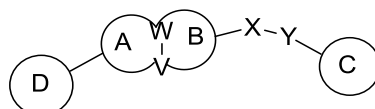


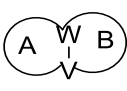
Claims

1. A compound of formula (I) or a racemate, a stereoisomer, a tautomer, an isotopically labeled compound, a nitrogen oxide, a solvate, a polymorph, or a pharmaceutically acceptable salt thereof,



(I)

wherein,

wherein, in , ring A is phenyl, or 5-6 membered heteroaryl containing one or two heteroatoms selected from N, O, and S; ring B is a nitrogen-containing 5-6 membered heterocyclyl, or a nitrogen-containing 5-6 membered heteroaryl, and the ring B is attached to the X via an N atom present on the ring B; and  is unsubstituted or optionally substituted with one, two or more Rab;

W and V are each independently C or N;

X is -C(=O)NR_x;

Y is the following group unsubstituted or optionally substituted with one, two or more R_y: methylene, ethylidene, or propylidene;

ring C is the following group unsubstituted or optionally substituted with one, two or more R_c: phenyl, pyridinyl, pyrazolyl, imidazolyl, or benzimidazolyl; and

ring D is the following group unsubstituted or optionally substituted with one, two or more R_d: pyrazolyl, or triazolyl;

wherein each R_y is independently H, halogen, OH, or the following group unsubstituted or optionally substituted with one, two or more R: (C₁-C₂₀) aliphatic hydrocarbyl, (C₁-C₂₀) aliphatic hydrocarbyl comprising 1-3 heteroatoms selected from nitrogen and oxygen, or 3-7 membered heterocyclyl containing one or two heteroatoms selected from nitrogen and oxygen, wherein each R is independently =O, halogen, CN, OH, SH, NH₂, or the following group unsubstituted or optionally substituted with one, two or more R': 3-7 membered heterocyclyl

containing 1-3 heteroatoms selected from N, O and S, wherein each R' is independently =O, halogen or CN;

each R_{ab} is independently H, halogen, CN, or (C₁-C₂₀) aliphatic hydrocarbyl, wherein the (C₁-C₂₀) aliphatic hydrocarbyl is unsubstituted or substituted with one, two or more halogen;

- 5 each R_c is independently H, halogen, -C(O)NR₁₁R₁₂, or the following group unsubstituted or optionally substituted with one, two or more R: (C₁-C₂₀) aliphatic hydrocarbyl, or (C₁-C₂₀) aliphatic hydrocarbyl comprising 1-3 heteroatoms selected from nitrogen and oxygen; wherein each R is =O, halogen, CN, OH, SH, NH₂, or the following group unsubstituted or optionally substituted with one, two or more R': 3-7 membered heterocyclyl containing one heteroatom
10 selected from nitrogen and oxygen, (C₁-C₂₀) aliphatic hydrocarbyl, or C₃₋₇ alicyclic hydrocarbyl, wherein each R' is independently halogen or (C₁-C₂₀) aliphatic hydrocarbyl; and wherein R₁₁ is H or C₃₋₇ alicyclic hydrocarbyl; and R₁₂ is H or C₃₋₇ alicyclic hydrocarbyl;

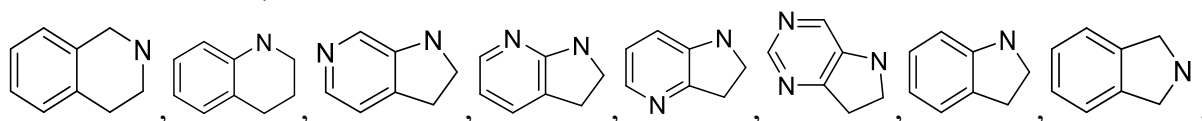
- each R_d is independently H, halogen, -NR₁₁R₁₂, or (C₁-C₂₀) aliphatic hydrocarbyl wherein the (C₁-C₂₀) aliphatic hydrocarbyl is unsubstituted or optionally substituted with one, two or more
15 halogen; wherein each of R₁₁ and R₁₂ is H; and

