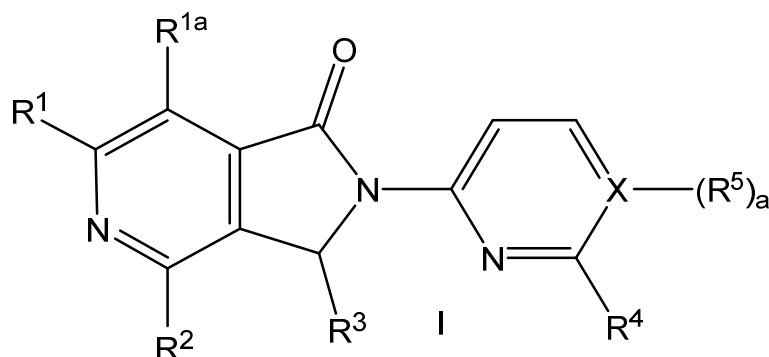


What is claimed is:

1. A compound of Formula I



or a pharmaceutically acceptable salt thereof, wherein:

R^1 is selected from the group consisting of hydrogen, halogen, (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halo (C_1-C_6) alkoxy, $-N(R^6)(R^7)$, and (C_3-C_6) cycloalkyl, wherein said (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, and (C_3-C_6) cycloalkyl are optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, cyano, (C_1-C_6) alkyl, and (C_1-C_6) alkoxy;

R^6 and R^7 are each independently selected from the group consisting of hydrogen and (C_1-C_6) alkyl, wherein said (C_1-C_6) alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen, (C_1-C_6) alkoxy, cyano, and hydroxy, or R^6 and R^7 taken together with the nitrogen to which they are attached form a (4- to 8-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy, and halo (C_1-C_6) alkoxy, wherein said (C_1-C_6) alkyl, and halo (C_1-C_6) alkyl are optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, cyano, (C_1-C_6) alkyl, and (C_1-C_6) alkoxy;

R^{1a} is selected from the group consisting of hydrogen and halogen;

R^2 is:

i) $-(CH_2)_mN(R^8)(R^9)$, wherein m is an integer selected from 0, 1, 2, or 3, and R^8 and R^9 are each independently selected from the group consisting of hydrogen and (C_1-C_6) alkyl, wherein said (C_1-C_6) alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen, (C_1-C_6) alkoxy, cyano, and hydroxy, or R^8 and R^9 taken together with the nitrogen to which they are attached form a (4- to 6-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy, and halo (C_1-C_6) alkoxy, wherein said (C_1-C_6) alkyl and halo (C_1-C_6) alkyl are optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, cyano, and (C_1-C_6) alkoxy;

ii) (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen, (C₁-C₆)alkoxy, -N(R⁶)(R⁷), cyano, and hydroxy, wherein R⁶ and R⁷ are each independently selected from the group consisting of hydrogen and (C₁-C₆)alkyl; or

iii) a (4- to 6-membered)heterocycloalkyl, wherein said heterocycloalkyl is optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, cyano, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy, wherein said (C₁-C₆)alkyl and halo(C₁-C₆)alkyl are optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, cyano, and (C₁-C₆)alkoxy;

R³ is selected from the group consisting of hydrogen, halogen, hydroxy, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy;

X is carbon or nitrogen;

R⁴ is a (4- to 6-membered)heterocycloalkyl or a (5- to 10-membered)heteroaryl, wherein said (4- to 6-membered)heterocycloalkyl and (5- to 10-membered)heteroaryl are optionally substituted with 1 to 3 substituents selected from the group consisting of halogen, cyano, oxo, hydroxy, -N(R¹⁰)(R¹¹), (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkoxy, and -(CH₂)_n(C₃-C₆)cycloalkyl, wherein said (C₁-C₆)alkyl and halo(C₁-C₆)alkyl are optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, cyano, and (C₁-C₆)alkoxy, and wherein n is an integer selected from 0, 1, or 2; and wherein R¹⁰ and R¹¹ are each independently selected from the group consisting of hydrogen and (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen and hydroxy;

R⁵ is selected from the group consisting of hydrogen, halogen, hydroxy, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy; and

a is an integer selected from 0 or 1, provided that when X is nitrogen a is 0.

2. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein R¹ is -N(R⁶)(R⁷), and R⁶ and R⁷ are each independently selected from the group consisting of hydrogen and (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 halogen.

