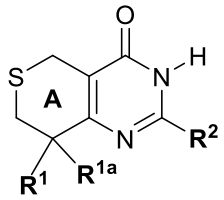
SUMMARY

[0008] Provided herein is a compound of Formula (I), or any prodrug, pharmaceutically acceptable salt, metabolite, polymorph, solvate, hydrate, stereoisomer, and/or tautomer thereof:



(I)

wherein

$\mathbf{R^1}$ is hydrogen, deuterium, C_1-C_3alkyl, -OH, -O-C_1-C_3alkyl, -CH_2OH, or -$B(OH)_2$;

$\mathbf{R^{1a}}$ is hydrogen, deuterium, or C_1-C_3alkyl;

$\mathbf{R^2}$ is

(a) phenyl substituted with $\mathbf{R^3}$ and additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups;

(b) phenyl substituted with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with $\mathbf{R^3}$ and additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups,

(c) phenyl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted with -phenyl-$\mathbf{R^3}$ where the phenyl in -phenyl-$\mathbf{R^3}$ is optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups;

(d) 5- or 6-membered heteroaryl substituted with $\mathbf{R^3}$ and additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups;

(e) 5- or 6-membered heteroaryl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted with -phenyl-$\mathbf{R^3}$ where the phenyl is additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups;

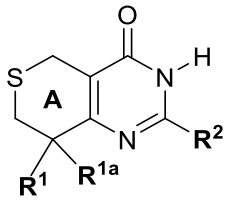
(f) 5- or 6-membered heteroaryl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted with –(5- or 6-membered heteroaryl)-$\mathbf{R^3}$ where the 5- or 6-membered heteroaryl in –(5- or 6-membered heteroaryl)-$\mathbf{R^3}$ is optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups;

(g) C_3-C_6cycloalkyl substituted with $\mathbf{R^3}$ and additionally optionally substituted with 1 or 2 $\mathbf{R^{3a}}$ groups;

or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof;

In some examples, provided is a compound of Formula (I) (or any embodiments or examples thereof) or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof.

[0008a] In one aspect, provided herein is a compound of Formula (I):



(I)

or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof;

wherein

R^1 is hydrogen, deuterium, C_1-C_3alkyl, -OH, -O-C_1-C_3alkyl, -CH_2OH, or -B(OH)$_2$;

R^{1a} is hydrogen, deuterium, or C_1-C_3alkyl;

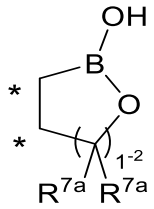
R^2 is

(a) phenyl optionally substituted with 1, 2, or 3 **R^{3a}** groups and additionally substituted with -phenyl-**R^3** where the phenyl in -phenyl-**R^3** is optionally substituted with 1, 2, or 3 **R^{3a}** groups;

(b) phenyl substituted with **R^3** and additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups; or

(c) phenyl substituted with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with **R^3** and additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups,

R^3 is independently selected from -B(OH)$_2$, cyano, halo, halo-C_1-C_6alkyl, -(C_0-C_6alkylene)-O-**R^4**, or 5- to 10-membered heterocyclic wherein the 5- to 10-membered heterocyclic is optionally substituted with cyano; or when **R^2** is (a), then **R^3** and one **R^{3a}**, when on adjacent carbons, together with the carbons to which they are attached form



(a-1)

where the * indicate the carbons shared with the phenyl ring and where the remaining optional **R^{3a}** on the phenyl portion are as defined below, and each **R^{7a}** is independently hydrogen or C_1-C_6alkyl;

each **R^{3a}** is independently selected from cyano, halo, -OH, C_1-C_6alkyl, halo-C_1-C_6alkyl, and C_1-C_6alkoxy;

R^4 is hydroxy-C_1-C_6alkyl, C_1-C_6alkoxy-C_1-C_6alkyl, or C_1-C_6alkoxycarbonyl-NH-C_1-C_6alkyl; and

provided that the compound is not

2-(4-(trifluoromethyl)phenyl)-3,5,7,8-tetrahydro-4H-thiopyrano[4,3-d]pyrimidin-4-one or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof;

2-(4-chlorophenyl)-3,5,7,8-tetrahydro-4H-thiopyrano[4,3-d]pyrimidin-4-one or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof;

2-(5-(trifluoromethyl)pyridin-2-yl)-3,5,7,8-tetrahydro-4H-thiopyrano[4,3-d]pyrimidin-4-one or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof; or

2-(3-(trifluoromethyl)phenyl)-3,5,7,8-tetrahydro-4H-thiopyrano[4,3-d]pyrimidin-4-one or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof.

[0009] Provided herein is a pharmaceutical composition comprising a compound of Formula (I) (or any embodiments or examples thereof), or any prodrug, pharmaceutically acceptable salt, metabolite, polymorph, solvate, hydrate, stereoisomer, and/or tautomer thereof; and a pharmaceutically acceptable carrier. In one or more examples, provided is a compound of Formula (I) (or any embodiments or

examples thereof) or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof; and a pharmaceutically acceptable carrier. In another aspect, provided herein is a pharmaceutical composition comprising the compound as defined herein, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof; and comprising a pharmaceutically acceptable carrier.

[0009a] In another aspect, provided herein is a compound as defined herein, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof for use in therapy.

[0010] Provided herein is a method for inhibiting Wnt transcription products or Wnt signaling pathway activity in a subject comprising contacting an effective amount of a compound of Formula (I) (or any embodiments or examples thereof), or any prodrug, pharmaceutically acceptable salt, metabolite, polymorph, solvate, hydrate, stereoisomer, and/or tautomer thereof. In some examples, the compound of Formula (I) (or any embodiments or examples thereof) is provided as a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof.

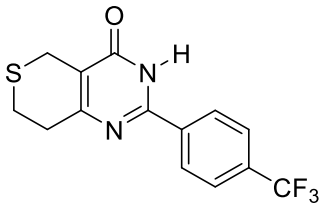
[0010a] In another aspect, provided herein is a compound as defined herein, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof for use in inhibiting Wnt signaling pathway activity in a subject.

[0011] Provided herein is a method of treating a disease, disorder, or condition associated with Wnt transcription products or Wnt signaling pathway activity in a mammal in need thereof, comprising administering a compound of Formula (I) (or any embodiments or examples thereof) or administering a pharmaceutical composition comprising a compound of Formula (I), or any prodrug, pharmaceutically acceptable salt, metabolite, polymorph, solvate, hydrate, stereoisomer, and/or tautomer thereof. In some examples, the compound of Formula (I) (or any embodiments or examples thereof) is provided as a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof. In some examples, the method is for stimulating regeneration of tissue at a wound in the mammal in need thereof.

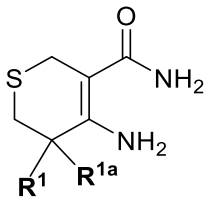
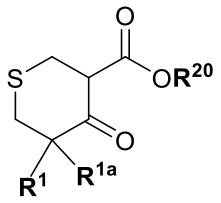
[0011a] In another aspect, provided herein is use of a compound as defined herein, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof; or a pharmaceutical composition as defined herein in the manufacture of a medicament for the treatment of a disease, disorder, or condition associated with Wnt signaling pathway activity.

