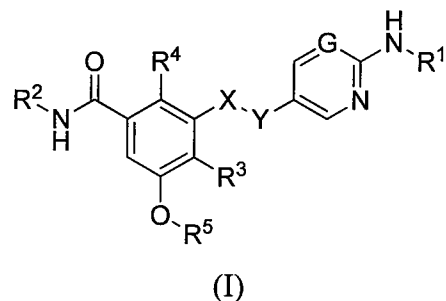


Claims

1. A compound of formula (I):



or a pharmaceutically acceptable salt thereof,

wherein

X is CH₂, Y is selected from CH₂, O or S(O)₂; or X and Y together with the bond there-between form -CH=CH- or -C≡C-;

G is N or CH;

R¹ is aryl or heteroaryl, each of which is optionally substituted with one or more substituents independently selected from halo, -NR⁶R⁷, -OR⁸, -S(O)_nR⁹, -(CH₂)_r-C(O)R¹⁰, -CN, -C(O)NR⁶R⁷, -NR⁶C(O)R¹⁰, -NR⁶S(O)_nR⁹, -NR⁶S(O)_nNR¹¹R¹², -NR⁶C(O)OR⁸, -NR⁶C(O)NR¹¹R¹², -NO₂, -S(O)_nNR⁶R⁷, oxo, optionally substituted alkyl, -(CH₂)_p-optionally substituted cycloalkyl, -(CH₂)_m-optionally substituted heterocyclyl, -(CH₂)_q-optionally substituted heteroaryl, optionally substituted alkenyl, and optionally substituted alkynyl;

R² is independently chosen from optionally substituted C₁-C₆ alkyl, optionally substituted C₁-C₆ alkoxy, or optionally substituted C₃-C₈ cycloalkyl;

R³, R⁴ are independently chosen from hydrogen, halogen, -CN, or optionally substituted C₁-C₆ alkyl,

R⁵ is C₁-C₆ alkyl,

or R³ and R⁵ together with the O atom to which R⁵ is attached and the bond there-between form a 5- or 6-membered oxy-containing heterocyclic ring;

n is 1 or 2;

m, p, q and r are independently chosen from 0, 1, 2, 3, 4, 5, 6;

R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹ and R¹² are independently selected from hydrogen, alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, each of which except for hydrogen, is optionally substituted with one or more substituents independently selected from halo, hydroxyl, mercapto, oxo, alkyl, cycloalkyl, heterocyclyl, optionally substituted amino,

and optionally substituted amide,

wherein each optionally substituted group above for which the substituent(s) is (are) not specifically designated, can be unsubstituted or independently substituted with one or more substituents independently chosen from C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cycloalkyl, aryl, heterocyclyl, heteroaryl, aryl-C₁-C₆ alkyl-, heteroaryl-C₁-C₆ alkyl-, C₁-C₆ haloalkyl-, -OC₁-C₆ alkyl, -OC₂-C₆ alkenyl, -OC₁-C₆ alkylphenyl, -C₁-C₆ alkyl-OH, -C₁-C₆ alkyl-SH, -C₁-C₆ alkyl-O-C₁-C₆ alkyl, -OC₁-C₆ haloalkyl, halo, -OH, mercapto, -NH₂, -C₁-C₆ alkyl-NH₂, -N(C₁-C₆ alkyl)₂, -NH(C₁-C₆ alkyl), -N(C₁-C₆ alkyl)(C₁-C₆ alkylphenyl), -NH(C₁-C₆ alkylphenyl), cyano, nitro, oxo, -C(O)-OH, -C(O)OC₁-C₆ alkyl, -CON(C₁-C₆ alkyl)₂, -CONH(C₁-C₆ alkyl), -CONH₂, -NHC(O)(C₁-C₆ alkyl), -NHC(O)(phenyl), -N(C₁-C₆ alkyl)C(O)(C₁-C₆ alkyl), -N(C₁-C₆ alkyl)C(O)(phenyl), -C(O)C₁-C₆ alkyl, -C(O)C₁-C₆ alkylphenyl, -C(O)C₁-C₆ haloalkyl, -OC(O)C₁-C₆ alkyl, -S(O)₂-C₁-C₆ alkyl, -S(O)-C₁-C₆ alkyl, -S(O)₂-phenyl, -S(O)₂-C₁-C₆ haloalkyl, -S(O)₂NH₂, -S(O)₂NH(C₁-C₆ alkyl), -S(O)₂NH(phenyl), -NHS(O)₂(C₁-C₆ alkyl), -NHS(O)₂(phenyl), and -NHS(O)₂(C₁-C₆ haloalkyl),

