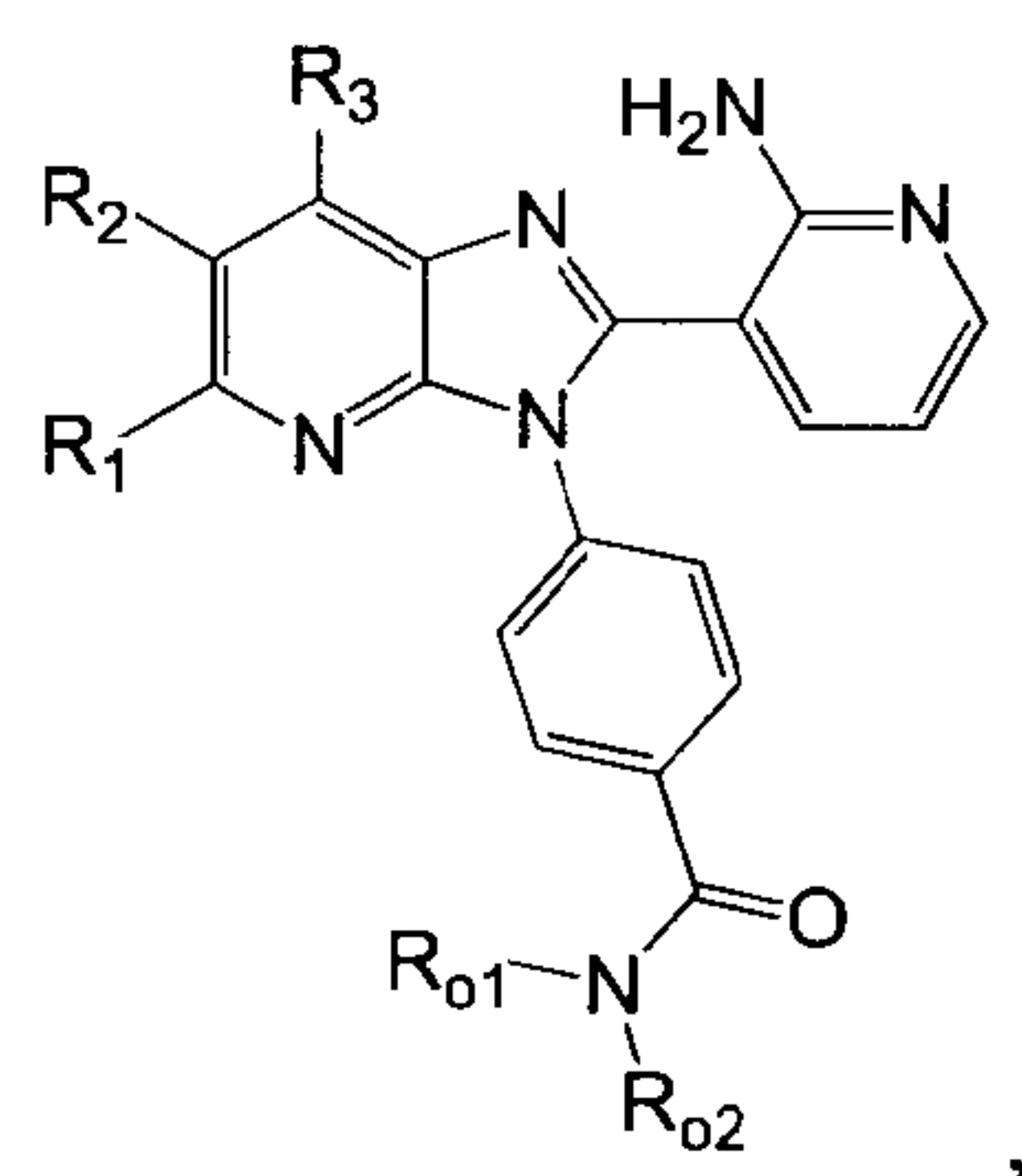
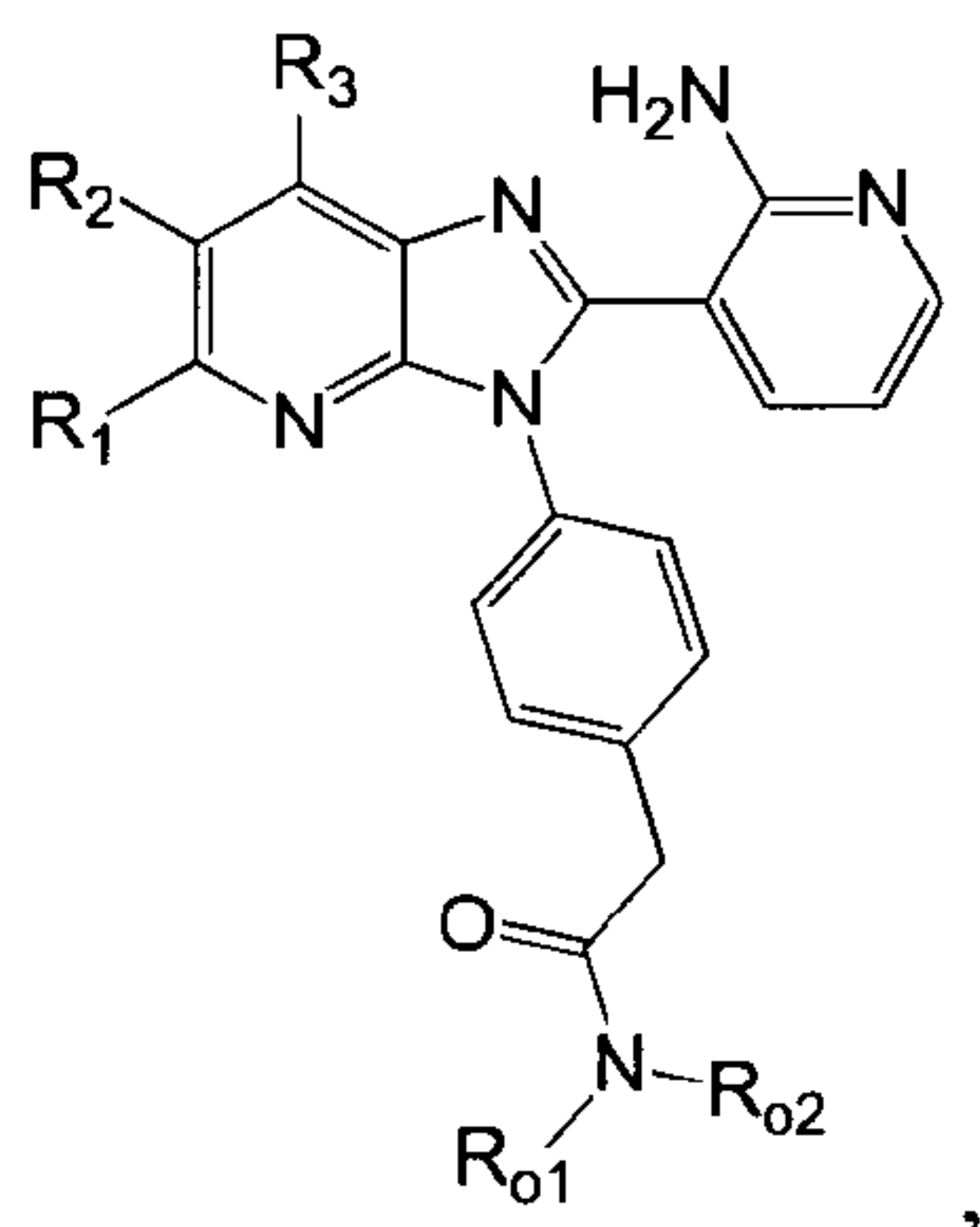
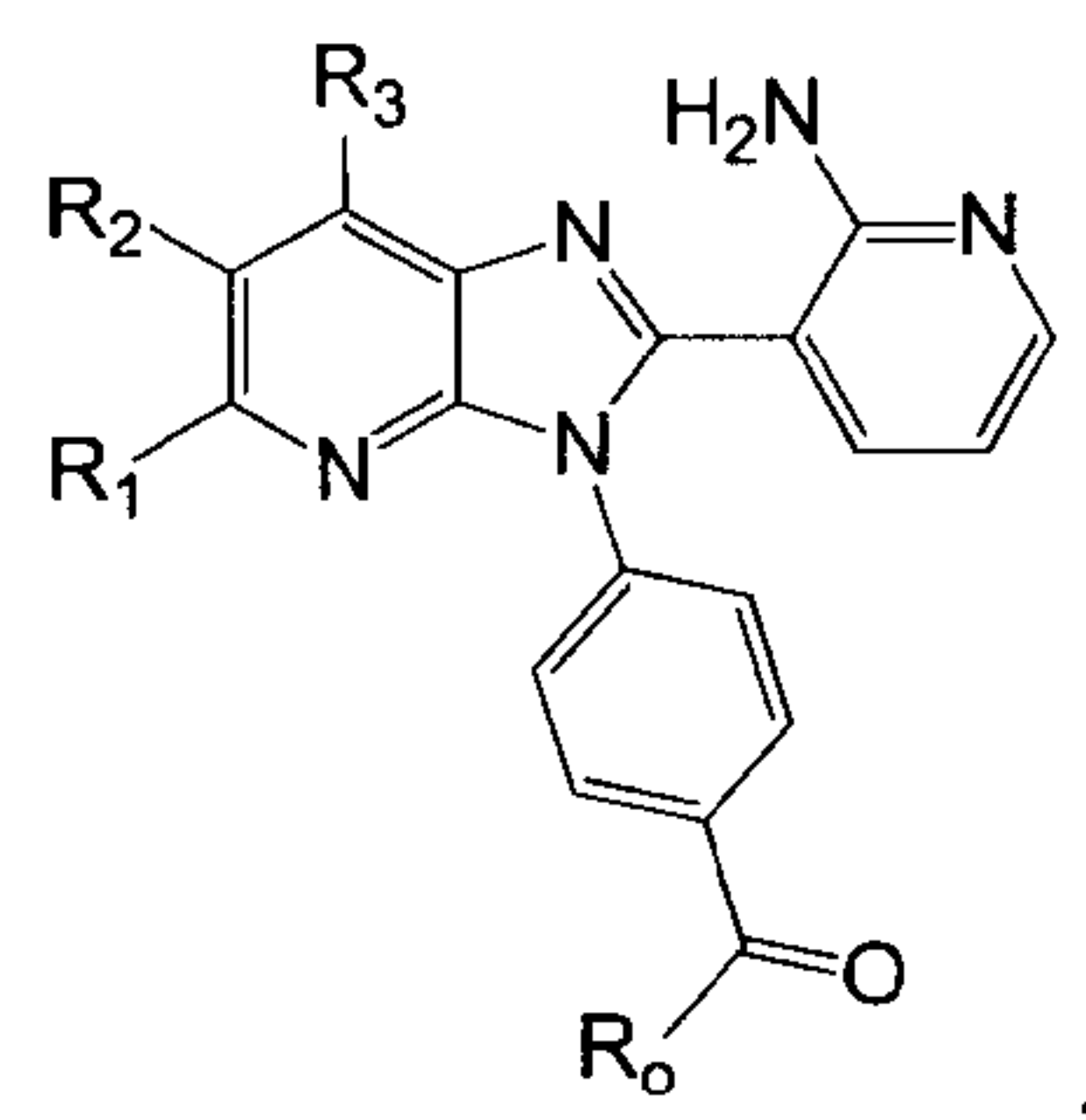
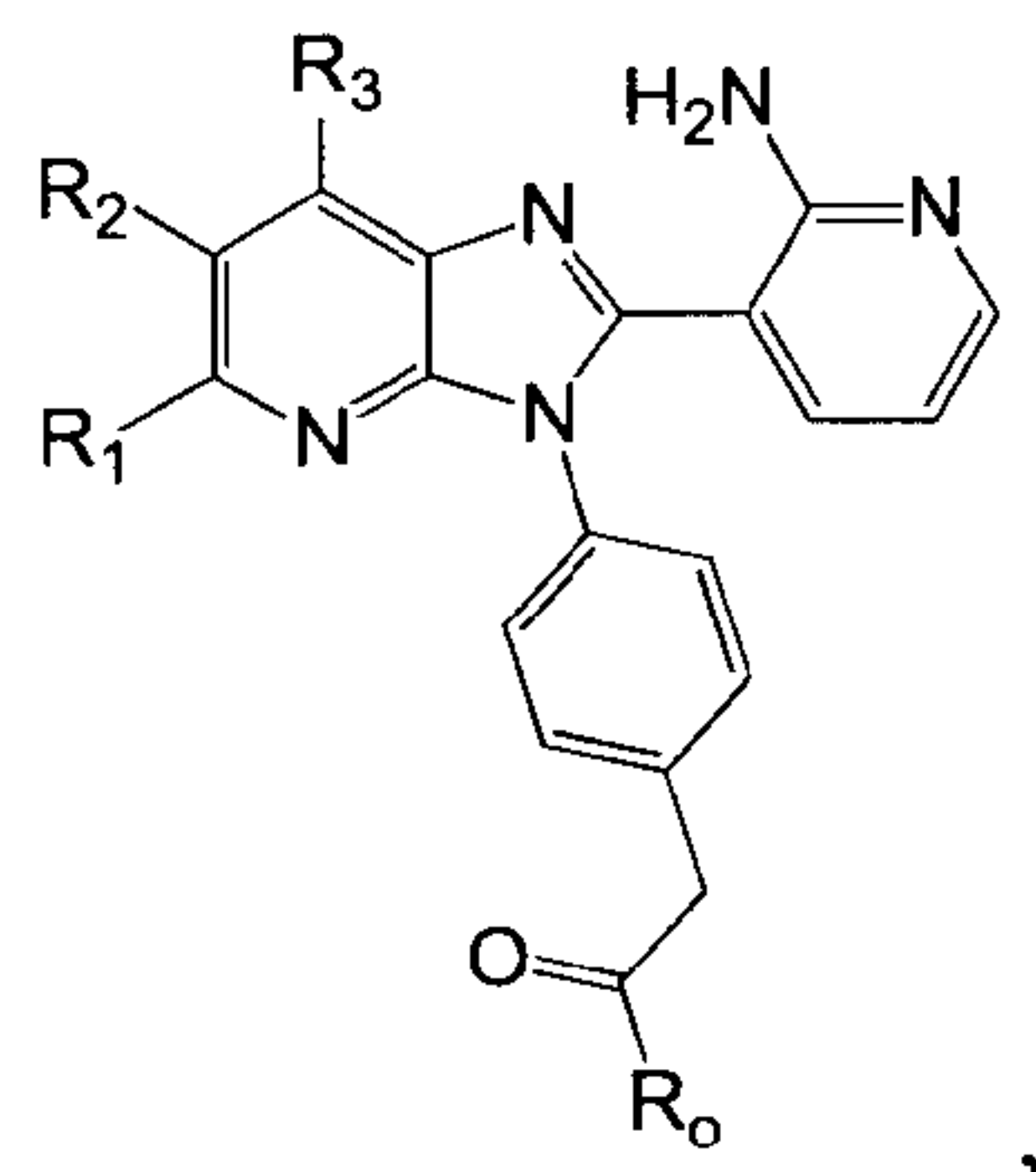
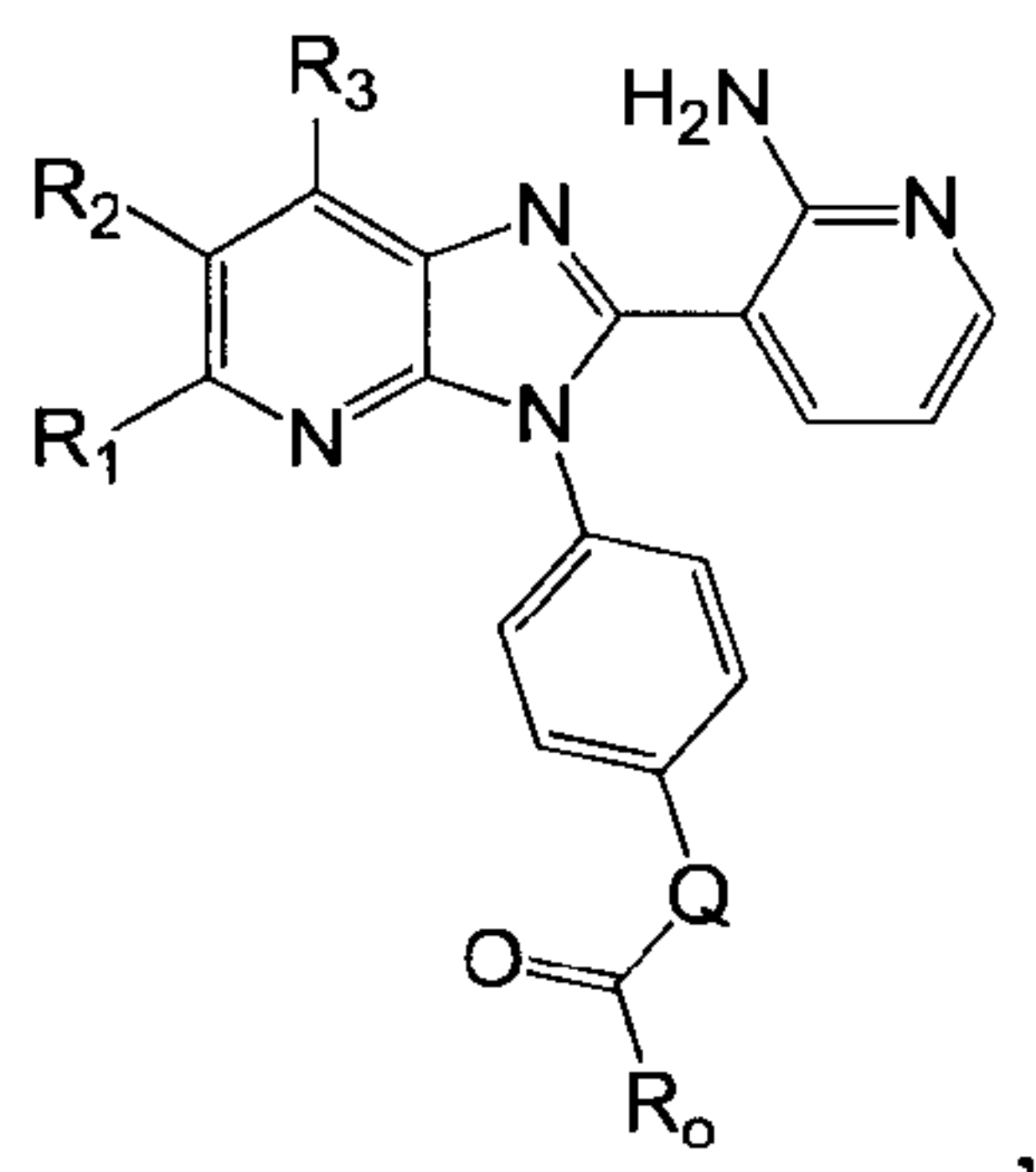
9. The compound of claim 8, wherein x is 0 and y is 0.
10. The compound of claim 8, wherein Q is a bond.
11. The compound of claim 8, wherein Q is a methyl linker.
12. The compound of claim 8, wherein T is $\text{NR}_{\text{n}1}\text{R}_{\text{n}2}$.
13. The compound of claim 12, wherein $\text{R}_{\text{n}2}$ is $\text{C}(\text{O})\text{R}_4$, $\text{C}(\text{O})\text{OR}_4$, $\text{C}(\text{O})\text{NR}_4'\text{R}_4$, or $\text{S}(\text{O})_2\text{R}_5$.

