



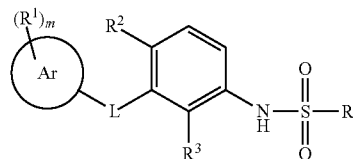
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(19) **United States**(12) **Patent Application Publication**
Visor et al.(10) **Pub. No.: US 2012/0122860 A1**(43) **Pub. Date: May 17, 2012**(54) **SOLID FORMS OF SULFONAMIDES AND AMINO ACIDS**(75) Inventors: **Gary Conard Visor**, Castro Valley, CA (US); **Prabha N. Ibrahim**, Mountain View, CA (US); **Wayne Spevak**, Berkeley, CA (US); **Hanna Cho**, San Francisco, CA (US); **Songyuan Shi**, Fremont, CA (US); **Guoxian Wu**, Foster City, CA (US)(73) Assignee: **Plexxikon, Inc.**(21) Appl. No.: **13/318,760**(22) PCT Filed: **May 4, 2010**(86) PCT No.: **PCT/US2010/033576**§ 371 (c)(1),
(2), (4) Date: **Jan. 6, 2012***A61K 31/506* (2006.01)*A61P 13/12* (2006.01)*A61K 31/496* (2006.01)*C07D 495/04* (2006.01)*A61P 35/00* (2006.01)*A61P 29/00* (2006.01)*C07D 471/04* (2006.01)*A61K 31/5377* (2006.01)(52) **U.S. Cl. 514/230.5; 546/113; 514/300; 544/105; 544/316; 514/274; 544/127; 514/234.5; 544/331; 514/275; 544/122; 544/362; 514/253.04**(57) **ABSTRACT**

Solid forms of phenyl sulfonamide compounds of formula (I) active on protein kinases, including Raf protein kinases, are described, as well as methods of using such solid forms to treat diseases and conditions associated with activity of protein kinases, e.g. Raf protein kinases, including pain, polycystic kidney disease, melanoma and colorectal cancer.

Related U.S. Application Data

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A61K 31/437 (2006.01)

SOLID FORMS OF SULFONAMIDES AND AMINO ACIDS

FIELD OF THE INVENTION

[0001] Disclosed are novel compounds, and novel solid forms, formulations, and uses thereof. In certain embodiments disclosed compounds are kinase inhibitors.

SUMMARY OF THE INVENTION

[0002] In one aspect, solid forms of phenyl sulfonamide compounds, preferably an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compounds, are provided. Also contemplated in accordance with the present invention are solid forms comprising a phenyl sulfonamide compound, preferably an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound and a basic amino acid, wherein the solid form provides improved biopharmaceutical properties. Also contemplated in accordance with the present invention are methods for the use of the above-described solid forms and related compositions in treating diseases and conditions associated with regulation of the activity of one or more protein kinases, including, but not limited to Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof. In certain embodiments, the solid forms that can be used for therapeutic methods involving modulation of one or more Raf protein kinases, including treatment of a variety of indications, including, but not limited to, melanoma, colorectal cancer, thyroid cancer, ovarian cancer, cholangiocarcinoma, pain and/or polycystic kidney disease.

[0003] In a second aspect, a solid form comprising a phenyl sulfonamide compound, preferably an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound, and a basic amino acid is provided. In one embodiment, the solid form comprising an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound and the basic amino acid provides improved biopharmaceutical properties of the phenyl sulfonamide compound relative to the free base, salt or other solid form of the compound.

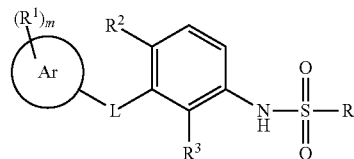
[0004] In one embodiment, the solid form comprising an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound and the basic amino acid provides improved pharmacokinetics of the phenyl sulfonamide compound relative to the free base, salt or other solid form of the compound, wherein said improvement is an increase in the C_{max} and/or AUC for a given dose of the compound in a suitable animal model, such as a mouse, rat, dog or monkey model. In one embodiment, a composition comprising the solid form is provided. In one embodiment, the solid form comprising an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound and the basic amino acid is provided in a formulation that further improves the biopharmaceutical properties of the N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound.

[0005] In a third aspect, a solid form comprising a phenyl sulfonamide compound, preferably an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound, and arginine is provided. In one embodiment, the solid form comprising an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound and arginine provides improved

biopharmaceutical properties of the phenyl sulfonamide compound relative to the free base, salt or other solid form of the compound. In one embodiment, the solid form comprising an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound and arginine provides improved pharmacokinetics of the phenyl sulfonamide compound relative to the free base, salt or other solid form of the compound, wherein said improvement is an increase in the C_{max} and/or AUC for a given dose of the compound in a suitable animal model, such as a mouse, rat, dog or monkey model. In one embodiment, a composition comprising the solid form is provided. In one embodiment, the solid form comprising an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound and arginine is provided in a formulation that further improves the biopharmaceutical properties of the N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound.

[0006] In a fourth aspect, a solid form comprising a phenyl sulfonamide compound, preferably an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound and lysine is provided. In one embodiment, the solid form comprising an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound and lysine provides with improved biopharmaceutical properties of the phenyl sulfonamide compound relative to the free base, salt or other solid form of the compound. In one embodiment, the solid form comprising an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound and lysine provides improved pharmacokinetics of the phenyl sulfonamide compound relative to the free base, salt or other solid form of the compound, wherein said improvement is an increase in the C_{max} and/or AUC for a given dose of the compound in a suitable animal model, such as a mouse, rat, dog or monkey model. In one embodiment, a composition comprising the solid form is provided. In one embodiment, the solid form comprising an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound and lysine is provided in a formulation that further improves the biopharmaceutical properties of the N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound.

[0007] In a fifth aspect, a solid form is provided comprising a basic amino acid and a phenyl sulfonamide compound of Formula I, wherein Formula I is as follows:



Formula I

wherein:

[0008] Ar is heteroaryl;

[0009] each R¹, when present, is independently selected from the group consisting of halogen, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, heterocycloalkyl, phenyl, heteroaryl, —CN, —NO₂, —O—R¹¹, —N(R¹²)—R¹³, —C(O)—N(R¹⁴)—R¹⁵, —C(O)—O—R¹⁶, —S(O)₂—R¹⁷, and —N(H)—C(O)—R¹⁸, wherein lower alkyl is optionally substituted with one or more R⁵, lower alkenyl and lower alkynyl are optionally

substituted with one or more R^6 , heterocycloalkyl is optionally substituted with one or more lower alkyl, phenyl is optionally substituted with one or more R^7 , and heteroaryl is optionally substituted with one or more R^8 ;

[0010] m is 0, 1, 2, or 3;

[0011] R^2 is hydrogen, fluoro or chloro;

[0012] R^3 is hydrogen, fluoro, chloro, or methyl;

[0013] R^4 is selected from the group consisting of lower alkyl, fluoro substituted lower alkyl, mono-alkylamino, di-alkylamino, cycloalkylamino, cycloalkyl, phenyl, and heteroaryl, wherein cycloalkyl is optionally substituted with $-C(O)OR^{16}$, phenyl is optionally substituted with one or more R^9 , and heteroaryl is optionally substituted with one or more R^{10} ;

[0014] L is selected from the group consisting of $-NH-$, $-CH_2-$, $-C(O)H-$, $-C(O)-$, $-S(O)_2-$, $-O-CH_2-$, $-CH_2-NH-$, $-NH-CH_2-$, $-C(O)-NH-$, and $-NH-C(O)-$;

[0015] each R^5 , when present, is independently fluoro, $-OH$, lower alkoxy, heteroaryl, chloro substituted heteroaryl, phenyl, chloro substituted phenyl, mono-alkylamino, dialkylamino, cycloalkylamino, $-C(O)-N(R^{14})-R^{19}$, or $-C(O)-O-R^{16}$;

[0016] each R^6 , when present, is independently $-C(O)-O-R^{16}$, lower alkoxy, mono-alkylamino or dialkylamino;

[0017] each R^7 , when present, is independently fluoro, chloro, $-CN$, $-OH$, lower alkyl, lower alkenyl, $-C(O)-O-R^{16}$ substituted lower alkenyl, lower alkoxy, lower alkoxy substituted lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, $-S(O)_2R^{20}$, $-N(H)-S(O)_2R^{20}$, $-N(H)-C(O)-R^{20}$, $-C(O)-N(R^{21})-R^{22}$, or $-S(O)_2-N(R^{23})R^{24}$, wherein lower alkyl is optionally substituted with $-C(O)-O-R^{16}$, mono-alkylamino, di-alkylamino, or cycloalkylamino;

[0018] each R^8 , when present, is independently fluoro, chloro, $-OH$, $-NH_2$, lower alkyl, lower alkynyl, di-alkylamino substituted lower alkynyl, lower alkoxy, lower alkylthio, monoalkylamino, di-alkylamino, cycloalkylamino, phenyl, $-C(O)-N(R^{25})-R^{26}$, or $-N(H)-C(O)-R^{20}$, wherein lower alkyl is optionally substituted with one or more fluoro, alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, or phenyl, and wherein lower alkoxy is optionally substituted with $-OH$, lower alkoxy, mono-alkylamino, di-alkylamino or cycloalkylamino;

[0019] each R^9 , when present, is independently fluoro, chloro, $-CN$, $-NO_2$, lower alkyl, fluoro substituted lower alkyl, lower alkoxy, fluoro substituted lower alkoxy, $-C(O)-O-R^{16}$, $-N(H)-C(O)-R^{26}$, heteroaryl optionally substituted with one or more lower alkyl, or two R^9 on adjacent carbons combine to form a fused heterocycloalkyl optionally substituted with one or more lower alkyl;

[0020] each R^{10} , when present, is independently lower alkyl, fluoro substituted lower alkyl, lower alkoxy, $-C(O)-O-R^{16}$, or heteroaryl optionally substituted with one or more lower alkyl;

[0021] each R^{11} , when present, is independently hydrogen, lower alkyl, cycloalkyl, or heterocycloalkyl, wherein lower alkyl is optionally substituted with $-OH$, lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, or heteroaryl;

[0022] each R^{12} , R^{14} , and R^{16} , when present, are independently hydrogen or lower alkyl;

[0023] each R^{11} , when present, is independently hydrogen, lower alkyl, cycloalkyl, phenyl, heteroaryl or combined with the nitrogen and R^{11} form cycloalkylamino, wherein lower alkyl is optionally substituted with cycloalkylamino, phenyl, heteroaryl or lower alkyl substituted heteroaryl;

[0024] each R^{15} , when present, is independently hydrogen, lower alkyl, $-OH$ substituted lower alkyl, cycloalkyl or lower alkoxy;

[0025] each R^{17} , when present, is independently lower alkyl, phenyl or lower alkyl substituted phenyl;

[0026] each R^{18} , when present, is independently lower alkyl or cycloalkylamino;

[0027] each R^{19} , when present, is independently hydrogen, lower alkyl, or lower alkoxy;

[0028] each R^{20} , when present, is independently lower alkyl;

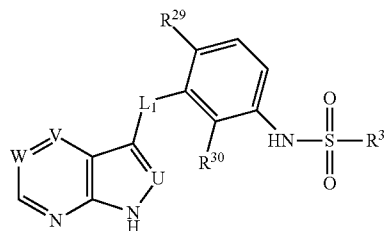
[0029] each R^{21} and R^{22} , when present, are independently hydrogen, lower alkyl, or combine with the nitrogen to form cycloalkylamino;

[0030] each R^{23} and R^{24} , when present, are independently hydrogen, lower alkyl optionally substituted with $-OH$, cycloalkyl, or combine with the nitrogen to form cycloalkylamino; and

[0031] each R^{25} and R^{26} , when present, are independently hydrogen, lower alkyl, or cycloalkyl.

[0032] In a sixth aspect, a solid form is provided comprising a basic amino acid and an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide or similar sulfonamide compound of Formula Ia, wherein Formula Ia is as follows:

Formula Ia



wherein:

[0033] U and V are $C-H$, and W is $C-R^{27}$;

[0034] or U and W are $C-H$, and V is $C-R^{28}$;

[0035] or U is N , V is $C-H$, and W is $C-R^{27}$;

[0036] or U is N , V is $C-R^{28}$, and W is $C-H$;

[0037] or U is $C-H$, V is N , and W is $C-R^{27}$;

[0038] or U is $C-H$, V is $C-R^{28}$, and W is N ;

[0039] L_1 is $-CH_2-$, $-C(O)H-$, or $-C(O)-$;

[0040] R^{27} is selected from the group consisting of hydrogen, halogen, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, heterocycloalkyl, phenyl, heteroaryl, $-CN$, $-O-R^{38}$, $-N(R^{39})-R^{40}$, $-C(O)-N(R^{41})-R^{42}$, $-C(O)-O-R^{43}$, $-S(O)_2-R^{44}$, and $-N(H)-C(O)-R^{45}$, wherein lower alkyl is optionally substituted with one or more R^{32} , lower alkenyl and lower alkynyl are optionally substituted with one or more R^{33} , heterocycloalkyl is optionally substituted with one or more lower alkyl, phenyl is optionally sub-

- stituted with one or more R^{34} , and heteroaryl is optionally substituted with one or more R^{35} ;
- [0041] R^{28} is selected from the group consisting of hydrogen, chloro, methyl, methoxy, $-\text{CN}$, and $-\text{C}\equiv\text{CH}$;
- [0042] R^{29} is hydrogen, fluoro or chloro;
- [0043] R^{30} is hydrogen, fluoro, chloro, or methyl;
- [0044] R^{31} is selected from the group consisting of lower alkyl, fluoro substituted lower alkyl, mono-alkylamino, di-alkylamino, cycloalkylamino, cycloalkyl, phenyl, and heteroaryl, wherein cycloalkyl is optionally substituted with $-\text{C}(\text{O})\text{OR}^{43}$, phenyl is optionally substituted with one or more R^{36} , and heteroaryl is optionally substituted with one or more R^{37} ;
- [0045] each R^{32} , when present, is independently fluoro, $-\text{OH}$, lower alkoxy, heteroaryl, chloro substituted heteroaryl, phenyl, chloro substituted phenyl, mono-alkylamino, dialkylamino, cycloalkylamino, $-\text{C}(\text{O})-\text{N}(\text{R}^{41})-\text{R}^{46}$, or $-\text{C}(\text{O})-\text{O}-\text{R}^{43}$;
- [0046] each R^{33} , when present, is independently $-\text{C}(\text{O})-\text{O}-\text{R}^{43}$, lower alkoxy, mono-alkylamino or dialkylamino;
- [0047] each R^{34} , when present, is independently fluoro, chloro, $-\text{CN}$, $-\text{OH}$, lower alkyl, lower alkenyl, $-\text{C}(\text{O})-\text{O}-\text{R}^{43}$ substituted lower alkenyl, lower alkoxy, lower alkoxy substituted lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, $-\text{S}(\text{O})_2\text{R}^{47}$, $-\text{N}(\text{H})-\text{S}(\text{O})_2-\text{R}^{47}$, $-\text{N}(\text{H})-\text{C}(\text{O})-\text{R}^{47}$, $-\text{C}(\text{O})-\text{N}(\text{R}^{48})-\text{R}^{49}$, or $-\text{S}(\text{O})_2-\text{N}(\text{R}^{50})-\text{R}^{51}$, wherein lower alkyl is optionally substituted with $-\text{C}(\text{O})-\text{O}-\text{R}^{43}$, mono-alkylamino, di-alkylamino, or cycloalkylamino;
- [0048] each R^{35} , when present, is independently fluoro, chloro, $-\text{OH}$, $-\text{NH}_2$, lower alkyl, lower alkynyl, di-alkylamino substituted lower alkynyl, lower alkoxy, lower alkylthio, monoalkylamino, di-alkylamino, cycloalkylamino, phenyl, $-\text{C}(\text{O})-\text{N}(\text{R}^{52})-\text{R}^{53}$, or $-\text{N}(\text{H})-\text{C}(\text{O})-\text{R}^{47}$, wherein lower alkyl is optionally substituted with one or more fluoro, alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, or phenyl, and wherein lower alkoxy is optionally substituted with $-\text{OH}$, lower alkoxy, mono-alkylamino, di-alkylamino or cycloalkylamino;
- [0049] each R^{36} , when present, is independently fluoro, chloro, $-\text{CN}$, $-\text{NO}_2$, lower alkyl, fluoro substituted lower alkyl, lower alkoxy, fluoro substituted lower alkoxy, $-\text{C}(\text{O})-\text{O}-\text{R}^{43}$, $-\text{N}(\text{H})-\text{C}(\text{O})-\text{R}^{47}$, heteroaryl optionally substituted with one or more lower alkyl, or two R^{36} on adjacent carbons combine to form a fused heterocycloalkyl optionally substituted with one or more lower alkyl;
- [0050] each R^{37} , when present, is independently lower alkyl, fluoro substituted lower alkyl, lower alkoxy, $-\text{C}(\text{O})-\text{O}-\text{R}^{43}$, or heteroaryl optionally substituted with one or more lower alkyl;
- [0051] R^{38} is hydrogen, lower alkyl, cycloalkyl, or heterocycloalkyl, wherein lower alkyl is optionally substituted with $-\text{OH}$, lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, or heteroaryl;
- [0052] each R^{39} , R^{41} , and R^{43} , when present, are independently hydrogen or lower alkyl;
- [0053] R^{40} is hydrogen, lower alkyl, cycloalkyl, phenyl, heteroaryl or combined with the nitrogen and R^{39} form cycloalkylamino, wherein lower alkyl is optionally substituted with cycloalkylamino, phenyl, heteroaryl or lower alkyl substituted heteroaryl;
- [0054] R^{42} is hydrogen, lower alkyl, $-\text{OH}$ substituted lower alkyl, cycloalkyl or lower alkoxy;
- [0055] R^{44} is lower alkyl, phenyl or lower alkyl substituted phenyl;
- [0056] R^{45} is lower alkyl or cycloalkylamino;
- [0057] each R^{46} , when present, is independently hydrogen, lower alkyl, or lower alkoxy;
- [0058] each R^{47} , when present, is independently lower alkyl;
- [0059] each R^{48} and R^{49} , when present, are independently hydrogen, lower alkyl, or combine with the nitrogen to form cycloalkylamino;
- [0060] each R^{50} and R^{51} , when present, are independently hydrogen, lower alkyl optionally substituted with $-\text{OH}$, cycloalkyl, or combine with the nitrogen to form cycloalkylamino; and
- [0061] each R^{52} and R^{53} , when present, are independently hydrogen, lower alkyl, or cycloalkyl.
- [0062] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ia, U and V are $\text{C}-\text{H}$, W is $\text{C}-\text{R}^{27}$, and R^{27} is selected from the group consisting of hydrogen, chloro, lower alkyl, phenyl, heteroaryl, $-\text{CN}$, $-\text{C}\equiv\text{CH}$, $-\text{O}-\text{R}^{38}$, $-\text{N}(\text{R}^{39})-\text{R}^{40}$, $-\text{C}(\text{O})-\text{N}(\text{R}^{41})-\text{R}^{42}$, $-\text{C}(\text{O})-\text{O}-\text{R}^{43}$, $-\text{S}(\text{O})_2-\text{R}^{44}$, and $-\text{N}(\text{H})-\text{C}(\text{O})-\text{R}^{45}$, wherein lower alkyl is optionally substituted with one or more R^{32} , phenyl is optionally substituted with one or more R^{34} , and heteroaryl is optionally substituted with one or more R^{35} . In one embodiment, R^{27} is selected from the group consisting of hydrogen, chloro, lower alkyl, phenyl, heteroaryl, $-\text{CN}$, $-\text{C}\equiv\text{CH}$, $-\text{O}-\text{R}^{38}$, $-\text{N}(\text{R}^{39})-\text{R}^{40}$, $-\text{C}(\text{O})-\text{N}(\text{R}^{41})-\text{R}^{42}$, $-\text{C}(\text{O})-\text{O}-\text{R}^{43}$, $-\text{S}(\text{O})_2-\text{R}^{44}$, and $-\text{N}(\text{H})-\text{C}(\text{O})-\text{R}^{45}$, wherein lower alkyl is optionally substituted with one or more R^{32} , phenyl is optionally substituted with one or more R^{34} , and heteroaryl is optionally substituted with one or more R^{35} and R^{31} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R^{27} is chloro, methyl, $-\text{CN}$, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{31} is lower alkyl, dialkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl. In one embodiment, R^{27} is chloro, methyl, $-\text{CN}$, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{31} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl. In one embodiment, R^{27} is chloro, methyl, $-\text{CN}$, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{31} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, or 2,5-di-fluoro-phenyl. In one embodiment, R^{27} is chloro, methyl, $-\text{CN}$, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{31} is 4-t-butyl-phenyl, 3-trifluoromethyl-phenyl or 4-trifluoromethyl-phenyl. In one embodiment, R^{27} is chloro, $-\text{CN}$, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{31} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, or 2,5-di-fluoro-phenyl. In one embodiment, R^{27} is chloro, methyl, or $-\text{CN}$, and R^{31} is 3-trifluoromethyl-phenyl or 4-trifluoromethyl-phenyl.

[0063] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ia, U and W are C—H, V is C—R²⁸, and R²⁸ is selected from the group consisting of hydrogen, chloro, methyl, methoxy, —CN, and —C≡CH. In one embodiment, R²⁸ is selected from the group consisting of hydrogen, chloro, methyl, methoxy, —CN, and —C≡CH and R³¹ is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R²⁸ is —CN, or —C≡CH, and R³¹ is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl. In one embodiment, R²⁸ is —CN, or —C≡CH, and R³¹ is n-propyl, dimethylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl. In one embodiment, R²⁸ is —CN, or —C≡CH, and R³¹ is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, or 2,5-di-fluoro-phenyl. In one embodiment, R²⁸ is —CN, or —C≡CH, and R³¹ is 4-t-butyl-phenyl, 3-trifluoromethyl-phenyl or 4-trifluoromethyl-phenyl. In one embodiment, R²⁸ is —CN, or —C≡CH, and R³¹ is 4-trifluoromethyl-phenyl.

[0064] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ia, U is C—H, V is N, W is C—R²⁷, and R²⁷ is selected from the group consisting of hydrogen, chloro, lower alkyl, phenyl, heteroaryl, —CN, —C≡CH, —O—R³⁸, —N(R³⁹)—R⁴⁰, —C(O)—N(R⁴¹)—R⁴², —C(O)—O—R⁴³, —S(O)₂—R⁴⁴, and —N(H)—C(O)—R⁴⁵, wherein lower alkyl is optionally substituted with one or more R³², phenyl is optionally substituted with one or more R³⁴, and heteroaryl is optionally substituted with one or more R³⁵. In one embodiment, R²⁷ is selected from the group consisting of hydrogen, chloro, lower alkyl, phenyl, heteroaryl, —CN, —C≡CH, —O—R³⁸, —N(R³⁹)—R⁴⁰, —C(O)—N(R⁴¹)—R⁴², —C(O)—O—R⁴³, —C(O)—O—R⁴³, —S(O)₂—R⁴⁴, and —N(H)—C(O)—R⁴⁵, wherein lower alkyl is optionally substituted with one or more R³², phenyl is optionally substituted with one or more R³⁴, and heteroaryl is optionally substituted with one or more R³⁵ and R³¹ is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R²⁷ is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R³¹ is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, or 2,5-di-fluoro-phenyl. In one embodiment, R²⁷ is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R³¹ is 4-t-butyl-phenyl, 3-trifluoromethyl-phenyl or 4-trifluoromethyl-phenyl. In one embodiment, R²⁷ is hydrogen and R³¹ is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R²⁷ is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R³¹ is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, or 2,5-di-fluoro-phenyl. In one embodiment, R²⁷ is hydrogen and R³¹ is 4-t-butyl-phenyl, 3-trifluoromethyl-phenyl or 4-trifluoromethyl-phenyl. In one embodiment, R²⁷ is hydrogen and R³¹ is 4-trifluoromethyl-phenyl.

[0065] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ia, U is C—H, W is N, V is C—R²⁸, and R²⁸ is selected from the group consisting of hydrogen, chloro, methyl, methoxy, —CN, and —C≡CH. In one embodiment, R²⁸ is selected from the group consisting of hydrogen, chloro, methyl, methoxy, —CN, and

—C≡CH and R³¹ is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R²⁸ is hydrogen, chloro, methyl, methoxy, —CN, or —C≡CH and R³¹ is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, or 2,5-di-fluoro-phenyl. In one embodiment, R²⁸ is hydrogen, chloro, methyl, methoxy, —CN, or —C≡CH, and R³¹ is 4-t-butyl-phenyl, 3-trifluoromethyl-phenyl or 4-trifluoromethyl-phenyl. In one embodiment, R²⁸ is hydrogen or methoxy, and R³¹ is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl. In one embodiment, R²⁸ is hydrogen or methoxy, and R³¹ is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, or 2,5-di-fluoro-phenyl. In one embodiment, R²⁸ is hydrogen or methoxy, and R³¹ is 4-t-butyl-phenyl, 3-trifluoromethyl-phenyl or 4-trifluoromethyl-phenyl. In one embodiment, R²⁸ is hydrogen or methoxy, and R³¹ is 4-t-butyl-phenyl or 4-trifluoromethyl-phenyl.

[0066] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ia, U is N, V is —CH, W is C—R²⁷, and R²⁷ is selected from the group consisting of hydrogen, chloro, lower alkyl, phenyl, heteroaryl, —CN, —C≡CH, —O—R³⁸, —N(R³⁹)—R⁴⁰, —C(O)—N(R⁴¹)—R⁴², —C(O)—O—R⁴³, —S(O)₂—R⁴⁴, and —N(H)—C(O)—R⁴⁵, wherein lower alkyl is optionally substituted with one or more R³², phenyl is optionally substituted with one or more R³⁴, and heteroaryl is optionally substituted with one or more R³⁵. In one embodiment, R²⁷ is selected from the group consisting of hydrogen, chloro, lower alkyl, phenyl, heteroaryl, —CN, —C≡CH, —O—R³⁸, —N(R³⁹)—R⁴⁰, —C(O)—N(R⁴¹)—R⁴², —C(O)—O—R⁴³, —S(O)₂—R⁴⁴, and —N(H)—C(O)—R⁴⁵, wherein lower alkyl is optionally substituted with one or more R³², phenyl is optionally substituted with one or more R³⁴, and heteroaryl is optionally substituted with one or more R³⁵ and R³¹ is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R²⁷ is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R³¹ is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, or 2,5-di-fluoro-phenyl. In one embodiment, R²⁷ is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R³¹ is 4-t-butyl-phenyl, 3-trifluoromethyl-phenyl or 4-trifluoromethyl-phenyl.

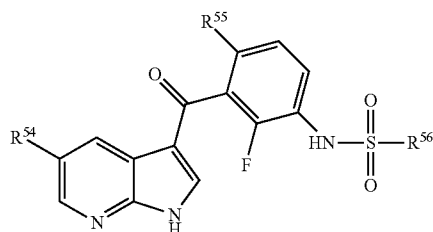
[0067] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ia, U is N, W is —CH, V is C—R²⁸, and R²⁸ is selected from the group consisting of hydrogen, chloro, methyl, methoxy, —CN, and —C≡CH. In one embodiment, R²⁸ is selected from the group consisting of hydrogen, chloro, methyl, methoxy, —CN, and —C≡CH and R³¹ is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R²⁸ is hydrogen, chloro, methyl, methoxy, —CN, or —C≡CH and R³¹ is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, or 2,5-di-fluoro-phenyl. In one embodiment, R²⁸ is hydrogen, chloro, methyl, methoxy, —CN, or —C≡CH, and R³¹ is 4-t-butyl-phenyl, 3-trifluoromethyl-phenyl or 4-trifluoromethyl-phenyl.

[0068] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ia, U and V are C—H, W is C—R²⁷, R²⁷ is chloro, methyl or —CN, R²⁹ is

fluoro, R^{30} is fluoro, and R^{31} is 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl; or U and W are C—H, V is C— R^{28} , R^{28} is —CN or —C=CH, R^{29} is fluoro, R^{30} is fluoro, and R^{31} is 4-trifluoromethyl phenyl; or U is C—H, W is N, V is C— R^{28} , R^{28} is hydrogen or methoxy, R^{29} is fluoro, R^{30} is fluoro, and R^{31} is 4-t-butyl-phenyl or 4-trifluoromethyl phenyl; or U is C—H, V is N, W is C— R^{27} , R^{27} is hydrogen, R^{29} is fluoro, R^{30} is fluoro, and R^{31} is 4-trifluoromethyl-phenyl.

[0069] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ia, U and V are C—H, W is C— R^{27} , R^{27} is chloro, —CN, 4-chloro-phenyl, or 2-methoxy-pyrimidin-5-yl, R^{29} is hydrogen or fluoro, R^{30} is fluoro, and R^{31} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro-phenyl, 2,5-di-fluoro-phenyl.

[0070] In a seventh aspect, a solid form is provided comprising a basic amino acid and an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound of Formula Ib, wherein Formula Ib is as follows:



Formula Ib

wherein:

[0071] R^{54} is selected from the group consisting of chloro, lower alkyl, phenyl, heteroaryl, —CN, —C=CH, —O— R^{62} , —N(R^{63})— R^{64} , —C(O)—N(R^{65})— R^{66} , —C(O)—O— R^{67} , —S(O)₂— R^{68} , and —N(H)—C(O)— R^{69} , wherein lower alkyl is optionally substituted with one or more R^{57} , phenyl is optionally substituted with one or more R^{58} , and heteroaryl is optionally substituted with one or more R^{59} ;

[0072] R^{55} is hydrogen or fluoro;

[0073] R^{56} is selected from the group consisting of lower alkyl, fluoro substituted lower alkyl, mono-alkylamino, di-alkylamino, cycloalkylamino, cycloalkyl, phenyl, and heteroaryl, wherein phenyl is optionally substituted with one or more R^{60} , and heteroaryl is optionally substituted with one or more R^{61} ;

[0074] each R^{57} , when present, is independently fluoro, —OH, lower alkoxy, heteroaryl, chloro substituted heteroaryl, phenyl, chloro substituted phenyl, mono-alkylamino, di-alkylamino, cycloalkylamino, —C(O)—N(R^{65})— R^{70} , or —C(O)—O— R^{67} ;

[0075] each R^{58} , when present, is independently fluoro, chloro, —CN, —OH, lower alkyl, lower alkenyl, —C(O)—O— R^{67} substituted lower alkenyl, lower alkoxy, lower alkoxy substituted lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, —S(O)₂— R^{71} , —N(H)—S(O)₂— R^{71} , —N(H)—C(O)— R^{71} , —C(O)—N(R^{72})— R^{73} , or —S(O)₂—N(R^{74})— R^{75} , wherein lower alkyl is optionally substituted with —C(O)—O— R^{67} , mono-alkylamino, di-alkylamino, or cycloalkylamino;

[0076] each R^{59} , when present, is independently fluoro, chloro, —OH, —NH₂, lower alkyl, lower alkynyl, di-alkylamino substituted lower alkynyl, lower alkoxy,

lower alkylthio, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, —C(O)—N(R^{76})— R^{77} , or —N(H)—C(O)— R^{71} , wherein lower alkyl is optionally substituted with one or more fluoro, alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, or phenyl, and wherein lower alkoxy is optionally substituted with —OH, lower alkoxy, mono-alkylamino, di-alkylamino or cycloalkylamino;

[0077] each R^{60} , when present, is independently fluoro, chloro, —CN, —NO₂, lower alkyl, fluoro substituted lower alkyl, lower alkoxy, fluoro substituted lower alkoxy, —C(O)—O— R^{67} , —N(H)—C(O)— R^{71} , heteroaryl optionally substituted with one or more lower alkyl, or two R^{60} on adjacent carbons combine to form a fused heterocycloalkyl optionally substituted with one or more lower alkyl;

[0078] each R^{61} , when present, is independently lower alkyl, fluoro substituted lower alkyl, lower alkoxy, —C(O)—O— R^{67} , or heteroaryl optionally substituted with one or more lower alkyl;

[0079] R^{62} is hydrogen, lower alkyl, cycloalkyl, or heterocycloalkyl, wherein lower alkyl is optionally substituted with —OH, lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, or heteroaryl;

[0080] each R^{63} , R^{65} , and R^{67} , when present, are independently hydrogen or lower alkyl;

[0081] R^{64} is hydrogen, lower alkyl, cycloalkyl, phenyl, heteroaryl or combined with the nitrogen and R^{63} form cycloalkylamino, wherein lower alkyl is optionally substituted with cycloalkylamino, phenyl, heteroaryl or lower alkyl substituted heteroaryl;

[0082] R^{66} is hydrogen, lower alkyl, —OH substituted lower alkyl, cycloalkyl or lower alkoxy;

[0083] R^{68} is lower alkyl, phenyl or lower alkyl substituted phenyl;

[0084] R^{69} is lower alkyl or cycloalkylamino;

[0085] each R^{70} , when present, is independently hydrogen, lower alkyl, or lower alkoxy;

[0086] each R^{71} , when present, is independently lower alkyl;

[0087] each R^{72} and R^{73} , when present, are independently hydrogen, lower alkyl, or combine with the nitrogen to form cycloalkylamino;

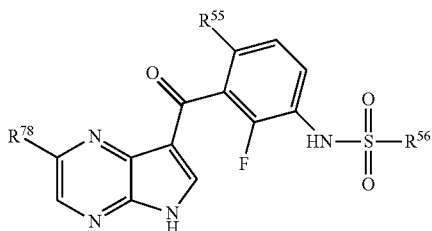
[0088] each R^{74} and R^{75} , when present, are independently hydrogen, lower alkyl optionally substituted with —OH, cycloalkyl, or combine with the nitrogen to form cycloalkylamino; and

[0089] each R^{76} and R^{77} , when present, are independently hydrogen, lower alkyl, or cycloalkyl.

[0090] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ib, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl and R^{55} is fluoro. In one embodiment, R^{54} is chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl. In one embodiment, R^{54} is chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or

more fluoro, lower alkyl, or trifluoromethyl and R^{55} is fluoro. In one embodiment, R^{54} is chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl. In one embodiment, R^{54} is chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl, and R^{55} is fluoro. In one embodiment, R^{54} is chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl. In one embodiment, R^{54} is chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl. In one embodiment, R^{54} is chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl, and R^{55} is fluoro. In one embodiment, R^{54} is chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl, and R^{55} is fluoro. In one embodiment, R^{54} is chloro, methyl or —CN, R^{56} is 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl, and R^{55} is fluoro. In one embodiment, R^{54} is chloro, —CN, 4-chloro-phenyl, or 2-methoxy-pyrimidin-5-yl, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, or 3-fluoro-phenyl, 2,5-di-fluoro-phenyl, and R^{55} is fluoro.

[0091] In an eighth aspect, a solid form is provided comprising a basic amino acid and an N-[3-(5H-pyrrolo[2,3-b]pyrazine-7-carbonyl)-phenyl]-sulfonamide compound of Formula Ic, wherein Formula Ic is as follows:



Formula Ic

wherein

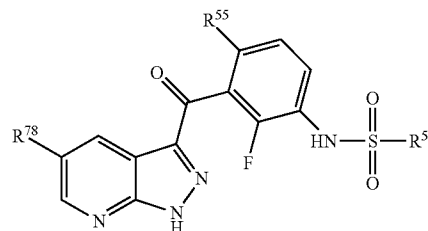
[0092] R^{78} is selected from the group consisting of hydrogen, lower alkyl, phenyl, heteroaryl, —CN, —C≡CH, —O— R^{62} , —N(R^{63})— R^{64} , —C(O)—N(R^{65})— R^{66} , —C(O)—O— R^{67} , C(O)—O— R^{67} , —S(O)₂— R^{68} , and —N(H)—C(O)— R^{69} , wherein lower alkyl is optionally substituted with one or more R^{57} , phenyl is optionally substituted with one or more R^{58} , and heteroaryl is optionally substituted with one or more R^{59} ; and

[0093] R^{55} , R^{56} , R^{57} , R^{58} , R^{59} , R^{62} , R^{63} , R^{64} , R^{65} , R^{66} , R^{67} , R^{68} , and R^{69} , are as defined for Formula Ib.

[0094] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ic, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R^{56} is lower alkyl, di-alkyl-

lamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl, and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl, and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl, and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl, and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl, and R^{55} is fluoro.

[0095] In a ninth aspect, a solid form is provided comprising a basic amino acid and an N-[3-(1H-Pyrazolo[3,4-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound of Formula Id, wherein Formula Id is as follows:



Formula Id

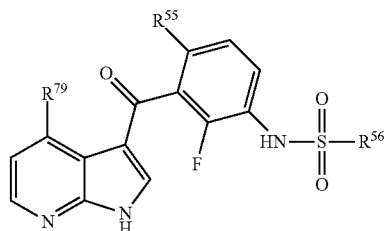
[0096] wherein R^{54} , R^{55} , and R^{56} are as defined for Formula Ib and R^{78} is as defined for Formula Ic.

[0097] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Id, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro,

methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl, and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, and R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl, and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen, chloro, methyl, —CN, chloro substituted phenyl, or methoxy substituted pyrimidinyl, R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl, and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen or —CN, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl. In one embodiment, R^{78} is hydrogen or —CN and R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl. In one embodiment, R^{78} is hydrogen or —CN, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl, and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen or —CN, R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl, and R^{55} is fluoro. In one embodiment, R^{78} is hydrogen or —CN and R^{56} is n-propyl. In one embodiment, R^{78} is hydrogen or —CN, R^{56} is n-propyl, and R^{55} is fluoro.

[0098] In a tenth aspect, a solid form is provided comprising a basic amino acid and an N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound of Formula Ie, wherein Formula Ie is as follows:

Formula Ie



wherein:

[0099] R^{79} is selected from the group consisting of chloro, methyl, methoxy, —CN, and —C≡CH;

[0100] R^{55} is hydrogen or fluoro;

[0101] R^{56} is selected from the group consisting of lower alkyl, fluoro substituted lower alkyl, mono-alkylamino, di-alkylamino, cycloalkylamino, cycloalkyl, phenyl, and heteroaryl, wherein phenyl is optionally substituted with one or more R^{60} , and heteroaryl is optionally substituted with one or more R^{61} ;

[0102] R^{60} is fluoro, chloro, —CN, —NO₂, lower alkyl, fluoro substituted lower alkyl, lower alkoxy, fluoro substituted lower alkoxy, heteroaryl optionally substituted with lower alkyl, —C(O)—O— R^{67} , —N(H)—C(O)— R^{71} , or two R^{60} on adjacent carbons combine to form a fused heterocycloalkyl optionally substituted with lower alkyl;

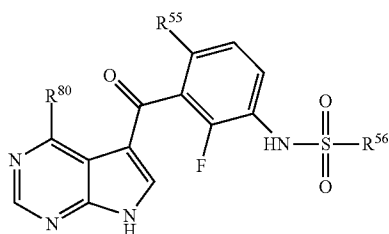
[0103] R^{61} is lower alkyl, fluoro substituted lower alkyl, lower alkoxy, heteroaryl optionally substituted with lower alkyl, or —C(O)—O— R^{67} ;

[0104] R^{67} is hydrogen or lower alkyl; and

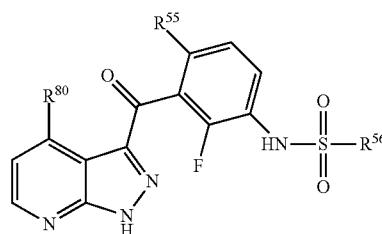
[0105] R^{71} is lower alkyl.

[0106] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ie, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl and R^{55} is fluoro. In one embodiment, R^{79} is —CN, or —C≡CH, and R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl. In one embodiment, R^{79} is —CN, or —C≡CH, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl and R^{55} is fluoro. In one embodiment, R^{79} is —CN, or —C≡CH, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl. In one embodiment, R^{79} is —CN, or —C≡CH, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl and R^{55} is fluoro. In one embodiment, R^{79} is —CN, or —C≡CH, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl. In one embodiment, R^{79} is —CN, or —C≡CH, R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl, and R^{55} is fluoro. In one embodiment, R^{79} is —CN, or —C≡CH, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl, and R^{55} is fluoro. In one embodiment, R^{79} is —CN, or —C≡CH, and R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl. In one embodiment, R^{79} is —CN, or —C≡CH, R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl, and R^{55} is fluoro. In one embodiment, R^{79} is —CN, or —C≡CH, and R^{56} is 4-trifluoromethyl phenyl. In one embodiment, R^{79} is —CN, or —C≡CH, R^{56} is 4-trifluoromethyl phenyl and R^{55} is fluoro.

[0107] In an eleventh aspect, a solid form is provided comprising a basic amino acid and an N-[3-(7H-Pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-sulfonamide compound of Formula If, wherein Formula If is as follows:



Formula If



Formula Ig

[0108] wherein:

[0109] R^{80} is hydrogen, methyl, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$; and

[0110] R^{55} and R^{56} are as defined for Formula Ib.

[0111] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula If, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl and R^{55} is fluoro. In one embodiment, R^{80} is hydrogen or methoxy and R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl. In one embodiment, R^{80} is hydrogen or methoxy, and R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl and R^{55} is fluoro. In one embodiment, R^{80} is hydrogen or methoxy, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl. In one embodiment, R^{80} is hydrogen or methoxy, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl and R^{55} is fluoro. In one embodiment, R^{80} is hydrogen or methoxy, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl. In one embodiment, R^{80} is hydrogen or methoxy, and R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl. In one embodiment, R^{80} is hydrogen or methoxy, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl, and R^{55} is fluoro. In one embodiment, R^{80} is hydrogen or methoxy, R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl and R^{55} is fluoro. In one embodiment, R^{80} is hydrogen or methoxy, and R^{56} is 4-t-butyl-phenyl or 4-trifluoromethyl phenyl. In one embodiment, R^{80} is hydrogen or methoxy, R^{56} is 4-t-butyl-phenyl or 4-trifluoromethyl phenyl and R^{55} is fluoro.

[0112] In a twelfth aspect, a solid form is provided comprising a basic amino acid and an N-[3-(1H-Pyrazolo[3,4-b]pyridine-3-carbonyl)-phenyl]-sulfonamide compound of Formula Ig, wherein Formula Ig is as follows:

wherein:

[0113] R^{55} , and R^{56} are as defined for Formula Ib, and R^{80} is as defined in Formula If.

[0114] In one embodiment of a solid form comprising a basic amino acid and a compound of Formula Ig, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl. In one embodiment, R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl and R^{55} is fluoro. In one embodiment, R^{80} is hydrogen, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$, and R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl. In one embodiment, R^{80} is hydrogen, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$, and R^{56} is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or trifluoromethyl and R^{55} is fluoro. In one embodiment, R^{80} is hydrogen, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl. In one embodiment, R^{80} is hydrogen, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, fluoro substituted phenyl, di-fluoro substituted phenyl, t-butyl substituted phenyl or trifluoromethyl substituted phenyl and R^{55} is fluoro. In one embodiment, R^{80} is hydrogen, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$, and R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl. In one embodiment, R^{80} is hydrogen, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$, and R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl. In one embodiment, R^{80} is hydrogen, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$, R^{56} is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-di-fluoro phenyl, and R^{55} is fluoro. In one embodiment, R^{80} is hydrogen, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$, R^{56} is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl, and R^{55} is fluoro.

[0115] In a thirteenth aspect, a solid form is provided comprising arginine and a compound of Formula I, Ia, Ib, Ic, Id, Ie, If or Ig, or any embodiment herein above.

[0116] In a fourteenth aspect, a solid form is provided comprising lysine and a compound of Formula I, Ia, Ib, Ic, Id, Ie, If or Ig, or any embodiment herein above.

[0117] In a fifteenth aspect, a solid form is provided comprising a basic amino acid and a compound of Formula Ib, Ic, Id, Ie, If or Ig, or any embodiments herein above. In certain embodiments, the solid form comprises arginine and a compound of Formula Ib, Ic, Id, Ie, If or Ig, or any embodiment herein above. In certain embodiments, the solid form comprises lysine and a compound of Formula Ib, Ic, Id, Ie, If or Ig, or any embodiment herein above.

[0118] In a sixteenth aspect, a solid form is provided comprising a complex of arginine and a compound of any of Formula I, Ia, Ib, Ic, Id, Ie, If, or Ig. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of pain or polycystic kidney disease. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma, thyroid cancer, ovarian cancer, cholangiocarcinoma or colorectal cancer. In one embodiment, the complex is an amorphous solid. In one embodiment, the composition comprises an amorphous complex of arginine and the compound, and further comprises a crystalline form of the compound, preferably crystalline free base of the compound. In one embodiment, the composition comprises the amorphous complex and 0-80% crystalline compound, also 0-50%, 0-20%, 0-10%, 0-5%, or 0-2% crystalline compound.

[0119] In a seventeenth aspect, a solid form is provided comprising a complex of lysine and a compound of any of Formula I, Ia, Ib, Ic, Id, Ie, If, or Ig. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of pain or polycystic kidney disease. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma, thyroid cancer, ovarian cancer, cholangiocarcinoma or colorectal cancer. In one embodiment, the complex is an amorphous solid. In one embodiment, the composition comprises an amorphous complex of lysine and the compound, and further comprises a crystalline form of the compound, preferably crystalline free base of the compound. In one embodiment, the composition comprises the amorphous complex and 0-80% crystalline compound, also 0-50%, 0-20%, 0-10%, 0-5%, or 0-2% crystalline compound.

[0120] In an eighteenth aspect, a solid form is provided comprising a ternary complex of arginine, a strong acid, and a compound of any of Formula I, Ia, Ib, Ic, Id, Ie, If or Ig. In one embodiment, the strong acid is hydrochloric acid. In one embodiment, the ternary complex is an amorphous solid. In one embodiment, the ternary complex is a ternary co-crystal. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of pain or polycystic kidney disease. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma, thyroid cancer, ovarian cancer, cholangiocarcinoma or colorectal cancer.

[0121] In a nineteenth aspect, a solid form is provided comprising a ternary complex of lysine, a strong acid, and a compound of any of Formula I, Ia, Ib, Ic, Id, Ie, If or Ig. In one embodiment, the strong acid is hydrochloric acid. In one embodiment, the ternary complex is an amorphous solid. In one embodiment, the ternary complex is a ternary co-crystal. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of pain or polycystic kidney disease. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma, thyroid cancer, ovarian cancer, cholangiocarcinoma or colorectal cancer.

[0122] In a twentieth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0123] N-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-benzenesulfonamide (P-1001),

[0124] N-[2,4-Difluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-1002),

[0125] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-1003),

[0126] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-benzenesulfonamide (P-1012),

[0127] N-[2,4-Difluoro-3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-1013),

[0128] N-{3-[(5-Bromo-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-2,4-difluoro-phenyl}-benzenesulfonamide (P-1014),

[0129] N-{2,4-Difluoro-3-[(5-fluoro-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-phenyl}-benzenesulfonamide (P-1015),

[0130] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-benzenesulfonamide (P-1017),

[0131] N-[2,4-Difluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-phenyl]-benzenesulfonamide (P-1018), and

[0132] N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]benzenesulfonamide (P-1097).

[0133] In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0134] In a twenty-first aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0135] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-methoxy-benzenesulfonamide (P-1004),

[0136] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1005),

[0137] 4-Butoxy-N-[2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-1006),

[0138] 4-Chloro-N-[2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-1007),

[0139] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-methoxy-benzenesulfonamide (P-1016),

[0140] N-{3-[(5-Chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-2,4-difluoro-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-1019),

[0141] N-{2,4-Difluoro-3-[hydroxy-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-1020),

[0142] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1022),

[0143] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-fluoro-benzenesulfonamide (P-1024),

- [0144] N-{3-[5-(4-Chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-1030),
- [0145] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-ethyl-benzenesulfonamide (P-1031),
- [0146] N-[3-(5-Ethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1032),
- [0147] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-cyano-benzenesulfonamide (P-1033),
- [0148] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-isopropyl-benzenesulfonamide (P-1035),
- [0149] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-1036),
- [0150] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-methyl-benzenesulfonamide (P-1038),
- [0151] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-oxazol-5-yl-benzenesulfonamide (P-1039),
- [0152] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-fluoro-benzenesulfonamide (P-1040),
- [0153] N-{2,4-Difluoro-3-[5-(2-methoxy-ethoxy)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-fluoro-benzenesulfonamide (P-1042),
- [0154] N-{2,4-Difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-1043),
- [0155] N-{2,4-Difluoro-3-[5-(2-methoxy-ethoxy)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-1045),
- [0156] N-{4-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenylsulfamoyl]-phenyl}-acetamide (P-1047),
- [0157] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-difluoromethoxy-benzenesulfonamide (P-1049),
- [0158] N-{4-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenylsulfamoyl]-phenyl}-acetamide (P-1060),
- [0159] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-oxazol-5-yl-benzenesulfonamide (P-1066),
- [0160] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-isopropyl-benzenesulfonamide (P-1069),
- [0161] N-(2,4-Difluoro-3-{5-[4-(2-methoxy-ethoxy)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-4-trifluoromethyl-benzenesulfonamide (P-1071),
- [0162] 4-Butoxy-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-benzenesulfonamide (P-1073),
- [0163] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-pyrazol-1-yl-benzenesulfonamide (P-1074),
- [0164] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-isopropoxy-benzenesulfonamide (P-1075),
- [0165] 4-tert-Butyl-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-benzenesulfonamide (P-1076),
- [0166] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-propyl-benzenesulfonamide (P-1077),
- [0167] N-{2,4-Difluoro-3-[5-(2-methoxy-ethoxy)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-isopropyl-benzenesulfonamide (P-1079),
- [0168] N-{2,4-Difluoro-3-[5-(4-methyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-isopropyl-benzenesulfonamide (P-1080),
- [0169] 3-Difluoromethoxy-N-{2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-1081),
- [0170] N-{2,4-Difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-propyl-benzenesulfonamide (P-1082),
- [0171] N-{2,4-Difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-isopropyl-benzenesulfonamide (P-1083),
- [0172] N-{2,4-Difluoro-3-[5-(5-methyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-propyl-benzenesulfonamide (P-1084),
- [0173] N-{2,4-Difluoro-3-[5-(5-methyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-1086),
- [0174] N-{2,4-Difluoro-3-[5-(1-methyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-propyl-benzenesulfonamide (P-1087),
- [0175] N-{3-[5-(1,5-Dimethyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-4-propyl-benzenesulfonamide (P-1088),
- [0176] N-{2,4-Difluoro-3-[hydroxy-(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-1090),
- [0177] (E)-3-{3-[2,6-Difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-acrylic acid methyl ester (P-1093),
- [0178] 3-{3-[2,6-Difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionic acid methyl ester (P-1094),
- [0179] 3-{3-[2,6-Difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionic acid (P-1095),
- [0180] 3-{3-[2,6-Difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-ethyl-propionamide (P-1096),
- [0181] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2026),
- [0182] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-fluoro-benzenesulfonamide (P-2027),
- [0183] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2028),
- [0184] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2035),
- [0185] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-fluoro-benzenesulfonamide (P-2040),

- [0186]** N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2047),
- [0187]** N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2050),
- [0188]** N-[2-Fluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2060),
- [0189]** N-[2,4-Difluoro-3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2482),
- [0190]** N-[3-(5-Cyclopropyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2483),
- [0191]** N-[3-(5-Ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2484),
- [0192]** N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-propyl-benzenesulfonamide (P-2486), and
- [0193]** N-[3-(4-Ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2487).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0194]** In a twenty-second aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0195]** N-[2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)phenyl]-3-methoxy-benzenesulfonamide (P-1008),
- [0196]** N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-1023),
- [0197]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-benzenesulfonamide (P-1025),
- [0198]** N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-ylmethyl)-phenyl]-3-trifluoromethyl-benzene-sulfonamide (P-1026),
- [0199]** N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethyl-benzene-sulfonamide (P-1027),
- [0200]** N-[2,4-Difluoro-3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-ylmethyl)-phenyl]-3-trifluoromethyl-benzene-sulfonamide (P-1029),
- [0201]** N-[2,4-Difluoro-3-[5-(2-methoxy-ethoxy)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-fluoro-benzenesulfonamide (P-1041),
- [0202]** N-[2,4-Difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-fluoro-benzenesulfonamide (P-1044),
- [0203]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-methoxy-benzenesulfonamide (P-1046),
- [0204]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-methyl-benzenesulfonamide (P-1055),
- [0205]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-cyano-benzenesulfonamide (P-1058),
- [0206]** N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-nitro-benzenesulfonamide (P-1059),
- [0207]** N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-methyl-benzenesulfonamide (P-1065),
- [0208]** 3-Cyano-N-[2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-1068),
- [0209]** N-[2,4-Difluoro-3-[5-(6-methoxy-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-fluoro-benzenesulfonamide (P-1070),
- [0210]** 3-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenylsulfamoyl]-benzoic acid (P-1072),
- [0211]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-difluoromethoxy-benzenesulfonamide (P-1078),
- [0212]** 3-Difluoromethoxy-N-[2,4-difluoro-3-[5-(5-methyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-1085),
- [0213]** N-(2,4-Difluoro-3-[hydroxy-[5-(2-methoxy-ethoxy)-1H-pyrrolo[2,3-b]pyridine-3-yl]-methyl]-phenyl)-3-fluoro-benzenesulfonamide (P-1089),
- [0214]** N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-2016),
- [0215]** N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-benzenesulfonamide (P-2019),
- [0216]** N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-2023),
- [0217]** N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-benzenesulfonamide (P-2039),
- [0218]** N-[2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-fluoro-benzenesulfonamide (P-2045), and
- [0219]** N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-3-fluoro-benzenesulfonamide (P-2053).
- [0220]** In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0221]** In a twenty-third aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0222]** N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3,4-dimethoxy-benzenesulfonamide (P-1009),
- [0223]** 3,4-Dichloro-N-[2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-1010),
- [0224]** N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-dimethoxy-benzenesulfonamide (P-1011),

- [0225] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-4-methyl-benzene-sulfonamide (P-1034),
- [0226] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3,5-difluoro-benzene-sulfonamide (P-1037),
- [0227] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-1048),
- [0228] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-dimethoxy-benzene-sulfonamide (P-1050),
- [0229] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-methyl-benzenesulfonamide (P-1051),
- [0230] 2,3-Dihydro-benzo[1,4]dioxine-6-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1052),
- [0231] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-1053),
- [0232] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-5-fluoro-2-methyl-benzene-sulfonamide (P-1054),
- [0233] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-dimethoxy-benzene-sulfonamide (P-1056),
- [0234] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-cyano-benzenesulfonamide (P-1057),
- [0235] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,4-dimethoxy-benzenesulfonamide (P-1061),
- [0236] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-methyl-benzenesulfonamide (P-1062),
- [0237] 2,3-Dihydro-benzo[1,4]dioxine-6-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1063),
- [0238] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-5-fluoro-2-methyl-benzenesulfonamide (P-1064),
- [0239] 2-Cyano-N-[2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-1067),
- [0240] 4-Methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2001),
- [0241] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2002),
- [0242] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2003),
- [0243] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3,5-dimethyl-benzene-sulfonamide (P-2004),
- [0244] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-4-methoxy-benzenesulfonamide (P-2005),
- [0245] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-2-methyl-benzene-sulfonamide (P-2006),
- [0246] 2,3-Dihydro-benzofuran-5-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2007),
- [0247] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-2009),
- [0248] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2010),
- [0249] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2011),
- [0250] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-2014),
- [0251] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2015),
- [0252] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2017),
- [0253] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2018),
- [0254] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2020),
- [0255] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-2021),
- [0256] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2022),
- [0257] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2024),
- [0258] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2025),
- [0259] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2029),
- [0260] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2030),
- [0261] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2031),
- [0262] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2032),
- [0263] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2033),
- [0264] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2034),
- [0265] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2036),
- [0266] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2037),

- [0267] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2038),
- [0268] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2-fluoro-benzenesulfonamide (P-2041),
- [0269] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2,5-difluoro-benzenesulfonamide (P-2042),
- [0270] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2,6-difluoro-benzenesulfonamide (P-2043),
- [0271] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2,4-difluoro-benzenesulfonamide (P-2044),
- [0272] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-benzenesulfonamide (P-2046),
- [0273] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2051),
- [0274] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2,5-difluoro-benzenesulfonamide (P-2052),
- [0275] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2,6-difluoro-benzenesulfonamide (P-2054),
- [0276] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2,4-difluoro-benzenesulfonamide (P-2055), and
- [0277] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-benzenesulfonamide (P-2056).
- [0278] In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0279] In a twenty-fourth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0280] Thiophene-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1098),
- [0281] Thiophene-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1099),
- [0282] Thiophene-3-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1100),
- [0283] Benzo[b]thiophene-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1101),
- [0284] 5-Pyridin-2-yl-thiophene-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1102),
- [0285] 5-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenylsulfamoyl]-furan-2-carboxylic acid methyl ester (P-1103),
- [0286] 5-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenylsulfamoyl]-2-methyl-furan-3-carboxylic acid methyl ester (P-1104),
- [0287] 1,2-Dimethyl-1H-imidazole-4-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1105),
- [0288] 2,5-Dimethyl-thiophene-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1106),
- [0289] 2,5-Dimethyl-furan-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1107),
- [0290] 2,4-Dimethyl-thiazole-5-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1108),
- [0291] 5-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenylsulfamoyl]-furan-2-carboxylic acid methyl ester (P-1109),
- [0292] 5-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenylsulfamoyl]-2-methyl-furan-3-carboxylic acid methyl ester (P-1110),
- [0293] 5-Oxazol-5-yl-thiophene-2-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1111),
- [0294] 5-Isloxazol-5-yl-thiophene-2-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1112),
- [0295] 2,5-Dimethyl-thiophene-3-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1113),
- [0296] 2,5-Dimethyl-furan-3-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1114),
- [0297] 2,4-Dimethyl-thiazole-5-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1115),
- [0298] Benzothiazole-6-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1116),
- [0299] Benzo[b]thiophene-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1117),
- [0300] 5-Methyl-2-trifluoromethyl-furan-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1118),
- [0301] 5-Oxazol-5-yl-thiophene-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1119),
- [0302] 2-Oxo-2H-chromene-6-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1120),
- [0303] 5-Isloxazol-5-yl-thiophene-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1121),
- [0304] Benzothiazole-6-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1122),
- [0305] 1-Methyl-3-trifluoromethyl-1H-pyrazole-4-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1123),
- [0306] Benzo[1,2,5]thiadiazole-5-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1124),
- [0307] 5-Methyl-benzo[b]thiophene-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1125),

- [0308] 5-Methyl-thiophene-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1126),
- [0309] 1-Methyl-1H-pyrazole-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1127),
- [0310] Pyridine-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1128),
- [0311] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2061),
- [0312] 2H-[1,2,4]Triazole-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2062),
- [0313] Pyridine-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2063),
- [0314] 2H-[1,2,4]Triazole-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2064),
- [0315] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2065),
- [0316] 2H-[1,2,4]Triazole-3-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2066),
- [0317] Pyridine-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2067),
- [0318] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2068),
- [0319] Pyridine-3-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2069),
- [0320] Pyridine-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2070),
- [0321] Pyridine-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2071),
- [0322] Pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2072),
- [0323] Pyridine-3-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2073),
- [0324] Pyridine-3-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2074),
- [0325] Pyridine-2-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2075),
- [0326] Pyridine-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2076),
- [0327] Pyridine-3-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2077),
- [0328] Pyridine-2-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2078),
- [0329] Pyridine-2-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2079),
- [0330] 2H-[1,2,4]Triazole-3-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2080),
- [0331] 5-Methyl-isoxazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2081),
- [0332] 1,5-Dimethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2082),
- [0333] 1-Ethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2083),
- [0334] 1-Methyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2084),
- [0335] 6-Methoxy-pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2085),
- [0336] Pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2086),
- [0337] Pyridine-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2087),
- [0338] 5-Methyl-isoxazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2088),
- [0339] 1-Ethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2089),
- [0340] 1-Methyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2090),
- [0341] 1,5-Dimethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2091),
- [0342] 6-Methoxy-pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2092),
- [0343] 6-Trifluoromethyl-pyridine-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2481), and
- [0344] 5-Trifluoromethyl-pyridine-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2485).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0345] In a twenty-fifth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0346] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C-pyridin-2-yl-methanesulfonamide (P-2093),
- [0347] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C-pyridin-3-yl-methanesulfonamide (P-2094),

- [0348] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C-pyridin-4-yl-methanesulfonamide (P-2095),
- [0349] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-C-pyridin-3-yl-methanesulfonamide (P-2096),
- [0350] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-C-pyridin-4-yl-methanesulfonamide (P-2097), and
- [0351] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-C-pyridin-2-yl-methanesulfonamide (P-2098).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0352] In a twenty-sixth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

- [0353] 4-Fluoro-N-{4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-2100),
- [0354] N-{4-Fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-2104),
- [0355] 4-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-benzenesulfonamide (P-2106),
- [0356] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2107),
- [0357] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2109),
- [0358] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2112),
- [0359] 4-Chloro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2113),
- 4-Fluoro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2114),
- [0360] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2116),
- [0361] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2119),
- [0362] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-fluoro-benzenesulfonamide (P-2121),
- [0363] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2123),
- [0364] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2126),
- [0365] 4-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2128),
- [0366] 4-Chloro-N-{3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-2129),

[0367] 4-Fluoro-N-{3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-2130),

[0368] N-{3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-trifluoromethoxy-benzenesulfonamide (P-2132), and

[0369] N-{3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-2135).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0370] In a twenty-seventh aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

- [0371] N-[4-Chloro-3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-1129),
- [0372] N-[4-Chloro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-1130),
- [0373] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-3-fluoro-benzenesulfonamide (P-1131),
- [0374] 4-Cyano-N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-1132),
- [0375] N-[3-(1H-Pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1133),
- [0376] N-{2-Chloro-4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-3-difluoromethoxy-benzenesulfonamide (P-2099),
- [0377] N-{4-Fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-3-trifluoromethyl-benzenesulfonamide (P-2101),
- [0378] N-{4-Fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-3-trifluoromethoxy-benzenesulfonamide (P-2102),
- [0379] 3-Chloro-N-{4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-2103),
- [0380] 3-Fluoro-N-{4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-2105),
- [0381] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2108),
- [0382] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2110),
- [0383] 3-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-benzenesulfonamide (P-2111),
- [0384] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2115),
- [0385] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2117),
- [0386] 3-Chloro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2118),

- [0387] 3-Fluoro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2120),
- [0388] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2122),
- [0389] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2124),
- [0390] 3-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2125),
- [0391] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-2127),
- [0392] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2131),
- [0393] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2133),
- [0394] 3-Chloro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2134), and
- [0395] 3-Fluoro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2136).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0396] In a twenty-eighth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0397] Pyridine-3-sulfonic acid [4-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2137),
- [0398] Pyridine-3-sulfonic acid {4-fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2138),
- [0399] Pyridine-3-sulfonic acid {4-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2139),
- [0400] Pyridine-3-sulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2140),
- [0401] Pyridine-3-sulfonic acid [3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2141),
- [0402] Pyridine-3-sulfonic acid [3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2142),
- [0403] Pyridine-3-sulfonic acid [3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2143),
- [0404] Pyridine-3-sulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2144),
- [0405] Pyridine-3-sulfonic acid {3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2145),
- [0406] Pyridine-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2146),
- [0407] 3-[3-(Pyridine-3-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-benzamide (P-2147),
- [0408] 3-(3-[3-(Pyridine-3-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl)-phenyl)-propionic acid (P-2148),

- [0409] Pyridine-3-sulfonic acid {3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2149), and
- [0410] N-(6-Acetylamino-pyridin-3-yl)-3-benzenesulfonylamino-2,6-difluoro-benzamide (P-2472).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0411] In a twenty-ninth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0412] N-[2,4-Difluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1134),
- [0413] N-[2,4-Difluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-methanesulfonamide (P-1135),
- [0414] N-[2,4-Difluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-methanesulfonamide (P-1136),
- [0415] N-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-1137), 3-[3-(2,6-Difluoro-3-methanesulfonylamino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-benzamide (P-1138),
- [0416] N-[2,4-Difluoro-3-(5-phenyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1139),
- [0417] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1140),
- [0418] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridin-3-yl-methyl)-phenyl]-methanesulfonamide (P-1141),
- [0419] N-[2,4-Difluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-phenyl]-methanesulfonamide (P-1142),
- [0420] N-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-chloro-2-fluoro-phenyl]-methanesulfonamide (P-1249),
- [0421] N-[4-Chloro-2-fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-methanesulfonamide (P-1250),
- [0422] N-[4-Chloro-2-fluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1251),
- [0423] N-[4-Chloro-2-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-methanesulfonamide (P-1252),
- [0424] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-2288),
- [0425] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-2289),
- [0426] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2290),
- [0427] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2291),

[0428] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2292),

[0429] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-2293),

[0430] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2294), and

[0431] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-methanesulfonamide (P-2295).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0432] In a thirtieth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0433] Ethanesulfonic acid [2,4-difluoro-3-(5-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-amide (P-1143),

[0434] Propane-2-sulfonic acid {3-[(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-2,4-difluoro-phenyl}-amide (P-1144),

[0435] Propane-2-sulfonic acid {3-[(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-yl)-methoxy-methyl]-2,4-difluoro-phenyl}-amide (P-1145),

[0436] Propane-2-sulfonic acid {2,4-difluoro-3-[methoxy-(5-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-methyl}-phenyl}-amide (P-1146),

[0437] Propane-2-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-2,4-difluoro-phenyl]-amide (P-1147),

[0438] Propane-2-sulfonic acid [2,4-difluoro-3-(5-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-amide (P-1148),

[0439] Propane-2-sulfonic acid [2,4-difluoro-3-(5-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl]-phenyl]-amide (P-1149),

[0440] Ethanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2268),

[0441] Ethanesulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2269),

[0442] Ethanesulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2270),

[0443] Ethanesulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2271),

[0444] Ethanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2272),

[0445] Propane-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2273),

[0446] Ethanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2274),

[0447] Propane-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2275),

[0448] Ethanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2276),

[0449] Ethanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2277),

[0450] Propane-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2278),

[0451] Ethanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2279),

[0452] Propane-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2280),

[0453] Ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2281),

[0454] Propane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2282),

[0455] Ethanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2283),

[0456] Propane-2-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2284),

[0457] Propane-2-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2285),

[0458] Ethanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2286),

[0459] Propane-2-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2287),

[0460] Ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2410), and

[0461] Propane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2411).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0462] In a thirty-first aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0463] Propane-1-sulfonic acid [2,4-difluoro-3-(5-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-amide (P-1150),

[0464] Propane-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1151),

[0465] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1152),

- [0466] Propane-1-sulfonic acid [2,4-difluoro-3-(5-phenyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1153),
- [0467] Propane-1-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1154),
- [0468] Propane-1-sulfonic acid {3-[(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-2,4-difluoro-phenyl}-amide (P-1155),
- [0469] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-2,4-difluoro-phenyl]-amide (P-1156),
- [0470] Propane-1-sulfonic acid [2,4-difluoro-3-(5-phenylamino-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-phenyl]-amide (P-1157),
- [0471] Propane-1-sulfonic acid [2,4-difluoro-3-(5-phenylamino-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1158),
- [0472] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methyl-piperidin-1-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1159),
- [0473] Propane-1-sulfonic acid [2,4-difluoro-3-(5-morpholin-4-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1160),
- [0474] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-phenylamino-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1161),
- [0475] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-morpholin-4-yl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1162),
- [0476] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1163),
- [0477] Propane-1-sulfonic acid {2,4-difluoro-3-[methoxy-(5-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1164),
- [0478] Propane-1-sulfonic acid [2,4-difluoro-3-(5-morpholin-4-yl-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-phenyl]-amide (P-1165),
- [0479] Propane-1-sulfonic acid [2,4-difluoro-3-(5-phenyl-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-phenyl]-amide (P-1166),
- [0480] Propane-1-sulfonic acid {3-[5-(4-dimethylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-1168),
- [0481] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methoxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1169),
- [0482] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-trifluoromethyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1170),
- [0483] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methoxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1171),
- [0484] Propane-1-sulfonic acid {3-[5-(3-dimethylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-1172),
- [0485] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methyl-piperidin-1-yl)-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl]-phenyl}-amide (P-1173),
- [0486] Propane-1-sulfonic acid [2,4-difluoro-3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1175),
- [0487] Propane-1-sulfonic acid {3-[(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-yl)-methoxy-methyl]-2,4-difluoro-phenyl}-amide (P-1176),
- [0488] Propane-1-sulfonic acid {3-[(4-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-2,4-difluoro-phenyl}-amide (P-1177),
- [0489] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1178),
- [0490] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-isopropenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1179),
- [0491] Propane-1-sulfonic acid [2,4-difluoro-3-(5-isopropenyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1180),
- [0492] Propane-1-sulfonic acid [2,4-difluoro-3-(5-isopropyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1181),
- [0493] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-isopropyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1182),
- [0494] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1183),
- [0495] Propane-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1184),
- [0496] Propane-1-sulfonic acid {2,4-difluoro-3-[methoxy-(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1185),
- [0497] Propane-1-sulfonic acid [2,4-difluoro-3-(5-isopropyl-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-phenyl]-amide (P-1186),
- [0498] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1187),
- [0499] Propane-1-sulfonic acid {2,4-difluoro-3-[methoxy-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1188),
- [0500] Propane-1-sulfonic acid (2,4-difluoro-3-{hydroxy-[5-(4-methyl-piperazin-1-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-methyl}-phenyl)-amide (P-1189),
- [0501] Propane-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-phenyl]-amide (P-1190),
- [0502] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methyl-piperazin-1-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1191),
- [0503] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[2-(4-methyl-piperazin-1-yl)-ethylamino]-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl}-phenyl)-amide (P-1192),
- [0504] Propane-1-sulfonic acid [3-(5-ethoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1193),
- [0505] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-ethoxy)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1194),
- [0506] Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-2,4-difluoro-phenyl]-amide (P-1195),
- [0507] Propane-1-sulfonic acid [2,4-difluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-phenyl]-amide (P-1196),

- [0508] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1197),
- [0509] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1198),
- [0510] Propane-1-sulfonic acid [2-fluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1199),
- [0511] Propane-1-sulfonic acid [2,4-difluoro-3-(5-pyridin-4-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1200),
- [0512] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzoic acid (P-1204),
- [0513] 3-3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl-acrylic acid (P-1205),
- [0514] 3-3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl-propionic acid (P-1206),
- [0515] 3-[2,6-difluoro-3-(propane-1-sulfonylamino)-phenyl]-hydroxy-methyl-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methyl ester (P-1207),
- [0516] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzamide (P-1208),
- [0517] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N,N-dimethylbenzamide (P-1209),
- [0518] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[4-(morpholine-4-carbonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-1210),
- [0519] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzamide (P-1211),
- [0520] 3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methyl ester (P-1212),
- [0521] 3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid (P-1213),
- [0522] 3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid ethylamide (P-1214),
- [0523] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1215),
- [0524] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-morpholin-4-yl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1216),
- [0525] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-morpholin-4-ylmethyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1217),
- [0526] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-methoxy-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1218),
- [0527] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-morpholin-4-yl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1219),
- [0528] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[6-(4-methyl-piperazin-1-yl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-1220),
- [0529] Propane-1-sulfonic acid {3-[5-(4-cyano-3,5-dimethyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-1221),
- [0530] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[4-(2-methoxy-ethoxy)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-1222),
- [0531] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1223),
- [0532] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-2,N,N-trimethylbenzamide (P-1224),
- [0533] Propane-1-sulfonic acid [3-(5-benzyloxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1225),
- [0534] Propane-1-sulfonic acid [3-(5-cyclopentyloxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1226),
- [0535] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-morpholin-4-yl-ethoxy)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1227),
- [0536] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(tetrahydro-pyran-4-yloxy)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1228),
- [0537] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1229),
- [0538] 5-(3-{[2,6-Difluoro-3-(propane-1-sulfonylamino)-phenyl]-hydroxy-methyl}-1H-pyrrolo[2,3-b]pyridin-5-yl)-pyridine-2-carboxylic acid ethylamide (P-2150),
- [0539] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid ethylamide (P-2151),
- [0540] Propane-1-sulfonic acid {3-[5-(1,5-dimethyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2152),
- [0541] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2153),
- [0542] Propane-1-sulfonic acid {3-[5-(6-chloro-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2154),
- [0543] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-fluoro-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2155),
- [0544] Propane-1-sulfonic acid {3-[5-(3,5-dimethyl-isoxazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2156),
- [0545] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-isobutyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2157),
- [0546] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1,3,5-trimethyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2158),
- [0547] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-3-methoxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2159),
- [0548] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-3-trifluoromethyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2160),
- [0549] N-(4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-phenyl)-acetamide (P-2161),

- [0550] Propane-1-sulfonic acid [2,4-difluoro-3-(5-pyrimidin-5-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2162),
- [0551] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-fluoro-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2164),
- [0552] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2165),
- [0553] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(morpholine-4-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2166),
- [0554] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-methyl-benzenesulfonamide (P-2167),
- [0555] N-Cyclopropyl-4-{3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzenesulfonamide (P-2168),
- [0556] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2169),
- [0557] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-methyl-benzenesulfonamide (P-2170),
- [0558] Propane-1-sulfonic acid {3-[5-(4-chloro-3-trifluoromethyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2171),
- [0559] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-fluoro-6-methyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2172),
- [0560] Propane-1-sulfonic acid [2,4-difluoro-3-(5-methanesulfonyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2173),
- [0561] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2174),
- [0562] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methyl-2H-pyrazol-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2175),
- [0563] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2H-pyrazol-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2176),
- [0564] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[I-(2-morpholin-4-yl-ethyl)-1H-pyrazol-4-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2177),
- [0565] Propane-1-sulfonic acid (3-{5-[6-(3-dimethylamino-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-2,4-difluoro-phenyl)-amide (P-2178),
- [0566] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-hydroxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2179),
- [0567] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid methylamide (P-2180),
- [0568] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid cyclopropylamide (P-2181),
- [0569] Propane-1-sulfonic acid [2,4-difluoro-3-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2182),
- [0570] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-2473),
- [0571] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2183),
- [0572] Propane-1-sulfonic acid {3-[5-(2,6-dimethoxy-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2184),
- [0573] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N,N-dimethyl-benzenesulfonamide (P-2185),
- [0574] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(piperidine-1-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2186),
- [0575] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-methylsulfanyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2187),
- [0576] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(5-methanesulfonyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2188),
- [0577] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methylsulfanyl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2189),
- [0578] Propane-1-sulfonic acid {3-[5-(1-benzyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2190),
- [0579] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(5-methyl-3-phenyl-isoxazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2191),
- [0580] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-fluoro-pyridin-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2192),
- [0581] N-(5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridin-2-yl)-acetamide (P-2193),
- [0582] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzenesulfonamide (P-2194),
- [0583] Propane-1-sulfonic acid {3-[5-(2,5-dimethyl-2H-pyrazol-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2195),
- [0584] Propane-1-sulfonic acid {3-[5-(2-dimethylamino-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2196),
- [0585] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-morpholin-4-yl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2197),
- [0586] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-hydroxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2198),
- [0587] Propane-1-sulfonic acid {3-[5-(2,4-dimethoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2199),
- [0588] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methylamide (P-2200),
- [0589] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid cyclopropylamide (P-2201),
- [0590] Propane-1-sulfonic acid (3-{5-[2-(3-dimethylamino-propoxy)-pyrimidin-5-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl)-amide (P-2203),
- [0591] Propane-1-sulfonic acid [2,4-difluoro-3-(5-hydroxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2204),

- [0592] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid ethyl ester (P-2207),
- [0593] Propane-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2208),
- [0594] Propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2209),
- [0595] Propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2210),
- [0596] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methyl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2211),
- [0597] Propane-1-sulfonic acid {3-[5-(2-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2212),
- [0598] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-methyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2213),
- [0599] Propane-1-sulfonic acid {3-[5-(6-amino-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2214),
- [0600] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[6-(2-hydroxy-ethoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2215),
- [0601] Propane-1-sulfonic acid (3-[5-[6-(3-diethylamino-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl)-amide (P-2216),
- [0602] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid (2-hydroxy-ethyl)-amide (P-2217),
- [0603] Propane-1-sulfonic acid {3-[5-(4-ethanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2218),
- [0604] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-pyrrolidin-1-yl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2219),
- [0605] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid amide (P-2220),
- [0606] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-(2-hydroxy-ethyl)-benzenesulfonamide (P-2221),
- [0607] Propane-1-sulfonic acid (3-[5-[6-(3-diethylamino-prop-1-ynyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl)-amide (P-2222),
- [0608] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[4-(propane-2-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2223),
- [0609] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-propyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2224),
- [0610] (E)-3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-acrylic acid methyl ester (P-2225),
- [0611] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[6-(3-methoxy-propyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2226),
- [0612] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[4-(pyrrolidine-1-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2227),
- [0613] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2228),
- [0614] Propane-1-sulfonic acid {3-[5-(6-dimethylamino-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2229),
- [0615] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionic acid methyl ester (P-2230),
- [0616] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-pyrrolidin-1-yl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2231),
- [0617] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[6-(2-morpholin-4-yl-ethoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2232),
- [0618] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2233),
- [0619] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-hydroxy-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2234),
- [0620] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[6-(3-pyrrolidin-1-yl-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2235),
- [0621] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[6-(3-morpholin-4-yl-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2236),
- [0622] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid dimethyl amide (P-2237),
- [0623] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methoxy-amide (P-2238),
- [0624] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methoxy-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2239),
- [0625] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methoxy-propyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2240),
- [0626] Propane-1-sulfonic acid {3-[5-(3-diethylamino-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2241), Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2H-tetrazol-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2242),
- [0627] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-methoxy-propionamide (P-2243),
- [0628] Propane-1-sulfonic acid [2,4-difluoro-3-(5-morpholin-4-ylmethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2244),
- [0629] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-morpholin-4-yl-propyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2247),
- [0630] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-pyrrolidin-1-yl-propyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2248),
- [0631] Propane-1-sulfonic acid [2,4-difluoro-3-(5-hydroxymethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2250),
- [0632] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N,N-diethyl-propionamide (P-2255),

- [0633]** Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methyl-piperazin-1-ylmethyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2257),
- [0634]** Propane-1-sulfonic acid [3-(5-diethylaminomethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2258),
- [0635]** Propane-1-sulfonic acid [2,4-difluoro-3-(5-pyrrolidin-1-ylmethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2259),
- [0636]** Propane-1-sulfonic acid [3-(5-ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2260), and
- [0637]** Propane-1-sulfonic acid [3-(4-ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2261).
- [0638]** In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0639]** In a thirty-second aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0640]** Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-1240),
- [0641]** Propane-1-sulfonic acid [2-fluoro-3-(5-phenyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1241),
- [0642]** Propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-1242),
- [0643]** Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-1243),
- [0644]** Propane-1-sulfonic acid [2-fluoro-3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1244),
- [0645]** Propane-1-sulfonic acid [2-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1245),
- [0646]** Propane-1-sulfonic acid [2-fluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1247),
- [0647]** Propane-1-sulfonic acid [2-fluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1248),
- [0648]** Propane-1-sulfonic acid [2-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2403),
- [0649]** 3-[2-Fluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methyl ester (P-2404),
- [0650]** 3-[2-Fluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid ethyl ester (P-2406),
- [0651]** Propane-1-sulfonic acid {2-fluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2407),
- [0652]** Propane-1-sulfonic acid {3-[5-(2-dimethylaminopyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-2408),
- [0653]** Propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2409), and
- [0654]** Propane-1-sulfonic acid [2-fluoro-3-(5-iodo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2480).
- [0655]** In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0656]** In a thirty-third aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0657]** Butane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1201),
- [0658]** Butane-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1202),
- [0659]** Butane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1203),
- [0660]** Butane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-1246),
- [0661]** 2-Methyl-propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2296),
- [0662]** 2-Methyl-propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2297),
- [0663]** 2-Methyl-propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2298),
- [0664]** 2-Methyl-propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2299),
- [0665]** Butane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2300),
- [0666]** Butane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2301),
- [0667]** Butane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2302),
- [0668]** 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2303),
- [0669]** 2-Methyl-propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2304),
- [0670]** 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2305),
- [0671]** 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2306),
- [0672]** 2-Methyl-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2307),

- [0673] Butane-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2308),
- [0674] Pentane-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2309),
- [0675] Butane-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2310),
- [0676] Pentane-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2311),
- [0677] Butane-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2312),
- [0678] Butane-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2313),
- [0679] Pentane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2314),
- [0680] Pentane-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2315),
- [0681] Pentane-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2316),
- [0682] Butane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2317),
- [0683] 2-Methyl-propane-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2318),
- [0684] Butane-2-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2319),
- [0685] 2-Methyl-propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2320),
- [0686] Butane-2-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2321),
- [0687] 2-Methyl-propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2322),
- [0688] Butane-2-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2323),
- [0689] 2-Methyl-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2412), and
- [0690] Butane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2413).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0691] In a thirty-fourth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0692] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2324),
- [0693] 3,3,3-Trifluoro-propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2325),
- [0694] 3,3,3-Trifluoro-propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2326),
- [0695] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2327),
- [0696] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2328),
- [0697] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2329),
- [0698] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2330),
- [0699] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2331),
- [0700] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2332),
- [0701] 3,3,3-Trifluoro-propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2333),
- [0702] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2415), and
- [0703] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2478).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0704] In a thirty-fifth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0705] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2334),
- [0706] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2335),
- [0707] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2336),
- [0708] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2337),
- [0709] 2,2,2-Trifluoro-ethanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2338),
- [0710] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2339),

- [0711] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2340),
- [0712] 2,2,2-Trifluoro-ethanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2341),
- [0713] 2,2,2-Trifluoro-ethanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2342),
- [0714] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2414).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0715] In a thirty-sixth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

- [0716] N-{3-[5-(4-Chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-C,C,C-trifluoro-methanesulfonamide (P-2343),
- [0717] N-{2,4-Difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-C,C,C-trifluoro-methanesulfonamide (P-2344),
- [0718] N-{2,4-Difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-C,C,C-trifluoro-methanesulfonamide (P-2345),
- [0719] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C,C,C-trifluoro-methanesulfonamide (P-2346),
- [0720] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-C,C,C-trifluoro-methanesulfonamide (P-2347), and
- [0721] N-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C,C,C-trifluoro-methanesulfonamide (P-2479).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0722] In a thirty-seventh aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

- [0723] Cyclopropanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2348),
- [0724] 1-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenylsulfamoyl]-cyclopropanecarboxylic acid (P-2349),
- [0725] Cyclopropanesulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2350),
- [0726] Cyclopropanesulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2351),
- [0727] Cyclopropanesulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2352),

- [0728] Cyclobutanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2353),
- [0729] Cyclobutanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2354),
- [0730] Cyclohexanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2355),
- [0731] Cyclopentanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2356),
- [0732] Cyclohexanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2357),
- [0733] Cyclopentanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2358),
- [0734] Cyclopentanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2359),
- [0735] Cyclohexanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2360),
- [0736] Cyclohexanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2361),
- [0737] Cyclobutanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2362),
- [0738] Cyclobutanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2363),
- [0739] Cyclobutanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2364),
- [0740] Cyclopentanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2365),
- [0741] Cyclohexanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2366),
- [0742] Cyclohexanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2367),
- [0743] Cyclopentanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2368),
- [0744] Cyclohexanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2369),
- [0745] Cyclobutanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2370),
- [0746] Cyclohexanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2371),
- [0747] Cyclopentanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2372),
- [0748] Cyclobutanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2373),

[0749] Cyclohexanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2416),

[0750] Cyclopentanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2417), and

[0751] Cyclobutanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2418).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0752] In a thirty-eighth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0753] Dimethylamino-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-1230),

[0754] N,N-dimethylamino-1-sulfonic acid [2,4-difluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-phenyl]-amide (P-1231),

[0755] N,N-dimethylamino-1-sulfonic acid [3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1232),

[0756] N,N-dimethylamino-1-sulfonic acid [3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1233),

[0757] N,N-dimethylamino-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1234),

[0758] N,N-dimethylamino-sulfonic acid [2,4-difluoro-3-(5-phenyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1235),

[0759] Piperidine-1-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1236),

[0760] Piperidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1237),

[0761] Dimethylamine-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-1238),

[0762] Dimethylamine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1239),

[0763] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2374),

[0764] Pyrrolidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2375),

[0765] N,N-dimethylamino-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2376),

[0766] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2377),

[0767] N,N-diethylamino-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2378),

[0768] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2379),

[0769] N,N-dimethylamino-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2382),

[0770] N,N-dimethylamino-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2383),

[0771] N,N-diethylamino-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2384),

[0772] N,N-diethylamino-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2385),

[0773] N,N-diethylamino-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2386),

[0774] N,N-diethylamino-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2387),

[0775] N,N-diethylamino-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2388),

[0776] N,N-diethylamino-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2389),

[0777] Morpholine-4-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2390),

[0778] Morpholine-4-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2391),

[0779] Morpholine-4-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2392),

[0780] Morpholine-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2393),

[0781] Pyrrolidine-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2394),

[0782] Morpholine-4-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2395),

[0783] Morpholine-4-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2396),

[0784] Morpholine-4-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2397),

[0785] Pyrrolidine-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2398),

[0786] N,N-dimethylamino-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2399),

[0787] Pyrrolidine-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2400),

[0788] N,N-diethylamino-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2401),

- [0789] Morpholine-4-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2402),
- [0790] N,N-dimethylamino-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2419),
- [0791] Pyrrolidine-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2420),
- [0792] Morpholine-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2421),
- [0793] Pyrrolidine-1-sulfonic acid {2-fluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2422),
- [0794] N,N-dimethylamino-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-2423),
- [0795] N,N-dimethylamino-sulfonic acid {2-fluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2424),
- [0796] Pyrrolidine-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-2425),
- [0797] Pyrrolidine-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2476), and
- [0798] N,N-dimethylamino-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2477).
- [0799] In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0800] In a thirty-ninth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0801] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-chloro-2-fluoro-phenyl]-amide (P-1253),
- [0802] Propane-1-sulfonic acid [4-chloro-2-fluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1254),
- [0803] Propane-1-sulfonic acid [4-chloro-2-fluoro-3-(5-phenyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1255),
- [0804] Propane-1-sulfonic acid [4-chloro-2-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1256),
- [0805] Propane-1-sulfonic acid [4-chloro-3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-1257), and
- [0806] Propane-1-sulfonic acid {4-chloro-3-[5-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-2-fluoro-phenyl}-amide (P-1258).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0807] In a fortieth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0808] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-1269),
- [0809] Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-1270),
- [0810] Propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-4-fluoro-phenyl}-amide (P-1271),
- [0811] Propane-1-sulfonic acid [4-fluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1272),
- [0812] Propane-1-sulfonic acid [3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1273),
- [0813] Propane-1-sulfonic acid {3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1274),
- [0814] Propane-1-sulfonic acid [3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1275),
- [0815] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1276),
- [0816] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-chloro-4-fluoro-phenyl]-amide (P-2426),
- [0817] Propane-1-sulfonic acid {2-chloro-3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-4-fluoro-phenyl}-amide (P-2427),
- [0818] Propane-1-sulfonic acid {2-chloro-4-fluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2428),
- [0819] Propane-1-sulfonic acid {2-chloro-4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2429),
- [0820] Propane-1-sulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2431),
- [0821] Propane-1-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2437),
- [0822] Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2449),
- [0823] Propane-1-sulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2454), and
- [0824] Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-sulfonyl)-phenyl]-amide (P-2467).
- [0825] In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [0826] In a forty-first aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [0827] N-[3-(1H-Pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1259),
- [0828] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1260),
- [0829] N-[4-Fluoro-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1261),

[0830] N-[4-Fluoro-3-(5-phenyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1262),

[0831] N-{4-Fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-methane sulfonamide (P-1263),

[0832] N-{4-Fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-methanesulfonamide (P-1264),

[0833] N-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-methanesulfonamide (P-1265),

[0834] 3-[3-(2-Fluoro-5-methanesulfonylamino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-benzamide (P-1266),

[0835] (E)-3-{3-[3-(3-Methanesulfonylamino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-phenyl}-acrylic acid methyl ester (P-1267), and

[0836] N-[3-(1H-Pyrrolo[2,3-b]pyridin-3-ylamino)-phenyl]-methanesulfonamide (P-1268).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0837] In a forty-second aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0838] Butane-1-sulfonic acid {2-chloro-4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2430),

[0839] Butane-1-sulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2432),

[0840] Butane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-2435),

[0841] Butane-1-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2438),

[0842] Butane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2450), and

[0843] Butane-1-sulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2455).

[0844] In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0845] In a forty-third aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0846] Cyclopropanesulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2433),

[0847] Cyclohexanesulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2434),

[0848] Cyclopropanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-2436),

[0849] Cyclopropanesulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2439),

[0850] Cyclohexanesulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2441),

[0851] Cyclopentanesulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2442),

[0852] Cyclohexanesulfonic acid [4-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2443),

[0853] Cyclohexanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2444),

[0854] Cyclopentanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2445),

[0855] Cyclohexanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2446),

[0856] Cyclopentanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2447),

[0857] Cyclopentanesulfonic acid [4-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2448),

[0858] Cyclopropanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2451),

[0859] Cyclohexanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2452),

[0860] Cyclopentanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2453),

[0861] Cyclopropanesulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2456),

[0862] Cyclohexanesulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2457),

[0863] Cyclohexanesulfonic acid [3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2458),

[0864] Cyclopentanesulfonic acid [3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2459),

[0865] Cyclohexanesulfonic acid [3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2460),

[0866] Cyclohexanesulfonic acid {3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2461),

[0867] Cyclohexanesulfonic acid [3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2462),

[0868] Cyclopentanesulfonic acid [3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2463),

[0869] Cyclopentanesulfonic acid [3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2464),

[0870] 3-{3-[3-(3-Cyclohexanesulfonyl amino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-phenyl}-propionic acid (P-2465), and

[0871] 3-{3-[3-(3-Cyclopentanesulfonylamino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-phenyl}-propionic acid (P-2466).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0872] In a forty-fourth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0873] Piperidine-1-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2440),

[0874] Piperidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-2468),

[0875] N,N-dimethylamino-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2469),

[0876] N,N-dimethylamino-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2470), and

[0877] Piperidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2471).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0878] In a forty-fifth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0879] N-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-methyl-phenyl]-methanesulfonamide (P-1277),

[0880] N-[2-Methyl-3-(5-phenyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1278),

[0881] N-[2-Methyl-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-1279),

[0882] Propane-1-sulfonic acid {3-[(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-2-methyl-phenyl}-amide (P-1280),

[0883] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-methyl-phenyl]-amide (P-1281),

[0884] N,N-demethylamino-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-methyl-phenyl]-amide (P-1282),

[0885] Propane-1-sulfonic acid [2-methyl-3-(5-phenyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1283), and

[0886] Propane-1-sulfonic acid [2-methyl-3-(5-pyridin-3-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-1284).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0887] In a forty-sixth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0888] N-2,4-difluoro-3-[hydroxy-(7H-pyrrolo[2,3-d]pyrimidin-5-yl)-methyl]-phenyl-4-trifluoromethyl-benzenesulfonamide (P-1426),

[0889] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-1448),

[0890] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-1449),

[0891] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-2,5-difluoro-benzenesulfonamide (P-1450),

[0892] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1451),

[0893] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-4-isopropyl-benzenesulfonamide (P-1452),

[0894] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-4-propyl-benzenesulfonamide (P-1453),

[0895] 3-Difluoromethoxy-N-[2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1454),

[0896] 4-Difluoromethoxy-N-[2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1455),

[0897] N-{2-Fluoro-3-[hydroxy-(7H-pyrrolo[2,3-d]pyrimidin-5-yl)-methyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-1457),

[0898] N-[2-Fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1458),

[0899] 4-Fluoro-N-[2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1460),

[0900] 2,5-Difluoro-N-[2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1463),

[0901] 2,6-Difluoro-N-[2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1464),

[0902] 2,4-Difluoro-N-[2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1465),

[0903] 3-Fluoro-N-[2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1467),

[0904] 2-Fluoro-N-[2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1475),

[0905] N-[2-Fluoro-3-(4-methoxy-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1481),

[0906] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-4-fluoro-benzenesulfonamide (P-1495), and

[0907] N-[3-(4-Cyclopropyl-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1505).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0908] In a forty-seventh aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0909] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1445),

[0910] Thiazole-2-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1446),

[0911] Pyridine-2-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1447), and

[0912] Pyridine-3-sulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1462).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0913] In a forty-eighth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0914] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(7H-pyrrolo[2,3-d]pyrimidin-5-yl)-methyl]-phenyl}-amide (P-1424),

[0915] Propane-1-sulfonic acid {2-fluoro-3-[hydroxy-(7H-pyrrolo[2,3-d]pyrimidin-5-yl)-methyl]-phenyl}-amide (P-1428),

[0916] Propane-1-sulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1429),

[0917] Propane-1-sulfonic acid [2,4-difluoro-3-(4-methyl-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1479),

[0918] Propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1480),

[0919] Propane-1-sulfonic acid [2-fluoro-3-(4-methoxy-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1482), and

[0920] Propane-1-sulfonic acid [3-(4-cyclopropyl-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-2,4-difluoro-phenyl]-amide (P-1506).