wherein the term “aryl” refers to 5- and 6-membered monocyclic carbocyclic aromatic hydrocarbon radical and 8- to 12-membered bicyclic carbocyclic hydrocarbon radical wherein at least one ring is aromatic,

wherein the term “heteroaryl” refers to 5- to 6-membered monocyclic aromatic hydrocarbon radical containing one or more heteroatoms independently selected from N, O, and S, with the remaining ring atoms being carbon; or 8- to 12-membered bicyclic hydrocarbon radical containing one or more heteroatoms independently selected from N, O, and S, with the remaining ring atoms being carbon, wherein at least one of the rings is aromatic; when the total number of S and O atoms in the heteroaryl group exceeds 1, those heteroatoms are not adjacent to one another, and the heteroaryl group also includes those wherein the N heteroatom occurs as N-oxide.

2. The compound of formula (I) according to claim 1, wherein each optionally substituted group for which the substituent(s) is (are) not specifically designated can be unsubstituted or independently substituted with one or more substituents independently chosen from hydroxyl, mercapto, halo, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, -OC₁-C₆ alkyl, -NH₂, -N(C₁-C₆ alkyl)₂, -NH(C₁-C₆ alkyl), cyano, nitro, oxo, -S(O)₂-C₁-C₆ alkyl, -S(O)-C₁-C₆ alkyl, -S(O)₂-C₁-C₆ haloalkyl, -C(O)-OH, -C₁-C₆ alkyl-OH, -C₁-C₆ alkyl-SH, heterocyclyl, or a pharmaceutical acceptable salt thereof.

3. The compound of formula (I) according to claim 1 or 2, wherein R¹ is aryl or heteroaryl, each of which is optionally substituted by one or more substituents independently selected from:

- (1) halo;
- (2) oxo;
- (3) optionally substituted alkyl;
- (4) -(CH₂)_m-optionally substituted heterocyclyl;
- (5) -(CH₂)_p-optionally substituted cycloalkyl;
- (6) -(CH₂)_q-optionally substituted heteroaryl;
- (7) -S(O)_nR⁹;
- (8) -(CH₂)_r-C(O)R¹⁰;
- (9) optionally substituted alkenyl;
- (10) optionally substituted alkynyl;
- (11) -OR⁸;

wherein n is 1 or 2; m, p, q and r are independently chosen from 0, 1, 2, 3, 4, 5, 6; R⁸, R⁹ and R¹⁰ are independently selected from hydrogen, alkyl, heterocyclyl, each of which except for hydrogen, is optionally substituted with one or more substituents independently selected from alkyl, oxo, heterocyclyl;

wherein “optionally substituted alkyl”, “optionally substituted heterocyclyl”, “optionally substituted cycloalkyl”, “optionally substituted heteroaryl”, “optionally substituted alkenyl” and “optionally substituted alkynyl” in R¹ above can be unsubstituted or independently substituted with one or more substituents independently chosen from hydroxyl, mercapto, halo, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, -OC₁-C₆ alkyl, -NH₂, -N(C₁-C₆ alkyl)₂, -NH(C₁-C₆ alkyl), cyano, nitro, oxo, -S(O)₂-C₁-C₆ alkyl, -S(O)-C₁-C₆ alkyl, -S(O)₂-C₁-C₆ haloalkyl, -C(O)-OH, -C₁-C₆ alkyl-OH, -C₁-C₆ alkyl-SH, heterocyclyl,

or a pharmaceutical acceptable salt thereof.