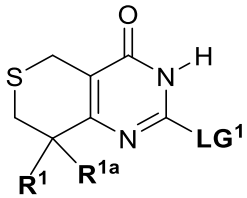
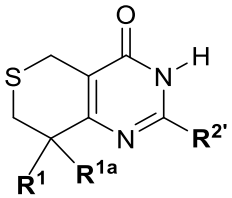
[0012] Provided herein is a method of inducing bacteriostasis associated with Wnt transcription products or Wnt signaling pathway activity in a mammal in need thereof, comprising administering XAV939 or tautomer thereof and/or pharmaceutically acceptable salt thereof, optionally as a pharmaceutical composition thereof; administering a compound of Formula (I) (or any embodiments or examples thereof), or a single stereoisomer or mixture of stereoisomers

thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof; or administering a pharmaceutical composition as defined herein (or any embodiments or examples thereof) to a mammal in need thereof.

[0012a] In another aspect, provided herein is  (XAV939) or tautomer thereof and/or pharmaceutically acceptable salt thereof, optionally in a pharmaceutically acceptable carrier; a compound as defind herein, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof; or a pharmaceutical composition as defind herein for use in inducing bacteriostasis associated with Wnt signaling pathway activity in a mammal in need thereof.

[0013] Provided herein is a compound, or a salt thereof, and/or a stereoisomer or mixture of stereoisomers according to any one of the following formulas:

Formula (A):  ; Formula (B):  ;

Formula (C):  ; or Formula (D):  ; and

wherein

LG^1 is a leaving group, such as fluoro, chloro, bromo, iodo, triflate, mesylate, a triazole, a pyrazole, boronic acid, boronic ester, or aryl trifluoroborate;

R^1 is hydrogen, deuterium, C_1-C_3alkyl, -OH, -O-C_1-C_3alkyl, -CH_2OH, or -B(OH)$_2$;

R^{1a} is hydrogen, deuterium, or C_1-C_3alkyl;

R^{20} is alkyl, preferably methyl or ethyl, or CD_3;

R$^{2'}$ is

(b1) phenyl substituted with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with **LG^1** and additionally optionally substituted with 1, 2, or

3 $\mathbf{R^{3a}}$ groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups,

(c1) phenyl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted with -phenyl- $\mathbf{LG^1}$ where the phenyl in -phenyl- $\mathbf{LG^1}$ is optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups;

(e1) 5- or 6-membered heteroaryl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted with -phenyl- $\mathbf{LG^1}$ where the phenyl is additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups;

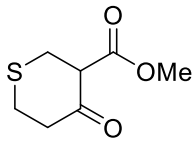
(f1) 5- or 6-membered heteroaryl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted with -(5- or 6-membered heteroaryl)- $\mathbf{LG^1}$ where the 5- or

6-membered heteroaryl in -(5- or 6-membered heteroaryl)- **LG^{1}** is optionally substituted with 1, 2, or 3 **R^{3a}** groups;

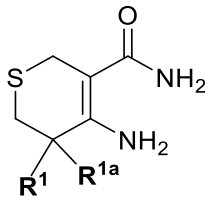
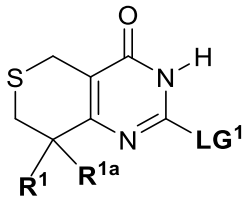
(h1) C_3-C_6cycloalkyl substituted with NH_2 or OH and additionally optionally substituted with 1 or 2 **R^{3a}** groups; or

(i1) C_3-C_6cycloalkyl substituted with phenyl where the phenyl is substituted with **LG^{1}** and the phenyl is additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups, and where the cycloalkyl is optionally substituted with 1 or 2 **R^{3a}** groups; and

provided that the compound is not:

methyl 4-oxotetrahydro-2H-thiopyran-3-carboxylate  or a salt thereof and/or a stereoisomer or mixture of stereoisomers thereof.

[0013a] In another aspect, provided herein is a compound, or a salt thereof, and/or a stereoisomer or mixture of stereoisomers according to any one of the following formulas:

Formula (A):  or Formula (C): ;

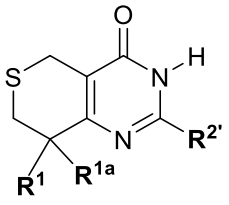
wherein

LG^{1} is fluoro, iodo, triflate, mesylate, a triazole, pyrazole, boronic acid, boronic ester, or aryl trifluoroborate;

R^{1} is hydrogen, deuterium, C_1-C_3alkyl, -OH, -O-C_1-C_3alkyl, -CH_2OH, or -B(OH)$_2$; and

R^{1a} is hydrogen, deuterium, or C_1-C_3alkyl.

[0013b] In another aspect, provided herein is a compound, or a salt thereof, and/or a stereoisomer or mixture of stereoisomers according to

Formula (D): ;

wherein

R^{1} is hydrogen, deuterium, C_1-C_3alkyl, -OH, -O-C_1-C_3alkyl, -CH_2OH, or -B(OH)$_2$;

R^{1a} is hydrogen, deuterium, or C_1-C_3alkyl;

LG^1 is fluoro, chloro, bromo, iodo, triflate, mesylate, a triazole, a pyrazole, boronic acid, boronic ester, or aryl trifluoroborate;

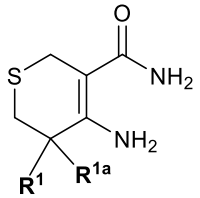
R$^{2\prime}$ is

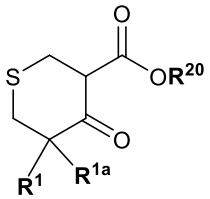
(b1) phenyl optionally substituted with 1, 2, or 3 **R^{3a}** groups and additionally substituted with -phenyl- **LG^1** where the phenyl in -phenyl- **LG^1** is optionally substituted with 1, 2, or 3 **R^{3a}** groups; or

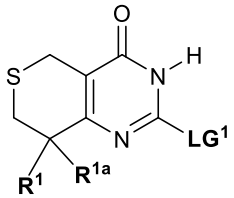
(c1) phenyl substituted with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with **LG^1** and additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups; and

each **R^{3a}** is independently selected from cyano, halo, -OH, C_1-C_6alkyl, halo-C_1-C_6alkyl, and C_1-C_6alkoxy.

[0014] Provided herein is a method of preparing a compound of Formula (I), comprising:

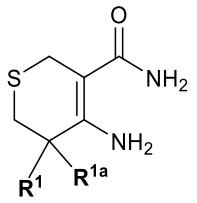
a) contacting a compound of Formula (A):  with **R^2**-C(O)H; or

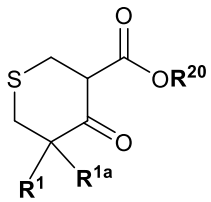
b) contacting a compound of Formula (B):  with R^2-C(NH)NH_2, wherein R^{20} is Me or CD_3; or

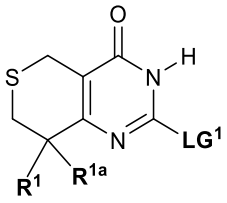
c) contacting a compound of Formula (C):  with R^2-H, wherein **LG^1** is fluoro, chloro, bromo, iodo, triflate, mesylate, a triazole, a pyrazole, boronic acid, boronic ester, or aryl trifluoroborate;

and optionally isolating the compound of Formula (I). In one or more examples, the contacting is under basic conditions (in presence of a base).

