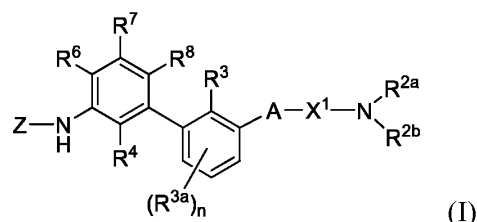


WHAT IS CLAIMED IS:

1 1. A compound of Formula (I):



3 or a pharmaceutically acceptable salt, prodrug or bioisostere thereof, wherein:

4 A is a 5- to 10-membered heteroaryl group which is unsubstituted or substituted with from one to
5 five members independently selected from the group consisting of halogen, C₁₋₃ alkyl,
6 C₁₋₃ haloalkyl, C₁₋₃ alkoxy, C₁₋₃ haloalkoxy, OH, and CN;

7 X¹ is C₁₋₃ alkylene, which is unsubstituted or substituted with one or two members independently
8 selected from the group consisting of C₁₋₂ alkyl and CO₂H;

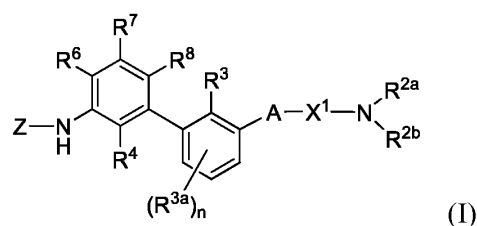
9 R^{2a} and R^{2b} are each independently selected from the group consisting of H, C₁₋₈ alkyl, C₁₋₈
10 haloalkyl, -Y, -X²-CO₂R^a, -X²-OR^a, -X²-NR^aR^b, -X²-C(O)NR^aR^b, -X²-SO₂R^a,
11 -X²-SO₂NR^aR^b, -X²-SO₃R^a and -X²-Y wherein each X² is C₁₋₆ alkylene and any C₁₋₈ alkyl
12 or C₁₋₆ alkylene, is unsubstituted or substituted with one or two members independently
13 selected from the group consisting of OH, SO₂NH₂, C(O)NH₂, C(O)NHOH, PO₃H₂,
14 CO₂C₁₋₈ alkyl and CO₂H, and each Y is selected from the group consisting of C₃₋₆
15 cycloalkyl, C₄₋₈ heterocyclyl and 5- to 6-membered heteroaryl, each of which is
16 unsubstituted or substituted with one to four substituents independently selected from the
17 group consisting of oxo, OH, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ hydroxyalkyl, C₁₋₄ alkoxy,
18 C₁₋₄ haloalkoxy, C₁₋₄ hydroxyalkoxy, SO₂NH₂, C(O)NH₂, -C(O)NHOH, PO₃H₂, CO₂C₁₋₈
19 alkyl, SO₃H and CO₂H;

20 or R^{2a} and R^{2b} are combined to form a 4- to 9-membered ring or spirocyclic ring, having from
21 zero to two additional heteroatom ring vertices selected from O, N and S;
22 wherein the ring formed by combining R^{2a} and R^{2b}, is unsubstituted or substituted with 1
23 to 4 substituents independently selected from the group consisting of oxo, C₁₋₈

24 alkyl, C₁₋₈ haloalkyl, C₁₋₈ hydroxyalkyl, -X³-CO₂R^a, -X³-OR^a, -X³-NR^aR^b,
25 -X³-C(O)NR^aR^b, -X³-SO₂R^a, -X³-SO₂NR^aR^b, and -X³-SO₃R^a, wherein X³ is a
26 bond or C₁₋₆ alkylene;
27 R³ and R⁴ are each independently selected from the group consisting of H, F, Cl, CN, CH₃,
28 OCH₃, CH₂CH₃ and CF₃;
29 the subscript n is 0, 1, 2 or 3;
30 each R^{3a} is independently selected from the group consisting of H, F, Cl, C₁₋₃ alkyl, C₁₋₃ alkoxy,
31 C₁₋₃ haloalkyl, C₁₋₃ haloalkoxy, C₂₋₃ alkenyl and CN;
32 R⁶, R⁷ and R⁸ are each independently selected from the group consisting of H, F, Cl, CN, CH₃,
33 OCH₃, CH₂CH₃ and CF₃;
34 Z is a fused bicyclic heteroaryl ring, unsubstituted or substituted with one to three R^c;
35 each R^a is independently selected from the group consisting of H, C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₁₋₆
36 haloalkyl, C₁₋₆ hydroxyalkyl, C₁₋₆ alkylene-CO₂H, and C₁₋₆ alkylene-SO₃H;
37 each R^b is independently selected from the group consisting of H, C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₁₋₆
38 haloalkyl, C₁₋₆ hydroxyalkyl, C₁₋₆ alkylene-CO₂H, and C₁₋₆ alkylene-SO₃H, each of which
39 is unsubstituted or substituted with one or two members independently selected from OH,
40 SO₂NH₂, C(O)NH₂, C(O)NHOH, PO₃H₂, CO₂C₁₋₈ alkyl and CO₂H;
41 and R^a and R^b, when attached to the same nitrogen atom, are optionally combined to form a 4- to
42 8-membered ring or spirocyclic ring, which is unsubstituted or substituted with halogen,
43 OH, SO₂NH₂, C(O)NH₂, C(O)NHOH, PO₃H₂, CO₂C₁₋₈ alkyl or -CO₂H;
44 each R^c is independently selected from the group consisting of H, halogen, CN, C₁₋₆ alkyl, C₁₋₆
45 haloalkyl, -Y¹, -X⁴-CO₂R^a, -O-X⁴-CO₂R^a, -X⁴-OR^a, -X⁴-NR^aR^b, -X⁴-C(O)NR^aR^b,
46 -O-X⁴-C(O)NR^aR^b, -X⁴-SO₂R^a, -X⁴-SO₂NR^aR^b, -X⁴-SO₃R^a, and -N(R^a)-X⁴-CO₂R^a,
47 wherein each X⁴ is a bond or C₁₋₆ alkylene, and each Y¹ is selected from the group
48 consisting of C₃₋₆ cycloalkyl and C₄₋₈ heterocyclyl; and optionally two R^c on adjacent ring
49 vertices are combined to form a fused 5- or 6-membered heterocyclic ring.