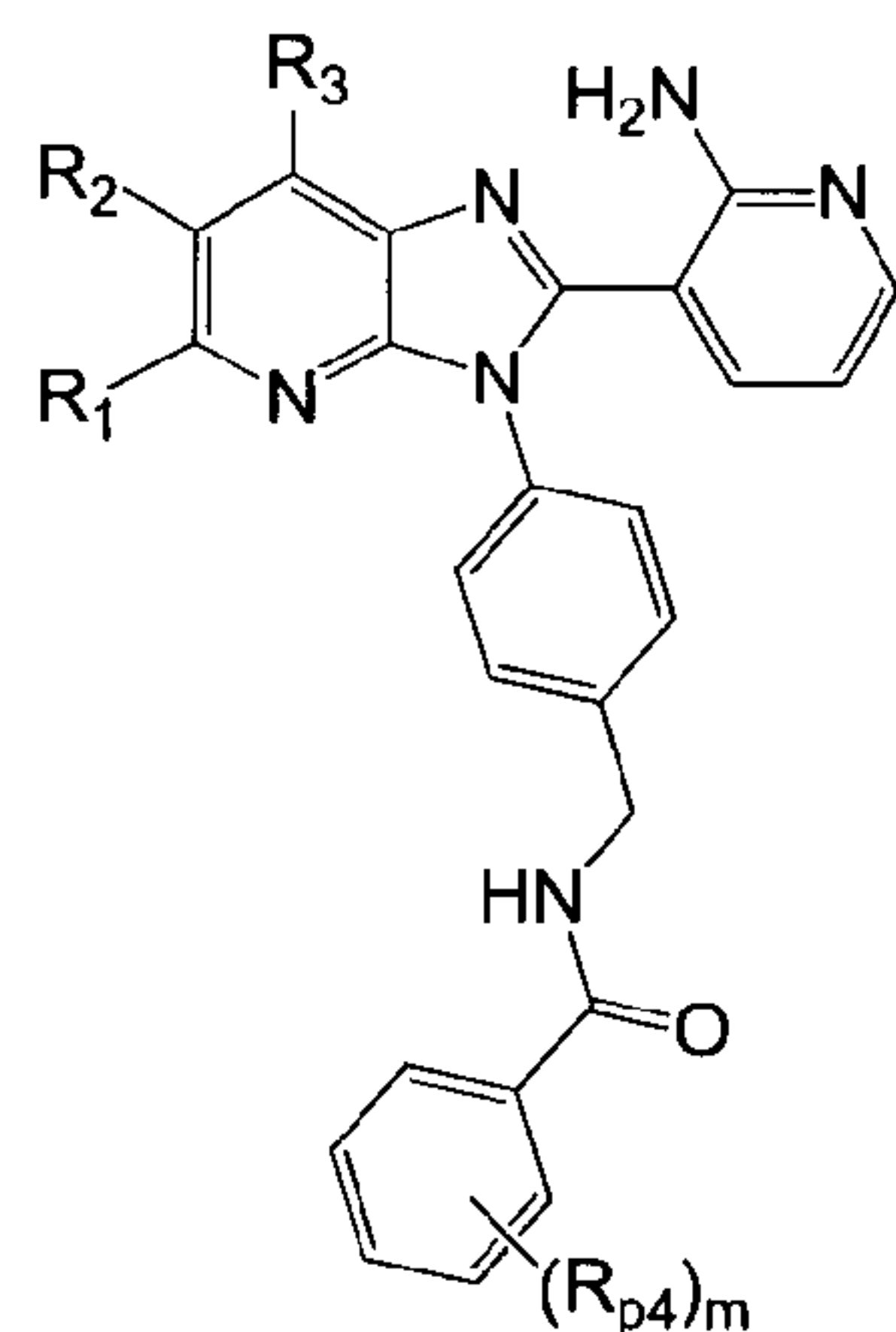
14. The compound of claim 8, wherein T is C(O)R₀.