[0921] In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0922] In a forty-ninth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0923] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-methanesulfonamide (P-1430),

[0924] Ethanesulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1431),

[0925] Propane-2-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1432),

[0926] Butane-2-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1433),

[0927] 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1434),

[0928] Pentane-2-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1435),

[0929] Ethanesulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1459),

[0930] Propane-2-sulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1466),

[0931] 2-Methyl-propane-1-sulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1470),

[0932] Butane-2-sulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1471),

[0933] Pentane-2-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1474), and

[0934] Pentane-2-sulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1478).

[0935] In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0936] In a fiftieth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0937] Cyclopentanesulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1436),

[0938] Cyclohexanesulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1437),

[0939] Cyclobutanesulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1472),

[0940] Cyclohexanesulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1476), and

[0941] Cyclopentanesulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1477).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0942] In a fifty-first aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0943] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-C,C,C-trifluoro-methanesulfonamide (P-1438),

[0944] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1439),

[0945] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1440), and

[0946] 2,2,2-Trifluoro-ethanesulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1461).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0947] In a fifty-second aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[0948] Dimethylamine-1-sulfonic acid [3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-2,4-difluoro-phenyl]-amide (P-1441),

[0949] Diethylamine-1-sulfonic acid [3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-2,4-difluoro-phenyl]-amide (P-1442),

- [0950] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1443),
- [0951] Morpholine-4-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1444),
- [0952] N,N-Dimethylamino-sulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1468),
- [0953] Pyrrolidine-1-sulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1469), and
- [0954] Morpholine-4-sulfonic acid [2-fluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1473).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0955] In a fifty-third aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

- [0956] Propane-1-sulfonic acid [2,4-difluoro-3-(5H-pyrrolo[2,3-b]pyrazine-7-carbonyl)-phenyl]-amide (P-1484),
- [0957] Propane-1-sulfonic acid [3-(2-bromo-5H-pyrrolo[2,3-b]pyrazine-7-carbonyl)-2,4-difluoro-phenyl]-amide (P-1485),
- [0958] Propane-1-sulfonic acid {2,4-difluoro-3-[2-(2-methoxy-pyrimidin-5-yl)-5H-pyrrolo[2,3-b]pyrazine-7-carbonyl]-phenyl}-amide (P-1486),
- [0959] Propane-1-sulfonic acid {3-[2-(4-chloro-phenyl)-5H-pyrrolo[2,3-b]pyrazine-7-carbonyl]-2,4-difluoro-phenyl}-amide (P-1487),
- [0960] Propane-1-sulfonic acid [3-(2-cyano-5H-pyrrolo[2,3-b]pyrazine-7-carbonyl)-2,4-difluoro-phenyl]-amide (P-1488),
- [0961] Propane-1-sulfonic acid {2,4-difluoro-3-[2-(1-methyl-1H-pyrazol-4-yl)-5H-pyrrolo[2,3-b]pyrazine-7-carbonyl]-phenyl}-amide (P-1489),
- [0962] Propane-1-sulfonic acid [2-fluoro-3-(5H-pyrrolo[2,3-b]pyrazine-7-carbonyl)-phenyl]-amide (P-1490), and
- [0963] N-[2-Fluoro-3-(5H-pyrrolo[2,3-b]pyrazine-7-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1492).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0964] In a fifty-fourth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

- [0965] Propane-1-sulfonic acid [2,4-difluoro-3-(1H-pyrazolo[3,4-b]pyridine-3-carbonyl)-phenyl]-amide (P-1493), and
- [0966] Propane-1-sulfonic acid [3-(5-cyano-1H-pyrazolo[3,4-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1494).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one

embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0967] In a fifty-fifth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

- [0968] 6-Chloro-2-fluoro-3-(propane-1-sulfonylamino)-N-pyridin-3-yl-benzamide (P-1285), 6-Chloro-2-fluoro-N-(6-methoxy-pyridin-3-yl)-3-(propane-1-sulfonylamino)-benzamide (P-1287),
- [0969] N-(2-Acetylamino-pyrimidin-5-yl)-6-chloro-2-fluoro-3-(propane-1-sulfonylamino)-benzamide (P-1288),
- [0970] 6-Chloro-2-fluoro-N-(6-isopropylamino-pyridin-3-yl)-3-(propane-1-sulfonylamino)-benzamide (P-1289),
- [0971] Pyrrolidine-1-carboxylic acid {5-[6-chloro-2-fluoro-3-(propane-1-sulfonylamino)-benzoylamino]-pyridin-2-yl}-amide (P-1290),
- [0972] 6-Chloro-N-(3,5-dimethyl-isoxazol-4-yl)-2-fluoro-3-(propane-1-sulfonylamino)-benzamide (P-1291),
- [0973] 6-Chloro-N-(6-cyclopentylamino-pyridin-3-yl)-2-fluoro-3-(propane-1-sulfonylamino)-benzamide (P-1292),
- [0974] 6-Chloro-N-[5-(4-chloro-phenyl)-2H-pyrazol-3-yl]-2-fluoro-3-(propane-1-sulfonylamino)-benzamide (P-1293),
- [0975] 6-Chloro-2-fluoro-N-[6-(5-methyl-thiazol-2-ylamino)-pyridin-3-yl]-3-(propane-1-sulfonylamino)-benzamide (P-1294),
- [0976] 6-Chloro-N-[5-(4-chloro-benzyl)-[1,3,4]thiadiazol-2-yl]-2-fluoro-3-(propane-1-sulfonylamino)-benzamide (P-1295),
- [0977] 6-Chloro-2-fluoro-3-(propane-1-sulfonylamino)-N-quinolin-3-yl-benzamide (P-1296),
- [0978] 6-Chloro-N-(6-cyclopropylamino-pyridin-3-yl)-2-fluoro-3-(propane-1-sulfonylamino)-benzamide (P-1298),
- [0979] 6-Chloro-2-fluoro-3-(propane-1-sulfonylamino)-N-{6-[(thiophen-2-ylmethyl)-amino]-pyridin-3-yl}-benzamide (P-1299),
- [0980] N-(6-Benzylamino-pyridin-3-yl)-6-chloro-2-fluoro-3-(propane-1-sulfonylamino)-benzamide (P-1300),
- [0981] 6-Chloro-2-fluoro-N-imidazo[1,2-a]pyridin-3-yl-3-(propane-1-sulfonylamino)-benzamide (P-1301), and
- [0982] 2,6-Difluoro-3-(propane-1-sulfonylamino)-N-quinolin-3-yl-benzamide (P-1312).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[0983] In a fifty-sixth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

- [0984] N-(6-Acetylamino-pyridin-3-yl)-6-chloro-2-fluoro-3-(propane-1-sulfonylamino)-benzamide (P-1286),
- [0985] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(propane-1-sulfonylamino)-benzamide (P-1315),
- [0986] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(2-fluoro-benzenesulfonylamino)-benzamide (P-1340),
- [0987] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(3-fluoro-benzenesulfonylamino)-benzamide (P-1341),
- [0988] N-(6-Acetylamino-pyridin-3-yl)-3-(2,6-difluoro-benzenesulfonylamino)-2,6-difluoro-benzamide (P-1342),

- [0989] N-(6-Acetylamino-pyridin-3-yl)-3-(2,4-difluorobenzenesulfonylamino)-2,6-difluoro-benzamide (P-1343),
- [0990] N-(6-Acetylamino-pyridin-3-yl)-3-(2,5-difluorobenzenesulfonylamino)-2,6-difluoro-benzamide (P-1344),
- [0991] 6-Acetylamino-N-[2,6-difluoro-3-(3-fluoro-4-methoxy-benzenesulfonylamino)-phenyl]-nicotinamide (P-1345),
- [0992] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzamide (P-1346),
- [0993] N-(6-Acetylamino-pyridin-3-yl)-3-(4-difluoromethoxy-benzenesulfonylamino)-2,6-difluoro-benzamide (P-1347),
- [0994] N-(6-Acetylamino-pyridin-3-yl)-3-(3-difluoromethoxy-benzenesulfonylamino)-2,6-difluoro-benzamide (P-1348),
- [0995] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(4-isopropyl-benzenesulfonylamino)-benzamide (P-1349),
- [0996] N-(6-Acetylamino-pyridin-3-yl)-3-(4-tert-butyl-benzenesulfonylamino)-2,6-difluoro-benzamide (P-1350),
- [0997] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(4-propyl-benzenesulfonylamino)-benzamide (P-1351),
- [0998] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(pyridine-2-sulfonylamino)-benzamide (P-1352),
- [0999] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(pyridine-3-sulfonylamino)-benzamide (P-1353),
- [1000] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(dimethylaminosulfonylamino)-benzamide (P-1354),
- [1001] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(piperidine-1-sulfonylamino)-benzamide (P-1355),
- [1002] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(morpholine-4-sulfonylamino)-benzamide (P-1356),
- [1003] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(tetrahydro-pyran-4-sulfonylamino)-benzamide (P-1357),
- [1004] N-(6-Acetylamino-pyridin-3-yl)-3-cyclopentanesulfonylamino-2,6-difluoro-benzamide (P-1358),
- [1005] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(pyrrolidine-1-sulfonylamino)-benzamide (P-1359),
- [1006] N-(6-Acetylamino-pyridin-3-yl)-2,6-difluoro-3-(3,3,3-trifluoro-propane-1-sulfonylamino)-benzamide (P-1360),
- [1007] N-(6-Acetylamino-pyridin-3-yl)-3-benzenesulfonylamino-2,6-difluoro-benzamide (P-2474), and
- [1008] 6-Acetylamino-N-(3-benzenesulfonylamino-2,6-difluoro-phenyl)-nicotinamide (P-2475).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [1009] In a fifty-seventh aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [1010] Quinoline-3-carboxylic acid [2,6-difluoro-3-(propane-1-sulfonylamino)-phenyl]-amide (P-1309),
- [1011] 6-Acetylamino-N-[2,6-difluoro-3-(2-fluoro-benzenesulfonylamino)-phenyl]-nicotinamide (P-1317),
- [1012] 6-Acetylamino-N-[2,6-difluoro-3-(3-fluoro-benzenesulfonylamino)-phenyl]-nicotinamide (P-1318),
- [1013] 6-Acetylamino-N-[3-(2,6-difluoro-benzenesulfonylamino)-2,6-difluoro-phenyl]-nicotinamide (P-1319),
- [1014] 6-Acetylamino-N-[3-(2,4-difluoro-benzenesulfonylamino)-2,6-difluoro-phenyl]-nicotinamide (P-1320),
- [1015] 6-Acetylamino-N-[3-(2,5-difluoro-benzenesulfonylamino)-2,6-difluoro-phenyl]-nicotinamide (P-1321),
- [1016] 6-Acetylamino-N-[2,6-difluoro-3-(3-fluoro-4-methoxy-benzenesulfonylamino)-phenyl]-nicotinamide (P-1322),
- [1017] 6-Acetylamino-N-[2,6-difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-phenyl]-nicotinamide (P-1323),
- [1018] 6-Acetylamino-N-[3-(4-difluoromethoxy-benzenesulfonylamino)-2,6-difluoro-phenyl]-nicotinamide (P-1324),
- [1019] 6-Acetylamino-N-[3-(3-difluoromethoxy-benzenesulfonylamino)-2,6-difluoro-phenyl]-nicotinamide (P-1325),
- [1020] 6-Acetylamino-N-[2,6-difluoro-3-(4-isopropyl-benzenesulfonylamino)-phenyl]-nicotinamide (P-1326),
- [1021] 6-Acetylamino-N-[3-(4-tert-butyl-benzenesulfonylamino)-2,6-difluoro-phenyl]-nicotinamide (P-1327),
- [1022] 6-Acetylamino-N-[2,6-difluoro-3-(4-propyl-benzenesulfonylamino)-phenyl]-nicotinamide (P-1328),
- [1023] 6-Acetylamino-N-[2,6-difluoro-3-(pyridine-2-sulfonylamino)-phenyl]-nicotinamide (P-1329),
- [1024] 6-Acetylamino-N-[2,6-difluoro-3-(pyridine-3-sulfonylamino)-phenyl]-nicotinamide (P-1330),
- [1025] 6-Acetylamino-N-[2,6-difluoro-3-(dimethylaminosulfonylamino)-phenyl]-nicotinamide (P-1331),
- [1026] 6-Acetylamino-N-[2,6-difluoro-3-(piperidine-1-sulfonylamino)-phenyl]-nicotinamide (P-1332),
- [1027] 6-Acetylamino-N-[2,6-difluoro-3-(morpholine-4-sulfonylamino)-phenyl]-nicotinamide (P-1333),
- [1028] 6-Acetylamino-N-[2,6-difluoro-3-(tetrahydro-pyran-4-sulfonylamino)-phenyl]-nicotinamide (P-1334),
- [1029] 6-Acetylamino-N-(3-cyclopentanesulfonylamino-2,6-difluoro-phenyl)-nicotinamide (P-1335),
- [1030] 6-Acetylamino-N-[2,6-difluoro-3-(pyrrolidine-1-sulfonylamino)-phenyl]-nicotinamide (P-1336),
- [1031] 6-Acetylamino-N-[2,6-difluoro-3-(3,3,3-trifluoropropane-1-sulfonylamino)-phenyl]-nicotinamide (P-1337), and
- [1032] 6-Acetylamino-N-[2,6-difluoro-3-(propane-1-sulfonylamino)-phenyl]-nicotinamide (P-1361).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [1033] In a fifty-eighth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of: 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(2-fluoro-benzenesulfonylamino)-phenyl]-amide (P-1362),
- [1034] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(3-fluoro-benzenesulfonylamino)-phenyl]-amide (P-1363),
- [1035] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [3-(2,6-difluoro-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1364),

- [1036] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [3-(2,4-difluoro-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1365),
- [1037] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [3-(2,5-difluoro-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1366),
- [1038] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(3-fluoro-4-methoxy-benzenesulfonylamino)-phenyl]-amide (P-1367),
- [1039] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-phenyl]-amide (P-1368),
- [1040] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [3-(4-difluoromethoxy-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1369),
- [1041] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [3-(3-difluoromethoxy-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1370),
- [1042] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(4-isopropyl-benzenesulfonylamino)-phenyl]-amide (P-1371),
- [1043] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [3-(4-tert-butyl-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1372),
- [1044] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(4-propyl-benzenesulfonylamino)-phenyl]-amide (P-1373),
- [1045] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(pyridine-2-sulfonylamino)-phenyl]-amide (P-1374),
- [1046] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(pyridine-3-sulfonylamino)-phenyl]-amide (P-1375),
- [1047] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(dimethylaminosulfonylamino)-phenyl]-amide (P-1376),
- [1048] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(piperidine-1-sulfonylamino)-phenyl]-amide (P-1377),
- [1049] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(morpholine-4-sulfonylamino)-phenyl]-amide (P-1378),
- [1050] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(tetrahydro-pyran-4-sulfonylamino)-phenyl]-amide (P-1379),
- [1051] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [3-(3-cyclopentanesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1380),
- [1052] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(pyrrolidine-1-sulfonylamino)-phenyl]-amide (P-1381),
- [1053] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(3,3,3-trifluoro-propane-1-sulfonylamino)-phenyl]-amide (P-1382), and
- [1054] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid [2,6-difluoro-3-(propane-1-sulfonylamino)-phenyl]-amide (P-1385).
- In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.
- [1055] In a fifty-ninth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:
- [1056] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(2-fluoro-benzenesulfonylamino)-phenyl]-amide (P-1386),
- [1057] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(3-fluoro-benzenesulfonylamino)-phenyl]-amide (P-1387),
- [1058] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [3-(2,6-difluoro-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1388),
- [1059] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [3-(2,4-difluoro-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1389),
- [1060] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [3-(2,5-difluoro-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1390),
- [1061] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(3-fluoro-4-methoxy-benzenesulfonylamino)-phenyl]-amide (P-1391),
- [1062] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-phenyl]-amide (P-1392),
- [1063] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [3-(4-difluoromethoxy-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1393),
- [1064] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [3-(3-difluoromethoxy-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1394),
- [1065] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(4-isopropyl-benzenesulfonylamino)-phenyl]-amide (P-1395),
- [1066] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [3-(4-tert-butyl-benzenesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1396),
- [1067] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(4-propyl-benzenesulfonylamino)-phenyl]-amide (P-1397),
- [1068] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(pyridine-2-sulfonylamino)-phenyl]-amide (P-1398),
- [1069] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(pyridine-3-sulfonylamino)-phenyl]-amide (P-1399),
- [1070] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(dimethylaminosulfonylamino)-phenyl]-amide (P-1400),
- [1071] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(piperidine-1-sulfonylamino)-phenyl]-amide (P-1401),
- [1072] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(morpholine-4-sulfonylamino)-phenyl]-amide (P-1402),
- [1073] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(tetrahydro-pyran-4-sulfonylamino)-phenyl]-amide (P-1403),
- [1074] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [3-(3-cyclopentanesulfonylamino)-2,6-difluoro-phenyl]-amide (P-1404),
- [1075] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(pyrrolidine-1-sulfonylamino)-phenyl]-amide (P-1405),

[1076] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(3,3,3-trifluoro-propane-1-sulfonylamino)-phenyl]-amide (P-1406), and

[1077] 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid [2,6-difluoro-3-(propane-1-sulfonylamino)-phenyl]-amide (P-1407).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[1078] In a sixtieth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[1079] Propane-1-sulfonic acid (2,4-difluoro-3-{[5-(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-pyridin-2-ylamino]-methyl}-phenyl)-amide (P-1302),

[1080] Propane-1-sulfonic acid (3-{[5-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-pyridin-2-ylamino]-methyl}-2,4-difluoro-phenyl)-amide (P-1303),

[1081] Propane-1-sulfonic acid (2-fluoro-3-{[5-(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-pyridin-2-ylamino]-methyl}-phenyl)-amide (P-1304),

[1082] Propane-1-sulfonic acid [2,4-difluoro-3-(quinolin-3-ylaminomethyl)-phenyl]-amide (P-1305),

[1083] Propane-1-sulfonic acid {3-[(6-chloro-pyridin-3-ylamino)-methyl]-2,4-difluoro-phenyl}-amide (P-1306),

[1084] Propane-1-sulfonic acid {2,4-difluoro-3-[(6-methoxy-pyridin-3-ylamino)-methyl]-phenyl}-amide (P-1307),

[1085] Propane-1-sulfonic acid {2,4-difluoro-3-[(5-methyl-isoxazol-3-ylamino)-methyl]-phenyl}-amide (P-1308),

[1086] Propane-1-sulfonic acid {2,4-difluoro-3-[(quinolin-3-ylmethyl)-amino]-phenyl}-amide (P-1310),

and

[1087] N-{5-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzyl amino]-pyridin-2-yl}-acetamide (P-1316)

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[1088] In a sixty-first aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[1089] Propane-1-sulfonic acid [2,4-difluoro-3-(quinolin-3-yloxymethyl)-phenyl]-amide (P-1311),

[1090] Propane-1-sulfonic acid [3-(2-amino-pyridin-3-yloxymethyl)-2,4-difluoro-phenyl]-amide (P-1313),

[1091] N-[3-(2-Amino-pyridin-3-yloxymethyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1314),

[1092] N-{5-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzyloxy]-pyridin-2-yl}-acetamide (P-1338),

[1093] N-{5-[2,6-Difluoro-3-(4-trifluoromethyl-benzene-sulfonylamino)-benzyloxy]-pyridin-2-yl}-acetamide (P-1339),

[1094] Propane-1-sulfonic acid [2,4-difluoro-3-(1H-pyrrolo[2,3-b]pyridin-5-yloxymethyl)-phenyl]-amide (P-1383), and

[1095] N-[2,4-Difluoro-3-(1H-pyrrolo[2,3-b]pyridin-5-yloxymethyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1384).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[1096] In a sixty-second aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[1097] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2057),

[1098] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2058), and

[1099] N-[2-Fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2059).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[1100] In a sixty-third aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[1101] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-trifluoromethyl-benzene-sulfonamide (P-1028),

[1102] N-[2,4-Difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1427),

[1103] 4-tert-Butyl-N-[2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-benzenesulfonamide (P-1456), and

[1104] N-[2,4-Difluoro-3-(5H-pyrrolo[2,3-b]pyrazine-7-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1491).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[1105] In a sixty-fourth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[1106] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-1091),

[1107] N-[2,4-Difluoro-3-(4-methoxy-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1483),

[1108] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-1503), and

[1109] N-[3-(4-Ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-1504).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[1110] In a sixty-fifth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[1111] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1021), and

[1112] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1092).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[1113] In a sixty-sixth aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[1114] Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1174),

[1115] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-benzenesulfonamide (P-1498),

[1116] Propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1499),

[1117] Pyrrolidine-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1500), and

[1118] N,N-dimethylamino-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1501).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[1119] In a sixty-seventh aspect, a solid form is provided comprising a basic amino acid, preferably arginine or lysine, and a compound selected from the group consisting of:

[1120] Propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-1167),

[1121] Propane-1-sulfonic acid [2,4-difluoro-3-(7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)-phenyl]-amide (P-1425),

[1122] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1496),

[1123] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzenesulfonamide (P-1497),

and

[1124] Propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-1502).

In one embodiment, the solid form is a complex of the compound and the basic amino acid. In one embodiment, the solid form is a complex of the compound and arginine. In one embodiment, the solid form is a complex of the compound and lysine. In one embodiment, the complex is an amorphous solid.

[1125] In a sixty-eighth aspect, a complex of arginine and N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide

(P-1021) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of pain or polycystic kidney disease. In one embodiment, the complex is an amorphous solid.

[1126] In a sixty-ninth aspect, a complex of lysine and N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1021) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of pain or polycystic kidney disease. In one embodiment, the complex is an amorphous solid.

[1127] In a seventieth aspect, a complex of arginine and N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1092) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of pain or polycystic kidney disease. In one embodiment, the complex is an amorphous solid.

[1128] In a seventy-first aspect, a complex of lysine and N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-1092) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of pain or polycystic kidney disease. In one embodiment, the complex is an amorphous solid.

[1129] In a seventy-second aspect, a complex of arginine and Propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-1167) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma or colorectal cancer. In one embodiment, the complex is an amorphous solid.

[1130] In a seventy-third aspect, a complex of lysine and Propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-1167) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma or colorectal cancer. In one embodiment, the complex is an amorphous solid.

[1131] In a seventy-fourth aspect, a complex of arginine and N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzenesulfonamide (P-1497) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma or colorectal cancer. In one embodiment, the complex is an amorphous solid.

[1132] In a seventy-fifth aspect, a complex of lysine and N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzenesulfonamide (P-1497) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma or colorectal cancer. In one embodiment, the complex is an amorphous solid.

[1133] In a seventy-sixth aspect, a complex of arginine and Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1496) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma or colorectal cancer. In one embodiment, the complex is an amorphous solid.

[1134] In a seventy-seventh aspect, a complex of lysine and Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1496) is provided. In one embodiment, a composition is provided comprising said complex. In one embodiment, the composition is effective as a pharmaceutical, for example in the treatment of melanoma or colorectal cancer. In one embodiment, the complex is an amorphous solid.

[1135] In a seventy-eighth aspect, a composition is provided comprising an amorphous complex of arginine and any of the above-referenced specific compounds, and further comprises a crystalline form of the compound, preferably crystalline free base of the compound. In one embodiment, the composition comprises the amorphous complex and 0-80% crystalline compound, also 0-50%, 0-20%, 0-10%, 0-5%, or 0-2% crystalline compound.

[1136] In a seventy-ninth aspect, a composition is provided comprising an amorphous complex of lysine and any of the above-referenced specific compounds, and further comprises a crystalline form of the compound, preferably crystalline free base of the compound. In one embodiment, the composition comprises the amorphous complex and 0-80% crystalline compound, also 0-50%, 0-20%, 0-10%, 0-5%, or 0-2% crystalline compound.

[1137] In an eightieth aspect, a compound is provided, where the compound is selected from the group consisting of:

[1138] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2026),

[1139] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-fluoro-benzenesulfonamide (P-2027),

[1140] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2028),

[1141] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2035),

[1142] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-fluoro-benzenesulfonamide (P-2040),

[1143] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2047),

[1144] N-[2,4-Difluoro-3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2482),

[1145] N-[3-(5-Cyclopropyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2483),

[1146] N-[3-(5-Ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2484),

[1147] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-propyl-benzenesulfonamide (P-2486),

[1148] N-[3-(4-Ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2487), and

a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1149] In an eighty-first aspect, a compound is provided, where the compound is selected from the group consisting of:

[1150] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2050),

[1151] N-[2-Fluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2060), and

a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1152] In an eighty-second aspect, a compound is provided, where the compound is selected from the group consisting of:

[1153] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-2016),

[1154] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-benzenesulfonamide (P-2019),

[1155] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-2023),

[1156] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-benzenesulfonamide (P-2039),

[1157] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-3-fluoro-benzenesulfonamide (P-2045),

[1158] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-3-fluoro-benzenesulfonamide (P-2053), and

a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1159] In an eighty-third aspect, a compound is provided, where the compound is selected from the group consisting of:

[1160] 4-Methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2001),

[1161] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzenesulfonamide (P-2002),

- [1162] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2003),
- [1163] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3,5-dimethyl-benzene-sulfonamide (P-2004),
- [1164] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-4-methoxy-benzenesulfonamide (P-2005),
- [1165] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-2-methyl-benzene-sulfonamide (P-2006),
- [1166] 2,3-Dihydro-benzofuran-5-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2007),
- [1167] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-2009),
- [1168] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2010),
- [1169] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2011),
- [1170] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-2014),
- [1171] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2015),
- [1172] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2017),
- [1173] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2018),
- [1174] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2020),
- [1175] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-2021),
- [1176] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2022),
- [1177] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2024),
- [1178] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2025),
- [1179] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2029),
- [1180] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2030),
- [1181] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2031),
- [1182] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2032),
- [1183] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2033),
- [1184] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2034),
- [1185] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2036),
- [1186] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2037),
- [1187] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2038),
- [1188] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2-fluoro-benzenesulfonamide (P-2041),
- [1189] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2,5-difluoro-benzenesulfonamide (P-2042),
- [1190] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2,6-difluoro-benzenesulfonamide (P-2043),
- [1191] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2,4-difluoro-benzenesulfonamide (P-2044),
- [1192] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-benzenesulfonamide (P-2046),
- [1193] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2051),
- [1194] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2,5-difluoro-benzenesulfonamide (P-2052),
- [1195] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2,6-difluoro-benzenesulfonamide (P-2054),
- [1196] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2,4-difluoro-benzenesulfonamide (P-2055),
- [1197] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-benzenesulfonamide (P-2056), and
- a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1198] In an eighty-fourth aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1199] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2061),
- [1200] 2H-[1,2,4]Triazole-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2062),
- [1201] Pyridine-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2063),
- [1202] 2H-[1,2,4]Triazole-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2064),

- [1203] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2065),
- [1204] 2H-[1,2,4]Triazole-3-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2066),
- [1205] Pyridine-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2067),
- [1206] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2068),
- [1207] Pyridine-3-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2069),
- [1208] Pyridine-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2070),
- [1209] Pyridine-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2071),
- [1210] Pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2072),
- [1211] Pyridine-3-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2073),
- [1212] Pyridine-3-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2074),
- [1213] Pyridine-2-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2075),
- [1214] Pyridine-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2076),
- [1215] Pyridine-3-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2077),
- [1216] Pyridine-2-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2078),
- [1217] Pyridine-2-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2079),
- [1218] 2H-[1,2,4]Triazole-3-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2080),
- [1219] 5-Methyl-isoxazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2081),
- [1220] 1,5-Dimethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2082),
- [1221] 1-Ethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2083),
- [1222] 1-Methyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2084),
- [1223] 6-Methoxy-pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2085),
- [1224] Pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2086),
- [1225] Pyridine-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2087),
- [1226] 5-Methyl-isoxazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2088),
- [1227] 1-Ethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2089),
- [1228] 1-Methyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2090),
- [1229] 1,5-Dimethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2091),
- [1230] 6-Methoxy-pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2092),
- [1231] 6-Trifluoromethyl-pyridine-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2481),
- [1232] 5-Trifluoromethyl-pyridine-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2485), and
- a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1233] In an eighty-fifth aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1234] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C-pyridin-2-yl-methanesulfonamide (P-2093),
- [1235] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C-pyridin-3-yl-methanesulfonamide (P-2094),
- [1236] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C-pyridin-4-yl-methanesulfonamide (P-2095),
- [1237] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-C-pyridin-3-yl-methanesulfonamide (P-2096),
- [1238] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-C-pyridin-4-yl-methanesulfonamide (P-2097),
- [1239] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-C-pyridin-2-yl-methanesulfonamide (P-2098), and
- a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1240] In an eighty-sixth aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1241] 4-Fluoro-N-{4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-2100),
- [1242] N-{4-Fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-2104),

- [1243] 4-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-benzenesulfonamide (P-2106),
- [1244] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2107),
- [1245] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2109),
- [1246] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2112),
- [1247] 4-Chloro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2113),
- [1248] 4-Fluoro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2114),
- [1249] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2116),
- [1250] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2119),
- [1251] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-fluoro-benzenesulfonamide (P-2121),
- [1252] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2123),
- [1253] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2126),
- [1254] 4-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2128),
- [1255] 4-Chloro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2129),
- [1256] 4-Fluoro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2130),
- [1257] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2132), and
- [1258] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2135), and
- a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1259] In an eighty-seventh aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1260] N-[2-Chloro-4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-difluoromethoxy-benzenesulfonamide (P-2099),
- [1261] N-[4-Fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2101),
- [1262] N-[4-Fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2102),
- [1263] 3-Chloro-N-[4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2103),
- [1264] 3-Fluoro-N-[4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2105),
- [1265] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2108),
- [1266] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2110),
- [1267] 3-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-benzenesulfonamide (P-2111),
- [1268] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2115),
- [1269] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2117),
- [1270] 3-Chloro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2118),
- [1271] 3-Fluoro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2120),
- [1272] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2122),
- [1273] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2124),
- [1274] 3-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2125),
- [1275] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-2127),
- [1276] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2131),
- [1277] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2133),
- [1278] 3-Chloro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2134),
- [1279] 3-Fluoro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2136), and
- a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1280] In an eighty-eighth aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1281] Pyridine-3-sulfonic acid [4-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2137),
- [1282] Pyridine-3-sulfonic acid [4-fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-amide (P-2138),
- [1283] Pyridine-3-sulfonic acid [4-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-amide (P-2139),
- [1284] Pyridine-3-sulfonic acid [4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-amide (P-2140),
- [1285] Pyridine-3-sulfonic acid [3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2141),

- [1286] Pyridine-3-sulfonic acid [3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2142),
- [1287] Pyridine-3-sulfonic acid [3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2143),
- [1288] Pyridine-3-sulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2144),
- [1289] Pyridine-3-sulfonic acid {3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2145),
- [1290] Pyridine-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2146),
- [1291] 3-{3-[3-(Pyridine-3-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzamide (P-2147),
- [1292] 3-(3-{3-[3-(Pyridine-3-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-phenyl)-propionic acid (P-2148),
- [1293] Pyridine-3-sulfonic acid {3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2149),
- [1294] N-(6-Acetylamino-pyridin-3-yl)-3-benzenesulfonylamino-2,6-difluoro-benzamide (P-2472), and a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1295] In an eighty-ninth aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1296] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-2288),
- [1297] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-2289),
- [1298] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2290),
- [1299] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2291),
- [1300] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2292),
- [1301] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-2293),
- [1302] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2294), and
- [1303] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-methanesulfonamide (P-2295), and
- [1304] a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1305] In a ninetieth aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1306] Ethanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2268),
- [1307] Ethanesulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2269),
- [1308] Ethanesulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2270),
- [1309] Ethanesulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2271),
- [1310] Ethanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2272),
- [1311] Propane-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2273),
- [1312] Ethanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2274),
- [1313] Propane-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2275),
- [1314] Ethanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2276),
- [1315] Ethanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2277),
- [1316] Propane-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2278),
- [1317] Ethanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2279),
- [1318] Propane-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2280),
- [1319] Ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2281),
- [1320] Propane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2282),
- [1321] Ethanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2283),
- [1322] Propane-2-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2284),
- [1323] Propane-2-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2285),
- [1324] Ethanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2286),
- [1325] Propane-2-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2287),
- [1326] Ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2410),
- [1327] Propane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2411), and a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

- [1328] In a ninety-first aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1329] 5-(3-{[2,6-Difluoro-3-(propane-1-sulfonylamino)-phenyl]-hydroxy-methyl}-1H-pyrrolo[2,3-b]pyridin-5-yl)-pyridine-2-carboxylic acid ethylamide (P-2150),
- [1330] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid ethylamide (P-2151),
- [1331] Propane-1-sulfonic acid {3-[5-(1,5-dimethyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2152),
- [1332] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2153),
- [1333] Propane-1-sulfonic acid {3-[5-(6-chloro-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2154),
- [1334] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-fluoro-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2155),
- [1335] Propane-1-sulfonic acid {3-[5-(3,5-dimethyl-isoxazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2156),
- [1336] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-isobutyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2157),
- [1337] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1,3,5-trimethyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2158),
- [1338] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-3-methoxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2159),
- [1339] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-3-trifluoromethyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2160),
- [1340] N-(4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-phenyl)-acetamide (P-2161),
- [1341] Propane-1-sulfonic acid {2,4-difluoro-3-(5-pyrimidin-5-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl}-amide (P-2162),
- [1342] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-fluoro-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2164),
- [1343] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2165),
- [1344] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(morpholine-4-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2166),
- [1345] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-methyl-benzenesulfonamide (P-2167),
- [1346] N-Cyclopropyl-4-{3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzenesulfonamide (P-2168),
- [1347] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2169),
- [1348] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-methyl-benzenesulfonamide (P-2170),
- [1349] Propane-1-sulfonic acid {3-[5-(4-chloro-3-trifluoromethyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2171),
- [1350] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-fluoro-6-methyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2172),
- [1351] Propane-1-sulfonic acid [2,4-difluoro-3-(5-methanesulfonyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2173),
- [1352] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2174),
- [1353] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methyl-2H-pyrazol-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2175),
- [1354] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2H-pyrazol-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2176),
- [1355] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[1-(2-morpholin-4-yl-ethyl)-1H-pyrazol-4-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2177),
- [1356] Propane-1-sulfonic acid (3-{5-[6-(3-dimethylamino-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-2,4-difluoro-phenyl)-amide (P-2178),
- [1357] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-hydroxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2179),
- [1358] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid methylamide (P-2180),
- [1359] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid cyclopropylamide (P-2181),
- [1360] Propane-1-sulfonic acid {2,4-difluoro-3-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl}-amide (P-2182),
- [1361] Propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-2473),
- [1362] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2183),
- [1363] Propane-1-sulfonic acid {3-[5-(2,6-dimethoxy-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2184),
- [1364] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N,N-dimethyl-benzenesulfonamide (P-2185),
- [1365] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(piperidine-1-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2186),
- [1366] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-methylsulfanyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2187),
- [1367] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(5-methanesulfonyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2188),
- [1368] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methylsulfanyl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2189),
- [1369] Propane-1-sulfonic acid {3-[5-(1-benzyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2190),

- [1370] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(5-methyl-3-phenyl-isoxazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2191),
- [1371] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-fluoro-pyridin-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2192),
- [1372] N-(5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridin-2-yl)-acetamide (P-2193),
- [1373] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzene-sulfonamide (P-2194),
- [1374] Propane-1-sulfonic acid {3-[5-(2,5-dimethyl-2H-pyrazol-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2195),
- [1375] Propane-1-sulfonic acid {3-[5-(2-dimethylamino-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2196),
- [1376] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-morpholin-4-yl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2197),
- [1377] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-hydroxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2198),
- [1378] Propane-1-sulfonic acid {3-[5-(2,4-dimethoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2199),
- [1379] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methylamide (P-2200),
- [1380] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid cyclopropylamide (P-2201),
- [1381] Propane-1-sulfonic acid (3-{5-[2-(3-dimethylamino-propoxy)-pyrimidin-5-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2203),
- [1382] Propane-1-sulfonic acid [2,4-difluoro-3-(5-hydroxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2204),
- [1383] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid ethyl ester (P-2207),
- [1384] Propane-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2208),
- [1385] Propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2209),
- [1386] Propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2210),
- [1387] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methyl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2211),
- [1388] Propane-1-sulfonic acid {3-[5-(2-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2212),
- [1389] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-methyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2213),
- [1390] Propane-1-sulfonic acid {3-[5-(6-amino-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2214),
- [1391] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(2-hydroxy-ethoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2215),
- [1392] Propane-1-sulfonic acid (3-{5-[6-(3-diethylamino-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2216),
- [1393] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid (2-hydroxy-ethyl)-amide (P-2217),
- [1394] Propane-1-sulfonic acid {3-[5-(4-ethanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2218),
- [1395] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-pyrrolidin-1-yl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2219),
- [1396] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid amide (P-2220),
- [1397] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-(2-hydroxy-ethyl)-benzenesulfonamide (P-2221),
- [1398] Propane-1-sulfonic acid (3-{5-[6-(3-diethylamino-prop-1-ynyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl)-amide (P-2222),
- [1399] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(propane-2-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2223),
- [1400] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-propyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2224),
- [1401] (E)-3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-acrylic acid methyl ester (P-2225),
- [1402] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(3-methoxy-propyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2226),
- [1403] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(pyrrolidin-1-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2227),
- [1404] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2228),
- [1405] Propane-1-sulfonic acid {3-[5-(6-dimethylamino-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2229),
- [1406] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionic acid methyl ester (P-2230),
- [1407] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-pyrrolidin-1-yl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2231),
- [1408] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(2-morpholin-4-yl-ethoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2232),
- [1409] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2233),
- [1410] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-hydroxy-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2234),
- [1411] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(3-pyrrolidin-1-yl-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2235),

- [1412] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(3-morpholin-4-yl-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2236),
- [1413] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid dimethyl amide (P-2237),
- [1414] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methoxy-amide (P-2238),
- [1415] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methoxy-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2239),
- [1416] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methoxy-propyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2240),
- [1417] Propane-1-sulfonic acid {3-[5-(3-diethylamino-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2241),
- [1418] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2H-tetrazol-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2242),
- [1419] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-methoxy-propionamide (P-2243),
- [1420] Propane-1-sulfonic acid [2,4-difluoro-3-(5-morpholin-4-ylmethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2244),
- [1421] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-morpholin-4-yl-propyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2247),
- [1422] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-pyrrolidin-1-yl-propyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2248),
- [1423] Propane-1-sulfonic acid [2,4-difluoro-3-(5-hydroxymethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2250),
- [1424] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N,N-diethyl-propionamide (P-2255),
- [1425] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methyl-piperazin-1-ylmethyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2257),
- [1426] Propane-1-sulfonic acid [3-(5-diethylaminomethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2258),
- [1427] Propane-1-sulfonic acid [2,4-difluoro-3-(5-pyrrolidin-1-ylmethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2259),
- [1428] Propane-1-sulfonic acid [3-(5-ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2260),
- [1429] Propane-1-sulfonic acid [3-(4-ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2261), and
- a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1430] In a ninety-second aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1431] Propane-1-sulfonic acid [2-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2403),
- [1432] 3-[2-Fluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methyl ester (P-2404),
- [1433] 3-[2-Fluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid ethyl ester (P-2406),
- [1434] Propane-1-sulfonic acid {2-fluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2407),
- [1435] Propane-1-sulfonic acid {3-[5-(2-dimethylamino-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-2408),
- [1436] Propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2409),
- [1437] Propane-1-sulfonic acid [2-fluoro-3-(5-iodo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2480), and
- a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1438] In a ninety-third aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1439] 2-Methyl-propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2296),
- [1440] 2-Methyl-propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2297),
- [1441] 2-Methyl-propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2298),
- [1442] 2-Methyl-propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2299),
- [1443] Butane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2300),
- [1444] Butane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2301),
- [1445] Butane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2302),
- [1446] 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2303),
- [1447] 2-Methyl-propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2304),
- [1448] 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2305),
- [1449] 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2306),
- [1450] 2-Methyl-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2307),
- [1451] Butane-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2308),

- [1452] Pentane-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2309),
- [1453] Butane-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2310),
- [1454] Pentane-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2311),
- [1455] Butane-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2312),
- [1456] Butane-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2313),
- [1457] Pentane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2314),
- [1458] Pentane-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2315),
- [1459] Pentane-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2316),
- [1460] Butane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2317),
- [1461] 2-Methyl-propane-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2318),
- [1462] Butane-2-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2319),
- [1463] 2-Methyl-propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2320),
- [1464] Butane-2-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2321),
- [1465] 2-Methyl-propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2322),
- [1466] Butane-2-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2323),
- [1467] 2-Methyl-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2412),
- [1468] Butane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2413), and
- a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1469] In a ninety-fourth aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1470] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2324),
- [1471] 3,3,3-Trifluoro-propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2325),
- [1472] 3,3,3-Trifluoro-propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2326),
- [1473] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2327),
- [1474] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2328),
- [1475] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2329),
- [1476] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2330),
- [1477] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2331),
- [1478] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2332),
- [1479] 3,3,3-Trifluoro-propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2333),
- [1480] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2415),
- [1481] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2478), and
- a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1482] In a ninety-fifth aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1483] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2334),
- [1484] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2335),
- [1485] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2336),
- [1486] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2337),
- [1487] 2,2,2-Trifluoro-ethanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2338),
- [1488] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2339),
- [1489] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2340),
- [1490] 2,2,2-Trifluoro-ethanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2341),
- [1491] 2,2,2-Trifluoro-ethanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2342),

[1492] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2414), and

a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1493] In a ninety-sixth aspect, a compound is provided, where the compound is selected from the group consisting of:

[1494] N-{3-[5-(4-Chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-C,C,C-trifluoro-methanesulfonamide (P-2343),

[1495] N-{2,4-Difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-C,C,C-trifluoro-methanesulfonamide (P-2344),

[1496] N-{2,4-Difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-C,C,C-trifluoro-methanesulfonamide (P-2345),

[1497] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C,C,C-trifluoro-methanesulfonamide (P-2346),

[1498] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-C,C,C-trifluoro-methanesulfonamide (P-2347),

[1499] N-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C,C,C-trifluoro-methanesulfonamide (P-2479), and

a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1500] In a ninety-seventh aspect, a compound is provided, where the compound is selected from the group consisting of:

[1501] Cyclopropanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2348),

[1502] 1-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]sulfamoyl]-cyclopropanecarboxylic acid (P-2349),

[1503] Cyclopropanesulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2350),

[1504] Cyclopropanesulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2351),

[1505] Cyclopropanesulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2352),

[1506] Cyclobutanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2353),

[1507] Cyclobutanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2354),

[1508] Cyclohexanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2355),

[1509] Cyclopentanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2356),

[1510] Cyclohexanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2357),

[1511] Cyclopentanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2358),

[1512] Cyclopentanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2359),

[1513] Cyclohexanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2360),

[1514] Cyclohexanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2361),

[1515] Cyclobutanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2362),

[1516] Cyclobutanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2363),

[1517] Cyclobutanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2364),

[1518] Cyclopentanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2365),

[1519] Cyclohexanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2366),

[1520] Cyclohexanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2367),

[1521] Cyclopentanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2368),

[1522] Cyclohexanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2369),

[1523] Cyclobutanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2370),

[1524] Cyclohexanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2371),

[1525] Cyclopentanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2372),

[1526] Cyclobutanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2373),

[1527] Cyclohexanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2416),

[1528] Cyclopentanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2417),

[1529] Cyclobutanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2418), and

a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1530] In a ninety-eighth aspect, a compound is provided, where the compound is selected from the group consisting of:

- [1531] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2374),
- [1532] Pyrrolidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2375),
- [1533] N,N-dimethylamino-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2376),
- [1534] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2377),
- [1535] N,N-diethylamino-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2378),
- [1536] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2379),
- [1537] N,N-dimethylamino-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2382),
- [1538] N,N-dimethylamino-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2383),
- [1539] N,N-diethylamino-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2384),
- [1540] N,N-diethylamino-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2385),
- [1541] N,N-diethylamino-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2386),
- [1542] N,N-diethylamino-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2387),
- [1543] N,N-diethylamino-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2388),
- [1544] N,N-diethylamino-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2389),
- [1545] Morpholine-4-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2390),
- [1546] Morpholine-4-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2391),
- [1547] Morpholine-4-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2392),
- [1548] Morpholine-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2393),
- [1549] Pyrrolidine-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2394),
- [1550] Morpholine-4-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2395),

- [1551] Morpholine-4-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2396),
- [1552] Morpholine-4-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2397),
- [1553] Pyrrolidine-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2398),
- [1554] N,N-dimethylamino-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2399),
- [1555] Pyrrolidine-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2400),
- [1556] N,N-diethylamino-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2401),
- [1557] Morpholine-4-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2402),
- [1558] N,N-dimethylamino-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2419),
- [1559] Pyrrolidine-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2420),
- [1560] Morpholine-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2421),
- [1561] Pyrrolidine-1-sulfonic acid {2-fluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2422),
- [1562] N,N-dimethylamino-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-2423),
- [1563] N,N-dimethylamino-sulfonic acid {2-fluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2424),
- [1564] Pyrrolidine-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-2425),
- [1565] Pyrrolidine-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2476),
- [1566] N,N-dimethylamino-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2477), and

a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1567] In a ninety-ninth aspect, a compound is provided, where the compound is selected from the group consisting of:

- [1568] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-chloro-4-fluoro-phenyl]-amide (P-2426),
- [1569] Propane-1-sulfonic acid {2-chloro-3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-4-fluoro-phenyl}-amide (P-2427),
- [1570] Propane-1-sulfonic acid {2-chloro-4-fluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2428),

- [1571] Propane-1-sulfonic acid {2-chloro-4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2429),
- [1572] Propane-1-sulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2431),
- [1573] Propane-1-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2437),
- [1574] Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2449),
- [1575] Propane-1-sulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2454),
- [1576] Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-sulfonyl)-phenyl]-amide (P-2467), and a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1577] In a one hundredth aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1578] Butane-1-sulfonic acid {2-chloro-4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2430),
- [1579] Butane-1-sulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2432),
- [1580] Butane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-2435),
- [1581] Butane-1-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2438),
- [1582] Butane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2450), Butane-1-sulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2455), and a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1583] In a one hundred and first aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1584] Cyclopropanesulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2433),
- [1585] Cyclohexanesulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2434),
- [1586] Cyclopropanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-2436),
- [1587] Cyclopropanesulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2439),
- [1588] Cyclohexanesulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2441),
- [1589] Cyclopentanesulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2442),
- [1590] Cyclohexanesulfonic acid [4-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2443),
- [1591] Cyclohexanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2444),
- [1592] Cyclopentanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2445),
- [1593] Cyclohexanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2446),
- [1594] Cyclopentanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2447),
- [1595] Cyclopentanesulfonic acid [4-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2448),
- [1596] Cyclopropanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2451),
- [1597] Cyclohexanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2452),
- [1598] Cyclopentanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2453),
- [1599] Cyclopropanesulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2456),
- [1600] Cyclohexanesulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2457),
- [1601] Cyclohexanesulfonic acid [3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2458),
- [1602] Cyclopentanesulfonic acid [3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2459),
- [1603] Cyclohexanesulfonic acid [3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2460),
- [1604] Cyclohexanesulfonic acid {3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2461),
- [1605] Cyclohexanesulfonic acid [3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2462),
- [1606] Cyclopentanesulfonic acid [3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2463),
- [1607] Cyclopentanesulfonic acid [3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2464),
- [1608] 3-{3-[3-(3-Cyclohexanesulfonyl amino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-phenyl}-propionic acid (P-2465),
- [1609] 3-{3-[3-(3-Cyclopentanesulfonylamino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-phenyl}-propionic acid (P-2466), and a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.
- [1610] In a one hundred and second aspect, a compound is provided, where the compound is selected from the group consisting of:
- [1611] Piperidine-1-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2440),
- [1612] Piperidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-2468),
- [1613] N,N-dimethylamino-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2469),

[1614] N,N-dimethylamino-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2470),

[1615] Piperidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2471), and a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1616] In a one hundred and third aspect, a compound is provided, where the compound is selected from the group consisting of:

[1617] N-(6-Acetylamino-pyridin-3-yl)-3-benzenesulfonylamino-2,6-difluoro-benzamide (P-2474), and

[1618] 6-Acetylamino-N-(3-benzenesulfonylamino-2,6-difluoro-phenyl)-nicotinamide (P-2475), and

a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1619] In a one hundred and fourth aspect, a compound is provided, where the compound is selected from the group consisting of:

[1620] 5-(2-Oxo-hexahydro-thieno[3,4-d]imidazol-6-yl)-pentanoic acid [5-(3-{3-[2,6-difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionylamino)-pentyl]-amide (P-2008),

[1621] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2057),

[1622] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2058),

[1623] N-[2-Fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2059), and

a salt, prodrug, tautomer, or stereoisomer thereof. In one embodiment, the compound is active on one or more Raf protein kinases, including A-Raf, B-Raf, c-Raf-1 and any mutations thereof.

[1624] In a one hundred and fifth aspect, the compound 5-(2-Oxo-hexahydro-thieno[3,4-d]imidazol-6-yl)-pentanoic acid [5-(3-{3-[2,6-difluoro-3-(4-trifluoromethyl-benzene-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionylamino)-pentyl]-amide (P-2008) is provided. In one embodiment, P-2008 may be used as a probe for binding to one or more of A-Raf, B-Raf, and c-Raf-1, including any mutations of these kinases, in order to assess whether such kinase is present in a biological sample, and in some instances, to assess the amounts of such kinase in a biological sample.

[1625] In reference to compounds herein, unless clearly indicated to the contrary, specification of a compound or group of compounds includes salts or solid forms of such compound(s) (including pharmaceutically acceptable salts and solid forms), formulations of such compound(s) (including pharmaceutically acceptable formulations), conjugates thereof, derivatives thereof, prodrugs thereof, and all stereoisomers thereof. In reference to compositions, kits, methods of use, etc. of compounds of Formula I described herein, it is understood (unless indicated otherwise) that a compound of Formula I includes all sub-embodiments thereof (e.g. including Formulae Ia-Ig, and all embodiments as described above).

[1626] In a one hundred and sixth aspect, methods are provided for treating a protein kinase mediated disease or condition in an animal subject, wherein the method involves administering to the subject an effective amount of any one or more compound(s) of the invention as described herein. The terms “treat,” “therapy,” and like terms refer to the administration of material, e.g., one or more compound(s) of the invention as described herein in an amount effective to prevent, alleviate, or ameliorate one or more symptoms of a disease or condition, i.e., indication, and/or to prolong the survival of the subject being treated. The term “protein kinase mediated disease or condition” “kinase mediated disease or condition” and the like refers to a disease or condition in which the biological function of a protein kinase affects the development, course, and/or symptoms of the disease or condition, and/or in which modulation of the protein kinase alters the development, course, and/or symptoms of the disease or condition. A protein kinase mediated disease or condition includes a disease or condition for which modulation provides a therapeutic benefit, e.g. wherein treatment with protein kinase inhibitors, including compounds of the invention as described herein, provides a therapeutic benefit to the subject suffering from or at risk of the disease or condition. In certain embodiments, the method involves administering to the subject an effective amount of a compound of the invention as described herein in combination with one or more other therapies for the disease or condition.

[1627] In a one hundred and seventh aspect, the invention provides methods for treating a Raf protein kinase mediated disease or condition in an animal subject, wherein the method involves administering to the subject an effective amount of any one or more compound(s) of the invention as described herein. The terms “Raf protein kinase mediated disease or condition,” “Raf kinase mediated disease or condition,” “Raf mediated disease or condition,” and the like refer to a disease or condition in which the biological function of a Raf protein kinase, including any mutations thereof, affects the development, course, and/or symptoms of the disease or condition, and/or in which modulation of the Raf protein kinase alters the development, course, and/or symptoms of the disease or condition. The Raf protein kinase includes, but is not limited to, A-Raf, mutations of A-Raf, B-Raf, mutations of B-Raf, c-Raf-1 and mutations of c-Raf-1. In some embodiments, the Raf protein kinase is B-Raf mutation V600E. In some embodiments, the Raf protein kinase is B-Raf mutation V600E/T529I. In some embodiments, the disease or condition is a cancer that is amenable to treatment by an inhibitor of the V600E mutant B-Raf. In some embodiments, the disease or condition is a cancer that is amenable to treatment by an inhibitor of the V600E/T529I mutant B-Raf. The Raf protein kinase mediated disease or condition includes a disease or condition for which Raf inhibition provides a therapeutic benefit, e.g. wherein treatment with Raf inhibitors, including compounds described herein, provides a therapeutic benefit to the subject suffering from or at risk of the disease or condition. In one embodiment, the method involves administering to the subject an effective amount of any one or more compound(s) of the invention as described herein in combination with one or more other therapies for the disease or condition. Similarly, the terms “A-Raf, B-Raf or c-Raf-1 protein kinase mediated disease or condition,” “A-Raf, B-Raf or c-Raf-1 kinase mediated disease or condition,” “A-Raf, B-Raf or c-Raf-1 mediated disease or condition,” and the like refer to a disease or condition in which the biological function of an

A-Raf, B-Raf or c-Raf-1 kinase, respectively, including any mutations thereof, affects the development, course and/or symptoms of the disease or condition, and/or in which modulation of the A-Raf, B-Raf or c-Raf-1 protein kinase, respectively, alters the development, course, and/or symptoms of the disease or condition.

[1628] In a one hundred and eighth aspect, a compound of the invention as described herein is an inhibitor of a Raf kinase and has an IC_{50} of less than 500 nM, less than 100 nM, less than 50 nM, less than 20 nM, less than 10 nM, less than 5 nM, or less than 1 nM as determined in a generally accepted Raf kinase activity assay. In some embodiments, the compound will have an IC_{50} of less than 500 nM, less than 100 nM, less than 50 nM, less than 20 nM, less than 10 nM, less than 5 nM, or less than 1 nM with respect to one or more of A-Raf, B-Raf, c-Raf-1, B-Raf V600E mutant, or B-Raf V600E/T529I mutant. In some embodiments, the compound will selectively inhibit one Raf kinase relative to one or more other Raf kinases. In some embodiments, the compound will selectively inhibit a mutation of the Raf kinase relative to the wild type kinase, for example B-Raf V600E mutant relative to wild type B-Raf.

[1629] Further to any of the above mentioned aspects and embodiments, a compound of the invention as described herein may selectively inhibit one kinase relative to one or more other kinases, where preferably inhibition is selective with respect to any of the other kinases, whether a kinase discussed herein, or other kinases. In some embodiments, the compound may selectively inhibit the effects of a mutation of the kinase relative to the wild type kinase, for example B-Raf V600E mutant relative to wild type B-Raf. In some embodiments, the compound may selectively inhibit Fms relative to Kit. Selective inhibition of one kinase relative to another is such that the IC_{50} for the one kinase may be at least about 2-fold, also 5-fold, also 10-fold, also 20-fold, also 50-fold, or at least about 100-fold less than the IC_{50} for any of the other kinases as determined in a generally accepted kinase activity assay.

[1630] In a one hundred and ninth aspect, compositions are provided that include a therapeutically effective amount of any one or more compound(s) of the invention as described herein and at least one pharmaceutically acceptable carrier, excipient, and/or diluent. In certain embodiments, the composition can include any one or more compound(s) of the invention as described herein along with one or more compounds that are therapeutically effective for the same disease indication. In one embodiment, the composition includes any one or more compound(s) of the invention as described herein along with one or more compounds that are therapeutically effective for the same disease indication, wherein the compounds have a synergistic effect on the disease indication. In one embodiment, the composition includes any one or more compound(s) of the invention as described herein effective in treating a cancer and one or more other compounds that are effective in treating the same cancer, further wherein the compounds are synergistically effective in treating the cancer.

[1631] In a one hundred and tenth aspect, the invention provides methods for treating a disease or condition mediated by A-Raf, B-Raf, c-Raf-1, B-Raf V600E mutant, or B-Raf V600E/T529I mutant by administering to the subject an effective amount of a composition including any one or more compound(s) of the invention as described herein. In one embodiment, the invention provides methods for treating a disease or condition mediated by A-Raf, B-Raf, c-Raf-1,

B-Raf V600E mutant, or B-Raf V600E/T529I mutant by administering to the subject an effective amount of a composition including any one or more compound(s) as described herein in combination with one or more other suitable therapies for treating the disease. In one embodiment, the invention provides methods for treating a cancer mediated by B-Raf V600E mutant or B-Raf V600E/T529I mutant by administering to the subject an effective amount of a composition including any one or more compound(s) of the invention as described herein in combination with one or more suitable anticancer therapies, such as one or more chemotherapeutic drugs.

[1632] In a one hundred and eleventh aspect, the invention provides a method of treating a cancer by administering to the subject an effective amount of a composition including any one or more compound(s) of the invention as described herein, in combination with one or more other therapies or medical procedures effective in treating the cancer. Other therapies or medical procedures include suitable anticancer therapy (e.g. drug therapy, vaccine therapy, gene therapy, photodynamic therapy) or medical procedure (e.g. surgery, radiation treatment, hyperthermia heating, bone marrow or stem cell transplant). In one embodiment, the one or more suitable anticancer therapies or medical procedures is selected from treatment with a chemotherapeutic agent (e.g. chemotherapeutic drug), radiation treatment (e.g. x-ray, γ -ray, or electron, proton, neutron, or α particle beam), hyperthermia heating (e.g. microwave, ultrasound, radiofrequency ablation), Vaccine therapy (e.g. AFP gene hepatocellular carcinoma vaccine, AFP adenoviral vector vaccine, AG-858, allogeneic GM-CSF-secretion breast cancer vaccine, dendritic cell peptide vaccines), gene therapy (e.g. Ad5CMV-p53 vector, adenovector encoding MDA7, adenovirus 5-tumor necrosis factor alpha), photodynamic therapy (e.g. aminolevulinic acid, motexafin lutetium), surgery, or bone marrow and stem cell transplantation.

[1633] In a one hundred and twelfth aspect, the invention provides a method of treating a cancer by administering to the subject an effective amount of a composition including any one or more compound(s) of the invention as described herein, in combination with one or more suitable chemotherapeutic agents. In one embodiment, the one or more suitable chemotherapeutic agents is selected from an alkylating agent, including, but not limited to, adozelesin, altretamine, bendamustine, bizelesin, busulfan, carboplatin, carboquone, carmustine, chlorambucil, cisplatin, cyclophosphamide, dacarbazine, estramustine, etoglucid, fotemustine, hepsulfam, ifosfamide, improsulfan, irifolven, lomustine, mannosulfan, mechlorethamine, melphalan, mitobronitol, nedaplatin, nimustine, oxaliplatin, pipsosulfan, prednimustine, procarbazine, ranimustine, satraplatin, semustine, streptozocin, temozolomide, thiotepa, treosulfan, triaziquone, triethylenemelamine, triplatin tetranitrate, trofosphamide, and uramustine; an antibiotic, including, but not limited to, aclarubicin, amrubicin, bleomycin, dactinomycin, daunorubicin, doxorubicin, elsamitrucin, epirubicin, idarubicin, menogaril, mitomycin, neocarzinostatin, pentostatin, pirarubicin, plicamycin, valrubicin, and zorubicin; an antimetabolite, including, but not limited to, aminopterin, azacitidine, azathioprine, capecitabine, cladribine, clofarabine, cytarabine, decitabine, flouxuridine, fludarabine, 5-fluorouracil, gemcitabine, hydroxyurea, mercaptopurine, methotrexate, nelarabine, pemetrexed, azathioprine, raltitrexed, tegafur-uracil, thioguanine, trimethoprim, trimetrexate, and vidarabine; an immu-

notherapy, including, but not limited to, alemtuzumab, bevacizumab, cetuximab, galiximab, gemtuzumab, panitumumab, pertuzumab, rituximab, tositumomab, trastuzumab, and 90 Y ibritumomab tiuxetan, ipilimumab, and tremelimumab; a hormone or hormone antagonist, including, but not limited to, anastrozole, androgens, buserelin, diethylstilbestrol, exemestane, flutamide, fulvestrant, goserelin, idoxifene, letrozole, leuprolide, magestrol, raloxifene, tamoxifen, and toremifene; a taxane, including, but not limited to, DJ-927, docetaxel, TPI 287, larotaxel, ortataxel, paclitaxel, DHA-paclitaxel, and tesetaxel; a retinoid, including, but not limited to, alitretinoin, bexarotene, fenretinide, isotretinoin, and tretinoin; an alkaloid, including, but not limited to, demecolcine, homoharringtonine, vinblastine, vincristine, vindesine, vinflunine, and vinorelbine; an antiangiogenic agent, including, but not limited to, AE-941 (GW786034, Neovastat), ABT-510, 2-methoxyestradiol, lenalidomide, and thalidomide; a topoisomerase inhibitor, including, but not limited to, amsacrine, belotecan, edotecarin, etoposide, etoposide phosphate, exatecan, irinotecan (also active metabolite SN-38 (7-ethyl-10-hydroxy-camptothecin)), lucanthone, mitoxantrone, pixantrone, rubitecan, teniposide, topotecan, and 9-aminocamptothecin; a kinase inhibitor, including, but not limited to, axitinib (AG 013736), dasatinib (BMS 354825), erlotinib, gefitinib, flavopiridol, imatinib mesylate, lapatinib, motesanib diphosphate (AMG 706), nilotinib (AMN107), seliciclib, sorafenib, sunitinib malate, AEE-788, BMS-599626, UCN-01(7-hydroxystaurosporine), and vatalanib; a targeted signal transduction inhibitor including, but not limited to bortezomib, geldanamycin, and rapamycin; a biological response modifier, including, but not limited to, imiquimod, interferon- α , and interleukin-2; and other chemotherapeutics, including, but not limited to 3-AP (3-amino-2-carboxyaldehyde thiosemicarbazone), altrasentan, aminoglutethimide, anagrelide, asparaginase, bryostatin-1, cilengitide, elesclomol, eribulin mesylate (E7389), ixabepilone, lonidamine, masoprostol, mitoguanazone, oblimersen, sulindac, testolactone, tiazofurin, mTOR inhibitors (e.g. temsirolimus, everolimus, deforolimus), PI3K inhibitors (e.g. BEZ235, GDC-0941, XL147, XL765), Cdk4 inhibitors (e.g. PD-332991), Akt inhibitors, Hsp90 inhibitors (e.g. tanespimycin) and farnesyltransferase inhibitors (e.g. tipifarnib). Preferably, the method of treating a cancer involves administering to the subject an effective amount of a composition including any one or more compound(s) of the invention as described herein in combination with a chemotherapeutic agent selected from capecitabine, 5-fluorouracil, carboplatin, dacarbazine, gefitinib, oxaliplatin, paclitaxel, SN-38, temozolomide, vinblastine, bevacizumab, cetuximab, interferon- α , interleukin-2, or erlotinib.

[1634] In a one hundred and thirteenth aspect, the invention provides a method of treating or prophylaxis of a disease or condition in a mammal, by administering to the mammal a therapeutically effective amount of any one or more compound(s) of the invention as described herein, a prodrug of such compound, or a pharmaceutically acceptable salt of such compound or prodrug. The compound can be alone or can be part of a composition. In another aspect, the invention provides a method of treating or prophylaxis of a disease or condition in a mammal, by administering to the mammal a therapeutically effective amount of one or more compounds as described herein, a prodrug of such compound, or a phar-

maceutically acceptable salt of such compound or prodrug in combination with one or more other suitable therapies for the disease or condition.

[1635] In a one hundred and fourteenth aspect, the invention provides kits that include any one or more compound(s) or the invention or composition thereof as described herein. In some embodiments, the composition is packaged, e.g., in a vial, bottle, flask, which may be further packaged, e.g., within a box, envelope, or bag; the composition is approved by the U.S. Food and Drug Administration or similar regulatory agency for administration to a mammal, e.g., a human; the composition is approved for administration to a mammal, e.g., a human, for a protein kinase mediated disease or condition; the invention kit includes written instructions for use and/or other indication that the composition is suitable or approved for administration to a mammal, e.g., a human, for a protein kinase-mediated disease or condition; and the composition is packaged in unit dose or single dose form, e.g., single dose pills, capsules, or the like.

[1636] In aspects and embodiments involving treatment or prophylaxis of a disease or condition with the compounds as described herein, the invention provides methods for treating an A-Raf-mediated, B-Raf-mediated and/or c-Raf-1-mediated disease or condition in an animal subject (e.g. a mammal such as a human, other primates, sports animals, animals of commercial interest such as cattle, farm animals such as horses, or pets such as dogs and cats), e.g., a disease or condition characterized by abnormal A-Raf, B-Raf, and/or c-Raf-1 activity (e.g. kinase activity). In some embodiments, invention methods may involve administering to the subject suffering from or at risk of an A-Raf-mediated, B-Raf-mediated and/or c-Raf-1-mediated disease or condition an effective amount of compounds as described herein. In one embodiment, the A-Raf-mediated, B-Raf-mediated, and/or c-Raf-1-mediated disease is selected from the group consisting of neurologic diseases, including, but not limited to, multi-infarct dementia, head injury, spinal cord injury, Alzheimer's disease (AD), Parkinson's disease, seizures and epilepsy; neoplastic diseases including, but not limited to, melanoma, glioma, sarcoma, carcinoma (e.g. gastrointestinal, liver, bile duct (cholangiocarcinoma), colorectal, lung, breast, pancreatic, thyroid, renal, ovarian, prostate), lymphoma (e.g. histiocytic lymphoma) neurofibromatosis, acute myeloid leukemia, myelodysplastic syndrome, leukemia, tumor angiogenesis, neuroendocrine tumors such as medullary thyroid cancer, carcinoid, small cell lung cancer, Kaposi's sarcoma, and pheochromocytoma; pain of neuropathic or inflammatory origin, including, but not limited to, acute pain, chronic pain, cancer-related pain, and migraine; cardiovascular diseases including, but not limited to, heart failure, ischemic stroke, cardiac hypertrophy, thrombosis (e.g. thrombotic microangiopathy syndromes), atherosclerosis, and reperfusion injury; inflammation and/or proliferation including, but not limited to, psoriasis, eczema, arthritis and autoimmune diseases and conditions, osteoarthritis, endometriosis, scarring, vascular restenosis, fibrotic disorders, rheumatoid arthritis, inflammatory bowel disease (IBD); immunodeficiency diseases, including, but not limited to, organ transplant rejection, graft versus host disease, and Kaposi's sarcoma associated with HIV; renal, cystic, or prostatic diseases, including, but not limited to, diabetic nephropathy, polycystic kidney disease, nephrosclerosis, glomerulonephritis, prostate hyperplasia, polycystic liver disease, tuberous sclerosis, Von Hippel Lindau disease, medullary

cystic kidney disease, nephronophthisis, and cystic fibrosis; metabolic disorders, including, but not limited to, obesity; infection, including, but not limited to *Helicobacter pylori*, *Hepatitis* and Influenza viruses, fever, HIV and sepsis; pulmonary diseases including, but not limited to, chronic obstructive pulmonary disease (COPD) and acute respiratory distress syndrome (ARDS); genetic developmental diseases, including, but not limited to, Noonan's syndrome, Costello syndrome, (faciocranioskeletal syndrome), LEOPARD syndrome, cardio-faciocranioskeletal syndrome (CFC), and neural crest syndrome abnormalities causing cardiovascular, skeletal, intestinal, skin, hair and endocrine diseases; and diseases associated with muscle regeneration or degeneration, including, but not limited to, sarcopenia, muscular dystrophies (including, but not limited to, Duchenne, Becker, Emery-Dreifuss, Limb-Girdle, Facioscapulohumeral, Myotonic, Oculopharyngeal, Distal and Congenital Muscular Dystrophies), motor neuron diseases (including, but not limited to, amyotrophic lateral sclerosis, infantile progressive spinal muscular atrophy, intermediate spinal muscular atrophy, juvenile spinal muscular atrophy, spinal bulbar muscular atrophy, and adult spinal muscular atrophy), inflammatory myopathies (including, but not limited to, dermatomyositis, polymyositis, and inclusion body myositis), diseases of the neuromuscular junction (including, but not limited to, myasthenia gravis, Lambert-Eaton syndrome, and congenital myasthenic syndrome), myopathies due to endocrine abnormalities (including, but not limited to, hyperthyroid myopathy and hypothyroid myopathy) diseases of peripheral nerve (including, but not limited to, Charcot-Marie-Tooth disease, Dejerine-Sottas disease, and Friedreich's ataxia), other myopathies (including, but not limited to, myotonia congenita, paramyotonia congenita, central core disease, nemaline myopathy, myotubular myopathy, and periodic paralysis), and metabolic diseases of muscle (including, but not limited to, phosphorylase deficiency, acid maltase deficiency, phosphofructokinase deficiency, debrancher enzyme deficiency, mitochondrial myopathy, carnitine deficiency, carnitine palmitoyl transferase deficiency, phosphoglycerate kinase deficiency, phosphoglycerate mutase deficiency, lactate dehydrogenase deficiency, and myoadenylate deaminase deficiency). In one embodiment, the disease or condition is selected from the group consisting of melanoma, glioma, sarcoma, gastrointestinal cancer, liver cancer, cholangiocarcinoma, colorectal cancer, lung cancer, breast cancer, pancreatic cancer, thyroid cancer, renal cancer, ovarian cancer, prostate cancer, histiocytic lymphoma, neurofibromatosis, acute myeloid leukemia, myelodysplastic syndrome, leukemia, tumor angiogenesis, medullary thyroid cancer, carcinoid, small cell lung cancer, Kaposi's sarcoma, pheochromocytoma, pain, and polycystic kidney disease. In a preferred embodiment, the disease or condition is selected from the group consisting of melanoma, colorectal cancer, thyroid cancer, ovarian cancer, cholangiocarcinoma, pain, and polycystic kidney disease.

[1637] In a one hundred and fifteenth aspect, compounds as described herein can be used in the preparation of a medicament for the treatment of an A-Raf-mediated, B-Raf-mediated or c-Raf-1-mediated disease or condition selected from the group consisting of neurologic diseases, including, but not limited to, multi-infarct dementia, head injury, spinal cord injury, Alzheimer's disease (AD), Parkinson's disease, seizures and epilepsy; neoplastic diseases including, but not limited to, melanoma, glioma, sarcoma, carcinoma (e.g. gastrointestinal, liver, bile duct (cholangiocarcinoma), colorec-

tal, lung, breast, pancreatic, thyroid, renal, ovarian, prostate), lymphoma (e.g. histiocytic lymphoma) neurofibromatosis, acute myeloid leukemia, myelodysplastic syndrome, leukemia, tumor angiogenesis, neuroendocrine tumors such as medullary thyroid cancer, carcinoid, small cell lung cancer, Kaposi's sarcoma, and pheochromocytoma; pain of neuropathic or inflammatory origin, including, but not limited to, acute pain, chronic pain, cancer-related pain, and migraine; cardiovascular diseases including, but not limited to, heart failure, ischemic stroke, cardiac hypertrophy, thrombosis (e.g. thrombotic microangiopathy syndromes), atherosclerosis, and reperfusion injury; inflammation and/or proliferation including, but not limited to, psoriasis, eczema, arthritis and autoimmune diseases and conditions, osteoarthritis, endometriosis, scarring, vascular restenosis, fibrotic disorders, rheumatoid arthritis, inflammatory bowel disease (IBD); immunodeficiency diseases, including, but not limited to, organ transplant rejection, graft versus host disease, and Kaposi's sarcoma associated with HIV; renal, cystic, or prostatic diseases, including, but not limited to, diabetic nephropathy, polycystic kidney disease, nephrosclerosis, glomerulonephritis, prostate hyperplasia, polycystic liver disease, tuberous sclerosis, Von Hippel Lindau disease, medullary cystic kidney disease, nephronophthisis, and cystic fibrosis; metabolic disorders, including, but not limited to, obesity; infection, including, but not limited to *Helicobacter pylori*, *Hepatitis* and Influenza viruses, fever, HIV and sepsis; pulmonary diseases including, but not limited to, chronic obstructive pulmonary disease (COPD) and acute respiratory distress syndrome (ARDS); genetic developmental diseases, including, but not limited to, Noonan's syndrome, Costello syndrome, (faciocranioskeletal syndrome), LEOPARD syndrome, cardio-faciocranioskeletal syndrome (CFC), and neural crest syndrome abnormalities causing cardiovascular, skeletal, intestinal, skin, hair and endocrine diseases; and diseases associated with muscle regeneration or degeneration, including, but not limited to, sarcopenia, muscular dystrophies (including, but not limited to, Duchenne, Becker, Emery-Dreifuss, Limb-Girdle, Facioscapulohumeral, Myotonic, Oculopharyngeal, Distal and Congenital Muscular Dystrophies), motor neuron diseases (including, but not limited to, amyotrophic lateral sclerosis, infantile progressive spinal muscular atrophy, intermediate spinal muscular atrophy, juvenile spinal muscular atrophy, spinal bulbar muscular atrophy, and adult spinal muscular atrophy), inflammatory myopathies (including, but not limited to, dermatomyositis, polymyositis, and inclusion body myositis), diseases of the neuromuscular junction (including, but not limited to, myasthenia gravis, Lambert-Eaton syndrome, and congenital myasthenic syndrome), myopathies due to endocrine abnormalities (including, but not limited to, hyperthyroid myopathy and hypothyroid myopathy) diseases of peripheral nerve (including, but not limited to, Charcot-Marie-Tooth disease, Dejerine-Sottas disease, and Friedreich's ataxia), other myopathies (including, but not limited to, myotonia congenita, paramyotonia congenita, central core disease, nemaline myopathy, myotubular myopathy, and periodic paralysis), and metabolic diseases of muscle (including, but not limited to, phosphorylase deficiency, acid maltase deficiency, phosphofructokinase deficiency, debrancher enzyme deficiency, mitochondrial myopathy, carnitine deficiency, carnitine palmitoyl transferase deficiency, phosphoglycerate kinase deficiency, phosphoglycerate mutase deficiency, lactate dehydrogenase deficiency, and myoadenylate deaminase deficiency).

In one embodiment, the disease or condition is selected from the group consisting of melanoma, glioma, sarcoma, gastrointestinal cancer, liver cancer, cholangiocarcinoma, colorectal cancer, lung cancer, breast cancer, pancreatic cancer, thyroid cancer, renal cancer, ovarian cancer, prostate cancer, histiocytic lymphoma, neurofibromatosis, acute myeloid leukemia, myelodysplastic syndrome, leukemia, tumor angiogenesis, medullary thyroid cancer, carcinoid, small cell lung cancer, Kaposi's sarcoma, pheochromocytoma, pain, and polycystic kidney disease. In a preferred embodiment, the disease or condition is selected from the group consisting of melanoma, colorectal cancer, thyroid cancer, ovarian cancer, cholangiocarcinoma, pain, and polycystic kidney disease.

[1638] Additional aspects and embodiments will be apparent from the following Detailed Description and from the claims.

DETAILED DESCRIPTION OF THE PREFERRED EMBODIMENTS

[1639] As used herein the following definitions apply unless clearly indicated otherwise:

[1640] All atoms designated within a Formula or compound described herein, either within a structure provided, or within the definitions of variables related to the structure, is intended to include any isotope thereof, unless clearly indicated to the contrary. It is understood that for any given atom, the isotopes may be present essentially in ratios according to their natural occurrence, or one or more particular atoms may be enhanced with respect to one or more isotopes using synthetic methods known to one skilled in the art. Thus, hydrogen includes for example ^1H , ^2H , ^3H ; carbon includes for example ^{12}C , ^{13}C , ^{14}C ; oxygen includes for example ^{16}O , ^{17}O , ^{18}O ; nitrogen includes for example ^{13}N , ^{14}N , ^{15}N ; sulfur includes for example ^{32}S , ^{33}S , ^{34}S , ^{35}S , ^{36}S , ^{37}S , ^{38}S ; fluoro includes for example ^{17}F , ^{18}F , ^{19}F ; chloro includes for example ^{35}Cl , ^{36}Cl , ^{37}Cl , ^{38}Cl , ^{39}Cl ; and the like.

[1641] "Halogen" refer to all halogens, that is, chloro (Cl), fluoro (F), bromo (Br), or iodo (I).

[1642] "Hydroxyl" or "hydroxy" refer to the group —OH .

[1643] "Thiol" refers to the group —SH .

[1644] "Lower alkyl" alone or in combination means an alkane-derived radical containing from 1 to 6 carbon atoms (unless specifically defined) that includes a straight chain alkyl or branched alkyl. The straight chain or branched lower alkyl group is chemically feasible and attached at any available point to provide a stable compound. In many embodiments, a lower alkyl is a straight or branched alkyl group containing from 1-6, 1-4, or 1-2, carbon atoms, such as methyl, ethyl, propyl, isopropyl, butyl, t-butyl, and the like. A "substituted lower alkyl" denotes lower alkyl that is independently substituted, unless indicated otherwise, with one or more, preferably 1, 2, 3, 4 or 5, also 1, 2, or 3 substituents. For example "fluoro substituted lower alkyl" denotes a lower alkyl group substituted with one or more fluoro atoms, such as perfluoroalkyl, where preferably the lower alkyl is substituted with 1, 2, 3, 4 or 5 fluoro atoms, also 1, 2, or 3 fluoro atoms. It is understood that substitutions are chemically feasible and attached at any available atom to provide a stable compound.

[1645] "Lower alkenyl" alone or in combination means a straight or branched hydrocarbon containing 2-6 carbon atoms (unless specifically defined) and at least one, preferably 1-3, more preferably 1-2, most preferably one, carbon to carbon double bond. Carbon to carbon double bonds may be either contained within a straight chain or branched portion.

The straight chain or branched lower alkenyl group is chemically feasible and attached at any available point to provide a stable compound. Examples of lower alkenyl groups include ethenyl, propenyl, isopropenyl, butenyl, and the like. A "substituted lower alkenyl" denotes lower alkenyl that is independently substituted, unless indicated otherwise, with one or more, preferably 1, 2, 3, 4 or 5, also 1, 2, or 3 substituents. For example "fluoro substituted lower alkenyl" denotes a lower alkenyl group substituted with one or more fluoro atoms, where preferably the lower alkenyl is substituted with 1, 2, 3, 4 or 5 fluoro atoms, also 1, 2, or 3 fluoro atoms. It is understood that substitutions are chemically feasible and attached at any available atom to provide a stable compound.

[1646] "Lower alkynyl" alone or in combination means a straight or branched hydrocarbon containing 2-6 carbon atoms (unless specifically defined) containing at least one, preferably one, carbon to carbon triple bond. The straight chain or branched lower alkynyl group is chemically feasible and attached at any available point to provide a stable compound. Examples of alkynyl groups include ethynyl, propynyl, butynyl, and the like. A "substituted lower alkynyl" denotes lower alkynyl that is independently substituted, unless indicated otherwise, with one or more, preferably 1, 2, 3, 4 or 5, also 1, 2, or 3 substituents. For example "fluoro substituted lower alkynyl" denotes a lower alkynyl group substituted with one or more fluoro atoms, where preferably the lower alkynyl is substituted with 1, 2, 3, 4 or 5 fluoro atoms, also 1, 2, or 3 fluoro atoms. It is understood that substitutions are chemically feasible and attached at any available atom to provide a stable compound.

[1647] "Cycloalkyl" refers to saturated or unsaturated, non-aromatic monocyclic, bicyclic or tricyclic carbon ring systems of 3-10, also 3-8, more preferably 3-6, ring members per ring, such as cyclopropyl, cyclopentyl, cyclohexyl, adamantyl, and the like. A "substituted cycloalkyl" is a cycloalkyl that is independently substituted, unless indicated otherwise, with one or more, preferably 1, 2, 3, 4 or 5, also 1, 2, or 3 substituents. It is understood that substitutions are chemically feasible and attached at any available atom to provide a stable compound.

[1648] "Heterocycloalkyl" refers to a saturated or unsaturated non-aromatic cycloalkyl group having from 5 to 10 atoms in which from 1 to 3 carbon atoms in the ring are replaced by heteroatoms of O, S or N, and are optionally fused with benzo or heteroaryl of 5-6 ring members. Heterocycloalkyl is also intended to include oxidized S or N, such as sulfinyl, sulfonyl and N-oxide of a tertiary ring nitrogen. Heterocycloalkyl is also intended to include compounds in which a ring carbon may be oxo substituted, i.e. the ring carbon is a carbonyl group, such as lactones and lactams. The point of attachment of the heterocycloalkyl ring is at a carbon or nitrogen atom such that a stable ring is retained. Examples of heterocycloalkyl groups include, but are not limited to, morpholino, tetrahydrofuranyl, dihydropyridinyl, piperidinyl, pyrrolidinyl, pyrrolidonyl, piperazinyl, dihydrobenzofuranyl, and dihydroindolyl. A "substituted heterocycloalkyl" is a heterocycloalkyl that is independently substituted, unless indicated otherwise, with one or more, preferably 1, 2, 3, 4 or 5, also 1, 2, or 3 substituents. It is understood that substitutions are chemically feasible and attached at any available atom to provide a stable compound.

[1649] "Aryl" alone or in combination refers to a monocyclic or bicyclic ring system containing aromatic hydrocarbons such as phenyl or naphthyl, which may be optionally

fused with a cycloalkyl of preferably 5-7, more preferably 5-6, ring members. A "substituted aryl" is an aryl that is independently substituted, unless indicated otherwise, with one or more, preferably 1, 2, 3, 4 or 5, also 1, 2, or 3 substituents. It is understood that substitutions are chemically feasible and attached at any available atom to provide a stable compound.

[1650] "Heteroaryl" alone or in combination refers to a monocyclic aromatic ring structure containing 5 or 6 ring atoms, or a bicyclic aromatic group having 8 to 10 atoms, containing one or more, preferably 1-4, more preferably 1-3, even more preferably 1-2, heteroatoms independently selected from the group consisting of O, S, and N. Heteroaryl is also intended to include oxidized S or N, such as sulfinyl, sulfonyl and N-oxide of a tertiary ring nitrogen. A carbon or nitrogen atom is the point of attachment of the heteroaryl ring structure such that a stable compound is provided. Examples of heteroaryl groups include, but are not limited to, pyridinyl, pyridazinyl, pyrazinyl, quinoxalyl, indolizinyl, benzo[b]thienyl, quinazolinyl, purinyl, indolyl, quinolinyl, pyrimidinyl, pyrrolyl, pyrazolyl, oxazolyl, thiazolyl, thienyl, isoxazolyl, oxathiadiazolyl, isothiazolyl, tetrazolyl, imidazolyl, triazolyl, furanyl, benzofuryl, and indolyl. A "substituted heteroaryl" is a heteroaryl that is independently substituted, unless indicated otherwise, with one or more, preferably 1, 2, 3, 4 or 5, also 1, 2, or 3 substituents. It is understood that substitutions are chemically feasible and attached at any available atom to provide a stable compound.

[1651] "Lower alkoxy" denotes the group —OR^a , where R^a is lower alkyl. "Substituted lower alkoxy" denotes lower alkoxy in which R^a is lower alkyl substituted with one or more substituents as indicated herein, for example, in the description of compounds of Formula I, including descriptions of substituted cycloalkyl, heterocycloalkyl, aryl and heteroaryl, attached at any available atom to provide a stable compound. Preferably, substitution of lower alkoxy is with 1, 2, 3, 4, or 5 substituents, also 1, 2, or 3 substituents. For example "fluoro substituted lower alkoxy" denotes lower alkoxy in which the lower alkyl is substituted with one or more fluoro atoms, where preferably the lower alkoxy is substituted with 1, 2, 3, 4 or 5 fluoro atoms, also 1, 2, or 3 fluoro atoms. It is understood that substitutions on alkoxy are chemically feasible and attached at any available atom to provide a stable compound.

[1652] "Lower alkylthio" denotes the group —SR^b , where R^b is lower alkyl. "Substituted lower alkylthio" denotes lower alkylthio in which R^b is lower alkyl substituted with one or more substituents as indicated herein, for example, in the description of compounds of Formula I, including descriptions of substituted cycloalkyl, heterocycloalkyl, aryl and heteroaryl, attached at any available atom to provide a stable compound. Preferably, substitution of lower alkylthio is with 1, 2, 3, 4, or 5 substituents, also 1, 2, or 3 substituents. For example "fluoro substituted lower alkylthio" denotes lower alkylthio in which the lower alkyl is substituted with one or more fluoro atoms, where preferably the lower alkylthio is substituted with 1, 2, 3, 4 or 5 fluoro atoms, also 1, 2, or 3 fluoro atoms. It is understood that substitutions on alkylthio are chemically feasible and attached at any available atom to provide a stable compound.

[1653] "Amino" or "amine" denotes the group —NH_2 . "Mono-alkylamino" denotes the group —NHR^c where R^c is lower alkyl. "Di-alkylamino" denotes the group $\text{—NR}^c\text{R}^d$, where R^c and R^d are independently lower alkyl. "Cycloalkylamino" denotes the group $\text{—NR}^e\text{R}^f$, where R^e and R^f com-

bine with the nitrogen to form a 5-7 membered heterocycloalkyl, where the heterocycloalkyl may contain an additional heteroatom within the ring, such as O, N, or S, and may also be further substituted with one or more lower alkyl. Examples of 5-7 membered heterocycloalkyl include, but are not limited to, piperidine, piperazine, 4-methylpiperazine, morpholine, and thiomorpholine. It is understood that when mono-alkylamino, di-alkylamino, or cycloalkylamino are substituents on other moieties, these are chemically feasible and attached at any available atom to provide a stable compound.

[1654] As used herein, the term "solid form" refers to a solid preparation (i.e. a preparation that is neither gas nor liquid) of a pharmaceutically active compound that is suitable for administration to an intended animal subject for therapeutic purposes. The solid form includes any complex, such as a salt, co-crystal or an amorphous complex, as well as any polymorph of the compound. The solid form may be substantially crystalline, semi-crystalline or substantially amorphous. The solid form may be administered directly or used in the preparation of a suitable composition having improved pharmaceutical properties. For example, the solid form may be used in a formulation comprising at least one pharmaceutically acceptable carrier or excipient.

[1655] As used herein, the term "substantially crystalline" material embraces material which has greater than about 90% crystallinity; and "crystalline" material embraces material which has greater than about 98% crystallinity.

[1656] As used herein, the term "substantially amorphous" material embraces material which has no more than about 10% crystallinity; and "amorphous" material embraces material which has no more than about 2% crystallinity.

[1657] As used herein, the term "semi-crystalline" material embraces material which is greater than 10% crystallinity, but no greater than 90% crystallinity; preferably "semi-crystalline" material embraces material which is greater than 20% crystallinity, but no greater than 80% crystallinity. In one aspect of the present invention, a mixture of solid forms of a compound may be prepared, for example, a mixture of amorphous and crystalline solid forms, e.g. to provide a "semi-crystalline" solid form. Such a "semi-crystalline" solid form may be prepared by methods known in the art, for example by mixing an amorphous solid form with a crystalline solid form in the desired ratio. Alternatively, the complex of the compound and arginine or lysine may be prepared with an amount of compound component in excess of the stoichiometry of the complex, thereby resulting in an amount of the complex that is based on the stoichiometry of the complex, with excess compound in a crystalline form. The amount of excess compound used in the preparation of the complex can be adjusted to provide the desired ratio of amorphous complex to crystalline compound in the resulting mixture of solid forms. For example, where the amorphous complex of arginine and compound has a 1:1 stoichiometry, preparing said complex with a 2:1 mole ratio of compound to arginine will result in a solid form of 50% amorphous complex and 50% crystalline compound. Such a mixture of solid forms may be beneficial as a drug product, for example, by providing an amorphous component having improved biopharmaceutical properties along with the crystalline component. The amorphous component would be more readily bioavailable while the crystalline component would have a delayed bioavailability. Such a mixture may provide both rapid and extended exposure to the active compound.

[1658] As used herein, the term “complex” refers to a combination of a pharmaceutically active compound and an additional molecular species that forms or produces a new chemical species in a solid form. In some instances, the complex may be a salt, i.e. where the additional molecular species provides an acid/base counter ion to an acid/base group of the compound resulting in an acid:base interaction that forms a typical salt. While such salt forms are typically substantially crystalline, they can also be partially crystalline, substantially amorphous, or amorphous forms. In some instances, the additional molecular species, in combination with the pharmaceutically active compound, forms a non-salt co-crystal, i.e. the compound and molecular species do not interact by way of a typical acid:base interaction, but still form a substantially crystalline structure. In some instances, the pharmaceutically active compound and two additional molecular species may form a ternary complex, where the ternary complex could be amorphous, partially amorphous, or crystalline, such as a co-crystal. For example, co-crystals may be formed from a salt of the compound and an additional molecular species. In some instances, the complex is a substantially amorphous complex, which may contain salt-like acid:base interactions that do not form typical salt crystals, but instead form a substantially amorphous solid, i.e. a solid whose X-ray powder diffraction pattern exhibits no sharp peaks (e.g. exhibits an amorphous halo).

[1659] As used herein, the term “stoichiometry” refers to the molar ratio of two or more reactants that combine to form a complex, for example, the molar ratio of arginine to compound that form an amorphous complex. For example, a 1:1 mixture of arginine with compound (i.e. 1 mole arginine per mole of compound) resulting in an amorphous solid form has a 1:1 stoichiometry.

[1660] As used herein, the term “composition” refers to a pharmaceutical preparation suitable for administration to an intended animal subject for therapeutic purposes that contains at least one pharmaceutically active compound, including any solid form thereof. The composition may include at least one pharmaceutically acceptable component to provide an improved formulation of the compound, such as a suitable carrier or excipient.