4. The compound of formula (I) according to claim 3, wherein R¹ is aryl or heteroaryl, each of which is optionally substituted with one or more substituents independently selected from:

- (1) halo;
- (2) oxo;

(3) alkyl optionally substituted with one or more substituents independently selected from hydroxyl, mercapto, halo, $-\text{OC}_1\text{-C}_6$ alkyl, $-\text{NH}_2$, $-\text{N}(\text{C}_1\text{-C}_6 \text{ alkyl})_2$, $-\text{NH}(\text{C}_1\text{-C}_6 \text{ alkyl})$, cyano, nitro, $-\text{S}(\text{O})_2\text{-C}_1\text{-C}_6$ alkyl, $-\text{S}(\text{O})\text{-C}_1\text{-C}_6$ alkyl, $-\text{C}(\text{O})\text{-OH}$;

(4) $-(\text{CH}_2)_m$ -heterocyclyl optionally substituted with one or more substituents independently selected from $\text{C}_1\text{-C}_6$ alkyl, $-\text{C}_1\text{-C}_6$ alkyl-OH, $-\text{C}_1\text{-C}_6$ alkyl-SH and oxo, wherein m is 0, 1, 2, 3, 4, 5 or 6;

(5) $-(\text{CH}_2)_p$ -unsubstituted cycloalkyl, wherein p is 0, 1, 2, 3, 4, 5 or 6;

(6) $-(\text{CH}_2)_q$ -heteroaryl optionally substituted with one or more substituents independently selected from $\text{C}_1\text{-C}_6$ alkyl, wherein q is 0, 1, 2, 3, 4, 5 or 6;

(7) $-\text{S}(\text{O})_n\text{R}^9$, wherein R^9 is $\text{C}_1\text{-C}_6$ alkyl, and n is 1 or 2;

(8) $-(\text{CH}_2)_r\text{-C}(\text{O})\text{R}^{10}$, wherein R^{10} is heterocyclyl optionally substituted with one or more substituents independently selected from $\text{C}_1\text{-C}_6$ alkyl and oxo, wherein r is 0, 1, 2, 3, 4, 5 or 6;

(9) unsubstituted $\text{C}_2\text{-C}_6$ alkenyl;

(10) unsubstituted $\text{C}_2\text{-C}_6$ alkynyl;

(11) $-\text{OR}^8$, wherein R^8 is selected from hydrogen, alkyl optionally substituted with one or more substituents independently selected from heterocyclyl, or a pharmaceutical acceptable salt thereof.

5. The compound of formula (I) according to claim 1, wherein R^1 is aryl or heteroaryl, each of which is optionally substituted with one or more substituents independently selected from:

(1) halo;

(2) $-\text{NR}^6\text{R}^7$, wherein R^6 and R^7 are independently selected from hydrogen and $\text{C}_1\text{-C}_6$ alkyl optionally substituted with amino which is optionally substituted with $\text{C}_1\text{-C}_6$ alkyl;

(3) $-\text{OR}^8$, wherein R^8 is selected from hydrogen and $\text{C}_1\text{-C}_6$ alkyl optionally substituted with one or more substituents independently selected from: heterocyclyl optionally substituted with $-\text{OH}$ or mercapto, and amino optionally substituted with $\text{C}_1\text{-C}_6$ alkyl,

(4) $-\text{S}(\text{O})_n\text{R}^9$, wherein R^9 is $\text{C}_1\text{-C}_6$ alkyl, and n is 1 or 2;

(5) $-(\text{CH}_2)_r\text{-C}(\text{O})\text{R}^{10}$, wherein R^{10} is $\text{C}_1\text{-C}_6$ alkyl, or heterocyclyl optionally substituted with one or more substituents independently selected from $\text{C}_1\text{-C}_6$ alkyl and oxo, wherein r is 0, 1, 2, 3, 4, 5 or 6;

(6) -CN;

(7) -C(O)NR⁶R⁷, wherein R⁶ and R⁷ are independently selected from hydrogen and C₁-C₆ alkyl optionally substituted with amino which is optionally substituted with C₁-C₆ alkyl;

(8) -NR⁶C(O)R¹⁰, wherein R⁶ is H, and R¹⁰ is C₁-C₆ alkyl;

(9) oxo;