3. The compound according to claim 2, or a pharmaceutically acceptable salt thereof, wherein R⁶ and R⁷ are each methyl.

4. ~~The compound according to claim 2, or a pharmaceutically acceptable salt thereof, wherein R⁶ and R⁷ are each ethyl.~~

5. ~~The compound according to claim 2, or a pharmaceutically acceptable salt thereof, wherein one of R⁶ and R⁷ is hydrogen and the other is methyl.~~

~~6. The compound according to claim 2, or a pharmaceutically acceptable salt thereof, wherein one of R⁶ and R⁷ is methyl and the other is ethyl.~~

~~7. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein R¹ is a (C₁-C₆)alkyl selected from the group consisting of methyl, ethyl, propyl, isopropyl, butyl, and tert-butyl.~~

~~8. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein R¹ is hydrogen.~~

94. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein R¹ is -N(R⁶)(R⁷) and R⁶ and R⁷ taken together with the nitrogen to which they are attached form a (4- to 8-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.

~~10. The compound according to claim 9, or a pharmaceutically acceptable salt thereof, wherein R¹ is a (4- to 8-membered)heterocycloalkyl selected from the group consisting of azetidiny, pyrrolidinyl, and azabicyclo[2.2.1]heptyl, wherein the azetidiny, pyrrolidinyl, and azabicyclo[2.2.1]heptyl are optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.~~

~~115. The compound according to claim 104, or a pharmaceutically acceptable salt thereof, wherein the (4- to 8-membered)heterocycloalkyl R¹ is azetidiny optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.~~

~~126. The compound according to claim 104, or a pharmaceutically acceptable salt thereof, wherein the (4- to 8-membered)heterocycloalkyl R¹ is pyrrolidinyl optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.~~

~~137. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein R¹ is a (C₃-C₆)cycloalkyl, wherein said (C₃-C₆)cycloalkyl is optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, (C₁-C₆)alkyl, and (C₁-C₆)alkoxy.~~

~~148. The compound according to claim 137, or a pharmaceutically acceptable salt thereof, wherein said (C₃-C₆)cycloalkyl is cyclopropyl.~~

~~15. The compound according to any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein R² is -(CH₂)_mN(R⁸)(R⁹), m is 1 and one of R⁸ and R⁹ is hydrogen and the other is methyl.~~

~~16. The compound according to any one of claims 1-14, or a pharmaceutically acceptable salt thereof, wherein R² is -(CH₂)_mN(R⁸)(R⁹), m is 1 and R⁸ and R⁹ are both hydrogen.~~

~~17.~~ The compound according to any one of claims 1-14, or a pharmaceutically acceptable salt thereof, wherein R² is a (4- to 6-membered)heterocycloalkyl and the heterocycloalkyl is azetidinyl.

~~189.~~ The compound of claim 1 according to any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein R³ is hydrogen.

~~1910.~~ The compound of claim 1 according to any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein R⁴ is a (5- to 6-membered)heteroaryl optionally substituted with 1 to 3 substituents selected from the group consisting of halogen, cyano, hydroxy, -N(R¹⁰)(R¹¹), (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkoxy, and -(CH₂)_n(C₃-C₆)cycloalkyl, wherein n is an integer selected from 0, 1, or 2; and wherein R¹⁰ and R¹¹ are each independently selected from the group consisting of hydrogen and (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen and hydroxy.

~~2011.~~ The compound according to claim ~~1910~~, or a pharmaceutically acceptable salt thereof, wherein the (5- to 6-membered)heteroaryl is 1, 2, 3-triazolyl, 1, 2, 4-triazolyl or pyrazolyl.

~~21.~~ The compound according to any one of claims 1-18, or a pharmaceutically acceptable salt thereof, wherein R⁴ is a (4- to 6-membered)heterocycloalkyl optionally substituted with 1 to 3 substituents selected from the group consisting of halogen, cyano, oxo, hydroxy, -N(R¹⁰)(R¹¹), (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkoxy, and -(CH₂)_n(C₃-C₆)cycloalkyl, wherein n is an integer selected from 0, 1, or 2; and wherein R¹⁰ and R¹¹ are each independently selected from the group consisting of hydrogen and (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen and hydroxy.