[1661] As used herein, the term “biopharmaceutical properties” refers to the pharmacokinetic action of a pharmaceutical (e.g. a compound or complex of the present invention), including the dissolution, absorption and distribution of the compound on administration to an animal. As such, the amorphous complexes of compounds of the invention are intended to provide improved dissolution and absorption of the active compound, which is typically reflected in improved C_{max} (i.e. the maximum achieved concentration in the plasma after administration of the drug) and improved AUC (i.e. area under the curve of drug plasma concentration vs. time after administration of the drug).

[1662] The term “pharmaceutically acceptable” indicates that the indicated material does not have properties that would cause a reasonably prudent medical practitioner to avoid administration of the material to a patient, taking into consideration the disease or conditions to be treated and the respective route of administration. For example, it is commonly required that such a material be essentially sterile, e.g., for injectibles.

[1663] In the present context, the term “therapeutically effective” or “effective amount” indicates that the materials or amount of material is effective to prevent, alleviate, or

ameliorate one or more symptoms of a disease or medical condition, and/or to prolong the survival of the subject being treated.

[1664] In the present context, the terms “synergistically effective” or “synergistic effect” indicate that two or more compounds that are therapeutically effective, when used in combination, provide improved therapeutic effects greater than the additive effect that would be expected based on the effect of each compound used by itself.

[1665] As used herein, the term “modulating” or “modulate” refers to an effect of altering a biological activity, especially a biological activity associated with a particular biomolecule such as a protein kinase. For example, an agonist or antagonist of a particular biomolecule modulates the activity of that biomolecule, e.g., an enzyme, by either increasing (e.g. agonist, activator), or decreasing (e.g. antagonist, inhibitor) the activity of the biomolecule, such as an enzyme. Such activity is typically indicated in terms of an inhibitory concentration (IC_{50}) or excitation concentration (EC_{50}) of the compound for an inhibitor or activator, respectively, with respect to, for example, an enzyme.

[1666] “Pain” or a “pain condition” can be acute and/or chronic pain, including, without limitation, arachnoiditis; arthritis (e.g. osteoarthritis, rheumatoid arthritis, ankylosing spondylitis, gout); back pain (e.g. sciatica, ruptured disc, spondylolisthesis, radiculopathy); burn pain; cancer pain; dysmenorrhea; headaches (e.g. migraine, cluster headaches, tension headaches); head and facial pain (e.g. cranial neuralgia, trigeminal neuralgia); hyperalgesia; hyperpathia; inflammatory pain (e.g. pain associated with irritable bowel syndrome, inflammatory bowel disease, ulcerative colitis, Crohn’s disease, cystitis, pain from bacterial, fungal or viral infection); keloid or scar tissue formation; labor or delivery pain; muscle pain (e.g. as a result of polymyositis, dermatomyositis, inclusion body myositis, repetitive stress injury (e.g. writer’s cramp, carpal tunnel syndrome, tendonitis, tenosynovitis)); myofascial pain syndromes (e.g. fibromyalgia); neuropathic pain (e.g. diabetic neuropathy, causalgia, entrapment neuropathy, brachial plexus avulsion, occipital neuralgia, gout, reflex sympathetic dystrophy syndrome, phantom limb or post-amputation pain, postherpetic neuralgia, central pain syndrome, or nerve pain resulting from trauma (e.g. nerve injury), disease (e.g. diabetes, multiple sclerosis, Guillan-Barre Syndrome, myasthenia gravis, neurodegenerative diseases such as Parkinson’s disease, Alzheimer’s disease, amyotrophic lateral sclerosis, or cancer treatment); pain associated with skin disorders (e.g. shingles, herpes simplex, skin tumors, cysts, neurofibromatosis); sports injuries (e.g. cuts, sprains, strains, bruises, dislocations, fractures, spinal chord, head); spinal stenosis; surgical pain; tactile allodynia; temporomandibular disorders; vascular disease or injury (e.g. vasculitis, coronary artery disease, reperfusion injury (e.g. following ischemia, stroke, or myocardial infarcts)); other specific organ or tissue pain (e.g. ocular pain, corneal pain, bone pain, heart pain, visceral pain (e.g. kidney, gall bladder, gastrointestinal), joint pain, dental pain, pelvic hypersensitivity, pelvic pain, renal colic, urinary incontinence); other disease associated pain (e.g. sickle cell anemia, AIDS, herpes zoster, psoriasis, endometriosis, asthma, chronic obstructive pulmonary disease (COPD), silicosis, pulmonary sarcoidosis, esophagitis, heart burn, gastroesophageal reflux disorder, stomach and duodenal ulcers, functional dyspepsia, bone resorption disease, osteoporosis,

cerebral malaria, bacterial meningitis); or pain due to graft v. host rejection or allograft rejections.

[1667] The present invention concerns compounds and compositions as disclosed herein that are modulators of protein kinases, for example without limitation, the compounds are modulators, preferably inhibitors, of at least one of the kinases selected from the group consisting of Abl, Akt1, Akt2, Akt3, ALK, Alk5, B-Raf, Brk, Btk, Cdk2, CDK4, CDK5, CDK6, CHK1, c-Raf-1, Csk, EGFR, EphA1, EphA2, EphB2, EphB4, Erk2, Fak, FGFR1, FGFR2, FGFR3, FGFR4, Flt1, Flt3, Flt4, Fms, Frk, Fyn, Gsk3 α , Gsk3 β , HCK, Her2/ErbB2, Her4/ErbB4, IGF1R, IKK beta, Irak4, Itk, Jak1, Jak2, Jak3, Jnk1, Jnk2, Jnk3, Kdr, Kit, Lck, Lyn, MAP2K1, MAP2K2, MAP4K4, MAPKAPK2, Met, Mnk1, MLK1, mTOR, p38, PDGFRA, PDGFRB, PDPK1, PI3K α , PI3K β , PI3K δ , PI3K γ , Pim1, Pim2, Pim3, PKC alpha, PKC beta, PKC theta, Plk1, Pyk2, Ret, ROCK1, ROCK2, Ron, Src, Stk6, Syk, TEC, Tie2, TrkA, TrkB, Yes, and Zap70, and the use of such compounds in the treatment of diseases or conditions.

[1668] The compounds of the invention with kinase inhibitory activity IC₅₀ less than 10 μ M as determined in a standard assay known in the art can be used to treat protein kinase mediated diseases and conditions related to the following protein kinases, including any mutations thereof, for example without limitation:

[1669] Abl, related to chronic myeloid leukemia (CML), acute lymphoblastic leukemia (ALL) and acute myelogenous leukemia (AML);

[1670] Akt1, related to gastric, prostate, colorectal, ovarian, pancreatic and breast cancer, glioblastoma and leukemia, as well as schizophrenia and bipolar disorders, and also use in combination with other chemotherapeutic drugs;

[1671] Akt2, related to hyperglycemia due to peripheral insulin resistance and nonsuppressible hepatic glucose production accompanied by inadequate compensatory hyperinsulinemia, also related to pancreatic, ovarian and breast cancer;

[1672] Akt3, related to melanoma, prostate and breast cancer;

[1673] ALK, related to non-Hodgkin lymphomas such as diffuse large B-cell lymphoma and anaplastic large cell lymphoma;

[1674] Alk5, related to pancreatic and biliary cancers, and cutaneous T-cell lymphoma;

[1675] A-Raf, related to neurologic diseases such as multi-infarct dementia, head injury, spinal cord injury, Alzheimer's disease (AD), Parkinson's disease; neoplastic diseases including, but not limited to, melanoma, glioma, sarcoma, carcinoma (e.g. colorectal, lung, breast, pancreatic, thyroid, renal, ovarian), lymphoma (e.g. histiocytic lymphoma), neurofibromatosis, myelodysplastic syndrome, leukemia, tumor angiogenesis; pain of neuropathic or inflammatory origin, including acute pain, chronic pain, cancer-related pain and migraine; and diseases associated with muscle regeneration or degeneration, including, but not limited to, vascular restenosis, sarcopenia, muscular dystrophies (including, but not limited to, Duchenne, Becker, Emery-Dreifuss, Limb-Girdle, Facioscapulohumeral, Myotonic, Oculopharyngeal, Distal and Congenital Muscular Dystrophies), motor neuron diseases (including, but not limited to, amyotrophic lateral sclerosis,

infantile progressive spinal muscular atrophy, intermediate spinal muscular atrophy, juvenile spinal muscular atrophy, spinal bulbar muscular atrophy, and adult spinal muscular atrophy), inflammatory myopathies (including, but not limited to, dermatomyositis, polymyositis, and inclusion body myositis), diseases of the neuromuscular junction (including, but not limited to, myasthenia gravis, Lambert-Eaton syndrome, and congenital myasthenic syndrome), myopathies due to endocrine abnormalities (including, but not limited to, hyperthyroid myopathy and hypothyroid myopathy) diseases of peripheral nerve (including, but not limited to, Charcot-Marie-Tooth disease, Dejerine-Sottas disease, and Friedreich's ataxia), other myopathies (including, but not limited to, myotonia congenita, paramyotonia congenita, central core disease, nemaline myopathy, myotubular myopathy, and periodic paralysis), and metabolic diseases of muscle (including, but not limited to, phosphorylase deficiency, acid maltase deficiency, phosphofructokinase deficiency, debrancher enzyme deficiency, mitochondrial myopathy, carnitine deficiency, carnitine palmitoyl transferase deficiency, phosphoglycerate kinase deficiency, phosphoglycerate mutase deficiency, lactate dehydrogenase deficiency, and myoadenylate deaminase deficiency);

[1676] B-Raf or c-Raf-1, related to neurologic diseases, including, but not limited to, as multi-infarct dementia, head injury, spinal cord injury, Alzheimer's disease (AD), Parkinson's disease; neoplastic diseases including, but not limited to, melanoma, glioma, sarcoma, carcinoma (e.g. colorectal, lung, breast, pancreatic, thyroid, renal, ovarian), lymphoma (e.g. histiocytic lymphoma) neurofibromatosis, acute myeloid leukemia, myelodysplastic syndrome, leukemia, tumor angiogenesis, neuroendocrine tumors such as medullary thyroid cancer, carcinoid, small cell lung cancer and pheochromocytoma; pain of neuropathic or inflammatory origin, including, but not limited to, acute pain, chronic pain, cancer-related pain, and migraine; cardiovascular diseases including, but not limited to, heart failure, ischemic stroke, cardiac hypertrophy, thrombosis (e.g. thrombotic microangiopathy syndromes), atherosclerosis, reperfusion injury; inflammation including, but not limited to, psoriasis, arthritis and autoimmune diseases and conditions, osteoarthritis, endometriosis, scarring, vascular restenosis, fibrotic disorders, rheumatoid arthritis, inflammatory bowel disease (IBD); immunodeficiency diseases, including, but not limited to, organ transplant rejection, graft versus host disease; renal or prostatic diseases, including, but not limited to, diabetic nephropathy, polycystic kidney disease, nephrosclerosis, glomerulonephritis, prostate hyperplasia; metabolic disorders, including, but not limited to, obesity; infection, including, but not limited to, *Helicobacter pylori*, Hepatitis and Influenza viruses, fever, and sepsis; pulmonary diseases including, but not limited to, chronic obstructive pulmonary disease (COPD) and acute respiratory distress syndrome (ARDS); genetic developmental diseases, including, but not limited to, Noonan's syndrome, Costello syndrome, (faciocutaneouskeletal syndrome), LEOPARD syndrome, cardio-faciocutaneous syndrome (CFC), and neural crest syndrome abnormalities causing cardiovascular, skeletal, intestinal, skin, hair and endocrine diseases;

- [1677] Brk, related to breast and colon cancer, and head and neck squamous cell carcinoma;
- [1678] Btk, related to X-linked agammaglobulinemia, acute lymphocytic leukemia, autoimmune diseases such as multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, and Graves' disease, immune suppression in organ transplant, and drug sensitivity of B-lineage cells;
- [1679] Cdk2, related to prostate, breast, colorectal and ovarian cancer;
- [1680] Cdk4, related to glioblastoma (e.g. glioblastoma multiforme), anaplastic astrocytoma, and breast cancer;
- [1681] Cdk5, related to Alzheimer's disease, amyotrophic lateral sclerosis and Lewy body disease;
- [1682] Cdk6, related to glioblastoma multiforme, non-Hodgkin's lymphoma, splenic marginal zone lymphoma, T-cell lymphoblastic lymphoma (T-LBL) and T-cell acute lymphoblastic leukemia (T-ALL);
- [1683] CHK1, related to DNA damage repair, sensitizes cells to chemotherapeutic agents;
- [1684] Csk, related to colon and pancreatic carcinomas and autoimmune pathology such as type 1 diabetes, rheumatoid arthritis and systemic lupus erythematosus;
- [1685] EGFR, related to breast, colorectal, bladder, prostate and non small cell lung cancer, squamous cell carcinomas of the head and neck cancer, oral cavity, and esophagus, and glioblastoma multiforme;
- [1686] EphA1, related to head and neck squamous cell carcinoma, hepatoma and lung cancer;
- [1687] EphA2, related to aberrant short-range contact-mediated axonal guidance, bladder, breast, prostate, colon, skin, cervical, ovarian, pancreatic and lung cancers, and metastatic melanoma;
- [1688] EphB2, related to angiogenesis disorder (e.g. ocular angiogenesis disease such as retinopathy), and cancer (e.g. glioblastoma, breast and liver cancer);
- [1689] EphB4, related to colorectal cancer (CRC), head and neck squamous cell carcinoma, and tumours of the prostate, breast, endometrium, and bladder;
- [1690] Erk2, related to aberrant proliferation, differentiation, transcription regulation and development, and may be useful in treating inflammation, for example inflammation associated with Lyme neuroborreliosis, and in treating cancers, such as gastric cancer;
- [1691] Fak, related to colon and breast tumors, and is also related to esophageal squamous cell carcinoma, melanoma, anaplastic astrocytoma, glioblastoma, ductal carcinoma in situ, prostate and hepatocellular carcinoma, and tumor metastases, and may also provide synergistic effects when used with other chemotherapeutic drugs;
- [1692] FGFR1, related to 8 μ l myeloproliferative syndrome;
- [1693] FGFR2, related to Crouzon Syndrome, Jackson-Weiss Syndrome, Apert Syndrome, craniosynostosis, Pfeiffer Syndrome, acrocephalo syndactyl)-type V, and Beare-Stevenson Cutis Gyrata Syndrome;
- [1694] FGFR3, related to angiogenesis, wound healing, achondroplasia, Muenke craniosynostosis, Crouzon syndrome, acanthosis nigricans, thanatophoric dysplasia, bladder carcinomas, and multiple myeloma;
- [1695] FGFR4, related to cancer of the breast, lung, colon, medullary thyroid, pancreas, ovary, prostate, endometrium, and fallopian tube, head and neck squamous cell carcinomas and leiomyosarcoma;
- [1696] Flt1, related to non-small cell lung carcinoma, prostate carcinoma, and colorectal cancer;
- [1697] Flt3, related to acute myeloid leukemia, myelodysplastic syndrome, acute lymphoblastic leukemia;
- [1698] Flt4, related to primary lymphoedema;
- [1699] Fms, related to immune disorders, including rheumatoid arthritis, systemic lupus erythematosus (SLE), and transplant rejection, inflammatory diseases including inflammatory bowel syndrome, ulcerative colitis, Crohn's disease, chronic obstructive pulmonary disease (COPD), emphysema, and atherosclerosis, metabolic disorders, including Type I diabetes, Type II diabetes, insulin resistance, hyperglycemia, and lipolysis, disorders of bone structure, mineralization and bone formation and resorption, including osteoporosis, increased risk of fracture, Paget's disease, hypercalcemia, and metastasis of cancer to bone, kidney diseases, including nephritis (e.g. glomerulonephritis, interstitial nephritis, Lupus nephritis), tubular necrosis, diabetes-associated renal complications (e.g. diabetic nephropathy), and hypertrophy, disorders of the central nervous system, including multiple sclerosis, stroke, Alzheimer's disease and Parkinson's disease; inflammatory and chronic pain, including bone pain; and cancers, including multiple myeloma, acute myeloid leukemia, chronic myeloid leukemia (CML), prostate cancer, breast cancer, ovarian cancer, and metastasis of tumors to other tissues;
- [1700] Frk, related to acute myeloid leukemia and type 1 diabetes;
- [1701] Fyn, related to Alzheimer's disease, schizophrenia and prevention of metastases, e.g. in melanoma and squamous cell carcinoma;
- [1702] GSK3 (Gsk3 α and/or Gsk3 β), related to CNS disorders such as Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, diabetes type II, bipolar disorders, stroke, cancer, chronic inflammatory disease, leucopenia, schizophrenia, chronic pain, neuropathic pain, and traumatic head injury;
- [1703] HCK, related to chronic myelogenous leukemia and acute lymphocytic leukemia;
- [1704] Her2/ErbB2, related to prostate and breast cancer;
- [1705] Her4/ErbB4, related to childhood medulloblastoma;
- [1706] IGF1R, related to prostate cancer, hepatocellular carcinoma;
- [1707] IKK beta, related to leukemia of T-cells, necrosis, insulin resistance, and malignant neoplasms;
- [1708] Irak4, related to bacterial infections, immunodeficiency syndrome, Crohn's disease, ulcerative colitis, asthma, chronic bronchitis, cardio hypertrophy, and kidney hypertension;
- [1709] Itk, related to allergic asthma;
- [1710] Jak1, related to Hepatitis C virus infection;
- [1711] Jak2, related to myeloproliferative disorders such as polycythemia vera, myelofibrosis, essential thrombocythemia, myeloid metaplasia and leukemias, including acute lymphoblastic leukemia, chronic neutrophilic leukemia, juvenile myelomonocytic leukemia, CMML, Philadelphia chromosome-negative CML, megakaryocytic leukemia, and acute erythroid leukemia;

- [1712] Jak3, related to X-linked severe combined immunodeficiency, myeloproliferative disorders, transplant rejection and autoimmune diseases such as rheumatoid arthritis, inflammatory bowel syndrome, Crohn's disease, systemic lupus erythematosus, ulcerative colitis, psoriasis and multiple sclerosis;
- [1713] Jnk (Jnk1, Jnk2, Jnk3), related to metabolic diseases including type 1 diabetes, type 2 diabetes, metabolic syndrome, obesity, and hepatic steatosis; cardiovascular diseases such as atherosclerosis, ischemia (e.g. cerebrovascular ischemia, liver ischemia), reperfusion injury, cardiac hypertrophy; renal diseases such as chronic renal failure; neoplastic diseases and associated complications, including chemotherapy-induced hypoxia, prostate tumors, myeloid leukemia and cancers of the liver, bone, skin, brain, pancreas, lung breast, colon, prostate and ovary; transplant rejection; pain of neuropathic or inflammatory origin including acute and chronic pain; inflammatory and autoimmune diseases including age-related macular degeneration, rheumatoid arthritis, inflammatory bowel disease, ulcerative colitis, Crohn's disease, systemic lupus erythematosus, Sjogren's Syndrome, psoriasis, scleroderma, chronic thyroiditis, Grave's disease, myasthenia gravis, and multiple sclerosis, and inflammation in other organs including CNS inflammation, pancreatitis, nephritis, atopic dermatitis, and hepatitis; airway inflammatory diseases such as asthma, allergy, bronchitis, pulmonary fibrosis, chronic obstructive pulmonary disease; neurologic diseases such as stroke, cerebrovascular ischemia, neurodegenerative diseases such as Parkinson's disease, Alzheimer's disease, amyotrophic lateral sclerosis, dementia, senile chorea, head and spinal cord trauma, and Huntington's disease. More particularly, Jnk1 is related to type 1 diabetes, type 2 diabetes, metabolic syndrome, obesity and hepatic steatosis, Jnk2 is related to atherosclerosis, and Jnk3 is related to inflammatory diseases including autoimmune diseases such as rheumatoid arthritis, inflammatory bowel syndrome, Crohn's disease, systemic lupus erythematosus, Sjogren's Syndrome, psoriasis and multiple sclerosis, airway inflammatory diseases such as asthma, allergy, pulmonary fibrosis, and chronic obstructive pulmonary disease, and inflammation in other organs, such as CNS inflammation, pancreatitis, nephritis, and hepatitis; neurologic diseases such as stroke, cerebrovascular ischemia, and neurodegenerative diseases such as Parkinson's disease, Alzheimer's disease, and Huntington's disease; and neoplastic diseases such as prostate tumors and myeloid leukemia;
- [1714] Kdr, related to anti-angiogenesis for treating solid tumor growth (e.g. ovarian, lung, breast, pancreatic, prostate, colon, gastrointestinal stromal tumor, non small cell lung cancer, and epidermoid cancer), metastasis, psoriasis, rheumatoid arthritis, diabetic retinopathy and age related macular degeneration;
- [1715] Kit, related to malignancies, including mast cell tumors, small cell lung cancer, testicular cancer, gastrointestinal stromal tumors (GISTS), glioblastoma, astrocytoma, neuroblastoma, carcinomas of the female genital tract, sarcomas of neuroectodermal origin, colorectal carcinoma, carcinoma in situ, Schwann cell neoplasia associated with neurofibromatosis, acute myelocytic leukemia, acute lymphocytic leukemia, chronic myelogenous leukemia, mastocytosis, melanoma, and canine mast cell tumors, and inflammatory diseases, including asthma, rheumatoid arthritis, allergic rhinitis, multiple sclerosis, inflammatory bowel syndrome, transplant rejection, and hypereosinophilia;
- [1716] Lck, related to acute lymphoblastic leukemia, T-cell lymphoma, lymphopenia, renal carcinoma, colon carcinoma, severe combined immunodeficiency, multiple sclerosis, inflammatory bowel and type I diabetes;
- [1717] Lyn, related to
- [1718] MAP2K1, related to acute myeloid leukemia, breast, ovarian and liver cancer;
- [1719] MAP2K2, related to cancer and inflammation;
- [1720] MAP4K4, related to metabolic indications, including re-sensitizing fat and muscle cells to insulin, ameliorating the pathology in adipocytes, ameliorating the pathology in muscle cells, metabolic syndrome, and type II diabetes; a broad range of oncology indications, including blocking the migration, invasion and metastasis in many different tumor types; and T-cell mediated autoimmune diseases;
- [1721] MAPKAPK2, cancer (e.g. prostate, breast), stroke, meningitis, and inflammatory disorders;
- [1722] Met, related to kidney, breast, bladder, non-small-cell lung, colorectal, and bladder cancers, and hepatocellular carcinoma;
- [1723] Mnk1, related to conditions associated with heat shock, nutrient deprivation, oxidative or osmotic stress, and infection of mammalian cells (e.g. with viruses such as adenovirus (Ad) or influenza virus), and autoimmune diseases;
- [1724] MLK1, related to neurodegenerative diseases such as Alzheimer's disease and Parkinson's disease, and inflammatory disorders;
- [1725] mTOR, related to neuronal tumors, breast cancer, prostate cancer, acute myelogenous leukemia, lung cancer, pancreatic cancer, colon cancer, renal cancer and myeloma;
- [1726] p38, related to acute coronary syndrome, stroke, atherosclerosis, and inflammatory autoimmune diseases such as rheumatoid arthritis, inflammatory bowel disease, and Crohn's disease;
- [1727] PDGFR(PDGFR α , PDGFR β), related to idiopathic hypereosinophilic syndrome, chronic eosinophilic leukemia, glioma, gastrointestinal stromal tumors (GISTS), juvenile myelomonocytic leukemia, metastatic medulloblastoma, atherogenesis, and restenosis. More particularly, PDGFR α related to idiopathic hypereosinophilic syndrome, chronic eosinophilic leukemia, glioma, gastrointestinal stromal tumors (GISTS), juvenile myelomonocytic leukemia, metastatic medulloblastoma, atherogenesis, and restenosis, and PDGFR β related to idiopathic hypereosinophilic syndrome, chronic eosinophilic leukemia, juvenile myelomonocytic leukemia, and metastatic medulloblastoma;
- [1728] PDPK1, related to cancer and diabetes;
- [1729] PI3K (including PI3K α , PI3K β , PI3K δ and PI3K γ), related to inflammatory disease, including asthma, chronic obstructive pulmonary disease, bronchitis, emphysema, eosinophilia, lung fibrosis, osteoarthritis, ankylosing spondylitis, sepsis, septic shock, inflammatory myopathies, meningitis, encephalitis, lacrimal parotid gland syndrome, acute respiratory distress syndrome and pancreatitis, graft vs. host disease; aller-

- gies, including allergic rhinitis, type I hypersensitivity reactions, atopic dermatitis, contact dermatitis, and eczema; cardiovascular disease, including atherosclerosis, pulmonary hypertension, deep venous thrombosis, stroke, myocardial infarction, myocardial contractility disorders, ischemia, thromboembolism, pulmonary embolism, acute arterial ischemia, peripheral thrombotic occlusions, coronary artery disease and acute coronary syndrome; autoimmune disease, including systemic lupus erythematosus, rheumatoid arthritis, multiple sclerosis, glomerulonephritis, scleroderma, chronic thyroiditis, Graves' disease, autoimmune gastritis, type I diabetes, autoimmune hemolytic anemia, autoimmune neutropenia, thrombocytopenia, atopic dermatitis, myasthenia gravis, inflammatory bowel disease, ulcerative colitis, Crohn's disease, psoriasis, and Sjogren's syndrome; cancers, including ovarian cancer, cervical cancer, breast cancer, colorectal cancer, endometrial cancer, gastric carcinomas, hepatocellular carcinoma, pancreatic cancer, small and non-small cell lung cancer, thyroid carcinoma, lymphomas, multiple myelomas, leukemias (e.g. acute myelogenous leukemia, chronic myelogenous leukemia), neuroblastomas and glioblastomas;
- [1730] Pim1, related to cancers such as hematopoietic (e.g. acute myeloid and acute lymphoid leukemias) and prostate cancers, and non-Hodgkin's lymphomas;
- [1731] Pim2, related to lymphomas;
- [1732] Pim3, related to hepatocellular carcinoma;
- [1733] PKC alpha, related to pituitary tumors and prefrontal cortical dysfunction such as distractibility, impaired judgment, impulsivity, and thought disorder, also may be used to sensitize chemotherapy in breast, colon, and non small cell lung cancers;
- [1734] PKC beta, related to diabetic retinopathy;
- [1735] PKC-theta, related to insulin resistance, T-cell lymphoma;
- [1736] Plk1, related to cancers (e.g. lymphoma of the thyroid, non-Hodgkin's lymphomas, colorectal cancers, leukemias and melanoma), also useful as sensitizer in chemotherapy;
- [1737] Pyk2, related to inflammation (e.g. osteoporosis, polycystic kidney disease, rheumatoid arthritis and inflammatory bowel disease), CNS disease (e.g. Parkinson's disease and Alzheimer's disease), stroke and cancers (e.g. gliomas, breast cancer, and pancreatic cancer);
- [1738] Ret, related to cancer of the thyroid, neuroblastoma, familial medullary thyroid carcinoma (FMTC), multiple endocrine neoplasia type IIA and IIB (MEN2A, MEN2B), and neurodegenerative disorders (e.g. Hirschsprung's disease, Parkinson's disease, Alzheimer's disease, and amyotrophic lateral sclerosis);
- [1739] ROCK (ROCK-1, ROCK-2), related to cancers (e.g. ovarian cancer, hepatocellular carcinoma, pancreatic cancer), ocular disease (e.g. glaucoma), cardiac hypertrophy, improved renal perfusion, transplant rejection, and acute respiratory distress syndrome;
- [1740] Ron, related to cancer and inflammation;
- [1741] Src, related to cancer and osteoporosis;
- [1742] Stk6, related to gastric, bladder, breast, lung, CNS, ovarian, kidney, colon, prostate, pancreas, and cervical cancers, melanoma, leukemia, and neuroblastoma;
- [1743] Syk, related to lymphomas (e.g. mantle cell lymphoma);
- [1744] TEC, related to sepsis, septic shock, inflammation, rheumatoid arthritis, Crohn's disease, irritable bowel disease (IBD), and ulcerative colitis;
- [1745] Tie2 (TEK), related to cancer, arthritis (e.g. rheumatoid arthritis), and atherosclerosis;
- [1746] TrkA, related to pain (e.g. chronic pain, neuropathic pain), cancer (e.g. prostate cancer, lung cancer, pancreatic cancer), allergic disorders (e.g. asthma), arthritis, diabetic retinopathy, macular degeneration and psoriasis;
- [1747] TrkB, related to obesity, hyperphagia, developmental delays, cancer (e.g. prostate cancer, lung cancer, Wilms tumors, neuroblastoma, pancreatic cancer), various neuropathies (e.g. stroke, multiple sclerosis, transverse myelitis, and encephalitis), and diabetes.
- [1748] Yes, related to various cancers including esophageal squamous cell carcinoma; and
- [1749] Zap70, related to AIDS, systemic lupus erythematosus, myasthenia gravis, atherosclerosis, rejection of transplanted organs or tissues, allograft rejection including acute and chronic allograft rejection, graft versus host disease, rheumatoid arthritis, psoriasis, systemic sclerosis, atopic dermatitis, eczematous dermatitis, alopecia, and inflammation of the nasal mucus membrane, including all forms of rhinitis.

Kinase Targets and Indications of the Invention

[1750] Protein kinases play key roles in propagating biochemical signals in diverse biological pathways. More than 500 kinases have been described, and specific kinases have been implicated in a wide range of diseases or conditions (i.e., indications), including for example without limitation, cancer, cardiovascular disease, inflammatory disease, neurological disease, and other diseases. As such, kinases represent important control points for small molecule therapeutic intervention. Specific target protein kinases contemplated by the present invention are described in the art, including, without limitation, protein kinases as described in U.S. patent application Ser. No. 11/473,347 (see also, PCT publication WO2007002433), the disclosure of which is hereby incorporated by reference in regards to such target protein kinases. Additional description of Raf target protein kinases contemplated by the present invention follow:

[1751] A-Raf: Target kinase A-Raf (i.e., v-raf murine sarcoma 3611 viral oncogene homolog 1) is a 67.6 kDa serine/threonine kinase encoded by chromosome Xp11.4-p11.2 (symbol: ARAF). The mature protein comprises RBD (i.e., Ras binding domain) and phorbol-ester/DAG-type zinc finger domain and is involved in the transduction of mitogenic signals from the cell membrane to the nucleus. A-Raf inhibitors may be useful in treating neurologic diseases such as multi-infarct dementia, head injury, spinal cord injury, Alzheimer's disease (AD), Parkinson's disease; neoplastic diseases including, but not limited to, melanoma, glioma, sarcoma, carcinoma (e.g. colorectal, lung, breast, pancreatic, thyroid, renal, ovarian), lymphoma (e.g. histiocytic lymphoma), neurofibromatosis, myelodysplastic syndrome, leukemia, tumor angiogenesis; pain of neuropathic or inflammatory origin, including acute pain, chronic pain, cancer-related pain and migraine; and diseases associated with muscle regeneration or degeneration, including, but not limited to, vascular restenosis, sarcopenia, muscular dystrophies (including, but not

limited to, Duchenne, Becker, Emery-Dreifuss, Limb-Girdle, Facioscapulohumeral, Myotonic, Oculopharyngeal, Distal and Congenital Muscular Dystrophies), motor neuron diseases (including, but not limited to, amyotrophic lateral sclerosis, infantile progressive spinal muscular atrophy, intermediate spinal muscular atrophy, juvenile spinal muscular atrophy, spinal bulbar muscular atrophy, and adult spinal muscular atrophy), inflammatory myopathies (including, but not limited to, dermatomyositis, polymyositis, and inclusion body myositis), diseases of the neuromuscular junction (including, but not limited to, myasthenia gravis, Lambert-Eaton syndrome, and congenital myasthenic syndrome), myopathies due to endocrine abnormalities (including, but not limited to, hyperthyroid myopathy and hypothyroid myopathy) diseases of peripheral nerve (including, but not limited to, Charcot-Marie-Tooth disease, Dejerine-Sottas disease, and Friedreich's ataxia), other myopathies (including, but not limited to, myotonia congenita, paramyotonia congenita, central core disease, nemaline myopathy, myotubular myopathy, and periodic paralysis), and metabolic diseases of muscle (including, but not limited to, phosphorylase deficiency, acid maltase deficiency, phosphofructokinase deficiency, debrancher enzyme deficiency, mitochondrial myopathy, carnitine deficiency, carnitine palmitoyl transferase deficiency, phosphoglycerate kinase deficiency, phosphoglycerate mutase deficiency, lactate dehydrogenase deficiency, and myoadenylate deaminase deficiency).

[1752] B-Raf: Target kinase B-Raf (i.e., v-raf murine sarcoma viral oncogene homolog B1) is a 84.4 kDa serine/threonine kinase encoded by chromosome 7q34 (symbol: BRAF). The mature protein comprises RBD (i.e., Ras binding domain), C1 (i.e., protein kinase C conserved region 1) and STK (i.e., serine/threonine kinase) domains.

[1753] Target kinase B-Raf is involved in the transduction of mitogenic signals from the cell membrane to the nucleus and may play a role in the postsynaptic responses of hippocampal neurons. As such, genes of the RAF family encode kinases that are regulated by Ras and mediate cellular responses to growth signals. Indeed, B-Raf kinase is a key component of the RAS->Raf->MEK->ERK/MAP kinase signaling pathway, which plays a fundamental role in the regulation of cell growth, division and proliferation, and, when constitutively activated, causes tumorigenesis. Among several isoforms of Raf kinase, the B-type, or B-Raf, is the strongest activator of the downstream MAP kinase signaling.

[1754] The BRAF gene is frequently mutated in a variety of human tumors, especially in malignant melanoma and colon carcinoma. The most common reported mutation was a mis-sense thymine (T) to adenine (A) transversion at nucleotide 1796 (T1796A; amino acid change in the B-Raf protein is Val<600> to Glu<600>) observed in 80% of malignant melanoma tumors. Functional analysis reveals that this transversion is the only detected mutation that causes constitutive activation of B-Raf kinase activity, independent of RAS activation, by converting B-Raf into a dominant transforming protein. Based on precedents, human tumors develop resistance to kinase inhibitors by mutating a specific amino acid in the catalytic domain as the "gatekeeper". (Balak, et. al., Clin Cancer Res. 2006, 12:6494-501). Mutation of Thr-529 in BRAF to Ile is thus anticipated as a mechanism of resistance to BRAF inhibitors, and this can be envisioned as a transition in codon 529 from ACC to ATC.

[1755] Niihori et al., report that in 43 individuals with cardio-facio-cutaneous (CFC) syndrome, they identified two

heterozygous KRAS mutations in three individuals and eight BRAF mutations in 16 individuals, suggesting that dysregulation of the RAS-RAF-ERK pathway is a common molecular basis for the three related disorders (Niihori et al., Nat. Genet. 2006, 38(3):294-6).

[1756] c-Raf-1: Target kinase c-Raf-1 (i.e., v-raf murine sarcoma viral oncogene homolog 1) is a 73.0 kDa STK encoded by chromosome 3p25 (symbol: RAF1). c-Raf-1 can be targeted to the mitochondria by BCL2 (i.e., oncogene B-cell leukemia 2) which is a regulator of apoptotic cell death. Active c-Raf-1 improves BCL2-mediated resistance to apoptosis, and c-Raf-1 phosphorylates BAD (i.e., BCL2-binding protein). c-Raf-1 is implicated in carcinomas, including colorectal, ovarian, lung and renal cell carcinoma. C-Raf-1 is also implicated as an important mediator of tumor angiogenesis (Hood, J. D. et al., 2002, Science 296, 2404). C-Raf-1 inhibitors may also be useful for the treatment of acute myeloid leukemia and myelodysplastic syndromes (Crump, Curr Pharm Des 2002, 8(25):2243-8). Raf-1 activators may be useful as treatment for neuroendocrine tumors, such as medullary thyroid cancer, carcinoid, small cell lung cancer and pheochromocytoma (Kunnimalaiyaan et al., Anticancer Drugs 2006, 17(2):139-42).

[1757] Raf inhibitors (A-Raf and/or B-Raf and/or C-Raf-1, and/or mutations thereof) may be useful in treating A-Raf-mediated, B-Raf-mediated or c-Raf-1-mediated disease or condition selected from the group consisting of neurologic diseases, including, but not limited to, multi-infarct dementia, head injury, spinal cord injury, Alzheimer's disease (AD), Parkinson's disease; neoplastic diseases including, but not limited to, melanoma, glioma, sarcoma, carcinoma (e.g. colorectal, lung, breast, pancreatic, thyroid, renal, ovarian), lymphoma (e.g. histiocytic lymphoma) neurofibromatosis, acute myeloid leukemia, myelodysplastic syndrome, leukemia, tumor angiogenesis, neuroendocrine tumors such as medullary thyroid cancer, carcinoid, small cell lung cancer and pheochromocytoma; pain of neuropathic or inflammatory origin, including, but not limited to, acute pain, chronic pain, cancer-related pain, and migraine; cardiovascular diseases, including, but not limited to, heart failure, ischemic stroke, cardiac hypertrophy, thrombosis (e.g. thrombotic microangiopathy syndromes), atherosclerosis, and reperfusion injury; inflammation including, but not limited to, psoriasis, arthritis and autoimmune diseases and conditions, osteoarthritis, endometriosis, scarring, vascular restenosis, fibrotic disorders, rheumatoid arthritis, inflammatory bowel disease (IBD); immunodeficiency diseases, including, but not limited to, organ transplant rejection, graft versus host disease; renal or prostatic diseases, including, but not limited to, diabetic nephropathy, polycystic kidney disease, nephrosclerosis, glomerulonephritis, prostate hyperplasia; metabolic disorders, including, but not limited to, obesity; infection, including, but not limited to, *Helicobacter pylori*, Hepatitis and Influenza viruses, fever, and sepsis; pulmonary diseases, including, but not limited to, chronic obstructive pulmonary disease (COPD) and acute respiratory distress syndrome (ARDS); genetic developmental diseases, including, but not limited to, Noonan's syndrome, Costello syndrome, (facio-cutaneouskeletal syndrome), LEOPARD syndrome, cardio-faciocutaneous syndrome (CFC), and neural crest syndrome abnormalities causing cardiovascular, skeletal, intestinal, skin, hair and endocrine diseases.

Kinase Activity Assays

[1758] A number of different assays for kinase activity can be utilized for assaying for active modulators and/or deter-

mining specificity of a modulator for a particular kinase or group of kinases. In addition to the assay mentioned in the Examples below, one of ordinary skill in the art will know of other assays that can be utilized and can modify an assay for a particular application. For example, numerous papers concerning kinases describe assays that can be used.

[1759] Additional alternative assays can employ binding determinations. For example, this sort of assay can be formatted either in a fluorescence resonance energy transfer (FRET) format, or using an AlphaScreen (amplified luminescent proximity homogeneous assay) format by varying the donor and acceptor reagents that are attached to streptavidin or the phosphor-specific antibody.

Organic Synthetic Techniques

[1760] A wide array of organic synthetic techniques exist in the art to facilitate the construction of potential modulators. Many of these organic synthetic methods are described in detail in standard reference sources utilized by those skilled in the art. One example of such a reference is March, 1994, *Advanced Organic Chemistry; Reactions, Mechanisms and Structure*, New York, McGraw Hill. Thus, the techniques useful to synthesize a potential modulator of kinase function are readily available to those skilled in the art of organic chemical synthesis.

Alternative Compound Forms or Derivatives

[1761] Compounds contemplated herein are described with reference to both generic formulae and specific compounds. In addition, invention compounds may exist in a number of different forms or derivatives, all within the scope of the present invention. Alternative forms or derivatives, include, for example, (a) prodrugs, and active metabolites (b) tautomers, isomers (including stereoisomers and regioisomers), and racemic mixtures (c) pharmaceutically acceptable salts and (d) solid forms, including different crystal forms, polymorphic or amorphous solids, including hydrates and solvates thereof, and other forms.

[1762] (a) Prodrugs and Metabolites

[1763] In addition to the present formulae and compounds described herein, the invention also includes prodrugs (generally pharmaceutically acceptable prodrugs), active metabolic derivatives (active metabolites), and their pharmaceutically acceptable salts.

[1764] Prodrugs are compounds or pharmaceutically acceptable salts thereof which, when metabolized under physiological conditions or when converted by solvolysis, yield the desired active compound. Prodrugs include, without limitation, esters, amides, carbamates, carbonates, ureides, solvates, or hydrates of the active compound. Typically, the prodrug is inactive, or less active than the active compound, but may provide one or more advantageous handling, administration, and/or metabolic properties. For example, some prodrugs are esters of the active compound; during metabolism, the ester group is cleaved to yield the active drug. Esters include, for example, esters of a carboxylic acid group, or S-acyl or O-acyl derivatives of thiol, alcohol, or phenol groups. In this context, a common example is an alkyl ester of a carboxylic acid. Prodrugs may also include variants wherein an —NH group of the compound has undergone acylation, such as the 1-position of the pyrrolo[2,3-b]pyridine ring or the nitrogen of the sulfonamide group of compounds of the present invention, where cleavage of the acyl group

provides the free —NH group of the active drug. Some prodrugs are activated enzymatically to yield the active compound, or a compound may undergo further chemical reaction to yield the active compound. Prodrugs may proceed from prodrug form to active form in a single step or may have one or more intermediate forms which may themselves have activity or may be inactive.

[1765] As described in *The Practice of Medicinal Chemistry*, Ch. 31-32 (Ed. Wermuth, Academic Press, San Diego, Calif., 2001), prodrugs can be conceptually divided into two non-exclusive categories, bioprecursor prodrugs and carrier prodrugs. Generally, bioprecursor prodrugs are compounds that are inactive or have low activity compared to the corresponding active drug compound, that contain one or more protective groups and are converted to an active form by metabolism or solvolysis. Both the active drug form and any released metabolic products should have acceptably low toxicity. Typically, the formation of active drug compound involves a metabolic process or reaction that is one of the following types:

[1766] Oxidative reactions: Oxidative reactions are exemplified without limitation by reactions such as oxidation of alcohol, carbonyl, and acid functionalities, hydroxylation of aliphatic carbons, hydroxylation of alicyclic carbon atoms, oxidation of aromatic carbon atoms, oxidation of carbon-carbon double bonds, oxidation of nitrogen-containing functional groups, oxidation of silicon, phosphorus, arsenic, and sulfur, oxidative N-dealkylation, oxidative O- and S-dealkylation, oxidative deamination, as well as other oxidative reactions.

[1767] Reductive reactions: Reductive reactions are exemplified without limitation by reactions such as reduction of carbonyl functionalities, reduction of alcohol functionalities and carbon-carbon double bonds, reduction of nitrogen-containing functional groups, and other reduction reactions.

[1768] Reactions without change in the oxidation state: Reactions without change in the state of oxidation are exemplified without limitation to reactions such as hydrolysis of esters and ethers, hydrolytic cleavage of carbon-nitrogen single bonds, hydrolytic cleavage of non-aromatic heterocycles, hydration and dehydration at multiple bonds, new atomic linkages resulting from dehydration reactions, hydrolytic dehalogenation, removal of hydrogen halide molecule, and other such reactions.

[1769] Carrier prodrugs are drug compounds that contain a transport moiety, e.g., that improves uptake and/or localized delivery to a site(s) of action. Desirably for such a carrier prodrug, the linkage between the drug moiety and the transport moiety is a covalent bond, the prodrug is inactive or less active than the drug compound, the prodrug and any release transport moiety are acceptably non-toxic. For prodrugs where the transport moiety is intended to enhance uptake, typically the release of the transport moiety should be rapid. In other cases, it is desirable to utilize a moiety that provides slow release, e.g., certain polymers or other moieties, such as cyclodextrins. (See, e.g., Cheng et al., U.S. Patent Publ. No. 20040077595, application Ser. No. 10/656,838, incorporated herein by reference.) Such carrier prodrugs are often advantageous for orally administered drugs. In some instances, the transport moiety provides targeted delivery of the drug, for example the drug may be conjugated to an antibody or antibody fragment. Carrier prodrugs can, for example, be used to improve one or more of the following properties: increased lipophilicity, increased duration of pharmacological effects,

increased site-specificity, decreased toxicity and adverse reactions, and/or improvement in drug formulation (e.g., stability, water solubility, suppression of an undesirable organoleptic or physiochemical property). For example, lipophilicity can be increased by esterification of hydroxyl groups with lipophilic carboxylic acids, or of carboxylic acid groups with alcohols, e.g., aliphatic alcohols. Wermuth, *supra*.

[1770] Metabolites, e.g., active metabolites, overlap with prodrugs as described above, e.g., bioprecursor prodrugs. Thus, such metabolites are pharmacologically active compounds or compounds that further metabolize to pharmacologically active compounds that are derivatives resulting from metabolic processes in the body of a subject. Of these, active metabolites are such pharmacologically active derivative compounds. For prodrugs, the prodrug compound is generally inactive or of lower activity than the metabolic product. For active metabolites, the parent compound may be either an active compound or may be an inactive prodrug. For example, in some compounds, one or more alkoxy groups can be metabolized to hydroxyl groups while retaining pharmacologic activity and/or carboxyl groups can be esterified, e.g., glucuronidation. In some cases, there can be more than one metabolite, where an intermediate metabolite(s) is further metabolized to provide an active metabolite. For example, in some cases a derivative compound resulting from metabolic glucuronidation may be inactive or of low activity, and can be further metabolized to provide an active metabolite.

[1771] Metabolites of a compound may be identified using routine techniques known in the art, and their activities determined using tests such as those described herein. See, e.g., Bertolini et al., 1997, *J Med. Chem.*, 40:2011-2016; Shan et al., 1997, *J Pharm Sci* 86(7):756-757; Bagshawe, 1995, *Drug Dev. Res.*, 34:220-230; Wermuth, *supra*.

[1772] (b) Tautomers, Stereoisomers, and Regioisomers

[1773] It is understood that some compounds may exhibit tautomerism. In such cases, the formulae provided herein expressly depict only one of the possible tautomeric forms. It is therefore to be understood that the formulae provided herein are intended to represent any tautomeric form of the depicted compounds and are not to be limited merely to the specific tautomeric form depicted by the drawings of the formulae.

[1774] Likewise, some of the compounds according to the present invention may exist as stereoisomers, i.e. having the same atomic connectivity of covalently bonded atoms yet differing in the spatial orientation of the atoms. For example, compounds may be optical stereoisomers, which contain one or more chiral centers, and therefore, may exist in two or more stereoisomeric forms (e.g. enantiomers or diastereomers). Thus, such compounds may be present as single stereoisomers (i.e., essentially free of other stereoisomers), racemates, and/or mixtures of enantiomers and/or diastereomers. As another example, stereoisomers include geometric isomers, such as *cis*- or *trans*-orientation of substituents on adjacent carbons of a double bond. All such single stereoisomers, racemates and mixtures thereof are intended to be within the scope of the present invention. Unless specified to the contrary, all such stereoisomeric forms are included within the formulae provided herein.

[1775] In some embodiments, a chiral compound of the present invention is in a form that contains at least 80% of a single isomer (60% enantiomeric excess ("e.e.") or diastereomeric excess ("d.e."), or at least 85% (70% e.e. or d.e.), 90% (80% e.e. or d.e.), 95% (90% e.e. or d.e.), 97.5% (95% e.e. or

d.e.), or 99% (98% e.e. or d.e.). As generally understood by those skilled in the art, an optically pure compound having one chiral center is one that consists essentially of one of the two possible enantiomers (i.e., is enantiomerically pure), and an optically pure compound having more than one chiral center is one that is both diastereomerically pure and enantiomerically pure. In some embodiments, the compound is present in optically pure form, such optically pure form being prepared and/or isolated by methods known in the art (e.g. by recrystallization techniques, chiral synthetic techniques (including synthesis from optically pure starting materials), and chromatographic separation using a chiral column).

[1776] (c) Pharmaceutically Acceptable Salts

[1777] Unless specified to the contrary, specification of a compound herein includes pharmaceutically acceptable salts of such compound. Thus, compounds of the invention can be in the form of pharmaceutically acceptable salts, or can be formulated as pharmaceutically acceptable salts. Contemplated pharmaceutically acceptable salt forms include, without limitation, mono, bis, tris, tetrakis, and so on. Pharmaceutically acceptable salts are non-toxic in the amounts and concentrations at which they are administered. The preparation of such salts can facilitate the pharmacological use by altering the physical characteristics of a compound without preventing it from exerting its physiological effect. Useful alterations in physical properties include lowering the melting point to facilitate transmucosal administration and increasing the solubility to facilitate administering higher concentrations of the drug. A compound of the invention may possess a sufficiently acidic, a sufficiently basic, or both functional groups, and accordingly can react with any of a number of inorganic or organic bases, and inorganic and organic acids, to form a pharmaceutically acceptable salt.

[1778] Pharmaceutically acceptable salts include acid addition salts such as those containing chloride, bromide, iodide, hydrochloride, acetate, phenylacetate, acrylate, ascorbate, aspartate, benzoate, 2-phenoxybenzoate, 2-acetoxybenzoate, dinitrobenzoate, hydroxybenzoate, methoxybenzoate, methylbenzoate, bicarbonate, butyne-1,4 dioate, hexyne-1,6-dioate, caproate, caprylate, chlorobenzoate, cinnamate, citrate, decanoate, formate, fumarate, glycolate, gluconate, glucarate, glucuronate, glucose-6-phosphate, glutamate, heptanoate, hexanoate, isethionate, isobutyrate, gamma-hydroxybutyrate, phenylbutyrate, lactate, malate, maleate, hydroxymaleate, methylmaleate, malonate, mandelate, nicotinate, nitrate, isonicotinate, octanoate, oleate, oxalate, pamoate, phosphate, monohydrogenphosphate, dihydrogenphosphate, orthophosphate, metaphosphate, pyrophosphate, 2-phosphoglycerate, 3-phosphoglycerate, phthalate, propionate, phenylpropionate, propiolate, pyruvate, quinate, salicylate, 4-aminosalicylate, sebacate, stearate, suberate, succinate, sulfate, pyrosulfate, bisulfate, sulfite, bisulfite, sulfamate, sulfonate, benzenesulfonate (i.e. besylate), ethanesulfonate (i.e. esylate), ethane-1,2-disulfonate, 2-hydroxyethanesulfonate (i.e. isethionate), methanesulfonate (i.e. mesylate), naphthalene-1-sulfonate, naphthalene-2-sulfonate (i.e. napsylate), propanesulfonate, p-toluenesulfonate (i.e. tosylate), xylenesulfonates, cyclohexylsulfamate, tartrate, and trifluoroacetate. These pharmaceutically acceptable acid addition salts can be prepared using the appropriate corresponding acid.

[1779] When acidic functional groups, such as carboxylic acid or phenol are present, pharmaceutically acceptable salts also include basic addition salts such as those containing

benzathine, chlorprocaine, choline, ethanolamine, diethanolamine, triethanolamine, t-butylamine, dicyclohexylamine, ethylenediamine, N,N'-dibenzylethylenediamine, meglumine, hydroxyethylpyrrolidine, piperidine, morpholine, piperazine, procaine, aluminum, calcium, copper, iron, lithium, magnesium, manganese, potassium, sodium, zinc, ammonium, and mono-, di-, or tri-alkylamines (e.g. diethylamine), or salts derived from amino acids such as L-histidine, L-glycine, L-lysine, and L-arginine. For example, see *Remington's Pharmaceutical Sciences*, 19th ed., Mack Publishing Co., Easton, Pa., Vol. 2, p. 1457, 1995. These pharmaceutically acceptable base addition salts can be prepared using the appropriate corresponding base.

[1780] Pharmaceutically acceptable salts can be prepared by standard techniques. For example, the free-base form of a compound can be dissolved in a suitable solvent, such as an aqueous or aqueous-alcohol solution containing the appropriate acid and then isolated by evaporating the solution. In another example, a salt can be prepared by reacting the free base and acid in an organic solvent. If the particular compound is an acid, the desired pharmaceutically acceptable salt may be prepared by any suitable method, for example, treatment of the free acid with an appropriate inorganic or organic base.

[1781] (d) Other Compound Forms

[1782] In the case of agents that are solids, it is understood by those skilled in the art that the compounds and salts may exist in different crystal or polymorphic forms, or may be formulated as co-crystals, or may be in an amorphous form, or may be any combination thereof (e.g. partially crystalline, partially amorphous, or mixtures of polymorphs) all of which are intended to be within the scope of the present invention and specified formulae. Whereas salts are formed by acid/base addition, i.e. a free base or free acid of the compound of interest forms an acid/base reaction with a corresponding addition base or addition acid, respectively, resulting in an ionic charge interaction, co-crystals are a new chemical species that is formed between neutral compounds, resulting in the compound and an additional molecular species in the same crystal structure.

[1783] In some instances, compounds of the invention are complexed with a basic amino acid, such as L-histidine, L-lysine, or L-arginine, preferably L-lysine or L-arginine. In some instances, the complex of the compound and basic amino acid further comprises a strong acid, such as hydrochloric acid. In such instances, the resulting ternary complex can be amorphous, partially amorphous, or crystalline (e.g. a co-crystal). In combining the compound of the invention with the basic amino acid, an amorphous complex is preferably formed rather than a crystalline material such as a typical salt or co-crystal. In some instances, the amorphous form of the complex is facilitated by additional processing, such as by spray-drying, mechanochemical methods such as roller compaction, or microwave irradiation of the parent compound mixed with the basic amino acid. Such amorphous complexes provide several advantages. For example, lowering of the melting temperature relative to the free base facilitates additional processing, such as hot melt extrusion, to further improve the biopharmaceutical properties of the compound. Also, the amorphous complex is readily friable, which provides improved compression for loading of the solid into capsule or tablet form.

[1784] Additionally, the formulae are intended to cover hydrated or solvated as well as unhydrated or unsolvated

forms of the identified structures. For example, the indicated compounds include both hydrated and non-hydrated forms. Other examples of solvates include the structures in combination with a suitable solvent, such as isopropanol, ethanol, methanol, DMSO, ethyl acetate, acetic acid, or ethanolamine.

Formulations and Administration

[1785] The methods and compounds will typically be used in therapy for human subjects. However, they may also be used to treat similar or identical indications in other animal subjects. Compounds of the invention can be administered by different routes, including injection (i.e. parenteral, including intravenous, intraperitoneal, subcutaneous, and intramuscular), oral, transdermal, transmucosal, rectal, or inhalant. Such dosage forms should allow the compound to reach target cells. Other factors are well known in the art, and include considerations such as toxicity and dosage forms that retard the compound or composition from exerting its effects. Techniques and formulations generally may be found in *Remington: The Science and Practice of Pharmacy*, 21st edition, Lippincott, Williams and Wilkins, Philadelphia, Pa., 2005 (hereby incorporated by reference herein).

[1786] In some embodiments, compositions will comprise pharmaceutically acceptable carriers or excipients, such as fillers, binders, disintegrants, glidants, lubricants, complexing agents, solubilizers, and surfactants, which may be chosen to facilitate administration of the compound by a particular route. Examples of carriers include calcium carbonate, calcium phosphate, various sugars such as lactose, glucose, or sucrose, types of starch, cellulose derivatives, gelatin, lipids, liposomes, nanoparticles, and the like. Carriers also include physiologically compatible liquids as solvents or for suspensions, including, for example, sterile solutions of water for injection (WFI), saline solution, dextrose solution, Hank's solution, Ringer's solution, vegetable oils, mineral oils, animal oils, polyethylene glycols, liquid paraffin, and the like. Excipients may also include, for example, colloidal silicon dioxide, silica gel, talc, magnesium silicate, calcium silicate, sodium aluminosilicate, magnesium trisilicate, powdered cellulose, microcrystalline cellulose, carboxymethyl cellulose, cross-linked sodium carboxymethylcellulose, sodium benzoate, calcium carbonate, magnesium carbonate, stearic acid, aluminum stearate, calcium stearate, magnesium stearate, zinc stearate, sodium stearyl fumarate, syloid, stearowet C, magnesium oxide, starch, sodium starch glycolate, glyceryl monostearate, glyceryl dibehenate, glyceryl palmitostearate, hydrogenated vegetable oil, hydrogenated cotton seed oil, castor seed oil mineral oil, polyethylene glycol (e.g. PEG 4000-8000), polyoxyethylene glycol, poloxamers, povidone, croscarmellose sodium, alginic acid, casein, methacrylic acid divinylbenzene copolymer, sodium docusate, cyclodextrins (e.g. 2-hydroxypropyl-.delta.-cyclodextrin), polysorbates (e.g. polysorbate 80), cetrimide, TPGS (d-alpha-tocopheryl polyethylene glycol 1000 succinate), magnesium lauryl sulfate, sodium lauryl sulfate, polyethylene glycol ethers, di-fatty acid ester of polyethylene glycols, or a polyoxyalkylene sorbitan fatty acid ester (e.g., polyoxyethylene sorbitan ester Tween®), polyoxyethylene sorbitan fatty acid esters, sorbitan fatty acid ester, e.g. a sorbitan fatty acid ester from a fatty acid such as oleic, stearic or palmitic acid, mannitol, xylitol, sorbitol, maltose, lactose, lactose monohydrate or lactose spray dried, sucrose, fructose, calcium phosphate, dibasic calcium phosphate, tribasic calcium phosphate, calcium sulfate, dextrates, dextran, dextrin, dex-

trose, cellulose acetate, maltodextrin, simethicone, polydextrose, chitosan, gelatin, HPMC (hydroxypropyl methyl celluloses), HPC (hydroxypropyl cellulose), hydroxyethyl cellulose, hypromellose, and the like.

[1787] In some embodiments, oral administration may be used. Pharmaceutical preparations for oral use can be formulated into conventional oral dosage forms such as capsules, tablets, and liquid preparations such as syrups, elixirs, and concentrated drops. Compounds of the invention may be combined with solid excipients, optionally grinding a resulting mixture, and processing the mixture of granules, after adding suitable auxiliaries, if desired, to obtain, for example, tablets, coated tablets, hard capsules, soft capsules, solutions (e.g. aqueous, alcoholic, or oily solutions) and the like. Suitable excipients are, in particular, fillers such as sugars, including lactose, glucose, sucrose, mannitol, or sorbitol; cellulose preparations, for example, corn starch, wheat starch, rice starch, potato starch, gelatin, gum tragacanth, methyl cellulose, hydroxypropylmethyl-cellulose, sodium carboxymethylcellulose (CMC), and/or polyvinylpyrrolidone (PVP: povidone); oily excipients, including vegetable and animal oils, such as sunflower oil, olive oil, or codliver oil. The oral dosage formulations may also contain disintegrating agents, such as the cross-linked polyvinylpyrrolidone, agar, or alginate acid, or a salt thereof such as sodium alginate; a lubricant, such as talc or magnesium stearate; a plasticizer, such as glycerol or sorbitol; a sweetening such as sucrose, fructose, lactose, or aspartame; a natural or artificial flavoring agent, such as peppermint, oil of wintergreen, or cherry flavoring; or dye-stuffs or pigments, which may be used for identification or characterization of different doses or combinations. Also provided are dragee cores with suitable coatings. For this purpose, concentrated sugar solutions may be used, which may optionally contain, for example, gum arabic, talc, polyvinylpyrrolidone, carbopol gel, polyethylene glycol, and/or titanium dioxide, lacquer solutions, and suitable organic solvents or solvent mixtures.

[1788] Pharmaceutical preparations that can be used orally include push-fit capsules made of gelatin ("gelcaps"), as well as soft, sealed capsules made of gelatin, and a plasticizer, such as glycerol or sorbitol. The push-fit capsules can contain the active ingredients in admixture with filler such as lactose, binders such as starches, and/or lubricants such as talc or magnesium stearate and, optionally, stabilizers. In soft capsules, the active compounds may be dissolved or suspended in suitable liquids, such as fatty oils, liquid paraffin, or liquid polyethylene glycols.

[1789] In some embodiments, injection (parenteral administration) may be used, e.g., intramuscular, intravenous, intraperitoneal, and/or subcutaneous. Compounds of Formula I for injection may be formulated in sterile liquid solutions, preferably in physiologically compatible buffers or solutions, such as saline solution, Hank's solution, or Ringer's solution. Dispersions may also be prepared in non-aqueous solutions, such as glycerol, propylene glycol, ethanol, liquid polyethylene glycols, triacetin, and vegetable oils. Solutions may also contain a preservative, such as methylparaben, propylparaben, chlorobutanol, phenol, sorbic acid, thimerosal, and the like. In addition, the compounds may be formulated in solid form, including, for example, lyophilized forms, and redissolved or suspended prior to use.

[1790] In some embodiments, transmucosal, topical or transdermal administration may be used. In such formulations of compounds of Formula I, penetrants appropriate to

the barrier to be permeated are used. Such penetrants are generally known in the art, and include, for example, for transmucosal administration, bile salts and fusidic acid derivatives. In addition, detergents may be used to facilitate permeation. Transmucosal administration, for example, may be through nasal sprays or suppositories (rectal or vaginal). Compositions of compounds of Formula I for topical administration may be formulated as oils, creams, lotions, ointments, and the like by choice of appropriate carriers known in the art. Suitable carriers include vegetable or mineral oils, white petrolatum (white soft paraffin), branched chain fats or oils, animal fats and high molecular weight alcohol (greater than C_{12}). In some embodiments, carriers are selected such that the active ingredient is soluble. Emulsifiers, stabilizers, humectants and antioxidants may also be included as well as agents imparting color or fragrance, if desired. Creams for topical application are preferably formulated from a mixture of mineral oil, self-emulsifying beeswax and water in which mixture the active ingredient, dissolved in a small amount of solvent (e.g., an oil), is admixed. Additionally, administration by transdermal means may comprise a transdermal patch or dressing such as a bandage impregnated with an active ingredient and optionally one or more carriers or diluents known in the art. To be administered in the form of a transdermal delivery system, the dosage administration will be continuous rather than intermittent throughout the dosage regimen.

[1791] In some embodiments, compounds are administered as inhalants. Compounds of Formula I may be formulated as dry powder or a suitable solution, suspension, or aerosol. Powders and solutions may be formulated with suitable additives known in the art. For example, powders may include a suitable powder base such as lactose or starch, and solutions may comprise propylene glycol, sterile water, ethanol, sodium chloride and other additives, such as acid, alkali and buffer salts. Such solutions or suspensions may be administered by inhaling via spray, pump, atomizer, or nebulizer, and the like. The compounds of Formula I may also be used in combination with other inhaled therapies, for example corticosteroids such as fluticasone propionate, beclomethasone dipropionate, triamcinolone acetonide, budesonide, and mometasone furoate; beta agonists such as albuterol, salmeterol, and formoterol; anticholinergic agents such as ipratropium bromide or tiotropium; vasodilators such as treprostinil and iloprost; enzymes such as DNAase; therapeutic proteins; immunoglobulin antibodies; an oligonucleotide, such as single or double stranded DNA or RNA, siRNA; antibiotics such as tobramycin; muscarinic receptor antagonists; leukotriene antagonists; cytokine antagonists; protease inhibitors; cromolyn sodium; nedocril sodium; and sodium cromoglycate.

[1792] The amounts of various compounds to be administered can be determined by standard procedures taking into account factors such as the compound activity (in vitro, e.g. the compound IC_{50} vs. target, or in vivo activity in animal efficacy models), pharmacokinetic results in animal models (e.g. biological half-life or bioavailability), the age, size, and weight of the subject, and the disorder associated with the subject. The importance of these and other factors are well known to those of ordinary skill in the art. Generally, a dose will be in the range of about 0.01 to 50 mg/kg, also about 0.1 to 20 mg/kg of the subject being treated. Multiple doses may be used.

[1793] The compounds of Formula I may also be used in combination with other therapies for treating the same dis-

ease. Such combination use includes administration of the compounds and one or more other therapeutics at different times, or co-administration of the compound and one or more other therapies. In some embodiments, dosage may be modified for one or more of the compounds of the invention or other therapeutics used in combination, e.g., reduction in the amount dosed relative to a compound or therapy used alone, by methods well known to those of ordinary skill in the art.

[1794] It is understood that use in combination includes use with other therapies, drugs, medical procedures etc., where the other therapy or procedure may be administered at different times (e.g. within a short time, such as within hours (e.g. 1, 2, 3, 4-24 hours), or within a longer time (e.g. 1-2 days, 2-4 days, 4-7 days, 1-4 weeks)) than a compound of Formula I, or at the same time as a compound of Formula I. Use in combination also includes use with a therapy or medical procedure that is administered once or infrequently, such as surgery, along with a compound of Formula I administered within a short time or longer time before or after the other therapy or procedure. In some embodiments, the present invention provides for delivery of a compound of Formula I and one or more other drug therapeutics delivered by a different route of administration or by the same route of administration. The use in combination for any route of administration includes delivery of a compound of Formula I and one or more other drug therapeutics delivered by the same route of administration together in any formulation, including formulations where the two compounds are chemically linked in such a way that they maintain their therapeutic activity when administered. In one aspect, the other drug therapy may be co-administered with a compound of Formula I. Use in combination by co-administration includes administration of co-formulations or formulations of chemically joined compounds, or administration of two or more compounds in separate formulations within a short time of each other (e.g. within an hour, 2 hours, 3 hours, up to 24 hours), administered by the same or different routes. Co-administration of separate formulations includes co-administration by delivery via one device, for example the same inhalant device, the same syringe, etc., or administration from separate devices within a short time of each other. Co-formulations of a compound of Formula I and one or more additional drug therapies delivered by the same route includes preparation of the materials together such that they can be administered by one device, including the separate compounds combined in one formulation, or compounds that are modified such that they are chemically joined, yet still maintain their biological activity. Such chemically joined compounds may have a linkage that is substantially maintained in vivo, or the linkage may break down in vivo, separating the two active components.

EXAMPLES

[1795] Examples related to the present invention are described below. In most cases, alternative techniques can be used. The examples are intended to be illustrative and are not limiting or restrictive to the scope of the invention. In some examples, the mass spectrometry result indicated for a compound may have more than one value due to the isotope distribution of an atom in the molecule, such as a compound having a bromo or chloro substituent. Synthesis of known starting materials in the following examples, or known compounds for formation of solid forms can be found, for example, in U.S. patent application Ser. No. 11/473,347 (see also, PCT publication WO2007002433), U.S. patent applica-

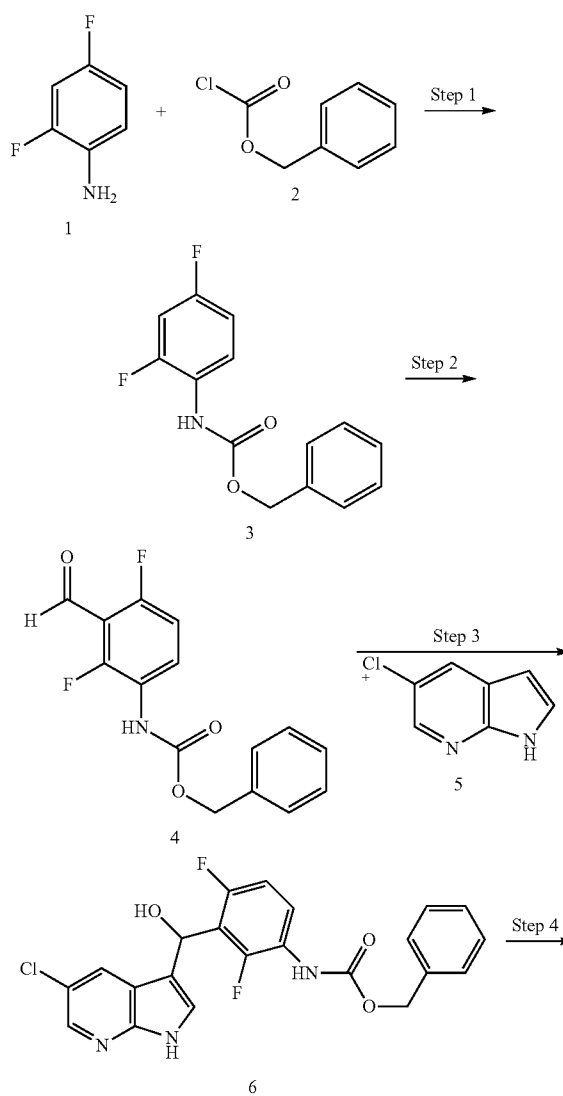
tion Ser. No. 11/960,590 (Publication number 2008/0167338), U.S. patent application Ser. No. 11/961,901 (Publication number 2008/0188514), U.S. patent application Ser. No. 11/986,667 (see also, PCT publication WO2008064265), U.S. Provisional Patent Application Ser. No. 61/060,418, U.S. Provisional Patent Application Ser. No. 61/054,445, and PCT patent application PCT/US2008/070124, the disclosures of which are hereby incorporated by reference regarding methods of making compounds.

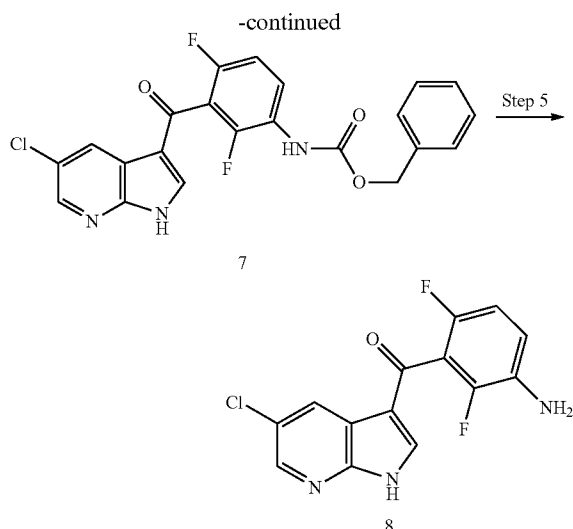
Example 1

Synthesis of (3-amino-2,6-difluoro-phenyl)-(1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone compounds

[1796] (3-amino-2,6-difluoro-phenyl)-(1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone compounds substituted at the 4 or 5 position were prepared by the following schemes.

Scheme 1





Step 1—Preparation of (2,4-difluoro-phenyl)-carbamic acid benzyl ester (3):

[1797] To 2,4-difluoro-phenylamine (1, 7.0 mL, 70.0 mmol) in 100 mL of dichloromethane, pyridine (11 mL, 140.0 mmol) and benzyl chloroformate (2, 11.9 mL, 83.4 mmol) were added. The reaction mixture was stirred at room temperature for 1.5 hours. The reaction mixture was concentrated under vacuum and the residue was partitioned between ethyl acetate and potassium bisulfate solution. The organic layer was dried with magnesium sulfate, filtered and the filtrate concentrated under vacuum and crystallized from hexanes to give the desired compound (3, 15.6 g, 85%).

Step 2—Preparation of (2,4-difluoro-3-formyl-phenyl)-carbamic acid benzyl ester (4):

[1798] Into a round bottom flask was added (2,4-difluoro-phenyl)-carbamic acid benzyl ester (3, 3.83 g, 14.5 mmol) in 148 mL of tetrahydrofuran. The solution was chilled to 78° C. and *n*-butyllithium (1.60 M in hexane, 19.1 mL, 30.0 mmol) was added over 30 minutes followed by the addition of 1.12 mL of *N,N*-dimethylformamide. The reaction mixture was allowed to warm to room temperature and stirred overnight. The reaction mixture was poured into water and extracted with ethyl acetate and the organic layer was washed with brine, dried over sodium sulfate, filtered and the filtrate concentrated under vacuum and crystallized from ether to give the desired compound (4, 3.0 g, 71%).

Step 3—Preparation of {3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-2,4-difluoro-phenyl}-carbamic acid benzyl ester (6):

[1799] Into a round bottom flask was added 5-chloro-1H-pyrrolo[2,3-b]pyridine (5, 0.524 g, 3.43 mmol) in 5.00 mL of methanol. Potassium hydroxide (0.800 g, 14.2 mmol) and (2,4-difluoro-3-formyl-phenyl)-carbamic acid benzyl ester (4, 1.02 g, 3.5 mmol) were added and the reaction mixture was stirred overnight. The reaction mixture was poured into 1N hydrochloric acid and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate, filtered and the filtrate concentrated under vacuum and crystallized from ethyl acetate to give the desired compound (6, 710 mg, 46%). MS (ESI)[M+H]⁺=444.

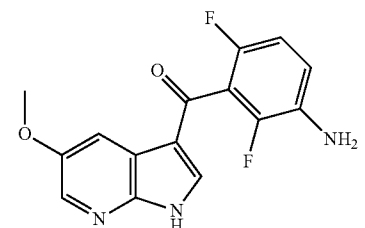
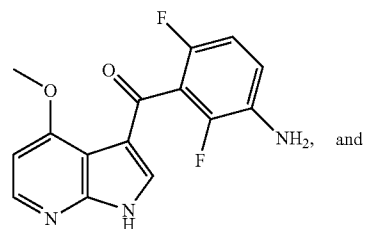
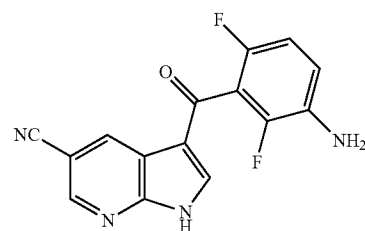
Step 4—Preparation of [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-carbamic acid benzyl ester (7):

[1800] Into a round bottom flask was added {3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-hydroxy-methyl]-2,4-difluoro-phenyl}-carbamic acid benzyl ester (6, 1.01 g, 2.28 mmol) in 5.00 mL of tetrahydrofuran. Dess-Martin periodinane (1.20 g, 2.89 mmol) was added in portions. The reaction mixture was stirred at room temperature for 10 minutes, then poured into water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate, filtered and the filtrate concentrated under vacuum and purified by silica gel chromatography to give the desired compound (7, 914 mg, 91%). MS (ESI)[M+H]⁺=442.

Step 5—Preparation of (3-amino-2,6-difluoro-phenyl)-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (8):

[1801] [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-carbamic acid benzyl ester (7, 800 mg, 1.81 mmol) was added to 15.00 mL of 10 M sodium hydroxide and warmed to reflux overnight. The reaction mixture was diluted with 30 mL of water and extracted with ethyl acetate. The organic layer was separated, dried, filtered and the filtrate concentrated under vacuum to give the desired compound (8, 450 mg, 81%).

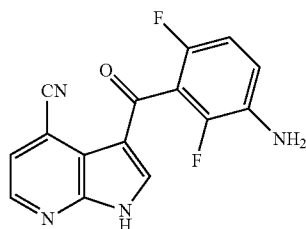
[1802] 3-(3-Amino-2,6-difluoro-benzoyl)-1H-pyrrolo[2,3-b]pyridine-5-carbonitrile 9, (3-amino-2,6-difluoro-phenyl)-(4-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 10, and (3-amino-2,6-difluoro-phenyl)-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 11,



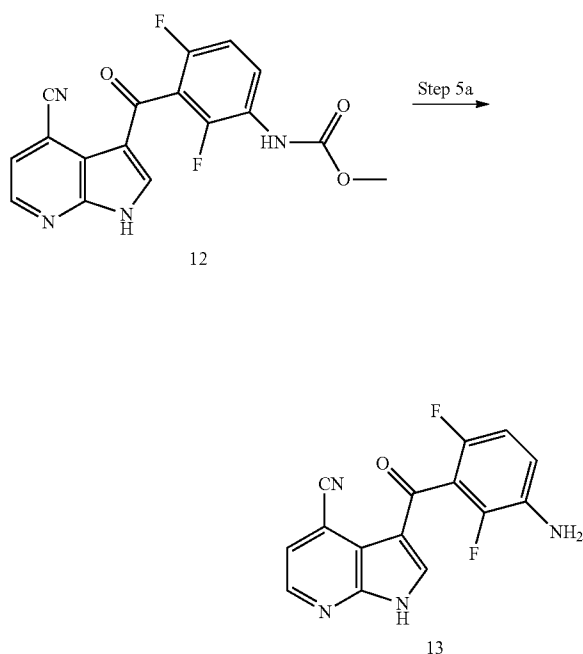
were prepared similarly to the protocol of Scheme 1, replacing 5-chloro-1H-pyrrolo[2,3-b]pyridine 5 with 1H-pyrrolo

[2,3-b]pyridine-5-carbonitrile, 4-methoxy-1H-pyrrolo[2,3-b]pyridine, and 5-methoxy-1H-pyrrolo[2,3-b]pyridine, respectively, in Step 3.

[1803] 3-(3-Amino-2,6-difluoro-benzoyl)-1H-pyrrolo[2,3-b]pyridine-4-carbonitrile 13



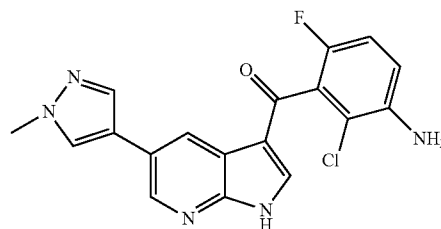
was prepared similarly, replacing 5-chloro-1H-pyrrolo[2,3-b]pyridine 5 with 1H-pyrrolo[2,3-b]pyridine-4-carbonitrile in Step 3, resulting in the methyl ester of the carbamic acid along with the benzyl ester. The methyl ester is carried through Step 4 and the resulting [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-carbamic acid methyl ester (12) was reacted by the following Step 5a:



Step 5a — Preparation of 3-(3-amino-2,6-difluoro-benzoyl)-1H-pyrrolo[2,3-b]pyridine-4-carbonitrile (13):

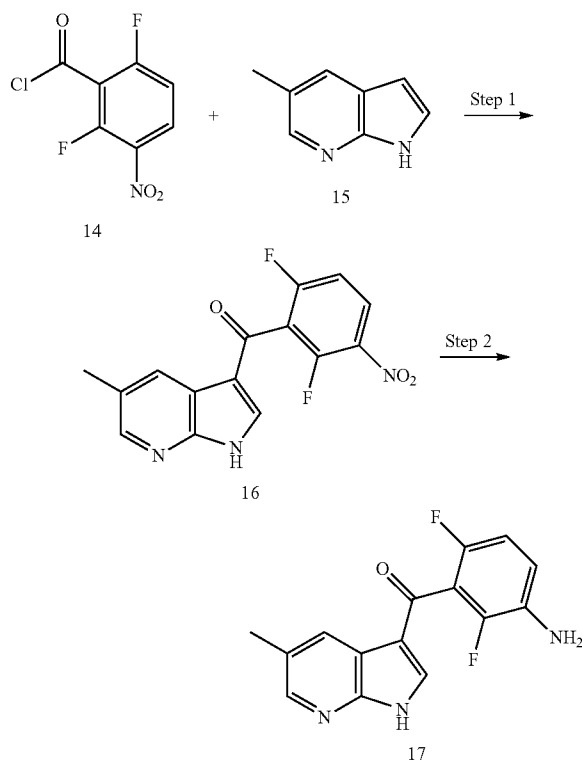
[1804] To [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-carbamic acid methyl ester (12, 0.290 g, 0.814 mmol) in 3.0 mL of acetonitrile at 25° C. under an atmosphere of nitrogen, iodotrimethylsilane (0.431 mL, 3.03 mmol) was added. The reaction was stirred at room temperature overnight, then concentrated and washed with ethyl acetate and hexane to give a brown solid, which was used without further purification (13, 245 mg, 79.1% purity) or further purified. MS (ESI) $[M+H]^+$ = 299.0.

[1805] (3-Amino-2-chloro-6-fluoro-phenyl)-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-methanone 71



was prepared similarly to the protocol used for 3-(3-Amino-2,6-difluoro-benzoyl)-1H-pyrrolo[2,3-b]pyridine-4-carbonitrile 13, replacing 2,4-difluoro-phenylamine 1 with 2-chloro-4-fluoro-phenylamine in step 1 and replacing 5-chloro-1H-pyrrolo[2,3-b]pyridine 5 with 5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine in Step 3. MS (ESI) $[M+H]^+$ = 369.7 and 371.4.

Scheme 2



Step 1—Preparation of (2,6-difluoro-3-nitro-phenyl)-(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (16):

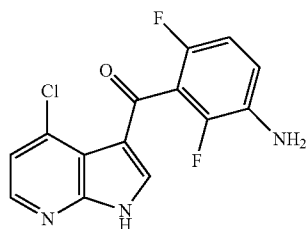
[1806] To 5-methyl-1H-pyrrolo[2,3-b]pyridine (15, 2.00 g, 15.1 mmol) and aluminum trichloride (11.6 g, 87.2 mmol), nitromethane (63.1 mL, 1.16 mol) was added, followed by the addition of 2,6-difluoro-3-nitro-benzoyl chloride (14, 3.22 g, 14.5 mmol). The reaction was placed in an oil bath at 45° C. and stirred for 3 days, then cooled to room temperature and 30 mL of methanol was added. The reaction was then diluted

with 200 mL of ethyl acetate and 100 mL each of water and 1N hydrochloric acid, resulting in a precipitate that was collected to provide the desired compound (16, 2.761 g). Additional compound was recovered from the organic layer, removing the solvent and purifying by silica gel column chromatography eluting with a gradient of 5 to 70% ethyl acetate in hexanes to provide another 126 mg of compound. MS (ESI) $[M+H]^+=317.9$.

Step 2—Preparation of (3-amino-2,6-difluoro-phenyl)-(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (17):

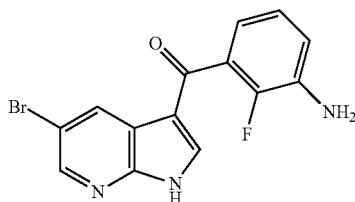
[1807] To (2,6-difluoro-3-nitro-phenyl)-(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (16, 1.165 g, 3.672 mmol), 80 mL of ethyl acetate was added, followed by stannous chloride, dihydrate (2.86 g, 12.6 mmol). The suspension was stirred in an oil bath at 65° C. for 18 hours, then poured into a beaker with 200 mL each of water and saturated bicarbonate. The resulting milky suspension was treated with celite, then vacuum filtered through a thin pad of celite. The resulting clear layers of the filtrate were separated and the solvents were removed from the ethyl acetate layer. The resulting material was purified by silica gel column chromatography eluting with a gradient from 30 to 100% ethyl acetate in hexanes to give the desired compound with some impurities. This material was re-purified by silica gel column chromatography eluting with a gradient from 1 to 60% methanol in dichloromethane to give the desired compound (17, 760 mg). ¹H NMR was consistent with the desired compound structure. MS (ESI) $[M+H]^+=288.5$.

[1808] (3-Amino-2,6-difluoro-phenyl)-(4-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone



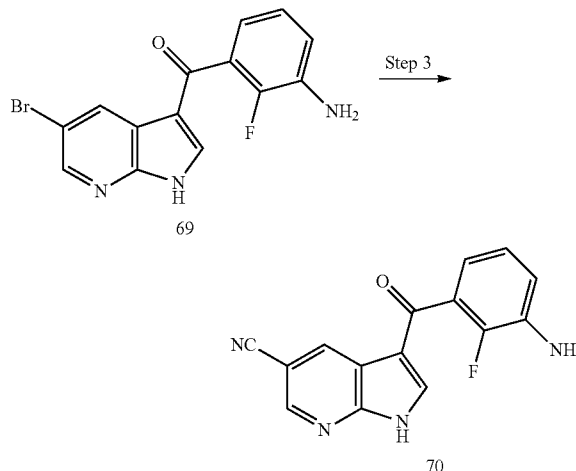
was prepared similarly to the protocol of Scheme 2, replacing 5-methyl-1H-pyrrolo[2,3-b]pyridine 15 with 4-chloro-1H-pyrrolo[2,3-b]pyridine in Step 1, and in Step 2, the nitro compound is reduced in ethanol using iron instead of stannous chloride, and the reaction is carried out at 85° C. MS (ESI) $[M+H]^+=308.4$.

[1809] (3-Amino-2-fluoro-phenyl)-(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 69



was prepared similarly to the protocol of Scheme 2, replacing 5-methyl-1H-pyrrolo[2,3-b]pyridine 15 with 5-bromo-1H-pyrrolo[2,3-b]pyridine and replacing 2,6-difluoro-3-nitro-benzoyl chloride 14 with 2-fluoro-3-nitro-benzoyl chloride in Step 1. MS (ESI) $[M+H]^+=334.3$ and 336.3. This was

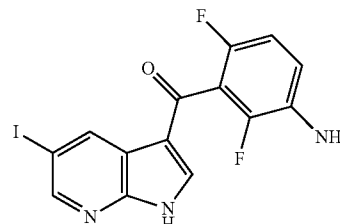
reacted further to provide (3-Amino-2-fluoro-phenyl)-(5-cyano-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 70 as follows:



Step 3—Preparation of (3-amino-2-fluoro-phenyl)-(5-cyano-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (70):

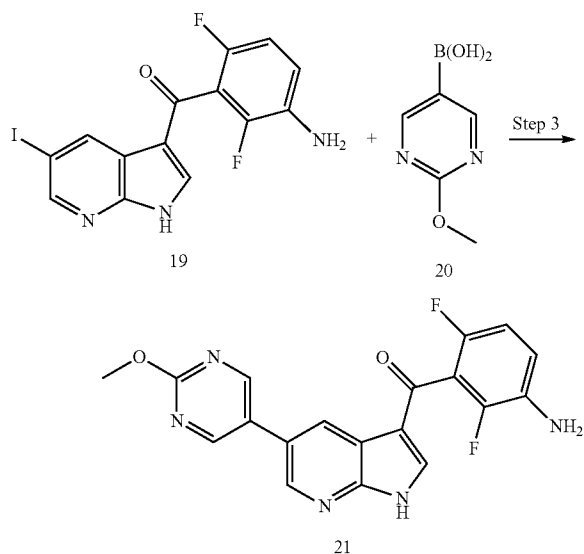
[1810] To (3-amino-2-fluoro-phenyl)-(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (69, 1.080 g, 3.232 mmol) in a vial, N,N-dimethylacetamide (2.90 mL, 31.2 mmol) was added. The suspension was degassed by bubbling with argon and zinc powder (0.032 g, 0.48 mmol), 1,1'-bis(diphenylphosphino)ferrocene (0.0568 g, 0.102 mmol), zinc cyanide (0.223 g, 1.90 mmol), and tris(dibenzylideneacetone)dipalladium (0) (0.053 g, 0.052 mmol) were added at room temperature under argon. The mixture was heated to 120° C., resulting in most of the solid dissolving, and the mixture was heated at 120° C. for 2 hours, then cooled to 100° C. and 8 mL of water was added and the reaction mixture cooled to room temperature. The reaction mixture was extracted with ethyl acetate and saturated sodium chloride in water. The organic layer was washed with water and brine, then dried with magnesium sulfate, filtered and the filtrate concentrated under vacuum. The residue was suspended in acetonitrile and sonicated for 30 minutes, after which the precipitated material was collected by filtration to provide the desired compound as a tan solid (70, 613 mg). Additional material was recovered from the filtrate by silica gel chromatography eluting with ethyl acetate and hexanes, the appropriate fractions were combined and the solvent removed to provide an additional 42 mg. MS (ESI) $[M+H]^+=280.9$.

[1811] (3-Amino-2,6-difluoro-phenyl)-(5-iodo-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 19



was prepared similarly to the protocol of Scheme 2, replacing 5-methyl-1H-pyrrolo[2,3-b]pyridine 15 with 5-iodo-1H-pyr-

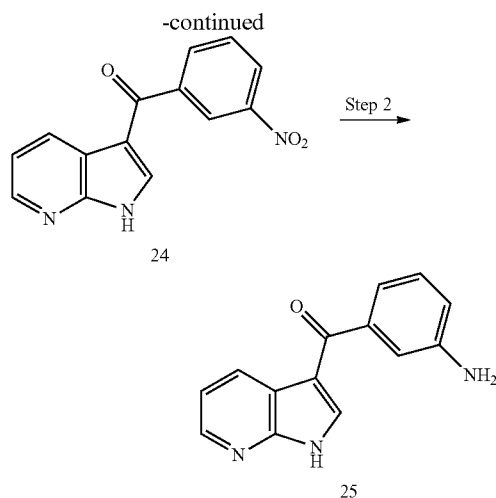
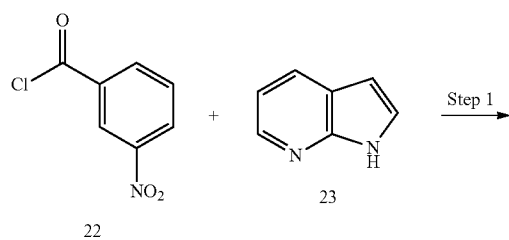
pyrrolo[2,3-b]pyridine in Step 1. MS (ESI) $[M+H]^+=399.9$. This was reacted further to provide (3-amino-2,6-difluorophenyl)-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-methanone 21 via the following Step 3a:



Step 3a —Preparation of (3-amino-2,6-difluorophenyl)-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-methanone (21):

[1812] In a microwave vial, (3-amino-2,6-difluorophenyl)-(5-iodo-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (19, 1.36 g, 3.41 mmol), 2-methoxy-pyrimidine-5-boronic acid (20, 1.05 g, 6.81 mmol), and [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II) (0.25 g, 0.34 mmol) were mixed in 22 mL of 1.00 M potassium carbonate in water and 18 mL of acetonitrile. The resulting mixture was heated at 160° C. in the microwave for 15 minutes. The resulting mixture was filtered through a thin layer of celite, and the celite bed was washed with a mixture of water and ethyl acetate. The two layers of the filtrate were separated, and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered, and the filtrate concentrated under vacuum. The residue was purified by flash silica gel chromatography eluting with ethyl acetate and dichloromethane to provide the desired compound (21, 0.567 g). MS (ESI) $[M+H]^+=382.1$.

Scheme 2a



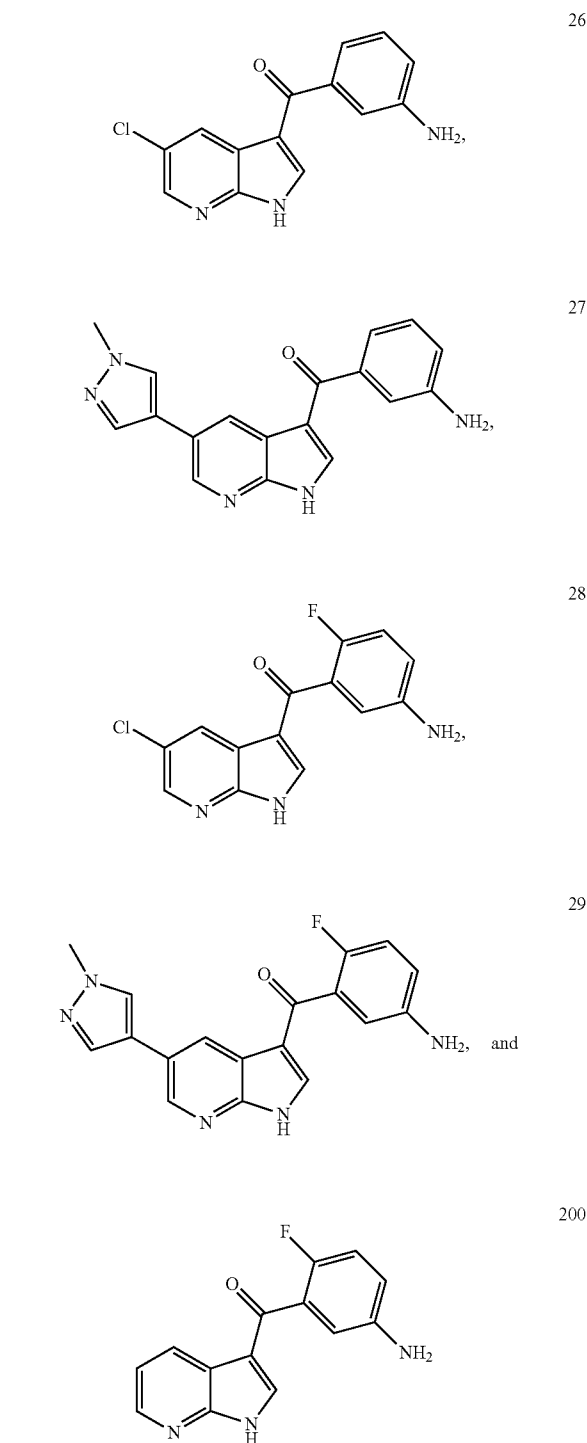
[1813] Step 1—Preparation of (3-nitro-phenyl)-(1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (24):

[1814] Into a round bottom flask under an atmosphere of nitrogen, aluminum trichloride (2.8 g, 21.0 mmol) was added to 40 mL of dichloromethane. 1H-Pyrrolo[2,3-b]pyridine (23, 0.5 g, 4.0 mmol) was then added and the solution was stirred under nitrogen at room temperature. After 1 hour, 3-nitro-benzoyl chloride (22, 2.0 g, 10.0 mmol) was added dropwise. The mixture was stirred at room temperature for 2.5 hours, then quenched with methanol. The solvents were removed under vacuum and ethyl acetate and water were added. The resulting solid was filtered out and stirred with hot methanol for 30 minutes, filtered and dried under vacuum to provide the desired compound (24, 150 mg).