15. The compound of claim 14, wherein R₀ is NR₀₁R₀₂.

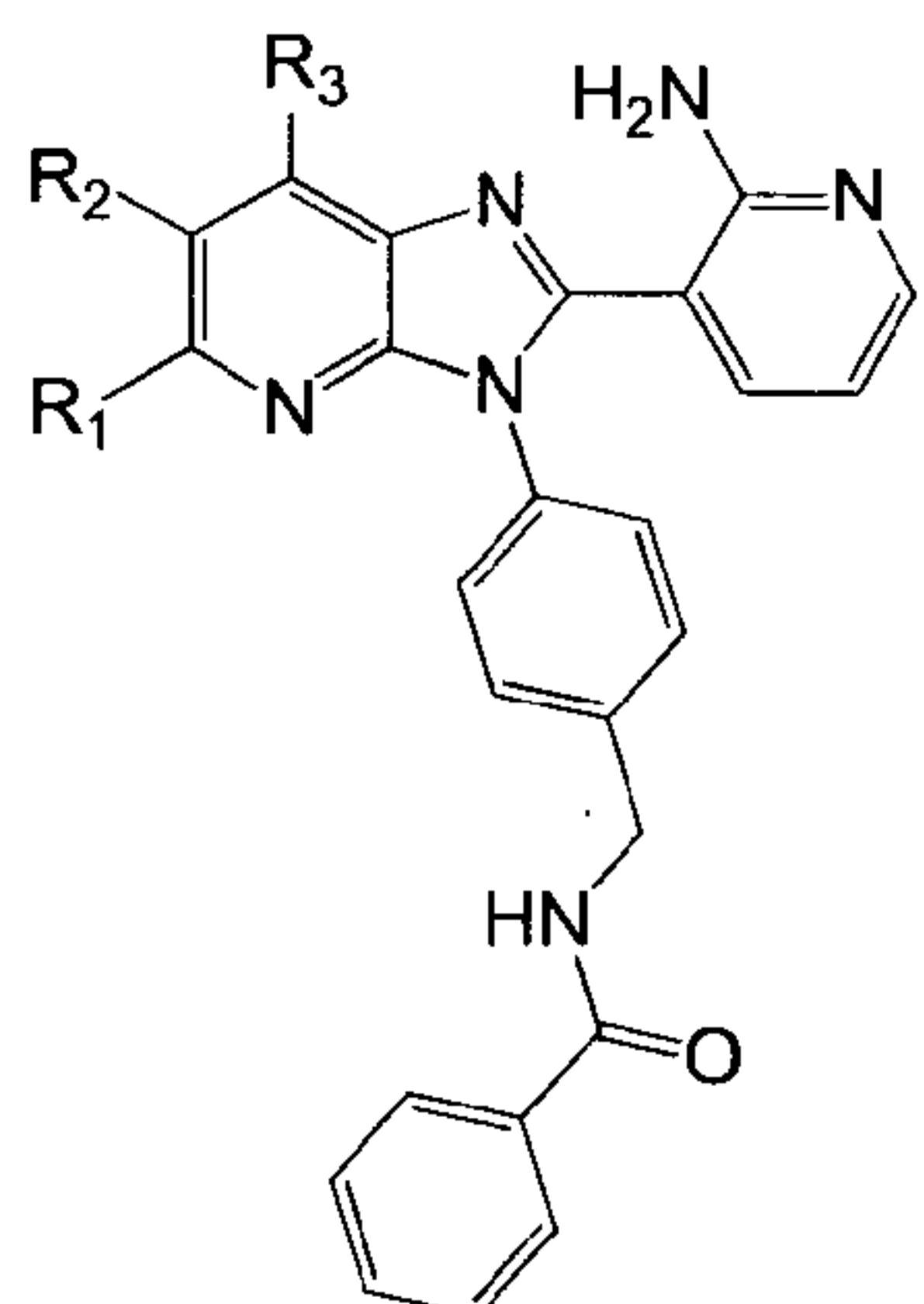
16. The compound of claim 8, having one of the following formulae:



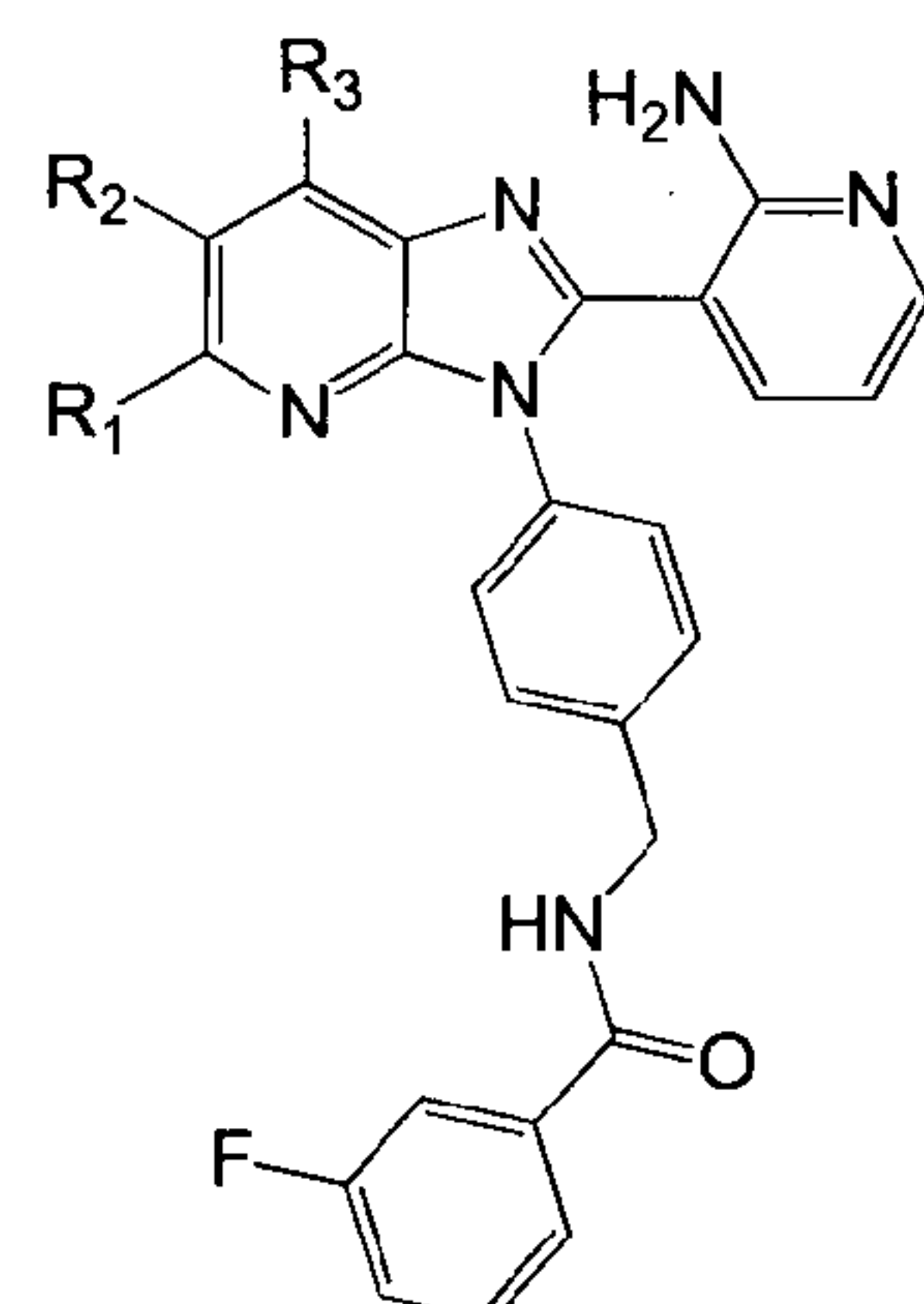




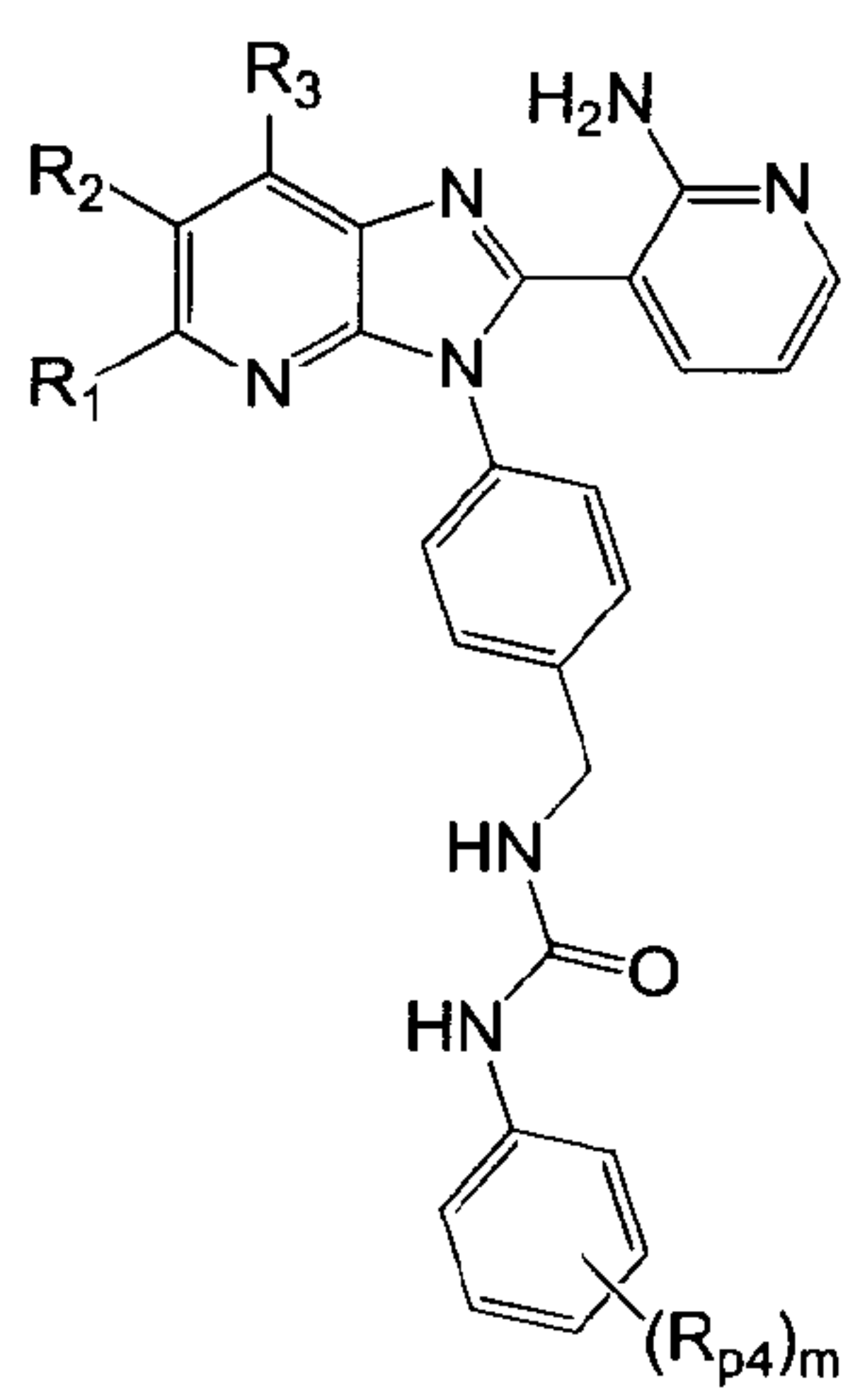
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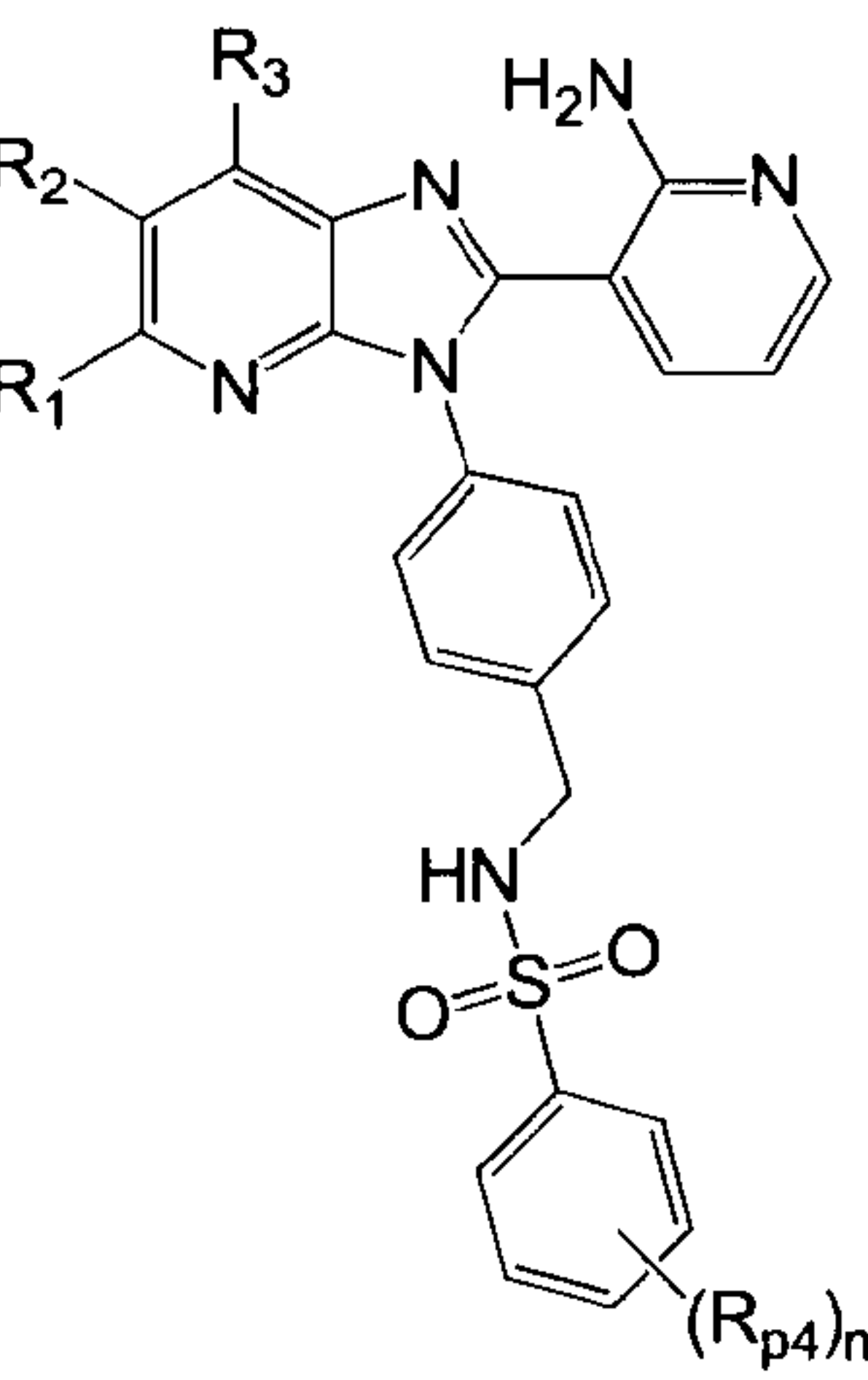
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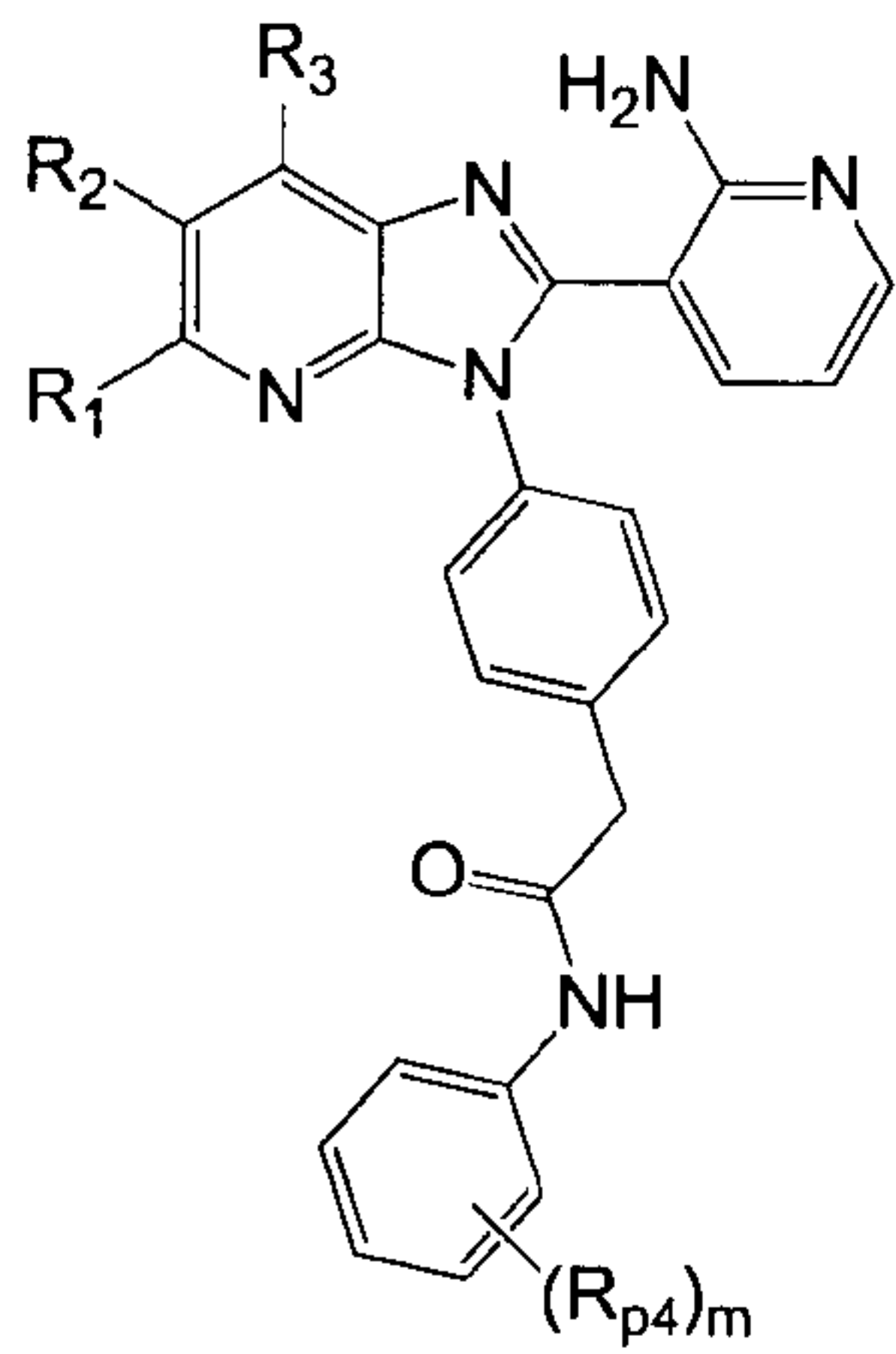
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,

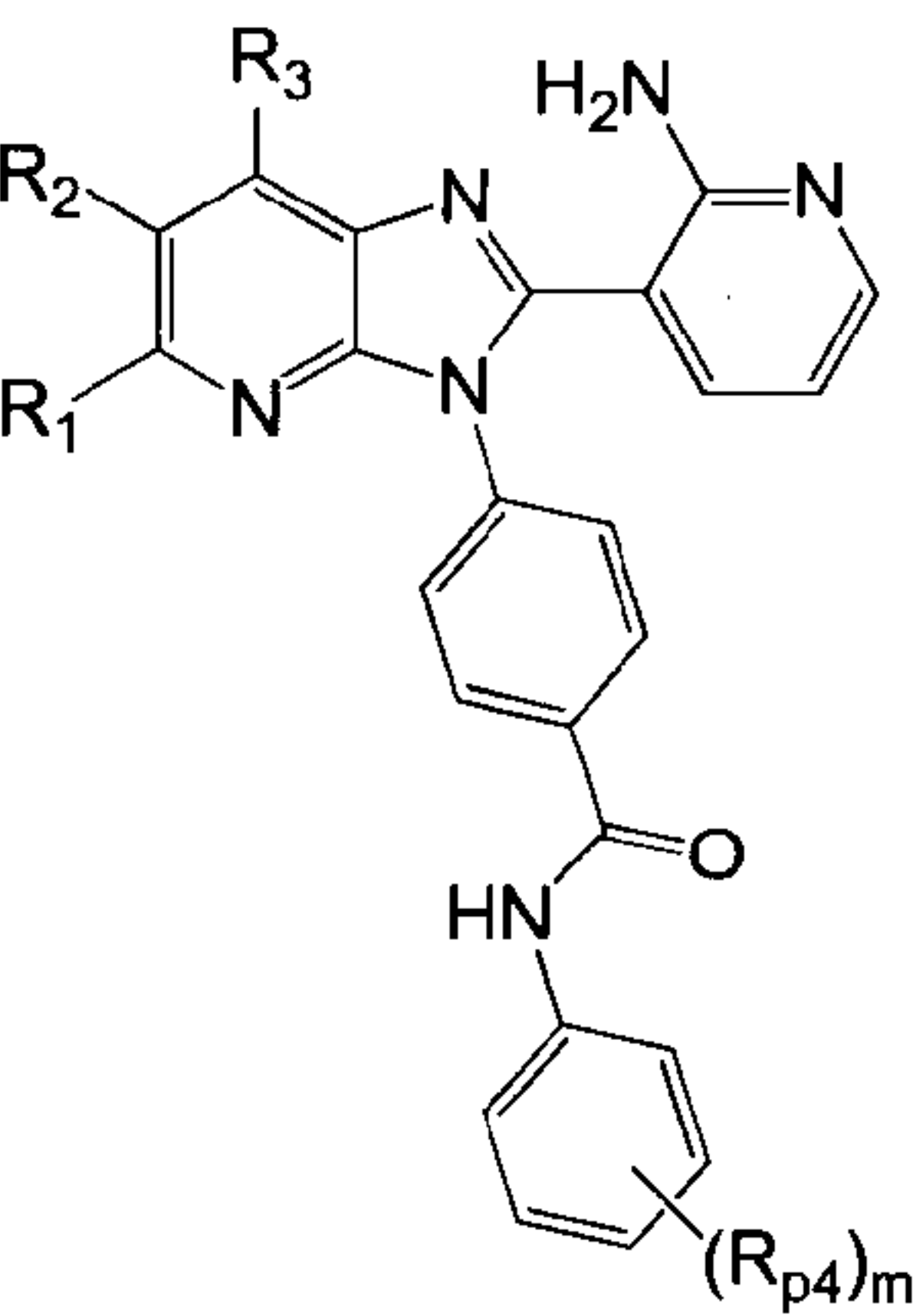


,



,

or



,

wherein:

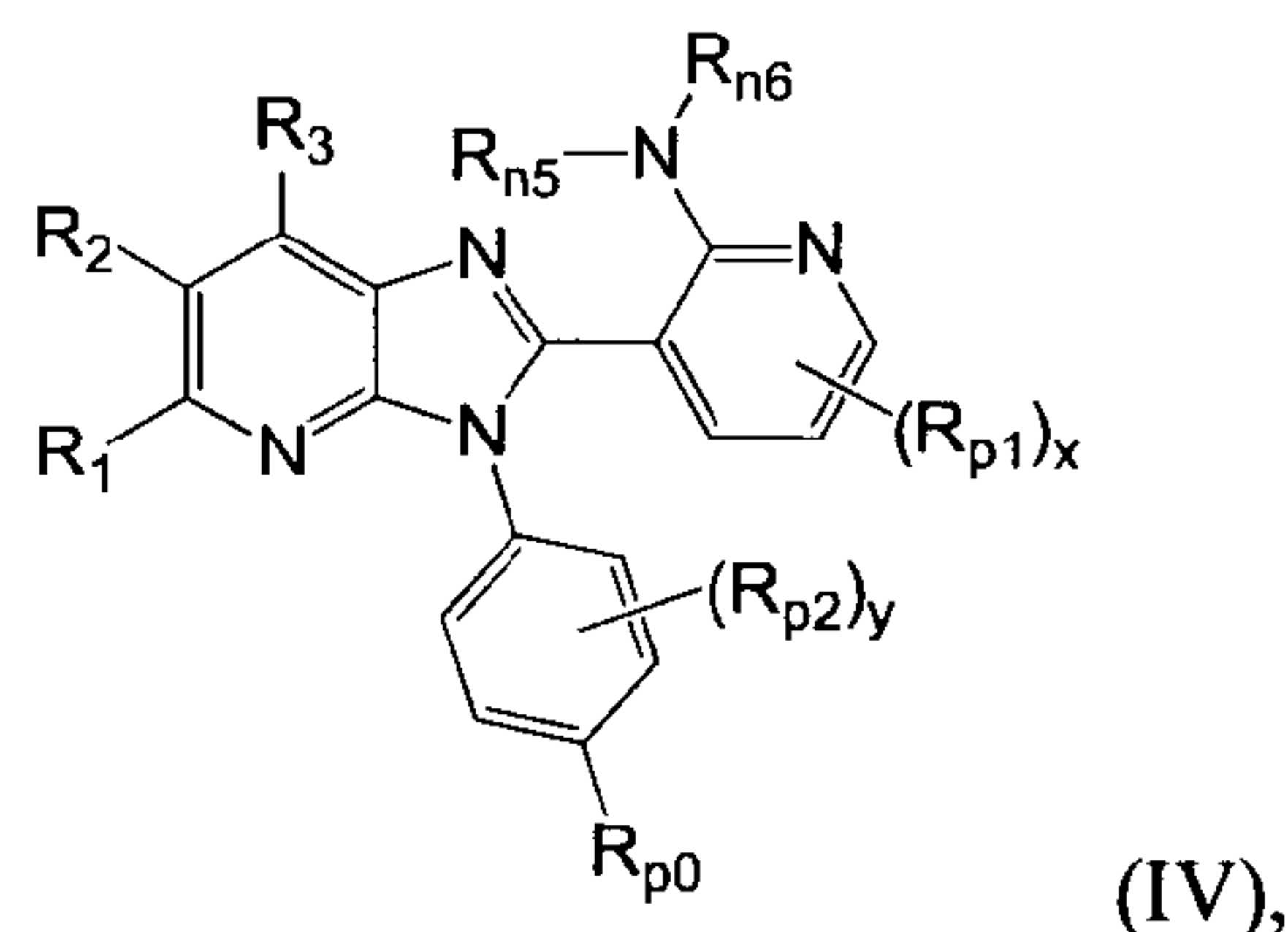
m is 0, 1, 2, 3, 4 or 5;

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 C₃-C₁₀ 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)R₂₂, C(O)OR₂₂, NR₂₂'C(O)R₂₂, or NR₂₂'C(O)OR₂₂; and

R₂₂ and R₂₂' are each independently H, unsubstituted or substituted C₁-C₆ alkyl or unsubstituted or substituted C₆-C₁₀ aryl.

17. The compound of claim 1, having formula IV:



or a pharmaceutically acceptable salt thereof, wherein:

R₁, R₂ and R₃ are each independently H, hydroxyl, halogen, cyano, nitro, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ 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 C₃-C₁₀ 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 C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, or unsubstituted or substituted amino;

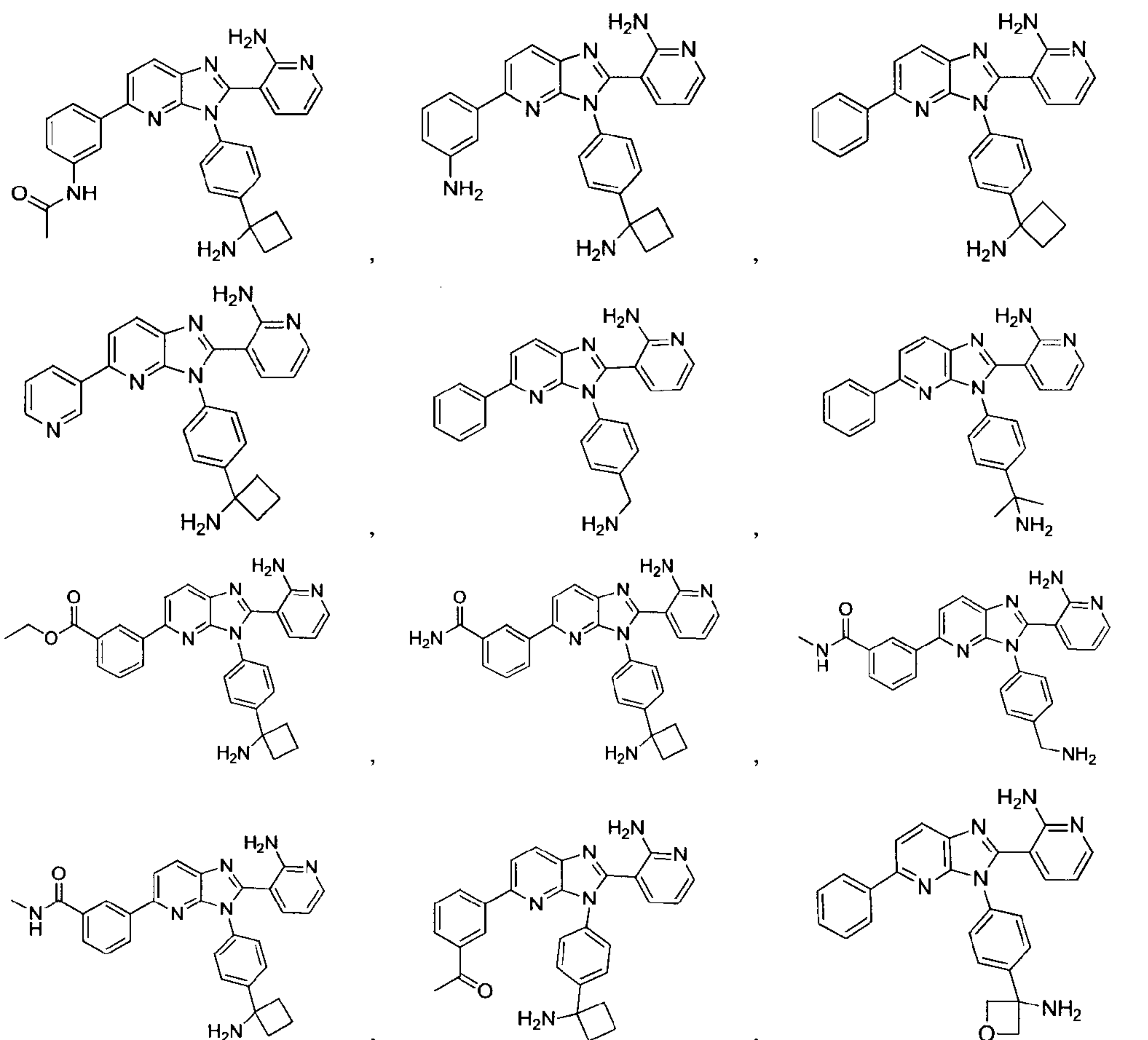
each R_{p2} is independently hydroxyl, halogen, nitro, cyano, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₁-C₆ alkoxy, unsubstituted or substituted amino, unsubstituted or substituted C₆-C₁₀ aryl, unsubstituted or substituted heteroaryl comprising