(10) alkyl optionally substituted with one or more substituents independently selected from hydroxyl, mercapto, halo, -OC₁-C₆ alkyl, -NH₂, -N(C₁-C₆ alkyl)₂, -NH(C₁-C₆ alkyl), cyano, nitro, -S(O)₂-C₁-C₆ alkyl, -S(O)-C₁-C₆ alkyl, -C(O)-OH;

(11) -(CH₂)_p-unsubstituted cycloalkyl, wherein p is 0, 1, 2, 3, 4, 5 or 6;

(12) -(CH₂)_m-heterocyclyl optionally substituted with one or more substituents independently selected from C₁-C₆ alkyl, C₃-C₈ cycloalkyl, -C₁-C₆ alkyl-OH, -C₁-C₆ alkyl-SH, -C₁-C₆ alkyl-O-C₁-C₆ alkyl, -NH₂, -N(C₁-C₆alkyl)₂, -NH(C₁-C₆alkyl), oxo, -C(O)C₁-C₆ alkyl, wherein m is 0, 1, 2, 3, 4, 5 or 6;

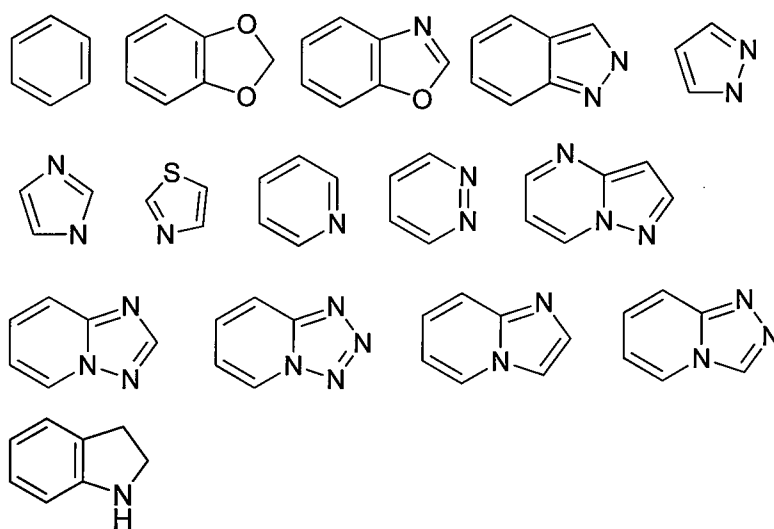
(13) -(CH₂)_q-heteroaryl optionally substituted with one or more substituents independently selected from C₁-C₆ alkyl, wherein q is 0, 1, 2, 3, 4, 5 or 6;

(14) unsubstituted C₂-C₆ alkenyl;

(15) unsubstituted C₂-C₆ alkynyl;

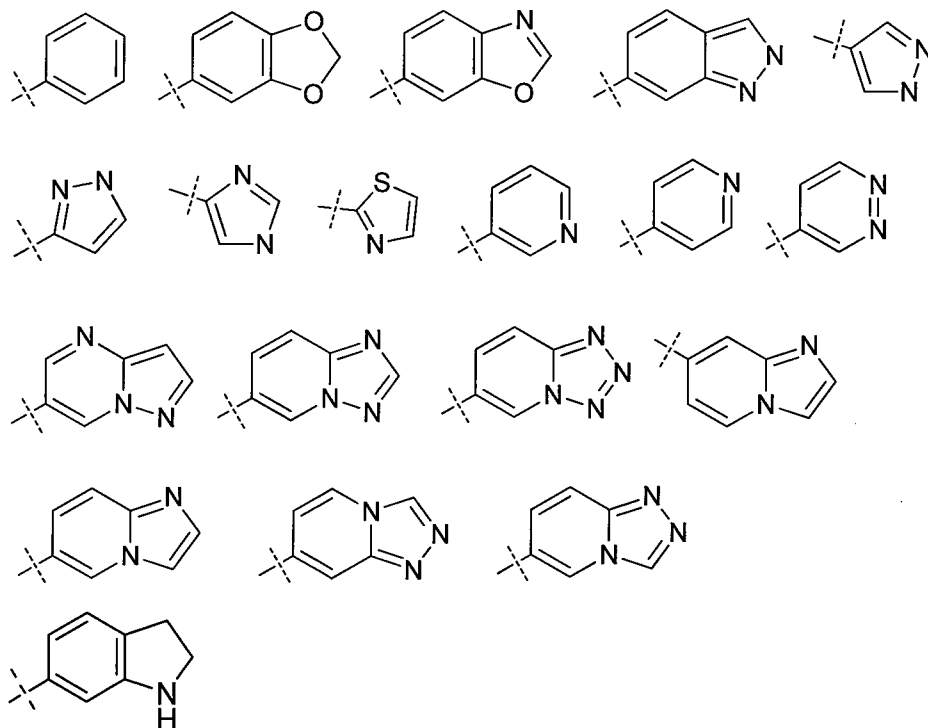
or a pharmaceutical acceptable salt thereof.