[1815] Step 2—Preparation of (3-amino-phenyl)-(1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (25):

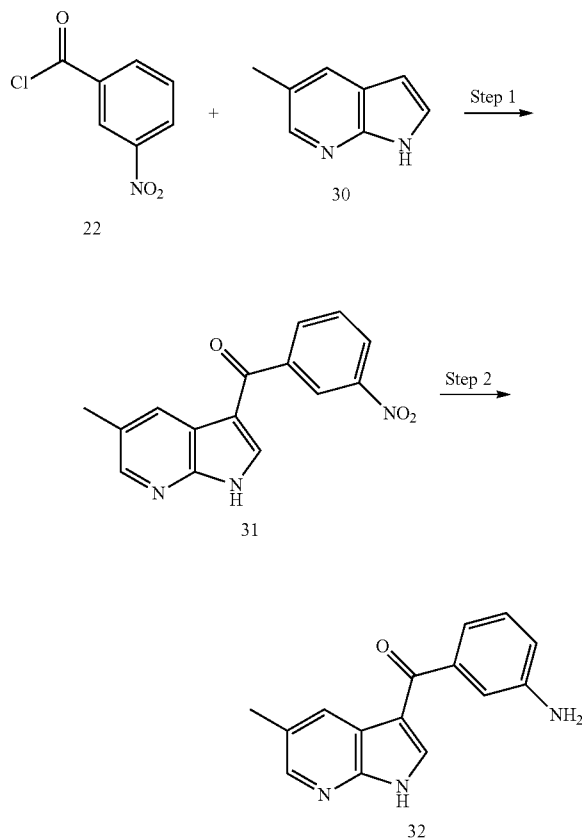
[1816] Into a round bottom flask, under an atmosphere of nitrogen, (3-nitro-phenyl)-(1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (24, 26 mg, 0.097 mmol) was combined with 2 mL of 6 M hydrochloric acid in water and 0.44 mL of ethanol, resulting in a clear solution. Iron (95 mg, 1.7 mmol) was added to the mixture and the reaction was refluxed for 3.5 hours, then cooled and the solvents removed under vacuum. The resulting solid was dissolved into ethyl acetate and washed with sodium bicarbonate. The ethyl acetate layer was dried over sodium sulfate, filtered and the filtrate was concentrated under vacuum. The desired compound was purified with preparative TLC plate eluting with 5% methanol/dichloromethane with some triethylamine drops added. The desired band was extracted to provide the desired compound (25, 14.3 mg) of white solid after lyophilization.

[1817] (3-Amino-phenyl)-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 26, (3-amino-phenyl)-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-methanone 27, (5-amino-2-fluoro-phenyl)-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 28, (5-amino-2-fluoro-phenyl)-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-methanone 29, and (5-amino-2-fluoro-phenyl)-(1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 200,



were prepared similarly to the protocol of Scheme 2a, where 1H-pyrrolo[2,3-b]pyridine 23 was replaced with 5-chloro-1H-pyrrolo[2,3-b]pyridine (26, 28) or 5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine (27, 29) in Step 1 and optionally replacing 3-nitro-benzoyl chloride 22 with 2-fluoro-5-nitro-benzoyl chloride in Step 1 (28, 29, 200).

Scheme 2b



Step 1—Preparation of (5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-(3-nitro-phenyl)-methanone (31):

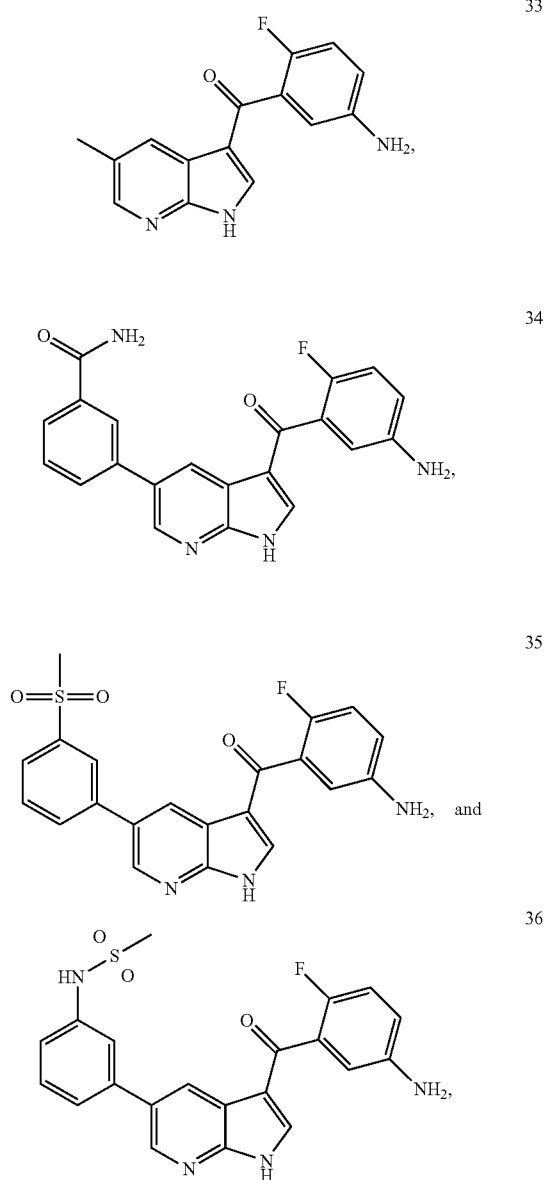
[1818] 5-Methyl-1H-pyrrolo[2,3-b]pyridine (30, 198 mg, 1.5 mmol) was dissolved in 2 mL of dichloromethane, followed by addition of aluminum trichloride (1.2 g, 9 mmol). After stirring at room temperature under nitrogen for 30 minutes, 3-nitro-benzoyl chloride (22, 555 mg, 3 mmol) was added. The reaction mixture was stirred at room temperature overnight, then poured into ice water and acetic acid was added to neutralize the pH. The mixture was extracted with ethyl acetate and the organic layer was washed with brine, dried over magnesium sulfate and concentrated in vacuum to provide the desired compound as an off white solid (31, 321 mg, 76%).

Step 2—Preparation of (3-amino-phenyl)-(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (32):

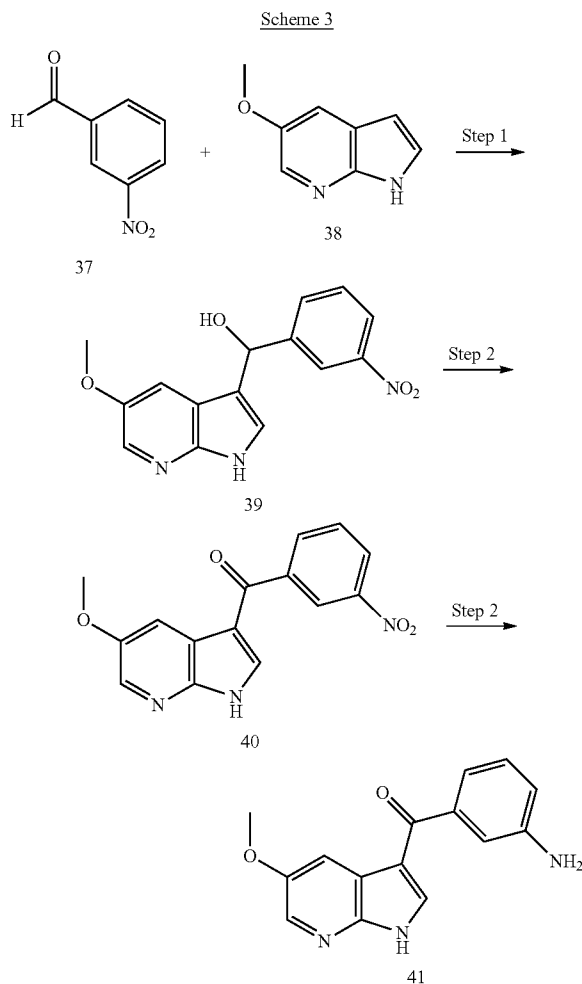
[1819] (5-Methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-(3-nitro-phenyl)-methanone (31, 321 mg, 1.14 mmol) was dissolved in 10 mL of ethanol and 1.5 mL of concentrated hydrochloric acid and iron dust (1.28 g, 23 mmol) was added. The reaction mixture was refluxed for 2 hours, the iron dust was filtered off and washed with ethanol. Combined filtrates were evaporated under vacuum and the residue was dissolved in ethyl acetate and washed with bicarbonate, then brine, and dried over anhydrous magnesium sulfate, filtered and the

filtrate concentrated under vacuum to provide the desired compound as a yellow solid (32, 120 mg, 42%).

[1820] (5-Amino-2-fluoro-phenyl)-(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 33, 3-[3-(5-amino-2-fluoro-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-benzamide 34, (5-amino-2-fluoro-phenyl)-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-methanone 35, and N-[3-[3-(5-amino-2-fluoro-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-phenyl]-methanesulfonamide 36,



were prepared similarly to the protocol of Scheme 2b, replacing 3-nitro-benzoyl chloride 22 with 2-fluoro-5-nitro-benzoyl chloride in Step 1 and optionally replacing 5-methyl-1H-pyrrolo[2,3-b]pyridine 30 with 3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-benzamide (34), 5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine (35), and N-[3-(1H-Pyrrolo[2,3-b]pyridin-5-yl)-phenyl]-methanesulfonamide (36) in Step 1.



Step 1—Preparation of (5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-(3-nitro-phenyl)-methanol (39):

[1821] To a solution of 5-methoxy-1H-pyrrolo[2,3-b]pyridine (38, 592 mg, 4 mmol) and 3-nitrobenzaldehyde (37, 1.2 g, 8 mmol) in 5 mL of dioxane, potassium hydroxide (448 mg, 8 mmol) was added. The reaction mixture was heated at 50° C. for 30 minutes. The resulting precipitate was isolated by filtration and washed with water. This solid was dissolved in ethyl acetate, and the organic layer was washed with brine, dried over anhydrous magnesium sulfate, filtered and the filtrate concentrated under vacuum to provide the desired compound as a white solid (39, 938 mg, 78%).

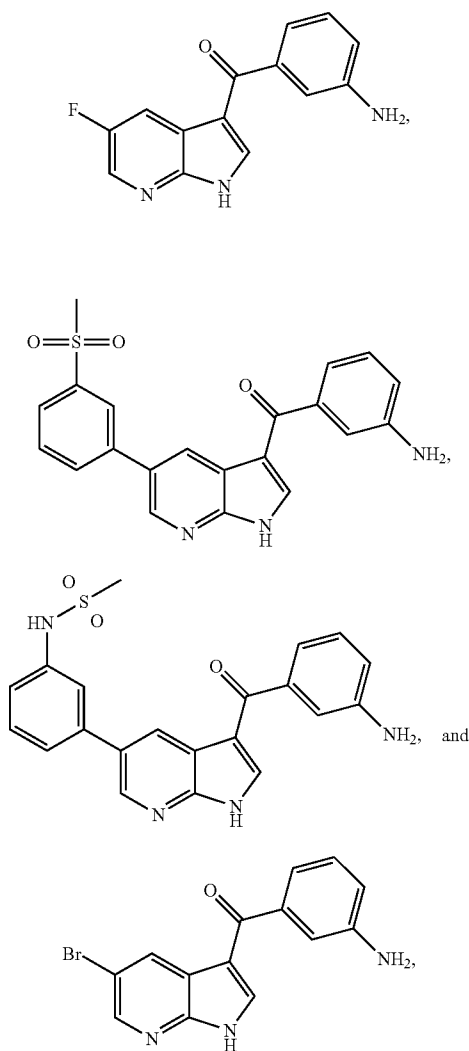
Step 2—Preparation of (5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-(3-nitro-phenyl)-methanone (40):

[1822] To a solution of (5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-(3-nitro-phenyl)-methanol (39, 450 mg, 1.5 mmol) in 5 mL of dichloromethane, pyridinium chlorochromate (390 mg, 1.8 mmol) was added. The reaction mixture was stirred at room temperature overnight. The resulting precipitate was isolated by filtration and washed with dichloromethane and used in the next step without further purification.

Step 3—Preparation of (3-Amino-phenyl)-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (41):

[1823] The crude (5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-(3-nitro-phenyl)-methanone (40) was treated according to the protocol of Scheme 2b Step 2 to provide the desired compound.

[1824] (3-Amino-phenyl)-(5-fluoro-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 42, (3-amino-phenyl)-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-methanone 43, N-{3-[3-(3-amino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-phenyl}-methane sulfonamide 44, and (3-amino-phenyl)-(5-bromo-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 45,



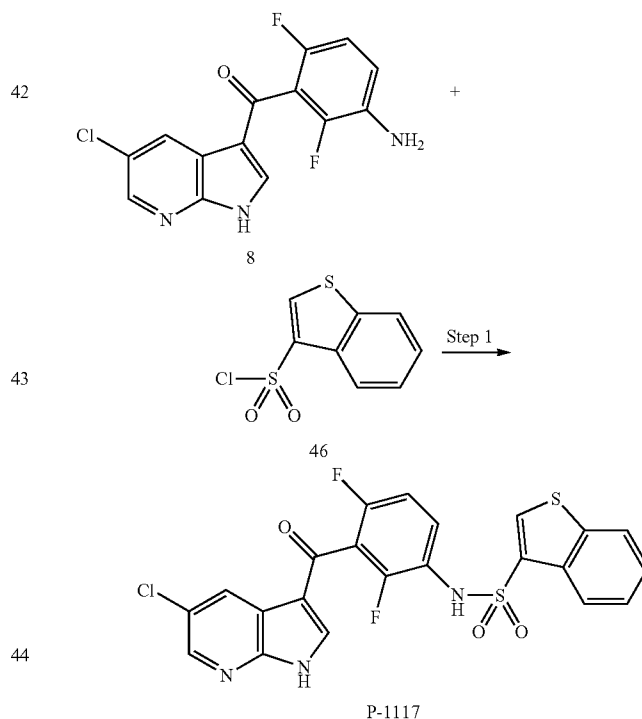
were prepared similarly to the protocol of Scheme 3, replacing 5-methoxy-1H-pyrrolo[2,3-b]pyridine 38 with 5-fluoro-1H-pyrrolo[2,3-b]pyridine (42), 5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine (43), N-[3-(1H-Pyrrolo[2,3-b]pyridin-5-yl)-phenyl]-methanesulfonamide (44), and 5-bromo-1H-pyrrolo[2,3-b]pyridine (45), in Step 1.

Example 2

Synthesis of benzo[b]thiophene-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide P-1117

[1825] Benzo[b]thiophene-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide P-1117 was synthesized in one step from (3-amino-2,6-difluoro-phenyl)-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 8 as shown in Scheme 4.

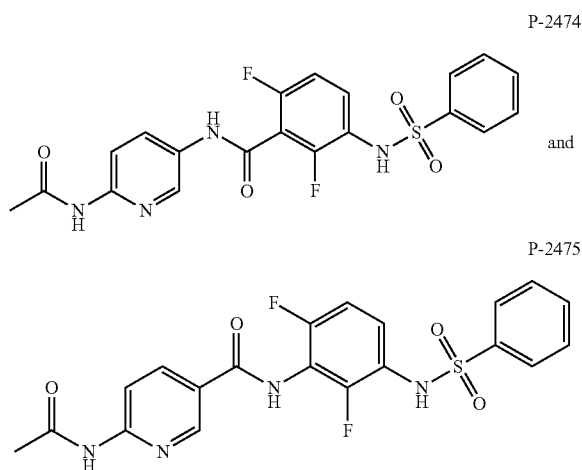
Scheme 4



Step 1—Preparation of benzo[b]thiophene-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1117):

[1826] To (3-amino-2,6-difluoro-phenyl)-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (8, 10 mg, 0.033 mmol) and 1-benzothiophene-3-sulfonyl chloride (46, 23 mg, 0.1 mmol) dissolved in 1 mL of tetrahydrofuran in a 2 mL microwave vial, 100 μ L of pyridine was added and the solution was irradiated in microwave for 10 minutes at 130° C. The reaction mixture was transferred to a 5 mL vial and the solvents were removed under reduced pressure. The crude dry material was dissolved in 300 μ L of dimethylsulfoxide and purified by reverse phase HPLC, eluting with 0.1% trifluoroacetic acid in water and 0.1% trifluoroacetic acid in acetonitrile, 20-100% acetonitrile over 16 minutes at 6 mL per minute. Appropriate fractions were combined and the solvent removed under reduced pressure to provide the desired compound. MS (ESI)[M+H]⁺=503.9.

[1827] N-(6-Acetyl amino-pyridin-3-yl)-3-benzenesulfonylamino-2,6-difluoro-benzamide P-2474 and 6-acetyl-amino-N-(3-benzenesulfonylamino-2,6-difluoro-phenyl)-nicotinamide P-2475,



were prepared similarly to the protocol of Scheme 4, replacing (3-amino-2,6-difluoro-phenyl)-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 8 with N-(6-acetylamino-pyridin-3-yl)-3-amino-2,6-difluoro-benzamide (64) and 6-acetylamino-N-(3-amino-2,6-difluoro-phenyl)-nicotinamide (68), respectively, and replacing 1-benzothiophene-3-sulfonyl chloride 46 with benzenesulfonyl chloride. MS (ESI)[M+H]⁺=447.1 (P-2474) and 447.1 (P-2475).

[1828] Additional compounds were prepared similarly to the protocol of Scheme 4, where optimal reaction conditions may have varied in terms of time and temperature of the reaction, and in chromatography conditions for purification of the desired compounds. The reactions were performed optionally replacing (3-amino-2,6-difluoro-phenyl)-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone 8 with 5-(2-methoxy-pyrimidin-5-yl), 5-methyl, 5-cyano, 5-methoxy, 4-methoxy, 4-cyano, or 4-chloro (3-amino-2,6-difluoro-phenyl)-(1H-pyrrolo[2,3-b]pyridin-3-yl)-methanone (prepared as described in Example 1) and/or replacing 1-benzothiophene-3-sulfonyl chloride 46 with an appropriate sulfonyl chloride. The following compounds were prepared by this procedure:

- [1829]** 4-Methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2001),
- [1830]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2002),
- [1831]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2003),
- [1832]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3,5-dimethyl-benzene-sulfonamide (P-2004),
- [1833]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-4-methoxy-benzenesulfonamide (P-2005),
- [1834]** N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-2-methyl-benzene-sulfonamide (P-2006),
- [1835]** 2,3-Dihydro-benzofuran-5-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2007),

- [1836]** N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-2009),
- [1837]** N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2010),
- [1838]** N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2011),
- [1839]** N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzene-sulfonamide (P-1497),
- [1840]** N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-benzenesulfonamide (P-1498),
- [1841]** N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-2014),
- [1842]** N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2015),
- [1843]** N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-2016),
- [1844]** N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2017),
- [1845]** N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2018),
- [1846]** N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-benzenesulfonamide (P-2019),
- [1847]** N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2020),
- [1848]** N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2-fluoro-benzenesulfonamide (P-2021),
- [1849]** N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2022),
- [1850]** N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-2023),
- [1851]** N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2024),
- [1852]** N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2025),
- [1853]** N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2026),
- [1854]** N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-fluoro-benzenesulfonamide (P-2027),
- [1855]** N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2028),
- [1856]** N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2029),

- [1857] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2030),
- [1858] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2031),
- [1859] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2032),
- [1860] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2033),
- [1861] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2034),
- [1862] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2035),
- [1863] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,5-difluoro-benzene-sulfonamide (P-2036),
- [1864] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,6-difluoro-benzene-sulfonamide (P-2037),
- [1865] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-2,4-difluoro-benzene-sulfonamide (P-2038),
- [1866] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-3-fluoro-benzenesulfonamide (P-2039),
- [1867] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-fluoro-benzenesulfonamide (P-2040),
- [1868] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2-fluoro-benzenesulfonamide (P-2041),
- [1869] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2,5-difluoro-benzenesulfonamide (P-2042),
- [1870] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2,6-difluoro-benzenesulfonamide (P-2043),
- [1871] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-2,4-difluoro-benzenesulfonamide (P-2044),
- [1872] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-3-fluoro-benzenesulfonamide (P-2045),
- [1873] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-benzenesulfonamide (P-2046),
- [1874] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2047),
- [1875] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2050),
- [1876] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2-fluoro-benzenesulfonamide (P-2051),
- [1877] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2,5-difluoro-benzenesulfonamide (P-2052),
- [1878] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-3-fluoro-benzenesulfonamide (P-2053),
- [1879] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2,6-difluoro-benzenesulfonamide (P-2054),
- [1880] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-2,4-difluoro-benzenesulfonamide (P-2055),
- [1881] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-benzenesulfonamide (P-2056),
- [1882] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2061),
- [1883] 2H-[1,2,4]Triazole-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2062),
- [1884] Pyridine-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2063),
- [1885] 2H-[1,2,4]Triazole-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2064),
- [1886] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2065),
- [1887] 2H-[1,2,4]Triazole-3-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2066),
- [1888] Pyridine-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2067),
- [1889] 2H-[1,2,4]Triazole-3-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2068),
- [1890] Pyridine-3-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2069),
- [1891] Pyridine-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2070),
- [1892] Pyridine-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2071),
- [1893] Pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2072),
- [1894] Pyridine-3-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2073),
- [1895] Pyridine-3-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2074),
- [1896] Pyridine-2-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2075),
- [1897] Pyridine-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2076),
- [1898] Pyridine-3-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2077),

- [1899] Pyridine-2-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2078),
- [1900] Pyridine-2-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2079),
- [1901] 2H-[1,2,4]Triazole-3-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2080),
- [1902] 5-Methyl-isoxazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2081),
- [1903] 1,5-Dimethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2082),
- [1904] 1-Ethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2083),
- [1905] 1-Methyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2084),
- [1906] 6-Methoxy-pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2085),
- [1907] Pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2086),
- [1908] Pyridine-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2087),
- [1909] 5-Methyl-isoxazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2088),
- [1910] 1-Ethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2089),
- [1911] 1-Methyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2090),
- [1912] 1,5-Dimethyl-1H-pyrazole-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2091),
- [1913] 6-Methoxy-pyridine-3-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2092),
- [1914] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C-pyridin-2-yl-methanesulfonamide (P-2093),
- [1915] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C-pyridin-3-yl-methanesulfonamide (P-2094),
- [1916] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C-pyridin-4-yl-methanesulfonamide (P-2095),
- [1917] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-C-pyridin-3-yl-methanesulfonamide (P-2096),
- [1918] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-C-pyridin-4-yl-methanesulfonamide (P-2097),
- [1919] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-C-pyridin-2-yl-methanesulfonamide (P-2098),
- [1920] N-{2-Chloro-4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-3-difluoromethoxy-benzenesulfonamide (P-2099),
- [1921] 4-Fluoro-N-{4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-2100),
- [1922] N-{4-Fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-3-trifluoromethyl-benzenesulfonamide (P-2101),
- [1923] N-{4-Fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-3-trifluoromethoxy-benzenesulfonamide (P-2102),
- [1924] 3-Chloro-N-{4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-2103),
- [1925] N-{4-Fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-4-trifluoromethyl-benzenesulfonamide (P-2104),
- [1926] 3-Fluoro-N-{4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-benzenesulfonamide (P-2105),
- [1927] 4-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-benzenesulfonamide (P-2106),
- [1928] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-4-fluoro-benzenesulfonamide (P-2107),
- [1929] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2108),
- [1930] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2109),
- [1931] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2110),
- [1932] 3-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-benzenesulfonamide (P-2111),
- [1933] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2112),
- [1934] 4-Chloro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2113),
- [1935] 4-Fluoro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2114),
- [1936] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2115),
- [1937] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2116),
- [1938] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2117),
- [1939] 3-Chloro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2118),
- [1940] N-[4-Fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2119),
- [1941] 3-Fluoro-N-[4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2120),
- [1942] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-fluoro-benzenesulfonamide (P-2121),

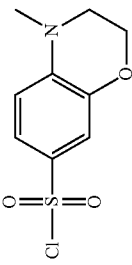
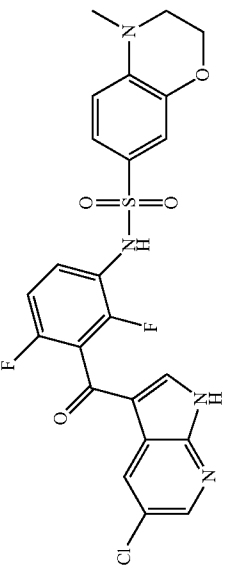
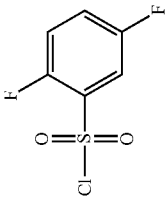
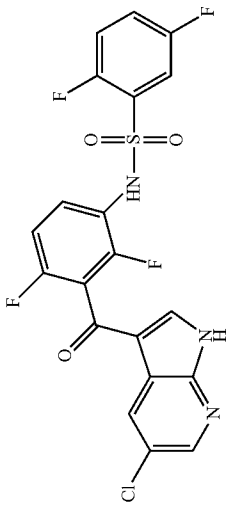
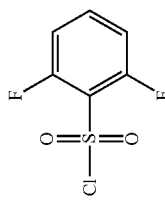
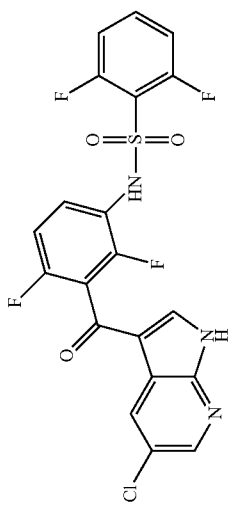
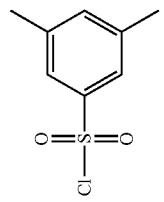
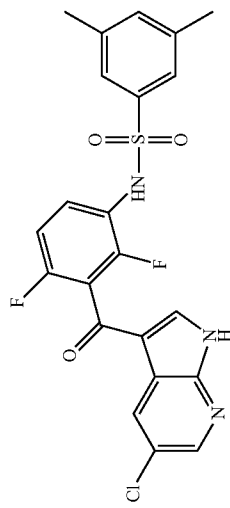
- [1943] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2122),
- [1944] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2123),
- [1945] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2124),
- [1946] 3-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2125),
- [1947] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2126),
- [1948] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-3-fluoro-benzenesulfonamide (P-2127),
- [1949] 4-Chloro-N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-benzenesulfonamide (P-2128),
- [1950] 4-Chloro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2129),
- [1951] 4-Fluoro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2130),
- [1952] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-trifluoromethyl-benzenesulfonamide (P-2131),
- [1953] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-4-trifluoromethoxy-benzenesulfonamide (P-2132),
- [1954] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-3-trifluoromethoxy-benzenesulfonamide (P-2133),
- [1955] 3-Chloro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2134),
- [1956] N-[3-[5-(1-Methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-4-trifluoromethyl-benzenesulfonamide (P-2135),
- [1957] 3-Fluoro-N-[3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-benzenesulfonamide (P-2136),
- [1958] Pyridine-3-sulfonic acid [4-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2137),
- [1959] Pyridine-3-sulfonic acid {4-fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2138),
- [1960] Pyridine-3-sulfonic acid {4-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2139),
- [1961] Pyridine-3-sulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2140),
- [1962] Pyridine-3-sulfonic acid [3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2141),
- [1963] Pyridine-3-sulfonic acid [3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2142),
- [1964] Pyridine-3-sulfonic acid [3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2143),
- [1965] Pyridine-3-sulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2144),
- [1966] Pyridine-3-sulfonic acid {3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2145),
- [1967] Pyridine-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2146),
- [1968] Pyridine-3-sulfonic acid {3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2149),
- [1969] Ethanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2272),
- [1970] Propane-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2273),
- [1971] Ethanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2274),
- [1972] Propane-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2275),
- [1973] Ethanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2276),
- [1974] Ethanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2277),
- [1975] Propane-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2278),
- [1976] Ethanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2279),
- [1977] Propane-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2280),
- [1978] Ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2281),
- [1979] Propane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2282),
- [1980] Ethanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2283),
- [1981] Propane-2-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2284),
- [1982] Propane-2-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2285),
- [1983] Ethanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2286),
- [1984] Propane-2-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2287),
- [1985] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-2288),
- [1986] N-[2,4-Difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-2289),

- [1987] N-[3-(4-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2290),
- [1988] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2291),
- [1989] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2292),
- [1990] N-[2,4-Difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-methanesulfonamide (P-2293),
- [1991] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-methanesulfonamide (P-2294),
- [1992] N-{2,4-Difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-methanesulfonamide (P-2295),
- [1993] 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2303),
- [1994] 2-Methyl-propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2304),
- [1995] 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2305),
- [1996] 2-Methyl-propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2306),
- [1997] 2-Methyl-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2307),
- [1998] Butane-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2308),
- [1999] Pentane-2-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2309),
- [2000] Butane-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2310),
- [2001] Pentane-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2311),
- [2002] Butane-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2312),
- [2003] Butane-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2313),
- [2004] Pentane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2314),
- [2005] Pentane-2-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2315),
- [2006] Pentane-2-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2316),
- [2007] Butane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2317),
- [2008] 2-Methyl-propane-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2318),
- [2009] Butane-2-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2319),
- [2010] 2-Methyl-propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2320),
- [2011] Butane-2-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2321),
- [2012] 2-Methyl-propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2322),
- [2013] Butane-2-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2323),
- [2014] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2324),
- [2015] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2327),
- [2016] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2328),
- [2017] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2329),
- [2018] 3,3,3-Trifluoro-propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2330),
- [2019] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2331),
- [2020] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2332),
- [2021] 3,3,3-Trifluoro-propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2333),
- [2022] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2335),
- [2023] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2336),
- [2024] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2337),
- [2025] 2,2,2-Trifluoro-ethanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2338),
- [2026] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2339),
- [2027] 2,2,2-Trifluoro-ethanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2340),
- [2028] 2,2,2-Trifluoro-ethanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2341),

- [2029] 2,2,2-Trifluoro-ethanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2342),
- [2030] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C,C,C-trifluoro-methanesulfonamide (P-2346),
- [2031] N-[2,4-Difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-C,C,C-trifluoro-methanesulfonamide (P-2347),
- [2032] Cyclobutanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2353),
- [2033] Cyclobutanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2354),
- [2034] Cyclohexanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2355),
- [2035] Cyclopentanesulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2356),
- [2036] Cyclohexanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2357),
- [2037] Cyclopentanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2358),
- [2038] Cyclopentanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2359),
- [2039] Cyclohexanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2360),
- [2040] Cyclohexanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2361),
- [2041] Cyclobutanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2362),
- [2042] Cyclobutanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2363),
- [2043] Cyclobutanesulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2364),
- [2044] Cyclopentanesulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2365),
- [2045] Cyclohexanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2366),
- [2046] Cyclohexanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2367),
- [2047] Cyclopentanesulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2368),
- [2048] Cyclohexanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2369),
- [2049] Cyclobutanesulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2370),
- [2050] Cyclohexanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2371),
- [2051] Cyclopentanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2372),
- [2052] Cyclobutanesulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2373),
- [2053] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2374),
- [2054] Pyrrolidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2375),
- [2055] N,N-dimethylamino-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2376),
- [2056] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2377),
- [2057] N,N-diethylamino-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2378),
- [2058] Pyrrolidine-1-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2379),
- [2059] Pyrrolidine-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1500),
- [2060] N,N-dimethylamino-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1501),
- [2061] N,N-dimethylamino-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2382),
- [2062] N,N-dimethylamino-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2383),
- [2063] N,N-diethylamino-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2384),
- [2064] N,N-diethylamino-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2385),
- [2065] N,N-diethylamino-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2386),
- [2066] N,N-diethylamino-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2387),
- [2067] N,N-diethylamino-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2388),
- [2068] N,N-diethylamino-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2389),
- [2069] Morpholine-4-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2390),
- [2070] Morpholine-4-sulfonic acid [2,4-difluoro-3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2391),

- [2071] Morpholine-4-sulfonic acid [2,4-difluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2392),
- [2072] Morpholine-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2393),
- [2073] Pyrrolidine-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2394),
- [2074] Morpholine-4-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2395),
- [2075] Morpholine-4-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2396),
- [2076] Morpholine-4-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2397),
- [2077] Pyrrolidine-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2398),
- [2078] N,N-dimethylamino-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2399),
- [2079] Pyrrolidine-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2400),
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- [2081] Morpholine-4-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2402),
- [2082] Ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2410),
- [2083] Propane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2411),
- [2084] 2-Methyl-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2412),
- [2085] Butane-2-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2413),
- [2086] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2414),
- [2087] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2415),
- [2088] Cyclohexanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2416),
- [2089] Cyclopentanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2417),
- [2090] Cyclobutanesulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2418),
- [2091] N,N-dimethylamino-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2419),
- [2092] Pyrrolidine-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2420),
- [2093] Morpholine-4-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2421),
- [2094] Propane-1-sulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2431),
- [2095] Butane-1-sulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2432),
- [2096] Cyclopropanesulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2433),
- [2097] Cyclohexanesulfonic acid {4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2434),
- [2098] Butane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-2435),
- [2099] Cyclopropanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-2436),
- [2100] Propane-1-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2437),
- [2101] Butane-1-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2438),
- [2102] Cyclopropanesulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2439),
- [2103] Piperidine-1-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2440),
- [2104] Cyclohexanesulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2441),
- [2105] Cyclopentanesulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2442),
- [2106] Cyclohexanesulfonic acid [4-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2443),
- [2107] Cyclohexanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2444),
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- [2109] Cyclohexanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2446),
- [2110] Cyclopentanesulfonic acid {4-fluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2447),
- [2111] Cyclopentanesulfonic acid [4-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2448),
- [2112] Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2449),
- [2113] Butane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2450),
- [2114] Cyclopropanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2451),
- [2115] Cyclohexanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2452),
- [2116] Cyclopentanesulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2453),

- [2117] Propane-1-sulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2454),
- [2118] Butane-1-sulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2455),
- [2119] Cyclopropanesulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2456),
- [2120] Cyclohexanesulfonic acid {3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2457),
- [2121] Cyclohexanesulfonic acid [3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2458),
- [2122] Cyclopentanesulfonic acid [3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2459),
- [2123] Cyclohexanesulfonic acid [3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2460),
- [2124] Cyclohexanesulfonic acid {3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2461),
- [2125] Cyclohexanesulfonic acid [3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2462),
- [2126] Cyclopentanesulfonic acid [3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2463),
- [2127] Cyclopentanesulfonic acid [3-(5-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2464),
- [2128] 3-{3-[3-(3-Cyclohexanesulfonylamino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-phenyl}-propionic acid (P-2465),
- [2129] 3-{3-[3-(3-Cyclopentanesulfonylamino-benzoyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]-phenyl}-propionic acid (P-2466),
- [2130] Piperidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-4-fluoro-phenyl]-amide (P-2468),
- [2131] N,N-dimethylamino-sulfonic acid [4-fluoro-3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2469),
- [2132] N,N-dimethylamino-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2470),
- [2133] Piperidine-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2471),
- [2134] Pyridine-3-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]amide (P-2472),
- [2135] Pyrrolidine-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2476),
- [2136] N,N-dimethylamino-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2477),
- [2137] 6-Trifluoromethyl-pyridine-3-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2481),
- [2138] 5-Trifluoromethyl-pyridine-2-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2485), and
- [2139] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-propyl-benzenesulfonamide (P-2486).
- [2140] The following table indicates the 1H-pyrrolo[2,3-b]pyridine (column 2) and sulfonyl chloride (column 3) used to afford the desired compound (column 4). The compound number is provided in column 1, and the observed mass is in column 5.

1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2001			519.1
P-2002			483.9
P-2003			483.9
P-2004			475.9

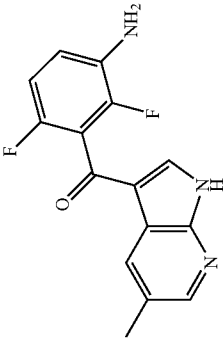
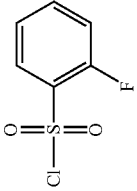
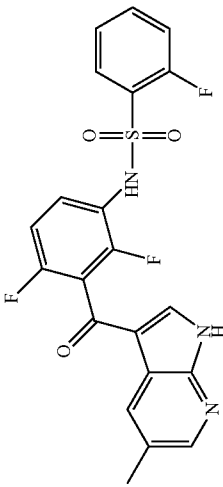
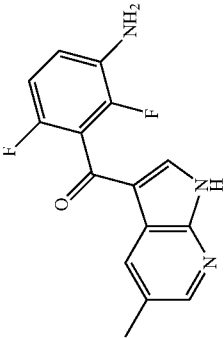
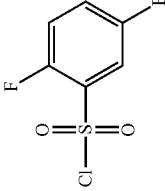
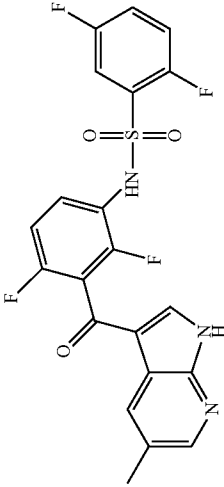
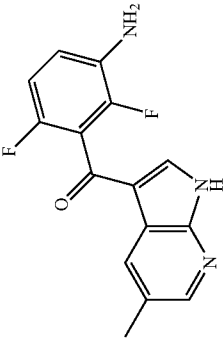
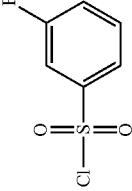
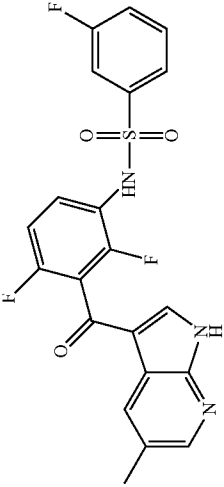
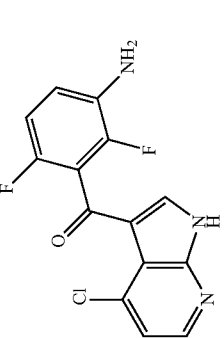
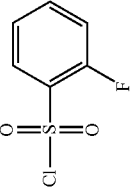
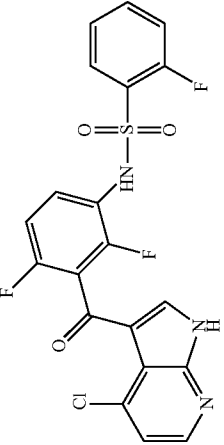
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2005				495.9
P-2006				479.9
P-2007				490.3
P-2009				461.9

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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2010				479.9
P-2011				457.1
P-1497				475.1
P-1498				457.1

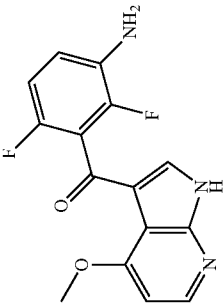
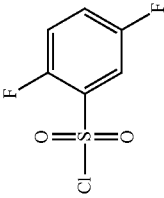
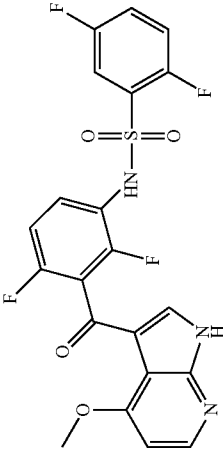
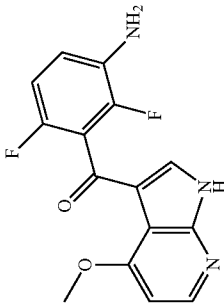
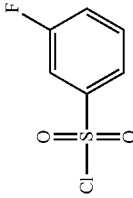
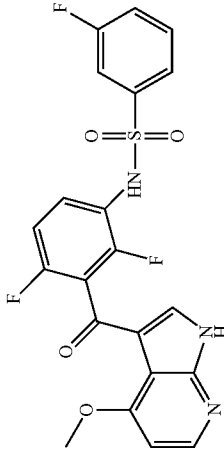
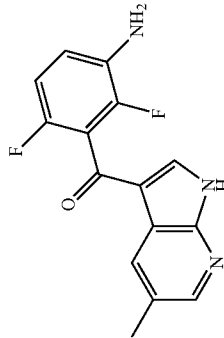
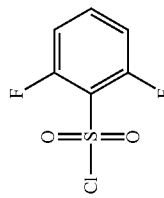
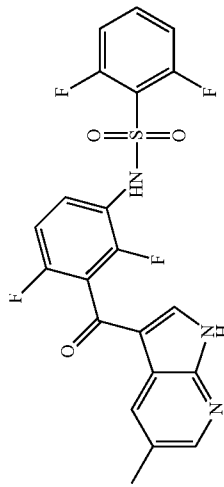
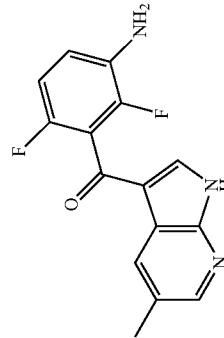
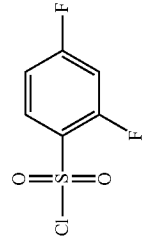
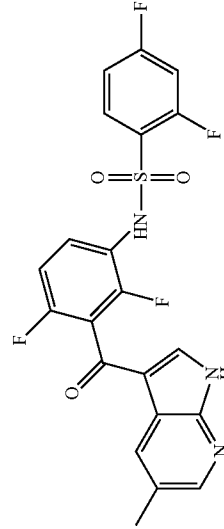
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2014				445.9
P-2015				463.9
P-2016				445.9
P-2017				465.9

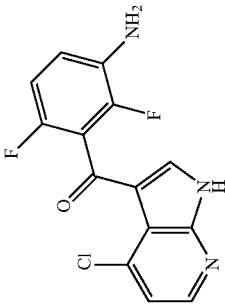
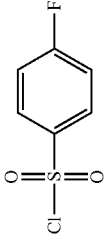
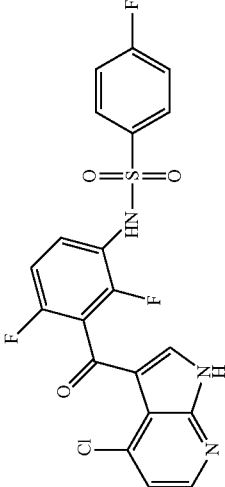
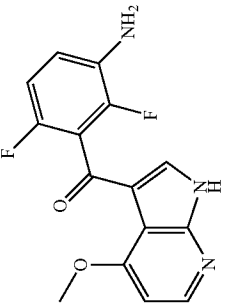
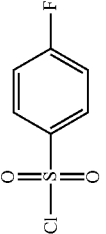
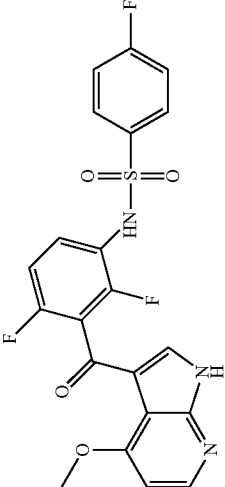
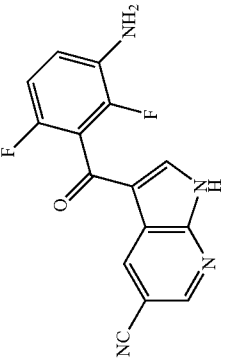
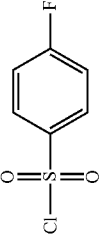
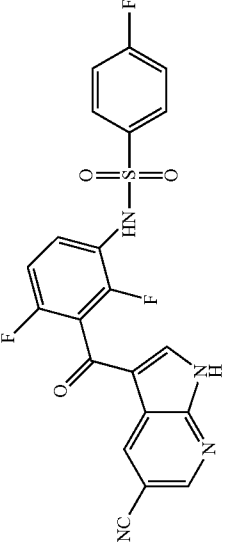
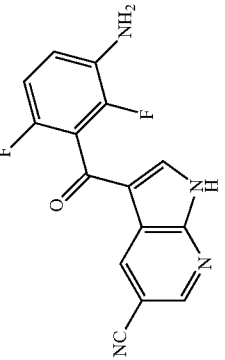
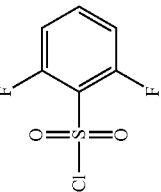
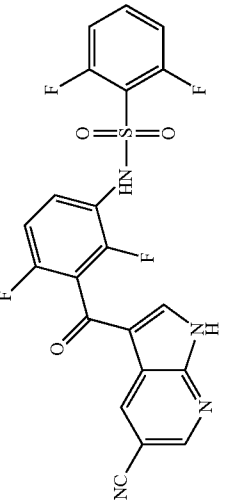
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2018				483.9
P-2019				465.9
P-2020				457.1
P-2021				461.9

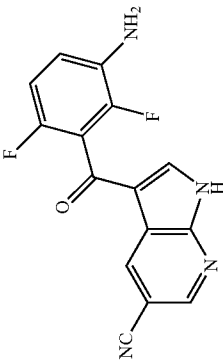
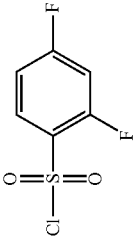
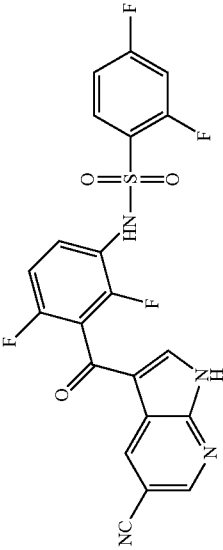
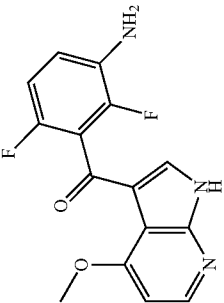
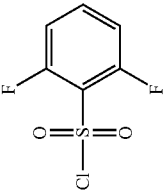
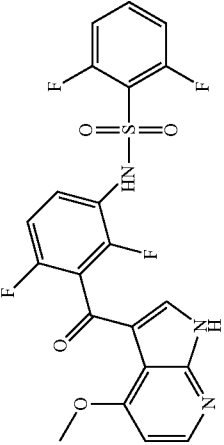
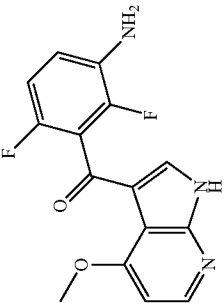
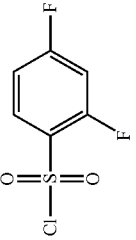
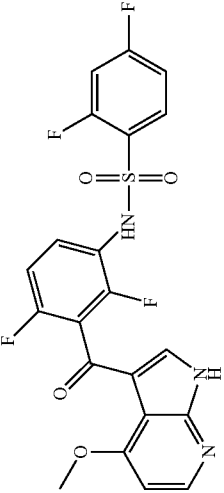
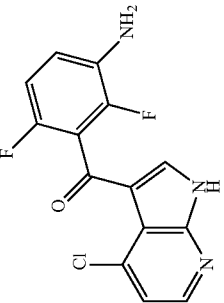
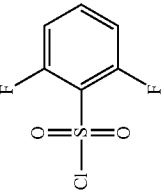
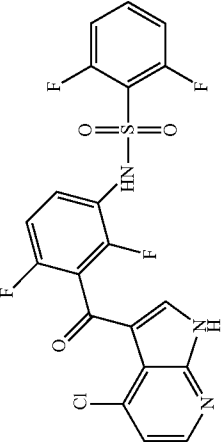
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2022				479.9
P-2023				461.9
P-2024				463.9
P-2025				463.9

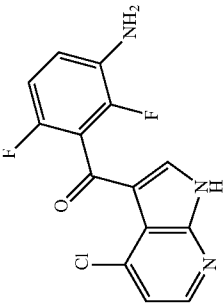
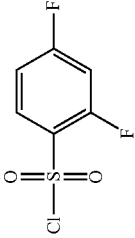
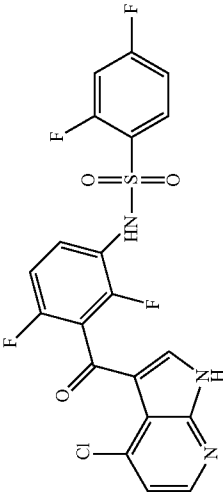
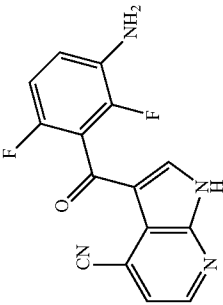
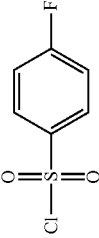
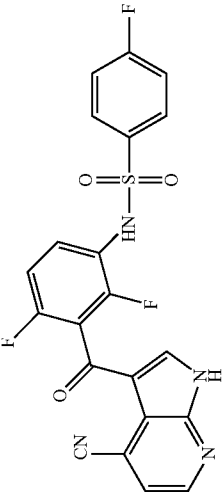
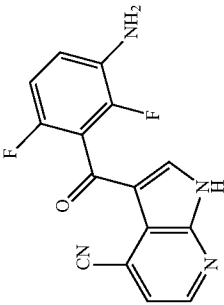
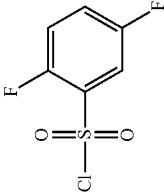
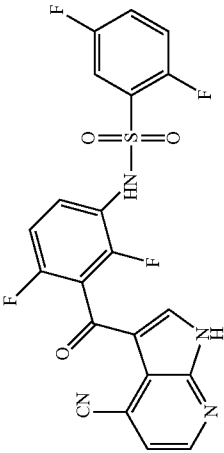
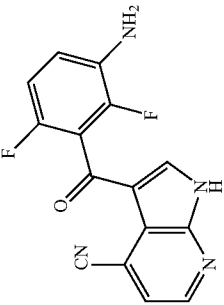
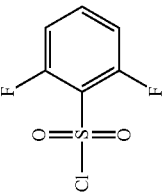
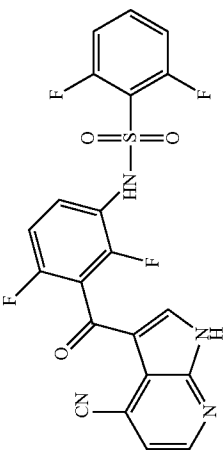
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2026				465.9
P-2027				461.9
P-2028				457.1
P-2029				474.7

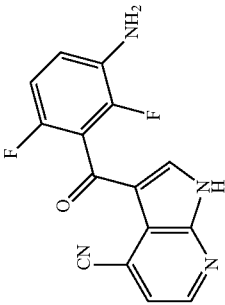
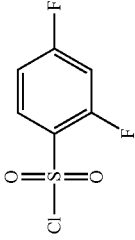
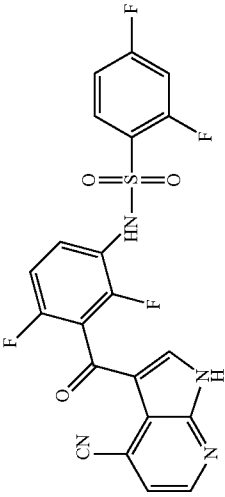
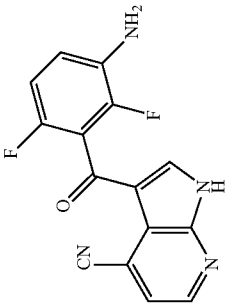
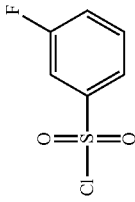
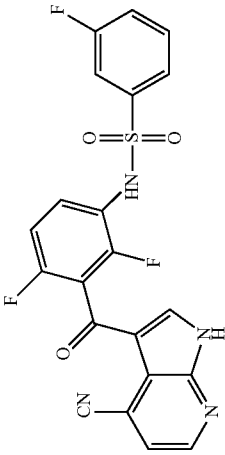
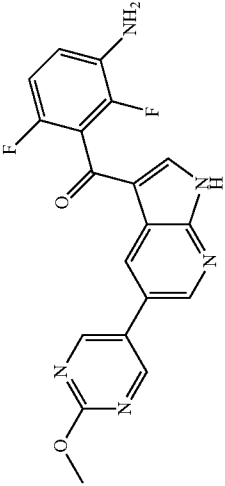
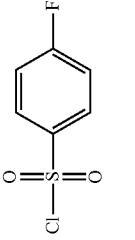
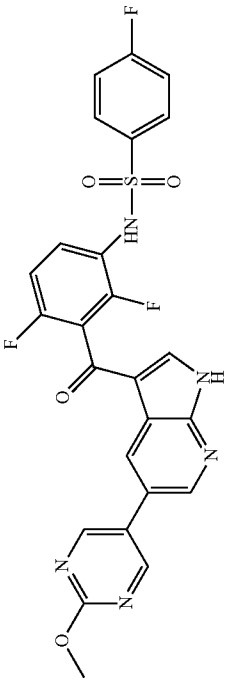
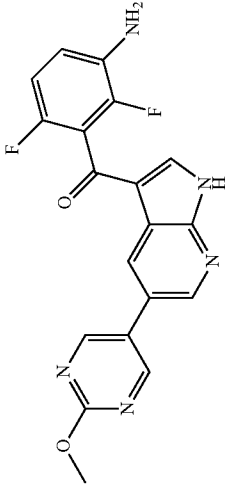
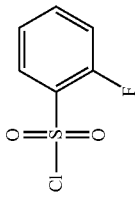
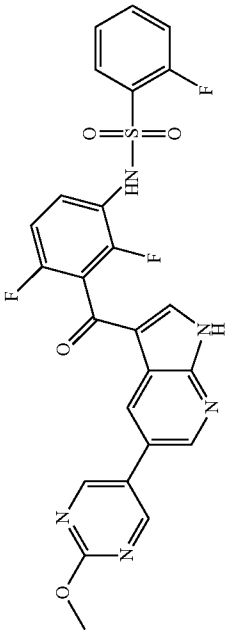
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2030				475.1
P-2031				479.9
P-2032				479.9
P-2033				483.9

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2034				483.9
P-2035				457.1
P-2036				475.1
P-2037				474.7

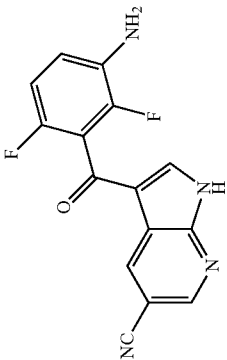
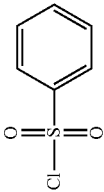
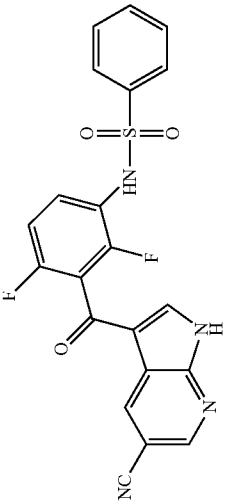
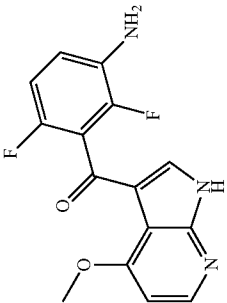
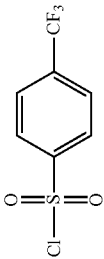
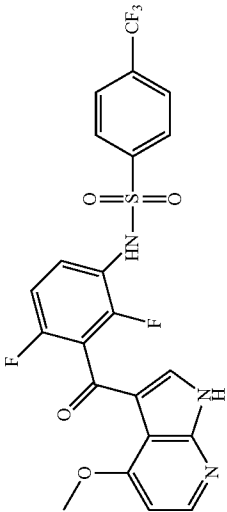
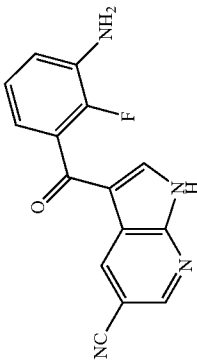
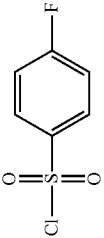
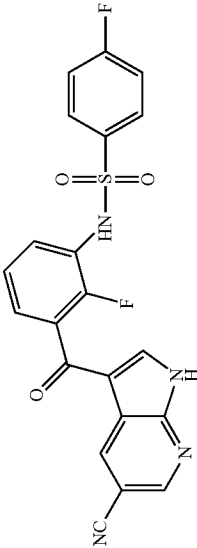
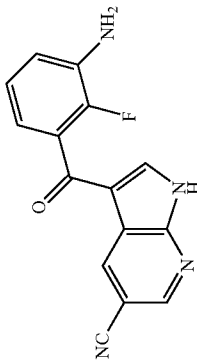
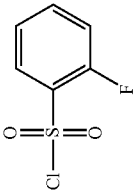
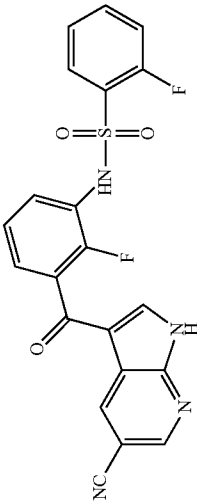
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2038				475.1
P-2039				457.1
P-2040				540.3
P-2041				539.9

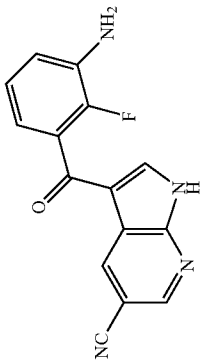
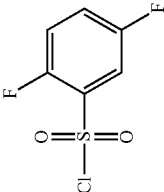
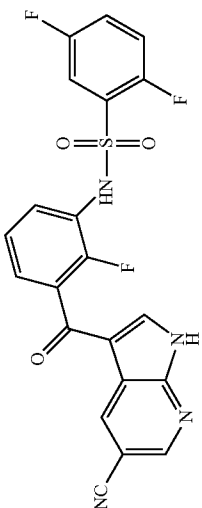
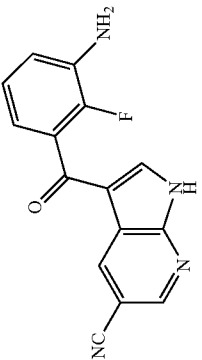
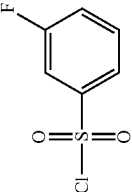
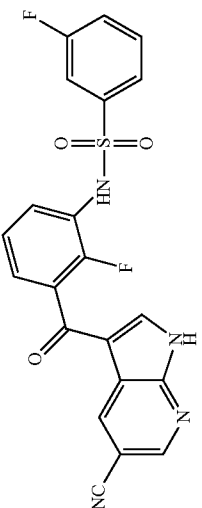
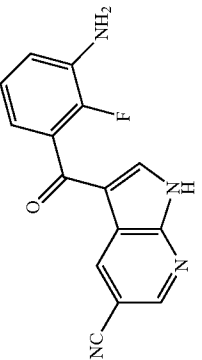
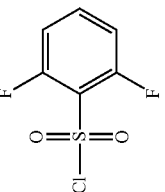
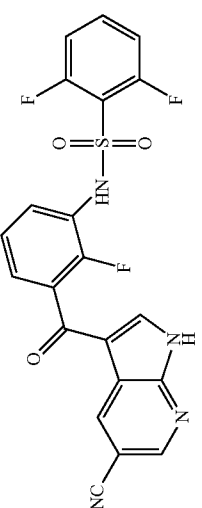
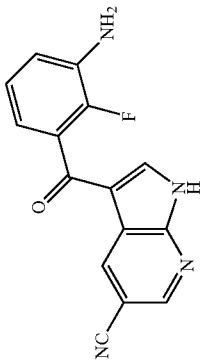
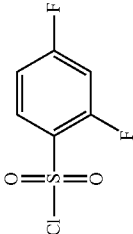
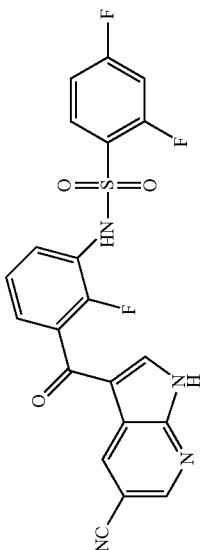
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2042				558.0
P-2043				558.0
P-2044				558.0
P-2045				539.9

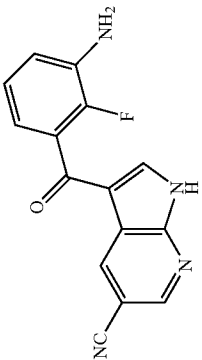
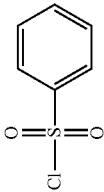
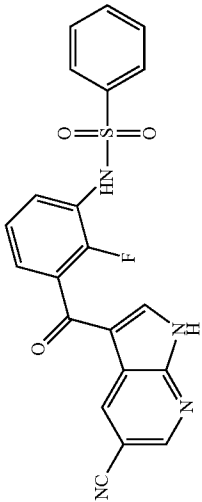
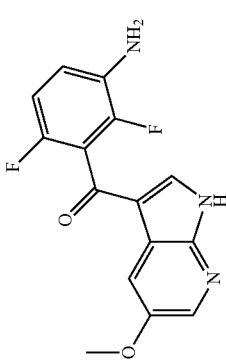
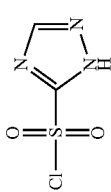
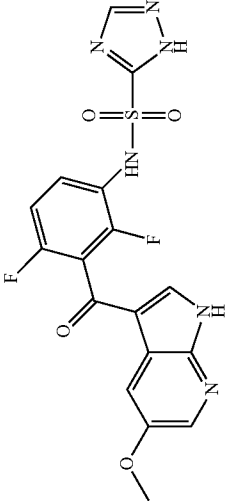
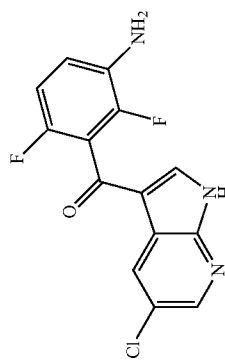
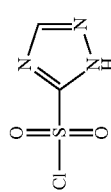
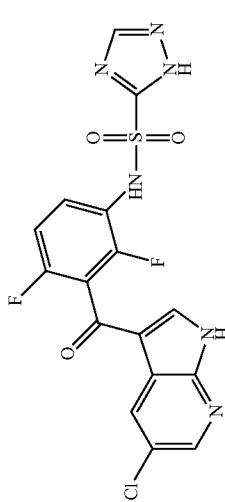
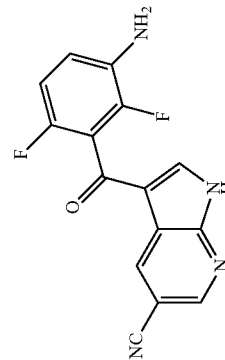
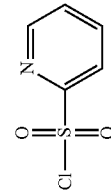
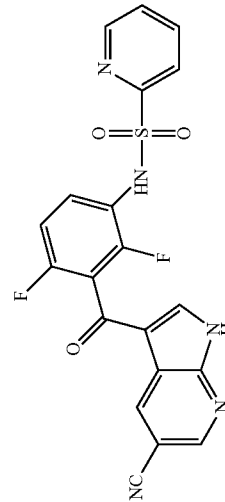
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2046				437.5
P-2047				512.4
P-2050				439.1
P-2051				439.1

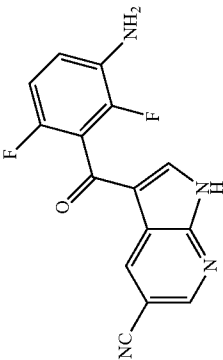
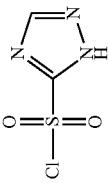
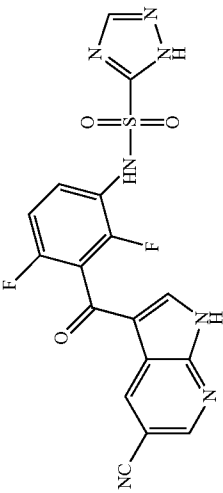
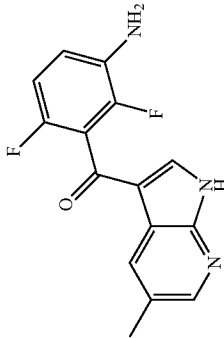
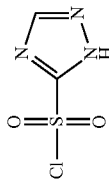
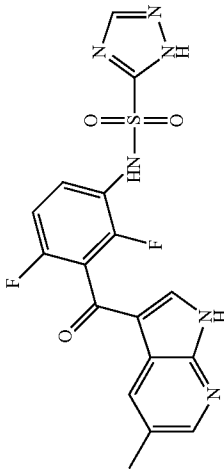
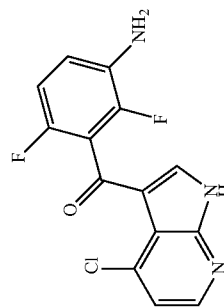
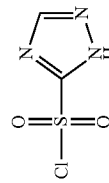
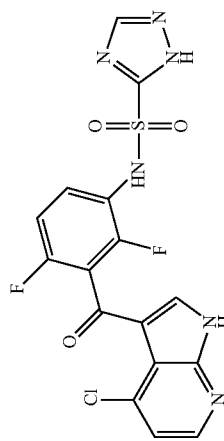
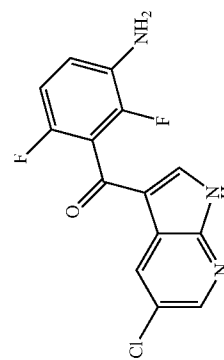
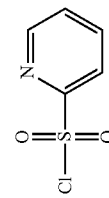
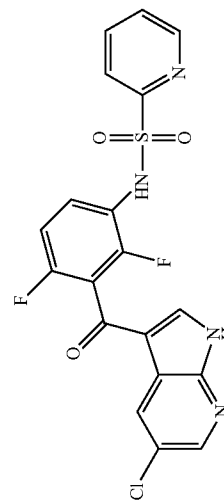
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2052				457.1
P-2053				438.7
P-2054				457.7
P-2055				457.1

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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2056				420.9
P-2061				435.1
P-2062				439.1
P-2063				439.9

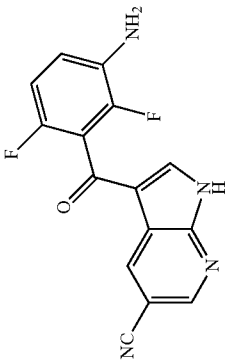
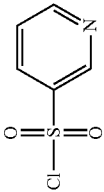
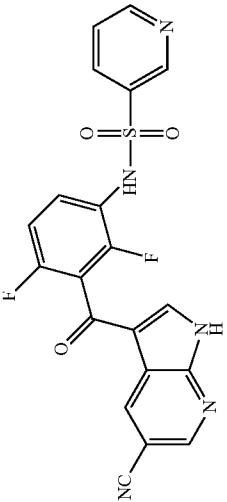
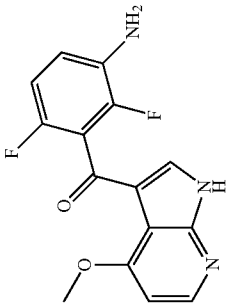
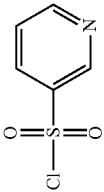
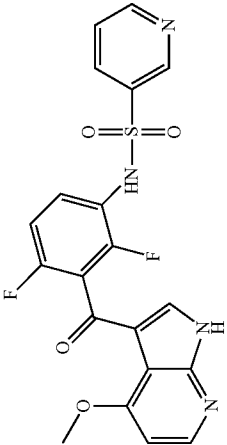
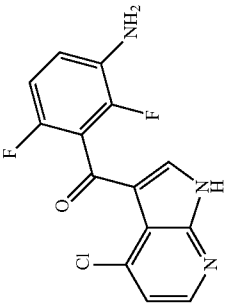
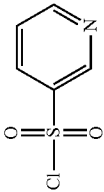
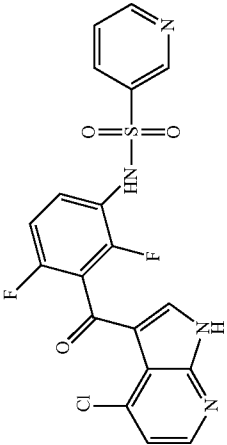
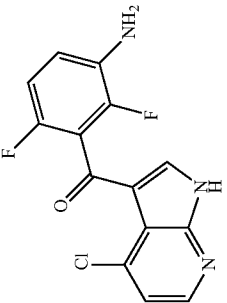
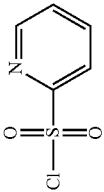
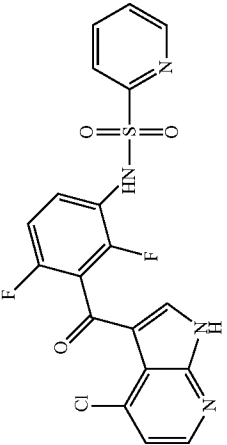
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2064				429.9
P-2065				419.1
P-2066				439.1
P-2067				448.7

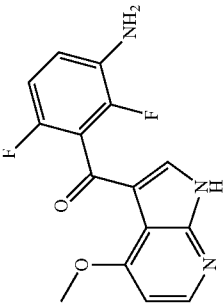
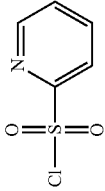
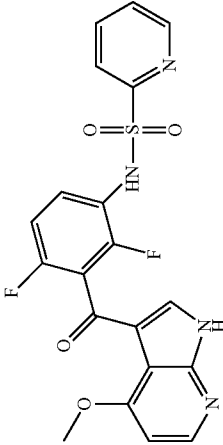
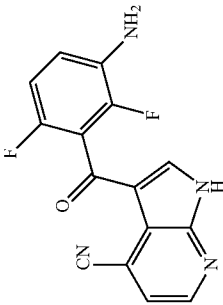
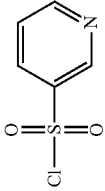
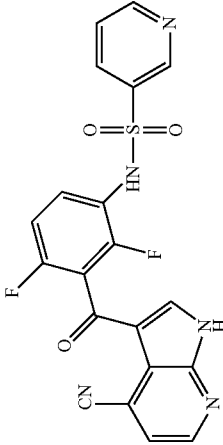
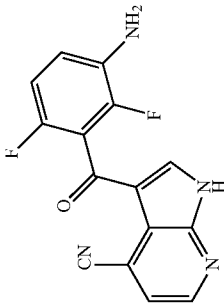
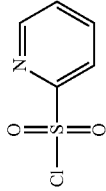
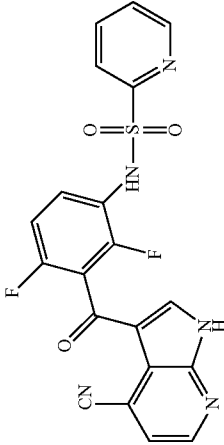
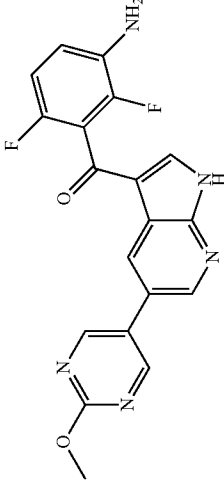
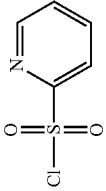
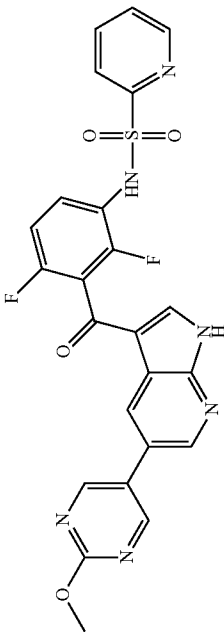
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2068				435.1
P-2069				429.1
P-2070				429.1
P-2071				448.8

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2072				439.9
P-2073				445.1
P-2074				448.7
P-2075				448.7

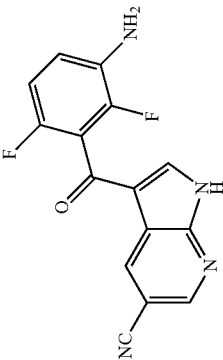
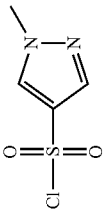
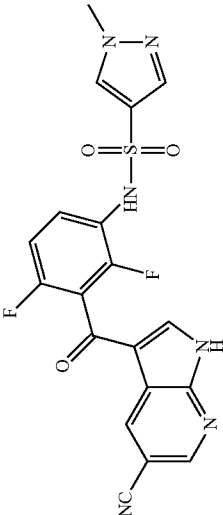
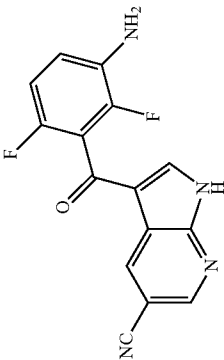
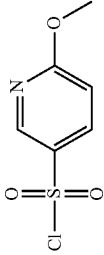
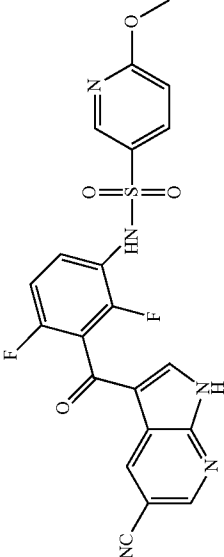
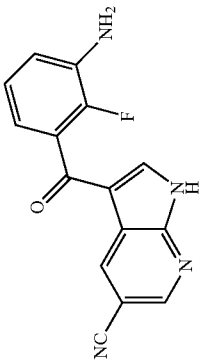
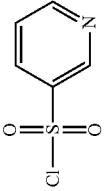
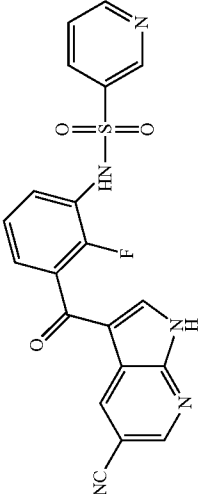
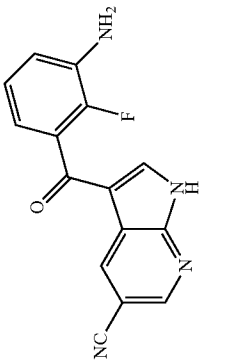
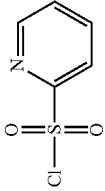
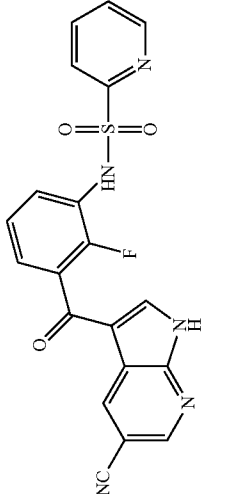
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2076				445.1
P-2077				439.9
P-2078				439.9
P-2079				

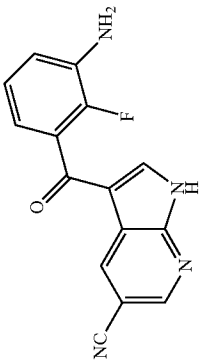
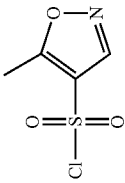
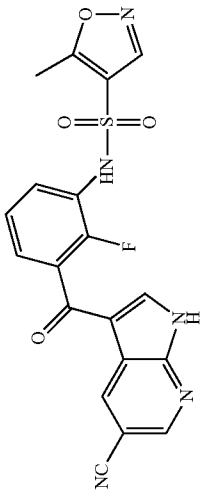
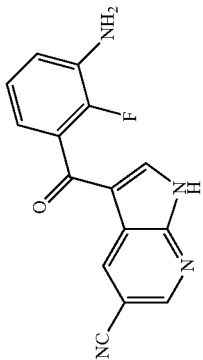
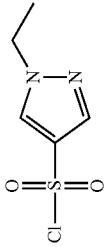
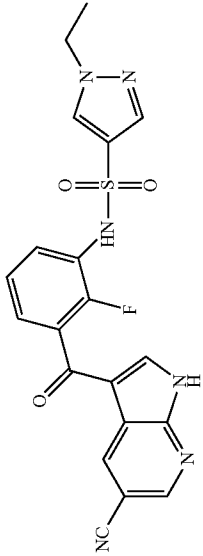
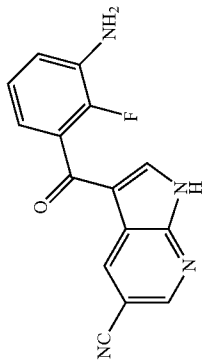
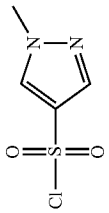
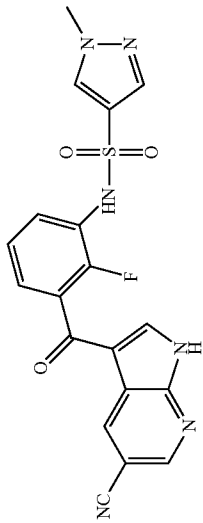
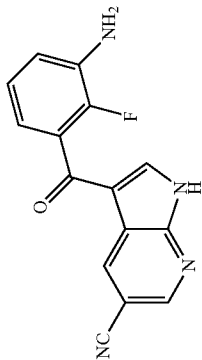
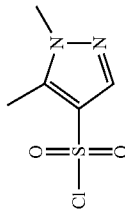
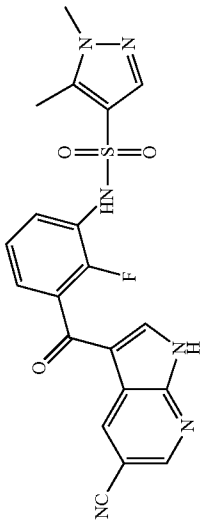
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2080				513.1
P-2081				444.3
P-2082				457.1
P-2083				457.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2084				443.1
P-2085				469.9
P-2086				421.9
P-2087				421.9

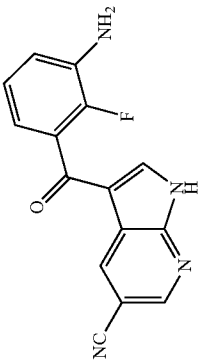
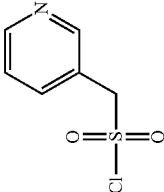
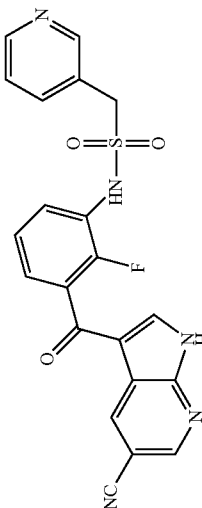
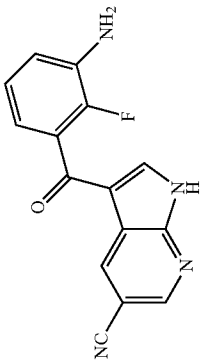
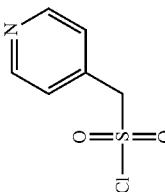
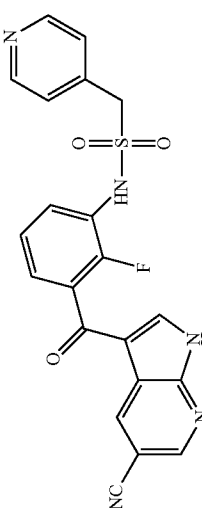
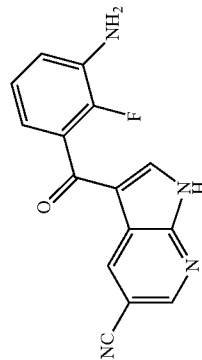
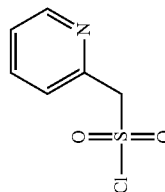
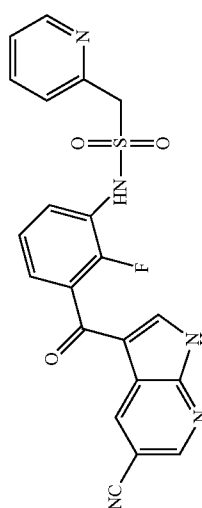
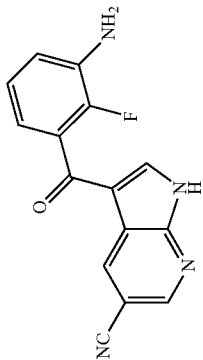
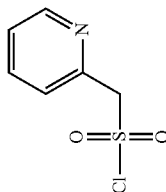
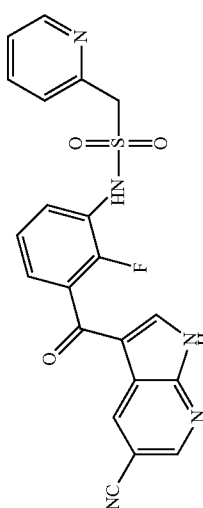
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2088				425.8
P-2089				439.0
P-2090				425.1
P-2091				439.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2092				451.9
P-2093				453.9
P-2094				453.9
P-2095				453.9

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2096				436.0
P-2097				436.0
P-2098				436.3
P-2098				436.3

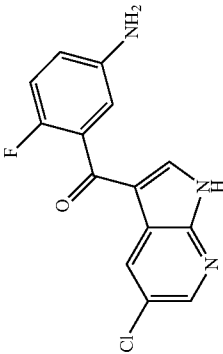
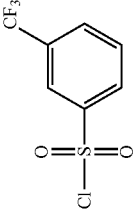
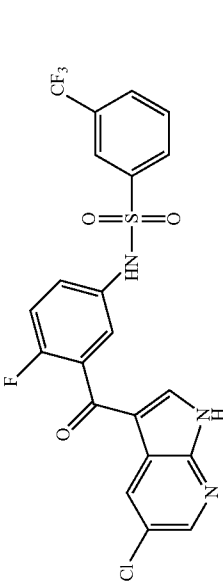
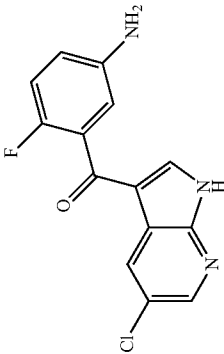
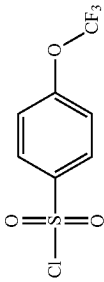
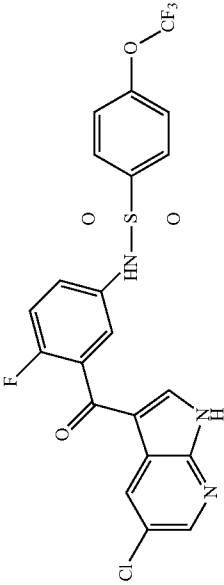
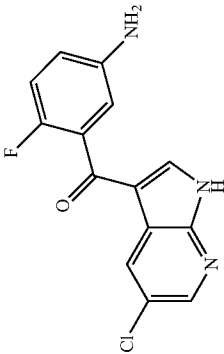
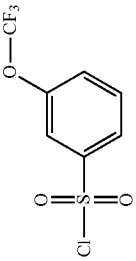
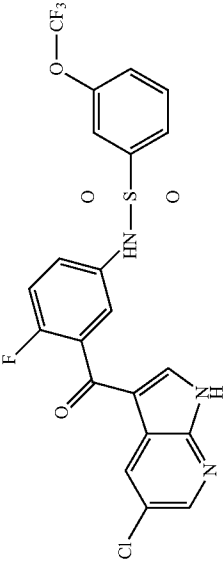
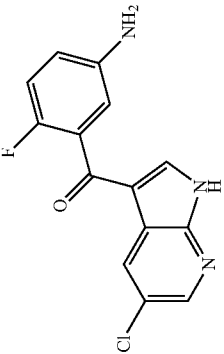
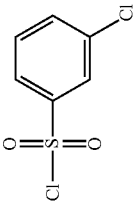
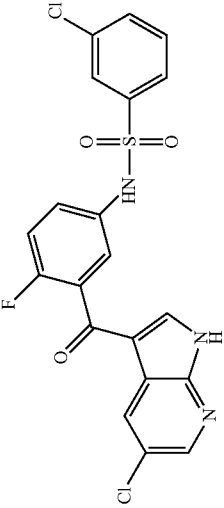
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2099				575.7 577.5
P-2101				544.3
P-2102				560.0
P-2103				510.3

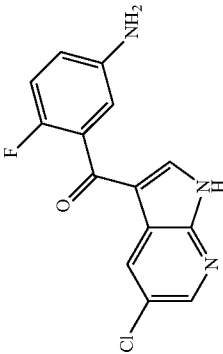
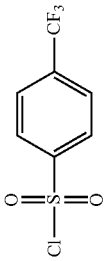
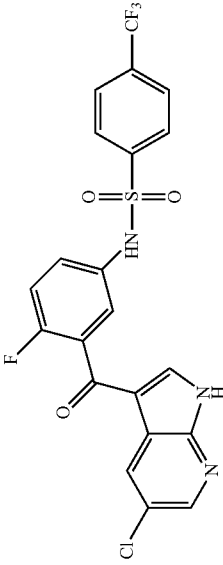
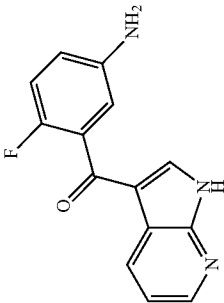
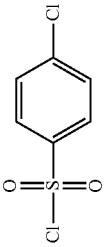
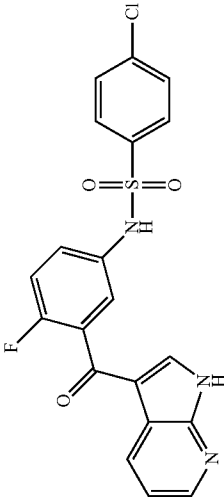
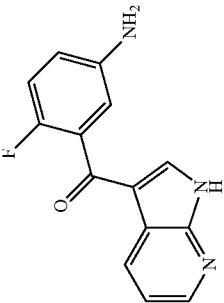
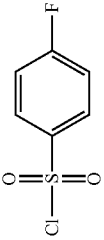
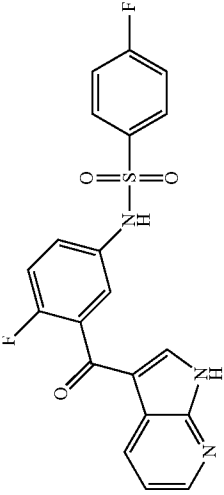
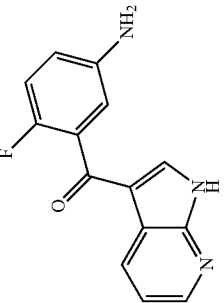
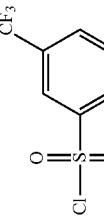
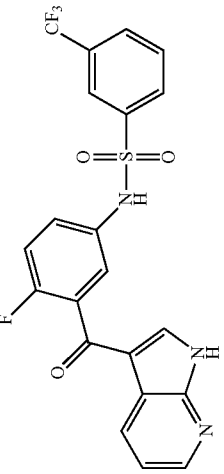
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2104				544.3
P-2105				493.9
P-2106				463.9
P-2107				447.9

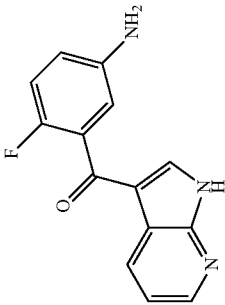
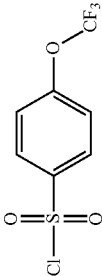
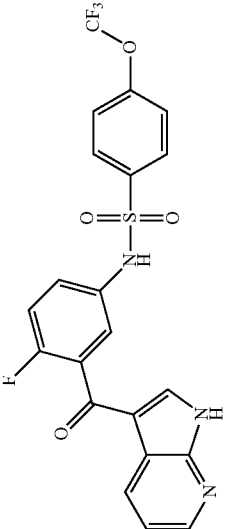
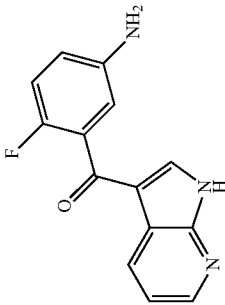
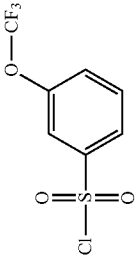
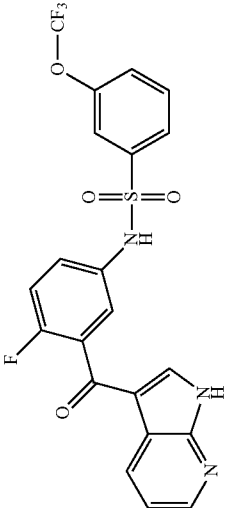
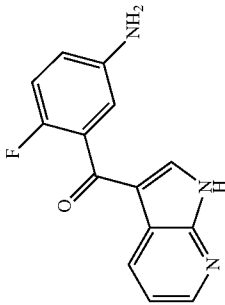
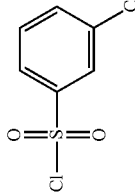
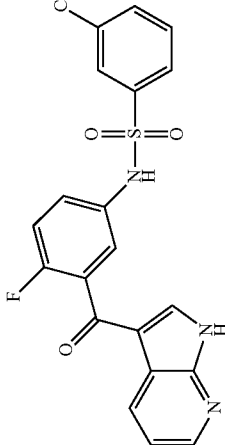
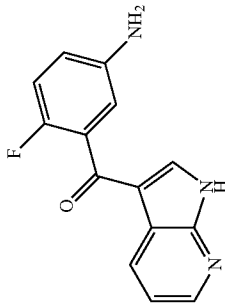
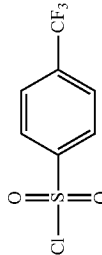
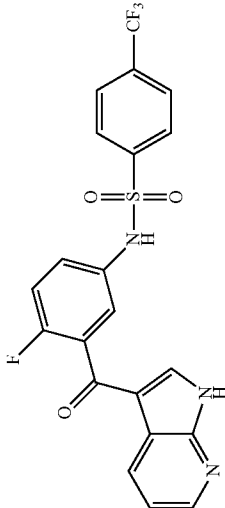
-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2108				498.3
P-2109				514.3
P-2110				513.9
P-2111				563.9