1

2 2. A compound of Formula (I):



3

4 or a pharmaceutically acceptable salt, prodrug or bioisostere thereof, wherein:

5 A is a 5- to 10-membered heteroaryl group which is unsubstituted or substituted with from one to
6 five members independently selected from the group consisting of halogen, C₁₋₃ alkyl,
7 C₁₋₃ haloalkyl, C₁₋₃ alkoxy, C₁₋₃ haloalkoxy and CN;

8 X¹ is C₁₋₃ alkylene, which is unsubstituted or substituted with one or two members independently
9 selected from the group consisting of C₁₋₂ alkyl and CO₂H;

10 R^{2a} and R^{2b} are each independently selected from the group consisting of H, C₁₋₈ alkyl, C₁₋₈
11 haloalkyl, -Y, -X²-CO₂R^a, -X²-OR^a, -X²-NR^aR^b, -X²-C(O)NR^aR^b, -X²-SO₂R^a,
12 -X²-SO₂NR^aR^b, -X²-SO₃R^a and -X²-Y wherein each X² is C₁₋₆ alkylene and any C₁₋₈ alkyl
13 or C₁₋₆ alkylene, is unsubstituted or substituted with one or two members independently
14 selected from the group consisting of OH, SO₂NH₂, C(O)NH₂, C(O)NHOH, PO₃H₂,
15 CO₂C₁₋₈ alkyl and CO₂H, and each Y is selected from the group consisting of C₃₋₆
16 cycloalkyl, C₄₋₈ heterocyclyl and 5- to 6-membered heteroaryl, each of which is
17 unsubstituted or substituted with one to four substituents independently selected from the
18 group consisting of oxo, OH, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ hydroxyalkyl, C₁₋₄ alkoxy,
19 C₁₋₄ haloalkoxy, C₁₋₄ hydroxyalkoxy, SO₂NH₂, C(O)NH₂, C(O)NHOH, PO₃H₂, CO₂C₁₋₈
20 alkyl, SO₃H and CO₂H;

21 or R^{2a} and R^{2b} are combined to form a 4- to 9-membered ring or spirocyclic ring, having from
22 zero to two additional heteroatom ring vertices selected from O, N and S;
23 wherein the ring formed by combining R^{2a} and R^{2b}, is unsubstituted or substituted with 1
24 to 4 substituents independently selected from the group consisting of oxo, C₁₋₈
25 alkyl, C₁₋₈ haloalkyl, C₁₋₈ hydroxyalkyl, -X³-CO₂R^a, -X³-OR^a, -X³-NR^aR^b,
26 -X³-C(O)NR^aR^b, -X³-SO₂R^a, -X³-SO₂NR^aR^b, and -X³-SO₃R^a; wherein X³ is a
27 bond or C₁₋₆ alkylene;

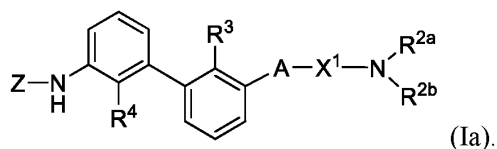
28 R^3 and R^4 are each independently selected from the group consisting of F, Cl, CN, CH_3 , OCH_3 ,
 29 CH_2CH_3 and CF_3 ;
 30 the subscript n is 0, 1, 2 or 3;
 31 each R^{3a} is independently selected from the group consisting of H, F, Cl, C_{1-3} alkyl, C_{1-3} alkoxy,
 32 C_{1-3} haloalkyl, C_{1-3} haloalkoxy, C_{2-3} alkenyl and CN;
 33 R^6 , R^7 and R^8 are each independently selected from the group consisting of H, F, Cl, CN, CH_3 ,
 34 OCH_3 , CH_2CH_3 and CF_3 ;
 35 Z is a fused bicyclic heteroaryl ring, unsubstituted or substituted with one to three R^c ;
 36 each R^a is independently selected from the group consisting of H, C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{1-6}
 37 haloalkyl, C_{1-6} hydroxyalkyl, C_{1-6} alkylene- CO_2H , and C_{1-6} alkylene- SO_3H ;
 38 each R^b is independently selected from the group consisting of H, C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{1-6}
 39 haloalkyl, C_{1-6} hydroxyalkyl, C_{1-6} alkylene- CO_2H , and C_{1-6} alkylene- SO_3H , each of which
 40 is unsubstituted or substituted with one or two members independently selected from OH,
 41 SO_2NH_2 , $C(O)NH_2$, $C(O)NHOH$, PO_3H_2 , CO_2C_{1-8} alkyl and $-CO_2H$;
 42 and R^a and R^b , when attached to the same nitrogen atom, are optionally combined to form a 4- to
 43 8-membered ring or spirocyclic ring, which is unsubstituted or substituted with halogen,
 44 OH, SO_2NH_2 , $C(O)NH_2$, $C(O)NHOH$, PO_3H_2 , CO_2C_{1-8} alkyl or CO_2H ;
 45 each R^c is independently selected from the group consisting of H, halogen, CN, C_{1-6} alkyl, C_{1-6}
 46 haloalkyl, $-Y^1$, $-X^4-CO_2R^a$, $-O-X^4-CO_2R^a$, $-X^4-OR^a$, $-X^4-NR^aR^b$, $-X^4-C(O)NR^aR^b$,
 47 $-O-X^4-C(O)NR^aR^b$, $-X^4-SO_2R^a$, $-X^4-SO_2NR^aR^b$, $-X^4-SO_3R^a$, and $-N(R^a)-X^4-CO_2R^a$,
 48 wherein each X^4 is a bond or C_{1-6} alkylene, and each Y^1 is selected from the group
 49 consisting of C_{3-6} cycloalkyl and C_{4-8} heterocyclyl; and optionally two R^c on adjacent ring
 50 vertices are combined to form a fused 5- or 6-membered heterocyclic ring.