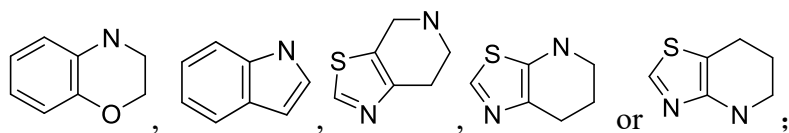
each R_x is independently H, halogen, or the following group unsubstituted or optionally substituted with one, two or more halogen: (C₁-C₂₀) aliphatic hydrocarbyl, (C₁-C₂₀) aliphatic hydrocarbyl comprising one heteroatom selected from nitrogen and oxygen, or 3-7 membered heterocyclyl containing one heteroatom selected from oxygen and nitrogen.

20

2. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the nitrogen oxide, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to claim 1, wherein:

wherein, the group  is the following structures unsubstituted or optionally
25 substituted with one, two or more R_{ab}:





wherein each R_y is independently H, halogen, OH, or the following group unsubstituted or optionally substituted with one, two or more R: (C₁-C₁₂) aliphatic hydrocarbyl, (C₁-C₁₂) aliphatic hydrocarbyl comprising 1-3 heteroatoms selected from nitrogen and oxygen, or 3-7 membered heterocyclyl containing one or two heteroatoms selected from nitrogen and oxygen; wherein each R is independently =O, halogen, CN, OH, SH, NH₂, or the following group unsubstituted or optionally substituted with one, two or more R': 3-7 membered heterocyclyl containing 1-3 heteroatoms selected from N, O and S, wherein each R' is independently =O, halogen, or CN;

each R_{ab} is independently H, halogen, CN, or (C₁-C₁₂) aliphatic hydrocarbyl, wherein the (C₁-C₁₂) aliphatic hydrocarbyl is unsubstituted or substituted with one, two or more halogen;

each R_c is independently H, halogen, -C(O)NR₁₁R₁₂, or the following group unsubstituted or optionally substituted with one, two or more R: (C₁-C₁₂) aliphatic hydrocarbyl, or (C₁-C₁₂) aliphatic hydrocarbyl comprising 1-3 heteroatoms selected from nitrogen and oxygen; wherein

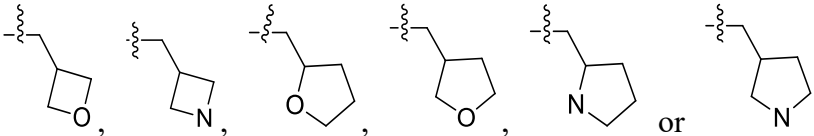
each R is independently =O, halogen, CN, OH, SH, NH₂, or the following group unsubstituted or optionally substituted with one, two or more R': 3-7 membered heterocyclyl containing one heteroatom selected from nitrogen and oxygen, (C₁-C₁₂) aliphatic hydrocarbyl, or C₃₋₇ alicyclic hydrocarbyl; wherein each R' is independently halogen or (C₁-C₁₂) aliphatic hydrocarbyl; and wherein R₁₁ is H or C₃₋₇ alicyclic hydrocarbyl; and R₁₂ is H or C₃₋₇ alicyclic hydrocarbyl;

each R_d is independently H, halogen, -NR₁₁R₁₂, or (C₁-C₁₂) aliphatic hydrocarbyl, wherein the (C₁-C₁₂) aliphatic hydrocarbyl is unsubstituted or optionally substituted with one, two or more halogen; and wherein R₁₁ and R₁₂ are each H; and

each R_x is independently H, halogen, or the following group unsubstituted or optionally substituted with one, two or more halogen: (C₁-C₁₂) aliphatic hydrocarbyl, (C₁-C₁₂) aliphatic hydrocarbyl comprising one heteroatom selected from nitrogen and oxygen, or 3-7 membered heterocyclyl containing one heteroatom selected from oxygen and nitrogen.

3. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the nitrogen oxide, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to claim 1, wherein:

each R_y is independently H, halogen, OH, or the following group unsubstituted or optionally substituted with one, two or more R: methyl, ethyl, propyl, butyl, pentyl, hexyl, $\text{NH}_2(\text{CH}_2)_m$, $(\text{CH}_3)_2\text{N}(\text{CH}_2)_m$, $\text{CH}_3\text{NH}(\text{CH}_2)_m$, oxetanyl, azetidiny, tetrahydropyranyl, tetrahydrofuranyl,

pyrrolyl, piperidyl, , wherein m is selected from 0, 1, 2 and 3;

wherein each R is independently =O, halogen, CN, OH, SH, NH_2 , or the following group unsubstituted or optionally substituted with one, two or more R': 3-7 membered heterocyclyl containing one nitrogen; wherein each R' is independently halogen or $(\text{C}_1\text{-C}_6)$ aliphatic hydrocarbyl;

each R_{ab} is H, halogen, CN, or the following group unsubstituted or optionally substituted with one, two or more halogen: methyl, ethyl, propyl, butyl, pentyl, or hexyl;

each R_c is independently H, halogen, $-\text{C}(\text{O})\text{NR}_{11}\text{R}_{12}$, or the following group unsubstituted or optionally substituted with one, two or more R: methyl, ethyl, propyl, methoxy, ethoxy, propoxy, butoxy, pentyloxy, hexyloxy, $-\text{O}(\text{CH}_2)_n\text{O}(\text{CH}_2)_m\text{CH}_3$, $\text{NH}_2(\text{CH}_2)_m$, $(\text{CH}_3)_2\text{N}(\text{CH}_2)_m$, $\text{CH}_3\text{NH}(\text{CH}_2)_m$, $-(\text{NH})_k\text{C}(\text{O})\text{NH}_2$, $-(\text{NH})_k\text{C}(\text{O})\text{NH}(\text{CH}_2)_m\text{CH}_3$, $-(\text{NH})_k\text{C}(\text{O})\text{N}(\text{CH}_3)(\text{CH}_2)_m\text{CH}_3$, $-(\text{NH})_k\text{C}(\text{O})(\text{CH}_2)_m\text{CH}_3$,

$-\text{OC}(\text{O})(\text{CH}_2)_m\text{CH}_3$, $-\text{O}(\text{CH}_2)_m\text{C}(\text{=O})(\text{CH}_2)_m(\text{NH})_k\text{H}$, or $-\text{C}(\text{O})\text{O}(\text{CH}_2)_m\text{CH}_3$, wherein each n is independently selected from 1, 2 and 3; each m is independently selected from 0, 1, 2 and 3; each k is independently selected from 0 and 1; wherein each R is independently =O, halogen, CN, OH, SH, NH_2 , or the following group unsubstituted or optionally substituted with one, two or more R': 3-7 membered heterocyclyl containing one heteroatom selected from nitrogen and oxygen, $(\text{C}_1\text{-C}_6)$ aliphatic hydrocarbyl, or C_{3-7} alicyclic hydrocarbyl; wherein each R' is independently halogen or $(\text{C}_1\text{-C}_6)$ aliphatic hydrocarbyl; and wherein R_{11} is H or C_{3-7} alicyclic hydrocarbyl and R_{12} is H or C_{3-7} alicyclic hydrocarbyl;

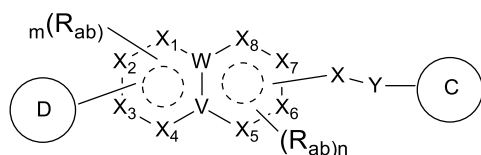
each R_d is independently H, halogen, $-NH_2$, or (C_1-C_6) aliphatic hydrocarbyl, wherein the (C_1-C_6) aliphatic hydrocarbyl is unsubstituted or optionally substituted with one, two or more halogen.