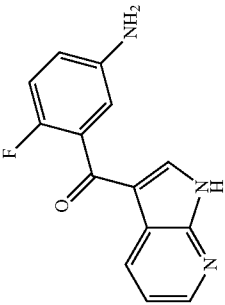
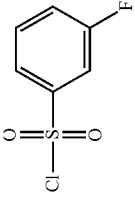
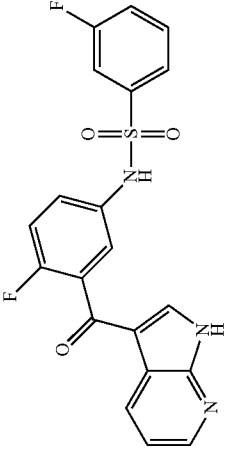
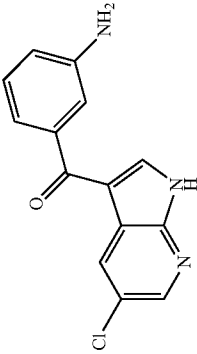
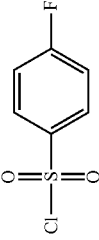
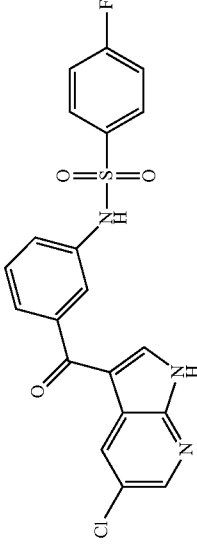
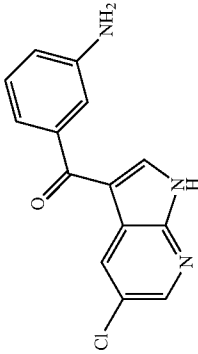
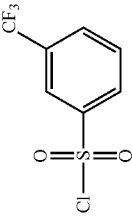
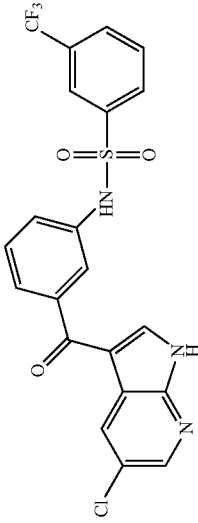
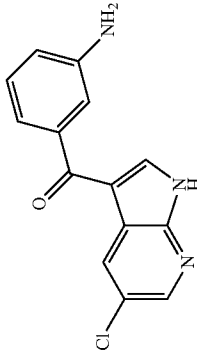
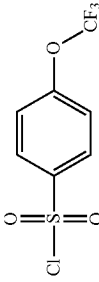
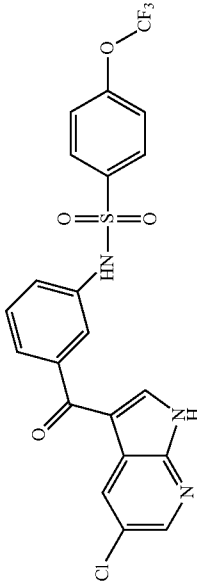
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2112				498.3
P-2113				430.3
P-2114				414.3
P-2115				463.9

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2116				479.9
P-2117				479.9
P-2118				430.3
P-2119				463.9

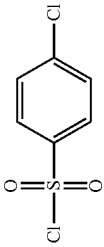
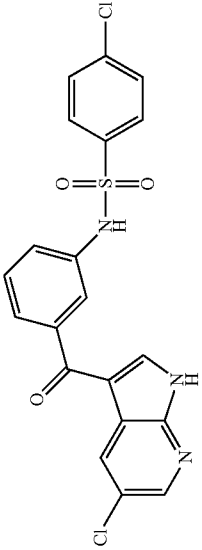
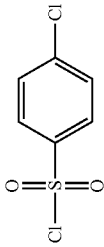
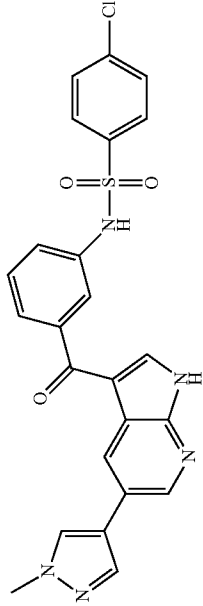
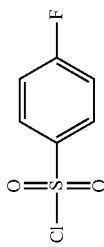
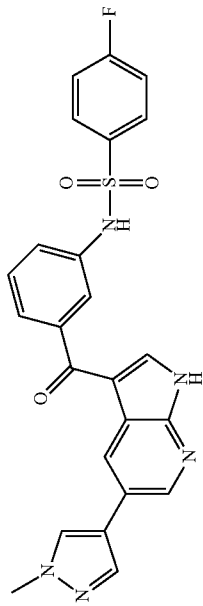
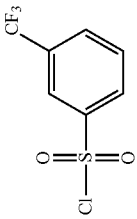
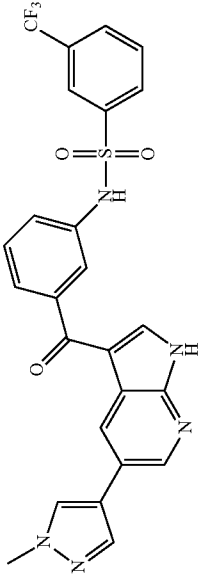
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2120				413.9
P-2121				430.3
P-2122				479.9
P-2123				496.3

-continued

1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2124			496.3
P-2125			445.9
P-2126			479.9
P-2127			430.3

-continued

1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2128			445.9
P-2129			491.9
P-2130			475.9
P-2131			526.3

-continued

1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2132			541.9
P-2133			542.3
P-2134			492.3
P-2135			525.9

	1H-pyrrolo[2,3- b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2136				475.9
P-2137				411.1
P-2138				551.1
P-2139				566.4

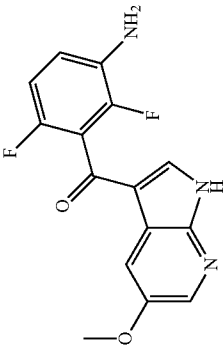
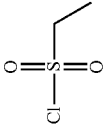
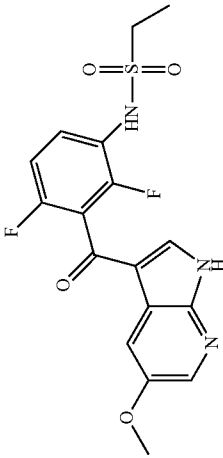
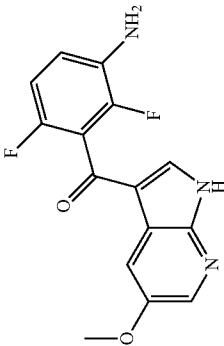
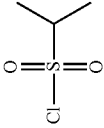
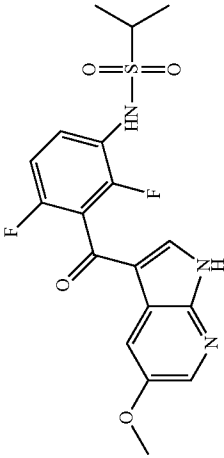
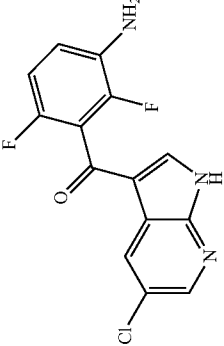
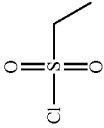
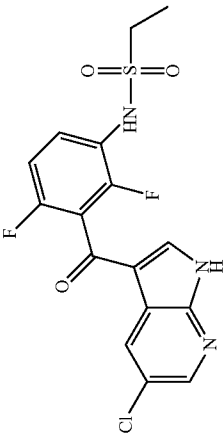
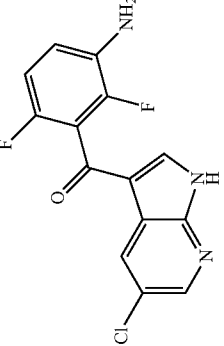
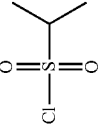
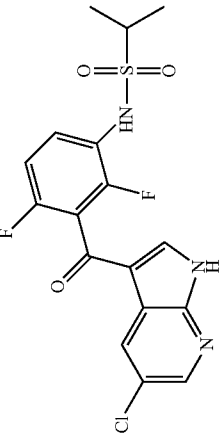
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
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P-2141				393.1
P-2142				409.1
P-2143				397.1

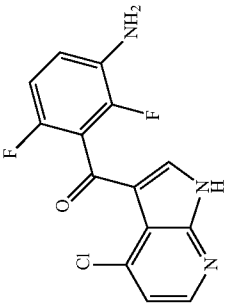
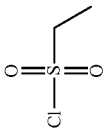
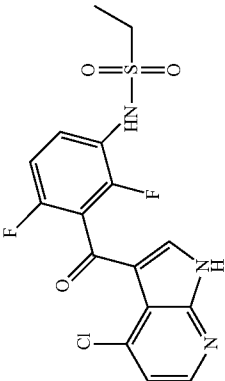
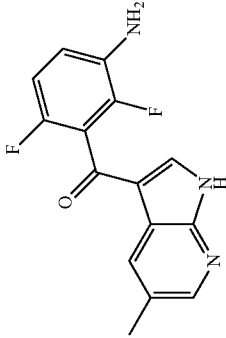
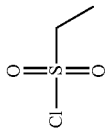
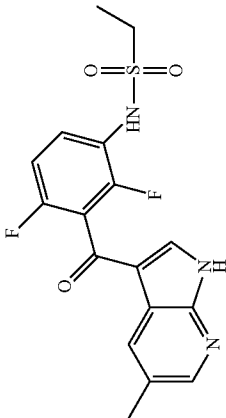
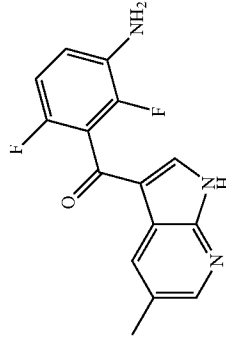
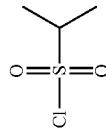
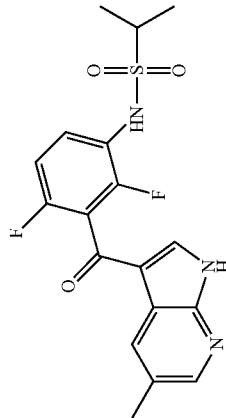
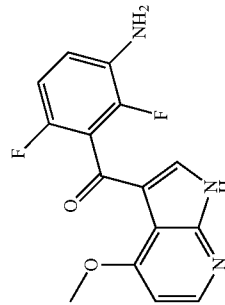
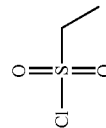
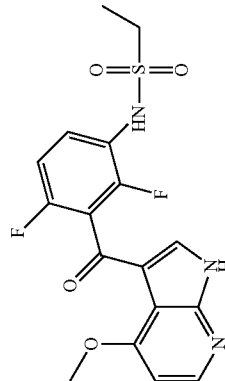
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2144				459.1
P-2145				547.9
P-2146				413.1
P-2149				533.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2272				395.9
P-2273				410.3
P-2274				399.9
P-2275				413.9

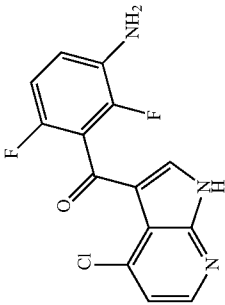
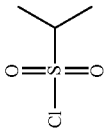
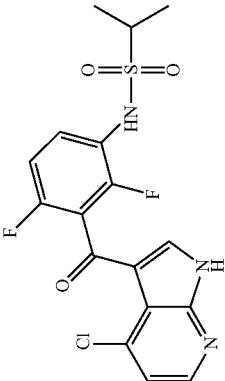
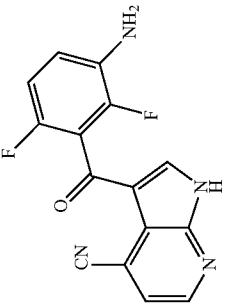
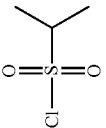
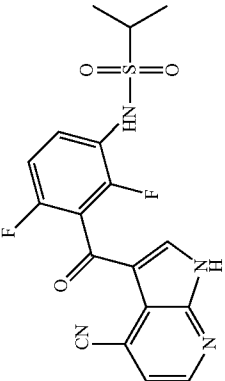
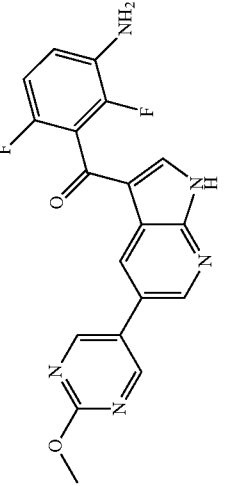
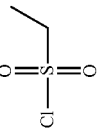
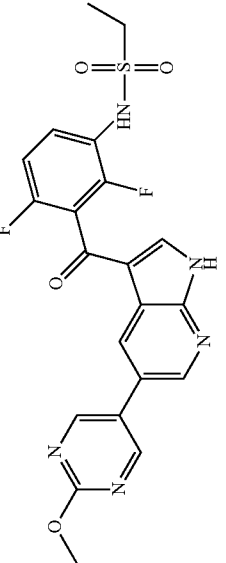
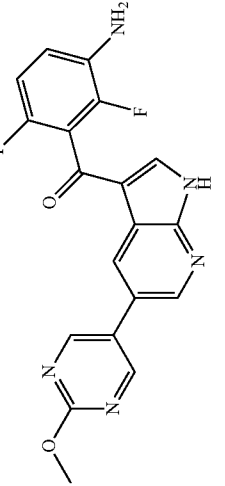
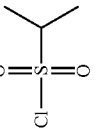
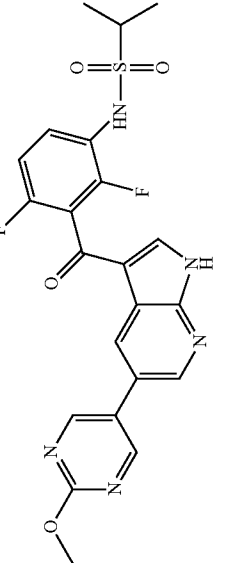
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2276				399.9
P-2277				379.9
P-2278				393.9
P-2279				395.9

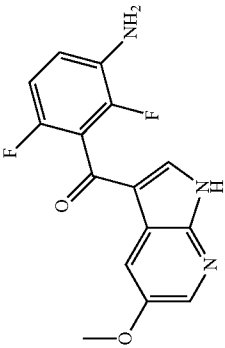
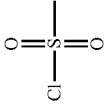
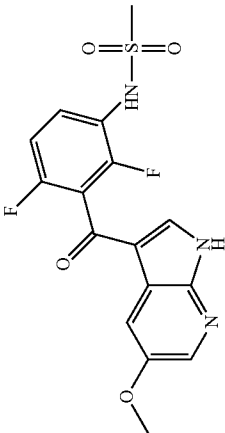
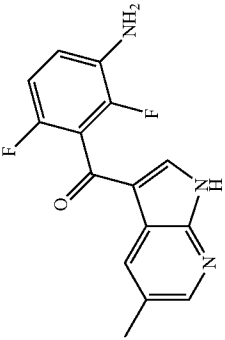
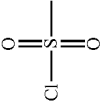
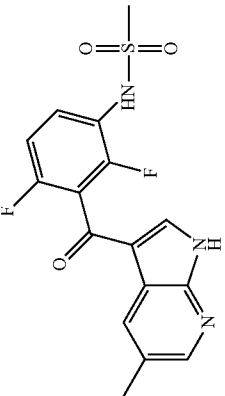
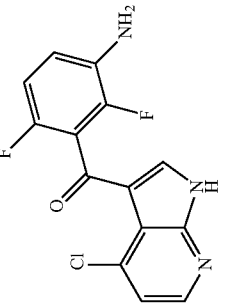
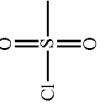
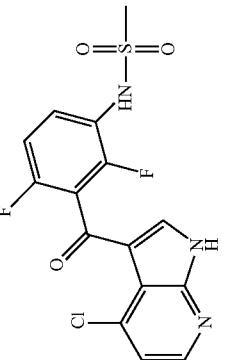
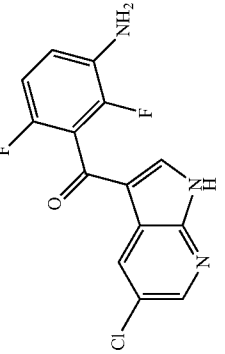
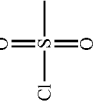
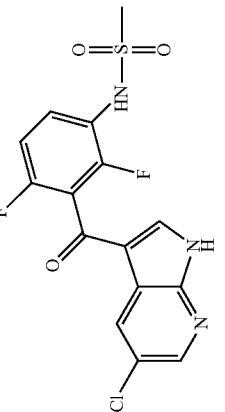
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2280				409.9
P-2281				391.1
P-2282				405.1
P-2283				391.1

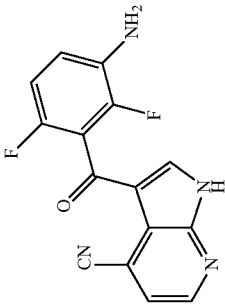
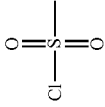
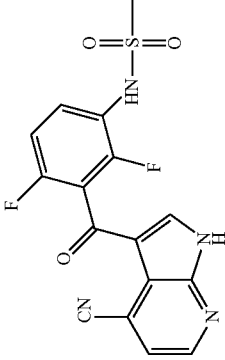
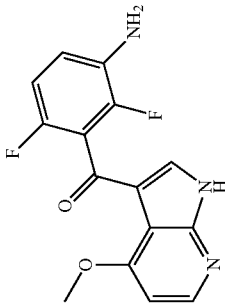
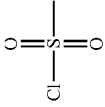
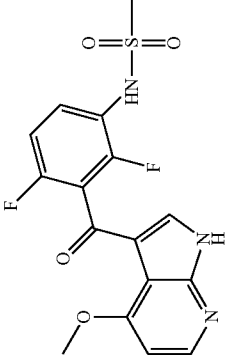
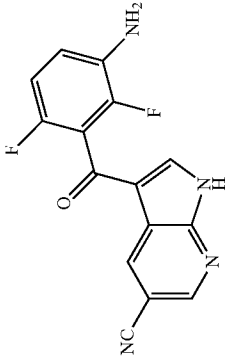
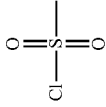
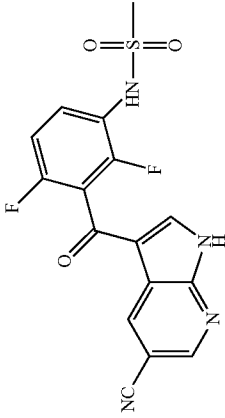
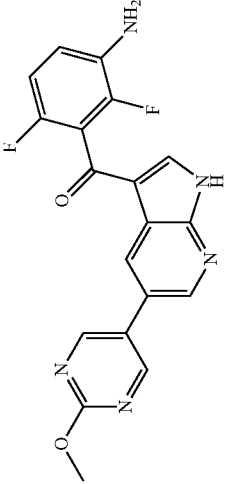
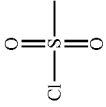
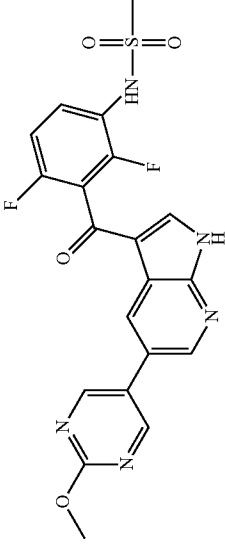
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2284				413.9
P-2285				405.1
P-2286				474.6
P-2287				487.9

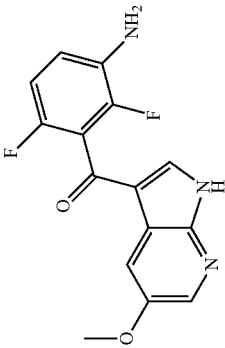
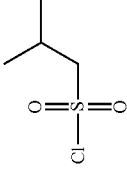
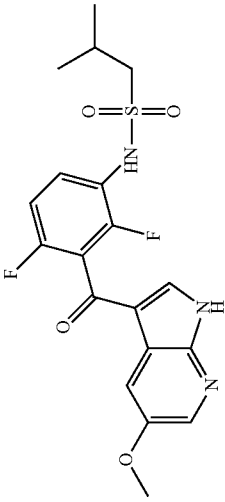
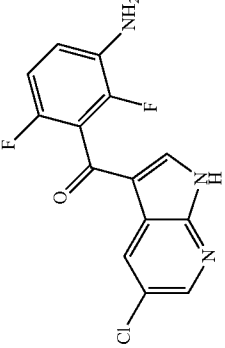
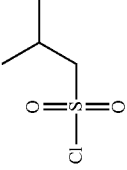
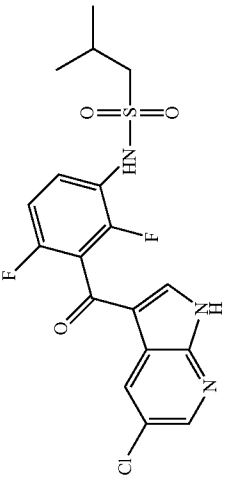
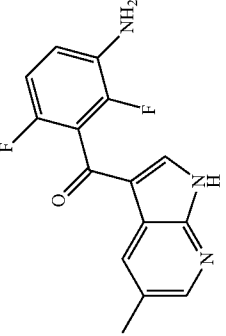
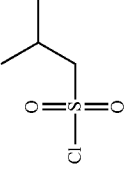
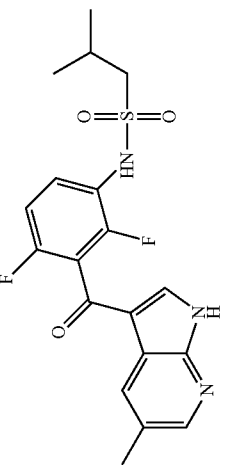
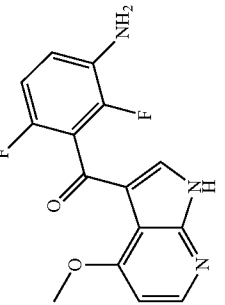
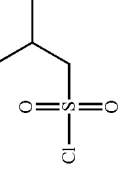
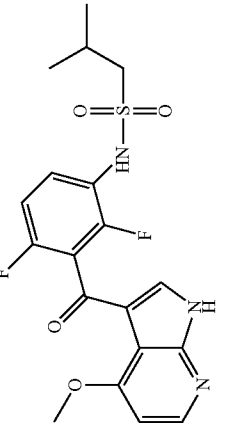
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2288				381.9
P-2289				365.9
P-2290				385.9
P-2291				385.9

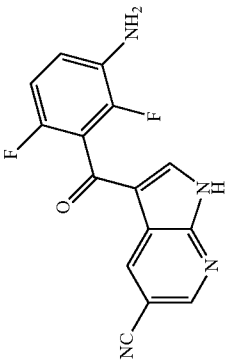
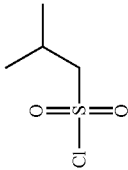
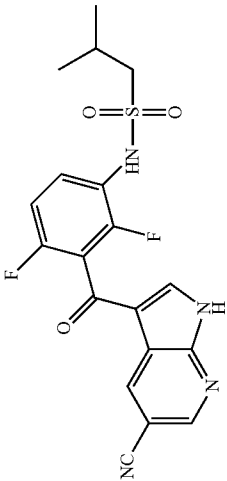
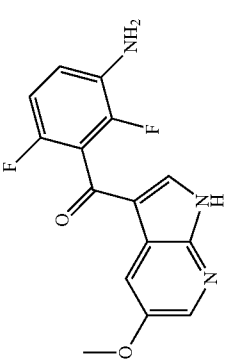
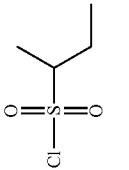
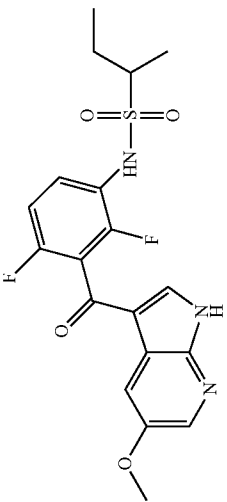
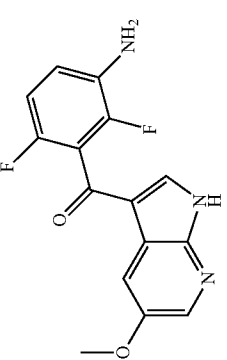
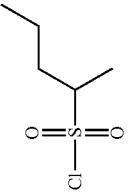
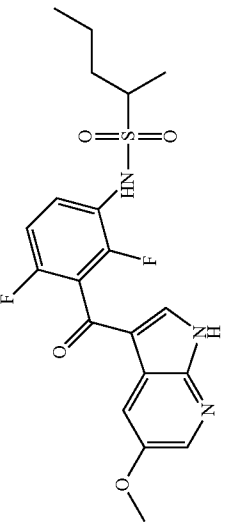
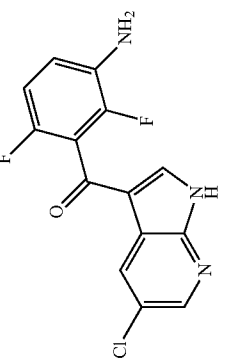
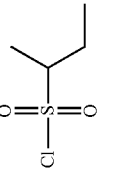
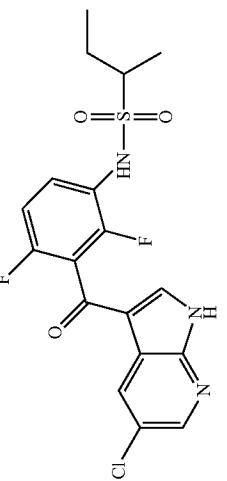
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2292				377.1
P-2293				381.9
P-2294				377.1
P-2295				459.9

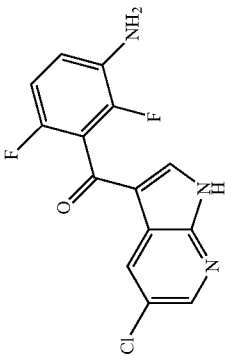
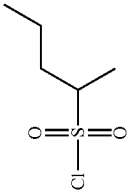
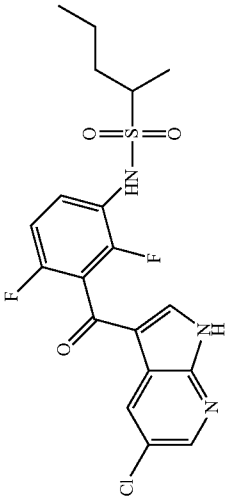
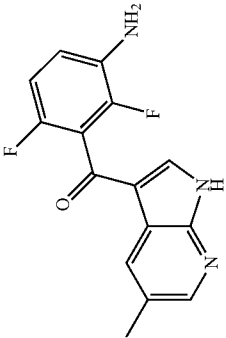
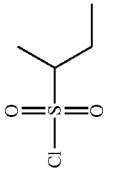
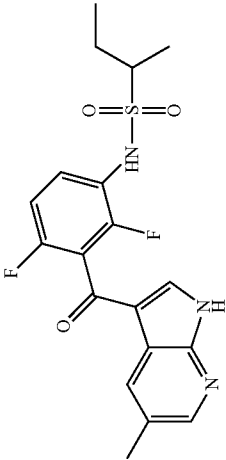
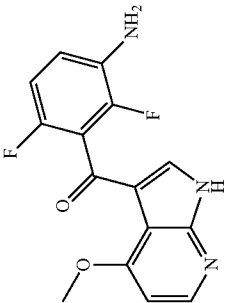
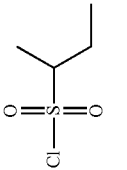
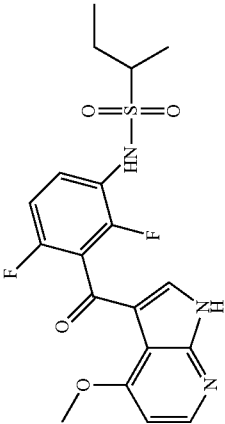
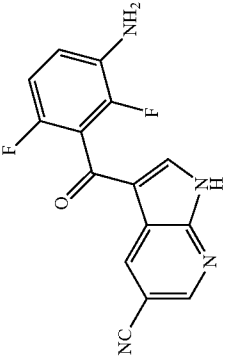
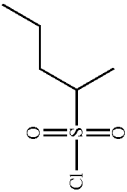
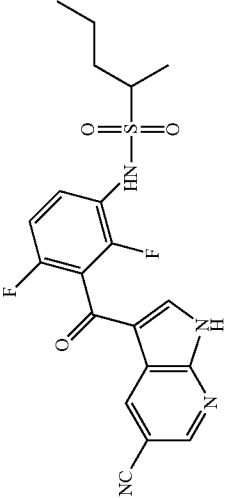
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2303				423.9
P-2304				427.9
P-2305				408.3
P-2306				423.9

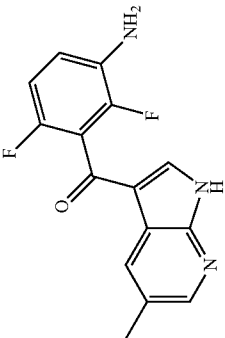
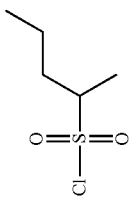
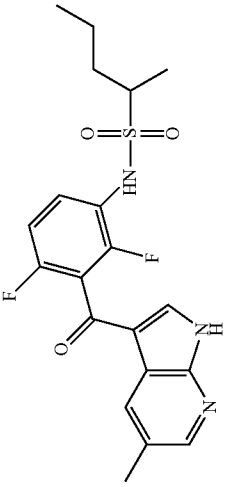
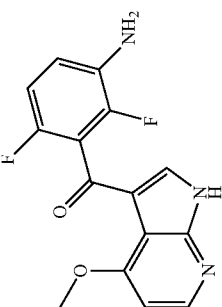
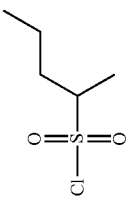
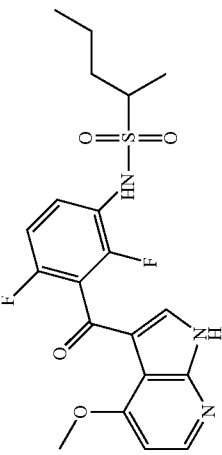
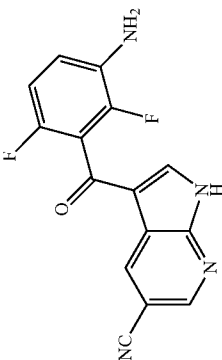
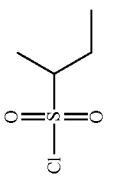
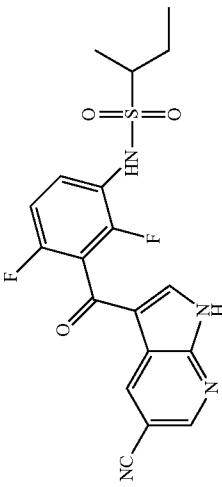
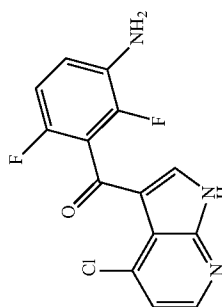
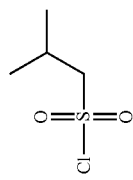
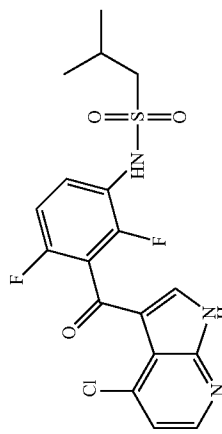
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2307				419.1
P-2308				423.9
P-2309				438.3
P-2310				427.9

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2311				442.3
P-2312				409.1
P-2313				423.9
P-2314				433.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2315				421.9
P-2316				437.9
P-2317				419.1
P-2318				427.9

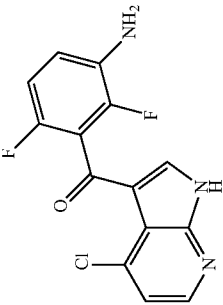
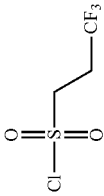
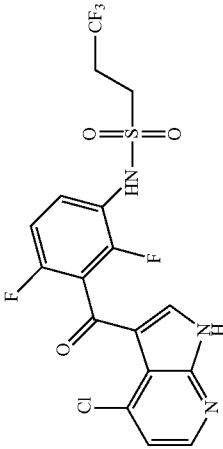
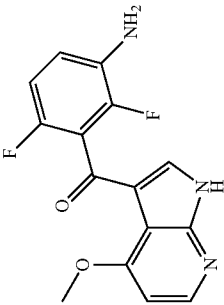
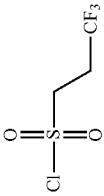
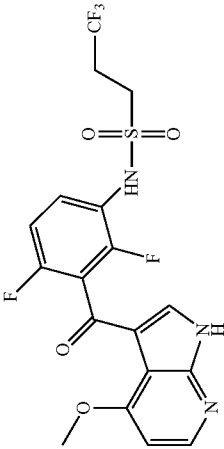
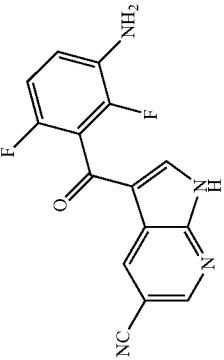
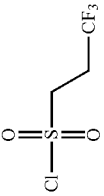
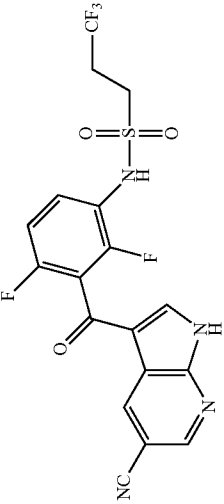
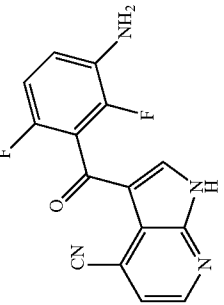
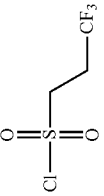
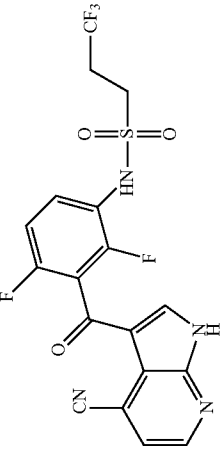
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2319				427.9
P-2320				419.1
P-2321				419.1
P-2322				501.9

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2323				501.9
P-2324				467.9
P-2327				463.9
P-2328				447.9

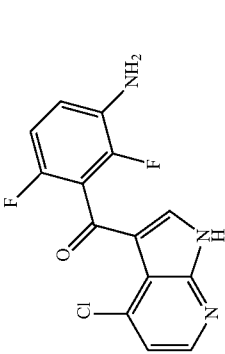
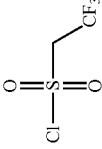
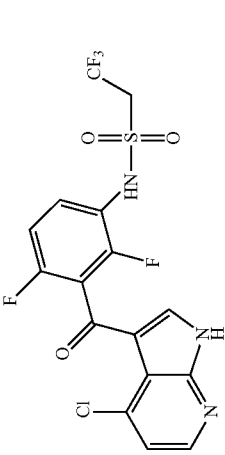
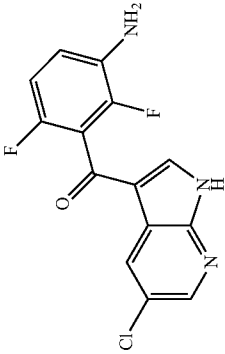
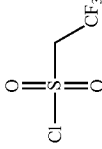
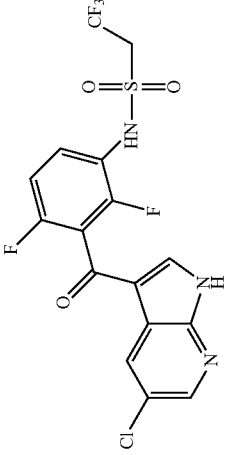
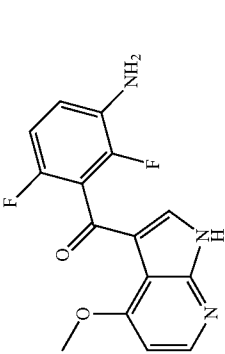
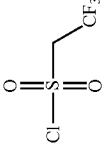
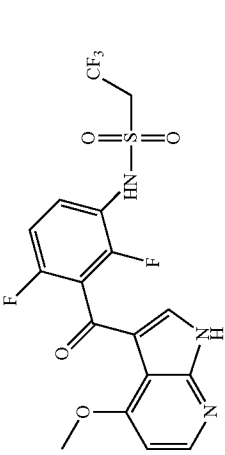
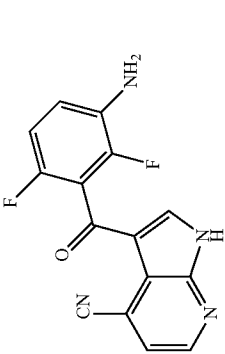
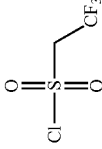
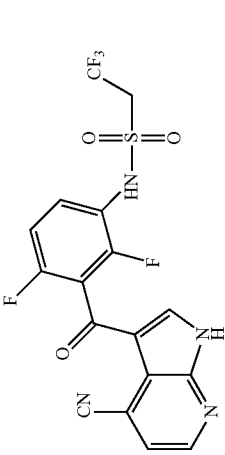
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2329				467.9
P-2330				463.9
P-2331				459.1
P-2332				459.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2333				449.9
P-2335				445.1
P-2336				433.9
P-2337				

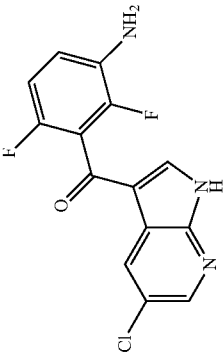
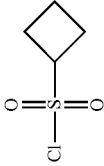
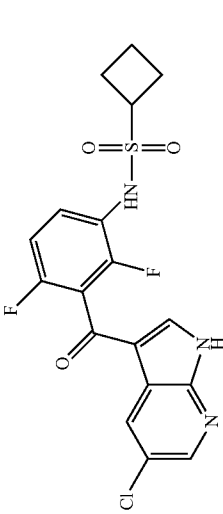
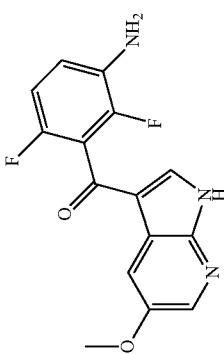
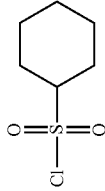
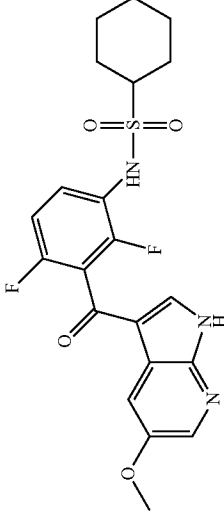
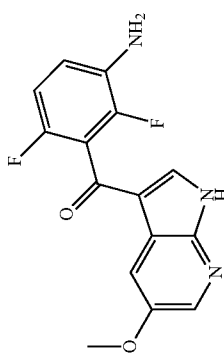
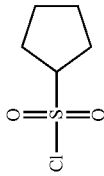
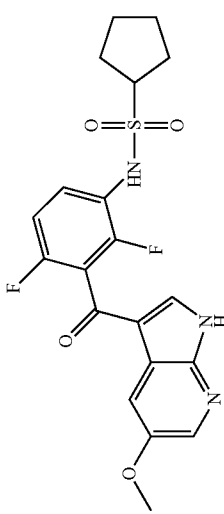
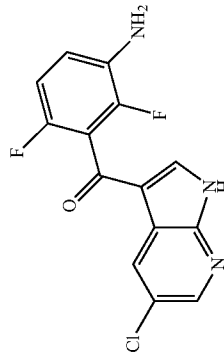
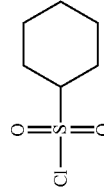
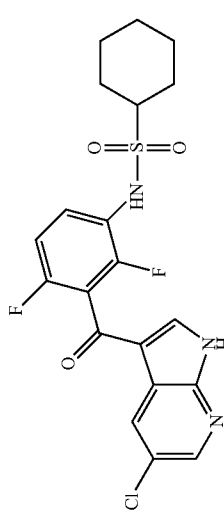
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2338				453.9
P-2339				453.9
P-2340				449.9
P-2341				445.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2342				439.9
P-2346				435.9
P-2347				417.1
P-2353				

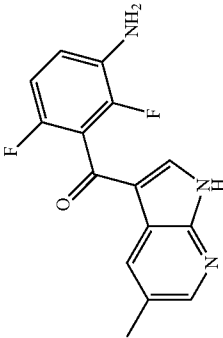
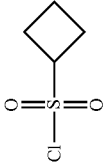
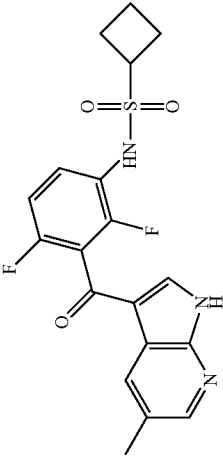
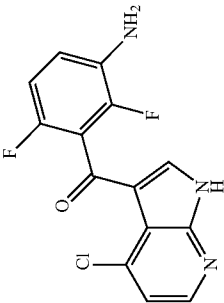
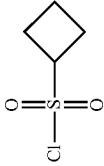
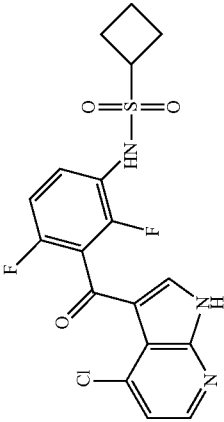
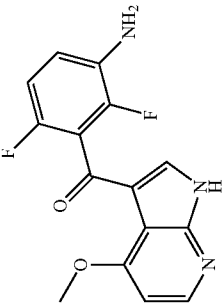
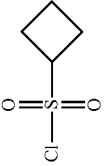
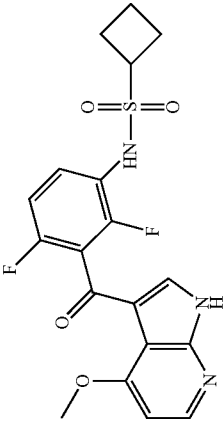
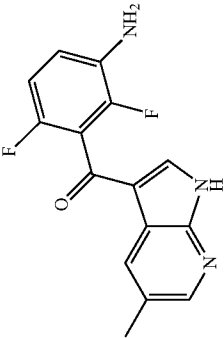
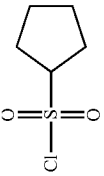
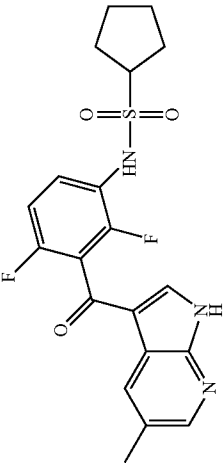
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2354				426.7
P-2355				449.9
P-2356				436.3
P-2357				453.9

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2358				439.9
P-2359				431.1
P-2360				433.9
P-2361				449.9

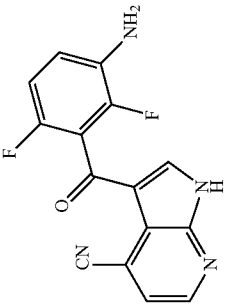
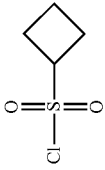
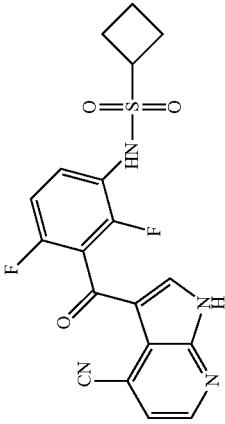
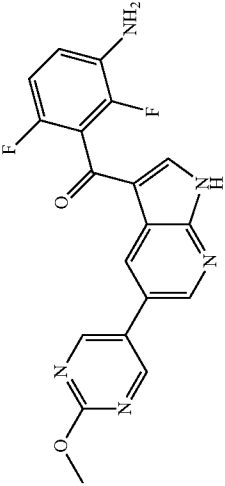
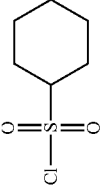
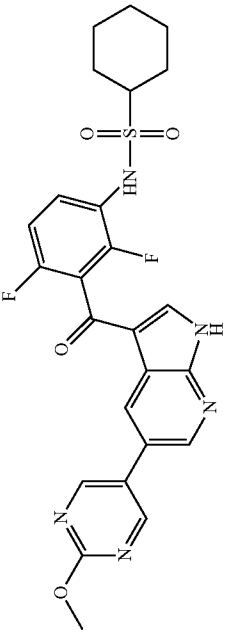
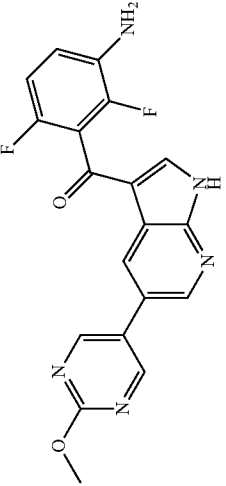
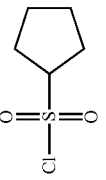
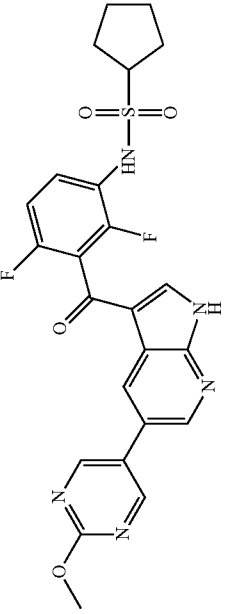
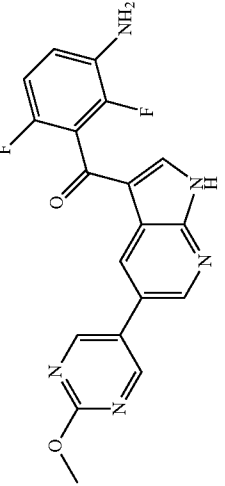
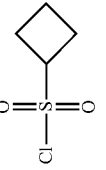
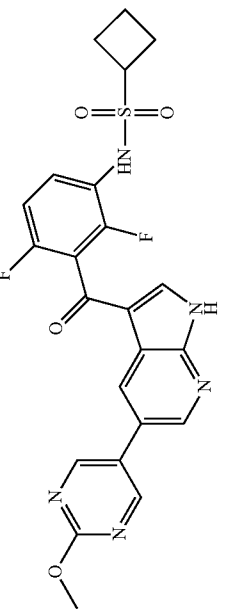
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P-2362	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2362				406.3
P-2363				425.9
P-2364				421.9
P-2365				419.9

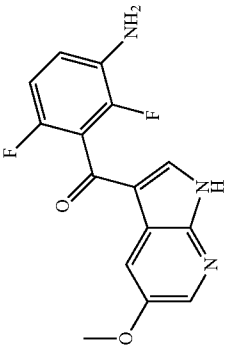
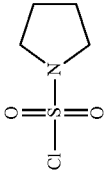
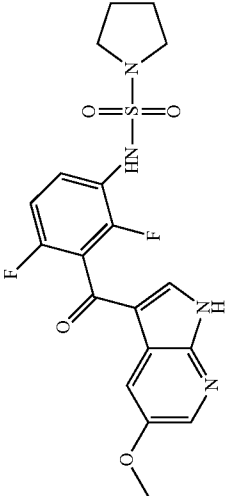
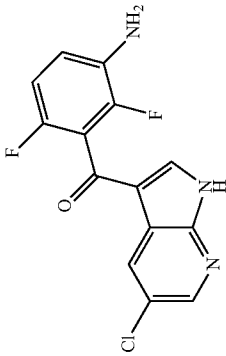
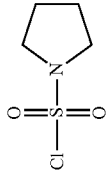
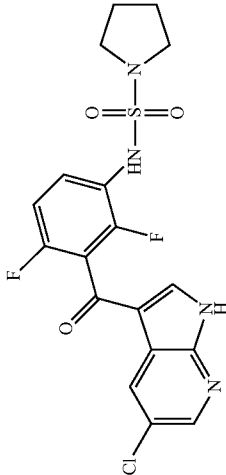
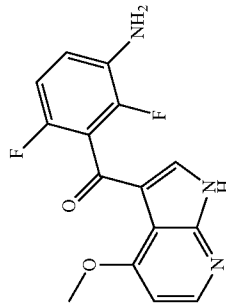
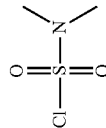
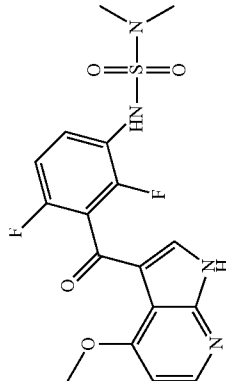
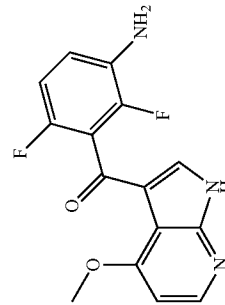
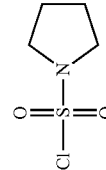
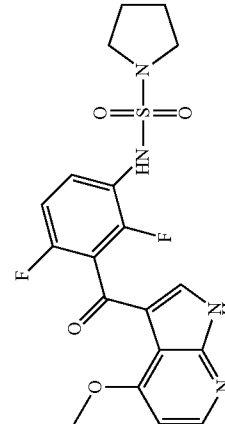
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2366				445.1
P-2367				453.9
P-2368				439.9
P-2369				445.1

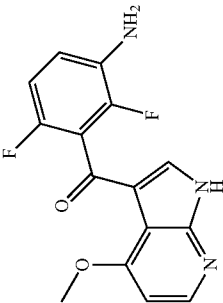
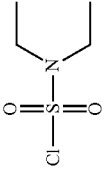
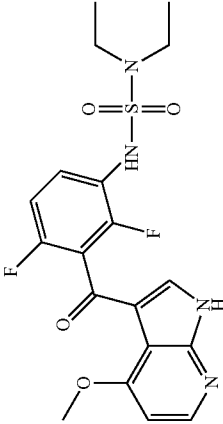
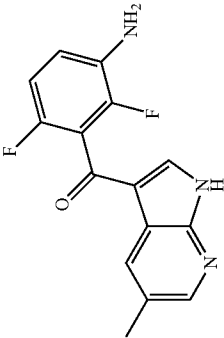
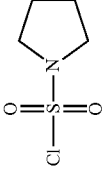
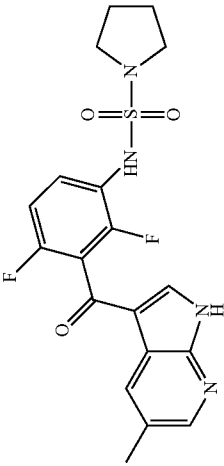
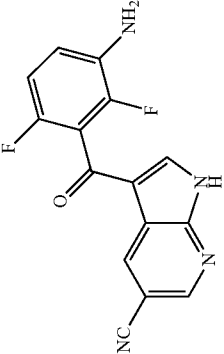
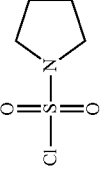
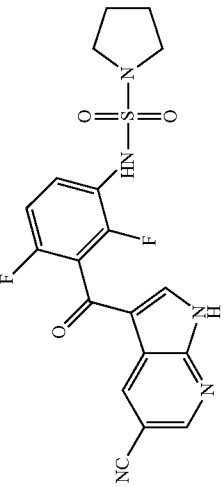
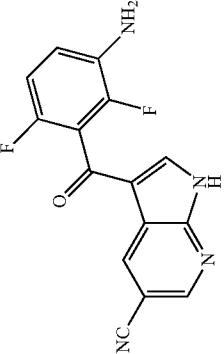
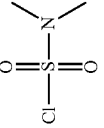
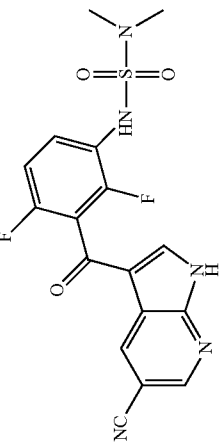
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2370				417.5
P-2371				527.9
P-2372				
P-2373				499.9

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2374				437.1
P-2375				441.1
P-2376				411.1
P-2377				437.1

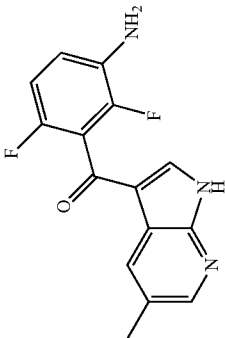
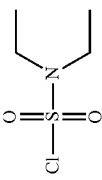
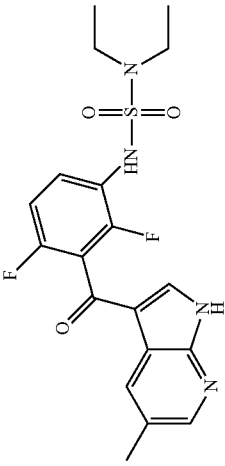
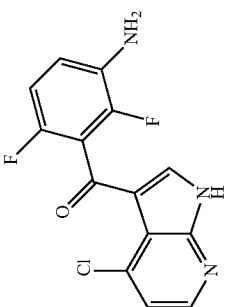
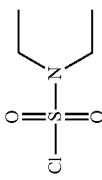
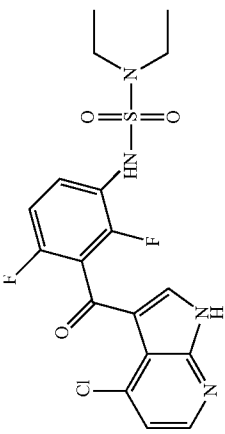
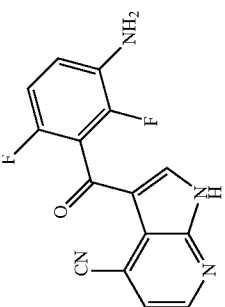
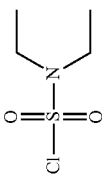
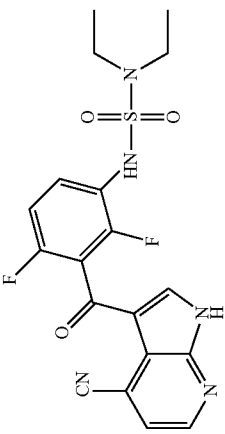
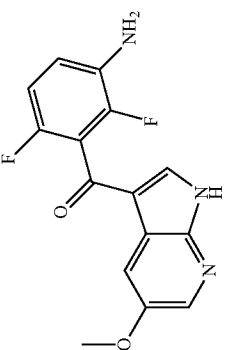
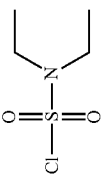
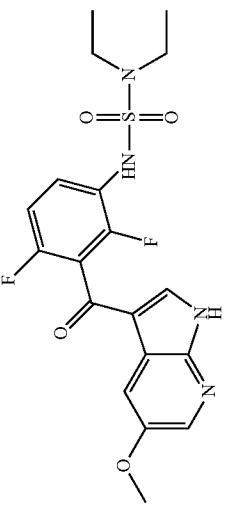
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2378				439.1
P-2379				421.1
P-1500				432.3
P-1501				407.1

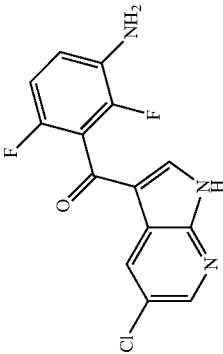
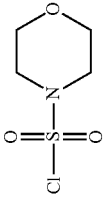
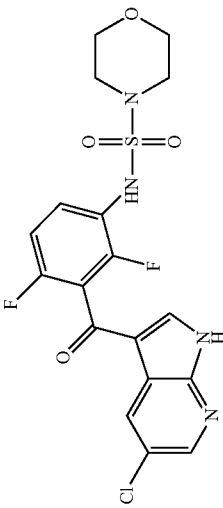
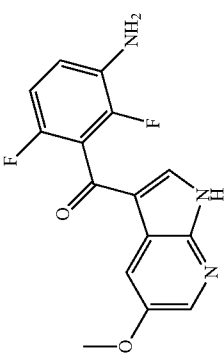
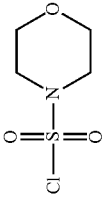
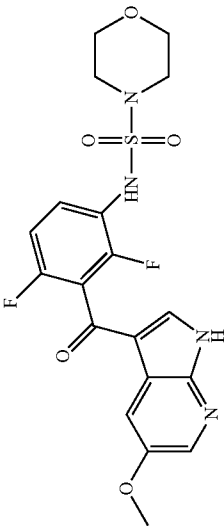
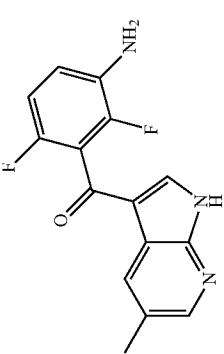
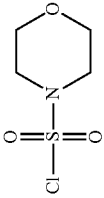
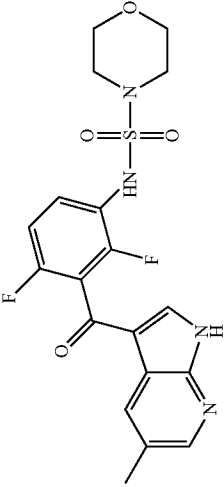
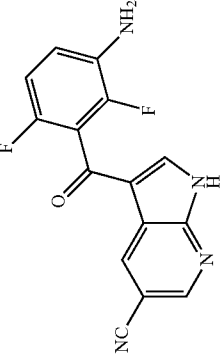
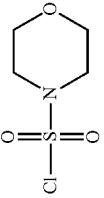
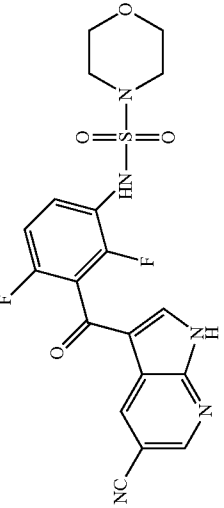
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2382				395.1
P-2383				415.1
P-2384				443.1
P-2385				433.9

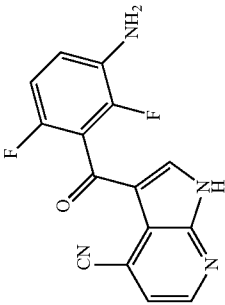
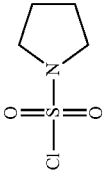
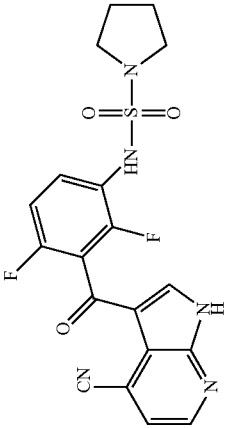
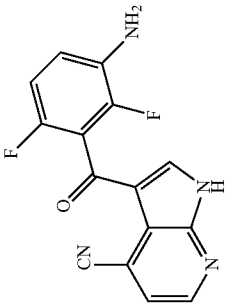
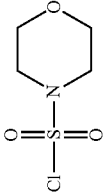
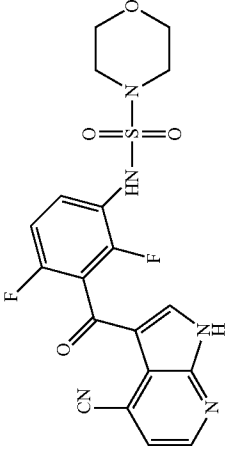
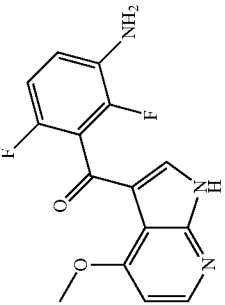
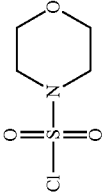
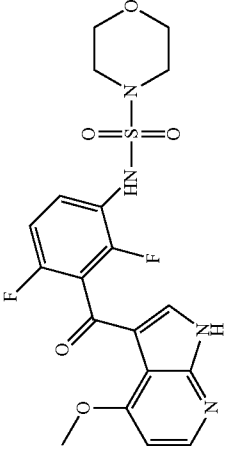
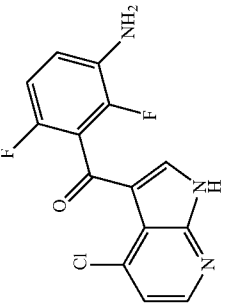
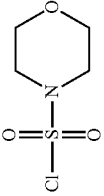
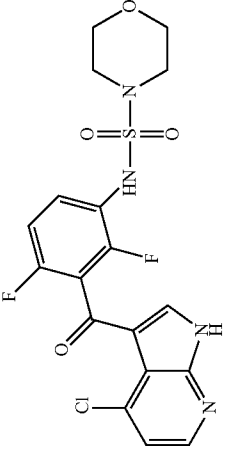
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2386				422.7
P-2387				443.1
P-2388				433.9
P-2389				439.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2390				457.1
P-2391				452.7
P-2392				437.1
P-2393				447.9

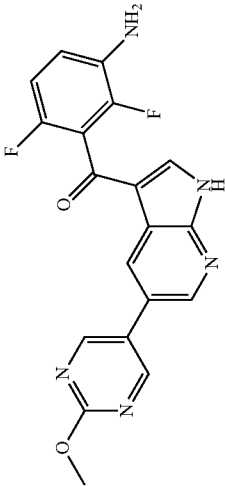
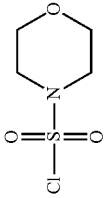
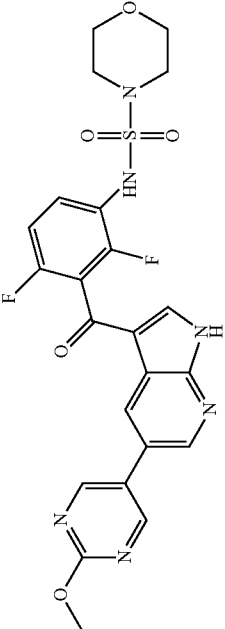
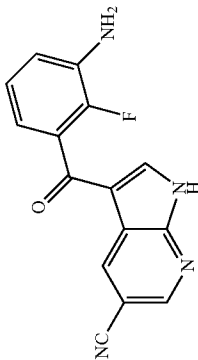
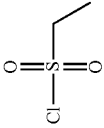
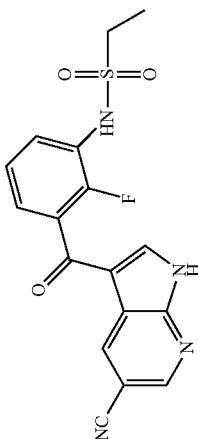
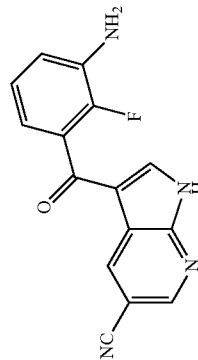
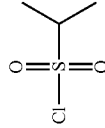
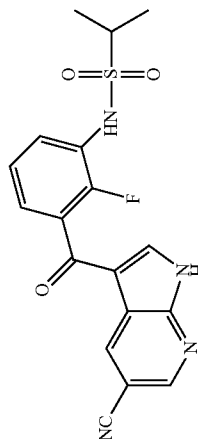
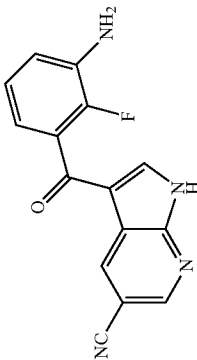
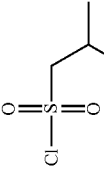
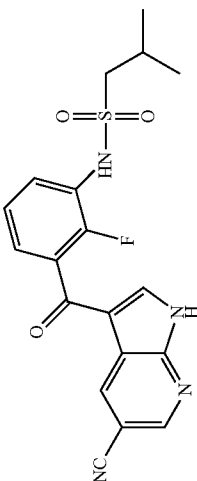
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2394				431.9
P-2395				447.9
P-2396				453.1
P-2397				457.1

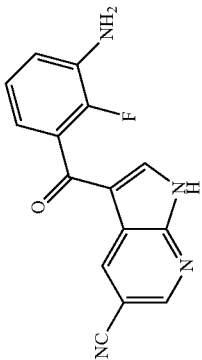
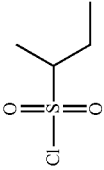
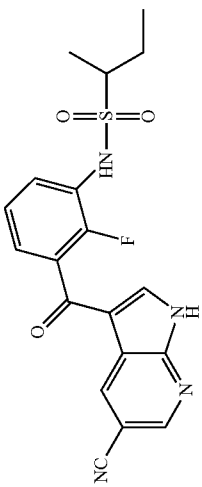
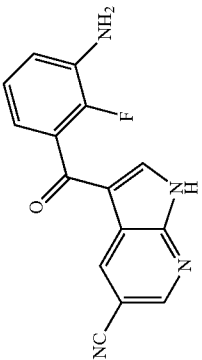
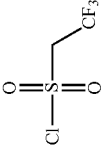
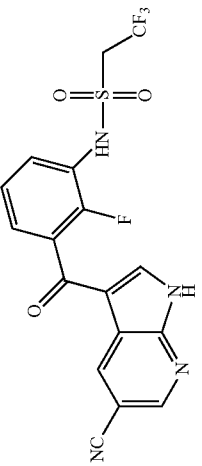
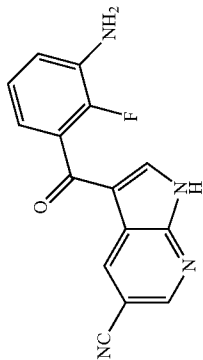
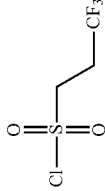
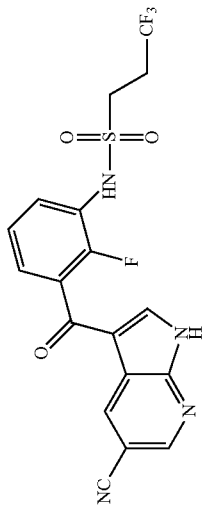
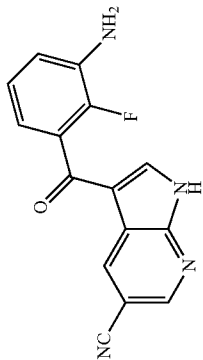
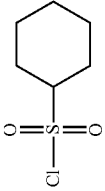
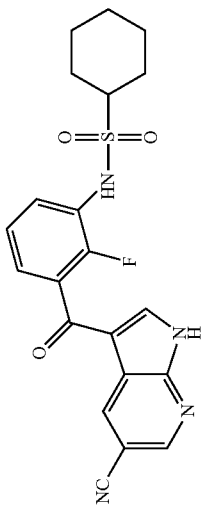
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
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P-2399				489.1
P-2400				515.1
P-2401				517.1

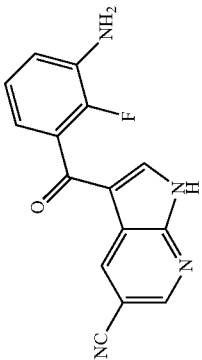
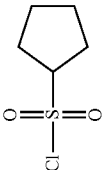
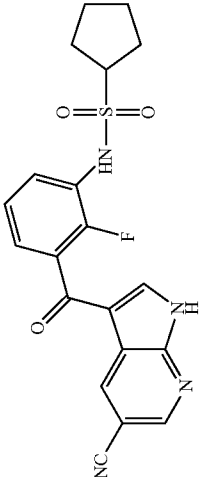
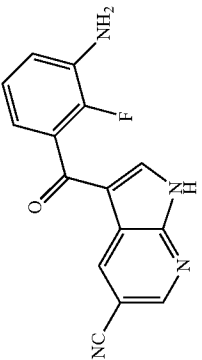
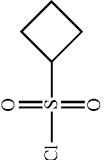
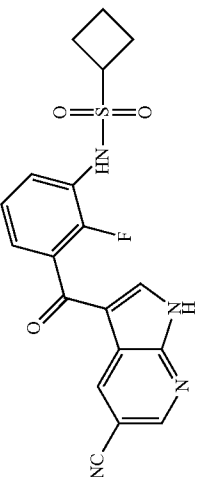
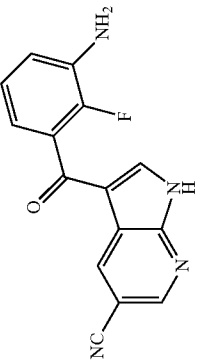
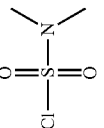
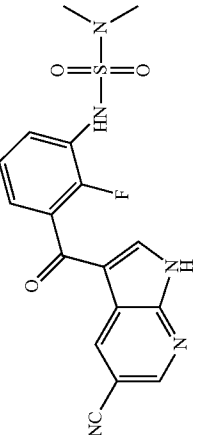
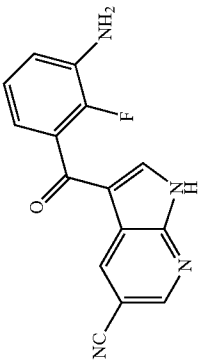
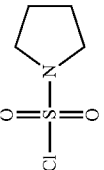
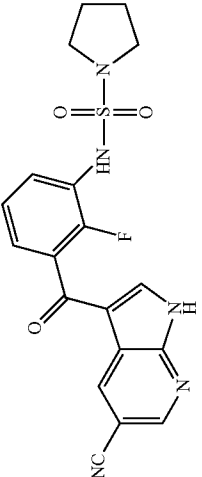
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2402				531.1
P-2410				373.1
P-2411				387.1
P-2412				401.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2413				401.1
P-2414				427.1
P-2415				441.1
P-2416				427.1

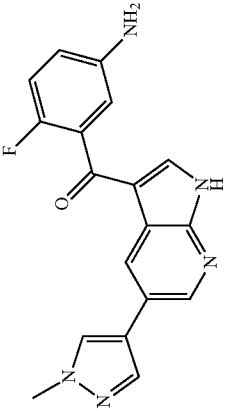
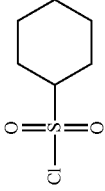
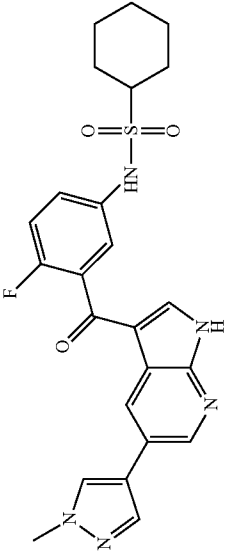
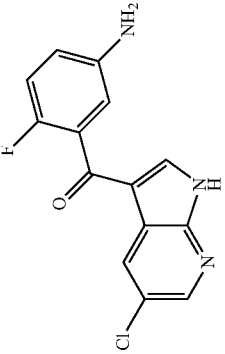
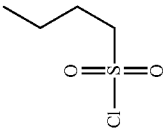
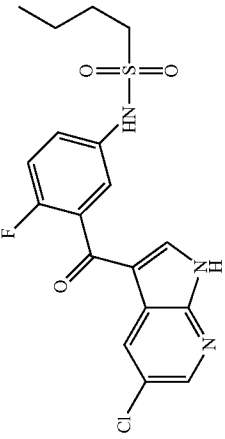
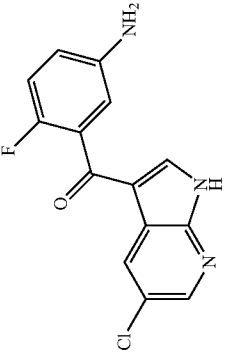
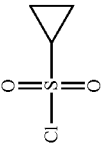
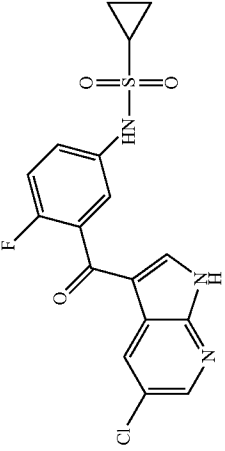
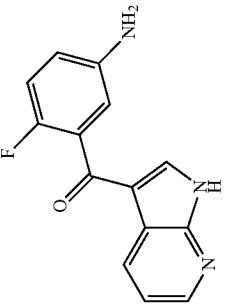
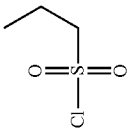
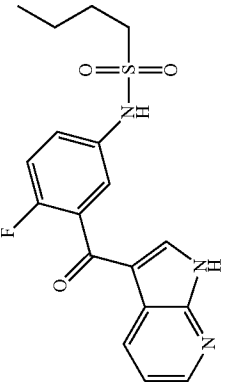
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2417				413.1
P-2418				399.1
P-2419				388.3
P-2420				413.9

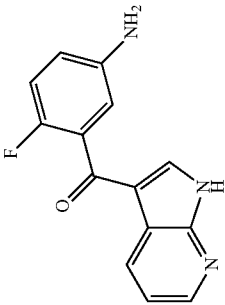
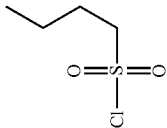
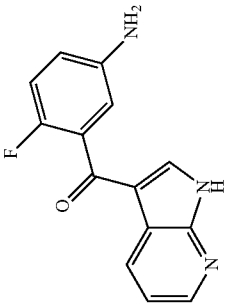
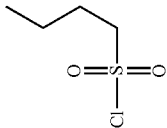
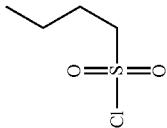
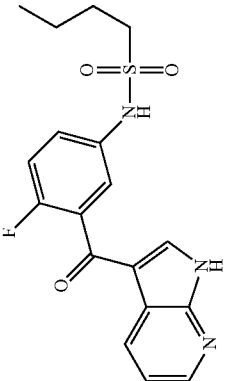
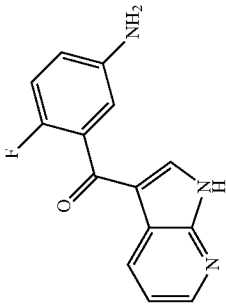
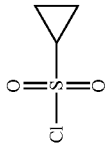
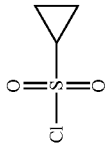
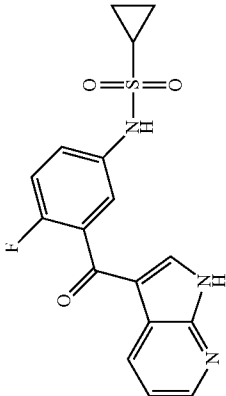
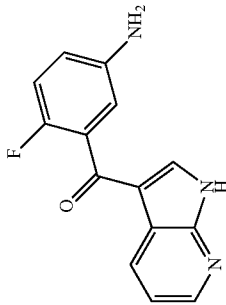
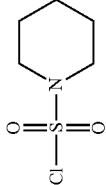
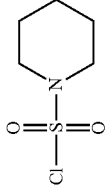
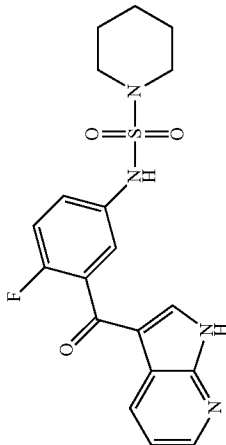
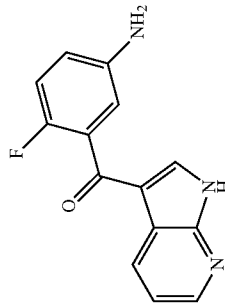
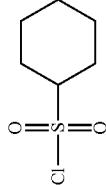
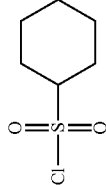
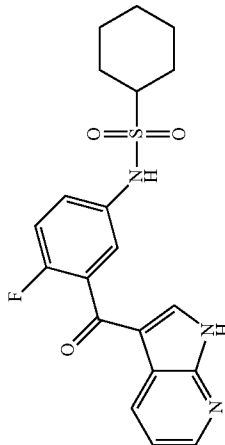
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2421				429.9
P-2431				442.3
P-2432				455.9
P-2433				440.3

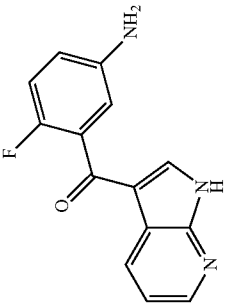
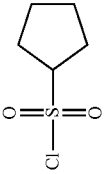
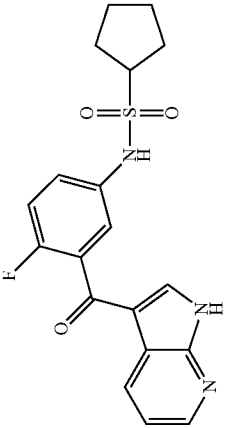
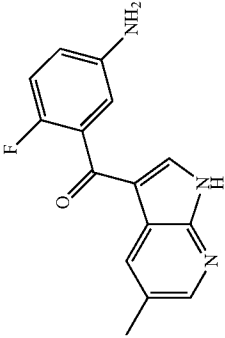
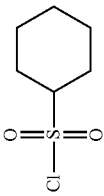
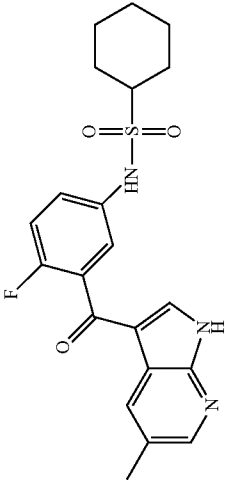
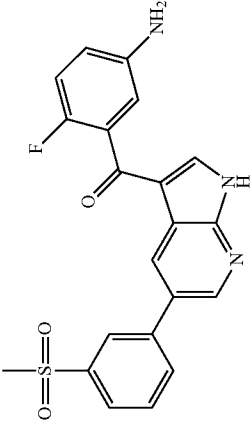
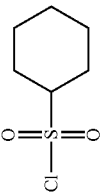
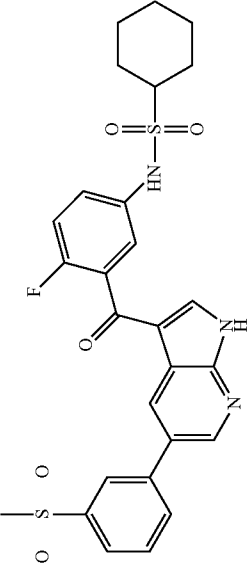
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2434				481.9
P-2435				410.3
P-2436				393.9
P-2437				362.3

-continued

P-2438		Sulfonyl chloride		Compound	MS(ESI) [M + H] ⁺ observed
P-2438					376.3
P-2439					359.9
P-2440					403.1
P-2441					402.3

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2442				388.3
P-2443				416.3
P-2444				556.0

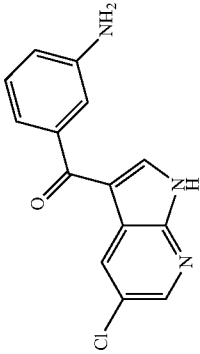
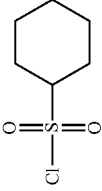
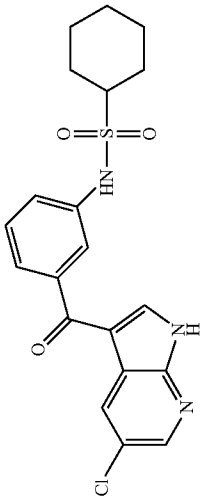
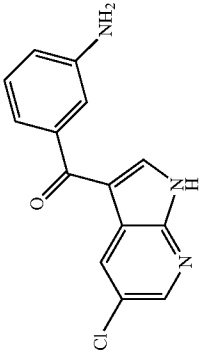
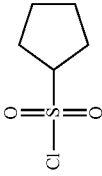
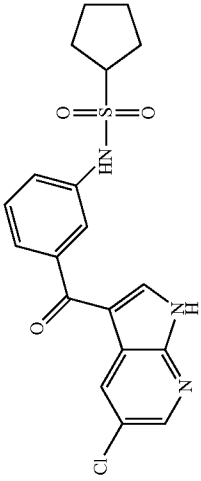
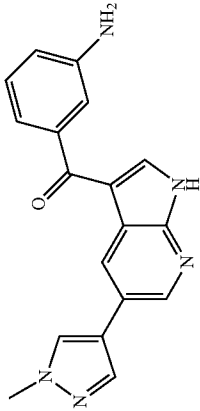
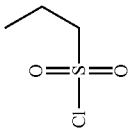
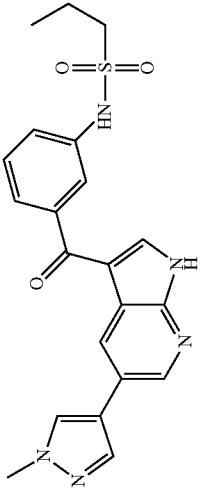
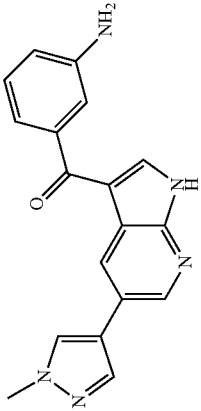
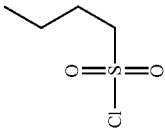
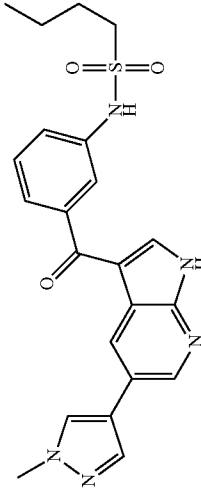
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2445				542.3
P-2446				571.2
P-2447				557.2

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2448				402.3
P-2449				378.3
P-2450				391.9
P-2451				376.3

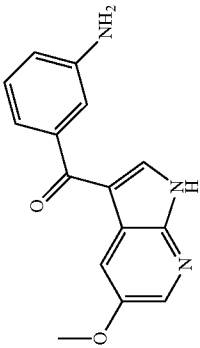
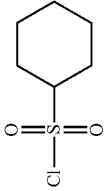
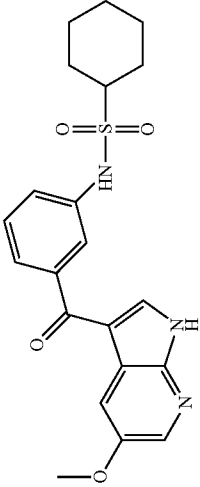
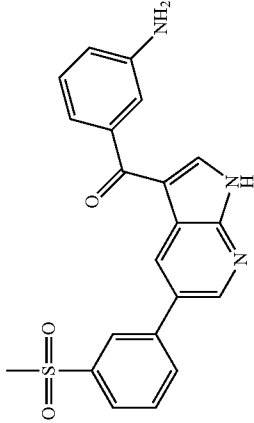
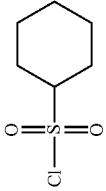
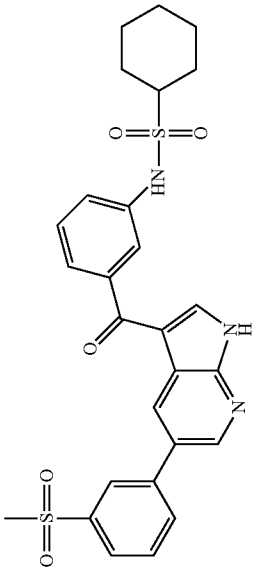
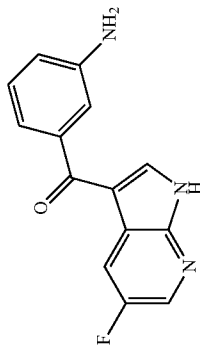
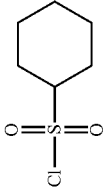
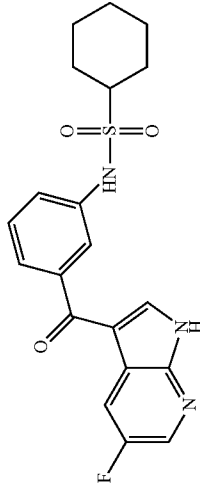
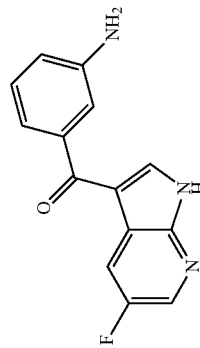
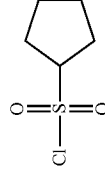
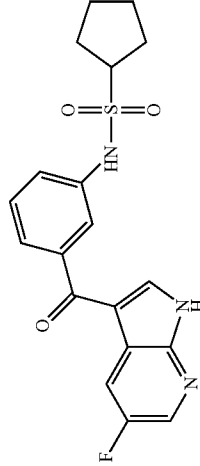
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	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2452				418.3
P-2453				404.3
P-2454				423.9
P-2455				438.3

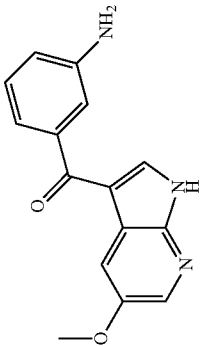
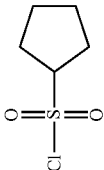
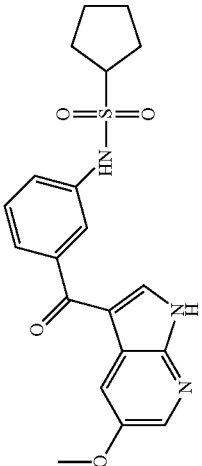
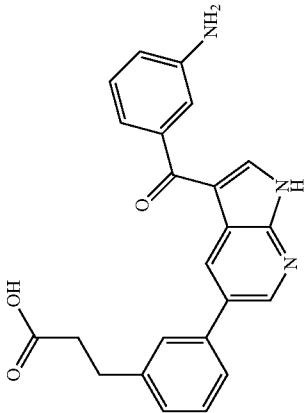
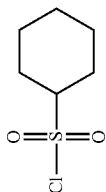
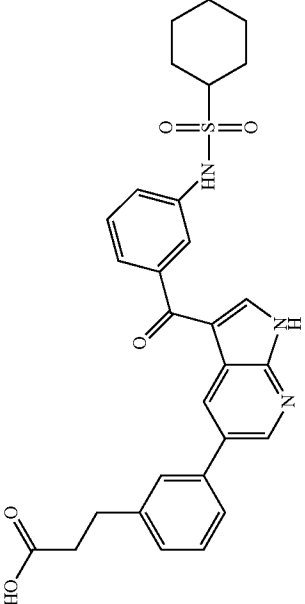
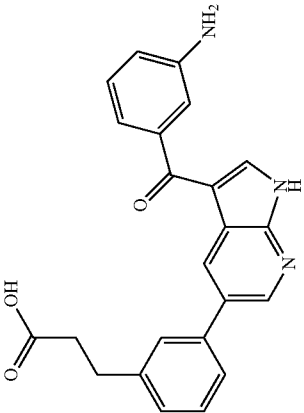
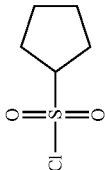
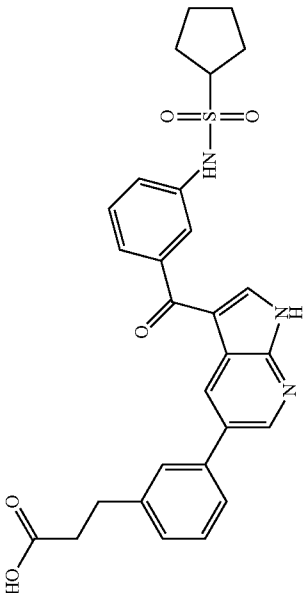
-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2456				421.9
P-2457				463.9
P-2458				398.3
P-2459				384.3

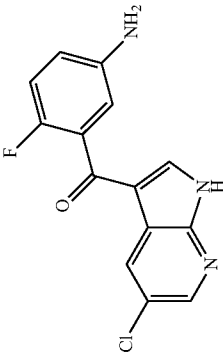
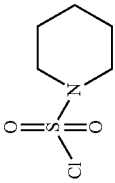
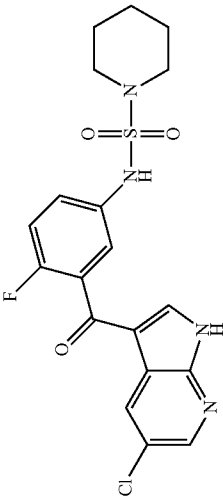
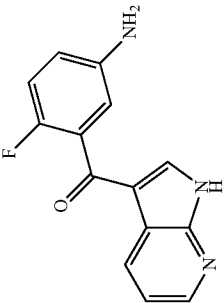
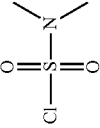
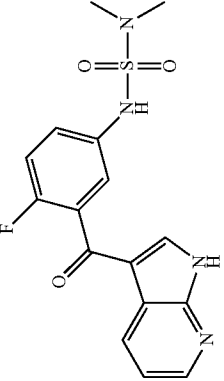
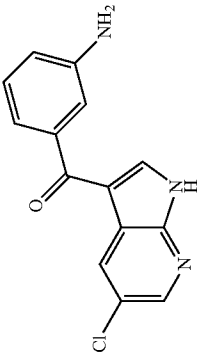
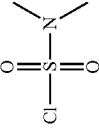
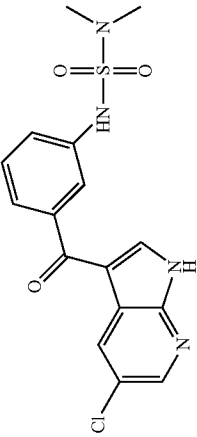
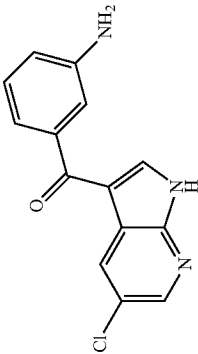
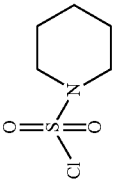
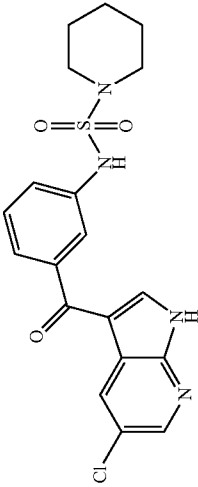
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P-2460	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
				414.3
P-2461				538.3
P-2462				402.3
P-2463				388.3

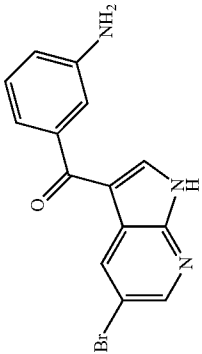
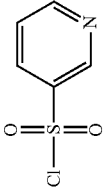
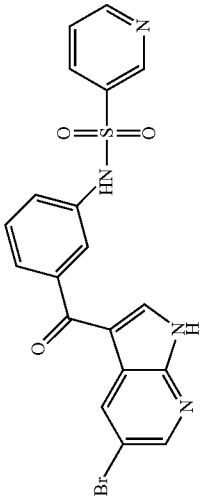
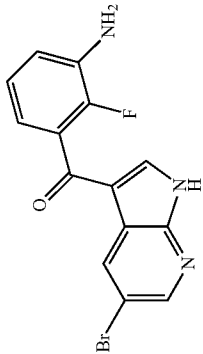
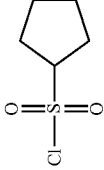
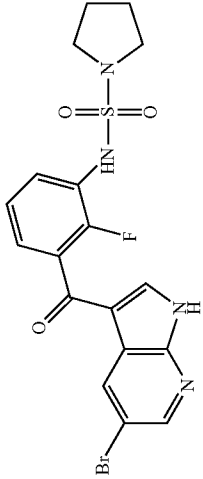
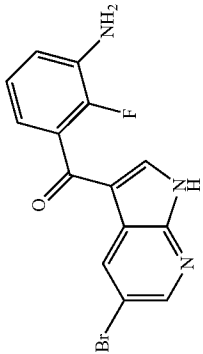
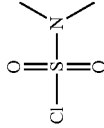
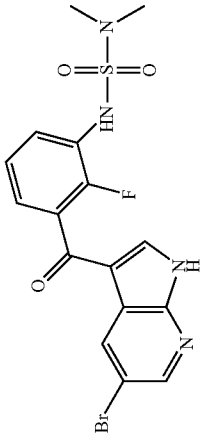
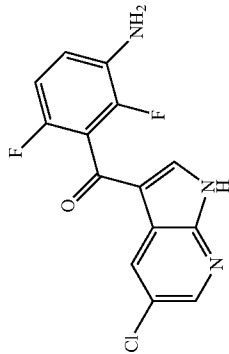
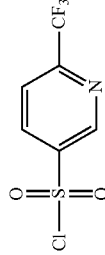
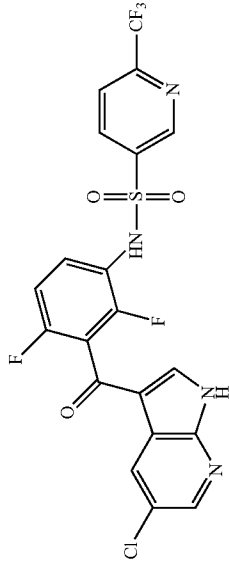
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P-2464	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
				399.9
P-2465				531.9
P-2466				518.3

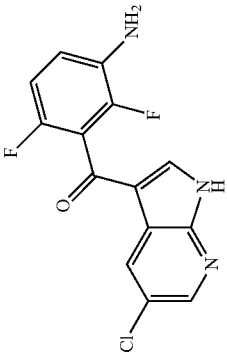
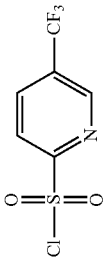
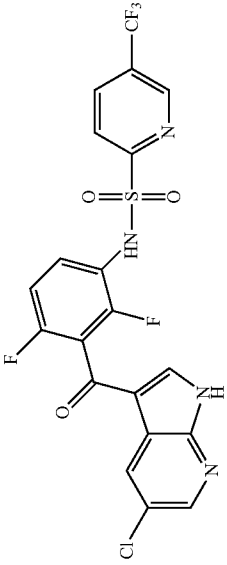
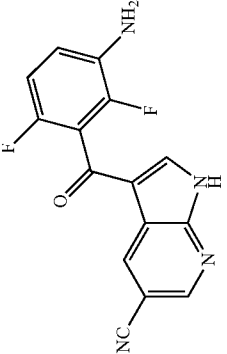
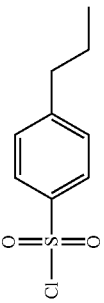
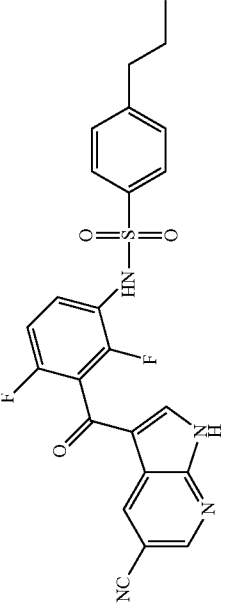
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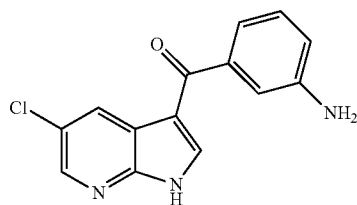
	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2468				437.1
P-2469				363.1
P-2470				379.1
P-2471				419.1

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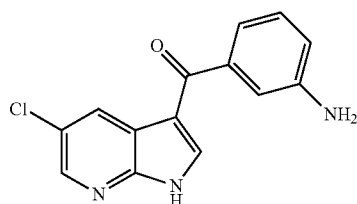
	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2472				
P-2476				465.5 467.4 [M - H] ⁻
P-2477				439.4 441.4 [M - H] ⁻
P-2481				517 519

-continued

	1H-pyrrolo[2,3-b]pyridine	Sulfonyl chloride	Compound	MS(ESI) [M + H] ⁺ observed
P-2485				516.9
P-2486				481.2



P-2122



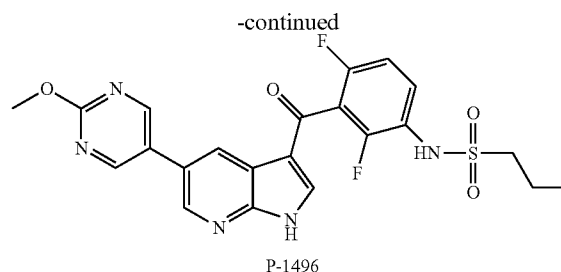
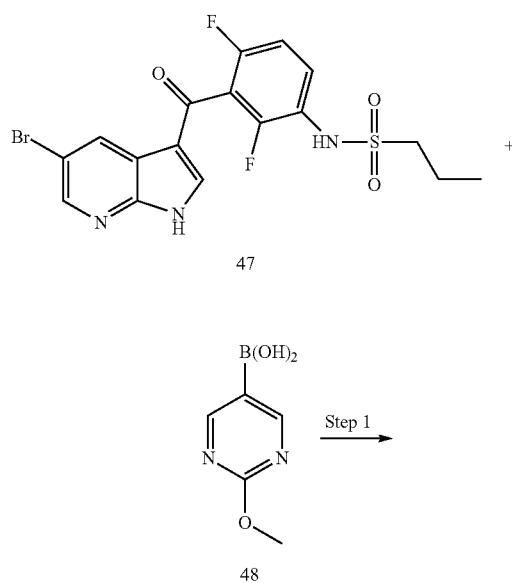
P-2123

Example 3

Synthesis of propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-1496

[2141] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-1496 was synthesized in one step from propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluorophenyl]-amide 47 as shown in Scheme 5.