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3. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt
 thereof having formula (Ia):

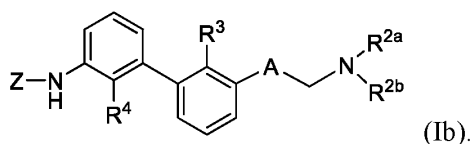


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1 4. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt
2 thereof wherein A is a 5- or 6-membered heteroaryl group which is unsubstituted or substituted
3 with from one to three members independently selected from the group consisting of halogen,
4 C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ alkoxy, OH, and CN.

1 5. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt
2 thereof wherein A is a 6-membered heteroaryl group which is unsubstituted or substituted with
3 from one to three members independently selected from the group consisting of halogen, C₁₋₃
4 alkyl, C₁₋₃ haloalkyl, C₁₋₃ alkoxy, OH, and CN.

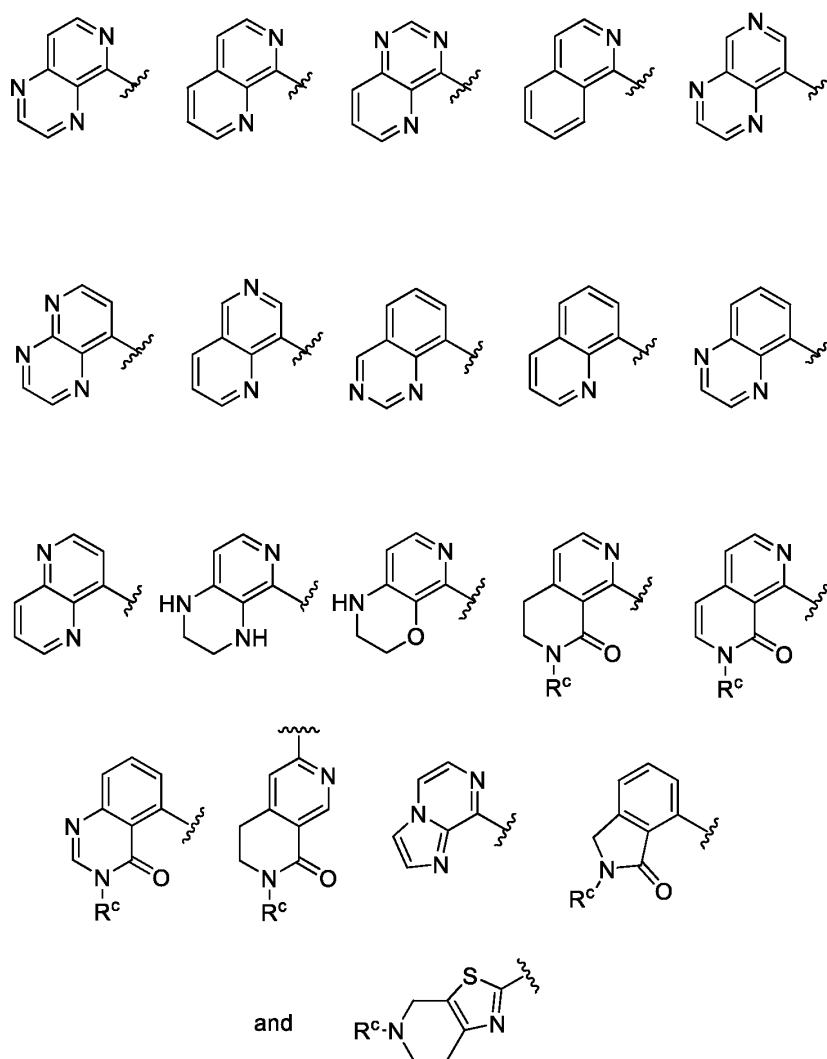
1 6. The compound of claim 1 or claim 2, or a pharmaceutically acceptable salt
2 thereof having formula (Ib):



1 7. The compound of any one of claims 1 to 6, or a pharmaceutically
2 acceptable salt thereof wherein A is unsubstituted or substituted with one or two members
3 independently selected from the group consisting of CF₃, OH, Et, CN, OCH₃ and F.