6. The compound of formula (I) according to claim 4 or 5, wherein R¹ is a radical of the ring chosen from



each of which is optionally substituted as defined in claim 4 or 5,
or a pharmaceutical acceptable salt thereof.

7. The compound of formula (I) according to claim 4 or 5, wherein R¹ is chosen from



each of which is optionally substituted as defined in claim 4 or 5,
or a pharmaceutical acceptable salt thereof.

8. The compound of formula (I) according to claim 1, wherein R⁸ is hydrogen, or C₁-C₆ alkyl optionally substituted with heterocyclyl, or a pharmaceutical acceptable salt thereof.

9. The compound of formula (I) according to claim 1, wherein R¹⁰ is heterocyclyl optionally substituted with one or more substituents independently selected from C₁-C₆ alkyl and oxo, or a pharmaceutical acceptable salt thereof.

10. The compound of formula (I) according to claim 1, wherein R¹ is aryl optionally substituted by one or more substituents independently selected from: (1) halo; (2) alkyl optionally substituted with -C(O)-OH; (3) -(CH₂)_m-heterocyclyl optionally substituted with one or more substituents independently selected from C₁-C₆ alkyl, -C₁-C₆ alkyl-OH, -C₁-C₆ alkyl-SH and oxo, wherein m is 0, 1, 2, 3, 4, 5 or 6; (4) -(CH₂)_q-heteroaryl optionally substituted with one or more substituents independently

selected from C₁-C₆ alkyl, wherein q is 0; (5) -(CH₂)_r-C(O)R¹⁰, wherein R¹⁰ is heterocyclyl optionally substituted with one or more substituents independently selected from C₁-C₆ alkyl and oxo, wherein r is 0; (6) unsubstituted C₂-C₆ alkenyl; (7) unsubstituted C₂-C₆ alkynyl; (8) -OR⁸, wherein R⁸ is selected from hydrogen, alkyl optionally substituted with one or more substituents independently selected from heterocyclyl,

or a pharmaceutical acceptable salt thereof.

11. The compound of formula (I) according to any one of claims 1 to 10, wherein R¹ is phenyl substituted by piperazinyl, which piperazinyl is optionally substituted by one or more C₁-C₆ alkyl or C₃-C₈ cycloalkyl, or a pharmaceutical acceptable salt thereof.

12. The compound of formula (I) according to claim 11 wherein R¹ is phenyl substituted by piperazinyl, which piperazinyl is optionally substituted by one or more C₁-C₆ alkyl, or a pharmaceutical acceptable salt thereof.

13. The compound of formula (I) according to claim 11 wherein R¹ is phenyl substituted by piperazinyl, which is optionally substituted by one or more methyl or ethyl, or a pharmaceutical acceptable salt thereof.

14. The compound of formula (I) according to claim 1, wherein R¹ is pyrazolyl, which is optionally substituted with one or more substituents selected from:

(1) alkyl optionally substituted with one or more substituents independently selected from hydroxyl, mercapto, halo, -OC₁-C₆ alkyl, -NH₂, -N(C₁-C₆ alkyl)₂, -NH(C₁-C₆ alkyl), -S(O)₂-C₁-C₆ alkyl, -S(O)-C₁-C₆ alkyl;

(2) -(CH₂)_m-heterocyclyl optionally substituted with one or more substituents independently selected from C₁-C₆ alkyl, wherein m is 0, 1, 2, 3, 4, 5 or 6;