Scheme 5



P-1496

[2142] Step 1—Preparation of propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-1496):

[2143] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluorophenyl]-amide (47, 10 mg, 0.022 mmol) was weighed into a 5 mL microwave vial and combined with 2-methoxypyrimidine-5-boronic acid (48, 4.4 mg, 0.028 mmol), followed by the addition of 600 μ L of acetonitrile and 500 μ L of 1M potassium carbonate and a spatula tip ($\approx$ 1 mg) of [1,1'-bis(diphenylphosphino)-ferrocene]dichloropalladium(II). The reaction mixture was irradiated in a microwave at 160° C. for 5 minutes. The solution was neutralized with 100 μ L of acetic acid and all material was transferred to a 4 mL vial and the solvents were removed under vacuum. The crude material was dissolved in 400 μ L of dimethylsulfoxide and purified by reverse phase HPLC, eluting with 0.1% trifluoroacetic acid in water and 0.1% trifluoroacetic acid in acetonitrile, 20-100% acetonitrile over 16 minutes at 6 mL per minute. Appropriate fractions were combined and the solvent removed under reduced pressure to provide the desired compound. MS (ESI)[M+H]⁺ =487.9.

[2144] Additional compounds were prepared similarly to the protocol of Scheme 5, where optimal reaction conditions may have varied in terms of time and temperature of the reaction, or alternatively, tetrakis(triphenylphosphine)palladium(0) was used as a catalyst, and in chromatography conditions for purification of the desired compounds. The reactions were performed optionally substituting 2-methoxypyrimidine-5-boronic acid 48 with an appropriate boronic acid and/or propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluorophenyl]-amide 47 with an appropriate 5-bromo-1H-pyrrolo[2,3-b]pyridine derivative. The following compounds were prepared by this procedure:

[2145] 3-{3-[3-(Pyridine-3-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzamide (P-2147),

[2146] 3-(3-{3-[3-(Pyridine-3-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-phenyl)-propionic acid (P-2148),

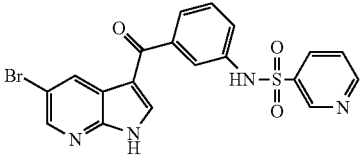
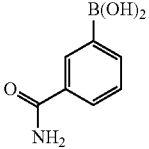
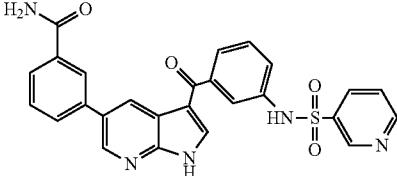
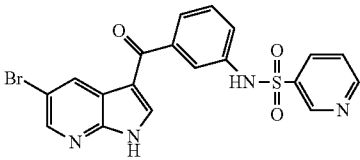
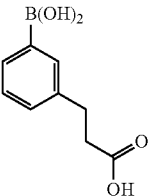
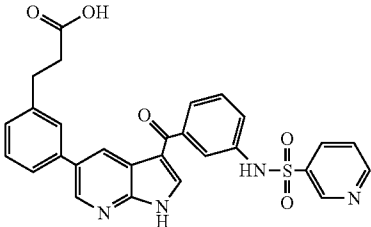
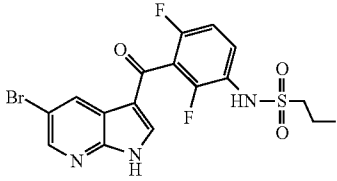
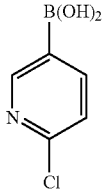
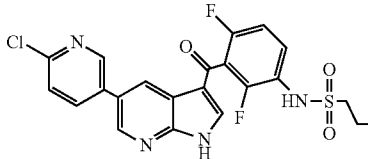
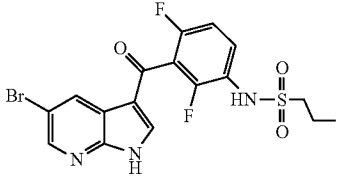
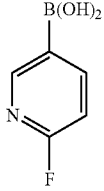
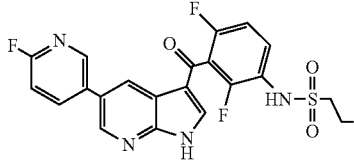
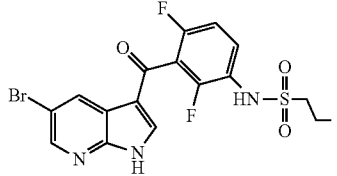
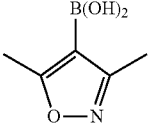
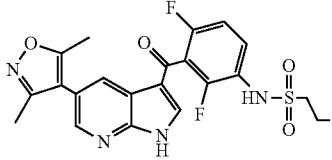
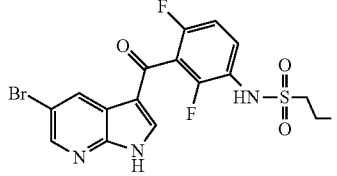
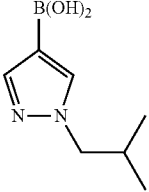
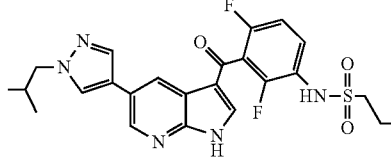
[2147] Propane-1-sulfonic acid {3-[5-(6-chloro-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluorophenyl}-amide (P-2154),

[2148] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-fluoro-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2155),

[2149] Propane-1-sulfonic acid {3-[5-(3,5-dimethyl-isoxazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluorophenyl}-amide (P-2156),

- [2150] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-isobutyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2157),
- [2151] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1,3,5-trimethyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2158),
- [2152] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-3-methoxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2159),
- [2153] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-3-trifluoromethyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2160),
- [2154] N-(4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-phenyl)-acetamide (P-2161),
- [2155] Propane-1-sulfonic acid [2,4-difluoro-3-(5-pyrimidin-5-yl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2162),
- [2156] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-fluoro-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2164),
- [2157] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2165),
- [2158] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(morpholine-4-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2166),
- [2159] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-methyl-benzenesulfonamide (P-2167),
- [2160] N-Cyclopropyl-4-{3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzenesulfonamide (P-2168),
- [2161] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methanesulfonylamino-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2169),
- [2162] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-methyl-benzenesulfonamide (P-2170),
- [2163] Propane-1-sulfonic acid {3-[5-(4-chloro-3-trifluoromethyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2171),
- [2164] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-fluoro-6-methyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2172),
- [2165] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2174),
- [2166] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methyl-2H-pyrazol-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2175),
- [2167] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2H-pyrazol-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2176),
- [2168] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[1-(2-morpholin-4-yl-ethyl)-1H-pyrazol-4-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2177),
- [2169] Propane-1-sulfonic acid (3-{5-[6-(3-dimethylamino-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-2,4-difluoro-phenyl)-amide (P-2178),
- [2170] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-hydroxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2179),
- [2171] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2183),
- [2172] Propane-1-sulfonic acid {3-[5-(2,6-dimethoxy-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2184),
- [2173] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N,N-dimethyl-benzenesulfonamide (P-2185),
- [2174] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(piperidine-1-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2186),
- [2175] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-methylsulfanyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2187),
- [2176] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(5-methanesulfonyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2188),
- [2177] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methylsulfanyl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2189),
- [2178] Propane-1-sulfonic acid {3-[5-(1-benzyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2190),
- [2179] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(5-methyl-3-phenyl-isoxazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2191),
- [2180] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-fluoro-pyridin-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2192),
- [2181] N-(5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridin-2-yl)-acetamide (P-2193),
- [2182] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-benzenesulfonamide (P-2194),
- [2183] Propane-1-sulfonic acid {3-[5-(2,5-dimethyl-2H-pyrazol-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2195),
- [2184] Propane-1-sulfonic acid {3-[5-(2-dimethylamino-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2196),
- [2185] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-morpholin-4-yl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2197),
- [2186] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-hydroxy-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2198),
- [2187] Propane-1-sulfonic acid {3-[5-(2,4-dimethoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2199),
- [2188] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methyl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2211),
- [2189] Propane-1-sulfonic acid {3-[5-(2-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2212),
- [2190] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-methyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2213),
- [2191] Propane-1-sulfonic acid {3-[5-(6-amino-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2214),
- [2192] Propane-1-sulfonic acid (2,4-difluoro-3-[5-[6-(2-hydroxy-ethoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl)-amide (P-2215),
- [2193] Propane-1-sulfonic acid (3-{5-[6-(3-diethylamino-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl)-amide (P-2216),

- [2194] Propane-1-sulfonic acid {3-[5-(4-ethanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2218),
- [2195] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-pyrrolidin-1-yl-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2219),
- [2196] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid amide (P-2220),
- [2197] 4-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N-(2-hydroxyethyl)-benzenesulfonamide (P-2221),
- [2198] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(propane-2-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2223),
- [2199] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[4-(pyrrolidine-1-sulfonyl)-phenyl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2227),
- [2200] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methanesulfonyl-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2228),
- [2201] Propane-1-sulfonic acid {3-[5-(6-dimethylamino-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2229),
- [2202] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-pyrrolidin-1-yl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2231),
- [2203] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(2-morpholin-4-yl-ethoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2232),
- [2204] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(2-pyrrolidin-1-yl-ethoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2233),
- [2205] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-hydroxy-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2234),
- [2206] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(3-pyrrolidin-1-yl-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2235),
- [2207] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(3-morpholin-4-yl-propoxy)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2236),
- [2208] Ethanesulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2269),
- [2209] Ethanesulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2270),
- [2210] Ethanesulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2271),
- [2211] 2-Methyl-propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2297),
- [2212] 2-Methyl-propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2298),
- [2213] 2-Methyl-propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2299),
- [2214] Butane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2300),
- [2215] Butane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2301),
- [2216] Butane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2302),
- [2217] 3,3,3-Trifluoro-propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2325),
- [2218] 3,3,3-Trifluoro-propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2326),
- [2219] N-{3-[5-(4-Chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-C,C,C-trifluoromethanesulfonamide (P-2343),
- [2220] N-{2,4-Difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-C,C,C-trifluoromethanesulfonamide (P-2344),
- [2221] N-{2,4-Difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-C,C,C-trifluoromethanesulfonamide (P-2345),
- [2222] Cyclopropanesulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2350),
- [2223] Cyclopropanesulfonic acid {2,4-difluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2351),
- [2224] Cyclopropanesulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2352),
- [2225] Propane-1-sulfonic acid {2-fluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2407),
- [2226] Propane-1-sulfonic acid {3-[5-(2-dimethylamino-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-2408),
- [2227] Pyrrolidine-1-sulfonic acid {2-fluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2422),
- [2228] N,N-dimethylamino-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-2423),
- [2229] N,N-dimethylamino-sulfonic acid {2-fluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2424),
- [2230] Pyrrolidine-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2-fluoro-phenyl}-amide (P-2425),
- [2231] Propane-1-sulfonic acid {2-chloro-3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-4-fluoro-phenyl}-amide (P-2427),
- [2232] Propane-1-sulfonic acid {2-chloro-4-fluoro-3-[5-(4-fluoro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2428), and
- [2233] Propane-1-sulfonic acid {2-chloro-4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2429).
- [2234] The following table indicates the 1H-pyrrolo[2,3-b]pyridine (column 2) and boronic acid (column 3) used to afford the desired compound (column 4). The compound number is provided in column 1, and the observed mass is in column 5.

	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P-2147				498.3
P-2148				527.1
P-2154				491.1
P-2155				475.1
P-2156				475.1
P-2157				502.3

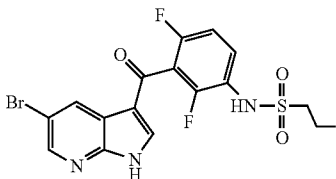
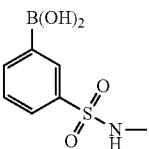
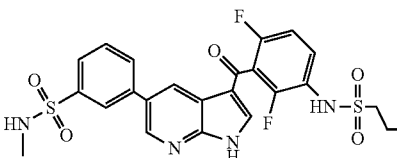
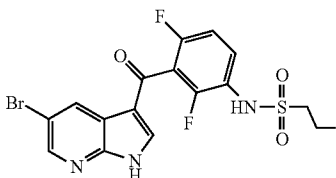
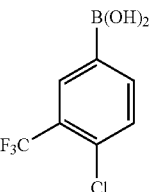
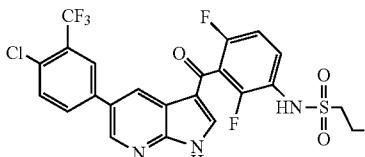
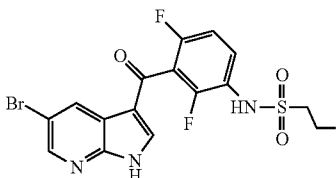
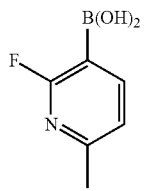
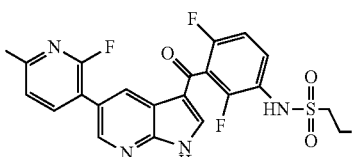
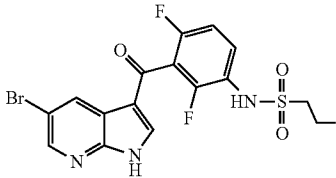
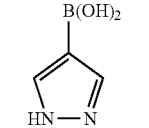
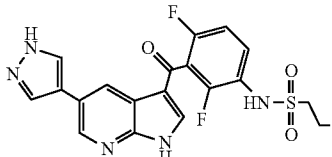
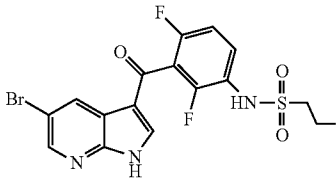
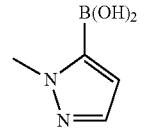
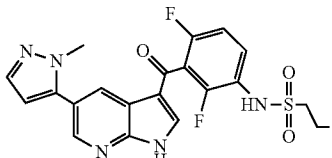
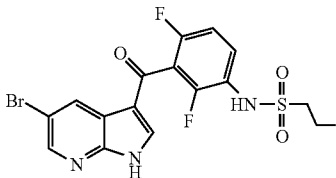
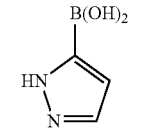
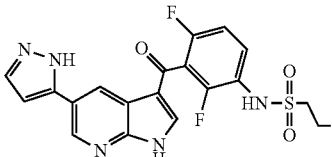
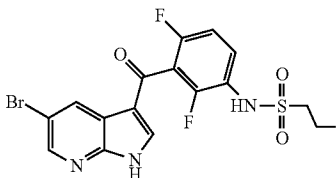
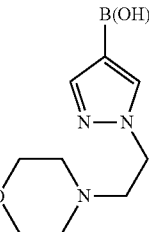
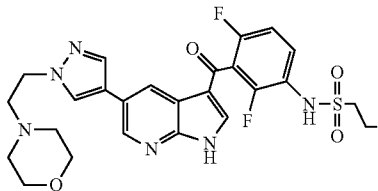
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P-2158				488.3
P-2159				503.9
P-2160				541.9
P-2161				513.1
P-2162				458.3
P-2164				475.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2165				549.1
P- 2166				605.2
P- 2167				549.1
P- 2168				575.2
P- 2169				549.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2170				549.1
P- 2171				
P- 2172				
P- 2174				446.0
P- 2175				460.4
P- 2176				446.0
P- 2177				459.0

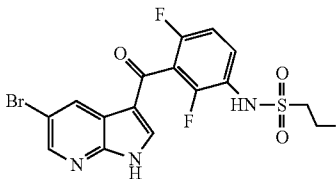
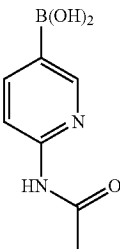
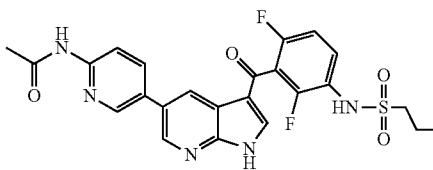
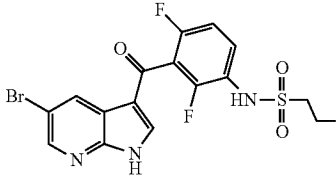
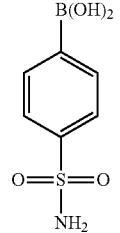
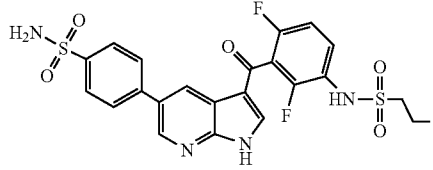
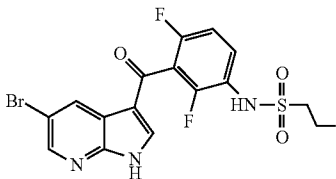
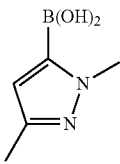
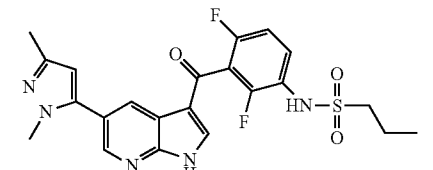
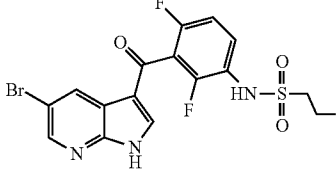
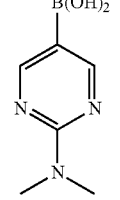
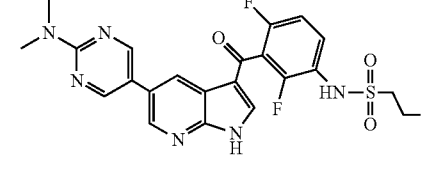
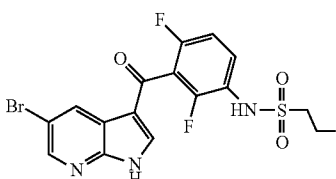
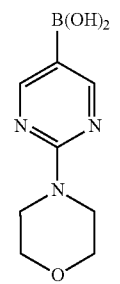
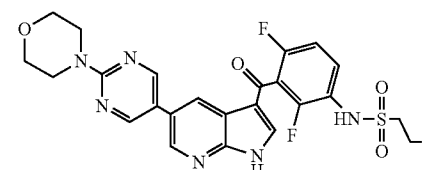
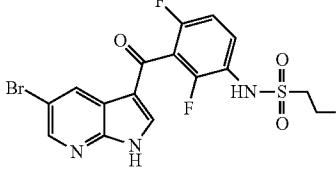
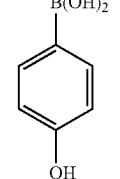
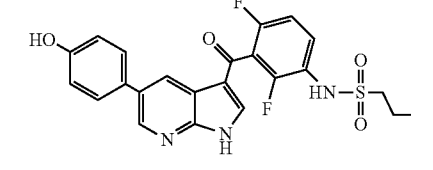
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2178				458.2
P- 2179				472.1
P- 2183				533.9
P- 2184				517.1
P- 2185				563.2
P- 2186				603.2

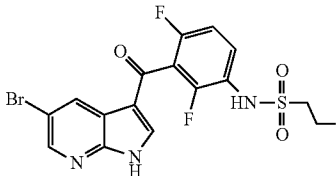
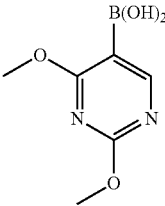
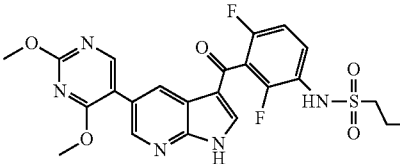
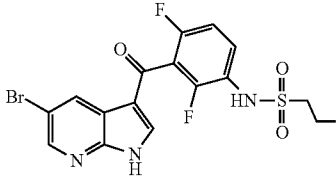
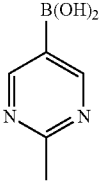
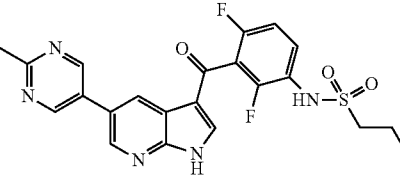
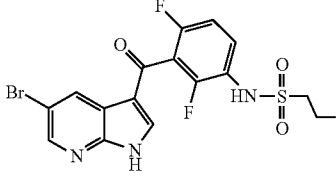
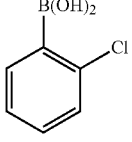
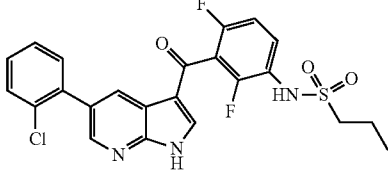
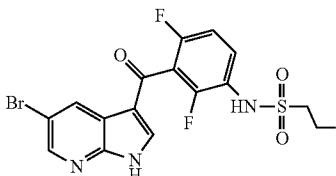
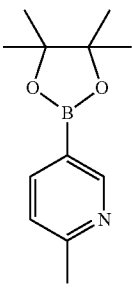
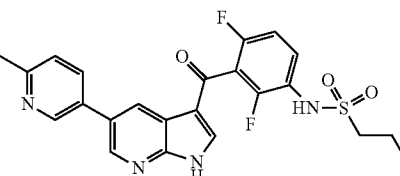
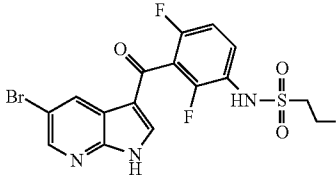
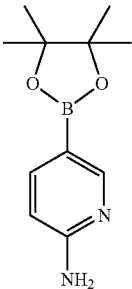
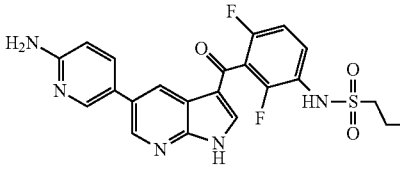
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ observed
P-2187				503.1
P-2188				535.1
P-2189				503.9
P-2190				536.3
P-2191				537.5
P-2192				475.1

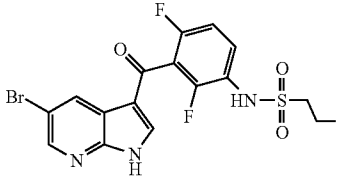
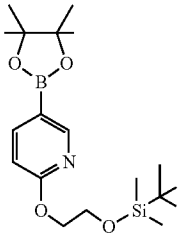
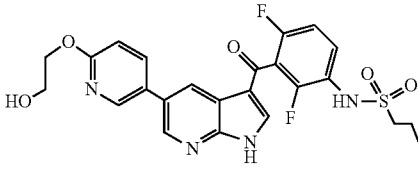
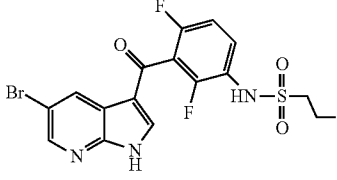
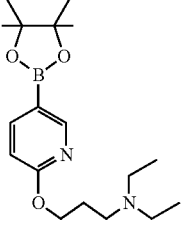
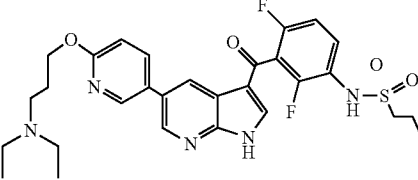
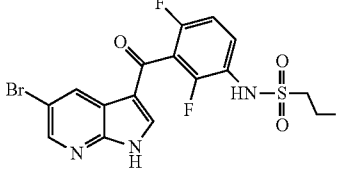
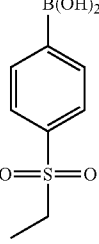
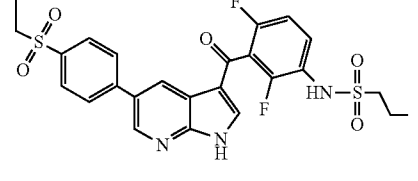
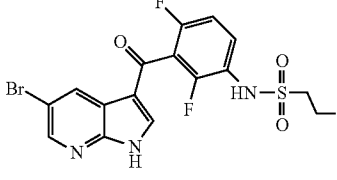
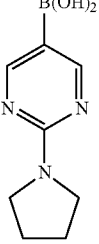
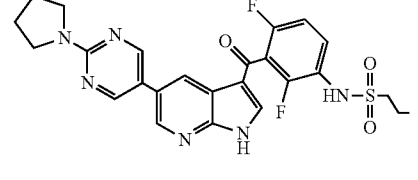
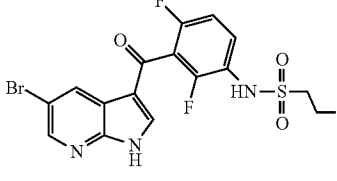
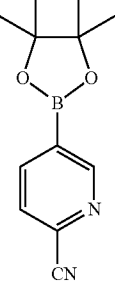
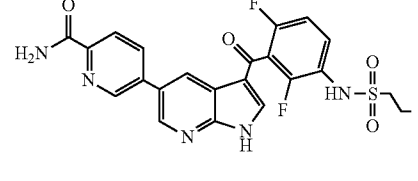
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2193				514.3
P- 2194				535.1
P- 2195				474.3
P- 2196				501.1
P- 2197				543.1
P- 2198				472.1

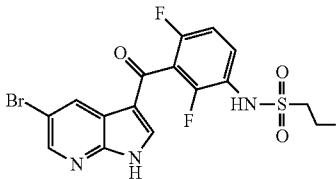
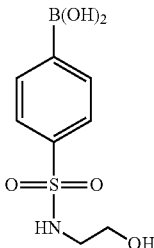
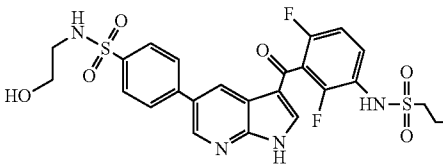
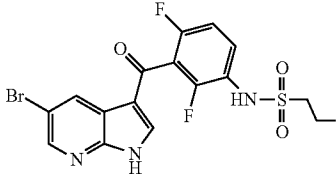
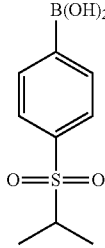
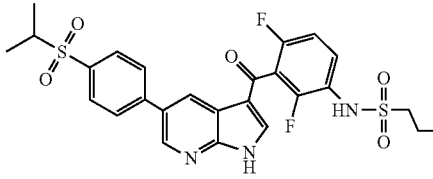
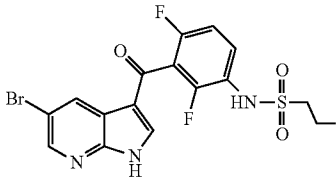
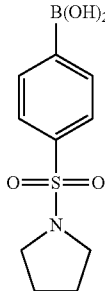
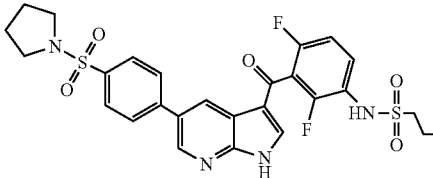
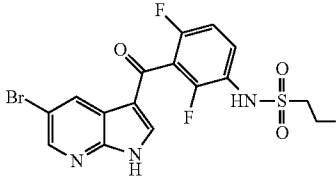
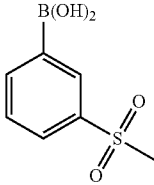
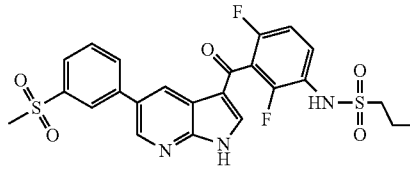
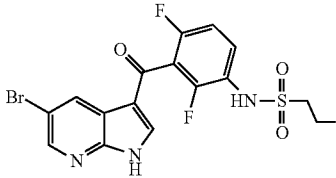
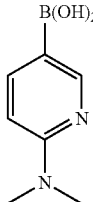
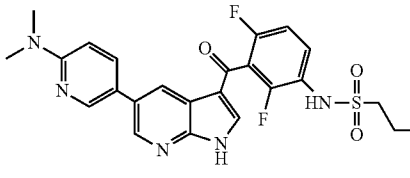
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P-2199				517.9
P-2211				472.0
P-2212				490.0 492.0
P-2213				471.0
P-2214				472.5

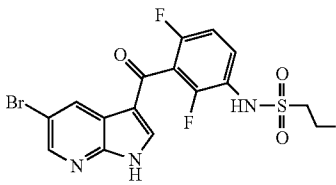
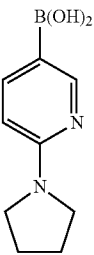
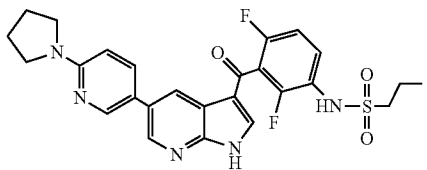
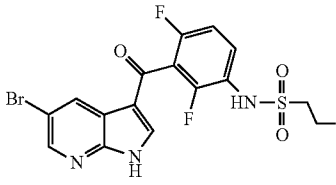
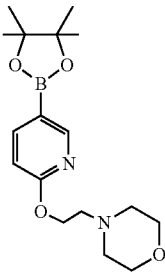
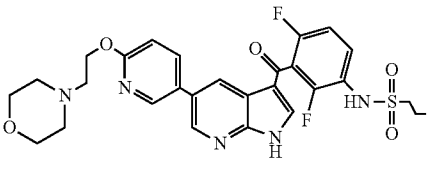
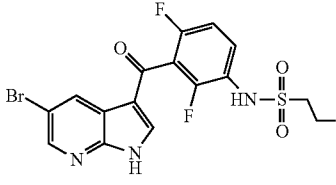
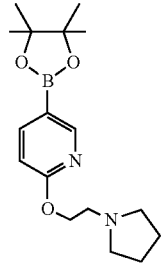
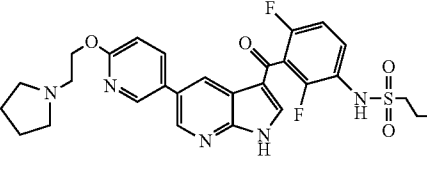
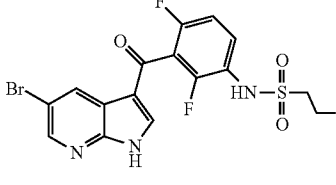
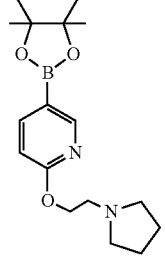
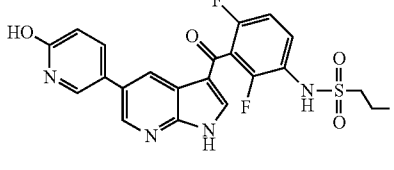
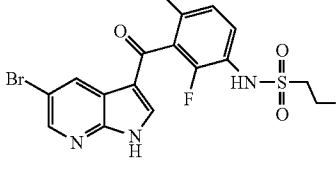
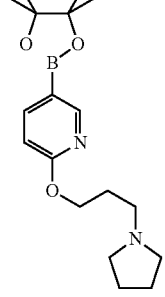
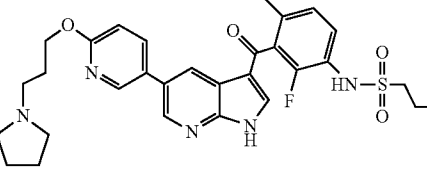
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2215				517.0
P- 2216				586.5
P- 2218				546.5 [M - H ⁺] ⁻
P- 2219				527.7
P- 2220				500.2

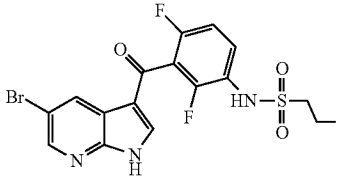
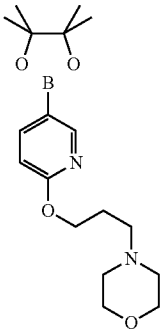
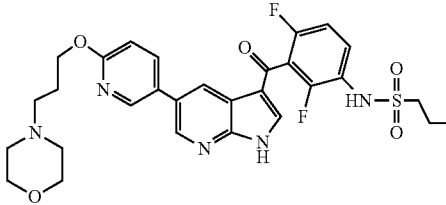
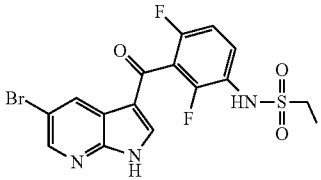
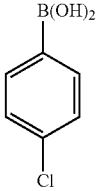
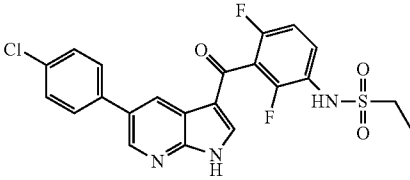
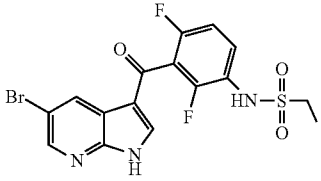
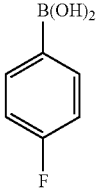
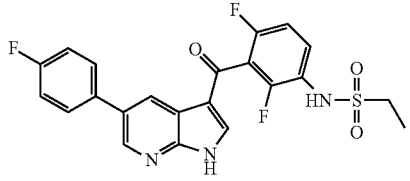
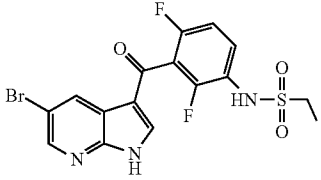
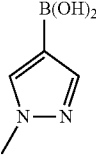
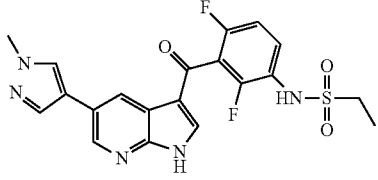
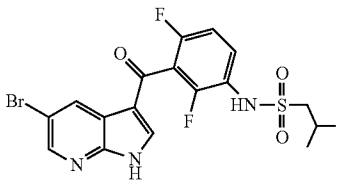
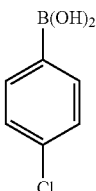
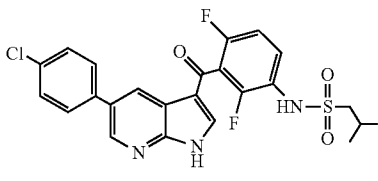
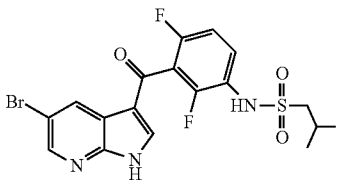
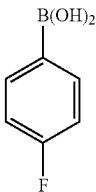
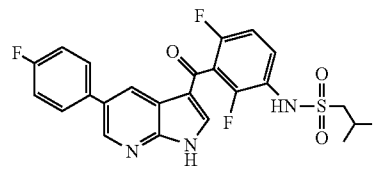
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2221				579.6
P- 2223				562.3
P- 2227				589.6
P- 2228				532.3 [M - H ⁺] ⁻
P- 2229				500.4

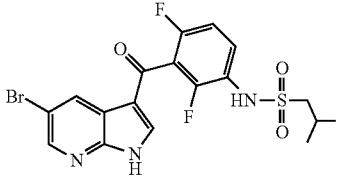
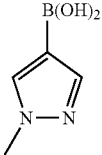
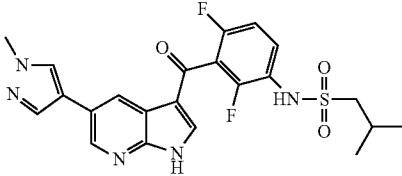
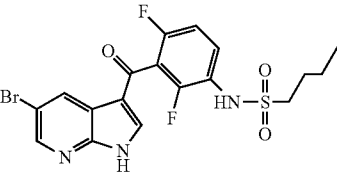
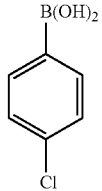
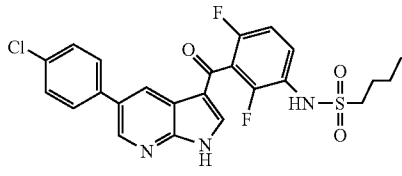
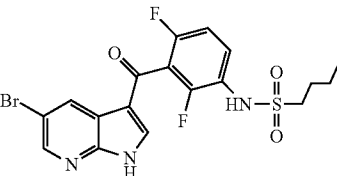
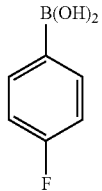
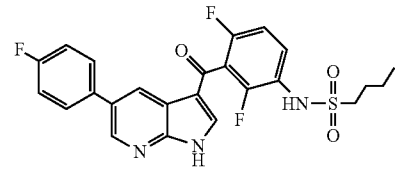
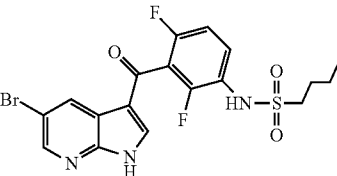
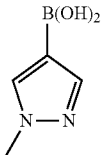
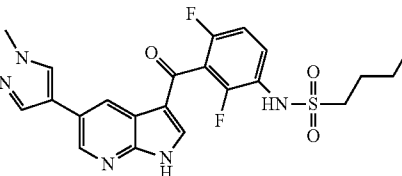
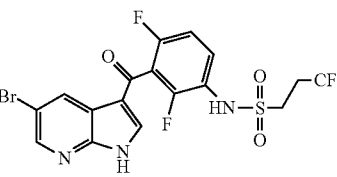
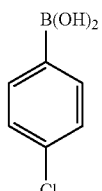
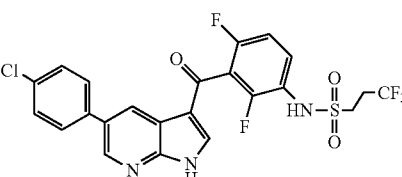
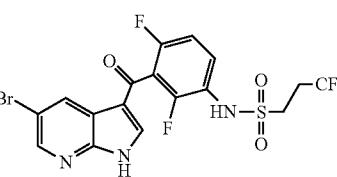
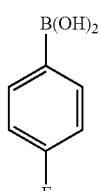
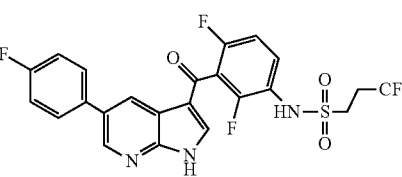
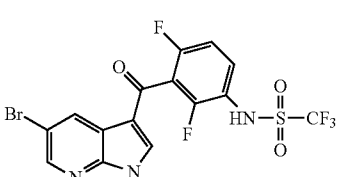
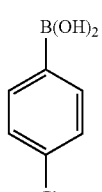
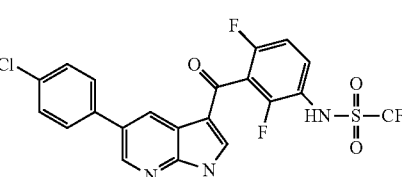
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2231				526.4
P- 2232				586.1
P- 2233				570.5
P- 2234				473.1
P- 2235				584.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2236				600.1
P- 2269				
P- 2270				
P- 2271				
P- 2297				
P- 2298				

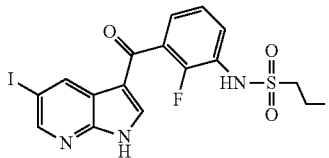
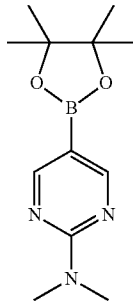
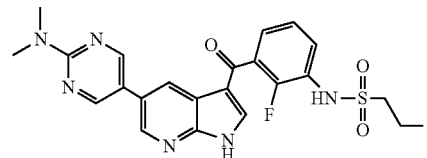
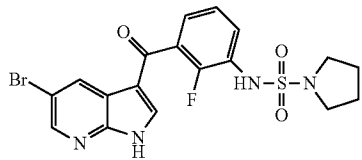
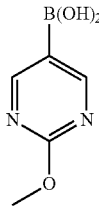
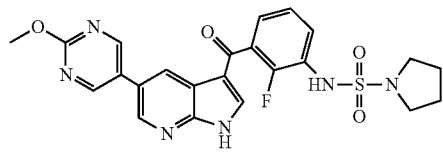
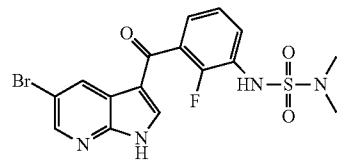
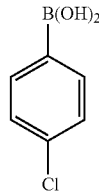
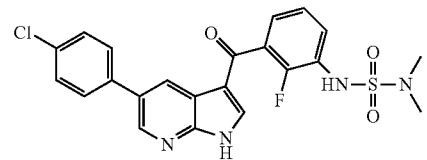
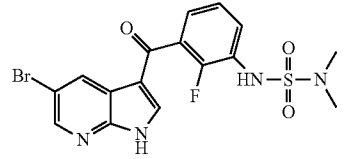
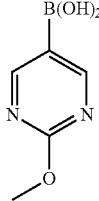
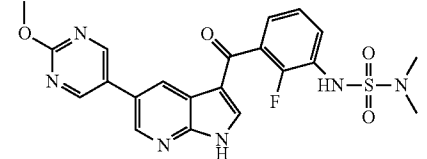
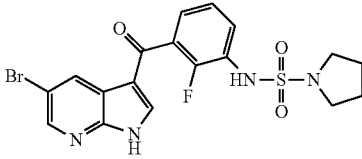
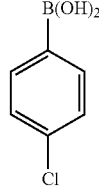
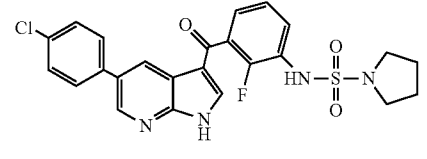
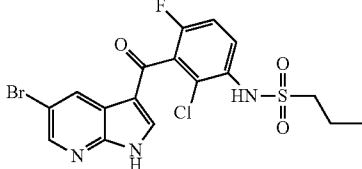
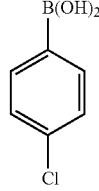
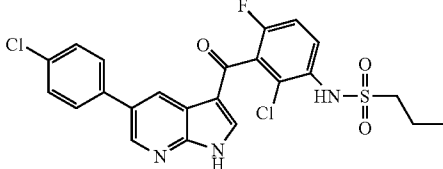
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2299				
P- 2300				503.9
P- 2301				488.3
P- 2302				474.3
P- 2325				544.3
P- 2326				529.1
P- 2343				516.3

-continued

	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2344				500.3
P- 2345				486.3
P- 2350				
P- 2351				
P- 2352				
P- 2407				470.1

-continued

	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2408				483.6
P- 2422				597.1
P- 2423				471.5 473.5 [M - H ⁺] ⁻
P- 2424				469.6 [M - H ⁺] ⁻
P- 2425				499.1 501.1
P- 2427				

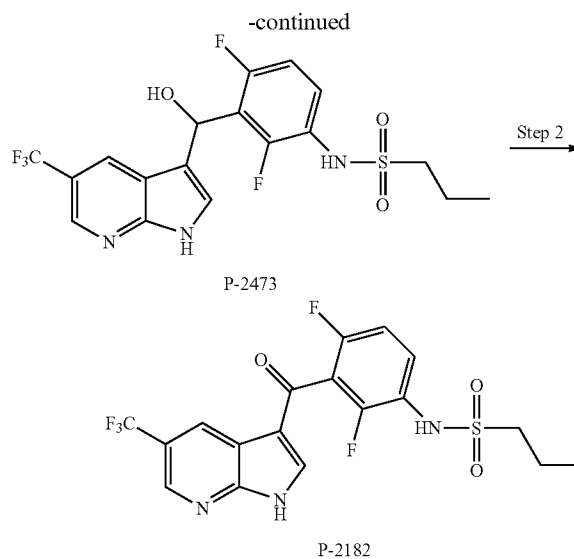
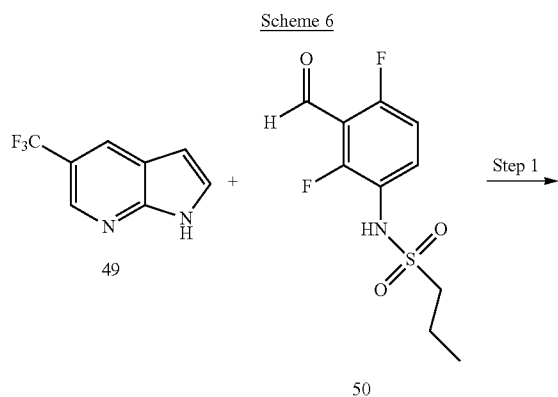
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	1H-pyrrolo[2,3-b]pyridine	Boronic acid	Compound	MS (ESI) [M + H ⁺] ⁺ observed
P-2428				
P-2429				
P-1496				487.9

Example 4

Synthesis of propane-1-sulfonic acid [2,4-difluoro-3-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide P-2182

[2235] Propane-1-sulfonic acid [2,4-difluoro-3-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide P-2182 was synthesized in two steps from 5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridine 49 as shown in Scheme 6.



Step 1—Preparation of propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-2473):

[2236] 5-Trifluoromethyl-1H-pyrrolo[2,3-b]pyridine (49, 100 mg, 0.537 mmol), propane-1-sulfonic acid (2,4-difluoro-3-formyl-phenyl)-amide (50, 170 mg, 0.645 mmol) and potassium hydroxide (90.4 mg, 1.61 mmol), were combined

in a vial with 2 mL of methanol. The reaction was stirred for 3 hours at room temperature. The reaction solution was extracted with 20 mL of ethyl acetate and 20 mL water (with 1N hydrochloric acid added to pH~1). The organic layer was separated and the solvents removed under vacuum, and the resulting residue was taken up in 4:1 acetonitrile:water with 5% TFA. This was allowed to stir over the weekend at room temperature, then extracted with ethyl acetate and water. The organic layer was washed with brine and then dried over magnesium sulfate, filtered, and the solvents were removed from the filtrate under vacuum. The resulting material was purified by silica gel column chromatography eluting with a gradient of ethyl acetate in hexanes to provide the desired compound (P-2473, 135 mg). MS (ESI) $[M+H]^+=449.9$.
Step 2—Preparation of propane-1-sulfonic acid [2,4-difluoro-3-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2182):

[2237] To propane-1-sulfonic acid {2,4-difluoro-3-[hydroxy-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-methyl]-phenyl}-amide (P-2473, 135 mg, 0.300 mmol) dissolved in 2 mL of dichloromethane and 2 mL of tetrahydrofuran, Dess-Martin periodinane (127 mg, 0.300 mmol) was added as a solid. The reaction was a suspension, which was allowed to stir at room temperature for 15 minutes, then quenched with 60 mL of 5:1 saturated sodium bicarbonate:saturated sodium bisulfite and 20 mL of ethyl acetate. The mixture was stirred for 10 minutes, and the layers were separated. The organic layer was washed with brine, dried over anhydrous magnesium sulfate and filtered. The solvents were removed from the filtrate under vacuum, and the resulting residue was purified by silica gel column chromatography eluting with a gradient from 1% to 5% methanol in dichloromethane to provide the desired compound (P-2182, 53 mg). MS (ESI) $[M-H]^-=446.0$.

[2238] Additional compounds were prepared similarly to the protocol of Scheme 6, where optimal reaction conditions may have varied in terms of time and temperature of the reaction, and in chromatography conditions for purification of the desired compounds. The reactions were performed optionally substituting 5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridine 49 with an appropriate 1H-pyrrolo[2,3-b]pyridine and/or propane-1-sulfonic acid (2,4-difluoro-3-formyl-phenyl)-amide 50 with an appropriate aldehyde in step 1. The following compounds were prepared by this procedure:

[2239] N-[3-(4-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-1503),

[2240] N-[3-(5-Cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2057),

[2241] N-[3-(5-Chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2058),

[2242] N-[2-Fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2059),

[2243] N-[2-Fluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2060),

[2244] 5-(3-{[2,6-Difluoro-3-(propane-1-sulfonylamino)-phenyl]-hydroxy-methyl}-1H-pyrrolo[2,3-b]pyridin-5-yl)-pyridine-2-carboxylic acid ethylamide (P-2150),

[2245] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid ethylamide (P-2151),

[2246] Propane-1-sulfonic acid {3-[5-(1,5-dimethyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2152),

[2247] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(1-methyl-1H-imidazol-2-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2153),

[2248] Propane-1-sulfonic acid [2,4-difluoro-3-(5-methanesulfonyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2173),

[2249] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid methylamide (P-2180),

[2250] 5-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-pyridine-2-carboxylic acid cyclopropylamide (P-2181),

[2251] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methylamide (P-2200),

[2252] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid cyclopropylamide (P-2201),

[2253] Propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1499),

[2254] Propane-1-sulfonic acid (3-{5-[2-(3-dimethylamino-propoxy)-pyrimidin-5-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl)-amide (P-2203),

[2255] Propane-1-sulfonic acid [2,4-difluoro-3-(5-hydroxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2204),

[2256] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid ethyl ester (P-2207),

[2257] Propane-1-sulfonic acid [3-(4-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2208),

[2258] Propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2209),

[2259] Propane-1-sulfonic acid [2,4-difluoro-3-(4-methoxy-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2210),

[2260] Propane-1-sulfonic acid (3-{5-[6-(3-diethylamino-prop-1-ynyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl)-amide (P-2222),

[2261] (E)-3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-acrylic acid methyl ester (P-2225),

[2262] Propane-1-sulfonic acid (2,4-difluoro-3-{5-[6-(3-methoxy-propyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl)-amide (P-2226),

[2263] Propane-1-sulfonic acid [2,4-difluoro-3-{5-(3-methoxy-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-phenyl]-amide (P-2239),

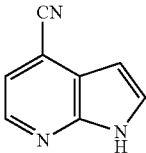
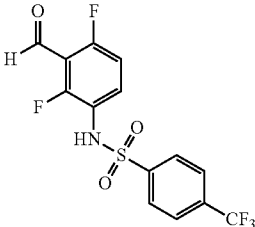
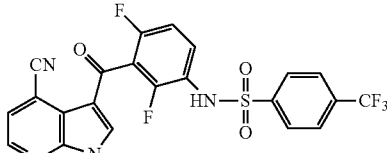
[2264] Propane-1-sulfonic acid {3-[5-(3-diethylamino-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-2241),

[2265] Propane-1-sulfonic acid [2,4-difluoro-3-(5-morpholin-4-ylmethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2244),

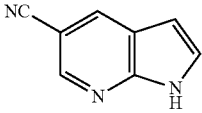
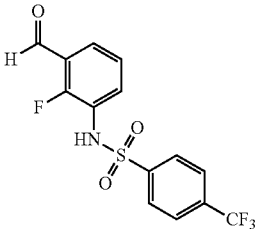
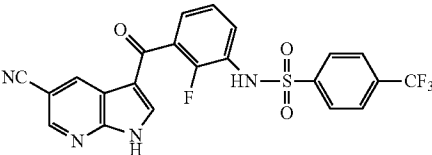
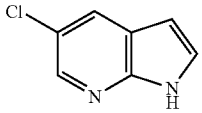
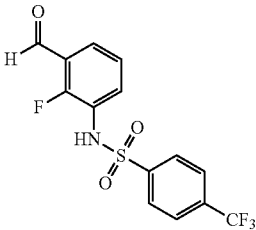
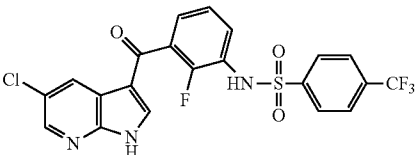
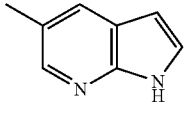
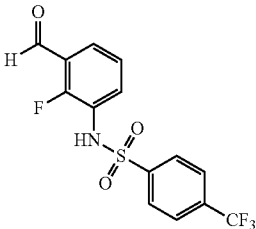
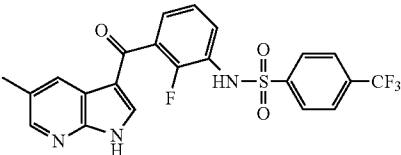
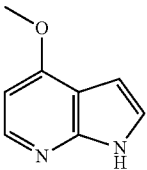
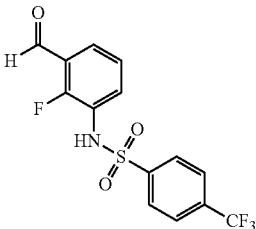
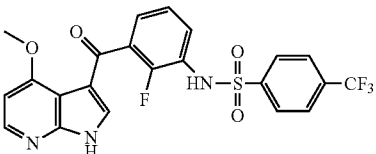
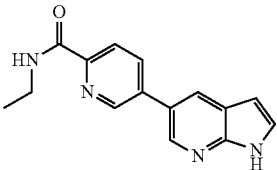
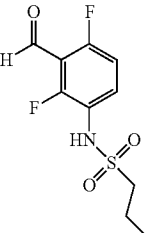
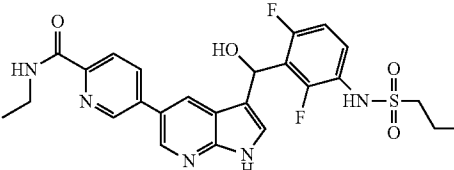
[2266] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-morpholin-4-yl-propyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2247),

- [2267] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-pyrrolidin-1-yl-propyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2248),
- [2268] Propane-1-sulfonic acid [2,4-difluoro-3-(5-hydroxymethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2250),
- [2269] 3-{3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-N,N-diethylpropionamide (P-2255),
- [2270] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(4-methyl-piperazin-1-ylmethyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2257),
- [2271] Propane-1-sulfonic acid [3-(5-diethylaminomethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2258),
- [2272] Propane-1-sulfonic acid [2,4-difluoro-3-(5-pyrrolidin-1-ylmethyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2259),
- [2273] Propane-1-sulfonic acid [3-(5-ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2260),
- [2274] Propane-1-sulfonic acid [3-(4-ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2261),
- [2275] Ethanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2268),
- [2276] 2-Methyl-propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2296),
- [2277] Cyclopropanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2348),
- [2278] 1-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenylsulfamoyl]-cyclopropanecarboxylic acid (P-2349),
- [2279] Propane-1-sulfonic acid [2-fluoro-3-(5-methyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2403),
- [2280] 3-[2-Fluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methyl ester (P-2404),
- [2281] Propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-1502),
- [2282] 3-[2-Fluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid ethyl ester (P-2406),
- [2283] Propane-1-sulfonic acid [3-(4-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-amide (P-2409),
- [2284] Propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-chloro-4-fluoro-phenyl]-amide (P-2426),
- [2285] Butane-1-sulfonic acid {2-chloro-4-fluoro-3-[5-(1-methyl-1H-pyrazol-4-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2430),
- [2286] N-[3-(4-Ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-1504),
- [2287] 3,3,3-Trifluoro-propane-1-sulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2478),
- [2288] N-[3-(5-Bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-C,C,C-trifluoro-methane-sulfonamide (P-2479), and
- [2289] Propane-1-sulfonic acid [2-fluoro-3-(5-iodo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-amide (P-2480),
- [2290] N-[2,4-Difluoro-3-(5-fluoro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2482),
- [2291] N-[3-(5-Cyclopropyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2483),
- [2292] N-[3-(5-Ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2484), and
- [2293] N-[3-(4-Ethynyl-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2-fluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide (P-2487).

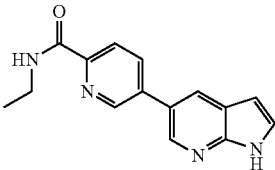
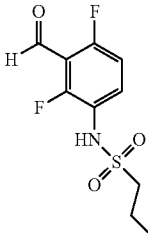
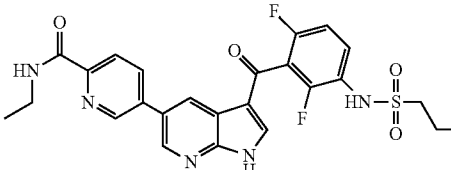
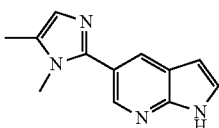
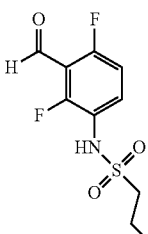
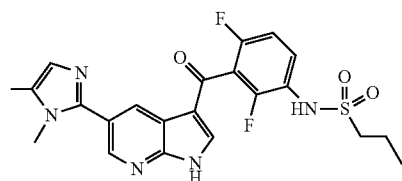
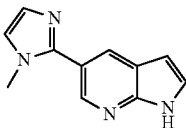
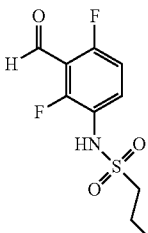
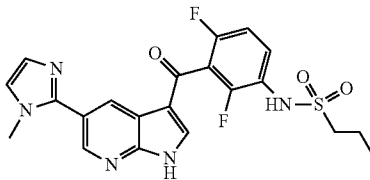
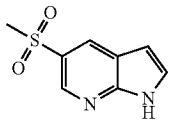
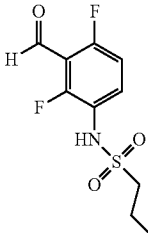
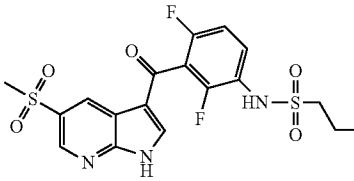
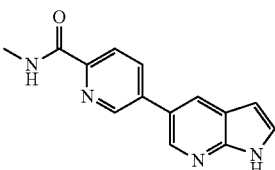
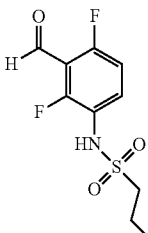
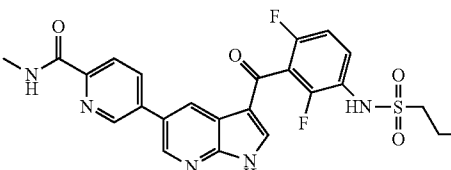
The following table indicates the 1H-pyrrolo[2,3-b]pyridine (column 2) and aldehyde (column 3) used to afford the desired compound (column 4). The compound number is provided in column 1, and the observed mass is in column 5.

P-	1H-pyrrolo[2,3-b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ observed
P-1503				505.5 ([M - H ⁺] ⁻)

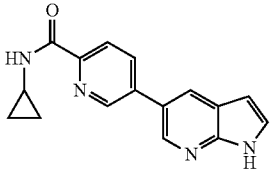
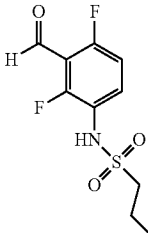
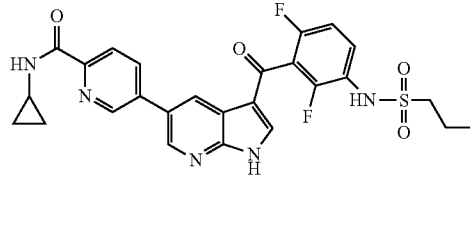
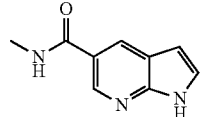
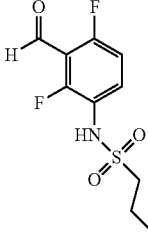
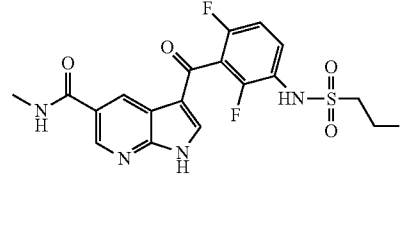
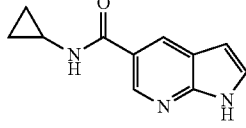
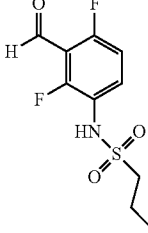
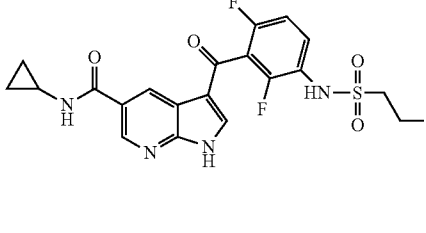
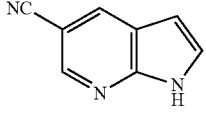
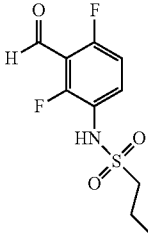
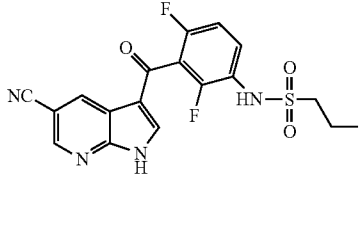
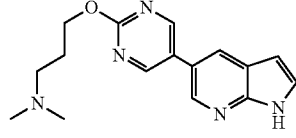
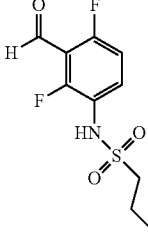
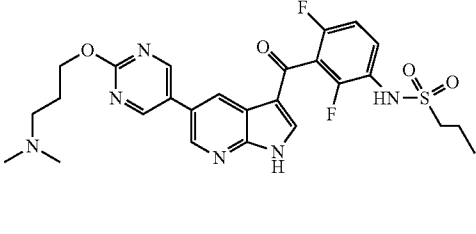
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	1H- pyrrolo[2,3- b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2057				487.7 ([M - H ⁺] ⁻)
P- 2058				496.4 498.4 ([M - H ⁺] ⁻)
P- 2059				478.2
P- 2060				494.1
P- 2150 Iso- late after step 1				530.1

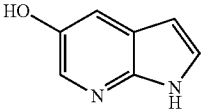
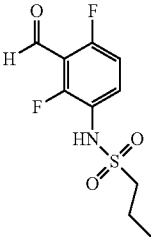
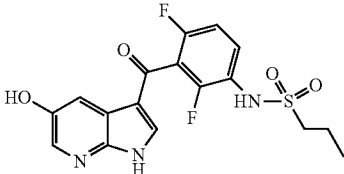
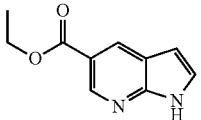
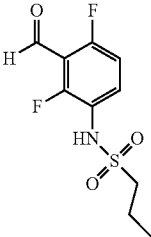
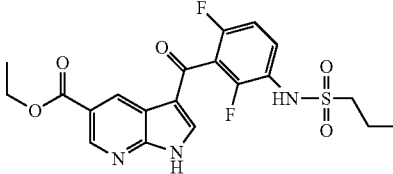
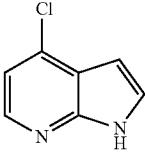
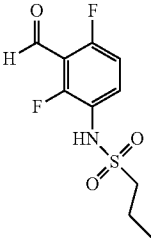
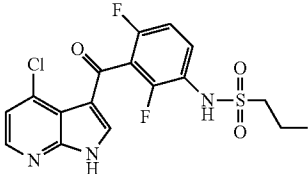
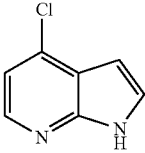
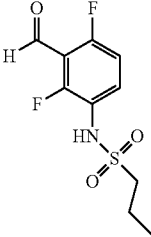
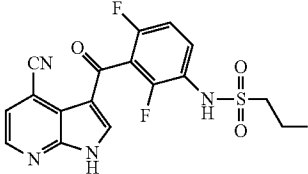
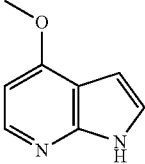
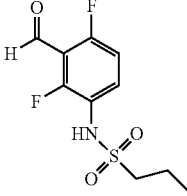
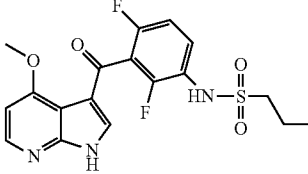
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	1H- pyrrolo[2,3- b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2151				528.2
P- 2152				474.2
P- 2153				460.2
P- 2173				456.0 ([M - H ⁺] ⁻)
P- 2180				512.1 ([M - H ⁺] ⁻)

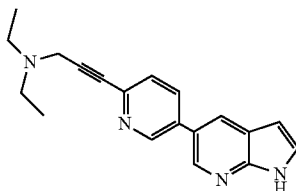
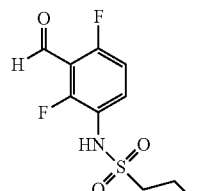
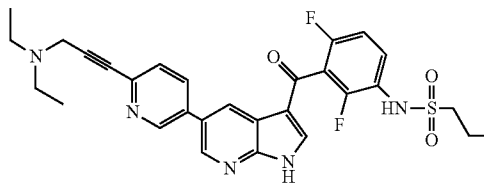
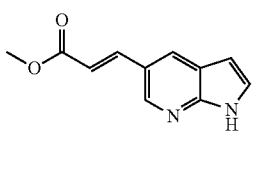
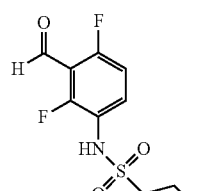
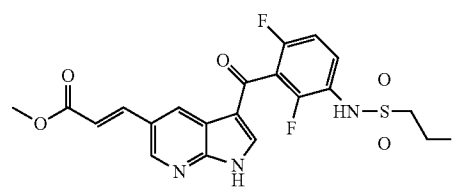
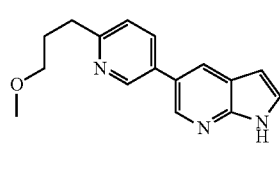
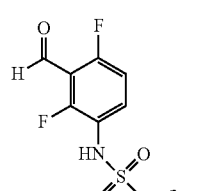
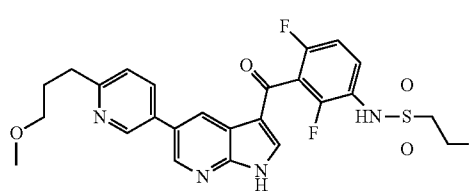
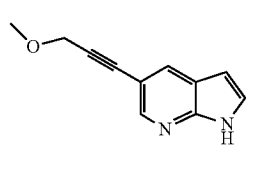
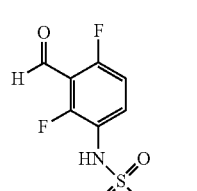
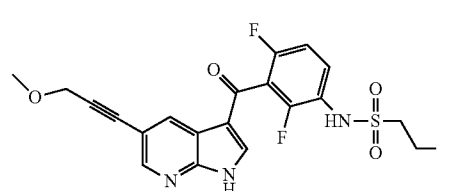
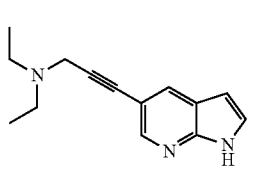
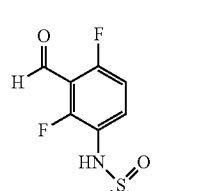
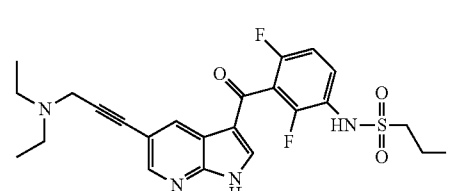
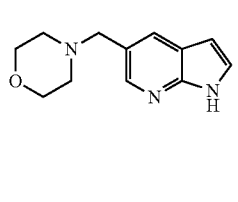
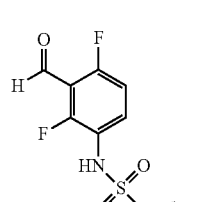
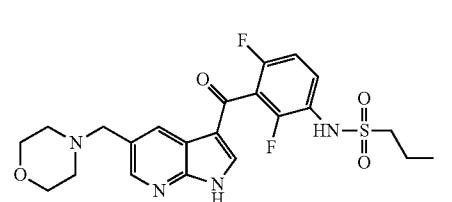
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1H- pyrrolo[2,3- b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2181 			538.1 ([M - H ⁺] ⁻)
P- 2200 			437.1
P- 2201 			462.8
P- 1499 			403.2 ([M - H ⁺] ⁻)
P- 2203 			559.1

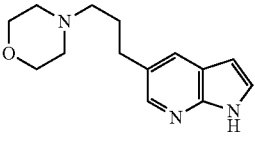
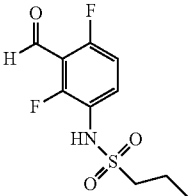
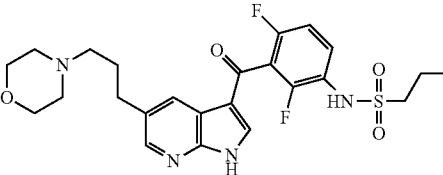
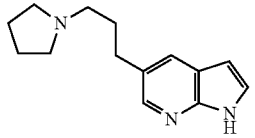
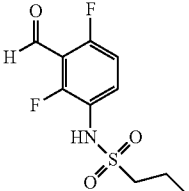
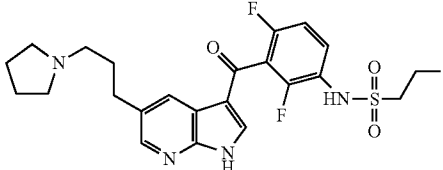
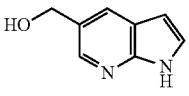
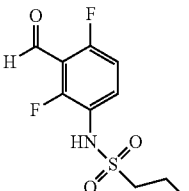
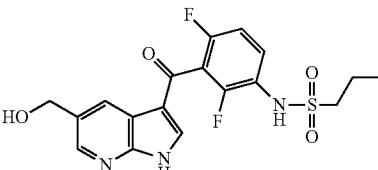
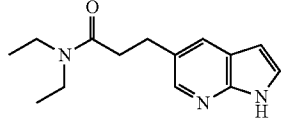
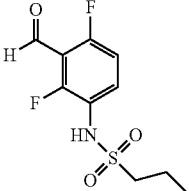
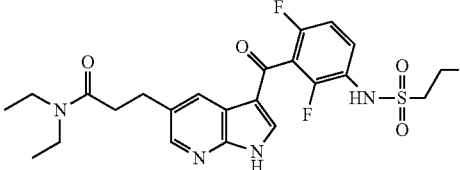
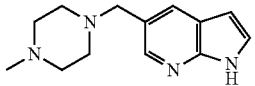
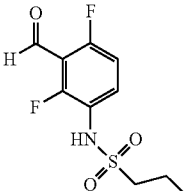
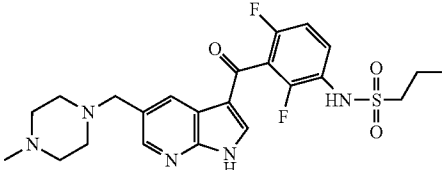
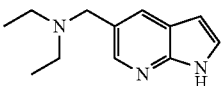
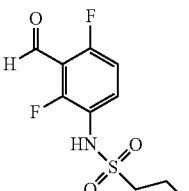
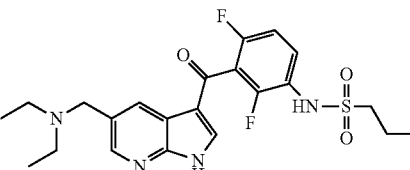
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	1H- pyrrolo[2,3- b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2204				396.3
P- 2207				450.3 ([M - H ⁺] ⁻)
P- 2208				414.1
P- 2209				403.3 ([M - H ⁺] ⁻)
P- 2210				410.2 ([M - H ⁺] ⁻)

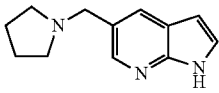
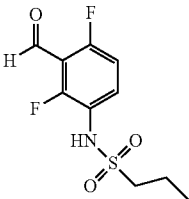
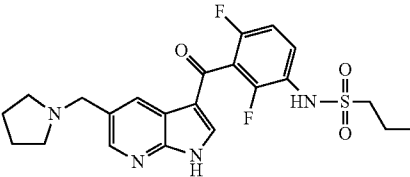
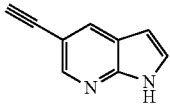
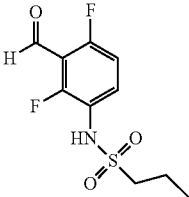
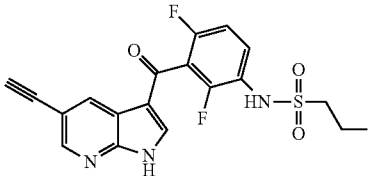
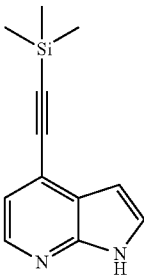
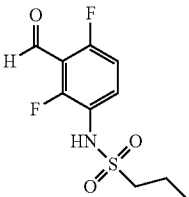
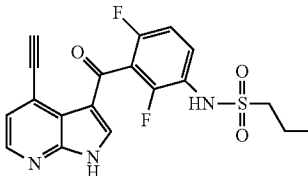
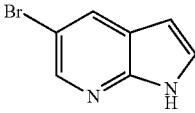
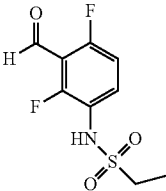
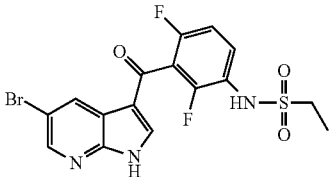
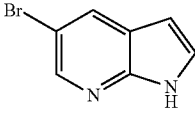
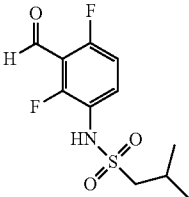
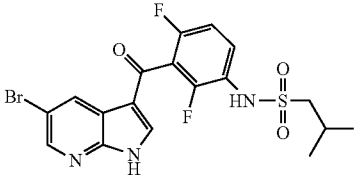
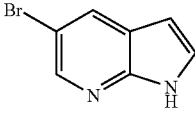
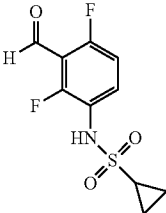
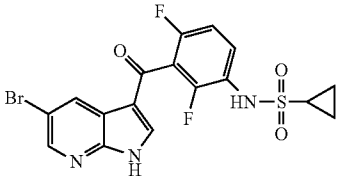
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1H-pyrrolo[2,3-b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P-2222 			566.7
P-2225 			464.2
P-2226 			529.6
P-2239 			448.1
P-2241 			489.3
P-2244 			479.7

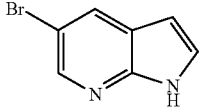
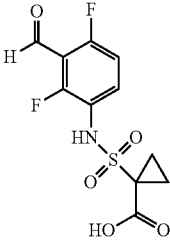
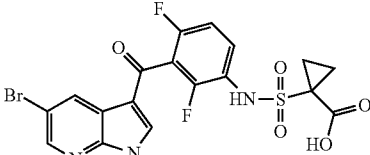
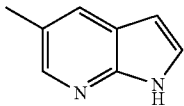
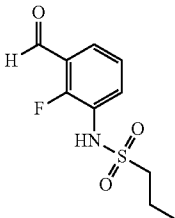
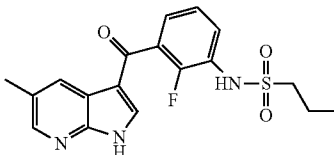
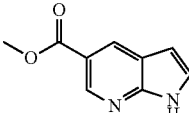
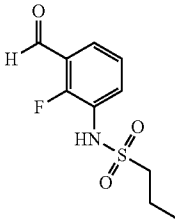
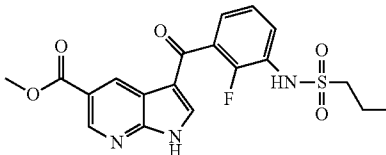
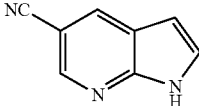
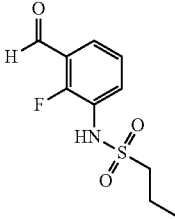
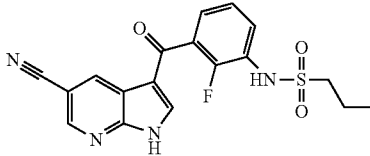
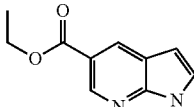
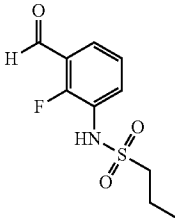
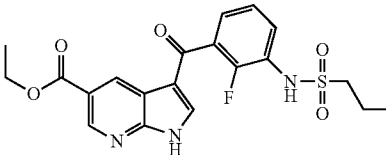
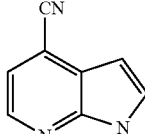
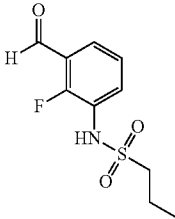
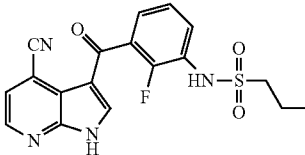
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	1H- pyrrolo[2,3- b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2247				507.1
P- 2248				491.4
P- 2250				410.0
P- 2255				507.0
P- 2257				492.5
P- 2258				

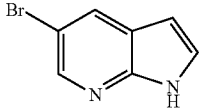
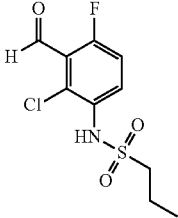
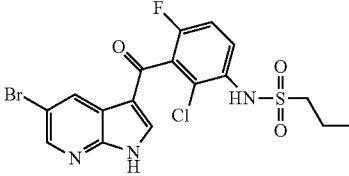
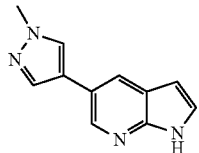
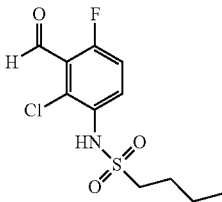
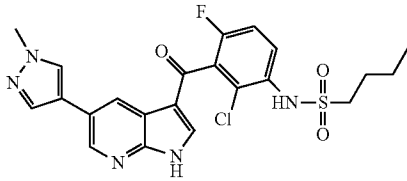
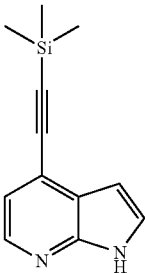
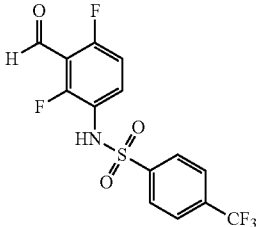
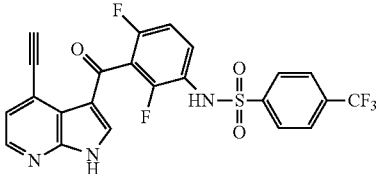
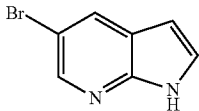
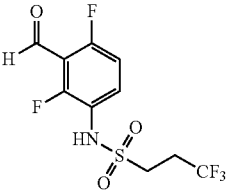
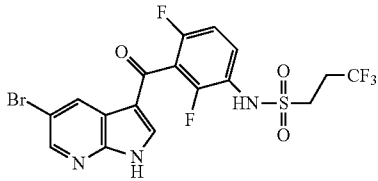
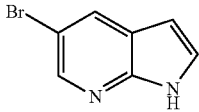
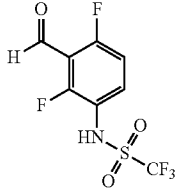
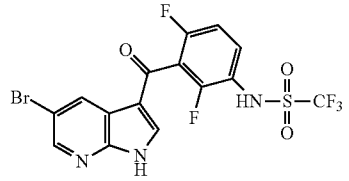
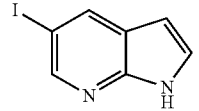
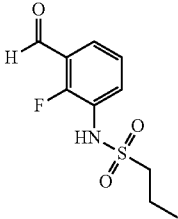
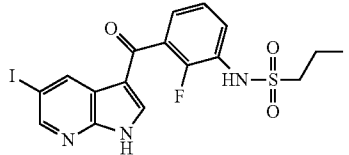
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	1H- pyrrolo[2,3- b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2259				463.1
P- 2260				404.2
P- 2261				404.0
P- 2268				441.9 443.9 [M - H ⁺] ⁻
P- 2296				469.9 471.9 [M - H ⁺] ⁻
P- 2348				453.9 455.9 [M - H ⁺] ⁻

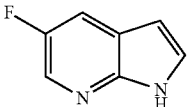
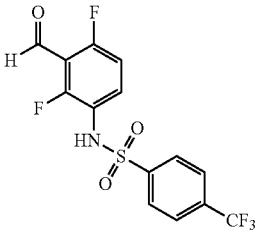
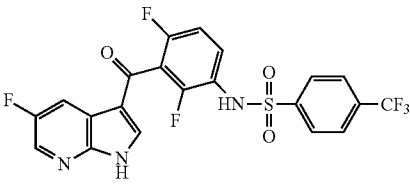
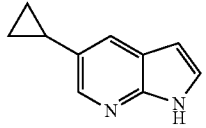
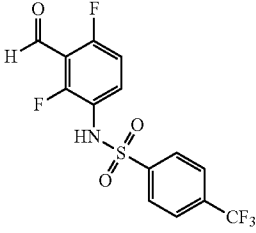
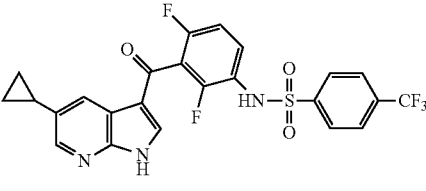
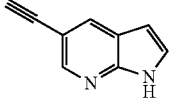
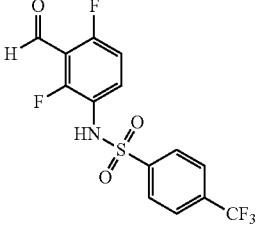
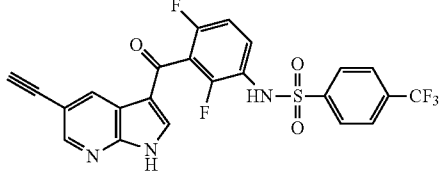
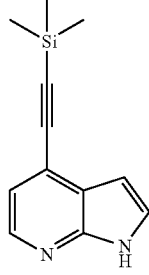
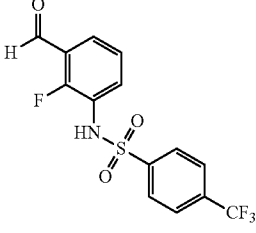
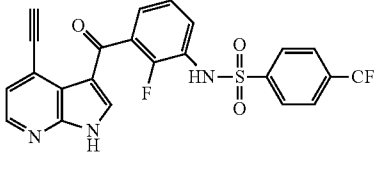
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	1H- pyrrolo[2,3- b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2349*				497.9 499.9 [M - H ⁺] ⁻
P- 2403				376.2
P- 2404				418.4 [M - H ⁺] ⁻
P- 1502				385.4 [M - H ⁺] ⁻
P- 2406				432.3 [M - H ⁺] ⁻
P- 2409				387.2

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	1H- pyrrolo[2,3- b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2426				474.0 [M - H ⁺] ⁻
P- 2430				490.7
P- 1504				506
P- 2478				
P- 2479				
P- 2480				486.4 [M - H ⁺] ⁻

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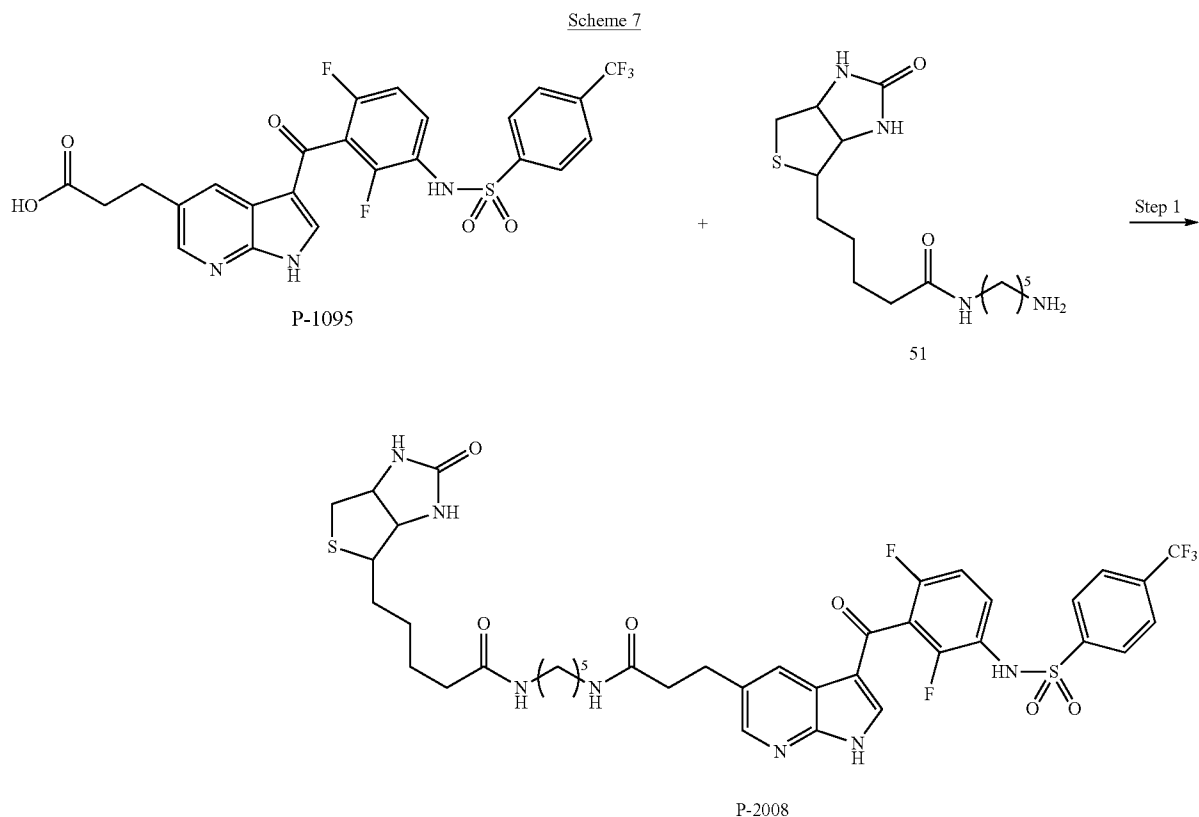
	1H- pyrrolo[2,3- b]pyridine	Aldehyde	Compound	MS (ESI) [M + H ⁺] ⁺ ob- served
P- 2482				499.6
P- 2483				522.4
P- 2484				506.0
P- 2487				487.9

*isolated by-product of reaction for P-2348.

Example 5

Synthesis of 5-(2-oxo-hexahydro-thieno[3,4-d]imidazol-6-yl)-pentanoic acid [5-(3-{3-[2,6-difluoro-3-(4-trifluoromethyl-benzene sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionylamino)-pentyl]-amide P-2008

[2294] 5-(2-Oxo-hexahydro-thieno[3,4-d]imidazol-6-yl)-pentanoic acid [5-(3-{3-[2,6-difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionylamino)-pentyl]-amide P-2008 was synthesized in one step from 3-{3-[2,6-difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionic acid P-1095 as shown in Scheme 7.