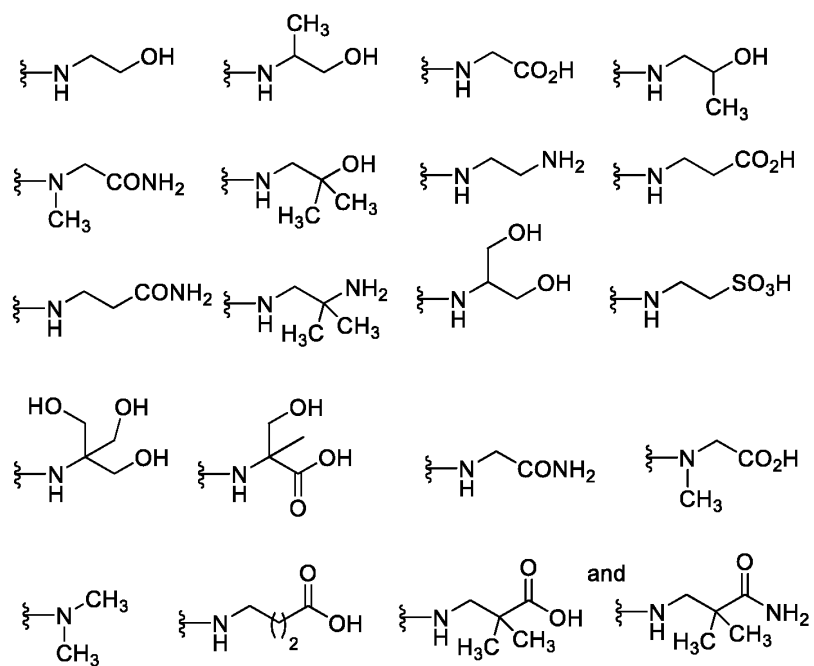
1 8. The compound of any one of claims 1 to 6, or a pharmaceutically
2 acceptable salt thereof wherein A is a 6-membered heteroaryl group selected from the group
3 consisting of pyridine, pyrimidine, pyrazine and 1,2,4-triazine, each of which is unsubstituted or
4 substituted with one or two members independently selected from the group consisting of CF₃,
5 OH, Et, CN, OCH₃ and F.

1 9. The compound of any one of claims 1 to 6, or a pharmaceutically
2 acceptable salt thereof wherein Z is a fused bicyclic heteroaryl ring having a formula selected
3 from the group consisting of:



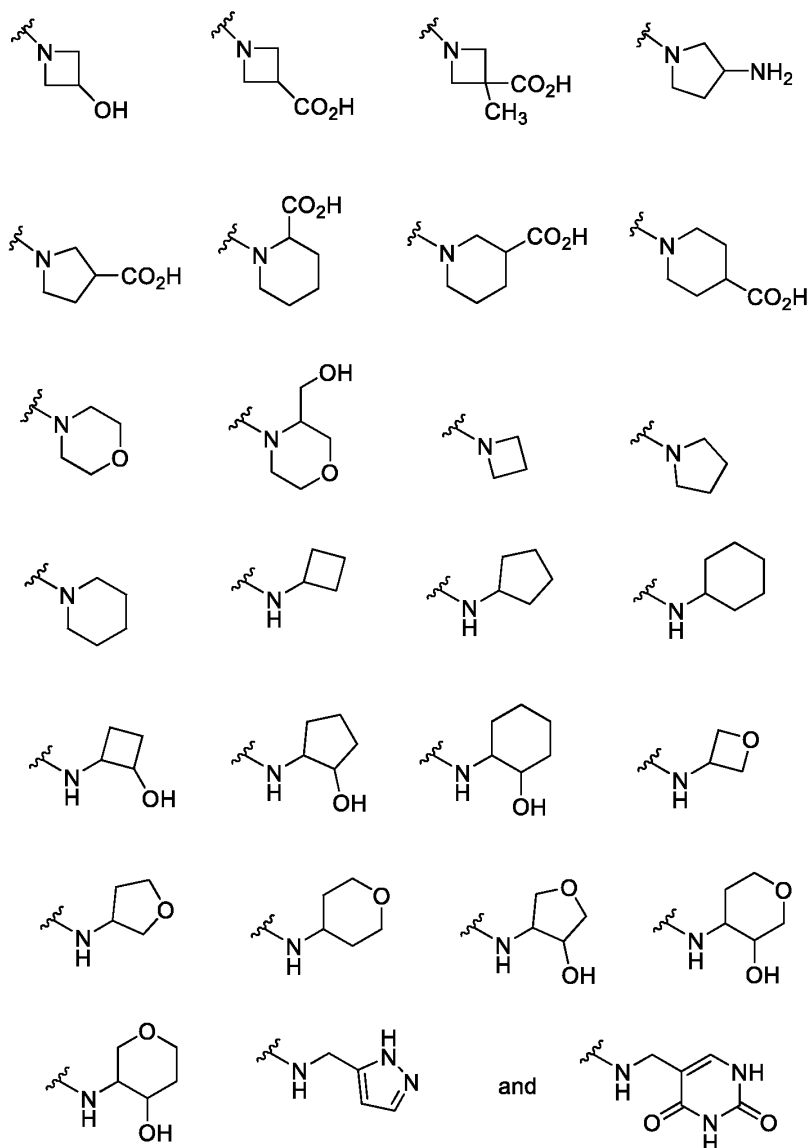
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- 1 10. The compound of any one of claims 1 to 9, or a pharmaceutically
 2 acceptable salt thereof wherein $-N(R^{2a})(R^{2b})$ is selected from the group consisting of:



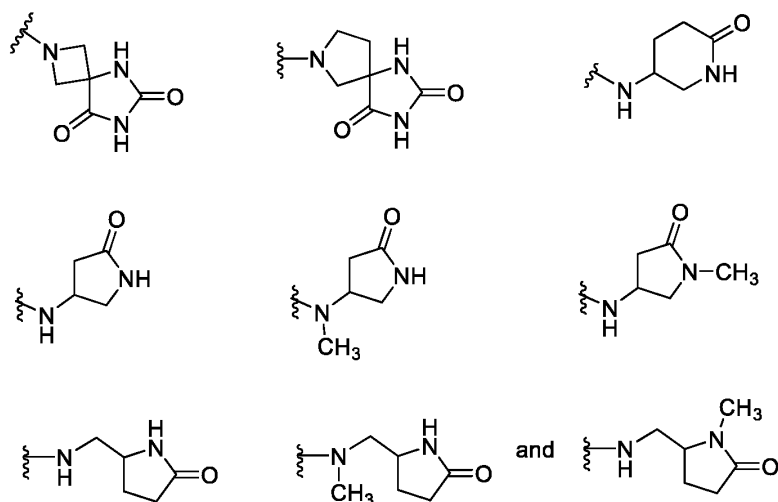
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- 1 11. The compound of any one of claims 1 to 9, or a pharmaceutically
 2 acceptable salt thereof wherein $-\text{N}(\text{R}^{2a})(\text{R}^{2b})$ is selected from the group consisting of:



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1 **12.** The compound of any one of claims **1** to **9**, or a pharmaceutically
2 acceptable salt thereof wherein $-N(R^{2a})(R^{2b})$ is selected from the group consisting of:



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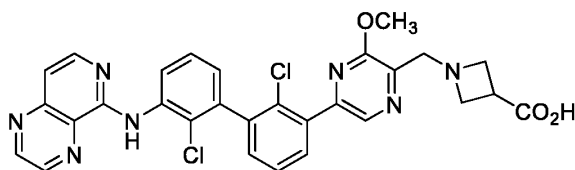
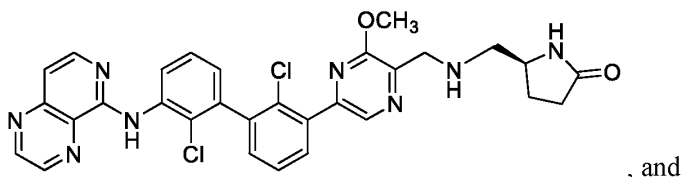
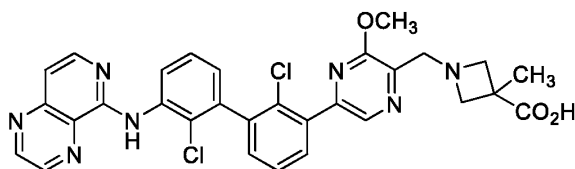
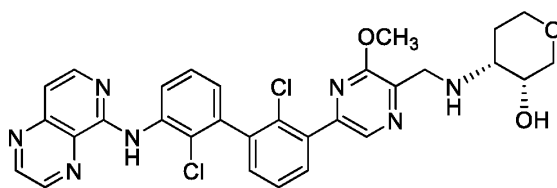
1 **13.** The compound of any one of claims **1** to **13**, or a pharmaceutically
 2 acceptable salt thereof wherein Y is selected from the group consisting of C₃₋₆ cycloalkyl and C₄₋
 3 ₈ heterocyclyl, each of which is unsubstituted or substituted with one to four substituents
 4 independently selected from the group consisting of oxo, OH, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄
 5 hydroxyalkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ hydroxyalkoxy, SO₂NH₂, C(O)NH₂,
 6 C(O)NHOH, PO₃H₂, CO₂C₁₋₈ alkyl, SO₃H and CO₂H.

1 **14.** The compound of claim **1**, or a pharmaceutically acceptable salt thereof
 2 wherein A is selected from the group consisting of pyridinyl, pyrimidinyl, pyrazinyl, oxazolyl,
 3 thiazolyl, and pyrazolyl, each of which is unsubstituted or substituted with one or two members
 4 independently selected from the group consisting of halogen, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃
 5 alkoxy, C₁₋₃ haloalkoxy, OH, and CN.

1 **15.** The compound of any one of claims **1** to **14**, or a pharmaceutically
 2 acceptable salt thereof, wherein the compound is an optically pure or enriched isomer.

1 **16.** The compound of claim **1**, wherein said compound is selected from the
 2 compounds in Table 1.

1 **17.** The compound of claim **1**, or a pharmaceutically acceptable salt thereof
 2 selected from the group consisting of:



18. A pharmaceutical composition comprising a compound of any one of claims **1** to **17**, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable excipient.

19. The pharmaceutical composition of claim **18**, further comprising one or more additional therapeutic agents.

20. The pharmaceutical composition of claim **19**, wherein the one or more additional therapeutic agent is selected from the group consisting of an antimicrobial agent, an antiviral agent, a cytotoxic agent, a gene expression modulatory agent, a chemotherapeutic agent, an anti-cancer agent, an anti-angiogenic agent, an immunotherapeutic agent, an anti-hormonal agent, an anti-fibrotic agent, radiotherapy, a radiotherapeutic agent, an anti-neoplastic agent, and an anti-proliferation agent.

1 **21.** A method of modulating an immune response mediated by the PD-1
2 signaling pathway in a subject, comprising administering to the subject a therapeutically
3 effective amount of a compound of any one of claims **1** to **14**, or a pharmaceutically acceptable
4 salt thereof or a composition of any one of claims **18** to **20**.

1 **22.** A method of enhancing, stimulating, modulating and/or increasing the
2 immune response in a subject in need thereof, comprising administering to the subject a
3 therapeutically effective amount of a compound of any one of claims **1** to **14**, or a
4 pharmaceutically acceptable salt thereof or a composition of any one of claims **18** to **20**.