- 5 4. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the nitrogen oxide, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to any one of claims 1–3, wherein:

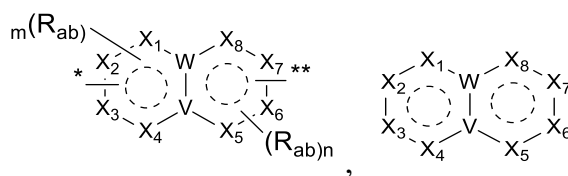
each R_x is independently H, halogen, and the following group unsubstituted or optionally substituted with one, two or more halogen: methyl, ethyl, propyl, butyl, pentyl, hexyl, vinyl, propenyl, butenyl, pentenyl, hexenyl, $NH_2(CH_2)_m-$, $(CH_3)_2N(CH_2)_m-$, $CH_3NH(CH_2)_m-$,
 10 oxetanyl, azetidiny, tetrahydropyranyl, tetrahydrofuranyl, pyrrolyl, or piperidyl, wherein each m is independently selected from 0, 1, 2 and 3.

5. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically
 15 labeled compound, the nitrogen oxide, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to any one of claims 1–3, wherein:

the compound of formula (I) is a compound of the following formula (II):

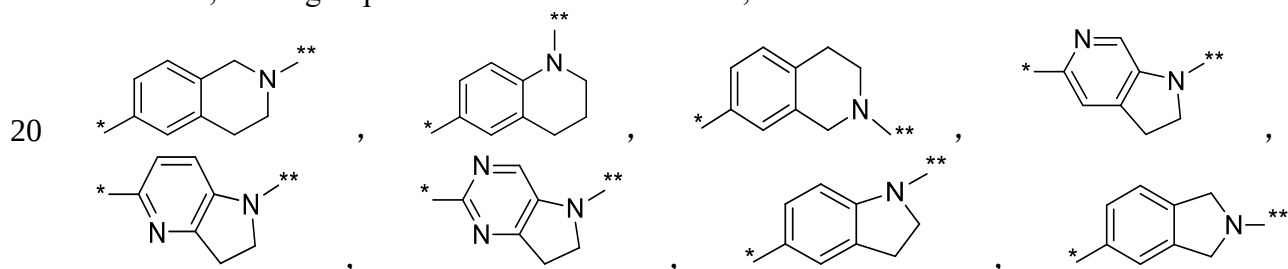


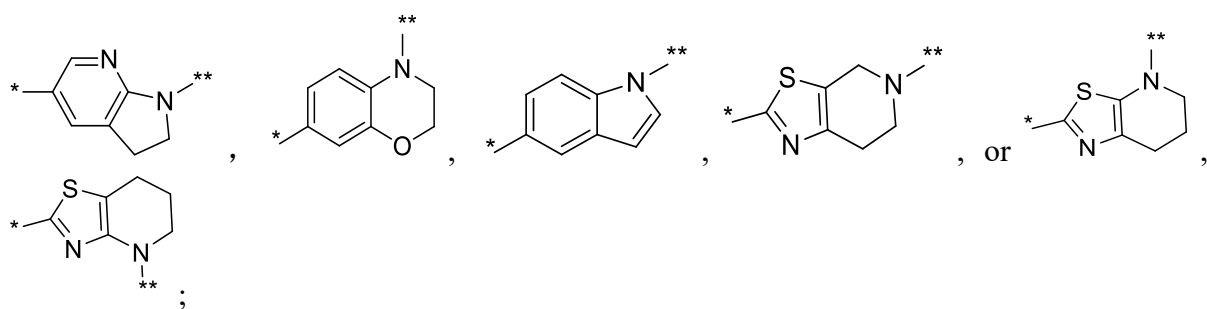
(II)



wherein, in the group

is:





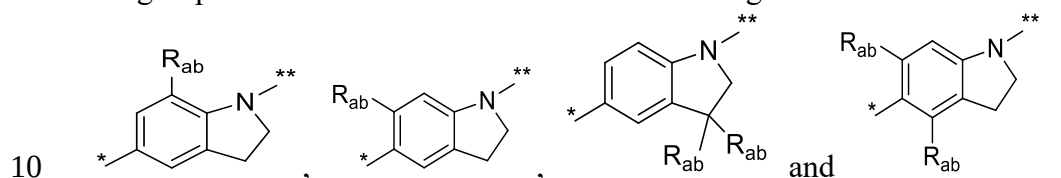
m is selected from 0, 1, 2, 3 and 4; and

n is selected from 0, 1, 2, 3 and 4.

5

6. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the nitrogen oxide, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to any one of claims 1-5, wherein:

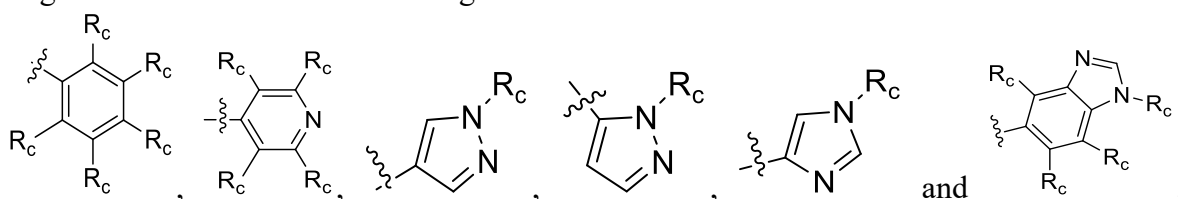
the group  is selected from the following structures:



10

7. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the nitrogen oxide, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to any one of claims 1-6, wherein:

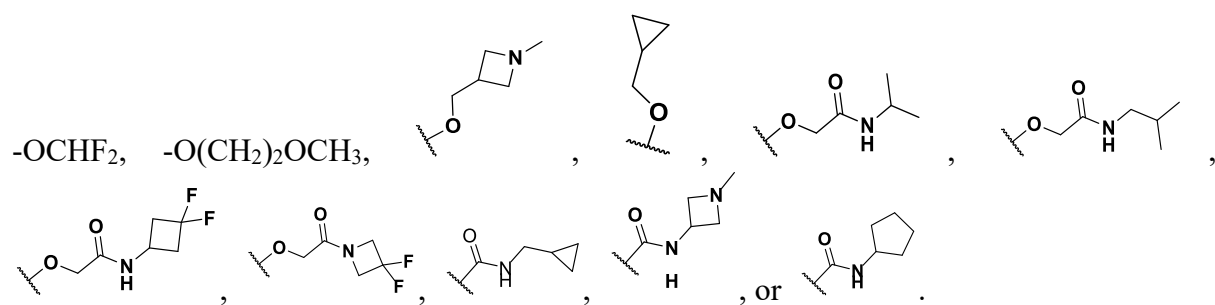
15 ring C is selected from the following structures:



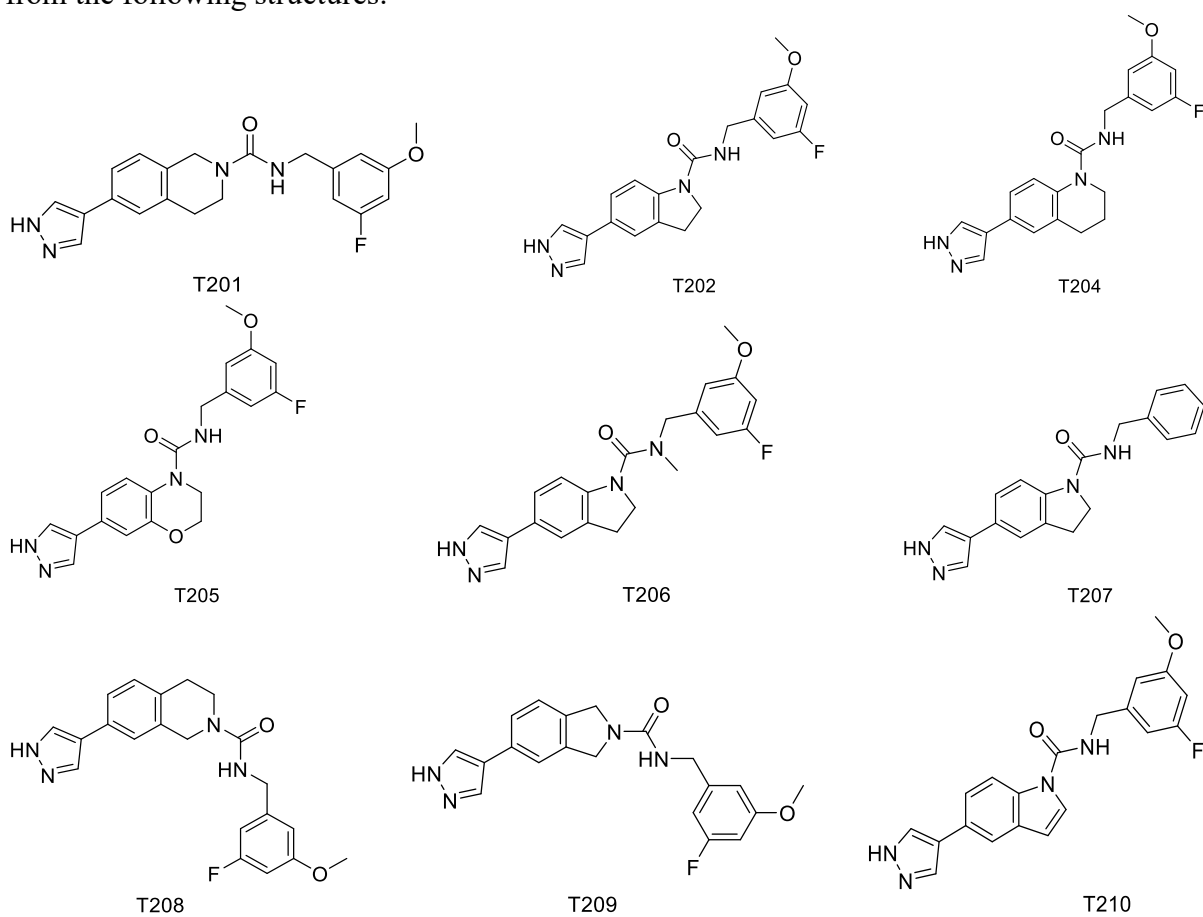
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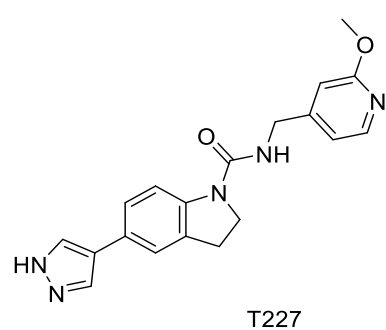
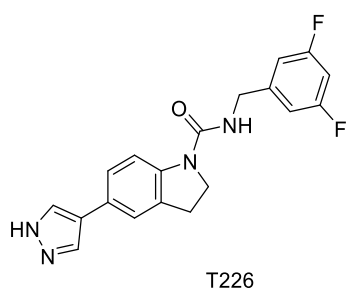
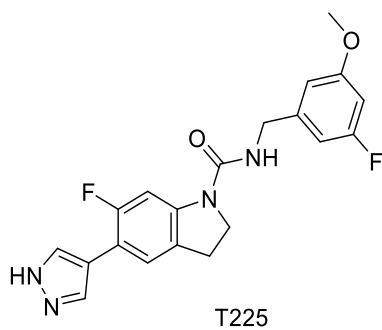
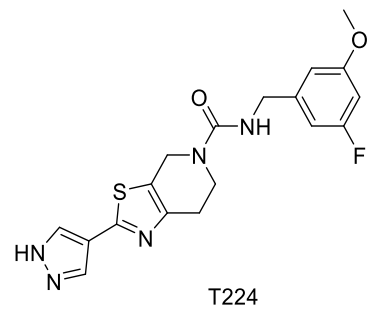
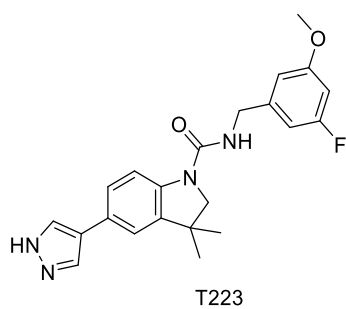
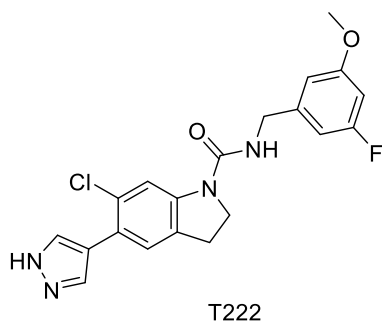
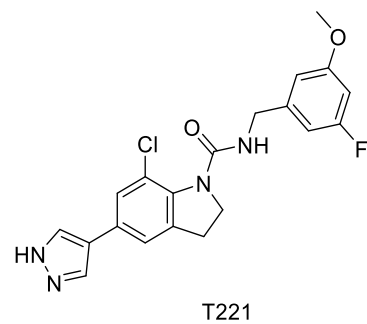
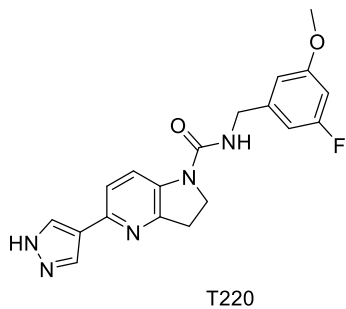