Step 1—Preparation of 5-(2-oxo-hexahydro-thieno[3,4-d]imidazol-6-yl)-pentanoic acid [5-(3-{3-[2,6-difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionylamino)-pentyl]-amide (P-2008):

[2295] In a vial, 3-{3-[2,6-difluoro-3-(4-trifluoromethyl-benzenesulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionic acid (P-1095, 278 mg, 0.502 mmol) was dissolved in 20 mL of tetrahydrofuran, followed by the addition of 5-(2-oxo-hexahydro-thieno[3,4-d]imidazol-6-yl)-pentanoic acid (5-amino-pentyl)-amide (150.0 mg, 0.457 mmol). To the resulting suspension, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride (175 mg, 0.913 mmol) was added and the reaction was stirred at room tem-

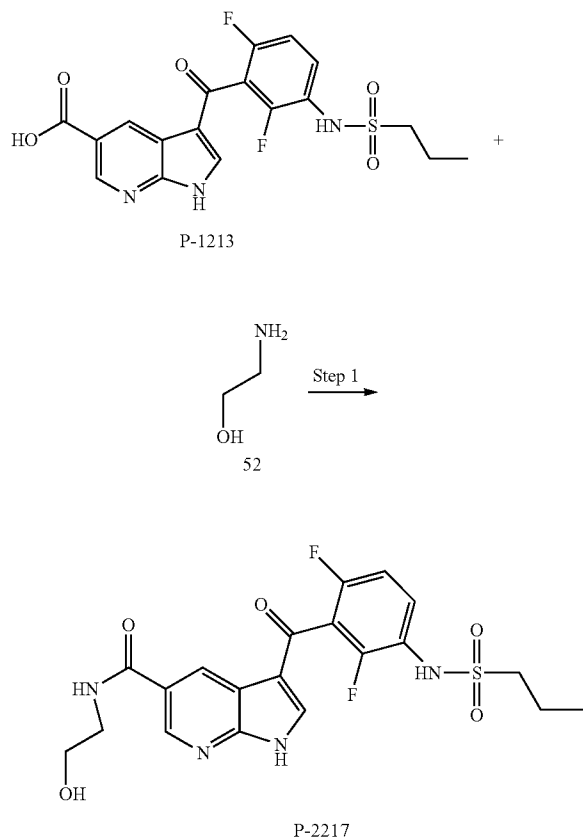
perature overnight. This resulted in a solid material to which 10 mL of dimethylformamide was added in order to dissolve most of the solid, and the reaction was stirred at room temperature for another 24 hours. The reaction mixture was extracted with ethyl acetate and saturated sodium chloride in water. The organic layer was washed with water and brine, then dried with magnesium sulfate. The solvents were removed under vacuum and the resulting crude material was purified by silica gel chromatography eluting with ethyl acetate with 4% acetic acid, gradient of 0-15% with methanol in dichloromethane. The appropriate fractions were combined and concentrated under vacuum. This was found to have some impurity, and was further purified by preparative HPLC. Lyophilization of the appropriate fractions provided the desired compound as a white fluffy solid (P-2008, 57 mg). MS (ESI) $[M+H]^+=864.3$.

Example 6

Synthesis of 3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid (2-hydroxy-ethyl)-amide P-2217

[2296] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid (2-hydroxy-ethyl)-amide P-2217 was synthesized in one step from 3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid P-1213 as shown in Scheme 8.

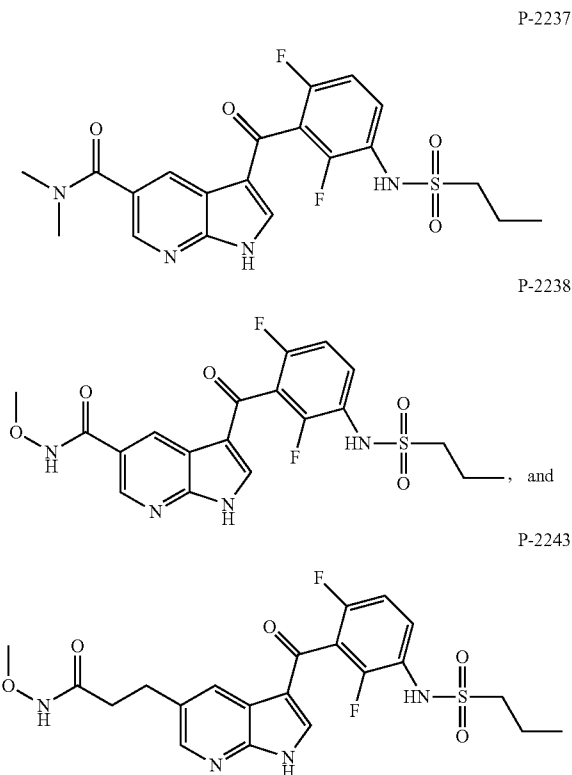
Scheme 8



[2297] Step 1—Preparation of 3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid (2-hydroxy-ethyl)-amide (P-2217):

[2298] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid (P-1213, 0.206 g, 0.486 mmol) was dissolved in 3.9 mL of tetrahydrofuran and N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride (0.149 g, 0.778 mmol), N,N-diisopropylethylamine (0.426 mL, 2.44 mmol), and 1-hydroxybenzotriazole (0.0855 g, 0.632 mmol) were added, followed by addition of ethanolamine (52, 0.0341 mL, 0.564 mmol). The reaction mixture was stirred overnight at room temperature, then poured into water and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over anhydrous sodium sulfate and filtered. The filtrate was concentrated under vacuum and the crude material was purified by silica gel flash chromatography to provide the desired compound (P-2217, 6 mg). MS (ESI) $[M+H]^+ = 467.5$.

[2299] 3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid dimethylamide P-2237, P-2238, and P-2243,



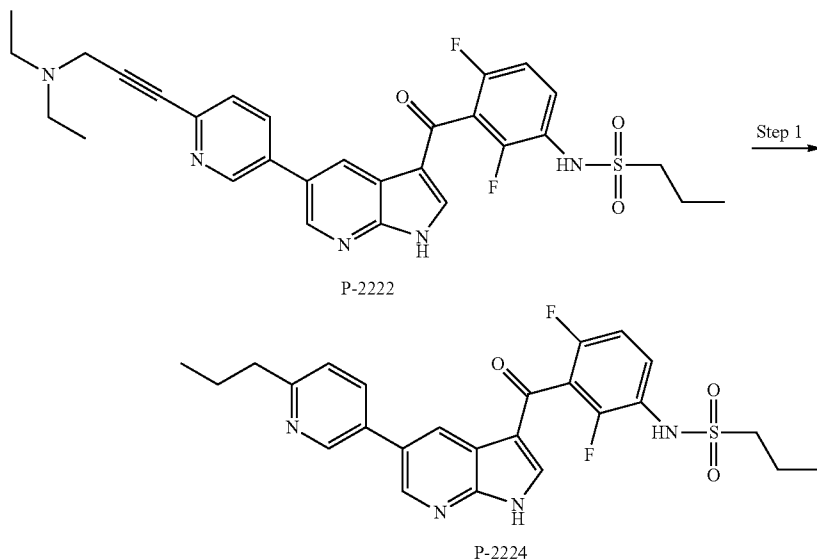
were prepared following the protocol of Scheme 8, replacing ethanolamine 52 with dimethylamine (P-2237), replacing ethanolamine 52 with methoxylamine hydrochloride, using N,N-dimethylformamide in place of tetrahydrofuran, and using 1-methylpyrrolidine in place of N,N-diisopropylethylamine (P-2238), or replacing ethanolamine 52 with methoxylamine hydrochloride, using N,N-dimethylformamide in place of tetrahydrofuran, using 1-methylpyrrolidine in place of N,N-diisopropylethylamine and replacing 3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid P-1213 with 3-{3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl}-propionic acid P-1206 (P-2243). P-2237 MS (ESI) $[M+H]^+ = 451.2$, P-2238 MS (ESI) $[M+H]^+ = 453.2$, and P-2243 MS (ESI) $[M+H]^+ = 481.8$.

Example 7

Synthesis of propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-propyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-2224

[2300] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-propyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-2224 was synthesized in one step from propane-1-sulfonic acid (3-{5-[6-(3-diethylamino-prop-1-ynyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl}-2,4-difluoro-phenyl)-amide P-2222 as shown in Scheme 9.

Scheme 9



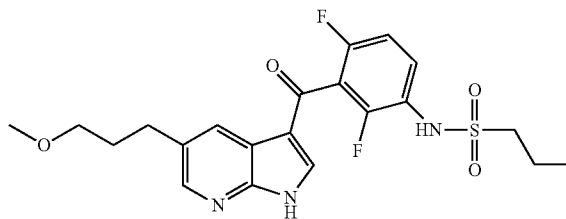
Step 1—Preparation of propane-1-sulfonic acid {2,4-difluoro-3-[5-(6-propyl-pyridin-3-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2224):

[2301] Propane-1-sulfonic acid (3-[5-[6-(3-diethylamino-prop-1-ynyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl)-amide (P-2222, 20.3 mg, 0.0359 mmol) was dissolved in 0.14 mL of methanol. Platinum dioxide (0.81 mg, 0.0036 mmol) was added and the resulting mixture was stirred under an atmosphere of hydrogen for 1 hour. The mixture was filtered through a bed of celite and the filtrate was concentrated. The crude material was purified by flash silica gel chromatography, eluting with a gradient of 15% methanol in dichloromethane to 1% methanol in dichloromethane. The appropriate fractions were combined and concentrated to provide the desired compound as a solid. MS (ESI) $[M+H]^+=499.4$.

[2302] 3-[3-[2,6-Difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-propionic acid methyl ester P-2230, and propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methoxy-propyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-2240,

-continued

P-2240

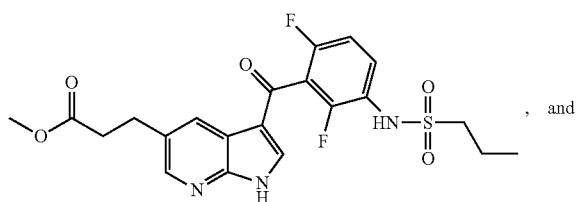


were prepared similarly by hydrogenation following the protocol of Scheme 9, using palladium hydroxide 20% on carbon in place of platinum dioxide and replacing propane-1-sulfonic acid (3-[5-[6-(3-diethylamino-prop-1-ynyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl)-amide P-2222 with either (E)-3-[3-[2,6-difluoro-3-(propane-1-sulfonylamino)-benzoyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-acrylic acid methyl ester P-2225 or propane-1-sulfonic acid {2,4-difluoro-3-[5-(3-methoxy-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-2239, respectively. P-2230 MS (ESI) $[M+H]^+=466.0$, P-2240 MS (ESI) $[M+H]^+=452.2$.

P-2230

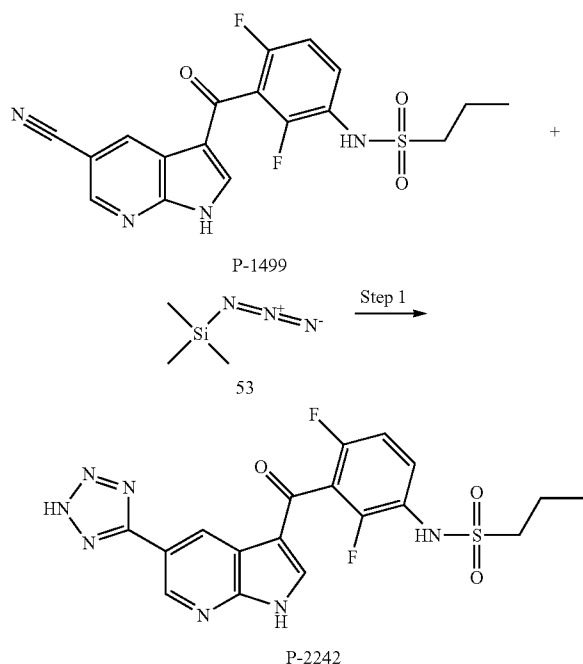
Example 8

Synthesis of propane-1-sulfonic acid {2,4-difluoro-3-[5-(2H-tetrazol-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-2242



[2303] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2H-tetrazol-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-2242 was synthesized in one step from propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide P-1499 as shown in Scheme 10.

Scheme 10



Step 1—Preparation of propane-1-sulfonic acid {2,4-difluoro-3-[5-(2H-tetrazol-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide (P-2242):

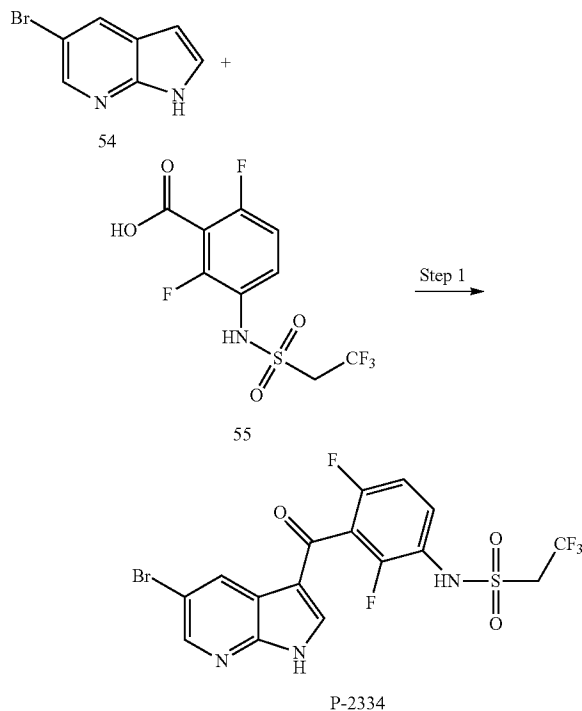
[2304] In a vial, propane-1-sulfonic acid [3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-1499, 0.217 g, 0.537 mmol) was combined with azidotrimethylsilane (53, 356 μL , 2.68 mmol) and dibutylxostannane (24 mg, 0.096 mmol) in 1.5 mL of toluene. The mixture was heated at 110° C. for 24 hours, and remained as a suspension. The reaction mixture was extracted with ethyl acetate and saturated sodium chloride in water. The organic layer was washed with water and brine, then dried with magnesium sulfate, filtered and the filtrate concentrated under vacuum. The residue was suspended in some acetonitrile and sonicated for 10 minutes. The precipitated material was collected by filtration and dried under vacuum to provide the desired compound as an off-white solid (P-2242, 98 mg). $^1\text{H-NMR}$ (dmso- d_6) was consistent with the desired compound structure. MS (ESI) $[\text{M}+\text{H}]^+=448.0$.

Example 9

Synthesis of 2,2,2-trifluoro-ethanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide P-2334

[2305] 2,2,2-Trifluoro-ethanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide P-2334 was synthesized in one step from 5-bromo-1H-pyrrolo[2,3-b]pyridine 54 as shown in Scheme 11.

Scheme 11



Step 1—Preparation of 2,2,2-trifluoro-ethanesulfonic acid [3-(5-bromo-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-amide (P-2334):

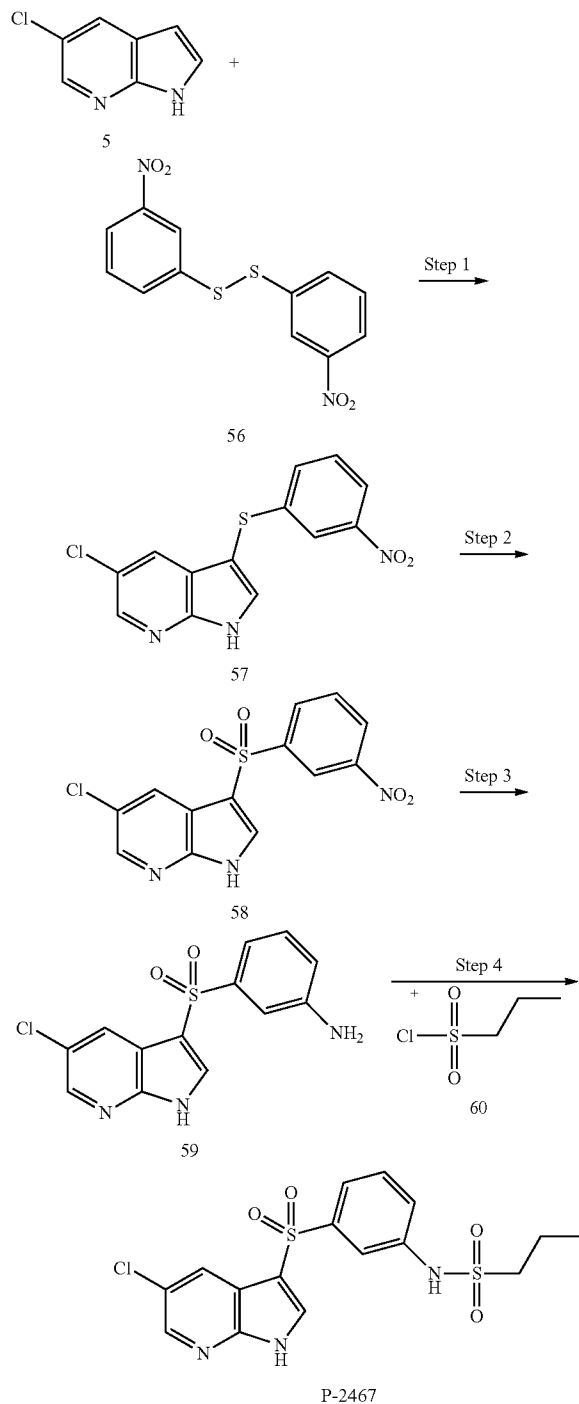
[2306] To 2,6-difluoro-3-(2,2,2-trifluoro-ethanesulfonylamino)-benzoic acid (55, 0.520 g, 1.63 mmol) 2 mL of dichloromethane and 2 mL of tetrahydrofuran were added, followed by thionyl chloride (297 μL , 4.07 mmol). The reaction was placed in a 50° C. oil bath. After 45 minutes, the reaction had turned clear and solvent was removed and the solid dried under vacuum for 1 hour. In a separate reaction flask, 5-bromo-1H-pyrrolo[2,3-b]pyridine (54, 0.267 g, 1.36 mmol) and aluminum trichloride (0.905 g, 6.79 mmol) in 10 mL of dichloromethane was stirred at room temperature for 1 hour, becoming a cloudy orange colored solution. The dried acid chloride reaction was added to this reaction as a solution in dichloromethane and the reaction was allowed to stir overnight. The reaction was quenched with methanol, providing a clear solution. Solvent was removed under vacuum to reduce the volume, and the crude reaction mixture was purified by silica gel column chromatography. Appropriate fractions were combined and the solvents removed to provide the desired compound as a solid (P-2334, 6 mg). MS (ESI) $[\text{M}-\text{H}]^-=496.0, 498.0$.

Example 10

Synthesis of propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-sulfonyl)-phenyl]-amide P-2467

[2307] Propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-sulfonyl)-phenyl]-amide P-2467 was synthesized in four steps from 5-chloro-1H-pyrrolo[2,3-b]pyridine 5 as shown in Scheme 12.

Scheme 12



Step 1—Preparation of 5-chloro-3-(3-nitro-phenylsulfanyl)-1H-pyrrolo[2,3-b]pyridine (57):

[2308] Into a round bottom flask, 5-chloro-1H-pyrrolo[2,3-b]pyridine (5, 0.200 g, 1.31 mmol) was dissolved in 10 mL of N,N-dimethylformamide at 0° C. and sodium hydride (63 mg, 1.6 mmol) was added to the flask in one portion. The reaction was allowed to stir for 15 minutes, then 3-nitrophenyl disul-

fide (56, 490 mg, 1.6 mmol) was added in one portion to the flask. The reaction was stirred at room temperature overnight. The reaction was diluted with 3 volumes of water, and extracted 3× with ethyl acetate. The combined organic layers were washed 2× with water, 2× with brine, dried over sodium sulfate, filtered and the filtrate concentrated under vacuum to provide an orange solid. The solid was triturated with dichloromethane and collected by filtration to provide the desired compound as a yellow solid. MS (ESI) $[M-H]^+ = 305.9$.

Step 2—Preparation of 5-chloro-3-(3-nitro-benzenesulfonyl)-1H-pyrrolo[2,3-b]pyridine (58):

[2309] Into a round bottom flask, 5-chloro-3-(3-nitro-phenylsulfanyl)-1H-pyrrolo[2,3-b]pyridine (57, 0.100 g, 0.326 mmol) was dissolved in 3 mL of N,N-dimethylformamide, m-chloroperbenzoic acid (0.1 g, 0.6 mmol) was added, and the reaction was stirred at room temperature for 3 hours, after which an additional 100 mg of m-chloroperbenzoic acid was added and the reaction stirred for another 16 hours. The reaction was diluted with water: saturated sodium bicarbonate solution (1:1), and extracted 4× with ethyl acetate. The combined organic layers were washed 3× with brine, dried over sodium sulfate, filtered and the filtrate concentrated under vacuum. This crude material was taken on to the next step without further purification (approximately 50% purity by MS analysis).

Step 3—Preparation of 3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-sulfonyl)-phenylamine (59):

[2310] To 5-chloro-3-(3-nitro-benzenesulfonyl)-1H-pyrrolo[2,3-b]pyridine (58, 0.14 g, 0.41 mmol), 30 mL of ethanol was added, followed by tin dichloride (0.39 g, 2.1 mmol). The reaction was stirred at 58° C. overnight, then diluted with brine, and extracted 3× with ethyl acetate. The combined organic layers were washed 3× with brine, dried over sodium sulfate, and evaporated under reduced pressure to provide a yellow solid. This was treated further with 50 mL of water and 50 mL of saturated sodium bicarbonate, 100 mL of ethyl acetate was added and the milky suspension was treated with celite and mixed well before filtering. Brine was added to give clear layers that were separated and the organic layer was dried with magnesium sulfate, filtered and the filtrate concentrated under vacuum. The residue was purified by silica gel chromatography eluting with ethyl acetate/hexane. The appropriate fractions were combined and concentrated under vacuum to provide the desired compound as a yellow solid (59, 466 mg). ¹H-NMR (dms_o-d₆) was consistent with the desired compound structure. MS (ESI) $[M-H]^+ = 306.5$ and 308.5.

[2311] Step 4—Preparation of propane-1-sulfonic acid [3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-sulfonyl)-phenyl]-amide (P-2467):

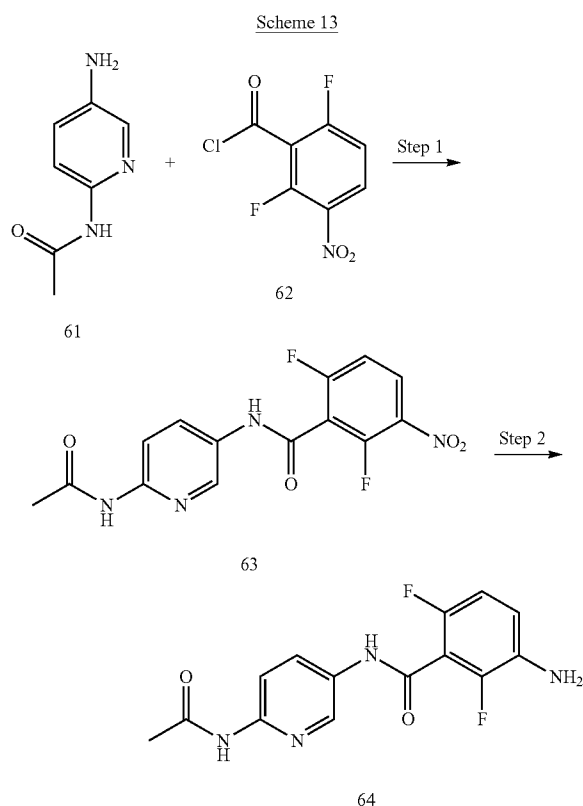
[2312] Into a round bottom flask, 3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-sulfonyl)-phenylamine (59, 0.1 g, 0.2 mmol) was dissolved in 1 mL of tetrahydrofuran and 1 mL of pyridine. Propane-1-sulfonyl chloride (60, 0.044 mL, 0.39 mmol) was added in one portion, and the reaction was allowed to stir at room temperature for 3 days, after which the reaction was warmed at 35° C. overnight. The reaction was diluted with water, and extracted 3× with ethyl acetate. The combined organic layers were washed with 2× brine, dried over sodium sulfate, filtered and the filtrate concentrated under vacuum to provide a solid. The solid was purified using reverse phase chromatography to provide the desired compound (P-2467, 15 mg), along with an impurity that runs close

to the product (difficult to isolate). $^1\text{H-NMR}$ was consistent with the desired compound structure. MS (ESI) $[\text{M-H}^+]=412.38$.

Example 11

Synthesis of N-(6-acetylamino-pyridin-3-yl)-3-amino-2,6-difluoro-benzamide 64

[2313] N-(6-Acetylamino-pyridin-3-yl)-3-amino-2,6-difluoro-benzamide 64 was synthesized in two steps from N-(5-amino-pyridin-2-yl)-acetamide 61 as shown in Scheme 13.



Step 1—Preparation of N-(6-acetylamino-pyridin-3-yl)-2,6-difluoro-3-nitro-benzamide (63):

[2314] To N-(5-amino-pyridin-2-yl)-acetamide (61, 1.53 g, 10.1 mmol), 20 mL of tetrahydrofuran was added followed by pyridine (0.900 mL, 11.1 mmol). To this suspension a solution of 2,6-difluoro-3-nitro-benzoyl chloride (62, 2.24 g, 10.1 mmol) in 10 mL of tetrahydrofuran was added, the reaction was stirred at room temperature overnight, then extracted with addition of ethyl acetate and water (with added hydrochloric acid). The organic layer was washed with brine, and the combined aqueous layers were extracted with ethyl acetate. The combined organic layers were dried over anhydrous magnesium sulfate, filtered and the filtrate concentrated under vacuum and this material was purified by silica gel column chromatography eluting with a gradient of 1 to 6% methanol in dichloromethane to provide the desired compound (63, 1.527 g). MS (ESI) $[\text{M+H}^+]=336.9$.

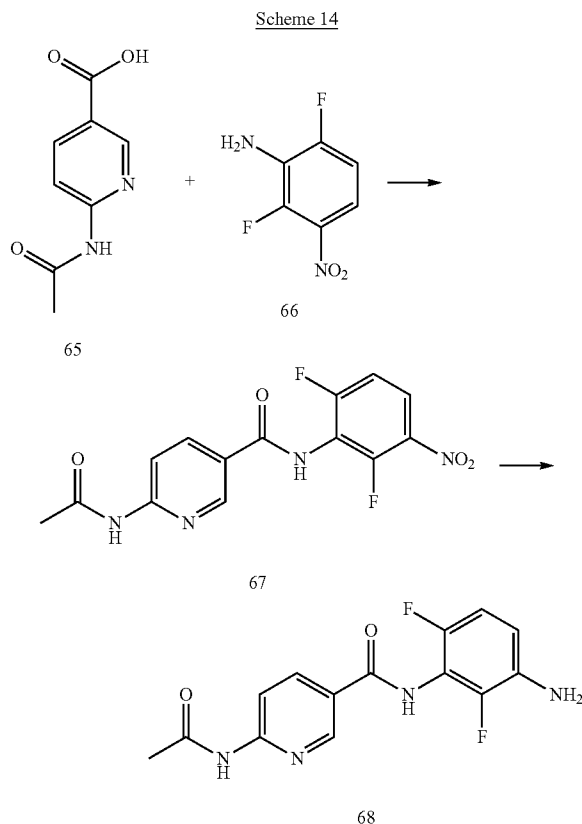
Step 2—Preparation of N-(6-acetylamino-pyridin-3-yl)-3-amino-2,6-difluoro-benzamide (64):

[2315] To N-(6-acetylamino-pyridin-3-yl)-2,6-difluoro-3-nitro-benzamide (63, 0.500 g, 1.49 mmol) in 30 mL of ethanol and 85 mL of tetrahydrofuran, ~3 cc of raney nickle slurry in water was added. Then, the reaction was placed in a parr hydrogenator under hydrogen (0.0718 g, 35.5 mmol) at 35 psi. The reaction was left over the weekend, after which it was filtered through celite and all volatile solvents removed from the filtrate to give crude material that was purified by silica gel column chromatography eluting with 1 to 6% methanol in dichloromethane to provide the desired product (64, 345 mg). MS (ESI) $[\text{M+H}^+]=306.9$.

Example 12

Synthesis of 6-acetylamino-N-(3-amino-2,6-difluoro-phenyl)-nicotinamide 68

[2316] 6-Acetylamino-N-(3-amino-2,6-difluoro-phenyl)-nicotinamide 68 was synthesized in two steps from 6-acetylamino-nicotinic acid 65 as shown in Scheme 14.



Step 1—Preparation of 6-acetylamino-N-(2,6-difluoro-3-nitro-phenyl)-nicotinamide (67):

[2317] In a vial, 6-acetylamino-nicotinic acid (65, 0.362 g, 2.01 mmol) and cyanuric chloride (0.371 g, 2.01 mmol) were mixed in 10 mL of tetrahydrofuran, followed by the addition of pyridine (162 μL , 2.01 mmol) dropwise at room temperature. The reaction mixture was stirred at room temperature for 5 hours, after which 2,6-difluoro-3-nitro-phenylamine (66, 0.200 g, 1.15 mmol) in 2 mL of tetrahydrofuran and pyridine

(320 μ L, 4.0 mmol) were added and the reaction stirred at room temperature for 4 days. Water (with 1N hydrochloric acid) was added the mixture extracted with ethyl acetate. The organic layer was washed with water and brine, then dried over magnesium sulfate. The volatile solvents were removed under vacuum and the residue was purified by silica gel chromatography eluting with ethyl acetate/hexane. The appropriate fractions were combined and concentrated under vacuum to provide the desired compound (67, 225 mg). $^1\text{H-NMR}$ (dms -d_6) was consistent with the desired compound. MS (ESI) $[\text{M}+\text{H}^+]^+=337.1$.

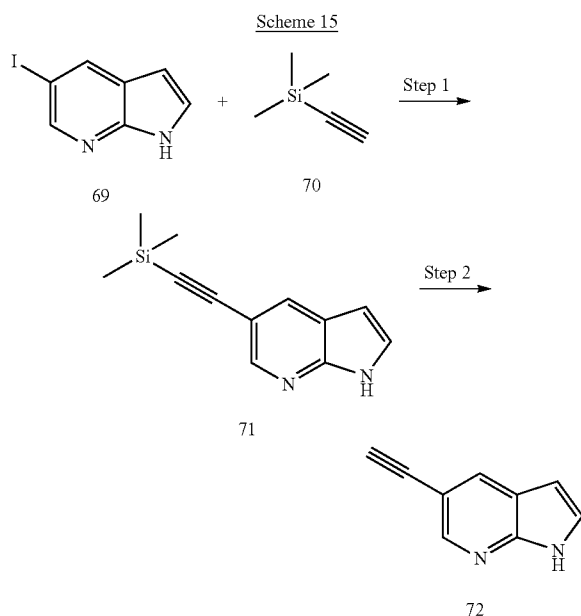
Step 2—Preparation of 6-acetylamino-N-(3-amino-2,6-difluoro-phenyl)-nicotinamide (68):

[2318] To 6-acetylamino-N-(2,6-difluoro-3-nitro-phenyl)-nicotinamide (67, 0.431 g, 1.28 mmol) in 20 mL of ethanol and 75 mL of tetrahydrofuran, ~3 cc of raney nickle slurry in water. The reaction was placed in a flask under hydrogen (0.0619 g, 30.6 mmol) with a balloon. After 4 hours, the reaction was filtered through celite and all volatile solvents removed from the filtrate to give crude material that was purified by silica gel chromatography eluting with ethyl acetate/hexane. The appropriate fractions were combined and concentrated under vacuum to provide the desired compound as a white solid (68, 310 mg). $^1\text{H-NMR}$ (dms -d_6) was consistent with the desired compound. MS (ESI) $[\text{M}+\text{H}^+]^+=307.1$.

Example 13

Synthesis of 5-ethynyl-1H-pyrrolo[2,3-b]pyridine 72

[2319] 5-Ethynyl-1H-pyrrolo[2,3-b]pyridine 72 was synthesized in two steps from 5-iodo-1H-pyrrolo[2,3-b]pyridine 69 as shown in Scheme 15.



Step 1—Preparation of 5-trimethylsilanylethynyl-1,1-pyrrolo[2,3-b]pyridine (71):

[2320] 5-Iodo-1H-pyrrolo[2,3-b]pyridine (69, 0.303 g, 1.22 mmol), (trimethylsilyl)acetylene (70, 0.210 mL, 1.46 mmol), bis(triphenylphosphine)palladium(II) chloride

(0.039 g, 0.055 mmol), and copper(I) iodide (0.0019 g, 0.010 mmol) were dissolved in triethylamine (19 mL, 0.14 mol) under an atmosphere of nitrogen. The resulting mixture was heated to 60° C. and stirred under an atmosphere of nitrogen for 16 hours. The triethylamine was removed under vacuum, 30 mL of water was added to the residue, and it was extracted with 2x20 mL with ether. The combined organic layers were washed with brine and dried over sodium sulfate. Solids were filtered out and the filtrate was concentrated under vacuum. The crude material was purified by silica gel flash chromatography, eluting with ethyl acetate and dichloromethane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound as a solid (71, 0.237 g). MS (ESI) $[\text{M}+\text{H}^+]^+=215.3$.

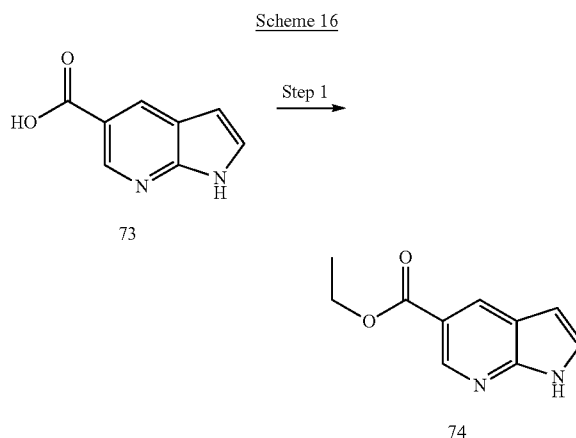
Step 2—Preparation of 5-ethynyl-1H-pyrrolo[2,3-b]pyridine (72):

[2321] 5-Trimethylsilanylethynyl-1H-pyrrolo[2,3-b]pyridine (71, 0.235 g, 1.10 mmol) was dissolved in 16 mL of methanol, and potassium carbonate (0.0152 g, 0.110 mmol) was added. The reaction was stirred for 2 hours at room temperature, then concentrated under vacuum, and the residue was dissolve in dichloromethane, dried over sodium sulfate. Solids were filtered out and the filtrate was concentrated under vacuum. The crude material was purified by silica gel flash chromatography, eluting with ethyl acetate and hexane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound as a solid (72, 0.155 g). MS (ESI) $[\text{M}+\text{H}^+]^+=143.3$.

Example 14

Synthesis of 1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid ethyl ester 74

[2322] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid ethyl ester 74 was synthesized in one step from 1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid 73 as shown in Scheme 16.



Step 1—Preparation of 1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid ethyl ester (74):

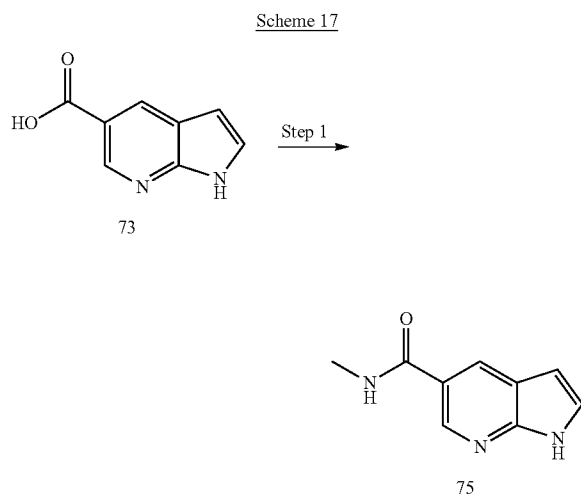
[2323] To 1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid (73, 0.511 g, 3.15 mmol) in 18 mL of ethanol, sulfuric acid (2.5 mL, 0.047 mol) was added. The resulting mixture was refluxed overnight. The solvents were reduced under vacuum, and the residue was poured into 5% aqueous sodium bicarbonate and extracted with ethyl acetate. The combined

organic layers were washed with brine, dried over anhydrous sodium sulfate, and filtered. The filtrate was concentrated under vacuum and the crude material was purified by silica gel flash chromatography. The appropriate fractions were combined to provide the desired compound as a white solid. MS (ESI) $[M+H]^+=191.2$.

Example 15

Synthesis of 1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methylamide 75

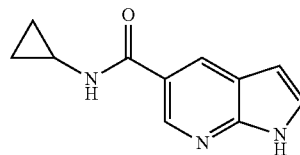
[2324] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid methylamide 75 was synthesized in one step from 1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid 73 as shown in Scheme 17.



Step 1—Preparation of 1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methylamide (75):

[2325] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid (73, 0.441 g, 2.72 mmol) was dissolved in 22 mL of tetrahydrofuran. N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride (0.834 g, 4.35 mmol), N,N-diisopropylethylamine (2.37 mL, 13.6 mmol), and 1-hydroxybenzotriazole (0.478 g, 3.54 mmol) were added, followed by a mixture of methylammonium chloride (0.213 g, 3.15 mmol) and triethylamine (0.455 mL, 3.26 mmol) in 2 mL of tetrahydrofuran. The resulting mixture was very cloudy, and 1.5 mL of dimethylformamide was added. The reaction was stirred overnight at room temperature. The resulting mixture was poured into water and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over anhydrous sodium sulfate and filtered. The filtrate was concentrated under vacuum and the crude material was purified by silica gel flash chromatography. The appropriate fractions were combined and solvents removed under vacuum to provide the desired compound as a white solid (75, 198 mg). MS (ESI) $[M+H]^+=176.0$.

[2326] 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid cyclopropylamide 76,

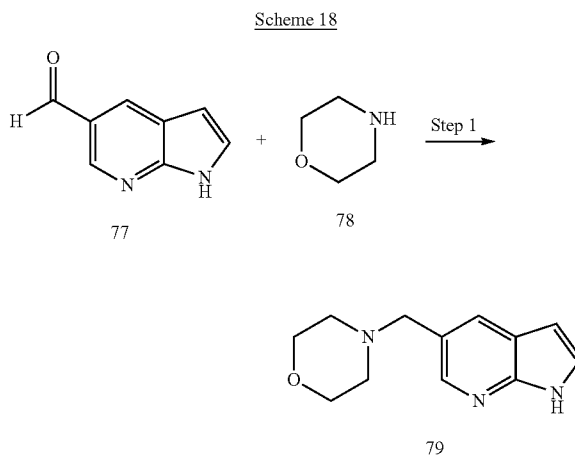


was prepared following the protocol of Scheme 17, replacing methylammonium chloride and triethylamine with cyclopropylamine. MS (ESI) $[M+H]^+=202.0$.

Example 16

Synthesis of 5-morpholin-4-ylmethyl-1H-pyrrolo[2,3-b]pyridine 79

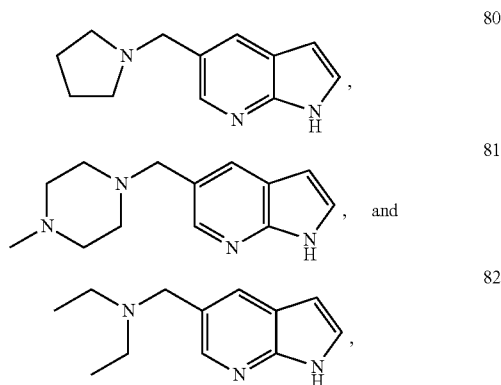
[2327] 5-Morpholin-4-ylmethyl-1H-pyrrolo[2,3-b]pyridine-79 was synthesized in one step from 1H-pyrrolo[2,3-b]pyridine-5-carbaldehyde 77 as shown in Scheme 18.



Step 1—Preparation of 5-morpholin-4-ylmethyl-1H-pyrrolo[2,3-b]pyridine (79):

[2328] To 1H-pyrrolo[2,3-b]pyridine-5-carbaldehyde (77, 0.303 g, 2.07 mmol), 20 mL of dichloromethane was added followed by morpholine (78, 181 μ L, 2.07 mmol) and then acetic acid (354 μ L, 6.22 mmol). This suspension was stirred at room temperature for 30 minutes, then sodium triacetoxyborohydride (1.32 g, 6.22 mmol) was added. The reaction was stirred over the weekend, then was quenched with methanol and all volatile solvents were removed under vacuum. The solid was treated with ~50 mL of tetrahydrofuran and the white precipitate was removed by filtration. Solvents were removed under vacuum from the filtrate to give crude solid that was purified by silica gel column chromatography eluting with a gradient of 1 to 10% methanol in dichloromethane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound (79, 395 mg). MS (ESI) $[M+H]^+=218.1$.

[2329] 5-Pyrrolidin-1-ylmethyl-1H-pyrrolo[2,3-b]pyridine 80, 5-(4-methyl-piperazin-1-ylmethyl)-1H-pyrrolo[2,3-b]pyridine 81, and diethyl-(1H-pyrrolo[2,3-b]pyridin-5-ylmethyl)-amine 82,

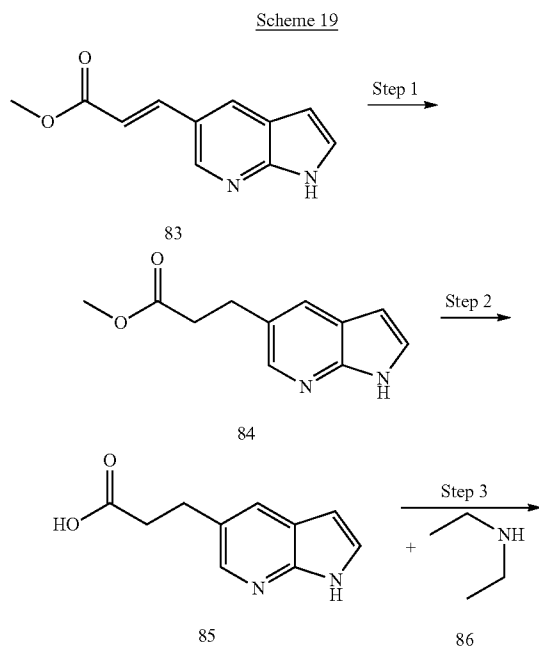


were prepared following the protocol of Scheme 18, replacing morpholine 78 with pyrrolidine, 1-methyl-piperazine and diethyl-amine, respectively. MS (ESI) $[M+H]^+ = 202.4$ (80), 231.2 (81), and 204.9 (82).

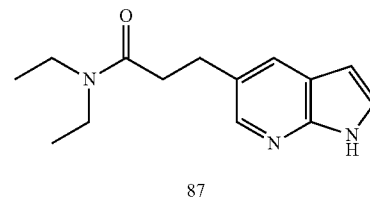
Example 17

Synthesis of N,N-diethyl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propionamide 87

[2330] N,N-Diethyl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propionamide 87 was synthesized in three steps from (E)-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-acrylic acid methyl ester 83 as shown in Scheme 19.



-continued



Step 1—Preparation of 3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propionic acid methyl ester (84):

[2331] Into a 1-neck round-bottom flask, (E)-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-acrylic acid methyl ester (4.615 g, 22.82 mmol) was dissolved in 150 mL of methanol and 200 mL of tetrahydrofuran. This solution was degassed with nitrogen gas for 5 minutes and 465 mg of 10% Pd/C was added to the solution. The mixture was hydrogenated with a hydrogen balloon and stirred at room temperature overnight. The Pd/C was removed by filtration and solvents removed from the filtrates under vacuum to provide the desired compound 84. MS (ESI) $[M+H]^+ = 205.2$.

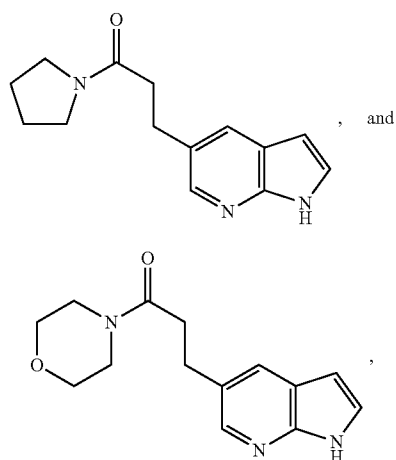
Step 2—Preparation of 3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propionic acid (85):

[2332] Into a round bottom flask, 3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propionic acid methyl ester (84, 4.21 g, 20.6 mmol) was dissolved in 150 mL of tetrahydrofuran and a solution of lithium hydroxide (3550 mg, 0.0845 mol, dissolved in 84 mL of deionized water) was added. The mixture was heated at 50° C. for 2 hours, then the reaction mixture was acidified to pH-1-2 with 6 N hydrochloric acid and extracted with ethyl acetate and water. The aqueous layer was adjusted pH-3-4 resulting in a precipitate, which was collected with filtration to provide 1.051 g of the desired compound. MS (ESI) $[M+H]^+ = 191.4$. The aqueous layer was then extracted with ethyl acetate and the combined organic layers was washed with water and brine, and dried with magnesium sulfate and filtered. The filtrate was concentrated under vacuum to provide an additional 1.67 g of the desired compound.

Step 3—Preparation of N,N-diethyl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propionamide (87):

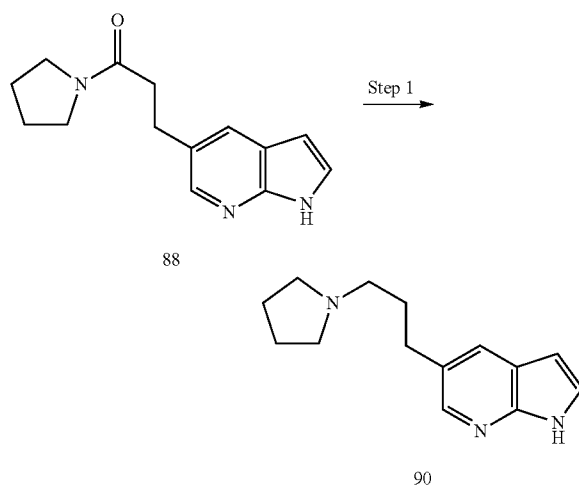
[2333] In a vial, 3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propionic acid (85, 401 mg, 2.11 mmol) was dissolved in 20 mL of tetrahydrofuran and diethyl-amine (86, 436 μ L, 4.22 mmol) was added. N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride (808 mg, 4.22 mmol) was added and the reaction was stirred at room temperature overnight. The reaction mixture was extracted with ethyl acetate and water saturated with sodium chloride. The organic layer was washed with water and brine, then dried over magnesium sulfate and filtered. The filtrate was concentrated under vacuum and the crude material was purified by silica gel column chromatography eluting with methanol/dichloromethane. The appropriate fractions were combined and solvents removed under vacuum to provide the desired compound as an oily material (87, 267 mg). MS (ESI) $[M+H]^+ = 246.5$.

[2334] 1-Pyrrolidin-1-yl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propan-1-one 88, and 1-morpholin-4-yl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propan-1-one 89,



were prepared following the protocol of Scheme 19, replacing diethyl-amine 86 with pyrrolidine, and morpholine, respectively in Step 3. MS (ESI) $[M+H]^+=244.5$ (88), and 260.5 (89).

[2335] 1-Pyrrolidin-1-yl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propan-1-one 88 was reacted according to the following step 4 to provide 5-(3-pyrrolidin-1-yl-propyl)-1H-pyrrolo[2,3-b]pyridine 90:

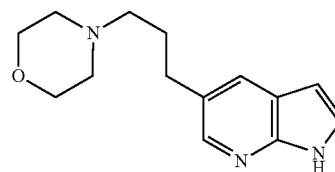


Step 4—Preparation of 5-(3-pyrrolidin-1-yl-propyl)-1H-pyrrolo[2,3-b]pyridine (90):

[2336] To 1.0 M lithium tetrahydroaluminate in tetrahydrofuran (2.65 mL, 2.66 mmol) 50 mL of tetrahydrofuran was added and the mixture cooled to 0° C. in an ice-water bath. A solution of 1-pyrrolidin-1-yl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propan-1-one (88, 431 mg, 1.77 mmol) in 10 mL of tetrahydrofuran was added dropwise and kept at 0° C. for 15 minutes. The reaction mixture was allowed to warm to room temperature. After 2 hours, the reaction mixture was diluted

with 20 mL of tetrahydrofuran and added ~4 grams of sodium sulfate and stirred at room temperature for 30 minutes. The reaction was filtered to remove solid material and the filtrate was concentrated under vacuum. The crude material was purified by silica gel chromatography eluting with ethyl acetate and hexanes. The appropriate fractions were combined and concentrated under vacuum to provide the desired compound (90, 235 mg). MS (ESI) $[M+H]^+=230.5$.

[2337] 5-(3-Morpholin-4-yl-propyl)-1H-pyrrolo[2,3-b]pyridine 91

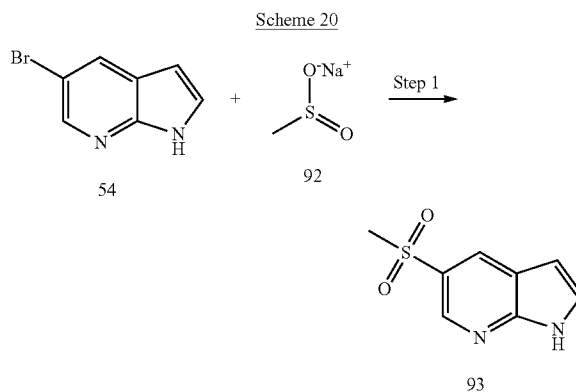


was prepared following the protocol of Scheme 19, step 4, replacing 1-pyrrolidin-1-yl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propan-1-one 88 with 1-morpholin-4-yl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-propan-1-one 89. MS (ESI) $[M+H]^+=246.5$.

Example 18

Synthesis of 5-methanesulfonyl-1H-pyrrolo[2,3-b]pyridine 93

[2338] 5-Methanesulfonyl-1H-pyrrolo[2,3-b]pyridine 93 was synthesized in one step from 5-bromo-1H-pyrrolo[2,3-b]pyridine 54 as shown in Scheme 20.



Step 1—Preparation of 5-methanesulfonyl-1H-pyrrolo[2,3-b]pyridine (93):

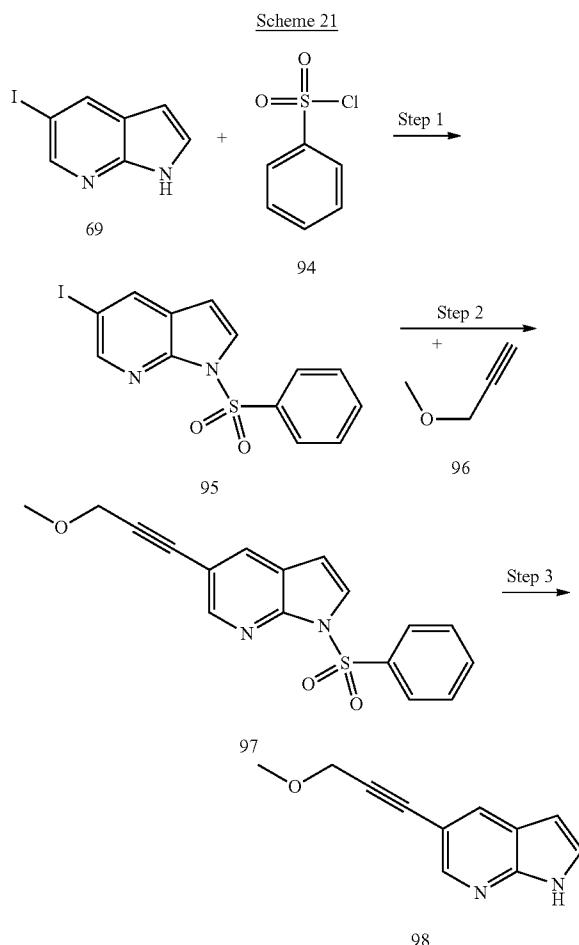
[2339] To 5-bromo-1H-pyrrolo[2,3-b]pyridine (54, 1.00 g, 5.08 mmol) in 15 mL of dimethyl sulfoxide, sodium methanesulfonate (92, 0.6218 g, 6.090 mmol), L-proline (0.117 g, 1.02 mmol), copper(I) iodide (0.200 g, 1.05 mmol) and sodium hydroxide (0.0406 g, 1.02 mmol) were added. The reaction was stirred at 120° C. overnight, then poured into aqueous ammonia and extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate, then filtered and the filtrate was concentrated and purified by silica gel column chromatography eluting with 20% to 100% ethyl

acetate in hexane to provide the desired compound as a white solid (93, 0.50 g). MS (ESI) $[M-H]^+ = 195.1$.

Example 19

Synthesis of 5-(3-methoxy-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine 98

[2340] 5-(3-Methoxy-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine 98 was synthesized in three steps from 5-iodo-1H-pyrrolo[2,3-b]pyridine 69 as shown in Scheme 21.



Step 1—Preparation of 1-benzenesulfonyl-5-iodo-1H-pyrrolo[2,3-b]pyridine (95):

[2341] 5-Iodo-1H-pyrrolo[2,3-b]pyridine (69, 0.521 g, 2.13 mmol), tetra-*N*-butylammonium bromide (0.0689 g, 0.214 mmol), and 5.00 M sodium hydroxide in water (5.50 mL, 0.0275 mol) were combined in a round bottom flask. Benzenesulfonyl chloride (94, 0.327 mL, 2.56 mmol) in 5.0 mL of tetrahydrofuran was added dropwise at room temperature. The reaction was stirred at room temperature overnight and the two layers were separated. The aqueous layer was washed with ethyl acetate and the combined organic layers were washed with 1M aqueous sodium bicarbonate followed by water. The organic layer was washed with brine and dried over anhydrous sodium sulfate, then filtered and the filtrate concentrated. The crude material was purified by silica gel

flash chromatography eluting with ethyl acetate and dichloromethane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound (95, 0.702 g).

Step 2—Preparation of 1-benzenesulfonyl-5-(3-methoxy-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine (97):

[2342] 1-Benzenesulfonyl-5-iodo-1H-pyrrolo[2,3-b]pyridine (95, 0.482 g, 1.23 mmol), 3-methoxy-propyne (96, 0.127 mL, 1.48 mmol), bis(triphenylphosphine)palladium(II) chloride (0.039 g, 0.056 mmol), and copper(I) iodide (0.0020 g, 0.010 mmol) were dissolved in 19 mL of triethylamine under an atmosphere of nitrogen. The resulting mixture was heated to 60° C. and stirred under an atmosphere of nitrogen for 16 hours. The triethylamine was removed under vacuum and 30 mL of water was added to the residue, and extracted with 2×20 mL of ether. The combined organic layers were washed with brine and dried over sodium sulfate, filtered and the filtrate concentrated under vacuum. The crude material was purified by silica gel flash chromatography eluting with ethyl acetate and dichloromethane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound.

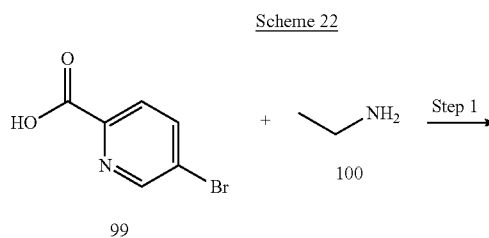
Step 3—Preparation of 5-(3-methoxy-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine (98):

[2343] Under an atmosphere of nitrogen 1-benzenesulfonyl-5-(3-methoxy-prop-1-ynyl)-1H-pyrrolo[2,3-b]pyridine (97, 0.541 g, 1.66 mmol) was dissolved in 13 mL of tetrahydrofuran and 1.00 M tetra-*n*-butylammonium fluoride in 9.12 mL of tetrahydrofuran was added. The resulting solution was stirred for three hours at room temperature under an atmosphere of nitrogen. The reaction was quenched with water and the two layers were separated. The aqueous layer was extracted with ethyl acetate and the combined organic layers were washed with brine and dried over anhydrous sodium sulfate, then filtered and the filtrate concentrated under vacuum. The crude material was purified by silica gel flash chromatography eluting with ethyl acetate and dichloromethane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound (98, 0.215 g).

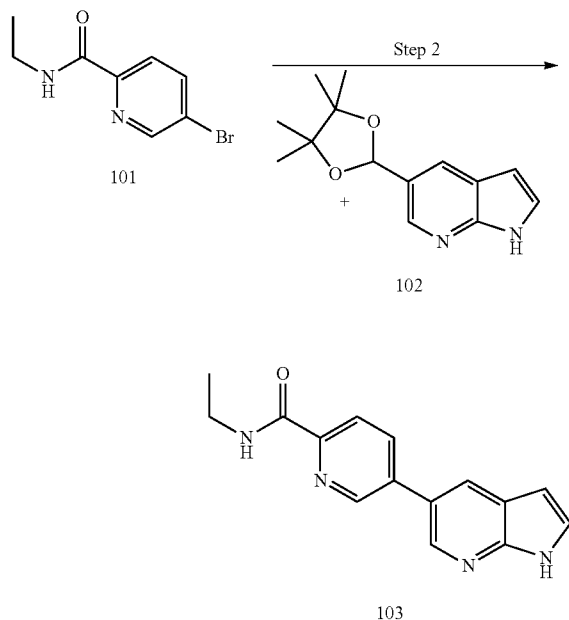
Example 20

Synthesis of 5-(1H-pyrrolo[2,3-b]pyridin-5-yl)-pyridine-2-carboxylic acid ethylamide 101

[2344] 5-(1H-Pyrrolo[2,3-b]pyridin-5-yl)-pyridine-2-carboxylic acid ethylamide 101 was synthesized in two steps from 5-bromo-pyridine-2-carboxylic acid 99 as shown in Scheme 22.



-continued

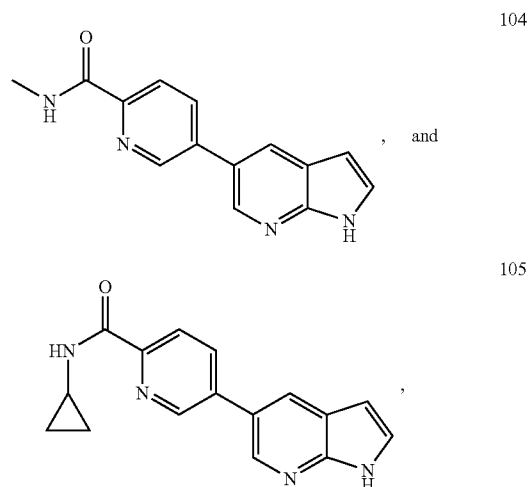


Step 1—Preparation of 5-bromo-pyridine-2-carboxylic acid ethylamide (101): 5-Bromo-pyridine-2-carboxylic acid (99, 0.417 g, 2.06 mmol) was dissolved in 19 mL of tetrahydrofuran. N-(3-Dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride (0.633 g, 3.30 mmol), N,N-diisopropylethylamine (1.81 mL, 10.4 mmol), and 1-hydroxybenzotriazole (0.363 g, 2.68 mmol) were added, followed by 2.00 Methylamine in tetrahydrofuran (100, 1.20 mL, 2.40 mmol). The reaction mixture was stirred for overnight at room temperature, after which 1.5 mL of dimethylformamide was added and stirred for another 4 hours. The resulting mixture was poured into water and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over anhydrous sodium sulfate and filtered. The filtrate was concentrated under vacuum. The crude material was purified by silica gel flash chromatography. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound (101, 163 mg). MS (ESI) $[M+H]^+=229.29, 231.3$.

Step 2—Preparation of 5-(1H-pyrrolo[2,3-b]pyridin-5-yl)-pyridine-2-carboxylic acid ethylamide (103):

[2345] 5-(4,4,5,5-Tetramethyl-1,3,2)dioxaborolan-2-yl)-1H-pyrrolo[2,3-b]pyridine (102, 0.424 g, 1.74 mmol), 5-bromo-pyridine-2-carboxylic acid ethylamide (101, 0.159 g, 0.694 mmol), and tetrakis(triphenylphosphine)palladium (0) (0.016 g, 0.014 mmol) were mixed in 1.00 M potassium carbonate in water (4.2 mL, 4.2 mmol). The reaction mixture was heated at 80° C. overnight. The two layers were separated, and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with brine, dried over anhydrous sodium sulfate and filtered. The filtrate was concentrated under vacuum. The crude material was purified by silica gel flash chromatography. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound (103, 200 mg). MS (ESI) $[M+H]^+=267.2$.

[2346] 5-(1H-Pyrrolo[2,3-b]pyridin-5-yl)-pyridine-2-carboxylic acid methylamide 104, and 5-(1H-pyrrolo[2,3-b]pyridin-5-yl)-pyridine-2-carboxylic acid cyclopropylamide 105,

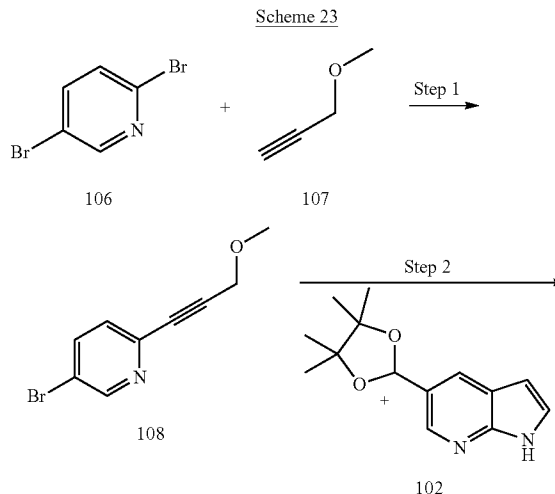


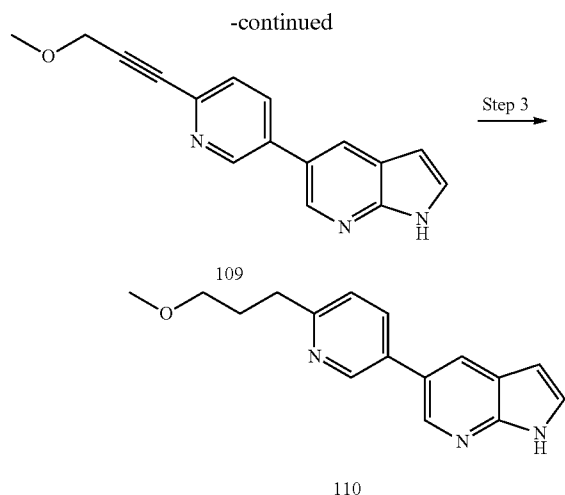
were prepared following the protocol of Scheme 22, replacing ethylamine 100 with methylammonium chloride and cycloalkylamine, respectively in Step 1. MS (ESI) $[M+H]^+=253.1$ (104), and 279.1 (105).

Example 21

Synthesis of 5-[6-(3-methoxy-propyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine 110

[2347] 5-[6-(3-Methoxy-propyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine 110 was synthesized in three steps from 2,5-dibromo-pyridine 106 as shown in Scheme 23.





Step 1—Preparation of 5-bromo-2-(3-methoxy-prop-1-ynyl)-pyridine (108):

[2348] A solution of 2,5-dibromo-pyridine (106, 4.64 g, 19.6 mmol), 3-methoxy-propyne (107, 1.66 mL, 19.7 mmol), and copper(I) iodide (0.084 g, 0.44 mmol) in 61.6 mL of triethylamine was purged with nitrogen, and bis(triphenylphosphine)palladium(II) chloride (0.31 g, 0.44 mmol) was added at 0° C. The resulting mixture was stirred at 0° C. for 1 hour, then at room temperature for 1 hour. The reaction mixture was diluted with ethyl acetate, then washed with water and brine. The organic layer was dried with anhydrous sodium sulfate, filtered and the filtrate concentrated under vacuum. The crude material was purified by silica gel flash chromatography eluting with ethyl acetate and hexane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound (108, 2.64 g).

Step 2—Preparation of 5-[6-(3-methoxy-prop-1-ynyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine (109):

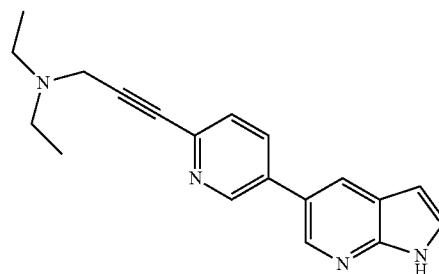
[2349] 5-(4,4,5,5-Tetramethyl-1,3,2)dioxaborolan-2-yl)-1H-pyrrolo[2,3-b]pyridine (102, 0.998 g, 4.09 mmol), 5-bromo-2-(3-methoxy-prop-1-ynyl)-pyridine (108, 0.616 g, 2.72 mmol), and tetrakis(triphenylphosphine)palladium(0) (0.157 g, 0.136 mmol) were mixed in 8.2 mL of 1.00 M potassium carbonate in water (8.2 mmol) and 22 mL of tetrahydrofuran. The resulting mixture was heated at 80° C. Ethyl acetate and water were added, and the two layers were separated. The aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered, and the filtrate concentrated under vacuum. The crude material was purified by silica gel flash chromatography. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound (109, 565 mg). MS (ESI) $[M+H]^+=264.3$.

Step 3—Preparation of 5-[6-(3-methoxy-propyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine (110):

[2350] 5-[6-(3-Methoxy-prop-1-ynyl)-pyridin-3-yl]-1H-pyrrolo[2,3-b]pyridine (109, 0.534 g, 2.03 mmol) was dissolved in 8.1 mL of methanol. Palladium hydroxide (0.028 g, 0.20 mmol) was added, and the resulting mixture was stirred under an atmosphere of hydrogen for a few hours, then filtered through a bed of celite. The filtrate was concentrated under vacuum. The crude material was purified by silica gel

flash chromatography eluting with ethyl acetate and hexane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound (110, 419 g). MS (ESI) $[M+H]^+=268.3$.

[2351] Diethyl-{3-[5-(1H-pyrrolo[2,3-b]pyridin-5-yl)-pyridin-2-yl]-prop-2-ynyl}-amine 111

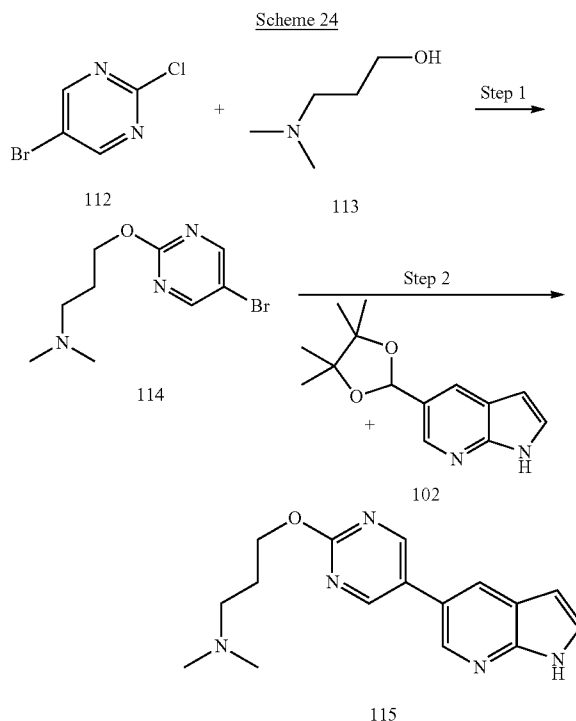


was prepared following the protocol of Scheme 23, steps 1 and 2, replacing 3-methoxy-propyne 107 with diethyl-prop-2-ynyl-amine in step 1. MS (ESI) $[M+H]^+=305.3$.

Example 22

Synthesis of dimethyl-{3-[5-(1H-pyrrolo[2,3-b]pyridin-5-yl)-pyrimidin-2-yloxy]-propyl}-amine 115

[2352] Dimethyl-{3-[5-(1H-pyrrolo[2,3-b]pyridin-5-yl)-pyrimidin-2-yloxy]-propyl}-amine-115 was synthesized in two steps from 5-bromo-2-chloro-pyrimidine 112 as shown in Scheme 24.



Step 1—Preparation of [3-(5-bromo-pyrimidin-2-yloxy)-propyl]-dimethyl-amine (114):

[2353] To a solution of 3-(dimethylamino)-1-propanol (113, 3.45 mL, 2.84 mmol) in 10 mL of dry tetrahydrofuran,

sodium hydride (0.0784 g, 3.10 mmol) was added at room temperature. After 15 minutes, 5-bromo-2-chloro-pyrimidine (112, 0.500 g, 2.58 mmol) was added and the mixture was stirred at room temperature for 16 hours. To this, ~500 μ L of saturated ammonium chloride was added and the reaction was treated with ethyl acetate and filtered. The solvents were removed from the filtrate under vacuum, then added diethyl ether, removed solvent under vacuum and repeated twice. The resulting residue was taken up with tetrahydrofuran/acetonitrile and filtered. Silica gel was added to the filtrate and solvents removed under vacuum, then purified by silica gel chromatography eluting with 1 to 6% methanol in dichloromethane, followed with 20% methanol in dichloromethane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound (113, 259 mg). MS (ESI) $[M+H]^+=261.9$.

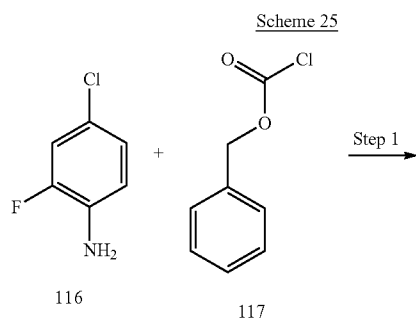
Step 2—Preparation of dimethyl-{3-[5-(1H-pyrrolo[2,3-b]pyridin-5-yl)-pyrimidin-2-yloxy]-propyl}-amine (115):

[2354] Into a round bottom flask, [3-(5-bromo-pyrimidin-2-yloxy)-propyl]-dimethyl-amine (114, 259 mg, 0.996 mmol), 5-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-1H-pyrrolo[2,3-b]pyridine (102, 364 mg, 1.49 mmol), tetrakis(triphenylphosphine)palladium(0) (57.5 mg, 0.0498 mmol), and tetra-n-butylammonium iodide (37 mg, 0.10 mmol) were mixed in 6 mL of 1.00 M potassium carbonate in water (6.0 mmol) and 12 mL of tetrahydrofuran. The resulting mixture was heated at 70° C. overnight. The two layers were separated and the aqueous layer was extracted with ethyl acetate. The organic layers were washed with saturated aqueous sodium bicarbonate, water, and brine, dried over anhydrous sodium sulfate, filtered, and the filtrate concentrated under vacuum. The crude material was purified by silica gel chromatography, eluting with up to 30% methanol in dichloromethane. The appropriate fractions were combined and concentrated under vacuum, then further purified on a new column eluting with 15% methanol in ethyl acetate with 8% triethylamine. The appropriate fractions were combined and concentrated under vacuum to provide the desired compound as an off-white solid (115, 76 mg). MS (ESI) $[M+H]^+=298.0$.

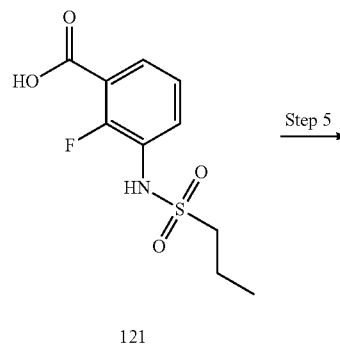
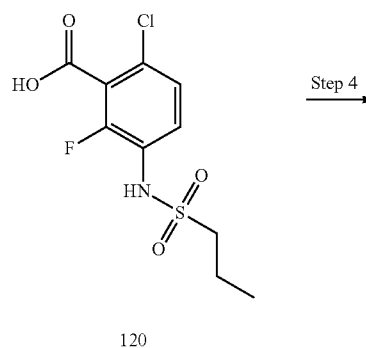
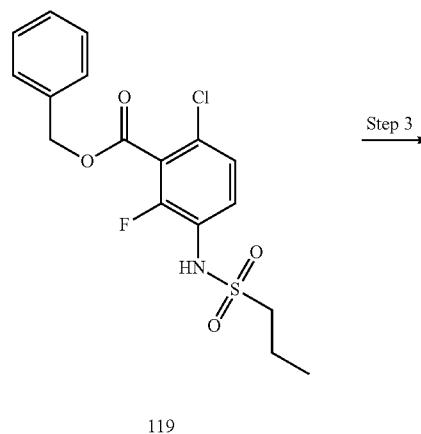
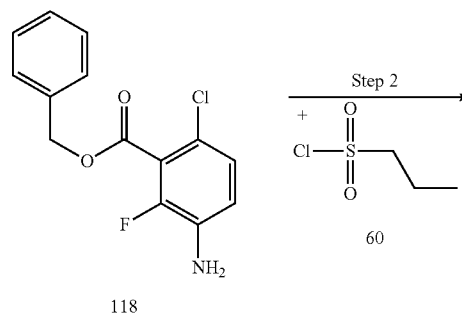
Example 23

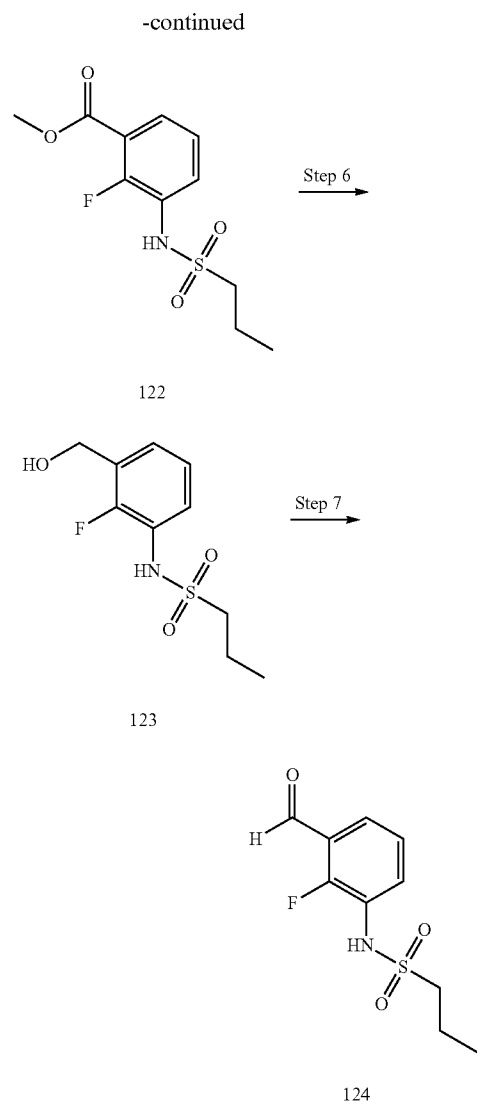
Synthesis of Aldehyde Reactants

[2355] Propane-1-sulfonic acid (2-fluoro-3-formyl-phenyl)-amide 124, was synthesized in seven steps from 4-chloro-2-fluorophenylamine 116 as shown in Scheme 25.



-continued





Step 1—Preparation of 3-amino-6-chloro-2-fluorobenzoic acid benzyl ester (118):

[2356] To 4-chloro-2-fluorophenylamine (116, 6.30 mL, 57.0 mmol) in 300 mL of tetrahydrofuran cooled with dry ice/acetone bath under an atmosphere of nitrogen, *n*-butyllithium (2.50 M in hexane, 24.4 mL) was added slowly. After 20 minutes, 1,2-bis-(chloro-dimethyl-silanyl)-ethane (12.9 g, 60.0 mmol) dissolved in tetrahydrofuran (40.0 mL) was added slowly to the reaction. After 1 hour, *n*-butyllithium (2.50 M in hexane, 25.0 mL) was added slowly to the reaction. The reaction was stirred at 78° C. for 20 minutes and then allowed to warm to room temperature over 60 minutes. The reaction was cooled to 78° C., followed by addition of *n*-butyllithium (2.50 M in hexane, 26.0 mL) slowly. After 80 minutes, benzyl chloroformate (117, 10.0 mL, 70.0 mmol) was added to the reaction. The reaction mixture was stirred at 78° C. overnight followed by addition of 80 mL of water and 25 mL of concentrated hydrochloric acid. The reaction was allowed to warm to room temperature for 2 hours. The organic layer was separated. The aqueous layer was basified with potassium carbonate and extracted with ethyl acetate. The

organic layers were combined and washed with brine, dried over anhydrous sodium sulfate, filtered and the filtrate concentrated under vacuum. The desired compound was isolated by silica gel column chromatography (ethyl acetate/hexane 20%) to give a colorless oil (3, 12.5 g, 78.3%). MS (ESI) $[M+H]^+=280.0$.

Step 2—Preparation of 6-chloro-2-fluoro-3-(propane-1-sulfonylamino)-benzoic acid benzyl ester (119):

[2357] To 3-amino-6-chloro-2-fluorobenzoic acid benzyl ester (118, 1.20 g, 4.3 mmol) in 28 mL of dichloromethane, pyridine (0.52 mL, 6.4 mmol) and propane-1-sulfonyl chloride (60, 0.685 g, 4.8 mmol) were added. The reaction was stirred at room temperature overnight, then poured into water, and extracted with ethyl acetate. The organic layer was washed with brine, dried over anhydrous sodium sulfate, filtered and the filtrate concentrated under vacuum. The desired compound was isolated with silica gel column chromatography to give a colorless oil (119, 960 mg, 58.0%). MS (ESI) $[M-H]^- = 384.1$.

Step 3—Preparation of 6-chloro-2-fluoro-3-(propane-1-sulfonylamino)-benzoic acid (120):

[2358] To 6-chloro-2-fluoro-3-(propane-1-sulfonylamino)-benzoic acid benzyl ester (119, 6.00 g, 15.6 mmol) in 100 mL of tetrahydrofuran, 100 mL of 1.0 M aqueous potassium hydroxide was added. The reaction was heated to reflux overnight, then poured into water, acidified to pH 2 with 1N aqueous hydrochloric acid and extracted with ethyl acetate. The organic portion was dried over anhydrous sodium sulfate, filtered and the filtrate concentrated under vacuum to give the desired compound as a white solid (120, 3.95 g, 85.8%).

Step 4—Preparation of 2-fluoro-3-(propane-1-sulfonylamino)-benzoic acid (121):

[2359] To 6-chloro-2-fluoro-3-(propane-1-sulfonylamino)-benzoic acid (120, 0.69 g, 2.3 mmol) in 10 mL of methanol, 20% palladium hydroxide on carbon (200 mg) was added. The reaction was stirred under hydrogen at 50 psi for 2 hours. The reaction was filtered and the filtrate concentrated under vacuum to give the desired compound (121) as a white solid that was used in the next step without further purification. MS (ESI) $[M-H]^- = 260.1$.

Step 5—Preparation of 2-fluoro-3-(propane-1-sulfonylamino)-benzoic acid methyl ester (122):

[2360] To a 2-fluoro-3-(propane-1-sulfonylamino)-benzoic acid (121, 5.05 g, 19.3 mmol) in 100 mL of dichloromethane, *N,N*-dimethylformamide (0.075 mL, 0.97 mmol) was added under an atmosphere of nitrogen. The reaction was cooled with ice/water, followed by slow addition of oxalyl chloride (2.00 M in dichloromethane, 10.8 mL, 21.6 mmol). The reaction mixture was stirred at room temperature for 3.0 hours. The reaction was cooled with ice/water, followed by addition of methanol (36.0 mL, 0.89 mol) slowly. The reaction was stirred at room temperature overnight. The reaction was concentrated under vacuum and purified with silica gel column chromatography eluting with 30% ethyl acetate in hexane to give the desired compound as a crude white solid (122, 4.0 g), used in the next step without further purification.

Step 6—Preparation of propane-1-sulfonic acid (2-fluoro-3-hydroxymethyl-phenyl)-amide (123):

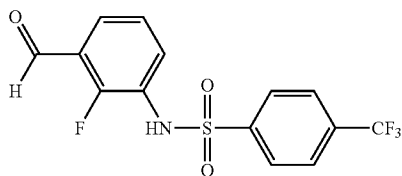
[2361] To 2-fluoro-3-(propane-1-sulfonylamino)-benzoic acid methyl ester (122, 3.80 g, 13.8 mmol) in 133 mL of tetrahydrofuran, lithium tetrahydroaluminate (1.00 M in tetrahydrofuran, 20.0 mL, 20.0 mmol) was added under an atmosphere of nitrogen at room temperature. The reaction was stirred at room temperature for 8 hours, followed by

addition of 10 g of sodium sulfate decahydrate. After 12 hours, the reaction was filtered, the filtrate concentrated under vacuum and purified with silica gel column chromatography eluting with 5% methanol in dichloromethane to give the desired compound as a white solid (123, 3.0 g, 87.9%).

[2362] Step 7—Preparation of propane-1-sulfonic acid (2-fluoro-3-formyl-phenyl)-amide (124):

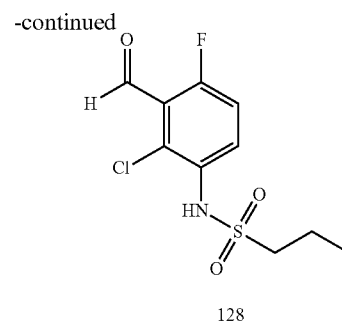
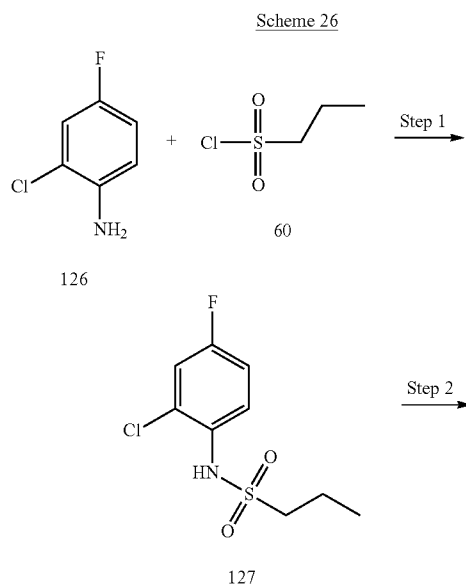
[2363] To propane-1-sulfonic acid (2-fluoro-3-hydroxymethyl-phenyl)-amide (123, 0.20 g, 0.81 mmol) in 5.0 mL of tetrahydrofuran, Dess-Martin periodinane (0.377 g, 0.89 mmol) was added. The reaction was stirred at room temperature for 10 minutes, then poured into water and extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate, and filtered. The filtrate was concentrated under vacuum and purified by silica gel column chromatography eluting with 20% ethyl acetate in hexane to give the desired compound as a white solid (124, 100 mg, 50.0%). MS (ESI) $[M-H]^+ = 244.1$.

[2364] N-(2-Fluoro-3-formyl-phenyl)-4-trifluoromethyl-benzenesulfonamide 125



was prepared following the protocol of scheme 25, replacing propane-1-sulfonyl chloride 60 with 4-trifluoromethyl-benzenesulfonyl chloride in step 2. MS (ESI) $[M-H]^+ = 346.4$.

[2365] Propane-1-sulfonic acid (2-chloro-4-fluoro-3-formyl-phenyl)-amide (127) was synthesized in two steps from 2-chloro-4-fluoro-phenylamine 126 as shown in Scheme 26.



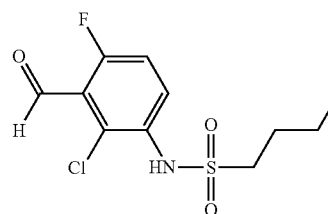
[2366] Step 1—Preparation of propane-1-sulfonic acid (2-chloro-4-fluoro-phenyl)-amide (127):

[2367] To 2-chloro-4-fluoro-phenylamine (126, 1.226 g, 8.422 mmol) in 10 mL of dichloromethane, pyridine (0.759 mL, 9.26 mmol) and propane-1-sulfonyl chloride (60, 1.04 mL, 9.26 mmol) were added and the reaction was stirred at room temperature for 3-4 hours. The reaction was quenched with 1M aqueous hydrochloric acid, the aqueous layer was separated and extracted with ethyl acetate. The organic layers were combined and washed with brine, dried over anhydrous sodium sulfate, filtered and the filtrate concentrated under vacuum. The crude material was purified by silica gel column chromatography to provide the desired compound (127, 1.59 g). MS (ESI) $[M-H]^+ = 250.03, 252.03$.

Step 2—Preparation of propane-1-sulfonic acid (2-chloro-4-fluoro-3-formyl-phenyl)-amide (128):

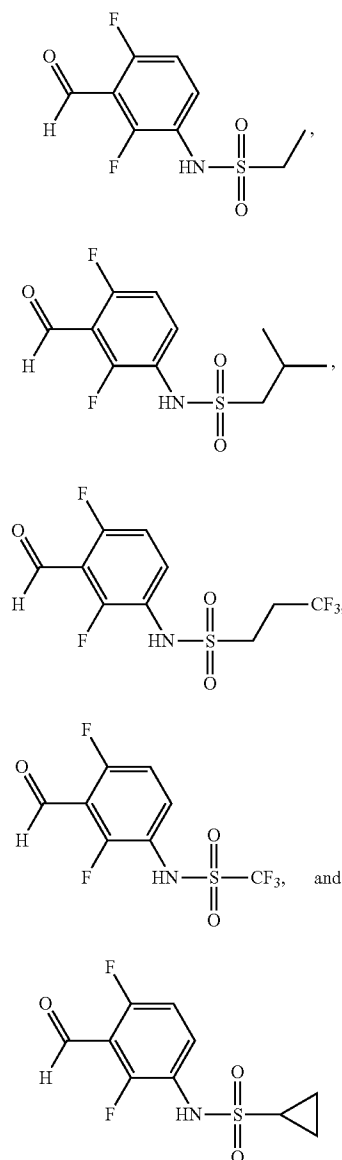
[2368] To N,N-diisopropylamin (2.74 mL, 19.6 mmol) in 51 mL of tetrahydrofuran, n-butyllithium (7.83 mL, 2.50 M in hexane, 19.6 mmol) was added at 78° C. under an atmosphere of nitrogen. After 30 minutes, propane-1-sulfonic acid (2-chloro-4-fluoro-phenyl)-amide (127, 1.59 g, 6.32 mmol) was added under nitrogen, maintaining the temperature at 78° C. After 1 hour, N,N-dimethylformamide (1.7 mL, 22 mmol) was added under nitrogen, maintaining the temperature at 78° C. with stirring for 30 minutes, then allowing to warm to room temperature for one hour. The reaction was quenched with saturated aqueous ammonium chloride, the aqueous layer separated and extracted 3× with ethyl acetate. All organic layers were combined, washed with brine, dried over anhydrous sodium sulfate, filtered and the filtrate concentrated under vacuum. The crude material was purified by silica gel column chromatography to provide the desired compound (127, 398 mg). MS (ESI) $[M-H]^+ = 278.1$.

[2369] Butane-1-sulfonic acid (2-chloro-4-fluoro-3-formyl-phenyl)-amide 129



was prepared following the protocol of scheme 26, replacing propane-1-sulfonyl chloride 60 with butane-1-sulfonyl chloride in step 1. MS (ESI) $[M-H]^+ = 291.9$.

[2370] Ethanesulfonic acid (2,4-difluoro-3-formyl-phenyl)-amide 130, 2-methyl-propane-1-sulfonic acid (2,4-difluoro-3-formyl-phenyl)-amide 131, 3,3,3-trifluoro-propane-1-sulfonic acid (2,4-difluoro-3-formyl-phenyl)-amide 132, N-(2,4-difluoro-3-formyl-phenyl)-C,C,C-trifluoro-methanesulfonamide 133, and cyclopropanesulfonic acid (2,4-difluoro-3-formyl-phenyl)-amide 134,

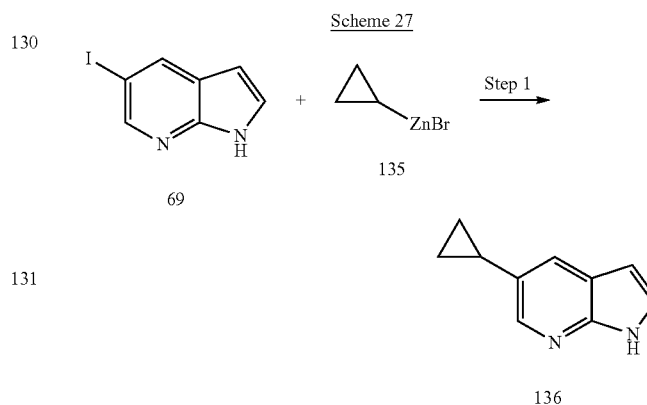


were prepared following the protocol of scheme 26, replacing 2-chloro-4-fluorophenylamine 126 with 2,4-difluorophenylamine and propane-1-sulfonyl chloride 60 with ethanesulfonyl chloride, 2-methyl-propane-1-sulfonyl chloride, 3,3,3-trifluoro-propane-1-sulfonyl chloride, trifluoromethanesulfonyl chloride, and cyclopropanesulfonyl chloride, respectively, in step 1. MS (ESI) $[M-H]^+ = 248.1$ (130), 276.1 (131), 288.0 (133).

Example 24

Synthesis of 5-cyclopropyl-1H-pyrrolo[2,3-b]pyridine 136

[2371] 5-Cyclopropyl-1H-pyrrolo[2,3-b]pyridine 136 was synthesized in one step from 5-iodo-1H-pyrrolo[2,3-b]pyridine 69 as shown in Scheme 27.



Step 2—Preparation of 5-Cyclopropyl-1H-pyrrolo[2,3-b]pyridine (136):

[2372] In a reaction vessel, 5-Iodo-1H-pyrrolo[2,3-b]pyridine (69, 0.343 g, 1.40 mmol), cyclopropylzinc bromide (135, 12.6 mL of 0.500 M in tetrahydrofuran, 6.32 mmol), and [1,3-bis(diphenylphosphino)propane]nickel(II) chloride (0.0762 g, 0.140 mmol) were combined with 5.37 mL of 1,4-dioxane. The reaction was heated at 100° C. overnight. Methanol was added, and the mixture was concentrated under vacuum. Ethyl acetate and water were added to the residue, and the resulting mixture was filtered through a bed of celite. The celite bed was washed with ethyl acetate. The combined filtrate was separated and the aqueous layer extracted with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered and the filtrate concentrated under vacuum. The residue was purified by silica gel column chromatography, eluting with ethyl acetate and hexane. The appropriate fractions were combined and the solvents removed under vacuum to provide the desired compound. MS (ESI) $[M+H]^+ = 159.0$.

Example 25

Propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluorophenyl}-amide (P-1167) polymorphs

[2373] Propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluorophenyl}-amide (P-1167) may be prepared according to methods known in the art, for example, using methods described in U.S. patent application Ser. No. 11/473,347 (see also, PCT publication WO2007002433), the disclosure of which is hereby incorporated by reference. Compound P-1167 can exist in polymorphic forms, for example as polymorphic forms 1 or 2, where such polymorphic forms may be isolated as the substantially pure polymorph. The desired polymorphic form may be prepared, for example, by using appropriate crystallization conditions. For example, Form 1 was isolated by recrystallization from acetone/absolute ethanol (e.g. 1:1 to

5:1, preferably 2:1 by volume). Form 2 is the more stable polymorph, and can be formed under a variety of recrystallization conditions, for example, recrystallization from methyl-t-butyl ether/tetrahydrofuran, ethyl acetate, or acetone, or may be formed by heating/melting and re-solidifying. The substantially pure isolated polymorphic forms were characterized by X-Ray Powder Diffraction (XRPD), differential scanning calorimetry (DSC) and infrared spectroscopy.