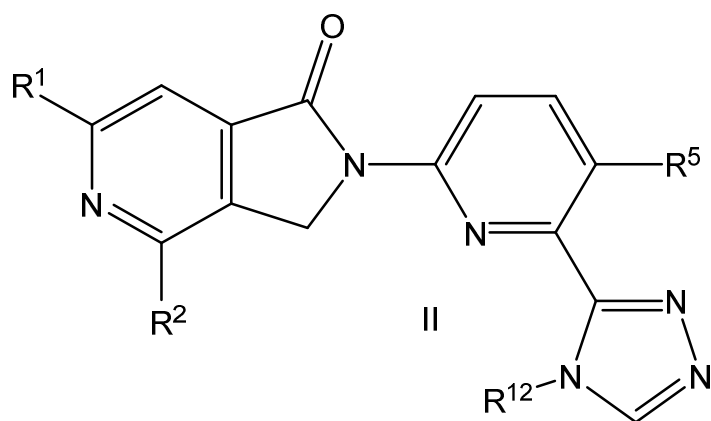
~~22.~~ The compound according to claim 21, or a pharmaceutically acceptable salt thereof, wherein the (4- to 6-membered)heterocycloalkyl is oxazolidinyl optionally substituted with an oxo substituent.

~~23.~~ The compound according to any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein X is nitrogen, and a is 0.

~~2412.~~ The compound of claim 1 according to any one of claims 1-22, or a pharmaceutically acceptable salt thereof, wherein X is carbon, a is 1 and R⁵ is hydrogen or halogen.

~~2513.~~ The compound according to claim ~~2412~~, or a pharmaceutically acceptable salt thereof, wherein R⁵ is halogen and the halogen is fluoro.

~~2614.~~ A compound of Formula II



or a pharmaceutically acceptable salt thereof, wherein:

R^1 is selected from the group consisting of hydrogen, halogen, (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halo (C_1-C_6) alkoxy, $-N(R^6)(R^7)$, and (C_3-C_6) cycloalkyl, wherein said (C_3-C_6) cycloalkyl is optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, (C_1-C_6) alkyl, and (C_1-C_6) alkoxy;

R^6 and R^7 are each independently selected from the group consisting of hydrogen and (C_1-C_6) alkyl, wherein said (C_1-C_6) alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen and hydroxy, or R^6 and R^7 taken together with the nitrogen to which they are attached form a (4- to 8-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy, and halo (C_1-C_6) alkoxy;

R^2 is:

i) a $-(CH_2)_mN(R^8)(R^9)$, wherein m is an integer selected from 0, 1, 2, or 3, and R^8 and R^9 are each independently selected from the group consisting of hydrogen and (C_1-C_6) alkyl, wherein said (C_1-C_6) alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen and hydroxy, or R^8 and R^9 taken together with the nitrogen to which they are attached form a (4- to 6-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy, and halo (C_1-C_6) alkoxy; or

ii) a (4- to 6-membered)heterocycloalkyl, wherein said heterocycloalkyl is optionally substituted with one to three substituents selected from the group consisting of halogen, (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy, and halo (C_1-C_6) alkoxy;

R^5 is selected from the group consisting of hydrogen, halogen, hydroxy, (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy, and halo (C_1-C_6) alkoxy; and

R^{12} is selected from the group consisting of (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, and $-(CH_2)_n(C_3-C_6)$ cycloalkyl, wherein n is an integer 0 or 1.

~~2715~~. The compound according to claim ~~2614~~, or a pharmaceutically acceptable salt thereof, wherein R^1 is $-N(R^6)(R^7)$, and R^6 and R^7 are each independently selected from the group

consisting of hydrogen and (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 halogen.

~~2816.~~ The compound according to claim ~~2715~~, or a pharmaceutically acceptable salt thereof, wherein R⁶ and R⁷ are each methyl.

~~29.~~ ~~The compound according to claim 27, or a pharmaceutically acceptable salt thereof, wherein R⁶ and R⁷ are each ethyl.~~

~~3017.~~ The compound according to claim ~~2715~~, or a pharmaceutically acceptable salt thereof, wherein one of R⁶ and R⁷ is hydrogen and the other is methyl.

~~3118.~~ The compound according to claim ~~2715~~, or a pharmaceutically acceptable salt thereof, wherein one of R⁶ and R⁷ is methyl and the other is ethyl.