[0014a] In another aspect, provided herein is a method of preparing a compound of Formula (I) as defined herein, comprising:

a) contacting a compound of Formula (A):  with **R$^{2'}$**-C(O)H; or

b) contacting a compound of Formula (B):  with **R$^{2'}$**-C(NH)NH$_2$, wherein **R^{20}** is Me or CD$_3$; or

c) contacting a compound of Formula (C):  with **R$^{2'}$**-H, wherein **LG^1** is fluoro, chloro, bromo, iodo, triflate, mesylate, a triazole, a pyrazole, boronic acid, boronic ester, or aryl trifluoroborate;

and optionally isolating the compound of Formula (I);

wherein **R$^{2'}$ is**

(b1) phenyl optionally substituted with 1, 2, or 3 **R^{3a}** groups and additionally substituted with -phenyl- **LG^1** where the phenyl in -phenyl- **LG^1** is optionally substituted with 1, 2, or 3 **R^{3a}** groups; or

(c1) phenyl substituted with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with **LG^1** and additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups; and

R^1 is hydrogen, deuterium, C$_1$-C$_3$alkyl, -OH, -O-C$_1$-C$_3$alkyl, -CH$_2$OH, or -B(OH)$_2$;

R^{1a} is hydrogen, deuterium, or C$_1$-C$_3$alkyl; and

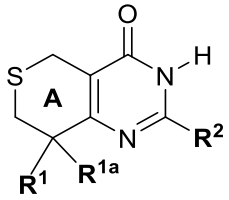
each **R^{3a}** is independently selected from cyano, halo, -OH, C$_1$-C$_6$alkyl, halo-C$_1$-C$_6$alkyl, and C$_1$-C$_6$alkoxy;

or

a salt thereof and/or a stereoisomer or mixture of stereoisomers thereof; optionally wherein the contacting is under basic conditions.

CLAIMS

1. A compound of Formula (I):



(I)

or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof;

wherein

$\mathbf{R^1}$ is hydrogen, deuterium, C_1-C_3alkyl, -OH, -O-C_1-C_3alkyl, -CH_2OH, or -$B(OH)_2$;

$\mathbf{R^{1a}}$ is hydrogen, deuterium, or C_1-C_3alkyl;

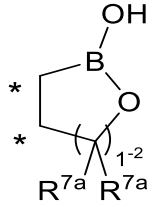
$\mathbf{R^2}$ is

(a) phenyl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted with -phenyl-$\mathbf{R^3}$ where the phenyl in -phenyl-$\mathbf{R^3}$ is optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups;

(b) phenyl substituted with $\mathbf{R^3}$ and additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups; or

(c) phenyl substituted with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with $\mathbf{R^3}$ and additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups,

$\mathbf{R^3}$ is independently selected from -$B(OH)_2$, cyano, halo, halo-C_1-C_6alkyl, -(C_0-C_6alkylene)-O-$\mathbf{R^4}$, or 5- to 10-membered heterocyclic wherein the 5- to 10-membered heterocyclic is optionally substituted with cyano; or when $\mathbf{R^2}$ is (a), then $\mathbf{R^3}$ and one $\mathbf{R^{3a}}$, when on adjacent carbons, together with the carbons to which they are attached form



(a-1)

where the * indicate the carbons shared with the phenyl ring and where the remaining optional $\mathbf{R^{3a}}$ on the phenyl portion are as defined below, and each $\mathbf{R^{7a}}$ is independently hydrogen or C_1-C_6alkyl;

each $\mathbf{R^{3a}}$ is independently selected from cyano, halo, -OH, C_1-C_6alkyl, halo-C_1-C_6alkyl, and C_1-C_6alkoxy;

$\mathbf{R^4}$ is hydroxy-C_1-C_6alkyl, C_1-C_6alkoxy-C_1-C_6alkyl, or C_1-C_6alkoxycarbonyl-NH-C_1-C_6alkyl; and

provided that the compound is not

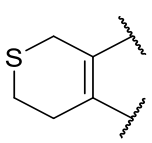
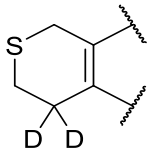
2-(4-(trifluoromethyl)phenyl)-3,5,7,8-tetrahydro-4H-thiopyrano[4,3-d]pyrimidin-4-one or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof;

2-(4-chlorophenyl)-3,5,7,8-tetrahydro-4H-thiopyrano[4,3-d]pyrimidin-4-one or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof;

2-(5-(trifluoromethyl)pyridin-2-yl)-3,5,7,8-tetrahydro-4H-thiopyrano[4,3-d]pyrimidin-4-one or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof; or

2-(3-(trifluoromethyl)phenyl)-3,5,7,8-tetrahydro-4H-thiopyrano[4,3-d]pyrimidin-4-one or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof.

2. The compound of claim 1, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof, wherein $\mathbf{R^1}$ and $\mathbf{R^{1a}}$ are independently selected from hydrogen and deuterium.

3. The compound of claim 1 or 2, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof, wherein ring **A** is  or , and wherein designates attachment to the remainder of the compound of Formula (I).

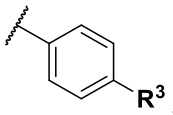
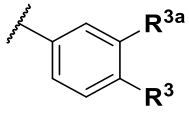
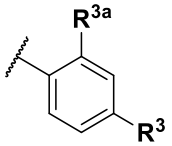
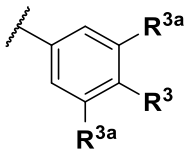
4. The compound of any one of claims 1-3, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof, wherein **R^2** is phenyl substituted with **R^3** and additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups; optionally

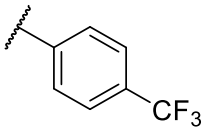
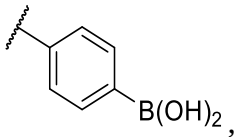
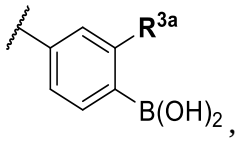
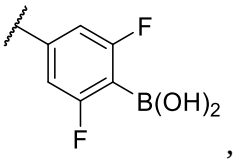
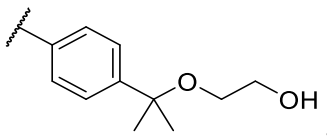
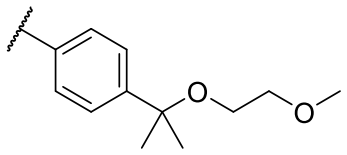
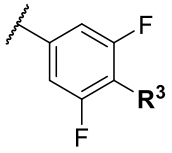
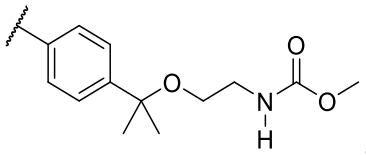
wherein