[2374] To demonstrate the formation of polymorphic form 1, propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide (P-1167) was treated with about 2.4 w/w of an acetone: absolute ethanol mixture (1:4 by volume) and agitated at 20° C. 5° C. for at least 6 hours. The contents were filtered and the solids were washed with acetone: absolute ethanol (1:4 by volume) mixture. Solids were treated with about 3.4 w/w tetrahydrofuran, and the suspension was heated to 60° C. 5° C. for at least 30 minutes and agitated. The mixture was cooled to 55° C. 5° C. and about 11.8 w/w methyl-t-butyl ether was added. The resulting suspension was cooled to 20° C. 5° C. for at least 1 hour. The contents were filtered and the solids were washed with methyl-t-butyl ether and dried. The solid was treated with acetone: absolute ethanol (2:1 by volume). The contents were agitated and the suspension was heated at 60° C. until a solution was achieved. The solution was filtered through a polish filter to remove any residual solid from the methyl-t-butyl ether treatment step. The filtrate was concentrated under vacuum, stirred at 20° C. 5° C. for at least 30 minutes and filtered. The solids were washed with pre-cooled (0° C. to 5° C.) ethanol and dried at 45° C. followed by drying at 75° C. under vacuum until a constant weight was achieved, to provide pure P-1167 polymorphic form 1. Form 1 was also prepared treating a sample with acetone: ethanol (1:1 by volume) at reflux, then filtering hot and removing solvent from the filtrate under vacuum until solid precipitates out.

[2375] The propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide polymorphic form 1 and form 2 were characterized by X-ray powder diffraction, infra-red spectrometry, and differential scanning calorimetry. Samples were analyzed by X-ray powder diffraction (XRPD) using a Shimadzu XRD-6000 X-ray powder diffractometer using Cu K α radiation. The tube voltage and amperage were set to 40 kV and 40 mA, respectively. The divergence and scattering slits were set at 1° and the receiving slit was set at 0.15 mm. Diffracted radiation was detected by a NaI scintillation detector. A θ -2 θ continuous scan at 3°/min (0.4 sec/0.02° step) from 2.5° to 40° 2 θ was used. A silicon standard was analyzed to check the instrument alignment. Data were collected and analyzed using XRD-6100/7000 v.5.0. Sample was prepared for analysis by placing it in an aluminum holder with silicon insert. The results are provided in the following Table 1.

TABLE 1

XRPD 2 θ values for P-1167 polymorphic Form 1 and Form 2. 2 θ value (+/-0.2)	
Form 1	Form 2
4.7	
	6.7
	8.8

TABLE 1-continued

XRPD 2 θ values for P-1167 polymorphic Form 1 and Form 2. 2 θ value (+/-0.2)	
Form 1	Form 2
9.4	9.2
10.0	
11.0	
12.5	
	13.5
14.2	14.1
	14.5
14.9	15.0
15.4	
16.1	16.2
	17.0
17.3	17.7
18.6	18.3
19.0	19.1
	19.7
	20.6
20.0	20.9
21.2	21.4
21.6	22.0
22.2	22.2
	23.2
23.9	23.8
	24.1
	24.4
	25.1
	25.7
26.1	26.6
26.8	28.1
	28.8
29.2	29.3
	30.1
	31.1
	31.7
	34.5
	34.9
	35.9
	39.2
	41.3

[2376] The propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide polymorphic form 1 and form 2 were analyzed by infra-red spectrometry. The results are provided in the following Table 2, which provides the characteristic wavenumbers observed for each sample.

TABLE 2

IR absorption spectrum wavenumber values for P-1167 polymorphic Form 1 and Form 2. Wavenumber cm ⁻¹	
Form 1	Form 2
3238	3266
	3121
	2969
	2880
2879	
1709	
1645	1639
1590	1589
1519	1519
1485	1487
1417	1417
1331	1322
1305	1306
1280	1287
1246	1246

TABLE 2-continued

IR absorption spectrum wavenumber values for P-1167 polymorphic Form 1 and Form 2. Wavenumber cm^{-1}	
Form 1	Form 2
1211	1215
1149	1143
1102	1096
1022	1027
1013	1012
965	968
915	916
891	893
	857
825	825
796	798
773	767
717	
685	683
651	662
631	
	607
587	585
564	558
550	
532	532
516	508
503	

[2377] The propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluorophenyl}-amide polymorphic form 1 and form 2 were analyzed by differential scanning calorimetry, scanning at 10.00° C. per minute. The DSC thermogram for form 1 shows an exothermic shift at approximately 152-164° C. and an endothermic peak at 268.0° C. The DSC thermogram for form 2 shows an endothermic peak at 271.2° C.

Example 26

N-[3-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]
sulfonamide and related compounds, acidic and
basic addition salts and complexes

[2378] N-[3-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]sulfonamide and related compounds, such as compounds of Formula I are characterized as having functionalities providing both weakly basic and weakly acidic centers which can form organic salt complexes, resulting in improved solubility. For example, the N-7 of the azaindole portion is weakly basic (pKa approximately 4-5) while the sulfonamide nitrogen is weakly acidic (pKa approximately 7). An organic salt complex reflects the potential for multiple sites of interaction between the guest and host. Attempts to make inorganic salts, e.g. using sodium or potassium, resulted in material that was difficult to isolate and was hygroscopic in some instances. In other instances, while the material may be non-hygroscopic, isolated material may exhibit multiple polymorphic forms. In contrast, the basic amino acids arginine and lysine were found to form non-crystalline complexes readily in a stoichiometric manner, and the resulting materials demonstrated enhanced solubility and bioavailability (exposure in pharmacokinetic analysis) relative to the free base form as well as other standard salt forms. Demonstrating additional unexpected advantages, such complexes were isolated as amorphous forms rather than typical crystalline salt forms, were non-hygroscopic, and chemically stable.

[2379] Organic base complexes, including arginine, nicotinamide and lysine complexes are typically formed by dissolving N-[3-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]sulfonamide and related compounds in 20-50 solvent volumes of an alcohol, preferably methanol, with moderate heating (30-35° C.). The milky suspension is stirred, and 1 equivalent of the L-form of arginine or lysine that has been triturated in a separate portion of the alcohol is added. The mixture is stirred under an inert atmosphere until a clear yellowish solution is formed. The solution is filtered and the solvent removed from the filtrate under reduced pressure. The resulting yellow film forms a friable solid upon vacuum drying. Alternatively, the complex may be precipitated by addition of cold solvent such as heptane, methyl t-butyl ether, ethyl acetate or the like to the alcohol solution, the resulting solid filtered and vacuum dried to isolate the friable solid. The resulting solid is typically amorphous with some degree (<30%) of crystallinity, preferably the complex is substantially amorphous, and is less than 10%, also less than 5%, also less than 1% crystalline. In some instances, the resulting solid may be prepared to have some degree of crystalline material, where the method above is modified by using an excess amount of the N-[3-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]sulfonamide relative to the arginine or lysine. For example, 0.5 equivalent of the L-form of arginine or lysine may be added to the suspension of compound in methanol. The resulting solid that is isolated will comprise a mixture of the amorphous complex and crystalline compound. The amount of L-arginine or L-lysine used may be adjusted appropriately to provide a solid that has any level of crystalline material, for example, 10%, 20%, 30%, 40%, 50%, 60%, 70%, 80%, or 90% crystalline, with 90%, 80%, 70%, 60%, 50%, 40%, 30%, 20% or 10% amorphous solid, respectively. The relative amount of crystalline material and amorphous material in a solid can be readily determined by one skilled in the art, for example as described in Shah et al., J Pharm Sci 2006, 95:1641-1665.

[2380] Acid addition salts, including sulfonic acid series of organic anions such as tosylate, besylate or mesylate, of N-[3-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-sulfonamide and related compounds are preferably formed using acetone, which provides solubility of the free base and is a non-solvent once the salt is formed. Typically, N-[3-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-sulfonamide is added to 20-50 solvent volumes of acetone with stirring and heating (30-35° C.), followed by the addition of 1 equivalent of the desired acid counter ion. The solution is slowly cooled to 2-8° C. and the solid is isolated by either filtration or centrifugation, followed by vacuum drying. The resulting solid may be amorphous, partially amorphous or crystalline, and can be recrystallized as needed from alcohol:acetone:ethyl acetate or alcohol alone to obtain the desired solid in crystalline form.

[2381] Organic acid complexes, including citric acid, tartaric acid, succinic acid, glutaric acid and acetylsalicylic acid complexes of N-[3-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-sulfonamide and related compounds are preferably formed in 1:1 or 1:2 compound:acid ratios in a suitable solvent such as methanol. Typically, N-[3-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl]-sulfonamide is added to 15-20 solvent volumes of methanol, with the desired solid isolated by either spray drying or by addition of non-solvent such as heptane followed by filtration and vacuum drying. The resulting solid is preferably an amorphous complex.

[2382] Mineral acids, including sulfate, phosphate and hydrochloric acid salts of N-[3-(1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-phenyl]-sulfonamide and related compounds are prepared from methanol or ethyl acetate solutions.

[2383] The resulting complexes or salts may also be processed through spray-drying techniques to provide the preferred form directly, or with suitable excipient materials to provide for a directly compressible or encapsulated dosage form. Salts or complexes may also be achieved by mechanochemical (e.g. roller compaction) or microwave irradiation of the parent compound with the appropriate selection of charge transfer partner. Such an approach is used to minimize solvent utilization, increase yield, purity and throughput, as well as achieve constructs not attainable using conventional solvent techniques.

[2384] The arginine complex of N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide P-1021 was prepared by suspending 5 g (9.7 mmol) of the free base in 100 mL of methanol, mixing with heating 30-35° C. L-arginine (1.70 g, 9.7 mmol) as a triturated dispersion in 5.1 mL of methanol. The milky white suspension is stirred with heating until a clear yellowish solution is formed. The solution was filtered and the solvent removed from the filtrate under reduced pressure, or processed by spray-drying to provide the complex as a friable yellow solid.

[2385] The arginine complexes of N-[3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide P-1092, propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide P-1167, and propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxypyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-1496 were prepared similarly, as were the lysine or nicotinamide complexes of N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide P-1021 and the lysine complex of propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide P-1167.

[2386] Any of these arginine or lysine complexes may be prepared as a ternary complex comprising a strong acid, such as hydrochloric acid, for example by addition of 1 equivalent of hydrochloric acid (or other suitable acid) to the suspension of compound in methanol and mixing with the L-arginine or L-lysine.

[2387] The mesylate salt of N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide P-1021 was prepared by suspending 5 g (9.7 mmol) in 100 mL of acetone, mixing with heating 30-35° C. Methanesulfonic acid (0.63 mL, 9.7 mmol) was added and the solution cooled to 5° C. over 30 minutes. The resulting solid was isolated by filtration, washed and dried under vacuum to provide the desired salt.

[2388] The besylate and tosylate salts of N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide P-1021 were prepared similarly.

Example 27

Physical Properties of Solid Forms

[2389] Propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide P-1167 complexed with either lysine or arginine

was compared to the free base polymorph form 2 from which the complexes were formed. The XRPD comparison of these materials indicate that the lysine complex is essentially amorphous (some peaks associated with the free base having much reduced intensity), and the arginine complex is substantially amorphous (no clear peaks associated with the free base). The 2-theta values and intensities are provided in the following Table 3.

TABLE 3

XRPD 2θ values for P-1167 polymorphic Form 2 and the lysine or arginine complex thereof.			
2θ value (+/-0.2)	Peak intensity (cps)		
	Form 2	Arginine complex	Lysine complex
6.7	240	48.3	39.6
8.8	229	119	27.4
9.2	2141	564	66.3
13.5	341	103	22
14.1	185	64.6	14.1
14.5	272	101	18
15.0	887	218	37.1
17.7	106	43.5	17
18.3	253	220	20.1
19.1	442	267	72.4
19.7	310	96.7	47.5
20.9	165	79.6	16.5
21.4	205	181	20.8
24.1	200	149	43.4
24.4	591	373	78.1
25.1	163	115	34.1
28.1	121	97.4	32.7
30.1	116	68.9	22.2

[2390] It is clear from this data that the lysine complex is amorphous, with the lack of any clear structure in the XRPD spectra and the intensity of the peaks corresponding to the two largest peaks in form 2 (2θ values of about 9.2 and 15.0) reduced to less than 5% of the form 2 intensity. The arginine complex is substantially amorphous, showing some residual level of crystallinity in the XRPD spectra, with the intensity of these peaks at less than 30% of the form 2 intensity. The amount of crystalline material in such complexes can be readily reduced further in processing, for example, by spray drying techniques such that an amorphous complex with arginine or lysine is provided.

[2391] The DSC of these samples shows that the arginine and lysine complexes lack a characteristic transition and have completely melted before the free base crystalline transition at 271° C., further supporting that these complexes are amorphous.

[2392] The intrinsic dissolution rate of a similarly prepared substantially amorphous arginine complex of P-1167 was compared to that of the form 2 polymorph in simulated gastric fluid (SGF) without enzyme and in simulated intestinal fluid (SIF). A pellet of test sample was dissolved in the appropriate fluid, and the UV absorbance as a function of time was measured at 254 nm (SGF) or 310 nm (SIF) and plotted. The results demonstrate a maximum absorbance in SGF at approximately 200 minutes that is substantially greater than the free base, and a substantially higher absorbance in SIF than the free base. As such, significant improvement of dissolution of the arginine complex is shown, which is likely to be improved further by processing the complex to a completely amorphous form.

[2393] Propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-1496 complexed with arginine was compared to the free base from which the complex was formed. The XRPD comparison of these materials indicate that the arginine complex is amorphous, demonstrating the characteristic amorphous halo.

[2394] Similarly, the DSC shows that the arginine complex has a very broad transition from about 56° C. to about 120° C. and lacks the characteristic transition of the free base at approximately 275° C.

[2395] N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide P-1021 lot number 14 complexed with arginine was compared to the free base from which the complex was formed. The XRPD comparison of these materials indicate that the arginine complex is amorphous, demonstrating essentially the characteristic amorphous halo with some minor peaks associated with the free base. Similarly, the DSC shows that the arginine complex has a broad transition at lower temperatures and a very minor transition at about 140° C. and lacks the characteristic transition of the free base at approximately 276° C. Finally, the solid state NMR was also compared, where ¹³C cross polarization magic angle spinning was acquired at room temperature using a Varian ¹H-NOVA-400 spectrometer (Larmor frequencies: ¹³C=100.542 MHz, ¹H=399.790 MHz). Samples were packed into 4 mm PENCIL type zirconia rotors and rotated at 12 kHz at the magic angle. The spectra were acquired with phase modulated SPINAL-64 high power ¹H decoupling during the acquisition time using a ¹H pulse width of 2.2 μs(90°), a ramped amplitude cross polarization contact time of 3-8 ms, a 30 ms acquisition time, a 10-30 second delay between scans, a spectral width of 45 kHz with 2700 data points, and 100 or 400 co-added scans. Each free induction decay was processed using Varian VNMR 6.1C software3 with 32768 points and an exponential line broadening factor of 10 or 100 Hz to improve the signal-to-noise ratio. The first three data points of the free induction decay were back predicted using VNMR linear prediction algorithm to produce a flat baseline. The chemical shifts of the spectral peaks were externally referenced to the carbonyl carbon resonance of glycine at 176.5 ppm. The results show that the complex has no ordered structure as compared to either the free base or L-arginine, clearly demonstrating the amorphous nature of the complex. This amorphous complex, in addition to providing preferable biopharmaceutical properties, is readily friable and compressible, and therefore is advantageous in preparation of solid capsules, as more material can be compressed into a tablet than with the free base.

[2396] N-[3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide P-1092 lot number 2 complexed with arginine was compared to the free base from which the complex was formed. The XRPD comparison of these materials indicate that the arginine complex is amorphous, demonstrating essentially the characteristic amorphous halo. Similarly, the DSC show that the arginine complex has a broad transition at lower temperatures and a very minor transition at about 140° C. and lacks the characteristic transition of the free base at approximately 276° C.

Example 28

Pharmacokinetic Evaluation of Solid Forms

[2397] Pharmacokinetic properties of various compositions prepared from the complexes may be assessed in male

Sprague Dawley rats or male Beagle dogs, and compared to the properties of the free base compound. Rats are dosed daily with compound either by IV injections via surgically implanted jugular catheters or by oral gavage (PO). Each compound is prepared as a 20 mg/mL stock solution in dimethyl sulfoxide, which is further diluted to provide the dosing stock at the desired concentration for the IV or PO formulations. For IV dosing, the dosing stock is diluted into a 1:1:8 mixture of Solutol®:ethanol:water. For PO dosing, the dosing stock is diluted into 1% methylcellulose. In a cassette format, 5 compounds are diluted to 0.5 mg/mL each for IV dosing and 0.4 mg/mL each for PO dosing and dosed at 1 mg/kg (2 mL/kg) or 2 mg/kg (5 mL/kg), respectively. For IV dosed animals, tail vein blood samples are collected with lithium heparin anticoagulant at 5, 15, 30, and 60 minutes and 4, 8, and 24 hours post dosing each day. For PO dosed animals, tail vein blood samples are collected with lithium heparin anticoagulant at 30 minutes, 1, 2, 4, 8 and 24 hours post dosing each day. Dogs are dosed daily by oral capsules in a suitable formulation at 50 mg/mL. Cephalic vein blood samples are collected with lithium heparin anticoagulant at 30 minutes, 1, 2, 4, 8 and 24 hours post dosing each day. All samples are processed to plasma and frozen for later analysis of each compound by LC/MS/MS. Plasma levels as a function of time are plotted to assess the AUC (ng*hr/mL).

[2398] The arginine complex of N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide P-1021 was analyzed in a number of dog PK studies following the above or similar protocols. These were done primarily at Bridge Laboratories, Beijing, China, with one study done at Seventh Wave Laboratories LLC, Chesterfield, Mo. Plasma samples were analyzed to determine the plasma concentration (PPD Development, Madison, Wis.) at each time point from which the C_{max} and AUC_∞ were determined. The dosing formulations and results for these studies are given in the following tables. In some instances, the materials are combined in the solvents indicated, and the solvent is removed by evaporation, or by spray drying where indicated. In these tables, unless indicated otherwise, the dose is mg/dog, and the C_{max}/dose ((ng/mL)/(mg/kg)) and the AUC_∞/dose ((ng*hr/mL)/(mg/kg)) are calculated assuming a 10 kg weight for the dogs.

TABLE 4A

Solid forms and formulations for dog PK study comparing P-1021 arginine complex to the free base, a sulfate salt or a nicotinamide complex.

Component	Amount (g) per 7.4 gram batch	W/W%
P-1021 arginine complex		
Micronized P-1021 free base	2.68	36.2
Crystalline spray dried mannitol	2.32	31.4
Polyplasdone XL	0.400	5.40
Poloxamer F-68	2.00	27.0
P-1021 free base		
P-1021 arginine complex	2.00	27.0
Crystalline spray dried mannitol	3.00	40.5
Polyplasdone XL	0.400	5.40
Poloxamer F-68	2.00	27.0

TABLE 4A-continued

Solid forms and formulations for dog PK study comparing P-1021 arginine complex to the free base, a sulfate salt or a nicotinamide complex.		
Component	Amount (g) per 7.4 gram batch	W/W%
P-1021 sulfate salt		
P-1021 sulfate salt	2.38	32.2
Crystalline spray dried mannitol	2.62	35.4
Polyplasdone XL	0.400	5.40
Poloxamer F-68	2.00	27.0
P-1021 nicotinamide complex		
P-1021 nicotinamide 1:2	2.31	39.0
Polyplasdone XL	0.20	6.25
Poloxamer F-68	1.00	15.6

TABLE 4B

Cmax/dose and AUC ∞ /dose comparing P-1021 arginine complex to free base, sulfate salt and nicotinamide complex.			
Test article	Dose (mg/dog)	Cmax/dose	AUC ∞ /dose
Arginine complex	100	830.5	16,850
Free base	100	581.3	8,031
Sulfate	100	181.5	5,067
Nicotinamide	100	110.7	2,043

TABLE 5A

Solid forms and formulations for dog PK study comparing P-1021 arginine complex to the free base, an amorphous form of the free base, or mesylate salt.		
P-1021 arginine complex		
Component	Amount (g) per 10 gram batch	W/W %
P-1021 arginine complex	5.00 free base	50.0
	1.70 L-arginine	17.0
Mannitol	1.70	17.0
Polyplasdone XL	0.40	4.0
Mg-Al-silicate	1.00	10.0
Poloxamer F127	0.40	4.0
In methanol solvent (~50 mg/mL) removed during processing		
P-1021 free base		
Component	Amount (g) per 7.4 gram batch	W/W %
Micronized P-1021 free base	5.00	50.0
Mannitol	2.22	22.0
D-Sorbitol	2.00	20.0
Polyplasdone XL	0.40	4.0
Poloxamer F127	0.40	4.0
Dry blended with simulation of roller compaction		
P-1021 amorphous free base		
Component	Amount (g) per 7.4 gram batch	W/W %
P-1021 amorphous free base	5.00	50.0
Mannitol	1.20	12.0

TABLE 5A-continued

Solid forms and formulations for dog PK study comparing P-1021 arginine complex to the free base, an amorphous form of the free base, or mesylate salt.		
D-Sorbitol	2.00	20.0
Polyplasdone XL	0.40	4.0
Mg-Al-silicate	1.00	10.0
Poloxamer F127	0.40	4.0
In acetone solvent (~100 mg/mL) removed during processing		
P-1021 mesylate salt		
Component	Amount (g) per 10 gram batch	W/W %
Micronized P-1021 free base	5.00	50.0
Methane-sulfonic acid	1.00	10.0
Mannitol	0.70	7.0
D-Sorbitol	1.50	15.0
Polyplasdone XL	0.40	4.0
Mg-Al-Silicate	1.00	10.0
Poloxamer F127	0.40	4.0

TABLE 5B

Cmax/dose and AUC ∞ /dose comparing P-1021 arginine complex to free base, amorphous free base and mesylate salt.			
Test article	Dose (mg/dog)	Cmax/dose	AUC ∞ /dose
Arginine complex	150	810	34,693
Free base	150	482	5,270
Amorphous free base	150	33	482
Mesylate	150	116	2,849

TABLE 6A

Solid forms and formulations for dog PK study comparing arginine complex of P-1021, mixed formulation vs. spray dried formulation.	
Component	W/W %
P-1021 Arginine complex P-10	
P-1021 arginine complex	30.0
PEG400	55.0
Propylene Glycol	5.00
Polysorbate 20	5.00
TPGS	5.00
P-1021 arginine complex spray dried P-11*	
P-1021 arginine complex	50.0
Poloxamer 188	40.0
Mannitol	10.0
In acetone: ethanol 80:20, removed on spray drying onto Poloxamer F68	
P-1021 arginine complex P-18	
P-1021 arginine complex	26.8
Propylene Glycol	25.0
Polysorbate 20	5.00
TPGS	5.00
Capryol 90	38.2
In acetone: ethanol 80:20, removed during processing	

TABLE 6B

Cmax/dose and AUC ∞ /dose comparing various formulations.			
Test article	Dose (mg/dog)	Cmax/dose	AUC ∞ /dose
P-10	400	808	13,787
P-10	800	824	16,881
P-11	200	1,525	37,211
P-18	200	1,030	18,324

TABLE 7A

Solid forms and formulations for dog PK study comparing arginine complex at 200 or 400 mg per dog dose. P-1021 arginine complex spray dried P-11	
Component	W/W %
P-1021 arginine complex	50.0
Poloxamer 407	35.0
Mannitol	15.0
Methanol removed during processing	

TABLE 7B

Cmax/dose and AUC ∞ /dose for P-1021 arginine complex dosed at 200 or 400 mg per dog.			
Test article	Dose (mg/dog)	Cmax/dose	AUC ∞ /dose
Arginine complex	200	960	22,480
Arginine complex	400	455	12,120

TABLE 8A

Solid forms and formulations for dog PK study comparing arginine complex at increasing doses (mg/kg). P-1021 arginine complex	
Component	W/W %
P-1021 arginine complex	54.3% P-1021 18.5% L-arginine
Poloxamer 407	27.2

This study was done at Seventh Wave, containers were provided with 13.259 g, 39.776 g or 66.301 g of this formulation and suspended in 360 mL of 1.5% Polysorbate 20 vehicle and dosed by oral gavage.

TABLE 8B

Cmax/dose and AUC ∞ /dose for P-1021 arginine complex dosed at the indicated mg/kg.			
Test article	Dose (mg/kg)	Cmax/dose	AUC ∞ /dose
Arginine complex	249	208	11,247
Arginine complex	795	107	7,457
Arginine complex	965	178	3,883

[2399] N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzene-sulfonamide P-1021 was analyzed in a dog PK study wherein

the arginine complex of N-[3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide P-1092 was also assessed. Formulations and results are provided in the following Tables 9A and 9B.

TABLE 9A

Solid forms and formulations for dog PK study of P-1021 arginine mixed in formulation (non-complex) and P-1092 arginine complex.		
P-1092 arginine complex		
Component	Amount (g) per 5.00 g batch	W/W %
P-1092 arginine complex	2.50	50.0
Poloxamer 407	1.75	35.0
Mannitol	0.75	15.0

P-1021 non-complex arginine formulation		
Component	Amount (g) per 15.00 g batch	W/W %
P-1021	5.00	33.33
L-arginine	3.38	22.53
Poloxamer 407	5.25	35.0
Mannitol	1.37	9.13

TABLE 9B

Cmax/dose and AUC ∞ /dose for P-1021 non-complexed with arginine, or P-1092 arginine complex.			
Test article	Dose (mg/dog)	Cmax/dose	AUC ∞ /dose
P-1021 non-complex	400	392.5	8,092
P-1092 arginine complex	200	550	11,720
P-1092 arginine complex	400	617.5	12,580

[2400] These dog PK studies demonstrate favorable biopharmaceutical properties of the compounds as an arginine complex. For example, P-1021 shows favorable Cmax and AUC compared to free base and other formulations (Table 4B, Table 5B), and Table 6B demonstrates further improvement of these properties with spray drying of the formulations. The arginine complex, and not just the presence of an equivalent amount of arginine, is favorable, as typically, the AUC/dose of the complex dosed at 400 mg per dog is greater than 12,000 (Table 6B, Table 7B), whereas the AUC/dose for a non-complexed formulation with arginine is approximately 8,000 (Table 9B). The P-1092 arginine complex shows similar exposure as the P-1021 complex (Table 9B).

[2401] A PK study was carried out in Sprague-Dawley rats on the arginine or lysine complex of propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo [2,3-b]pyridine-3-carbonyl]-phenyl}-amide P-1496 (Muri-genics, Berkeley, Calif.), dosing via tail vein injection, with sampling of approximately 250 μ L of blood via jugular veing in Lithium heparin tubes at 30 minutes, 1, 3, 6, 8, 12, 24, 36 and 48 hours. Blood samples were centrifuged at 4° C. for 10 minutes at RCF of 1,000, plasma was stored at 80° C. and shipped frozen for analytical analysis (Integrated Analytical Solutions, Inc., Berkeley, Calif.). The results demonstrate improved biopharmaceutical properties for either the arginine or the lysine complexes. The solid form and formulations are provided in Table 10A, with the results provided in Table 10B.

TABLE 10A

Solid forms and formulations for rat PK study of P-1496 arginine or lysine complex.		
Component	Amount (g) per 2.00 g batch	W/W %
P-1496 free base		
P-1496 free base	1.00	50.0
Poloxamer 407	1.00	50.0
Methanol removed in processing P-1496 arginine complex		
P-1496	1.00	50.00
L-arginine	0.36	18.00
Poloxamer 407	0.64	32.0
Acetone removed in processing P-1496 lysine complex		
P-1496	1.00	50.00
L-lysine	0.30	15.00
Poloxamer 407	0.70	35.0
Acetone removed in processing		

Solid formulations were taken up in PEG400:water at 200 mg/cc for free base, arginine, and lysine complexes, and also at 400 mg/cc for lysine complex and dosed by oral gavage 10 mL/kg to provide the P-1496 doses indicated in Table 10B.

TABLE 10B

C _{max} /dose and AUC _∞ /dose for P-1496 free base, arginine complex and lysine complex in rats.			
Test article	Dose	C _{max} /dose (mg/kg)	AUC _∞ /dose
P-1496 free base	100	106	1,970
P-1496 arginine complex	100	159	3,620
P-1496 lysine complex	100	283	3,210
P-1496 lysine complex	200	155	2,750

Example 29

Kinase Activity Assays

[2402] Assays for the activity of Raf kinases, including, but not limited to, B-Raf, B-RafV600E, B-RafV600E/T529I and c-Raf-1, as well as for other kinases are known in the art, for example as described in U.S. patent application Ser. No. 11/473,347 (see also, PCT publication WO2007002433), the disclosure of which is hereby incorporated by reference in its entirety. The activity of compounds for Raf kinases and other kinases is summarized in the following tables. Compounds having IC₅₀ of less than 10 μM with respect to the indicated kinase are shown in tables 11a (A-Raf), 11b (B-Raf), 11c (B-Raf V600E), 11d (C-Raf), 11e (Btk), 11f (Fms), 11 g (Kdr), 11 h (Kit), 11i (Src), 11j (TEC), 11k (TrkA), 11m (MAP4K4), 11n (Flt1), 11o (PI3Kα), 11p (PI3Kδ), and 11q (PI3Kγ).

TABLE 11a

Compounds with activity toward kinase A-Raf with IC ₅₀ ≤ 10 μM.	
A-Raf	P-2002, P-2009, P-2010, P-2011, P-2014, P-2015, P-2016, P-2017, P-2018, P-2019, P-2020, P-2022, P-2035, P-2036, P-2037, P-2038, P-2039, P-2046, P-2047, P-2056, P-2057, P-2061, P-2062, P-2063,

TABLE 11a-continued

Compounds with activity toward kinase A-Raf with IC ₅₀ ≤ 10 μM.	
P-2064, P-2065, P-2066, P-2067, P-2069, P-2071, P-2075, P-2076, P-2077, P-2078, P-2113, P-2115, P-2116, P-2117, P-2119, P-2165, P-2182, P-2196, P-2208, P-2209, P-2210, P-2220, P-2224, P-2230, P-2231, P-2232, P-2233, P-2234, P-2235, P-2236, P-2238, P-2239, P-2240, P-2243, P-2244, P-2247, P-2248, P-2250, P-2255, P-2257, P-2258, P-2259, P-2260, P-2261, P-2272, P-2273, P-2274, P-2275, P-2276, P-2277, P-2278, P-2283, P-2284, P-2285, P-2288, P-2289, P-2290, P-2291, P-2292, P-2297, P-2303, P-2304, P-2305, P-2314, P-2315, P-2316, P-2317, P-2318, P-2319, P-2320, P-2321, P-2327, P-2328, P-2329, P-2332, P-2335, P-2336, P-2337, P-2338, P-2339, P-2341, P-2343, P-2346, P-2347, P-2353, P-2354, P-2362, P-2363, P-2364, P-2365, P-2366, P-2367, P-2368, P-2369, P-2370, P-2374, P-2375, P-2379, P-2384, P-2385, P-2386, P-2387, P-2388, P-2389, P-2390, P-2391, P-2392, P-2393, P-2394, P-2395, P-2396, P-2397, P-2398, P-2407, P-2408, P-2420, P-2437	

TABLE 11b

Compounds with activity toward kinase B-Raf with IC ₅₀ ≤ 10 μM.	
B-Raf	P-2001, P-2002, P-2003, P-2004, P-2005, P-2006, P-2007, P-2008, P-2009, P-2010, P-2011, P-2014, P-2015, P-2016, P-2017, P-2018, P-2019, P-2020, P-2021, P-2022, P-2023, P-2024, P-2025, P-2026, P-2027, P-2028, P-2029, P-2030, P-2031, P-2032, P-2033, P-2034, P-2035, P-2036, P-2037, P-2038, P-2039, P-2040, P-2041, P-2042, P-2043, P-2044, P-2045, P-2046, P-2047, P-2050, P-2051, P-2052, P-2053, P-2054, P-2055, P-2056, P-2057, P-2058, P-2059, P-2060, P-2063, P-2066, P-2067, P-2069, P-2070, P-2071, P-2072, P-2073, P-2074, P-2075, P-2076, P-2077, P-2078, P-2079, P-2080, P-2084, P-2085, P-2086, P-2087, P-2090, P-2099, P-2101, P-2102, P-2103, P-2104, P-2105, P-2106, P-2108, P-2109, P-2110, P-2112, P-2113, P-2116, P-2117, P-2119, P-2123, P-2126, P-2127, P-2128, P-2139, P-2140, P-2142, P-2144, P-2145, P-2147, P-2148, P-2149, P-2150, P-2151, P-2152, P-2153, P-2154, P-2155, P-2162, P-2165, P-2166, P-2167, P-2168, P-2171, P-2172, P-2173, P-2174, P-2175, P-2176, P-2177, P-2178, P-2179, P-2180, P-2181, P-2182, P-2183, P-2184, P-2185, P-2186, P-2187, P-2188, P-2189, P-2190, P-2191, P-2192, P-2193, P-2194, P-2195, P-2196, P-2197, P-2198, P-2199, P-2200, P-2201, P-2203, P-2204, P-2207, P-2208, P-2209, P-2210, P-2211, P-2212, P-2213, P-2214, P-2215, P-2216, P-2217, P-2218, P-2219, P-2220, P-2222, P-2223, P-2224, P-2225, P-2226, P-2227, P-2228, P-2229, P-2230, P-2231, P-2232, P-2233, P-2234, P-2235, P-2236, P-2237, P-2238, P-2239, P-2240, P-2243, P-2244, P-2247, P-2248, P-2250, P-2255, P-2257, P-2258, P-2259, P-2260, P-2261, P-2268, P-2269, P-2270, P-2271, P-2272, P-2273, P-2274, P-2275, P-2276, P-2277, P-2278, P-2279, P-2280, P-2281, P-2282, P-2283, P-2285, P-2286, P-2287, P-2290, P-2295, P-2297, P-2298, P-2299, P-2300, P-2301, P-2302, P-2303, P-2304, P-2305, P-2306, P-2307, P-2310, P-2313, P-2317, P-2318, P-2320, P-2321, P-2322, P-2323, P-2324, P-2325, P-2326, P-2327, P-2328, P-2329, P-2330, P-2331, P-2332, P-2333, P-2334, P-2335, P-2336, P-2338, P-2339, P-2340, P-2341, P-2342, P-2343, P-2344, P-2345, P-2346, P-2347, P-2350, P-2351, P-2352, P-2353, P-2354, P-2355, P-2356, P-2357, P-2358, P-2359, P-2360, P-2361, P-2363, P-2364, P-2366, P-2367, P-2368, P-2369, P-2370, P-2371, P-2372, P-2373, P-2374, P-2375, P-2376, P-2377, P-2379, P-2382, P-2383, P-2393, P-2394, P-2395, P-2396, P-2397, P-2398, P-2399, P-2400, P-2402, P-2403, P-2404, P-2406, P-2407, P-2408, P-2409, P-2412, P-2413, P-2414, P-2415, P-2416, P-2417, P-2418, P-2420, P-2422, P-2425, P-2430, P-2431, P-2432, P-2434, P-2444, P-2445, P-2447, P-2465, P-2481

TABLE 11c

Compounds with activity toward kinase B-RafV600E with IC ₅₀ ≤ 10 μM.	
B-Raf V600E	P-2002, P-2003, P-2004, P-2005, P-2006, P-2007, P-2008, P-2009, P-2010, P-2011, P-2014, P-2015, P-2016, P-2017, P-2018, P-2019, P-2020, P-2021, P-2022, P-2023, P-2024, P-2025, P-2026, P-2027, P-2028, P-2029, P-2030, P-2031, P-2032, P-2033, P-2034, P-2035,

TABLE 11c-continued

Compounds with activity toward kinase B-Raf V600E with $IC_{50} \leq 10 \mu M$.	
	P-2036, P-2037, P-2038, P-2039, P-2040, P-2041, P-2042, P-2043, P-2044, P-2045, P-2046, P-2047, P-2050, P-2051, P-2052, P-2053, P-2054, P-2055, P-2056, P-2057, P-2058, P-2059, P-2060, P-2061, P-2062, P-2063, P-2064, P-2065, P-2066, P-2067, P-2068, P-2069, P-2070, P-2071, P-2072, P-2073, P-2074, P-2075, P-2076, P-2077, P-2078, P-2079, P-2080, P-2081, P-2082, P-2083, P-2084, P-2085, P-2086, P-2087, P-2088, P-2089, P-2090, P-2091, P-2092, P-2093, P-2094, P-2095, P-2096, P-2097, P-2098, P-2099, P-2100, P-2101, P-2102, P-2103, P-2104, P-2105, P-2108, P-2110, P-2111, P-2120, P-2127, P-2129, P-2130, P-2131, P-2132, P-2133, P-2134, P-2135, P-2136, P-2139, P-2140, P-2147, P-2150, P-2151, P-2152, P-2153, P-2154, P-2155, P-2156, P-2157, P-2158, P-2159, P-2160, P-2161, P-2162, P-2164, P-2165, P-2166, P-2167, P-2168, P-2169, P-2170, P-2171, P-2172, P-2173, P-2174, P-2175, P-2176, P-2177, P-2178, P-2179, P-2180, P-2181, P-2182, P-2183, P-2184, P-2185, P-2186, P-2187, P-2188, P-2189, P-2190, P-2191, P-2192, P-2193, P-2194, P-2195, P-2196, P-2197, P-2198, P-2199, P-2200, P-2201, P-2203, P-2204, P-2207, P-2208, P-2209, P-2210, P-2211, P-2212, P-2213, P-2214, P-2215, P-2216, P-2217, P-2218, P-2219, P-2220, P-2222, P-2223, P-2224, P-2225, P-2226, P-2227, P-2228, P-2229, P-2230, P-2231, P-2232, P-2233, P-2234, P-2235, P-2236, P-2238, P-2239, P-2240, P-2243, P-2244, P-2247, P-2248, P-2250, P-2255, P-2257, P-2258, P-2259, P-2260, P-2261, P-2268, P-2269, P-2270, P-2271, P-2272, P-2273, P-2274, P-2275, P-2276, P-2277, P-2278, P-2279, P-2280, P-2281, P-2282, P-2283, P-2284, P-2285, P-2286, P-2287, P-2288, P-2289, P-2290, P-2291, P-2292, P-2293, P-2294, P-2295, P-2296, P-2297, P-2298, P-2299, P-2300, P-2301, P-2302, P-2303, P-2304, P-2305, P-2306, P-2307, P-2308, P-2309, P-2310, P-2311, P-2312, P-2313, P-2314, P-2315, P-2316, P-2317, P-2318, P-2319, P-2320, P-2321, P-2322, P-2323, P-2324, P-2325, P-2326, P-2327, P-2328, P-2329, P-2330, P-2331, P-2332, P-2333, P-2334, P-2335, P-2336, P-2337, P-2338, P-2339, P-2340, P-2341, P-2342, P-2343, P-2344, P-2345, P-2346, P-2347, P-2348, P-2350, P-2351, P-2352, P-2353, P-2354, P-2355, P-2356, P-2357, P-2358, P-2359, P-2360, P-2361, P-2362, P-2363, P-2364, P-2365, P-2366, P-2367, P-2368, P-2369, P-2370, P-2371, P-2372, P-2373, P-2374, P-2375, P-2376, P-2377, P-2378, P-2379, P-2382, P-2383, P-2384, P-2385, P-2386, P-2387, P-2388, P-2389, P-2390, P-2391, P-2392, P-2393, P-2394, P-2395, P-2396, P-2397, P-2398, P-2399, P-2400, P-2401, P-2402, P-2403, P-2404, P-2406, P-2407, P-2408, P-2409, P-2410, P-2411, P-2412, P-2413, P-2414, P-2415, P-2416, P-2417, P-2418, P-2419, P-2420, P-2421, P-2422, P-2423, P-2424, P-2425, P-2429, P-2430, P-2431, P-2432, P-2433, P-2434, P-2435, P-2436, P-2437, P-2442, P-2444, P-2445, P-2446, P-2447, P-2449, P-2450, P-2452, P-2453, P-2454, P-2455, P-2456, P-2457, P-2464, P-2465, P-2466, P-2467, P-2468

TABLE 11d

Compounds with activity toward kinase C-Raf with $IC_{50} \leq 10 \mu M$.	
C-Raf	P-2001, P-2002, P-2003, P-2004, P-2005, P-2006, P-2007, P-2008, P-2009, P-2010, P-2011, P-2014, P-2015, P-2016, P-2017, P-2018, P-2019, P-2020, P-2021, P-2022, P-2023, P-2024, P-2025, P-2026, P-2027, P-2028, P-2029, P-2030, P-2031, P-2032, P-2033, P-2034, P-2035, P-2036, P-2037, P-2038, P-2039, P-2040, P-2041, P-2042, P-2043, P-2044, P-2045, P-2046, P-2047, P-2050, P-2051, P-2052, P-2053, P-2054, P-2055, P-2056, P-2057, P-2058, P-2059, P-2060, P-2061, P-2062, P-2063, P-2064, P-2065, P-2066, P-2067, P-2068, P-2069, P-2070, P-2071, P-2072, P-2073, P-2074, P-2075, P-2076, P-2077, P-2078, P-2079, P-2080, P-2081, P-2083, P-2084, P-2085, P-2086, P-2087, P-2088, P-2089, P-2090, P-2092, P-2101, P-2105, P-2116, P-2117, P-2119, P-2139, P-2140, P-2143, P-2147, P-2150, P-2151, P-2154, P-2155, P-2162, P-2165, P-2166, P-2167, P-2168, P-2178, P-2179, P-2182, P-2185, P-2193, P-2196, P-2199, P-2200, P-2201, P-2208, P-2209, P-2210, P-2211, P-2213, P-2214, P-2215, P-2216, P-2217, P-2218, P-2219, P-2220, P-2222, P-2223, P-2224, P-2225, P-2226, P-2227, P-2228, P-2229, P-2230, P-2231, P-2232, P-2233, P-2234, P-2235, P-2236, P-2238, P-2239, P-2240, P-2243, P-2244, P-2247, P-2248, P-2250, P-2255, P-2257, P-2258, P-2259, P-2260, P-2261, P-2272, P-2273, P-2274, P-2275, P-2276, P-2277,

TABLE 11d-continued

Compounds with activity toward kinase C-Raf with $IC_{50} \leq 10 \mu M$.	
	P-2278, P-2279, P-2280, P-2281, P-2282, P-2283, P-2284, P-2285, P-2286, P-2287, P-2288, P-2289, P-2290, P-2291, P-2292, P-2293, P-2294, P-2295, P-2297, P-2303, P-2304, P-2305, P-2306, P-2307, P-2308, P-2310, P-2311, P-2312, P-2313, P-2314, P-2317, P-2318, P-2319, P-2320, P-2321, P-2322, P-2323, P-2324, P-2327, P-2328, P-2329, P-2330, P-2331, P-2332, P-2333, P-2335, P-2336, P-2337, P-2338, P-2339, P-2340, P-2341, P-2342, P-2343, P-2346, P-2347, P-2350, P-2353, P-2354, P-2355, P-2356, P-2357, P-2358, P-2359, P-2360, P-2361, P-2362, P-2363, P-2364, P-2365, P-2366, P-2367, P-2368, P-2369, P-2370, P-2371, P-2372, P-2373, P-2374, P-2375, P-2376, P-2377, P-2379, P-2382, P-2383, P-2391, P-2392, P-2393, P-2394, P-2395, P-2396, P-2397, P-2398, P-2399, P-2400, P-2401, P-2402, P-2403, P-2404, P-2407, P-2408, P-2409, P-2410, P-2411, P-2412, P-2413, P-2414, P-2415, P-2416, P-2417, P-2418, P-2420, P-2421, P-2422, P-2424, P-2425, P-2430, P-2431, P-2432, P-2434, P-2444, P-2445, P-2446, P-2447, P-2467, P-2481, P-2483

TABLE 11e

Compounds with activity toward kinase Btk with $IC_{50} \leq 10 \mu M$.	
Btk	P-2022, P-2041, P-2042, P-2043, P-2044, P-2045, P-2051, P-2052, P-2053, P-2054, P-2079, P-2080, P-2086, P-2087, P-2099, P-2100, P-2101, P-2102, P-2103, P-2105, P-2114, P-2120, P-2129, P-2130, P-2131, P-2134, P-2136, P-2138, P-2139, P-2140, P-2142, P-2144, P-2145, P-2147, P-2157, P-2158, P-2159, P-2160, P-2161, P-2165, P-2168, P-2174, P-2176, P-2177, P-2178, P-2184, P-2190, P-2194, P-2199, P-2214, P-2222, P-2229, P-2235, P-2260, P-2270, P-2271, P-2286, P-2287, P-2295, P-2299, P-2302, P-2308, P-2322, P-2323, P-2345, P-2350, P-2351, P-2352, P-2371, P-2372, P-2379, P-2383, P-2394, P-2399, P-2400, P-2401, P-2402, P-2410, P-2414, P-2420, P-2429, P-2431, P-2432, P-2433, P-2434, P-2440, P-2444, P-2446, P-2447, P-2448, P-2449, P-2454, P-2455, P-2456, P-2457, P-2460, P-2461, P-2462, P-2464, P-2468, P-2469, P-2471

TABLE 11f

Compounds with activity toward kinase Fms with $IC_{50} \leq 10 \mu M$.	
Fms	P-2001, P-2002, P-2003, P-2004, P-2005, P-2006, P-2007, P-2008, P-2010, P-2015, P-2017, P-2018, P-2022, P-2042, P-2043, P-2044, P-2088, P-2099, P-2100, P-2101, P-2102, P-2103, P-2104, P-2105, P-2106, P-2107, P-2108, P-2110, P-2111, P-2112, P-2113, P-2114, P-2115, P-2116, P-2117, P-2118, P-2120, P-2121, P-2122, P-2123, P-2124, P-2125, P-2127, P-2128, P-2129, P-2130, P-2131, P-2132, P-2133, P-2134, P-2135, P-2136, P-2137, P-2138, P-2139, P-2140, P-2141, P-2142, P-2143, P-2144, P-2145, P-2148, P-2149, P-2151, P-2152, P-2153, P-2155, P-2157, P-2158, P-2159, P-2161, P-2164, P-2165, P-2166, P-2167, P-2168, P-2169, P-2170, P-2172, P-2174, P-2175, P-2176, P-2177, P-2178, P-2179, P-2180, P-2181, P-2183, P-2184, P-2185, P-2187, P-2190, P-2193, P-2199, P-2200, P-2201, P-2203, P-2204, P-2207, P-2211, P-2212, P-2213, P-2214, P-2215, P-2216, P-2218, P-2221, P-2222, P-2224, P-2226, P-2228, P-2230, P-2232, P-2233, P-2234, P-2235, P-2236, P-2239, P-2241, P-2242, P-2260, P-2268, P-2270, P-2271, P-2273, P-2296, P-2297, P-2298, P-2299, P-2300, P-2301, P-2302, P-2304, P-2322, P-2324, P-2326, P-2331, P-2334, P-2339, P-2343, P-2344, P-2348, P-2350, P-2351, P-2352, P-2371, P-2383, P-2390, P-2404, P-2406, P-2423, P-2425, P-2429, P-2430, P-2431, P-2432, P-2433, P-2434, P-2435, P-2436, P-2437, P-2438, P-2439, P-2440, P-2441, P-2442, P-2443, P-2444, P-2445, P-2446, P-2447, P-2448, P-2449, P-2450, P-2451, P-2452, P-2453, P-2454, P-2455, P-2456, P-2457, P-2458, P-2460, P-2461, P-2464, P-2465, P-2468, P-2469, P-2471

TABLE 11g

Compounds with activity toward kinase Kdr with $IC_{50} \leq 10 \mu M$.	
Kdr	P-2001, P-2002, P-2003, P-2004, P-2005, P-2006, P-2008, P-2009, P-2010, P-2011, P-2014, P-2015, P-2016, P-2017, P-2018, P-2019, P-2020, P-2021, P-2022, P-2023, P-2024, P-2025, P-2026, P-2027, P-2029, P-2030, P-2031, P-2032, P-2033, P-2034, P-2036, P-2037, P-2038, P-2041, P-2042, P-2043, P-2044, P-2051, P-2052, P-2054, P-2055, P-2058, P-2059, P-2060, P-2061, P-2062, P-2064, P-2065, P-2066, P-2067, P-2070, P-2075, P-2079, P-2080, P-2081, P-2082, P-2088, P-2089, P-2093, P-2094, P-2095, P-2096, P-2097, P-2099, P-2100, P-2101, P-2102, P-2103, P-2104, P-2105, P-2106, P-2107, P-2108, P-2109, P-2110, P-2111, P-2113, P-2114, P-2115, P-2117, P-2118, P-2120, P-2121, P-2122, P-2124, P-2125, P-2126, P-2127, P-2128, P-2129, P-2130, P-2131, P-2132, P-2133, P-2134, P-2135, P-2136, P-2137, P-2138, P-2139, P-2140, P-2141, P-2142, P-2143, P-2144, P-2145, P-2146, P-2147, P-2148, P-2149, P-2150, P-2151, P-2152, P-2153, P-2154, P-2155, P-2156, P-2157, P-2158, P-2159, P-2160, P-2161, P-2162, P-2164, P-2165, P-2166, P-2167, P-2168, P-2169, P-2170, P-2171, P-2172, P-2174, P-2175, P-2176, P-2177, P-2178, P-2179, P-2180, P-2181, P-2182, P-2183, P-2184, P-2185, P-2186, P-2187, P-2188, P-2189, P-2190, P-2191, P-2192, P-2193, P-2194, P-2195, P-2196, P-2197, P-2199, P-2200, P-2201, P-2203, P-2204, P-2207, P-2208, P-2209, P-2210, P-2211, P-2212, P-2213, P-2214, P-2215, P-2216, P-2217, P-2218, P-2219, P-2220, P-2221, P-2222, P-2223, P-2224, P-2225, P-2226, P-2227, P-2228, P-2229, P-2230, P-2231, P-2232, P-2233, P-2234, P-2235, P-2236, P-2237, P-2238, P-2239, P-2240, P-2241, P-2242, P-2243, P-2247, P-2248, P-2250, P-2255, P-2260, P-2261, P-2268, P-2269, P-2270, P-2271, P-2272, P-2273, P-2274, P-2275, P-2276, P-2277, P-2278, P-2279, P-2280, P-2281, P-2286, P-2287, P-2288, P-2289, P-2290, P-2291, P-2292, P-2293, P-2294, P-2295, P-2296, P-2298, P-2299, P-2300, P-2301, P-2302, P-2303, P-2304, P-2305, P-2308, P-2309, P-2310, P-2311, P-2312, P-2313, P-2315, P-2319, P-2320, P-2321, P-2322, P-2323, P-2324, P-2326, P-2327, P-2328, P-2329, P-2331, P-2333, P-2334, P-2335, P-2337, P-2338, P-2339, P-2343, P-2344, P-2345, P-2346, P-2347, P-2348, P-2349, P-2350, P-2351, P-2352, P-2353, P-2354, P-2355, P-2356, P-2357, P-2358, P-2359, P-2360, P-2362, P-2363, P-2364, P-2365, P-2370, P-2371, P-2372, P-2373, P-2374, P-2375, P-2376, P-2377, P-2378, P-2379, P-2382, P-2383, P-2384, P-2385, P-2386, P-2387, P-2389, P-2390, P-2394, P-2398, P-2399, P-2400, P-2401, P-2403, P-2404, P-2406, P-2408, P-2409, P-2417, P-2422, P-2423, P-2424, P-2425, P-2430, P-2431, P-2432, P-2433, P-2434, P-2435, P-2436, P-2437, P-2438, P-2439, P-2442, P-2443, P-2444, P-2445, P-2446, P-2447, P-2448, P-2449, P-2450, P-2451, P-2452, P-2453, P-2454, P-2455, P-2456, P-2457, P-2458, P-2459, P-2460, P-2461, P-2463, P-2464, P-2465, P-2466, P-2468, P-2469, P-2470, P-2471, P-2481, P-2483

TABLE 11h

Compounds with activity toward kinase Kit with $IC_{50} \leq 10 \mu M$.	
Kit	P-2001, P-2002, P-2003, P-2004, P-2005, P-2006, P-2007, P-2008, P-2009, P-2010, P-2011, P-2014, P-2015, P-2016, P-2017, P-2018, P-2019, P-2020, P-2021, P-2022, P-2023, P-2024, P-2025, P-2026, P-2027, P-2029, P-2030, P-2031, P-2032, P-2033, P-2034, P-2035, P-2036, P-2037, P-2038, P-2039, P-2041, P-2042, P-2043, P-2044, P-2046, P-2047, P-2051, P-2052, P-2054, P-2055, P-2058, P-2071, P-2088, P-2094, P-2099, P-2100, P-2101, P-2102, P-2103, P-2104, P-2105, P-2106, P-2107, P-2108, P-2109, P-2110, P-2111, P-2112, P-2113, P-2114, P-2115, P-2116, P-2117, P-2118, P-2120, P-2121, P-2122, P-2123, P-2124, P-2125, P-2126, P-2127, P-2128, P-2129, P-2130, P-2131, P-2132, P-2133, P-2134, P-2135, P-2136, P-2138, P-2139, P-2140, P-2141, P-2142, P-2144, P-2145, P-2147, P-2148, P-2149, P-2151, P-2152, P-2153, P-2155, P-2157, P-2158, P-2159, P-2161, P-2164, P-2165, P-2166, P-2167, P-2168, P-2169, P-2170, P-2172, P-2174, P-2175, P-2176, P-2177, P-2178, P-2179, P-2180, P-2181, P-2182, P-2183, P-2184, P-2185, P-2187, P-2190, P-2192, P-2193, P-2194, P-2195, P-2199, P-2200, P-2201, P-2203, P-2204, P-2207, P-2209, P-2213, P-2214, P-2215, P-2216, P-2218, P-2220, P-2221, P-2222, P-2223, P-2224, P-2226, P-2228, P-2229, P-2230, P-2231, P-2232, P-2233, P-2234, P-2235, P-2236, P-2238, P-2239, P-2240, P-2241, P-2242, P-2260, P-2268, P-2270, P-2271, P-2272,

TABLE 11h-continued

Compounds with activity toward kinase Kit with $IC_{50} \leq 10 \mu M$.	
	P-2273, P-2274, P-2275, P-2282, P-2288, P-2289, P-2290, P-2291, P-2293, P-2296, P-2297, P-2298, P-2299, P-2300, P-2301, P-2302, P-2303, P-2304, P-2305, P-2306, P-2307, P-2309, P-2310, P-2311, P-2314, P-2315, P-2317, P-2318, P-2319, P-2322, P-2324, P-2325, P-2326, P-2327, P-2328, P-2329, P-2331, P-2333, P-2334, P-2335, P-2338, P-2341, P-2343, P-2344, P-2345, P-2347, P-2348, P-2350, P-2351, P-2352, P-2353, P-2354, P-2355, P-2356, P-2357, P-2358, P-2359, P-2360, P-2361, P-2365, P-2366, P-2367, P-2369, P-2371, P-2372, P-2374, P-2375, P-2379, P-2383, P-2384, P-2389, P-2390, P-2391, P-2392, P-2393, P-2398, P-2400, P-2401, P-2406, P-2419, P-2430, P-2431, P-2432, P-2433, P-2434, P-2435, P-2436, P-2438, P-2441, P-2443, P-2444, P-2445, P-2446, P-2447, P-2448, P-2449, P-2450, P-2451, P-2452, P-2453, P-2454, P-2455, P-2456, P-2457, P-2458, P-2459, P-2460, P-2461, P-2464, P-2465, P-2466, P-2468, P-2471

TABLE 11i

Compounds with activity toward kinase Src with $IC_{50} \leq 10 \mu M$.	
Src	P-2001, P-2002, P-2003, P-2004, P-2005, P-2006, P-2007, P-2009, P-2010, P-2011, P-2014, P-2015, P-2016, P-2017, P-2018, P-2019, P-2020, P-2021, P-2022, P-2023, P-2024, P-2025, P-2026, P-2027, P-2029, P-2030, P-2031, P-2032, P-2033, P-2034, P-2036, P-2037, P-2038, P-2039, P-2040, P-2041, P-2042, P-2043, P-2044, P-2045, P-2046, P-2047, P-2051, P-2052, P-2053, P-2054, P-2055, P-2056, P-2057, P-2059, P-2060, P-2061, P-2062, P-2063, P-2065, P-2067, P-2069, P-2070, P-2071, P-2073, P-2074, P-2075, P-2076, P-2078, P-2079, P-2080, P-2087, P-2100, P-2101, P-2102, P-2103, P-2104, P-2105, P-2137, P-2138, P-2139, P-2140, P-2142, P-2144, P-2145, P-2147, P-2148, P-2149, P-2150, P-2151, P-2153, P-2154, P-2155, P-2156, P-2157, P-2158, P-2159, P-2161, P-2162, P-2164, P-2165, P-2166, P-2167, P-2168, P-2169, P-2170, P-2171, P-2172, P-2174, P-2175, P-2176, P-2177, P-2178, P-2179, P-2180, P-2181, P-2184, P-2185, P-2187, P-2190, P-2191, P-2192, P-2193, P-2194, P-2195, P-2196, P-2204, P-2209, P-2210, P-2211, P-2213, P-2214, P-2215, P-2216, P-2217, P-2219, P-2220, P-2222, P-2223, P-2224, P-2225, P-2226, P-2228, P-2229, P-2230, P-2231, P-2232, P-2233, P-2234, P-2235, P-2236, P-2237, P-2238, P-2239, P-2240, P-2242, P-2260, P-2261, P-2268, P-2270, P-2271, P-2272, P-2273, P-2275, P-2276, P-2277, P-2280, P-2284, P-2286, P-2287, P-2289, P-2296, P-2298, P-2299, P-2300, P-2301, P-2302, P-2303, P-2304, P-2305, P-2306, P-2308, P-2309, P-2310, P-2311, P-2312, P-2313, P-2315, P-2316, P-2318, P-2319, P-2321, P-2322, P-2323, P-2324, P-2325, P-2326, P-2327, P-2328, P-2329, P-2330, P-2331, P-2332, P-2333, P-2334, P-2335, P-2336, P-2337, P-2338, P-2339, P-2340, P-2341, P-2342, P-2343, P-2344, P-2345, P-2346, P-2347, P-2350, P-2351, P-2352, P-2354, P-2355, P-2356, P-2357, P-2358, P-2359, P-2360, P-2361, P-2364, P-2365, P-2367, P-2368, P-2369, P-2371, P-2372, P-2373, P-2374, P-2375, P-2376, P-2377, P-2378, P-2379, P-2382, P-2383, P-2384, P-2389, P-2390, P-2391, P-2392, P-2393, P-2394, P-2396, P-2397, P-2398, P-2399, P-2400, P-2401, P-2402, P-2408, P-2414, P-2429, P-2431, P-2432, P-2433, P-2434, P-2444, P-2445, P-2446, P-2447, P-2448, P-2457, P-2460, P-2465, P-2466, P-2481

TABLE 11j

Compounds with activity toward kinase TEC with $IC_{50} \leq 10 \mu M$.	
TEC	P-2003, P-2020, P-2021, P-2022, P-2023, P-2024, P-2027, P-2029, P-2030, P-2031, P-2040, P-2041, P-2042, P-2043, P-2044, P-2045, P-2046, P-2047, P-2051, P-2052, P-2053, P-2054, P-2067, P-2070, P-2071, P-2072, P-2079, P-2080, P-2087, P-2099, P-2105, P-2111, P-2118, P-2120, P-2129, P-2130, P-2131, P-2132, P-2133, P-2134, P-2135, P-2136, P-2138, P-2139, P-2140, P-2142, P-2143, P-2144, P-2145, P-2161, P-2174, P-2177, P-2198, P-2199, P-2207, P-2212, P-2214,

TABLE 11j-continued

Compounds with activity toward kinase TEC with $IC_{50} \leq 10 \mu M$.	
	P-2247, P-2248, P-2250, P-2257, P-2260, P-2261, P-2271, P-2278, P-2282, P-2287, P-2292, P-2299, P-2302, P-2306, P-2307, P-2308, P-2309, P-2310, P-2312, P-2313, P-2322, P-2323, P-2330, P-2333, P-2342, P-2352, P-2353, P-2354, P-2355, P-2356, P-2357, P-2358, P-2359, P-2361, P-2371, P-2372, P-2373, P-2376, P-2377, P-2378, P-2379, P-2382, P-2383, P-2394, P-2399, P-2400, P-2401, P-2402, P-2414, P-2417, P-2430, P-2432, P-2434, P-2440, P-2441, P-2442, P-2443, P-2444, P-2445, P-2446, P-2447, P-2448, P-2454, P-2455, P-2457, P-2460, P-2461, P-2464, P-2467, P-2468, P-2471

TABLE 11k

Compounds with activity toward kinase TrkA with $IC_{50} \leq 10 \mu M$.	
TrkA	P-2060, P-2061, P-2105, P-2168, P-2174, P-2176, P-2239, P-2240, P-2301, P-2302, P-2344, P-2345, P-2407

TABLE 11m

Compounds with activity toward kinase MAP4K4 with $IC_{50} \leq 10 \mu M$.	
MAP4K4	P-2002, P-2003, P-2008, P-2009, P-2010, P-2011, P-2014, P-2015, P-2016, P-2017, P-2018, P-2019, P-2021, P-2022, P-2023, P-2024, P-2025, P-2026, P-2029, P-2030, P-2031, P-2033, P-2034, P-2036, P-2061, P-2062, P-2063, P-2064, P-2065, P-2066, P-2067, P-2069, P-2070, P-2071, P-2074, P-2075, P-2076, P-2099, P-2105, P-2137, P-2138, P-2139, P-2140, P-2141, P-2142, P-2143, P-2144, P-2145, P-2146, P-2147, P-2148, P-2149, P-2176, P-2182, P-2193, P-2199, P-2211, P-2214, P-2215, P-2219, P-2220, P-2221, P-2222, P-2223, P-2224, P-2226, P-2228, P-2229, P-2230, P-2231, P-2232, P-2233, P-2234, P-2235, P-2236, P-2239, P-2240, P-2242, P-2244, P-2247, P-2269, P-2270, P-2272, P-2274, P-2275, P-2276, P-2277, P-2278, P-2279, P-2288, P-2289, P-2290, P-2291, P-2300, P-2301, P-2308, P-2309, P-2310, P-2312, P-2315, P-2324, P-2327, P-2328, P-2329, P-2331, P-2335, P-2337, P-2338, P-2339, P-2346, P-2347, P-2353, P-2354, P-2355, P-2356, P-2357, P-2360, P-2362, P-2366, P-2367, P-2375, P-2382, P-2383, P-2407, P-2408, P-2430, P-2432, P-2434, P-2443, P-2444, P-2445, P-2446, P-2447, P-2448, P-2452, P-2453, P-2457, P-2458, P-2459, P-2460, P-2461, P-2462, P-2464, P-2465, P-2466, P-2467

TABLE 11n

Compounds with activity toward kinase Flt1 with $IC_{50} \leq 10 \mu M$.	
Flt1	P-2099, P-2100, P-2105, P-2150, P-2151, P-2162, P-2193, P-2196, P-2199, P-2200, P-2201, P-2203, P-2210, P-2213, P-2214, P-2215, P-2216, P-2217, P-2218, P-2299, P-2302, P-2404, P-2430, P-2432, P-2434, P-2457

TABLE 11o

Compounds with activity toward kinase PI3K α with $IC_{50} \leq 10 \mu M$.	
PI3K α	P-2040, P-2041, P-2042, P-2043, P-2044, P-2045, P-2079, P-2080, P-2139, P-2145, P-2147, P-2149, P-2170, P-2174, P-2188, P-2193, P-2214, P-2220, P-2234, P-2286, P-2287, P-2295, P-2322, P-2323, P-2333, P-2342, P-2371, P-2372, P-2373, P-2399, P-2401, P-2402, P-2407

TABLE 11p

Compounds with activity toward kinase PI3K δ with $IC_{50} \leq 10 \mu M$.	
PI3K δ	P-2170, P-2188

TABLE 11q

Compounds with activity toward kinase PI3K γ with $IC_{50} \leq 10 \mu M$.	
PI3K γ	P-2188, P-2220, P-2407

[2403] Additional examples of certain methods contemplated by the present invention may be found in the following applications: U.S. Patent Publ. No. 2006/058339, application Ser. No. 11/154,287; U.S. Patent Publ. No. 2006/058340, application Ser. No. 11/154,988; U.S. Prov. App. No. 60/682,076, filed May 17, 2005; U.S. Prov. App. No. 60/682,058, filed May 17, 2005; U.S. Prov. App. No. 60/682,063, filed May 17, 2005; U.S. Prov. App. No. 60/682,051, filed May 17, 2005; U.S. Prov. App. No. 60/682,042, filed May 17, 2005; U.S. Prov. App. No. 60/692,750, filed Jun. 22, 2005; and U.S. Prov. App. No. 60/692,960, filed Jun. 22, 2005; U.S. Prov. App. No. 60/731,528, filed Oct. 28, 2005, U.S. patent application Ser. No. 11/435,381, filed May 16, 2006, and U.S. patent application Ser. No. 11/473,347, filed Jun. 21, 2006, each of which are hereby incorporated by reference herein in their entireties including all specifications, figures, and tables, and for all purposes.

[2404] All patents and other references cited in the specification are indicative of the level of skill of those skilled in the art to which the invention pertains, and are incorporated by reference in their entireties, including any tables and figures, to the same extent as if each reference had been incorporated by reference in its entirety individually.

[2405] One skilled in the art would readily appreciate that the present invention is well adapted to obtain the ends and advantages mentioned, as well as those inherent therein. The methods, variances, and compositions described herein as presently representative of preferred embodiments are exemplary and are not intended as limitations on the scope of the invention. Changes therein and other uses will occur to those skilled in the art, which are encompassed within the spirit of the invention, are defined by the scope of the claims.

[2406] It will be readily apparent to one skilled in the art that varying substitutions and modifications may be made to the invention disclosed herein without departing from the scope and spirit of the invention. For example, variations can be made to crystallization or co-crystallization conditions for Ret and Ret surrogate proteins and/or various kinase domain sequences can be used. Thus, such additional embodiments are within the scope of the present invention and the following claims.

[2407] The invention illustratively described herein suitably may be practiced in the absence of any element or elements, limitation or limitations which is not specifically disclosed herein. Thus, for example, in each instance herein any of the terms "comprising", "consisting essentially of" and "consisting of" may be replaced with either of the other two terms. Thus, for an embodiment of the invention using one of the terms, the invention also includes another embodiment wherein one of these terms is replaced with another of these terms. In each embodiment, the terms have their established

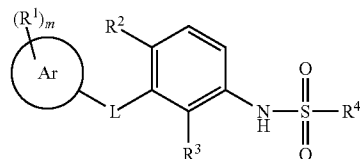
meaning. Thus, for example, one embodiment may encompass a method “comprising” a series of steps, another embodiment would encompass a method “consisting essentially of” the same steps, and a third embodiment would encompass a method “consisting of” the same steps. The terms and expressions which have been employed are used as terms of description and not of limitation, and there is no intention that in the use of such terms and expressions of excluding any equivalents of the features shown and described or portions thereof, but it is recognized that various modifications are possible within the scope of the invention claimed. Thus, it should be understood that although the present invention has been specifically disclosed by preferred embodiments and optional features, modification and variation of the concepts herein disclosed may be resorted to by those skilled in the art, and that such modifications and variations are considered to be within the scope of this invention as defined by the appended claims.

[2408] In addition, where features or aspects of the invention are described in terms of Markush groups or other grouping of alternatives, those skilled in the art will recognize that the invention is also thereby described in terms of any individual member or subgroup of members of the Markush group or other group.

[2409] Also, unless indicated to the contrary, where various numerical values are provided for embodiments, additional embodiments are described by taking any 2 different values as the endpoints of a range. Such ranges are also within the scope of the described invention.

[2410] Thus, additional embodiments are within the scope of the invention and within the following claims.

1. A solid form of a phenyl sulfonamide compound comprising a basic amino acid and the phenyl sulfonamide compound of Formula I



wherein:

Ar is heteroaryl;

each R^1 , when present, is independently selected from the group consisting of halogen, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, heterocycloalkyl, phenyl, heteroaryl, $-\text{CN}$, $-\text{NO}_2$, $-\text{O}-\text{R}^{11}$, $-\text{N}(\text{R}^{12})-\text{R}^{13}$, $-\text{C}(\text{O})-\text{N}(\text{R}^{14})-\text{R}^{15}$, $-\text{C}(\text{O})-\text{O}-\text{R}^{16}$, $-\text{S}(\text{O})_2-\text{R}^{17}$, and $-\text{N}(\text{H})-\text{C}(\text{O})-\text{R}^{18}$, wherein lower alkyl is optionally substituted with one or more R^5 , lower alkenyl and lower alkynyl are optionally substituted with one or more R^6 , heterocycloalkyl is optionally substituted with one or more lower alkyl, phenyl is optionally substituted with one or more R^7 , and heteroaryl is optionally substituted with one or more R^8 ;

m is 0, 1, 2, or 3;

R^2 is hydrogen, fluoro or chloro;

R^3 is hydrogen, fluoro, chloro, or methyl;

R^4 is selected from the group consisting of lower alkyl, fluoro substituted lower alkyl, mono-alkylamino, di-alkylamino, cycloalkylamino, cycloalkyl, phenyl, and heteroaryl, wherein cycloalkyl is optionally substi-

tuted with $-\text{C}(\text{O})\text{OR}^{16}$, phenyl is optionally substituted with one or more R^9 , and heteroaryl is optionally substituted with one or more R^{10} ;

L is selected from the group consisting of $-\text{NH}-$, $-\text{CH}_2-$, $-\text{C}(\text{OH})\text{H}-$, $-\text{C}(\text{O})-$, $-\text{S}(\text{O})_2-$, $-\text{O}-\text{CH}_2-$, $-\text{CH}_2-\text{NH}-$, $-\text{NH}-\text{CH}_2-$, $-\text{C}(\text{O})-\text{NH}-$, and $-\text{NH}-\text{C}(\text{O})-$;

each R^5 , when present, is independently fluoro, $-\text{OH}$, lower alkoxy, heteroaryl, chloro substituted heteroaryl, phenyl, chloro substituted phenyl, mono-alkylamino, di-alkylamino, cycloalkylamino, $-\text{C}(\text{O})-\text{N}(\text{R}^{14})-\text{R}^{19}$, or $-\text{C}(\text{O})-\text{O}-\text{R}^{16}$;

each R^6 , when present, is independently $-\text{C}(\text{O})-\text{O}-\text{R}^{16}$, lower alkoxy, mono-alkylamino or di-alkylamino;

each R^7 , when present, is independently fluoro, chloro, $-\text{CN}$, $-\text{OH}$, lower alkyl, lower alkenyl, $-\text{C}(\text{O})-\text{O}-\text{R}^{16}$ substituted lower alkenyl, lower alkoxy, lower alkoxy substituted lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, $-\text{S}(\text{O})_2\text{R}^{20}$, $-\text{N}(\text{H})-\text{S}(\text{O})_2-\text{R}^{20}$, $-\text{N}(\text{H})-\text{C}(\text{O})-\text{R}^{20}$, $-\text{C}(\text{O})-\text{N}(\text{R}^{21})-\text{R}^{22}$, or $-\text{S}(\text{O})_2-\text{N}(\text{R}^{23})-\text{R}^{24}$, wherein lower alkyl is optionally substituted with $-\text{C}(\text{O})-\text{O}-\text{R}^{16}$, mono-alkylamino, di-alkylamino, or cycloalkylamino;

each R^8 , when present, is independently fluoro, chloro, $-\text{OH}$, $-\text{NH}_2$, lower alkyl, lower alkynyl, di-alkylamino substituted lower alkynyl, lower alkoxy, lower alkylthio, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, $-\text{C}(\text{O})-\text{N}(\text{R}^{25})-\text{R}^{26}$, or $-\text{N}(\text{H})-\text{C}(\text{O})-\text{R}^{20}$, wherein lower alkyl is optionally substituted with one or more fluoro, alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, or phenyl, and wherein lower alkoxy is optionally substituted with $-\text{OH}$, lower alkoxy, mono-alkylamino, di-alkylamino or cycloalkylamino;

each R^9 , when present, is independently fluoro, chloro, $-\text{CN}$, $-\text{NO}_2$, lower alkyl, fluoro substituted lower alkyl, lower alkoxy, fluoro substituted lower alkoxy, $-\text{C}(\text{O})-\text{O}-\text{R}^{16}$, $-\text{N}(\text{H})-\text{C}(\text{O})-\text{R}^{20}$, heteroaryl optionally substituted with one or more lower alkyl, or two R^9 on adjacent carbons combine to form a fused heterocycloalkyl optionally substituted with one or more lower alkyl;

each R^{10} , when present, is independently lower alkyl, fluoro substituted lower alkyl, lower alkoxy, $-\text{C}(\text{O})-\text{O}-\text{R}^{16}$, or heteroaryl optionally substituted with one or more lower alkyl;

each R^{11} , when present, is independently hydrogen, lower alkyl, cycloalkyl, or heterocycloalkyl, wherein lower alkyl is optionally substituted with $-\text{OH}$, lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, or heteroaryl;

each R^{12} , R^{14} , and R^{16} , when present, are independently hydrogen or lower alkyl;

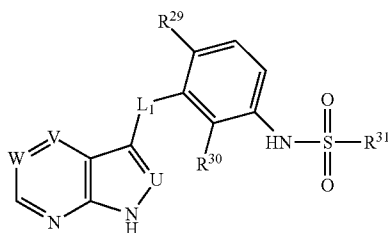
each R^{13} , when present, is independently hydrogen, lower alkyl, cycloalkyl, phenyl, heteroaryl or combined with the nitrogen and R^{12} form cycloalkylamino, wherein lower alkyl is optionally substituted with cycloalkylamino, phenyl, heteroaryl or lower alkyl substituted heteroaryl;

each R^{15} , when present, is independently hydrogen, lower alkyl, $-\text{OH}$ substituted lower alkyl, cycloalkyl or lower alkoxy;

each R^{17} , when present, is independently lower alkyl, phenyl or lower alkyl substituted phenyl;

each R^{18} , when present, is independently lower alkyl or cycloalkylamino;
 each R^{19} , when present, is independently hydrogen, lower alkyl, or lower alkoxy;
 each R^{20} , when present, is independently lower alkyl;
 each R^{21} and R^{22} , when present, are independently hydrogen, lower alkyl, or combine with the nitrogen to form cycloalkylamino;
 each R^{23} and R^{24} , when present, are independently hydrogen, lower alkyl optionally substituted with —OH, cycloalkyl, or combine with the nitrogen to form cycloalkylamino; and
 each R^{25} and R^{26} , when present, are independently hydrogen, lower alkyl, or cycloalkyl.

2. The solid form of claim 1, wherein the sulfonamide compound is a compound of Formula Ia

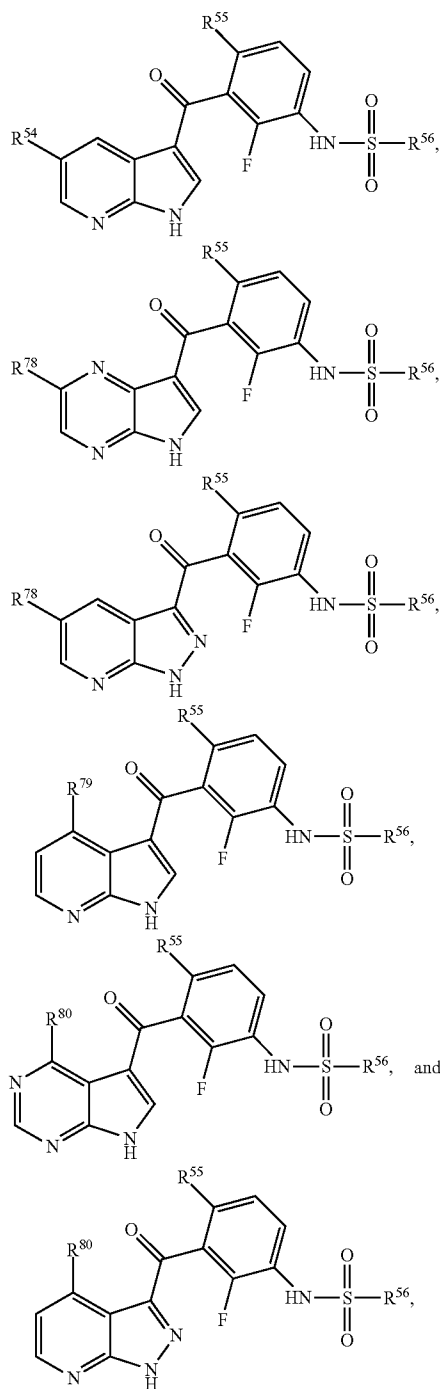


wherein:

U and V are C—H, and W is C— R^{27} ;
 or U and W are C—H, and V is C— R^{28} ;
 or U is N, V is C—H, and W is C— R^{27} ;
 or U is N, V is C— R^{28} , and W is C—H;
 or U is C—H, V is N, and W is C— R^{27} ;
 or U is C—H, V is C— R^{28} , and W is N;
 L_1 is —CH₂—, —C(OH)H—, or —C(O)—;
 R^{27} is selected from the group consisting of hydrogen, halogen, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, heterocycloalkyl, phenyl, heteroaryl, —CN, —O— R^{38} , —N(R^{39})— R^{40} , —C(O)—N(R^{41})— R^{42} , —C(O)—O— R^{43} , —S(O)₂— R^{44} , and —N(H)—C(O)— R^{45} , wherein lower alkyl is optionally substituted with one or more R^{32} , lower alkenyl and lower alkynyl are optionally substituted with one or more R^{33} , heterocycloalkyl is optionally substituted with one or more lower alkyl, phenyl is optionally substituted with one or more R^{34} , and heteroaryl is optionally substituted with one or more R^{35} ;
 R^{28} is selected from the group consisting of hydrogen, chloro, methyl, methoxy, —CN, and —C≡CH;
 R^{29} is hydrogen, fluoro or chloro;
 R^{30} is hydrogen, fluoro, chloro, or methyl;
 R^{31} is selected from the group consisting of lower alkyl, fluoro substituted lower alkyl, mono-alkylamino, di-alkylamino, cycloalkylamino, cycloalkyl, phenyl, and heteroaryl, wherein cycloalkyl is optionally substituted with —C(O)OR⁴³, phenyl is optionally substituted with one or more R^{36} , and heteroaryl is optionally substituted with one or more R^{37} ;
 each R^{32} , when present, is independently fluoro, —OH, lower alkoxy, heteroaryl, chloro substituted heteroaryl, phenyl, chloro substituted phenyl, mono-alkylamino, di-alkylamino, cycloalkylamino, —C(O)—N(R^{41})— R^{46} , or —C(O)—O— R^{43} ;

each R^{33} , when present, is independently —C(O)—O— R^{43} , lower alkoxy, mono-alkylamino or di-alkylamino;
 each R^{34} , when present, is independently fluoro, chloro, —CN, —OH, lower alkyl, lower alkenyl, —C(O)—O— R^{43} substituted lower alkenyl, lower alkoxy, lower alkoxy substituted lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, —S(O)₂ R^{47} , —N(H)—S(O)₂— R^{47} , —N(H)—C(O)— R^{47} , —C(O)—N(R^{48})— R^{49} , or —S(O)₂—N(R^{50})— R^{51} , wherein lower alkyl is optionally substituted with —C(O)—O— R^{43} , mono-alkylamino, di-alkylamino, or cycloalkylamino;
 each R^{35} , when present, is independently fluoro, chloro, —OH, —NH₂, lower alkyl, lower alkynyl, di-alkylamino substituted lower alkynyl, lower alkoxy, lower alkylthio, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, —C(O)—N(R^{52})— R^{53} , or —N(H)—C(O)— R^{47} , wherein lower alkyl is optionally substituted with one or more fluoro, alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, or phenyl, and wherein lower alkoxy is optionally substituted with —OH, lower alkoxy, mono-alkylamino, di-alkylamino or cycloalkylamino;
 each R^{36} , when present, is independently fluoro, chloro, —CN, —NO₂, lower alkyl, fluoro substituted lower alkyl, lower alkoxy, fluoro substituted lower alkoxy, —C(O)—O— R^{43} , —N(H)—C(O)— R^{47} , heteroaryl optionally substituted with one or more lower alkyl, or two R^{36} on adjacent carbons combine to form a fused heterocycloalkyl optionally substituted with one or more lower alkyl;
 each R^{37} , when present, is independently lower alkyl, fluoro substituted lower alkyl, lower alkoxy, —C(O)—O— R^{43} , or heteroaryl optionally substituted with one or more lower alkyl;
 R^{38} is hydrogen, lower alkyl, cycloalkyl, or heterocycloalkyl, wherein lower alkyl is optionally substituted with —OH, lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, or heteroaryl;
 each R^{39} , R^{41} , and R^{43} , when present, are independently hydrogen or lower alkyl;
 R^{40} is hydrogen, lower alkyl, cycloalkyl, phenyl, heteroaryl or combined with the nitrogen and R^{39} form cycloalkylamino, wherein lower alkyl is optionally substituted with cycloalkylamino, phenyl, heteroaryl or lower alkyl substituted heteroaryl;
 R^{42} is hydrogen, lower alkyl, —OH substituted lower alkyl, cycloalkyl or lower alkoxy;
 R^{44} is lower alkyl, phenyl or lower alkyl substituted phenyl;
 R^{45} is lower alkyl or cycloalkylamino;
 each R^{46} , when present, is independently hydrogen, lower alkyl, or lower alkoxy;
 each R^{47} , when present, is independently lower alkyl;
 each R^{48} and R^{49} , when present, are independently hydrogen, lower alkyl, or combine with the nitrogen to form cycloalkylamino;
 each R^{50} and R^{51} , when present, are independently hydrogen, lower alkyl optionally substituted with —OH, cycloalkyl, or combine with the nitrogen to form cycloalkylamino; and
 each R^{52} and R^{53} , when present, are independently hydrogen, lower alkyl, or cycloalkyl.

3. The solid form of claim 2, wherein the sulfonamide compound is a compound selected from the group consisting of



wherein:

R^{54} is selected from the group consisting of chloro, lower alkyl, phenyl, heteroaryl, $-\text{CN}$, $-\text{C}\equiv\text{CH}$, $-\text{O}-R^{62}$, $-\text{N}(R^{63})-R^{64}$, $-\text{C}(\text{O})-\text{N}(R^{65})-R^{66}$, $-\text{C}(\text{O})-\text{O}-R^{67}$, $-\text{S}(\text{O})_2-R^{68}$, and $-\text{N}(\text{H})-\text{C}(\text{O})-R^{69}$, wherein lower alkyl is optionally substituted with one or

more R^{57} , phenyl is optionally substituted with one or more R^{58} , and heteroaryl is optionally substituted with one or more R^{59} ;

R^{78} is selected from the group consisting of hydrogen, lower alkyl, phenyl, heteroaryl, $-\text{CN}$, $-\text{C}\equiv\text{CH}$, $-\text{O}-R^{62}$, $-\text{N}(R^{63})-R^{64}$, $-\text{C}(\text{O})-\text{N}(R^{65})-R^{66}$, $-\text{C}(\text{O})-\text{O}-R^{67}$, $-\text{S}(\text{O})_2-R^{68}$, and $-\text{N}(\text{H})-\text{C}(\text{O})-R^{69}$, wherein lower alkyl is optionally substituted with one or more R^{57} , phenyl is optionally substituted with one or more R^{58} , and heteroaryl is optionally substituted with one or more R^{59} ;

R^{79} is selected from the group consisting of chloro, methyl, methoxy, $-\text{CN}$, and $-\text{C}\equiv\text{CH}$;

R^{80} is hydrogen, methyl, methoxy, $-\text{CN}$, or $-\text{C}\equiv\text{CH}$;

R^{55} is hydrogen or fluoro;

R^{56} is selected from the group consisting of lower alkyl, fluoro substituted lower alkyl, mono-alkylamino, di-alkylamino, cycloalkylamino, cycloalkyl, phenyl, and heteroaryl, wherein phenyl is optionally substituted with one or more R^{60} , and heteroaryl is optionally substituted with one or more R^{61} ;

each R^{57} , when present, is independently fluoro, $-\text{OH}$, lower alkoxy, heteroaryl, chloro substituted heteroaryl, phenyl, chloro substituted phenyl, mono-alkylamino, di-alkylamino, cycloalkylamino, $-\text{C}(\text{O})-\text{N}(R^{65})-R^{70}$, or $-\text{C}(\text{O})-\text{O}-R^{67}$;

each R^{58} , when present, is independently fluoro, chloro, $-\text{CN}$, $-\text{OH}$, lower alkyl, lower alkenyl, $-\text{C}(\text{O})-\text{O}-R^{67}$ substituted lower alkenyl, lower alkoxy, lower alkoxy substituted lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, $-\text{S}(\text{O})_2-R^{71}$, $-\text{N}(\text{H})-\text{S}(\text{O})_2-R^{71}$, $-\text{N}(\text{H})-\text{C}(\text{O})-R^{71}$, $-\text{C}(\text{O})-\text{N}(R^{72})-R^{73}$, or $-\text{S}(\text{O})_2-\text{N}(R^{74})-R^{75}$, wherein lower alkyl is optionally substituted with $-\text{C}(\text{O})-\text{O}-R^{67}$, mono-alkylamino, di-alkylamino, or cycloalkylamino;

each R^{59} , when present, is independently fluoro, chloro, $-\text{OH}$, $-\text{NH}_2$, lower alkyl, lower alkynyl, di-alkylamino substituted lower alkynyl, lower alkoxy, lower alkylthio, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, $-\text{C}(\text{O})-\text{N}(R^{76})-R^{77}$, or $-\text{N}(\text{H})-\text{C}(\text{O})-R^{71}$, wherein lower alkyl is optionally substituted with one or more fluoro, alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, or phenyl, and wherein lower alkoxy is optionally substituted with $-\text{OH}$, lower alkoxy, mono-alkylamino, di-alkylamino or cycloalkylamino;

each R^{60} , when present, is independently fluoro, chloro, $-\text{CN}$, $-\text{NO}_2$, lower alkyl, fluoro substituted lower alkyl, lower alkoxy, fluoro substituted lower alkoxy, $-\text{C}(\text{O})-\text{O}-R^{67}$, $-\text{N}(\text{H})-\text{C}(\text{O})-R^{71}$, heteroaryl optionally substituted with one or more lower alkyl, or two R^{60} on adjacent carbons combine to form a fused heterocycloalkyl optionally substituted with one or more lower alkyl;

each R^{61} , when present, is independently lower alkyl, fluoro substituted lower alkyl, lower alkoxy, $-\text{C}(\text{O})-\text{O}-R^{67}$, or heteroaryl optionally substituted with one or more lower alkyl;

R^{62} is hydrogen, lower alkyl, cycloalkyl, or heterocycloalkyl, wherein lower alkyl is optionally substituted with $-\text{OH}$, lower alkoxy, mono-alkylamino, di-alkylamino, cycloalkylamino, phenyl, or heteroaryl;

each R^{63} , R^{65} , and R^{67} , when present, are independently hydrogen or lower alkyl;

- R⁶⁴ is hydrogen, lower alkyl, cycloalkyl, phenyl, heteroaryl or combined with the nitrogen and R⁶³ form cycloalkylamino, wherein lower alkyl is optionally substituted with cycloalkylamino, phenyl, heteroaryl or lower alkyl substituted heteroaryl;
- R⁶⁶ is hydrogen, lower alkyl, —OH substituted lower alkyl, cycloalkyl or lower alkoxy;
- R⁶⁸ is lower alkyl, phenyl or lower alkyl substituted phenyl;
- R⁶⁹ is lower alkyl or cycloalkylamino;
- each R⁷⁰, when present, is independently hydrogen, lower alkyl, or lower alkoxy;
- each R⁷¹, when present, is independently lower alkyl;
- each R⁷² and R⁷³, when present, are independently hydrogen, lower alkyl, or combine with the nitrogen to form cycloalkylamino;
- each R⁷⁴ and R⁷⁵, when present, are independently hydrogen, lower alkyl optionally substituted with —OH, cycloalkyl, or combine with the nitrogen to form cycloalkylamino; and
- each R⁷⁶ and R⁷⁷, when present, are independently hydrogen, lower alkyl, or cycloalkyl.
4. The solid form of claim 3, wherein the basic amino acid is L-lysine or L-arginine
5. The solid form of claim 3, wherein the solid form is an amorphous complex.
6. The solid form of claim 5, wherein R⁵⁶ is lower alkyl, di-alkylamino, cycloalkylamino, or phenyl substituted with one or more fluoro, lower alkyl, or fluoro substituted lower alkyl.
7. The solid form of claim 6, wherein R⁵⁶ is n-propyl, di-methylamino, pyrrolidin-1-yl, 3-fluoro phenyl, or 2,5-difluoro phenyl.
8. The solid form of claim 7, wherein the compound is propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide and the basic amino acid is L-lysine or L-arginine.
9. (canceled)
10. The solid form of claim 7, wherein the compound is propane-1-sulfonic acid {2,4-difluoro-3-[5-(2-methoxy-pyrimidin-5-yl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-phenyl}-amide and the basic amino acid is L-lysine or L-arginine.
11. (canceled)

12. The solid form of claim 6, wherein R⁵⁶ is 4-t-butyl phenyl, 3-trifluoromethyl phenyl or 4-trifluoromethyl phenyl.
13. The solid form of claim 12, wherein the compound is N-[3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide and the basic amino acid is L-lysine or L-arginine.
14. (canceled)
15. The solid form of claim 12, wherein the compound is N-[3-(5-cyano-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluoro-phenyl]-4-trifluoromethyl-benzenesulfonamide and the basic amino acid is L-lysine or L-arginine.
16. (canceled)
17. A composition comprising the solid form of claim 1 and one or more pharmaceutically acceptable excipients.
18. A method of making an amorphous complex comprising propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide and, said method comprising contacting crystalline polymorph Form 1 of propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide with an amino acid selected from L-lysine or L-arginine under conditions sufficient for the preparation of said complex.
19. (canceled)
20. A method of making an amorphous complex comprising propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide and L-lysine, said method comprising contacting crystalline polymorph Form 2 of propane-1-sulfonic acid {3-[5-(4-chloro-phenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluoro-phenyl}-amide with an amino acid selected from L-lysine or L-arginine under conditions sufficient for the preparation of said complex.
21. (canceled)
22. A composition comprising the solid form of claim 8 and one or more pharmaceutically acceptable excipients.
23. A composition comprising the solid form of claim 10 and one or more pharmaceutically acceptable excipients.
24. A composition comprising the solid form of claim 12 and one or more pharmaceutically acceptable excipients.
25. A composition comprising the solid form of claim 13 and one or more pharmaceutically acceptable excipients.
26. A composition comprising the solid form of claim 15 and one or more pharmaceutically acceptable excipients.

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