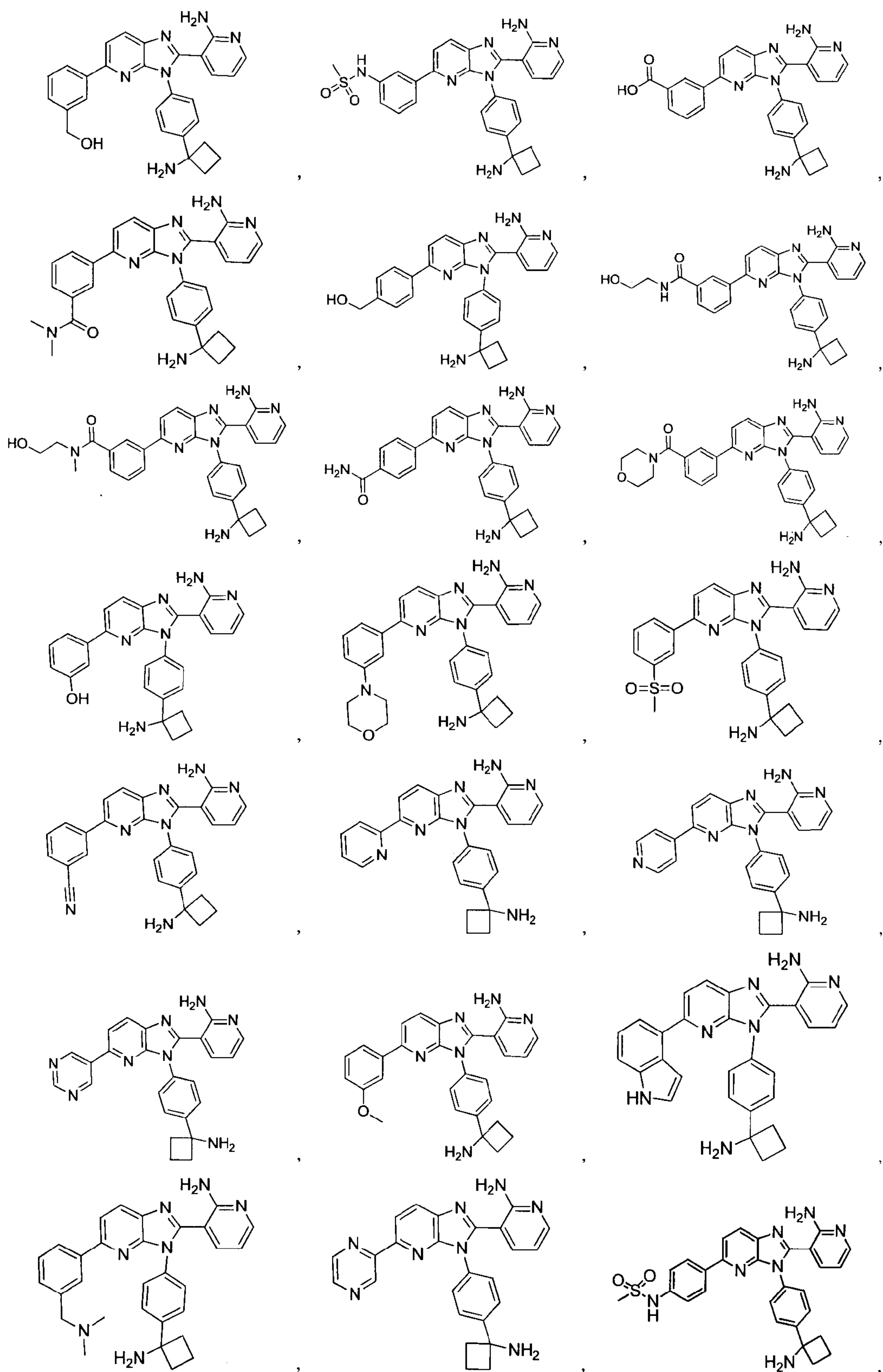
one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S,
 unsubstituted or substituted C₃-C₁₀ carbocycle, or unsubstituted or substituted heterocycle
 comprising one or two 5- or 6-member rings and 1-4 heteroatoms selected from N, O and S;

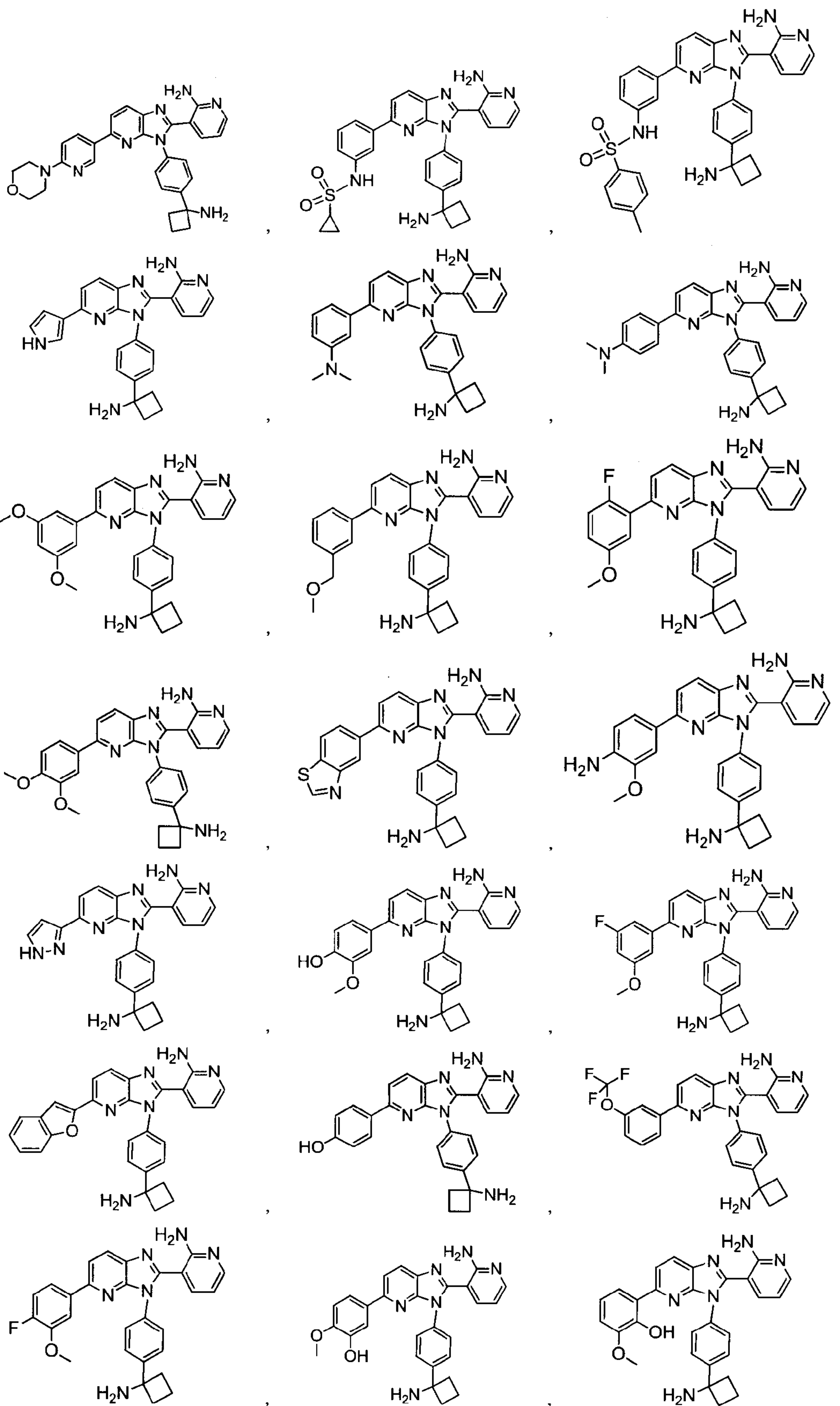
R_{n5} and R_{n6} are each independently H, unsubstituted or substituted C₁-C₆ alkyl,
 unsubstituted or substituted amino, C(O)R₈, C(O)OR₈, S(O)R₈, or S(O)₂R₈; and