1 **23.** A method of inhibiting growth, proliferation, or metastasis of cancer cells
2 in a subject in need thereof, comprising administering to the subject a therapeutically effective
3 amount of a compound of any one of claims **1** to **14**, or a pharmaceutically acceptable salt
4 thereof or a composition of any one of claims **18** to **20**.

1 **24.** A method of treating a subject suffering from or susceptible to a disease or
2 disorder mediated by the PD-1 signaling pathway, comprising administering to the subject a
3 therapeutically effective amount of a compound of any one of claims **1** to **14**, or a
4 pharmaceutically acceptable salt thereof or a composition of any one of claims **18** to **20**.

1 **25.** The method of any one of claims **21** to **24**, wherein the subject suffers
2 from a disease or disorder selected from the group consisting of an infectious disease, a bacterial
3 infectious disease, a viral infectious disease, a fungal infectious disease, a solid tumor, a
4 hematological malignancy, an immune disorder, an inflammatory disease, and cancer.

1 **26.** The method of claim **24**, wherein the disease or disorder is selected from
2 the group consisting of melanoma, glioblastoma, esophagus tumor, nasopharyngeal carcinoma,
3 uveal melanoma, lymphoma, lymphocytic lymphoma, primary CNS lymphoma, T-cell
4 lymphoma, diffuse large B-cell lymphoma, primary mediastinal large B-cell lymphoma, prostate
5 cancer, castration-resistant prostate cancer, chronic myelocytic leukemia, Kaposi's sarcoma
6 fibrosarcoma, liposarcoma, chondrosarcoma, osteogenic sarcoma, angiosarcoma,
7 lymphangiosarcoma, synovioma, , meningioma, leiomyosarcoma, rhabdomyosarcoma, sarcoma

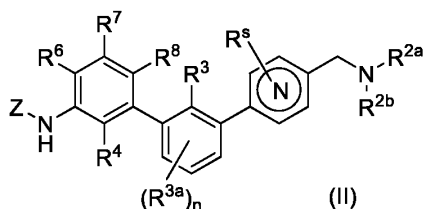
8 of soft tissue, sarcoma, sepsis, biliary tumor, basal cell carcinoma, thymus neoplasm, cancer of
9 the thyroid gland, cancer of the parathyroid gland, uterine cancer, cancer of the adrenal gland,
10 liver infection, Merkel cell carcinoma, nerve tumor, follicle center lymphoma, colon cancer,
11 Hodgkin's disease, non-Hodgkin's lymphoma, leukemia, chronic or acute leukemias including
12 acute myeloid leukemia, chronic myeloid leukemia, acute lymphoblastic leukemia, chronic
13 lymphocytic leukemia, multiple myeloma, ovary tumor, myelodysplastic syndrome, cutaneous or
14 intraocular malignant melanoma, renal cell carcinoma, small-cell lung cancer, lung cancer,
15 mesothelioma, breast cancer, squamous non-small cell lung cancer (SCLC), non-squamous
16 NSCLC, colorectal cancer, ovarian cancer, gastric cancer, hepatocellular carcinoma, pancreatic
17 carcinoma, pancreatic cancer, Pancreatic ductal adenocarcinoma, squamous cell carcinoma of the
18 head and neck, cancer of the head or neck, gastrointestinal tract, stomach cancer, HIV, Hepatitis
19 A, Hepatitis B, Hepatitis C, Hepatitis D, herpes viruses, papillomaviruses, influenza, bone
20 cancer, skin cancer, rectal cancer, cancer of the anal region, testicular cancer, carcinoma of the
21 fallopian tubes, carcinoma of the endometrium, carcinoma of the cervix, carcinoma of the
22 vagina, carcinoma of the vulva, cancer of the esophagus, cancer of the small intestine, cancer of
23 the endocrine system, cancer of the urethra, cancer of the penis, cancer of the bladder, cancer of
24 the kidney, cancer of the ureter, carcinoma of the renal pelvis, neoplasm of the central nervous
25 system (CNS), tumor angiogenesis, spinal axis tumor, brain stem glioma, pituitary adenoma,
26 epidermoid cancer, abestosis, carcinoma, adenocarcinoma, papillary carcinoma,
27 cystadenocarcinoma, bronchogenic carcinoma, renal cell carcinoma, transitional cell carcinoma,
28 choriocarcinoma, seminoma, embryonal carcinoma, wilm's tumor, pleomorphic adenoma, liver
29 cell papilloma, renal tubular adenoma, cystadenoma, papilloma, adenoma, leiomyoma,
30 rhabdomyoma, hemangioma, lymphangioma, osteoma, chondroma, lipoma and fibroma.

1 **27.** The method of any one of claims **21** to **26**, further comprising
2 administering to the subject a therapeutically effective amount of one or more additional
3 therapeutic agents.