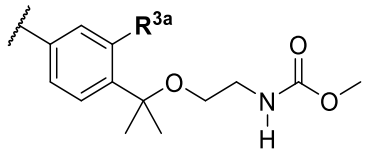
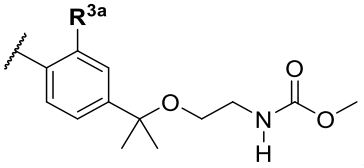
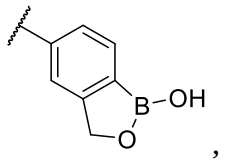
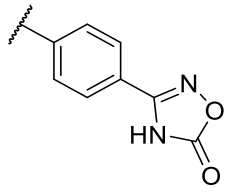
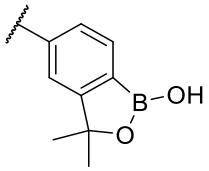
R^3 is attached to the para-position of the phenyl ring; or

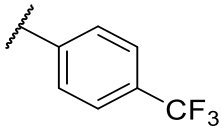
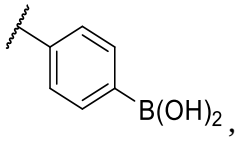
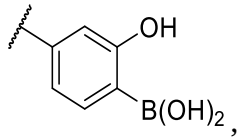
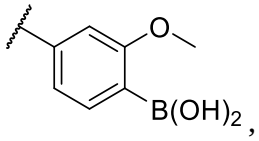
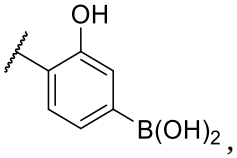
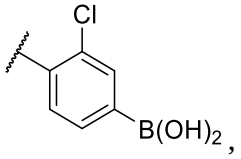
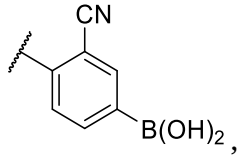
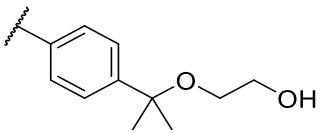
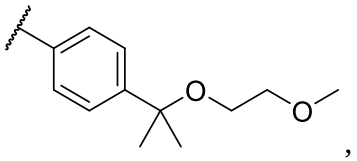
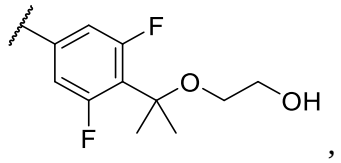
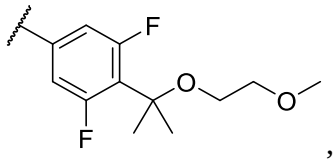
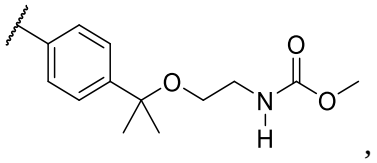
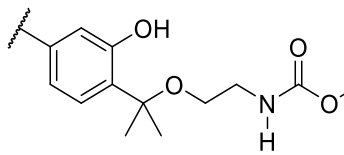
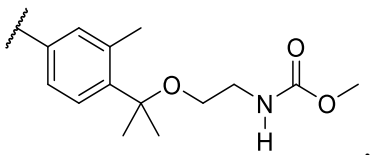
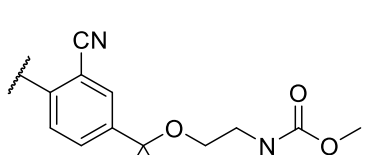
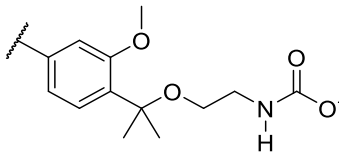
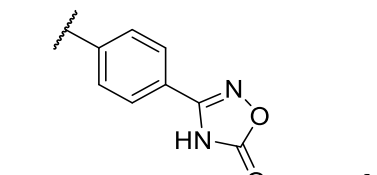
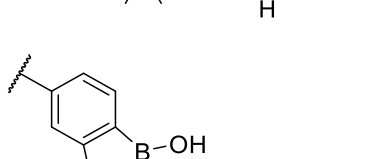
R^3 and one **R^{3a}**, when on adjacent carbons, together with the carbons to which they are attached form ring (a-1) and

where the phenyl portion is optionally substituted with the remaining **R^{3a}** groups.

5. The compound of any one of claims 1-4, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof, wherein **R^2** is selected from the group consisting of , , , and ; optionally

wherein **R^2** is selected from the group consisting of , , , , , , , ,






; or

wherein $\mathbf{R^2}$ is selected from the group consisting of 


















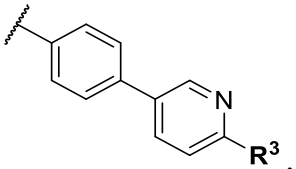
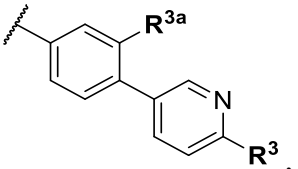
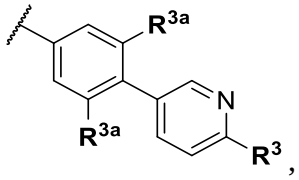
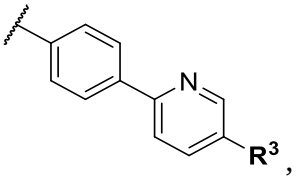
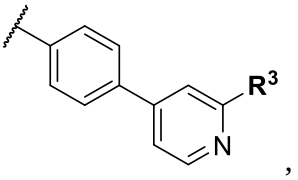
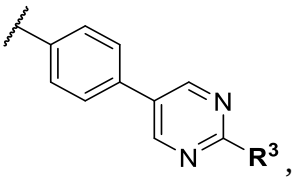
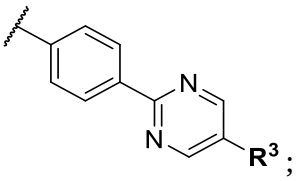
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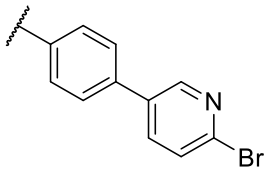
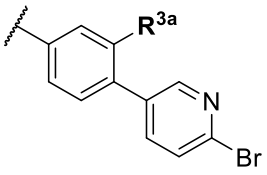
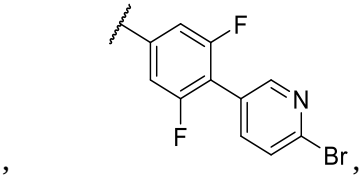
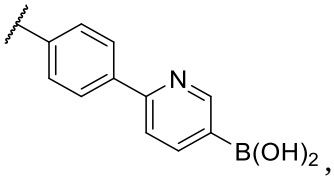
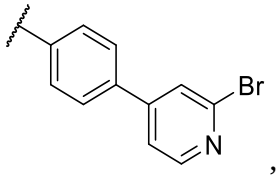
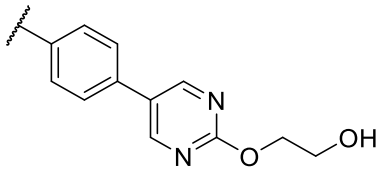
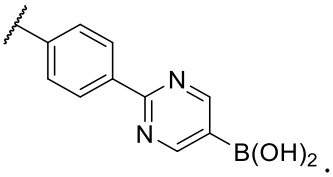
.