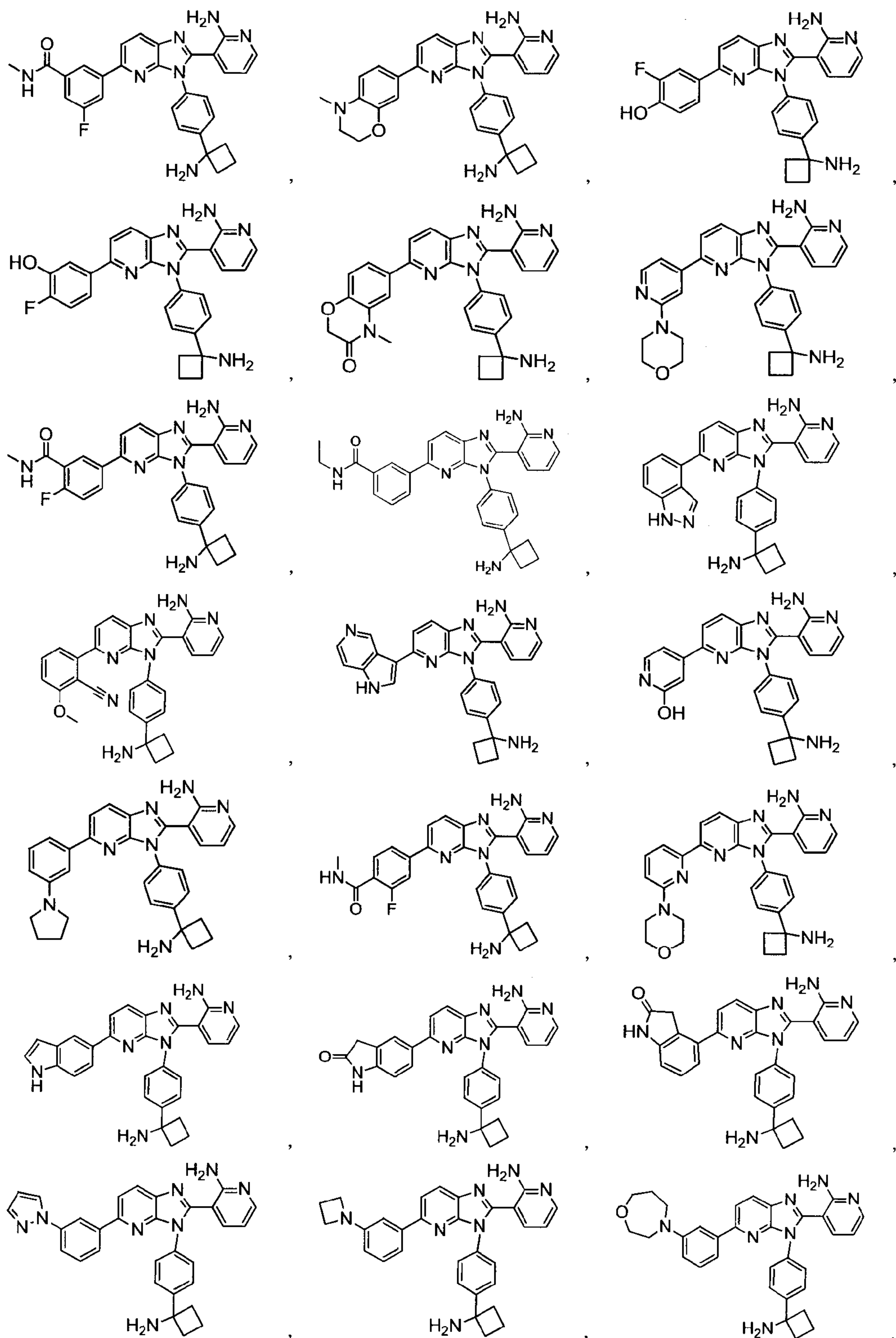
R₈ is H, unsubstituted or substituted C₁-C₆ alkyl, unsubstituted or substituted C₆-C₁₀
 aryl, unsubstituted or substituted heteroaryl comprising one or two 5- or 6-member rings and
 1-4 heteroatoms selected from N, O and S, unsubstituted or substituted C₃-C₁₀ carbocycle, or
 unsubstituted or substituted heterocycle comprising one or two 5- or 6-member rings and 1-4
 heteroatoms selected from N, O and S.

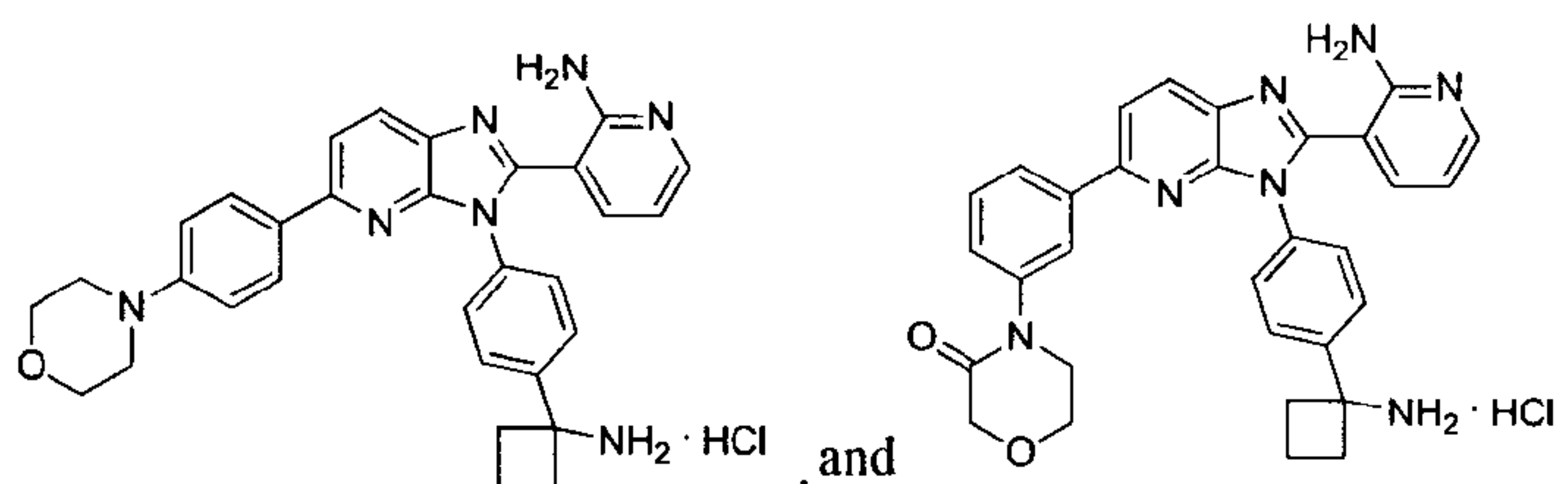
18. The compound of claim 1, selected from the group consisting of:



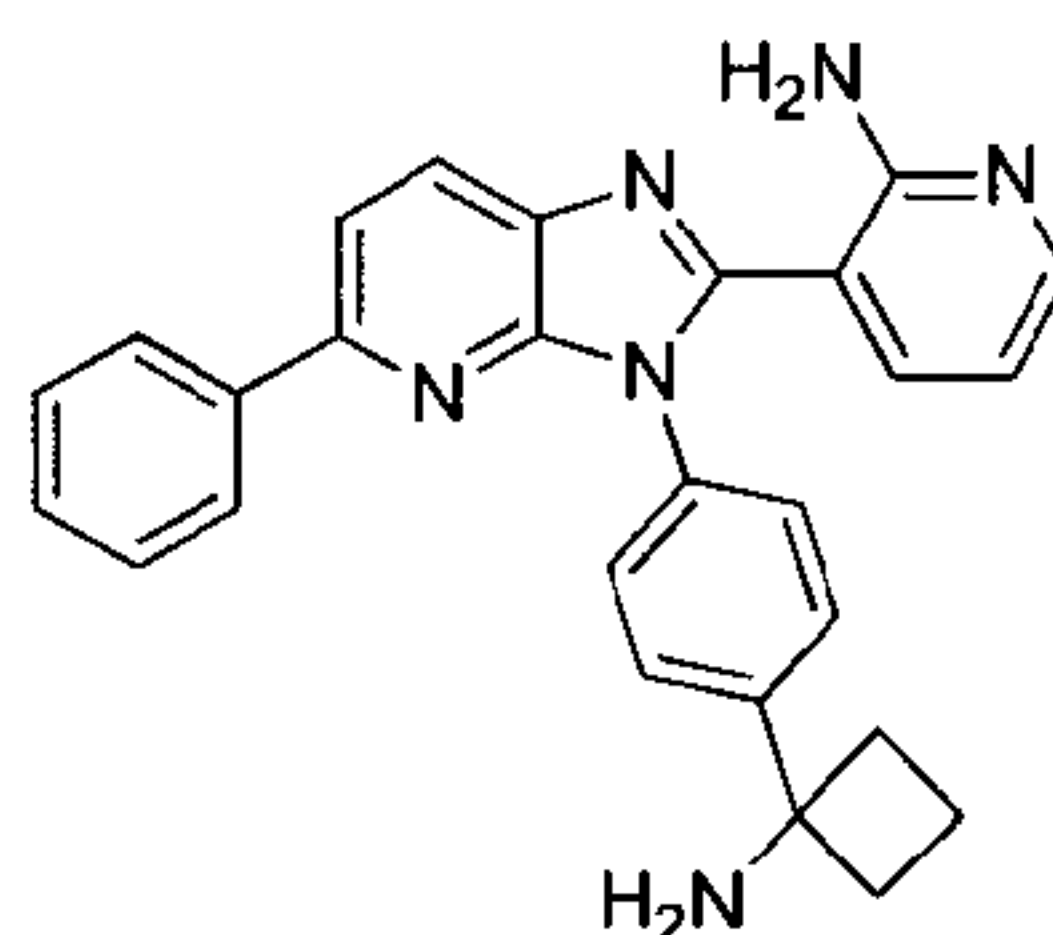








19. The compound of claim 18, wherein said compound is:



20. A pharmaceutical composition comprising a compound of claim 1, or a pharmaceutically acceptable salt, solvate, or hydrate thereof, and a pharmaceutically acceptable carrier or excipient.

21. The use of a therapeutically effective amount of a compound of claim 1, or a pharmaceutically acceptable salt thereof, in combination with a pharmaceutically acceptable carrier, for the treatment of a cell proliferative disorder in a subject in need thereof.

22. The use of claim 21, further comprising a second anti-proliferative agent.