~~32.~~ ~~The compound according to claim 26, or a pharmaceutically acceptable salt thereof, wherein R¹ is a (C₁-C₆)alkyl selected from the group consisting of methyl, ethyl, propyl, isopropyl, butyl, and tert-butyl.~~

~~33.~~ ~~The compound according to claim 26, or a pharmaceutically acceptable salt thereof, wherein R¹ is hydrogen.~~

~~3419.~~ The compound according to claim ~~2614~~, or a pharmaceutically acceptable salt thereof, wherein R¹ is -N(R⁶)(R⁷) and R⁶ and R⁷ taken together with the nitrogen to which they are attached form ~~a the~~ (4- to 8-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.

~~35.~~ ~~The compound according to claim 34, or a pharmaceutically acceptable salt thereof, wherein R¹ is a (4- to 8-membered)heterocycloalkyl selected from the group consisting of azetidiny, pyrrolidinyl, and azabicyclo[2.2.1]heptyl, wherein the azetidiny, pyrrolidinyl, and azabicyclo[2.2.1]heptyl are optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.~~

~~3620.~~ The compound according to claim ~~3519~~, or a pharmaceutically acceptable salt thereof, wherein ~~the (4- to 8-membered)heterocycloalkyl~~ R¹ is azetidiny optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.

~~3721.~~ The compound according to claim ~~3519~~, or a pharmaceutically acceptable salt thereof, wherein ~~the (4- to 8-membered)heterocycloalkyl~~ R¹ is pyrrolidinyl optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.

~~38.~~ ~~The compound according to claim 26, or a pharmaceutically acceptable salt thereof, wherein R¹ is a (C₃-C₆)cycloalkyl, wherein said (C₃-C₆)cycloalkyl is optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, (C₁-C₆)alkyl, and (C₁-C₆)alkoxy.~~

39. The compound according to claim 38, or a pharmaceutically acceptable salt thereof, wherein said (C₃-C₆)cycloalkyl is cyclopropyl.

40. The compound according to any one of claims 26-39, or a pharmaceutically acceptable salt thereof, wherein R² is -(CH₂)_mN(R⁸)(R⁹), m is 1 and one of R⁸ and R⁹ is hydrogen and the other is methyl.

41. The compound according to any one of claims 26-39, or a pharmaceutically acceptable salt thereof, wherein R² is -(CH₂)_mN(R⁸)(R⁹), m is 1 and R⁸ and R⁹ are both hydrogen.

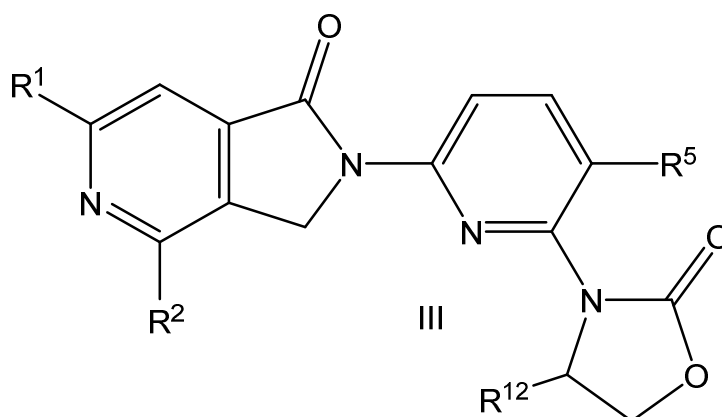
42. The compound according to any one of claims 26-39, or a pharmaceutically acceptable salt thereof, wherein R² is a (4 to 6-membered)heterocycloalkyl and the heterocycloalkyl is azetidiny.

43. The compound according to any one of claims 26-39, or a pharmaceutically acceptable salt thereof, wherein R¹² is (C₁-C₆)alkyl selected from the group consisting of ethyl, ethyl, propyl, propyl, isopropyl, butyl, and tert butyl.

44. The compound according to any one of claims 26-39, or a pharmaceutically acceptable salt thereof, wherein R¹² is halo(C₁-C₆)alkyl selected from the group consisting of fluoromethyl, fluoroethyl, difluoromethyl, difluoroethyl, trifluoromethyl, trifluorobutanyl, and trifluoropentanyl.

45. The compound according to any one of claims 26-39, or a pharmaceutically acceptable salt thereof, wherein R¹² is -(CH₂)_n(C₃-C₆)cycloalkyl, wherein n is 1 and the (C₃-C₆)cycloalkyl is cyclopropyl.