6. The compound of any one of claims 1-3, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically

acceptable salt thereof, wherein $\mathbf{R^2}$ is phenyl substituted with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with $\mathbf{R^3}$ and additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups; optionally

wherein $\mathbf{R^2}$ is phenyl substituted at its para-position with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with $\mathbf{R^3}$ and additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups; and when the 5- or 6-membered heteroaryl is a 6-membered heteroaryl then $\mathbf{R^3}$ is substituted on the para-position of the 6-membered heteroaryl.

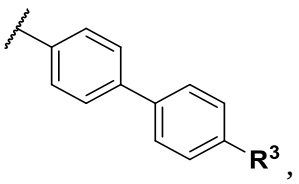
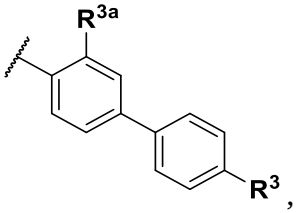
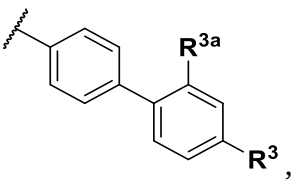
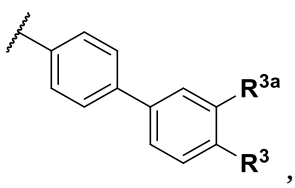
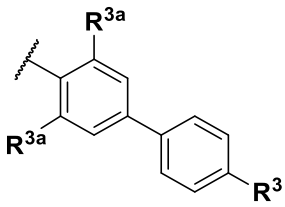
7. The compound of any one of claims 1-3 and 6, or a single stereoisomer or mixture of stereoisomers thereof, and/or a pharmaceutically acceptable salt thereof, wherein $\mathbf{R^2}$ is selected from the group consisting of , , , , , , and ; optionally

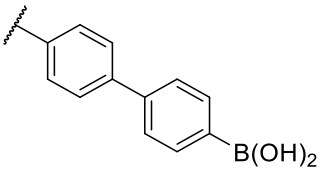
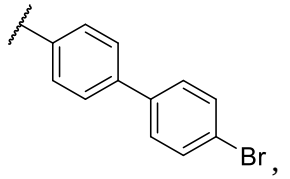
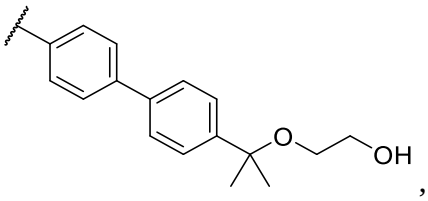
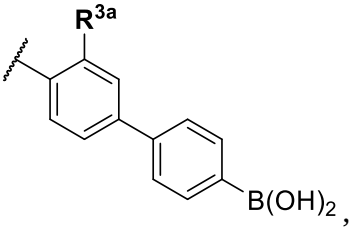
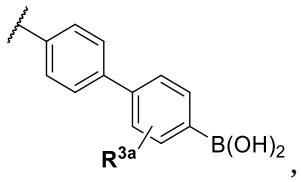
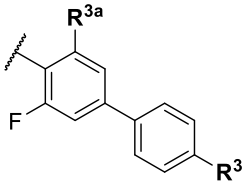
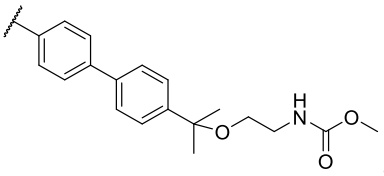
wherein $\mathbf{R^2}$ is selected from the group consisting of , , , , , , and .

8. The compound of any one of claims 1-3, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof, wherein $\mathbf{R^2}$ is phenyl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted with -phenyl-$\mathbf{R^3}$ where the phenyl in -phenyl-$\mathbf{R^3}$ is optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups; optionally

wherein $\mathbf{R^2}$ is phenyl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted at its para-position with -phenyl-$\mathbf{R^3}$ where the phenyl in -phenyl-$\mathbf{R^3}$ is optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and where the $\mathbf{R^3}$ is in the para-position of the phenyl in -phenyl-$\mathbf{R^3}$.

9. The compound of any one of claims 1-3 and 8, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof, wherein $\mathbf{R^2}$ is selected from the group consisting

of , , , , and ; optionally

wherein $\mathbf{R^2}$ is selected from the group consisting of , , , , , , and .

10. The compound of any one of claims 1-9, or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof; wherein $\mathbf{R^3}$ is cyano, $-B(OH)_2$, or $-(C_0\text{-}C_6\text{alkylene})\text{-}O\text{-}\mathbf{R^4}$.

11. The compound of any one of claims 1-10, or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof; wherein $\mathbf{R^3}$ is cyano.

12. The compound of any one of claims 1-10, or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof; wherein $\mathbf{R^3}$ is $-B(OH)_2$.

13. The compound of any one of claims 1-10, or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof; wherein $\mathbf{R^3}$ is $-(C_0\text{-}C_6\text{alkylene})\text{-}O\text{-}\mathbf{R^4}$, optionally wherein $\mathbf{R^3}$ is $-(C_{1\text{-}6}\text{alkylene})\text{-}O\text{-}\mathbf{R^4}$.

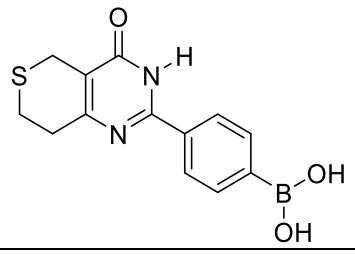
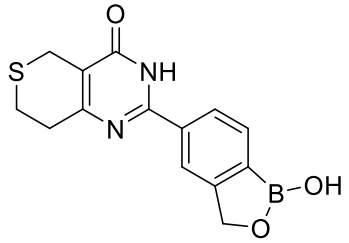
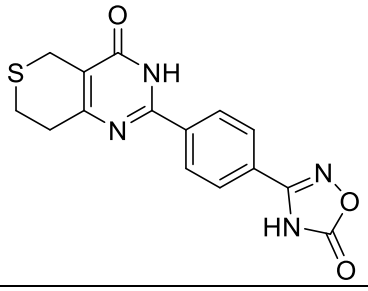
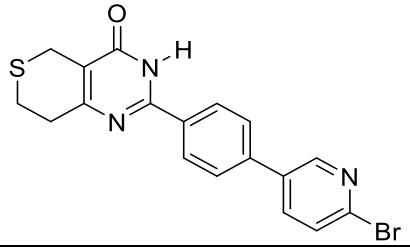
14. The compound of any one of claims 1-10 and 13, or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof; wherein $\mathbf{R^4}$ is hydroxy-C_1-C_6alkyl or C_1-C_6alkoxy-C_1-C_6alkyl.