(3) -(CH₂)_p-unsubstituted cycloalkyl, wherein p is 0, 1, 2, 3, 4, 5 or 6;

(4) -(CH₂)_q-heteroaryl optionally substituted with one or more substituents independently selected from C₁-C₆ alkyl, wherein q is 0, 1, 2, 3, 4, 5 or 6;

(5) -S(O)_nR⁹, wherein R⁹ is C₁-C₆ alkyl, and n is 1 or 2;

(6) -(CH₂)_r-C(O)R¹⁰, wherein R¹⁰ is heterocyclyl optionally substituted with one or more substituents independently selected from C₁-C₆ alkyl and oxo, wherein r is 0, 1, 2,

3, 4, 5 or 6,

or a pharmaceutical acceptable salt thereof.

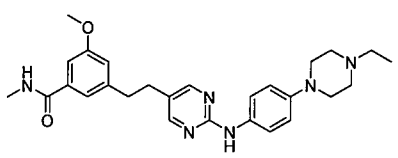
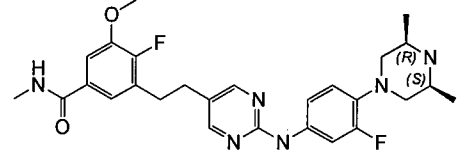
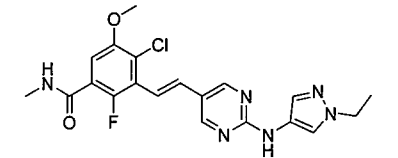
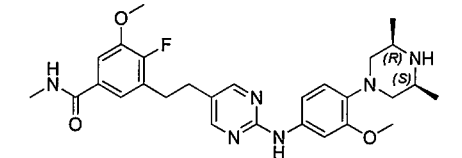
15. The compound of formula (I) according to any one of claims 1 to 14, wherein R^2 is chosen from C_1 - C_6 alkyl, C_1 - C_6 alkoxy optionally substituted with hydroxyl, or C_3 - C_8 cycloalkyl, or a pharmaceutical acceptable salt thereof.

16. The compound of formula (I) according to claim 15, wherein R^2 is methyl, ethyl, methoxy, ethoxy substituted with hydroxyl, isopropoxy or cyclopropyl, or a pharmaceutical acceptable salt thereof.

17. The compound of formula (I) according to any one of claims 1 to 14, wherein R^3 , R^4 are independently chosen from hydrogen, halogen, -CN, or unsubstituted C_1 - C_6 alkyl, R^5 is C_1 - C_6 alkyl, or R^3 and R^5 together with the O atom to which R^5 is attached and the bond there-between form a 5- or 6-membered oxy-containing heterocyclic ring, or a pharmaceutical acceptable salt thereof.

18. The compound of formula (I) according to any one of claims 1 to 14, wherein R^4 is hydrogen, and R^3 and R^5 together with the O atom to which R^5 is attached and the bond there-between form furan or dihydrofuran ring, or a pharmaceutical acceptable salt thereof.

19. The compound of formula (I) according to claim 1, wherein said compound is selected from Compounds 1-228, 230-299, 301-309:

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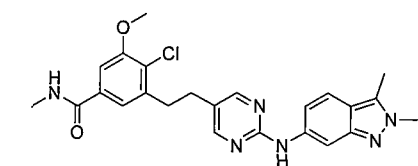
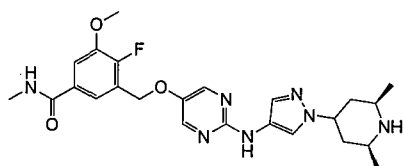
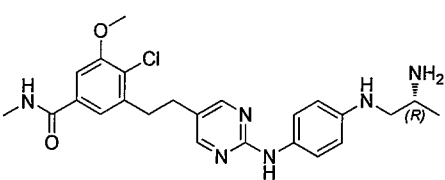
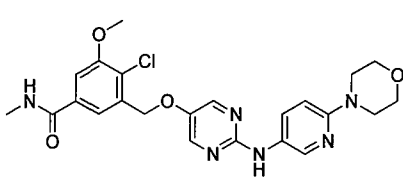
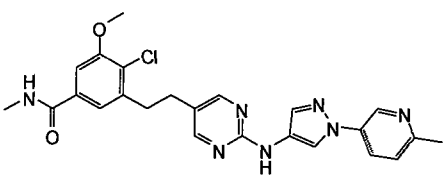
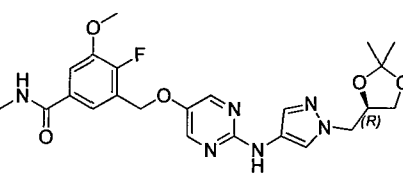
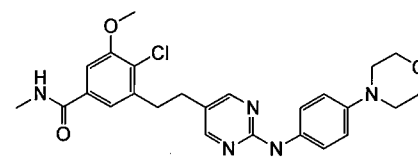
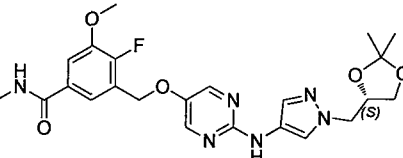
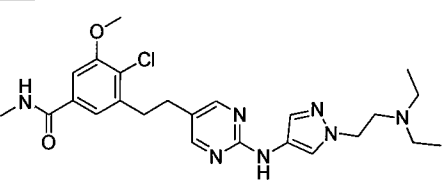
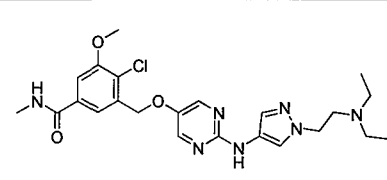
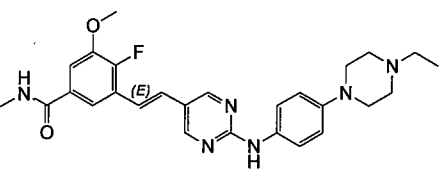
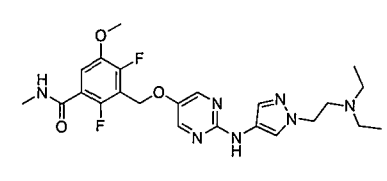
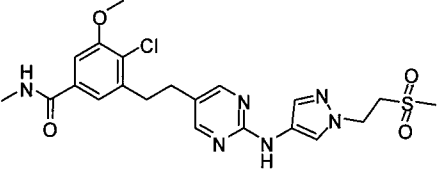
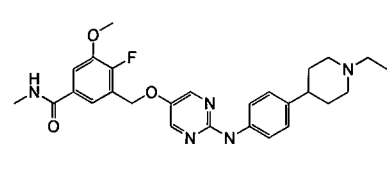
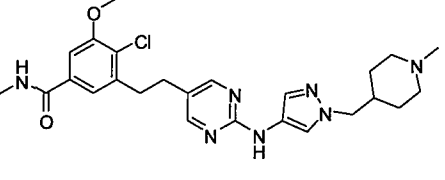
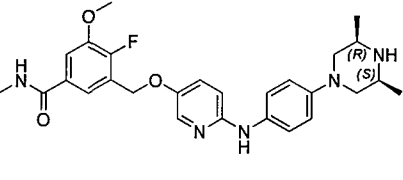
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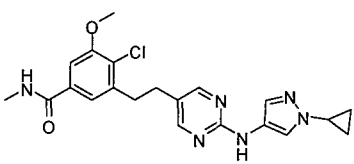
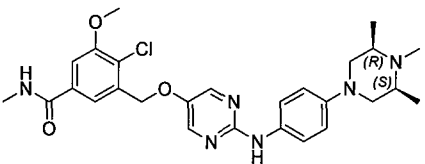
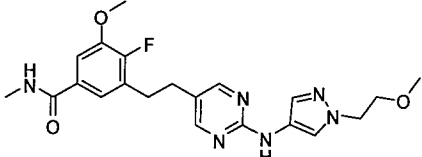
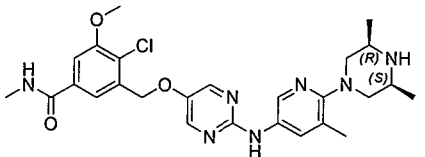
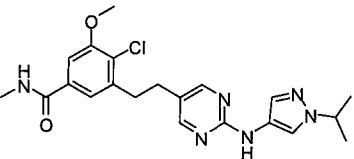
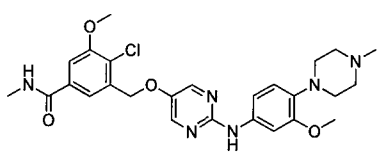
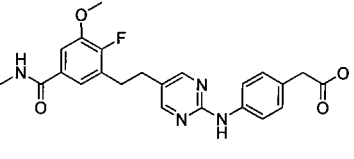
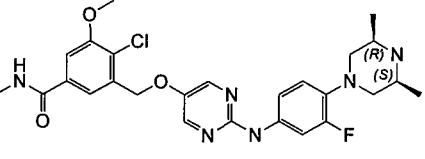
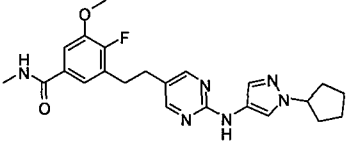
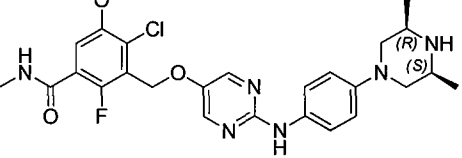
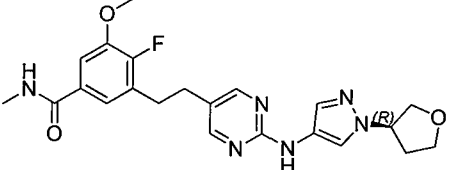
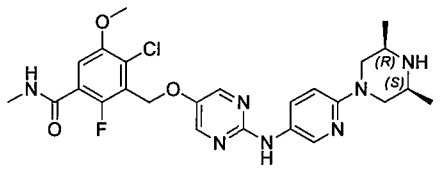