4622. A compound of Formula III



or a pharmaceutically acceptable salt thereof, wherein:

R¹ is selected from the group consisting of hydrogen, halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkoxy, -N(R⁶)(R⁷), and (C₃-C₆)cycloalkyl, wherein said (C₃-C₆)cycloalkyl is optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, (C₁-C₆)alkyl, and (C₁-C₆)alkoxy;

R⁶ and R⁷ are each independently selected from the group consisting of hydrogen and (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 substituents selected

from the group consisting of halogen and hydroxy, or R⁶ and R⁷ taken together with the nitrogen to which they are attached form a (4- to 8-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy;

R² is:

i) a -(CH₂)_mN(R⁸)(R⁹), wherein m is an integer selected from 0, 1, 2, or 3, and R⁸ and R⁹ are each independently selected from the group consisting of hydrogen and (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen and hydroxy, or R⁸ and R⁹ taken together with the nitrogen to which they are attached form a (4- to 6-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy; or

ii) a (4- to 6-membered)heterocycloalkyl, wherein said heterocycloalkyl is optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy;

R⁵ is selected from the group consisting of hydrogen, halogen, hydroxy, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy; and

R¹² is selected from the group consisting of (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, and -(CH₂)_n(C₃-C₆)cycloalkyl, wherein n is an integer 0 or 1.

4723. The compound according to claim 4622, or a pharmaceutically acceptable salt thereof, wherein R¹ is -N(R⁶)(R⁷), and R⁶ and R⁷ are each independently selected from the group consisting of hydrogen and (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 halogen.

~~48. The compound according to claim 47, or a pharmaceutically acceptable salt thereof, wherein R⁶ and R⁷ are each methyl.~~

~~49. The compound according to claim 47, or a pharmaceutically acceptable salt thereof, wherein R⁶ and R⁷ are each ethyl.~~

~~50. The compound according to claim 47, or a pharmaceutically acceptable salt thereof, wherein one of R⁶ and R⁷ is hydrogen and the other is methyl.~~

~~51. The compound according to claim 47, or a pharmaceutically acceptable salt thereof, wherein one of R⁶ and R⁷ is methyl and the other is ethyl.~~

~~52. The compound according to claim 46, or a pharmaceutically acceptable salt thereof, wherein R¹ is a (C₁-C₆)alkyl selected from the group consisting of methyl, ethyl, propyl, isopropyl, butyl, and tert-butyl.~~

~~53. The compound according to claim 46, or a pharmaceutically acceptable salt thereof, wherein R¹ is hydrogen.~~

~~54~~24. The compound according to claim ~~46~~22, or a pharmaceutically acceptable salt thereof, wherein R¹ is -N(R⁶)(R⁷) and R⁶ and R⁷ taken together with the nitrogen to which they are attached form ~~a the~~ (4- to 8-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.

~~55. The compound according to claim 46, or a pharmaceutically acceptable salt thereof, wherein R¹ is a (4 to 8-membered)heterocycloalkyl selected from the group consisting of azetidiny, pyrrolidinyl, and azabicyclo[2.2.1]heptyl, wherein the azetidiny, pyrrolidinyl, and azabicyclo[2.2.1]heptyl are optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.~~

~~56. The compound according to claim 55, or a pharmaceutically acceptable salt thereof, wherein R¹ is azetidiny optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.~~

~~57. The compound according to claim 55, or a pharmaceutically acceptable salt thereof, wherein R¹ is pyrrolidinyl optionally substituted with one to three substituents selected from the group consisting of halogen, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, and halo(C₁-C₆)alkoxy.~~

~~58. The compound according to claim 46, or a pharmaceutically acceptable salt thereof, wherein R¹ is a (C₃-C₆)cycloalkyl, wherein said (C₃-C₆)cycloalkyl is optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, (C₁-C₆)alkyl, and (C₁-C₆)alkoxy.~~

~~59. The compound according to claim 58, or a pharmaceutically acceptable salt thereof, wherein said (C₃-C₆)cycloalkyl is cyclopropyl.~~

~~60~~25. The compound of claim 22~~according to any one of claims 46-59~~, or a pharmaceutically acceptable salt thereof, wherein R² is -(CH₂)_mN(R⁸)(R⁹), m is 1 and one of R⁸ and R⁹ is hydrogen and the other is methyl.