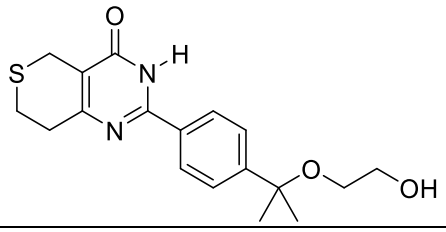
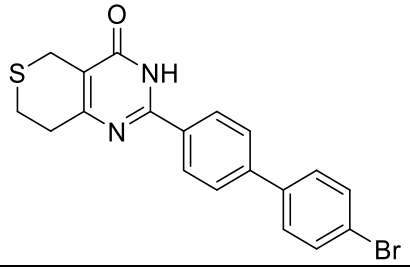
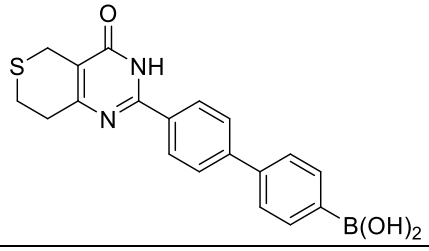
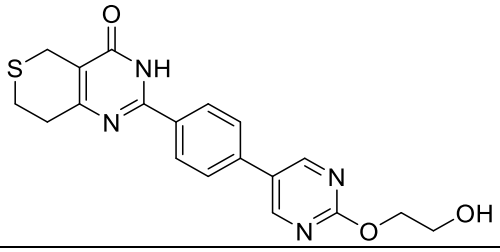
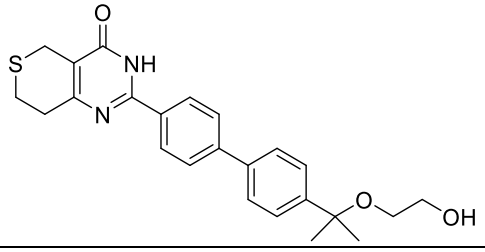
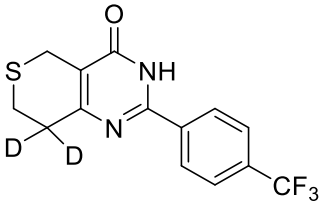
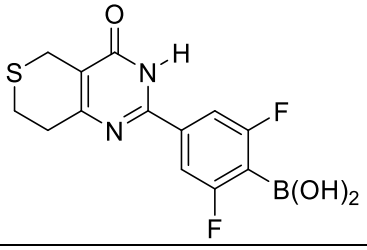
15. The compound of any one of claims 1-10 and 13, or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a

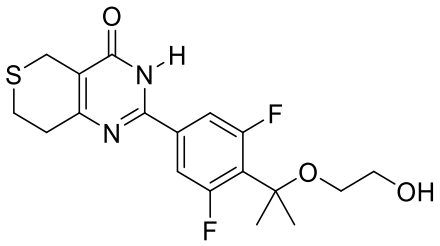
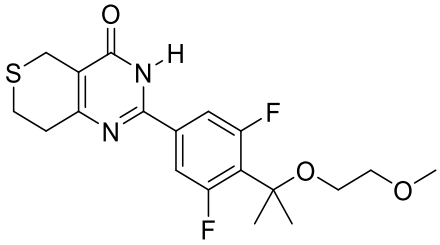
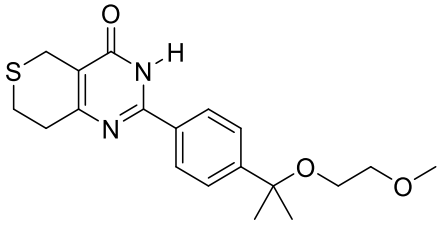
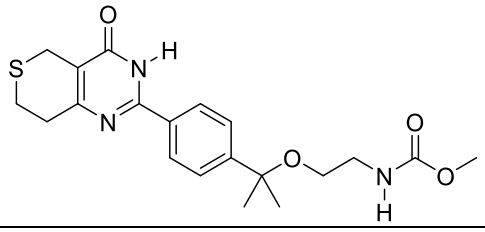
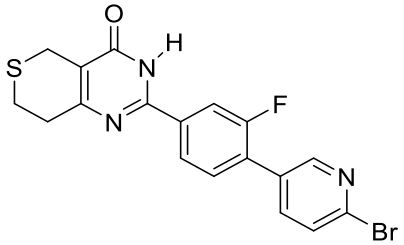
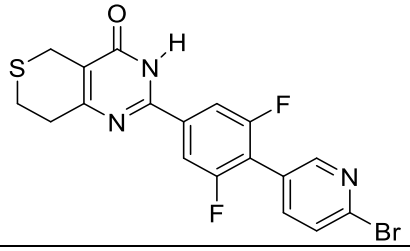
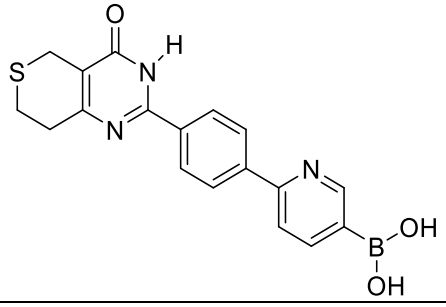
pharmaceutically acceptable salt thereof; wherein $\mathbf{R^4}$ is C_1-C_6alkoxycarbonyl-NH-C_1-C_6alkyl.

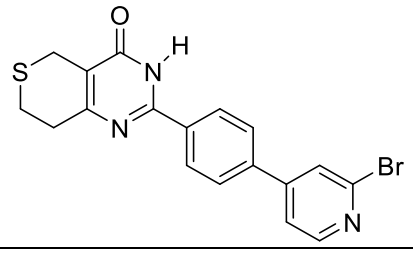
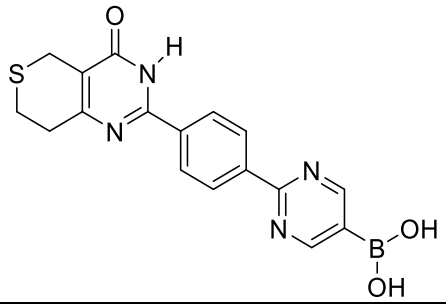
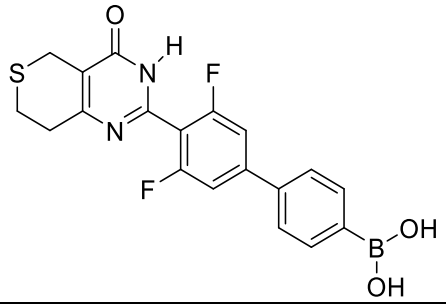
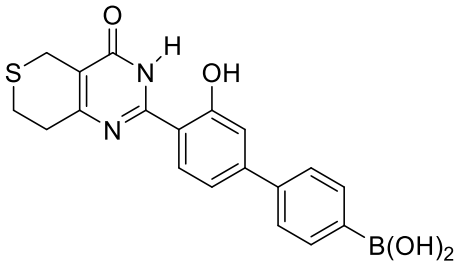
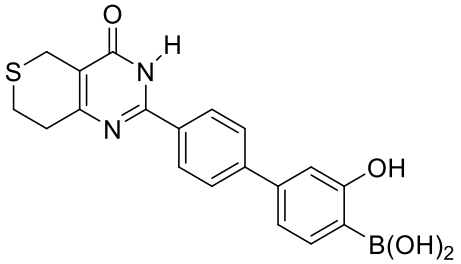
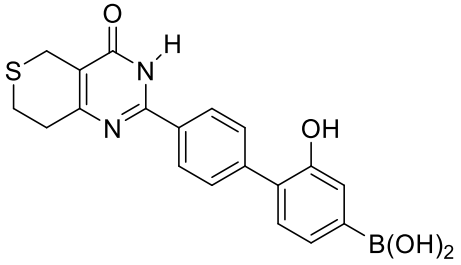
16. The compound of any one of claims 1-3 and 6-9, or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof; wherein $\mathbf{R^2}$ is (a) or (c) and $\mathbf{R^3}$ is halo, cyano, -$B(OH)_2$, or -(C_0-C_6alkylene)-O-$\mathbf{R^4}$.