1 **28.** The method of claim **27**, wherein the one or more additional therapeutic
2 agents is selected from the group consisting of an antimicrobial agent, an antiviral agent, a
3 cytotoxic agent, a gene expression modulatory agent, a chemotherapeutic agent, an anti-cancer
4 agent, an anti-angiogenic agent, an immunotherapeutic agent, an anti-hormonal agent, an anti-

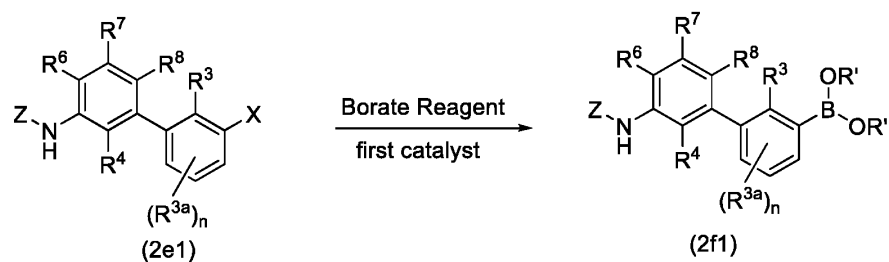
5 fibrotic agent, radiotherapy, a radiotherapeutic agent, an anti-neoplastic agent, and an anti-
6 proliferation agent.

1 **29.** A process for preparing a compound of formula (II),

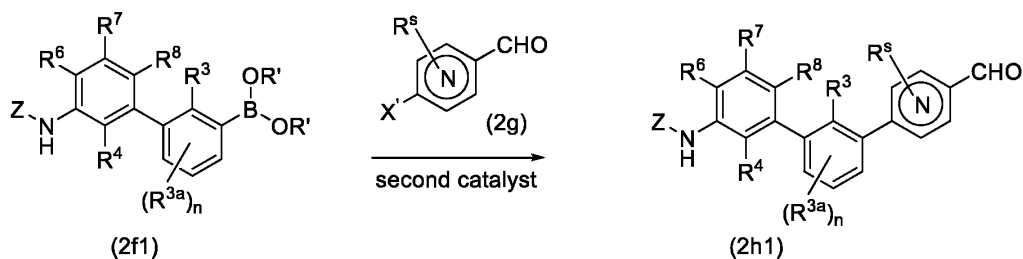


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3 the process comprising:

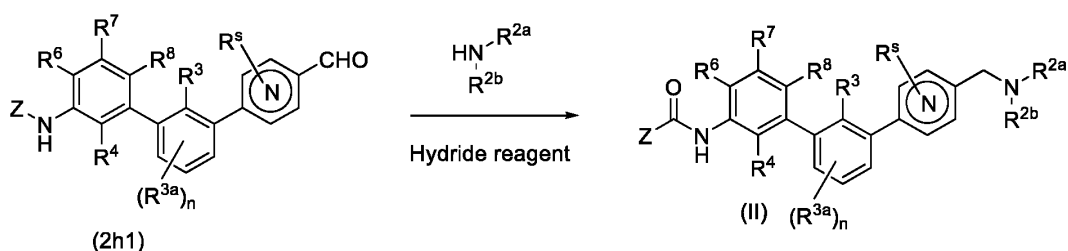
4 (a) converting the compound having formula (2e1) to a compound having formula (2f1)
5 with a borate reagent and a first catalyst;



(b) contacting a compound having formula (2f1) with a compound having formula (2g1) and a second catalyst, under Suzuki-type conditions to produce a compound having formula (2h1);

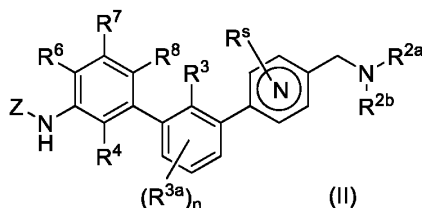


11 (c) reductively aminating the compound having formula (2h1) with $\text{HN}(\text{R}^{2a})(\text{R}^{2b})$ and a
12 hydride reagent to provide the compound having formula (II),

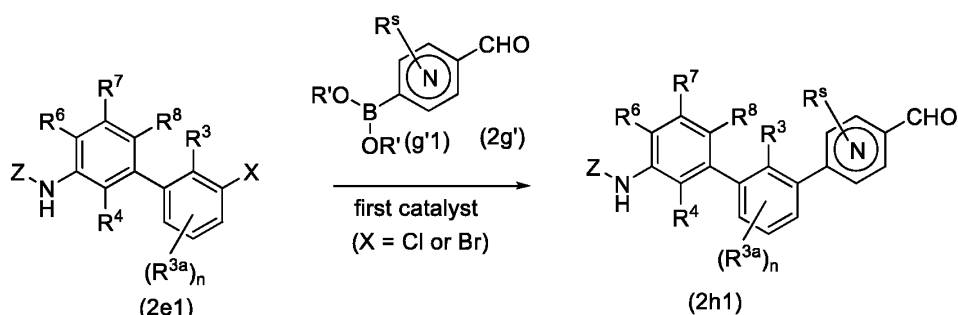


- 13 (2h1)
- 14 wherein in the above formulae (2e1), (2f1), (2g), (2h1), and (II), each of Z, R^{2a} , R^{2b} , R^3 , R^{3a} ,
- 15 subscript n, R^4 , R^5 , R^6 , R^7 , R^8 , have the meanings provided in claim 1;
- 16 each R^2 is independently selected from the group consisting of H and C₁-C₆ alkyl;
- 17 X is a member selected from the group consisting of Br and Cl;
- 18 X' is a member selected from the group consisting of I, Br and Cl;
- 19 the ring bearing R^5 is a six-membered nitrogen heteroaryl ring selected from the group consisting
- 20 of pyridine, pyrimidine and pyrazine, and R^5 is 0, 1, 2 or 3 substituents independently selected
- 21 from the group consisting of halogen, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ alkoxy, C₁₋₃ haloalkoxy,
- 22 OH, and CN.