~~61. The compound according to any one of claims 46-59, or a pharmaceutically acceptable salt thereof, wherein R² is -(CH₂)_mN(R⁸)(R⁹), m is 1 and R⁸ and R⁹ are both hydrogen.~~

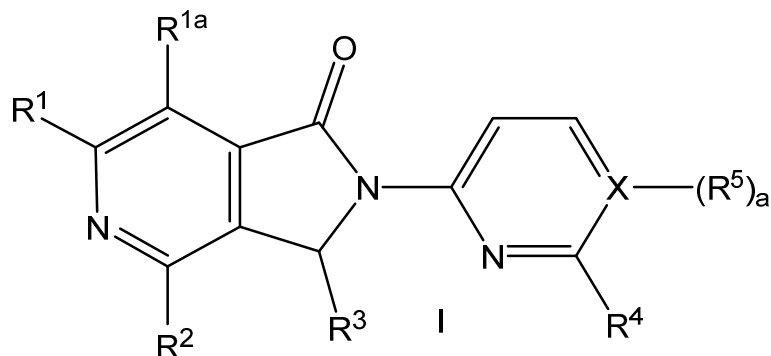
~~62. The compound according to any one of claims 46-59, or a pharmaceutically acceptable salt thereof, wherein R² is a (4 to 6-membered)heterocycloalkyl and the heterocycloalkyl is azetidiny.~~

~~63~~26. The compound of claim 22~~according to any one of claims 46-59~~, or a pharmaceutically acceptable salt thereof, wherein R¹² is (C₁-C₆)alkyl selected from the group consisting of ethyl, ~~ethyl, propyl, propyl, isopropyl, butyl, and tert-butyl.~~

6427. The compound of claim 22 according to ~~any one of claims 46-59~~, or a pharmaceutically acceptable salt thereof, wherein R^{12} is halo(C_1 - C_6)alkyl selected from the group consisting of fluoromethyl, fluoroethyl, difluoromethyl, difluoroethyl, trifluoromethyl, trifluorobutanyl, and trifluoropentanyl.

65. ~~The compound according to any one of claims 46-59, or a pharmaceutically acceptable salt thereof, wherein R^{12} is $(CH_2)_n$ (C_3 - C_6)cycloalkyl, wherein n is 1 and the (C_3 - C_6)cycloalkyl is cyclopropyl.~~

6628. A compound of Formula I,



or a pharmaceutically acceptable salt thereof, wherein:

R^1 is selected from the group consisting of hydrogen, halogen, (C_1 - C_6)alkyl, halo(C_1 - C_6)alkyl, (C_1 - C_6)alkoxy, halo(C_1 - C_6)alkoxy, $-N(R^6)(R^7)$, and (C_3 - C_6)cycloalkyl, wherein said (C_1 - C_6)alkyl, halo(C_1 - C_6)alkyl, and (C_3 - C_6)cycloalkyl are optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, cyano, (C_1 - C_6)alkyl, and (C_1 - C_6)alkoxy;

R^6 and R^7 are each independently selected from the group consisting of hydrogen and (C_1 - C_6)alkyl, wherein said (C_1 - C_6)alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen, (C_1 - C_6)alkoxy, cyano, and hydroxy, or R^6 and R^7 taken together with the nitrogen to which they are attached form a (4- to 8-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, (C_1 - C_6)alkyl, halo(C_1 - C_6)alkyl, (C_1 - C_6)alkoxy, and halo(C_1 - C_6)alkoxy, wherein said (C_1 - C_6)alkyl, and halo(C_1 - C_6)alkyl are optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, cyano, (C_1 - C_6)alkyl, and (C_1 - C_6)alkoxy;

R^{1a} is H;

R^2 is $CH_2N(R^8)(R^9)$, wherein R^8 and R^9 are each independently selected from the group consisting of hydrogen and (C_1 - C_6)alkyl, wherein said (C_1 - C_6)alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen, (C_1 - C_6)alkoxy, cyano, and hydroxy, or R^8 and R^9 taken together with the nitrogen to which they are attached form a (4- to 6-membered)heterocycloalkyl that is optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, (C_1 - C_6)alkyl, halo(C_1 - C_6)alkyl, (C_1 - C_6)alkoxy, and halo(C_1 - C_6)alkoxy, wherein said (C_1 - C_6)alkyl and halo(C_1 - C_6)alkyl are optionally substituted with

one to three substituents selected from the group consisting of halogen, hydroxy, cyano, and (C₁-C₆)alkoxy; and