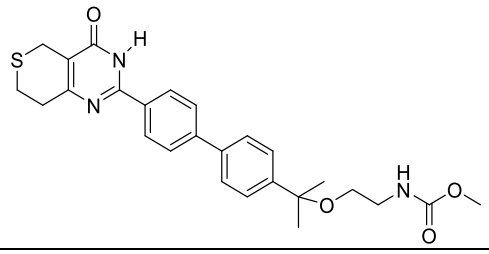
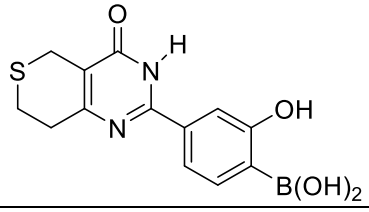
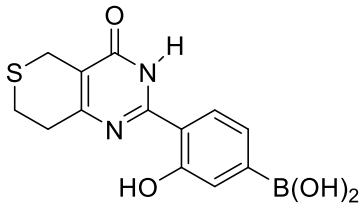
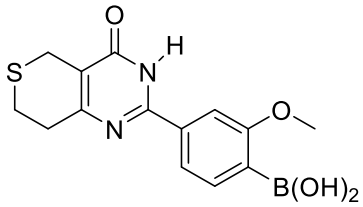
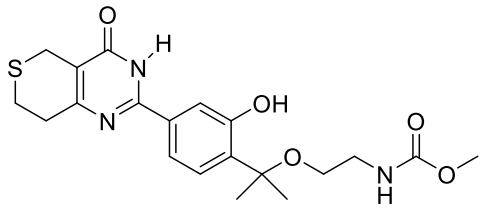
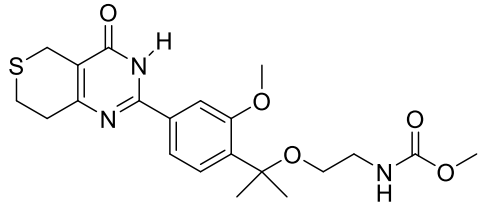
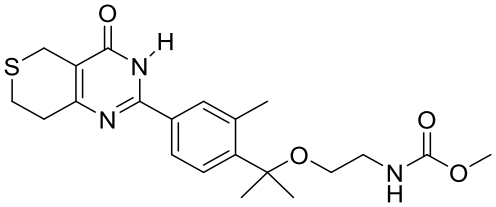
17. The compound of claim 1, or a single stereoisomer or mixture of stereoisomers thereof; a single tautomer or mixture of tautomers thereof; and/or a pharmaceutically acceptable salt thereof; selected from the group consisting of

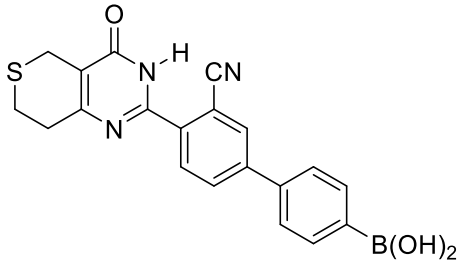
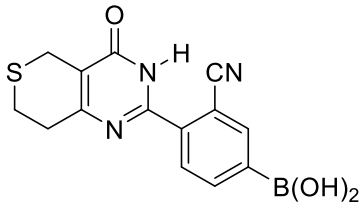
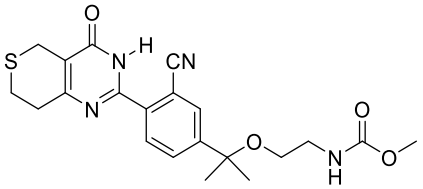
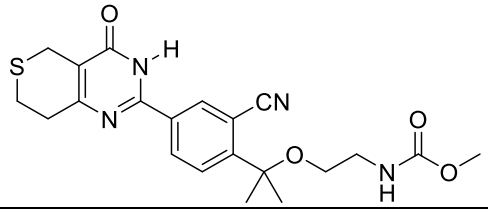
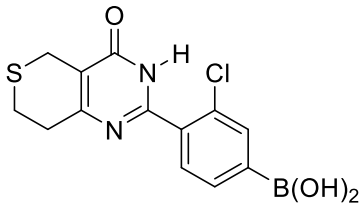
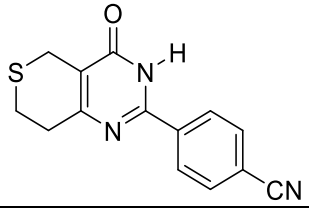
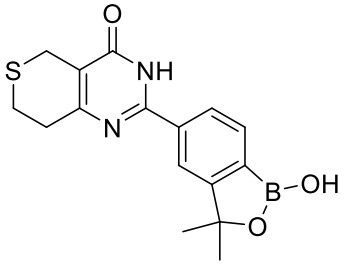
Compound Number	Structure
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3	
4	
7	

Compound Number	Structure
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Compound Number	Structure
15	
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22	

Compound Number	Structure
23	
24	
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Compound Number	Structure
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Compound Number	Structure
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43	
44	

18. A pharmaceutical composition comprising the compound of any one of claims 1-17, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of

tautomers thereof, and/or a pharmaceutically acceptable salt thereof; and comprising a pharmaceutically acceptable carrier.

19. The pharmaceutical composition of claim 18, where the pharmaceutical carrier comprises:
a matrix component comprising a graphene oxide (GO) and hyaluronic acid (HA) conjugate (GO-HA), wherein GO and HA are covalently linked via a linker;
polyethylene glycol (PEG), where the PEG is optional;
a thickener, where the thickener is optional; and
water,
optionally wherein the compound optionally constitutes from about 0.001 wt% to about 5 wt% of the total composition.

20. A compound of any one of claims 1-17, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof for use in therapy.

21. A compound of any one of claims 1-17, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof for use in inhibiting Wnt signaling pathway activity in a subject.

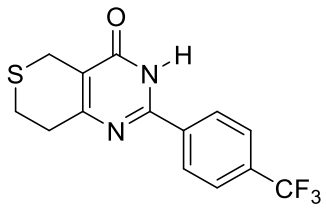
22. Use of a compound of any one of claims 1-17, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof; or a pharmaceutical composition of claim 18 or 19 in the manufacture of a medicament for the treatment of a disease, disorder, or condition associated with Wnt signaling pathway activity.