1 **30.** A process for preparing a compound of formula (II),



- 2 the process comprising:
- 3 (a) contacting a compound having formula (2e1) with a compound having formula
- 4 (2g'1) and a catalyst, under Suzuki-type conditions to produce a compound having formula
- 5 (2h1);
- 6

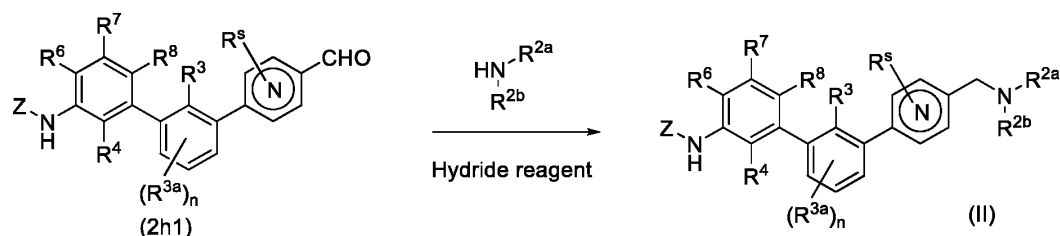


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(b) reductively aminating the compound having formula (2h1) with $\text{HN}(\text{R}^{2a})(\text{R}^{2b})$ and a hydride reagent to provide the compound having formula (II),



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wherein in the above formulae (2e1), (2g'1), (2h1), and (II), each of Z, R^{2a} , R^{2b} , R^3 , R^{3a} , subscript n, R^4 , R^5 , R^6 , R^7 , R^8 , have the meanings provided in claim 1;

each R^2 is independently selected from the group consisting of H and $\text{C}_1\text{-C}_6$ alkyl;

X is a member selected from the group consisting of I, Br and Cl;

the ring bearing R^5 is a six-membered nitrogen heteroaryl ring selected from the group consisting

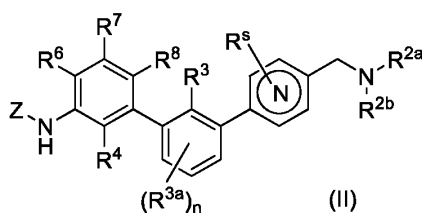
of pyridine, pyrimidine and pyrazine, and R^5 is 0, 1, 2 or 3 substituents independently selected

from the group consisting of halogen, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy, C_{1-3} haloalkoxy,

OH, and CN.

1

31. A process for preparing a compound of formula (II),

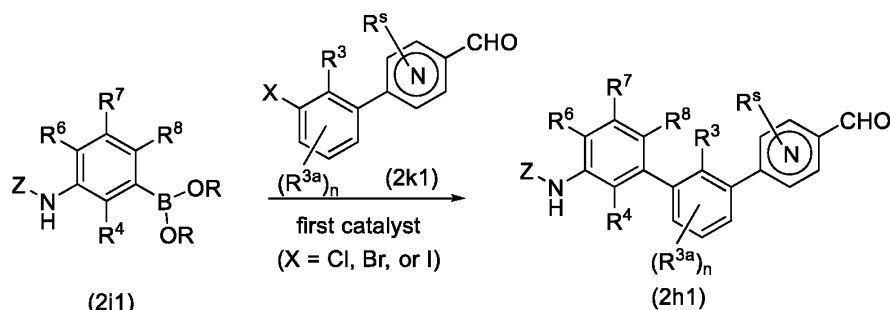


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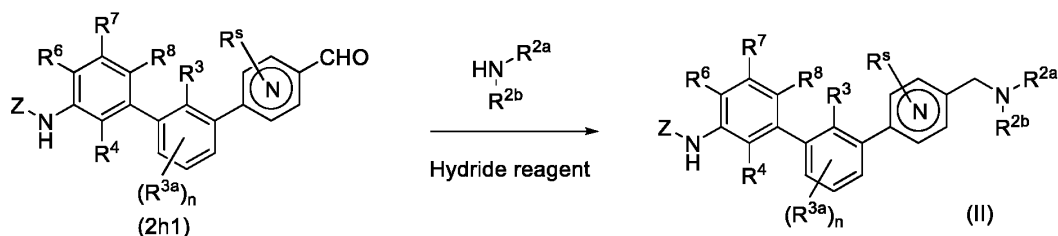
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the process comprising:

- 4 (a) contacting a compound having formula (2j1) with a compound having formula (2k1)
 5 and a first catalyst, under Suzuki-type conditions to produce a compound having formula (2h1);



- 6 (b) reductively aminating the compound having formula (2h1) with $\text{HN}(\text{R}^{2a})(\text{R}^{2b})$ and a
 7 hydride reagent to provide the compound having formula (II),
 8



- 9 wherein in the above formulae (2j1), (2k1), (2h1), and (II), each of Z, R^{2a} , R^{2b} , R^3 , R^{3a} ,
 10 subscript n, R^4 , R^5 , R^6 , R^7 , R^8 , have the meanings provided in claim 1;
 11 each **R** and **R'** is independently selected from the group consisting of H and $\text{C}_1\text{-C}_6$ alkyl;
 12 X is a member selected from the group consisting of I, Br and Cl;
 13 the ring bearing R^5 is a six-membered nitrogen heteroaryl ring selected from the group consisting
 14 of pyridine, pyrimidine and pyrazine, and R^5 is 0, 1, 2 or 3 substituents independently selected
 15 from the group consisting of halogen, $\text{C}_1\text{-3}$ alkyl, $\text{C}_1\text{-3}$ haloalkyl, $\text{C}_1\text{-3}$ alkoxy, $\text{C}_1\text{-3}$ haloalkoxy,
 16 OH, and CN.
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