R³ is H;

X is carbon;

5 R⁵ is hydrogen;

a is 1; and

R⁴ is a (4- to 6-membered)heterocycloalkyl or a (5- to 10-membered)heteroaryl, wherein said (4- to 6-membered)heterocycloalkyl and (5- to 10-membered)heteroaryl are optionally substituted with 1 to 3 substituents selected from the group consisting of halogen, cyano, oxo, hydroxy, -N(R¹⁰)(R¹¹), (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkoxy, and - (CH₂)_n(C₃-C₆)cycloalkyl, wherein said (C₁-C₆)alkyl and halo(C₁-C₆)alkyl are optionally substituted with one to three substituents selected from the group consisting of halogen, hydroxy, cyano, and (C₁-C₆)alkoxy, and wherein n is an integer selected from 0, 1, or 2; and wherein R¹⁰ and R¹¹ are each independently selected from the group consisting of hydrogen and (C₁-C₆)alkyl, wherein said (C₁-C₆)alkyl is optionally substituted with 1 to 3 substituents selected from the group consisting of halogen and hydroxy.

6729. The compound of claim 6628, or a pharmaceutically acceptable salt thereof, wherein:

R¹ is selected from the group consisting of (C₁-C₄)alkyl, CF₃, -N(R⁶)(R⁷), and (C₃-C₄)cycloalkyl, wherein said (C₃-C₄)cycloalkyl is optionally substituted with one CH₃;

20 R⁶ and R⁷ are each independently selected from the group consisting of hydrogen and (C₁-C₃)alkyl, or

R⁶ and R⁷ taken together with the nitrogen to which they are attached form a the (4- to 5-membered)heterocycloalkyl that is optionally substituted with one to two CH₃ substituents;

25 R² is CH₂N(R⁸)(R⁹), wherein R⁸ is hydrogen and R⁹ is selected from the group consisting of hydrogen and CH₃; and

R⁴ is a (5-membered)heterocycloalkyl or a (5-membered)heteroaryl, wherein said (5-membered)heterocycloalkyl is 1,3-oxazolidin-3-yl and said (5-membered)heteroaryl is 1H-pyrazolyl or triazolyl, each optionally substituted with 1 to 2 substituents selected from the group consisting of oxo, (C₁-C₅)alkyl, halo(C₁-C₅)alkyl, and -CH₂-cyclopropyl.

30 6830. The compound of claim 6628, or a pharmaceutically acceptable salt thereof, wherein:

R¹ is selected from the group consisting of (C₁-C₄)alkyl, CF₃, -N(R⁶)(R⁷), and (C₃-C₄)cycloalkyl, wherein said (C₃-C₄)cycloalkyl is optionally substituted with one CH₃;

R⁶ and R⁷ are each independently selected from the group consisting of hydrogen and (C₁-C₃)alkyl, or

35 R⁶ and R⁷ taken together with the nitrogen to which they are attached form a the (4- to 5-membered)heterocycloalkyl that is optionally substituted with one to two CH₃ substituents;

R² is CH₂N(R⁸)(R⁹), wherein R⁸ is hydrogen and R⁹ is selected from the group consisting of hydrogen and CH₃; and

R⁴ is a (5-membered)heterocycloalkyl or a (5-membered)heteroaryl, wherein said (5-membered)heterocycloalkyl is 2-oxo-1,3-oxazolidin-3-yl, optionally substituted with 1 CH₃, CH₂F, CHF₂, or CF₃, and said (5-membered)heteroaryl is 1*H*-pyrazolyl or triazolyl, optionally substituted with 1 to 2 substituents selected from the group consisting of (C₁-C₅)alkyl, halo(C₁-C₅)alkyl, and -CH₂-cyclopropyl.