23. The use of claim 22, wherein the use is for stimulating regeneration of tissue at a wound in the mammal in need thereof and wherein the wound is contacted with an effective amount of the compound (or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof) or the pharmaceutical composition.

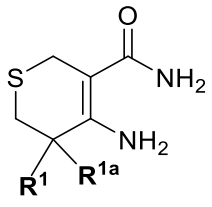
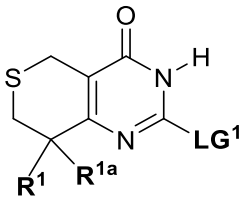
24. The use of claim 22, wherein the disease, disorder, or condition is selected from a chronic wound, an acute wound, an alkali-burned corneal wound, a burn, a lesion (including lesions caused by HPV and/or a virus selected from the *Poxviridae* family of viruses), an inflammatory dermatitis disease (including acne, psoriasis, rosacea, and scleroderma), a cartilage disease (including osteoarthritis, rheumatoid arthritis, internal derangement of the joints, and degenerative cartilage disease), a bone disease (including osteoporosis), organ

fibrosis (including lung fibrosis, heart fibrosis, liver fibrosis, and kidney fibrosis), cancer (including melanoma, breast cancer, and prostate cancer), a de-nerved body part in need of reinnervation, tissue in need of regeneration (including damaged elastic cartilage), bacterial growth in need of inhibition, fungal growth in need of inhibition, tissue in need of neovascularization, osteoclast differentiation in need of inhibition, osteoblast differentiation disorders (where inhibition of osteoblast differentiation is needed), and/or bone destruction associated with breast cancer.

25. The use of claim 24, wherein the lesion is caused by HPV and/or a virus selected from the *Poxviridae* family of viruses; the inflammatory dermatitis disease is selected from acne, psoriasis, rosacea, and scleroderma; the cartilage disease is selected from osteoarthritis, rheumatoid arthritis, internal derangement of the joints, and degenerative cartilage disease; the bone disease is osteoporosis; the organ fibrosis is selected from lung fibrosis, heart fibrosis, liver fibrosis, and kidney fibrosis; the cancer is selected from melanoma, breast cancer, and prostate cancer; the tissue in need of regeneration is damaged elastic cartilage.

26.  (XAV939) or tautomer thereof and/or pharmaceutically acceptable salt thereof, optionally in a pharmaceutically acceptable carrier; a compound of any one of claims 1-17, or a single stereoisomer or mixture of stereoisomers thereof, a single tautomer or mixture of tautomers thereof, and/or a pharmaceutically acceptable salt thereof; or a pharmaceutical composition of claim 18 or 19 for use in inducing bacteriostasis associated with Wnt signaling pathway activity in a mammal in need thereof.

27. A compound, or a salt thereof, and/or a stereoisomer or mixture of stereoisomers according to any one of the following formulas:

Formula (A):  or Formula (C):  ;

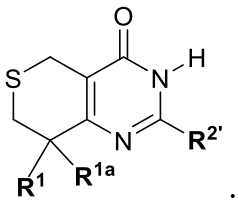
wherein

LG^1 is fluoro, iodo, triflate, mesylate, a triazole, pyrazole, boronic acid, boronic ester, or aryl trifluoroborate;

R¹ is hydrogen, deuterium, C_1-C_3alkyl, -OH, -O-C_1-C_3alkyl, -CH_2OH, or -$B(OH)_2$; and

R^{1a} is hydrogen, deuterium, or C_1-C_3alkyl.

28. A compound, or a salt thereof, and/or a stereoisomer or mixture of stereoisomers according to

Formula (D): 

;

wherein

R¹ is hydrogen, deuterium, C_1-C_3alkyl, -OH, -O-C_1-C_3alkyl, -CH_2OH, or -$B(OH)_2$;

R^{1a} is hydrogen, deuterium, or C_1-C_3alkyl;

LG¹ is fluoro, chloro, bromo, iodo, triflate, mesylate, a triazole, a pyrazole, boronic acid, boronic ester, or aryl trifluoroborate;

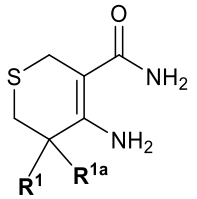
R$^{2\prime}$ is

(b1) phenyl optionally substituted with 1, 2, or 3 **R^{3a}** groups and additionally substituted with -phenyl- **LG¹** where the phenyl in -phenyl- **LG¹** is optionally substituted with 1, 2, or 3 **R^{3a}** groups; or

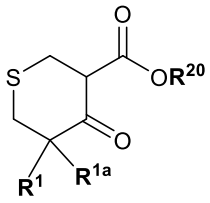
(c1) phenyl substituted with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with **LG¹** and additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 **R^{3a}** groups; and

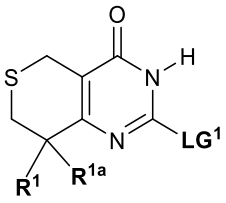
each **R^{3a}** is independently selected from cyano, halo, -OH, C_1-C_6alkyl, halo-C_1-C_6alkyl, and C_1-C_6alkoxy.

29. A method of preparing a compound of Formula (I) of any one of claims 1-17, comprising:

a) contacting a compound of Formula (A): 

with **R$^{2\prime}$**-C(O)H; or

b) contacting a compound of Formula (B):  with $\mathbf{R^{2'}}$-C(NH)NH_2, wherein $\mathbf{R^{20}}$ is Me or CD_3; or

c) contacting a compound of Formula (C):  with $\mathbf{R^{2'}}$-H, wherein $\mathbf{LG^1}$ is fluoro, chloro, bromo, iodo, triflate, mesylate, a triazole, a pyrazole, boronic acid, boronic ester, or aryl trifluoroborate;

and optionally isolating the compound of Formula (I);

wherein $\mathbf{R^{2'}}$ **is**

(b1) phenyl optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and additionally substituted with -phenyl- $\mathbf{LG^1}$ where the phenyl in -phenyl- $\mathbf{LG^1}$ is optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups; or

(c1) phenyl substituted with 5- or 6-membered heteroaryl where the 5- or 6-membered heteroaryl is substituted with $\mathbf{LG^1}$ and additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups and where the phenyl is additionally optionally substituted with 1, 2, or 3 $\mathbf{R^{3a}}$ groups; and

$\mathbf{R^1}$ is hydrogen, deuterium, C_1-C_3alkyl, -OH, -O-C_1-C_3alkyl, -CH_2OH, or -$B(OH)_2$;

$\mathbf{R^{1a}}$ is hydrogen, deuterium, or C_1-C_3alkyl; and

each $\mathbf{R^{3a}}$ is independently selected from cyano, halo, -OH, C_1-C_6alkyl, halo-C_1-C_6alkyl, and C_1-C_6alkoxy;

or

a salt thereof and/or a stereoisomer or mixture of stereoisomers thereof; optionally wherein the contacting is under basic conditions.