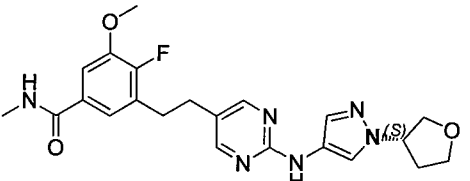
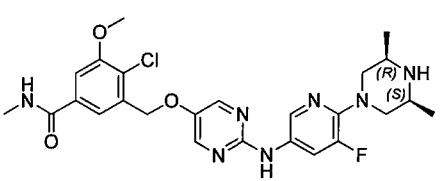
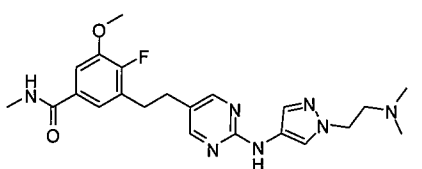
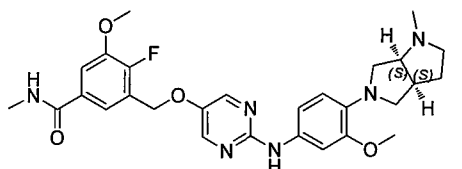
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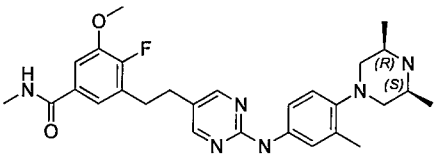
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or a pharmaceutical acceptable salt thereof.

20. The compound of formula (I) according to any one of claims 1-19 and/or a pharmaceutical acceptable salt thereof for use as a medicament.

21. A pharmaceutical composition comprising at least one compound of formula (I) according to any one of claims 1-19 and/or at least one pharmaceutically acceptable salt thereof and optionally at least one pharmaceutically acceptable carrier.

22. Use of at least one compound of formula (I) according to any one of claims 1-19 and/or at least one pharmaceutically acceptable salt thereof in the manufacture of a medicament for treating a disease responsive to inhibition of FGFR.

23. The use according to claim 22, wherein the disease responsive to inhibition of FGFR is cancer.

24. The use according to claim 23, wherein the cancer is lung cancer, stomach cancer, liver cancer, breast cancer, ovarian cancer, endometrial carcinoma, or bladder carcinoma.