~~69~~31. The compound of claim ~~66~~28, or a pharmaceutically acceptable salt thereof, wherein:

R¹ is selected from the group consisting of -N(R⁶)(R⁷), and (C₃-C₄)cycloalkyl, wherein said (C₃-C₄)cycloalkyl is optionally substituted with one CH₃;

R⁶ and R⁷ are each independently selected from the group consisting of hydrogen and (C₁-C₃)alkyl, or

R⁶ and R⁷ taken together with the nitrogen to which they are attached form a (4- to 5-membered)heterocycloalkyl that is optionally substituted with one to two substituents selected from the group consisting of {CH₃, or halo(C₁)alkyl;

R² is -CH₂N(R⁸)(R⁹), wherein R⁸ and R⁹ are each independently selected from the group consisting of hydrogen and CH₃; and

R⁴ is a (5-membered)heterocycloalkyl or a (5-membered)heteroaryl, wherein said (5-membered)heterocycloalkyl is 2-oxo-1,3-oxazolidin-3-yl, optionally substituted with 1 substituent selected from the group consisting of CH₃, CHF₂-, and CH₂F, and said (5-membered)heteroaryl is imidazolyl, 1*H*-pyrazolyl, thiadiazolyl, or triazolyl, optionally substituted with 1 to 2 substituents independently selected from the group consisting of (C₁-C₅)alkyl, halo(C₁-C₆)alkyl, and -(C₄-C₅)cycloalkyl, wherein said (C₁-C₅)alkyl is optionally substituted with one hydroxy.

~~70~~32. The compound of claim ~~66~~28, or a pharmaceutically acceptable salt thereof, wherein:

R¹ is -N(R⁶)(R⁷);

R⁶ and R⁷ are each independently selected from the group consisting of hydrogen and (C₁-C₃)alkyl, or

R⁶ and R⁷ taken together with the nitrogen to which they are attached form pyrrolidin-1-yl, optionally substituted with 1 to 2 CH₃;

R² is -CH₂N(R⁸)(R⁹), wherein R⁸ and R⁹ are each independently selected from the group consisting of hydrogen and CH₃; and

R⁴ is triazol-3-yl, substituted with 1 to 2 substituents independently selected from the group consisting of CH₃-, CH₃-CH₂-, and CH₃-CH₂-CH₂-.

~~71~~33. A compound of ~~Formula 1~~, or pharmaceutically acceptable salt thereof, wherein the compound is selected from the group consisting of

4-[(methylamino)methyl]-6-[methyl(propan-2-yl)amino]-2-[6-(4-propyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one;

4-[(methylamino)methyl]-6-[(2*R*)-2-methylpyrrolidin-1-yl]-2-[6-(4-propyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one;

4-[(methylamino)methyl]-2-[6-(5-methyl-4-propyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-6-[(2*R*)-2-methylpyrrolidin-1-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one;

4-[(methylamino)methyl]-6-[methyl(propan-2-yl)amino]-2-[6-(5-methyl-4-propyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one; and

5 4-(aminomethyl)-2-[6-(4-ethyl-5-methyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-6-[(2*S*)-2-methylpyrrolidin-1-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one.

7234. The compound of claim ~~3371~~, or pharmaceutically acceptable salt thereof, wherein the compound is 4-[(methylamino)methyl]-6-[methyl(propan-2-yl)amino]-2-[6-(4-propyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one.

10 7335. The compound of claim ~~3371~~, or pharmaceutically acceptable salt thereof, wherein the compound is 4-[(methylamino)methyl]-6-[(2*R*)-2-methylpyrrolidin-1-yl]-2-[6-(4-propyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one.

7436. The compound of claim ~~3371~~, or pharmaceutically acceptable salt thereof, wherein the compound is 4-[(methylamino)methyl]-2-[6-(5-methyl-4-propyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-6-[(2*R*)-2-methylpyrrolidin-1-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one.

7537. The compound of claim ~~3371~~, or pharmaceutically acceptable salt thereof, wherein the compound is 4-[(methylamino)methyl]-6-[methyl(propan-2-yl)amino]-2-[6-(5-methyl-4-propyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one.

20 7638. The compound of claim ~~3371~~, or pharmaceutically acceptable salt thereof, wherein the compound is 4-(aminomethyl)-2-[6-(4-ethyl-5-methyl-4*H*-1,2,4-triazol-3-yl)pyridin-2-yl]-6-[(2*S*)-2-methylpyrrolidin-1-yl]-2,3-dihydro-1*H*-pyrrolo[3,4-*c*]pyridin-1-one.

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

25 40. Use of a compound of claim 1, or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for reversing, alleviating, or inhibiting abnormal cell growth in a mammal, wherein a therapeutically effective amount of the medicament is to be administered to the mammal.

41. A compound of claim 1, or a pharmaceutically acceptable salt thereof for use in the reversing, alleviating, or inhibiting of abnormal cell growth in a mammal.

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