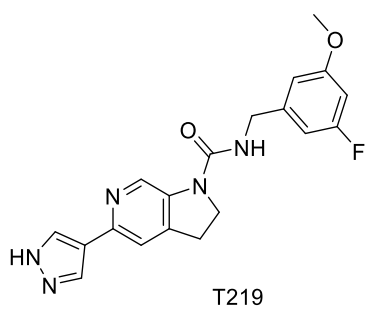
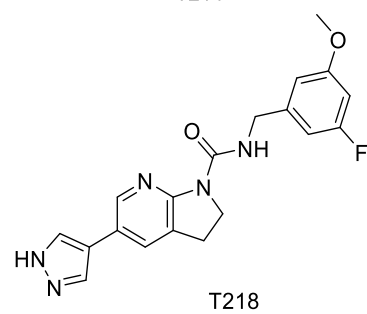
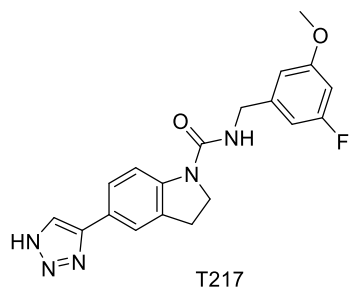
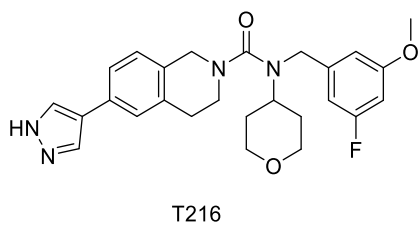
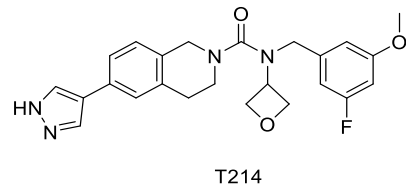
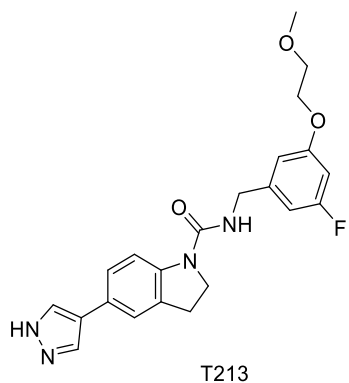
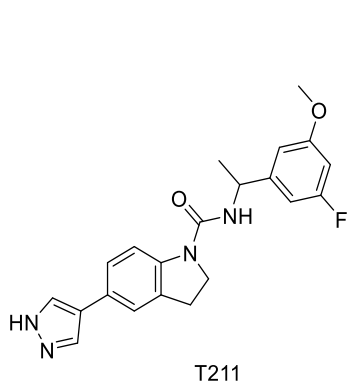
8. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the nitrogen oxide, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to any one of claims 1-7, wherein:

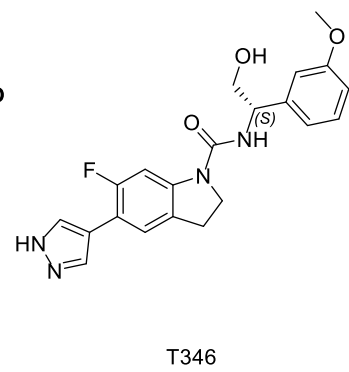
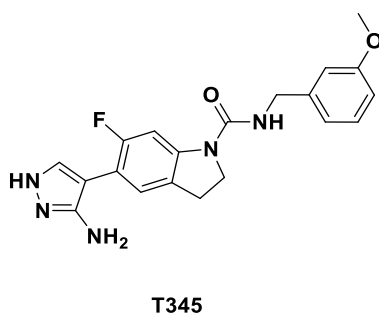
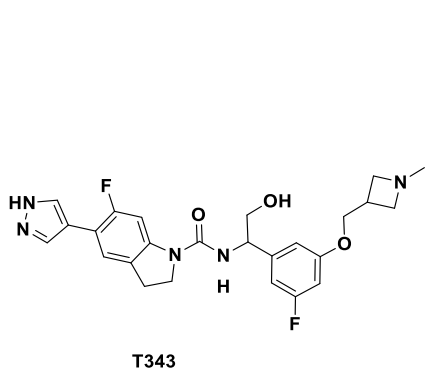
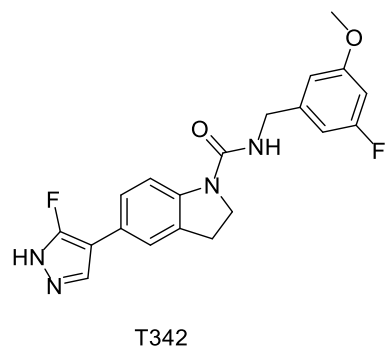
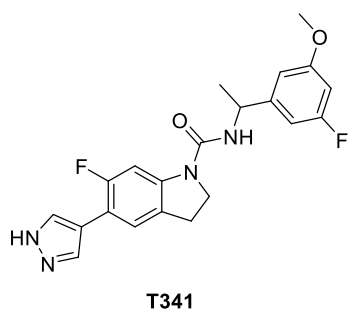
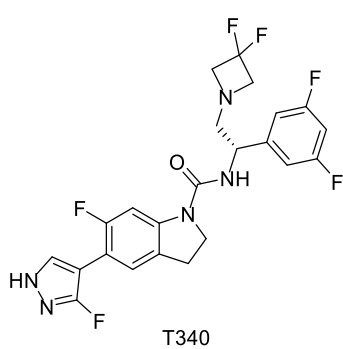
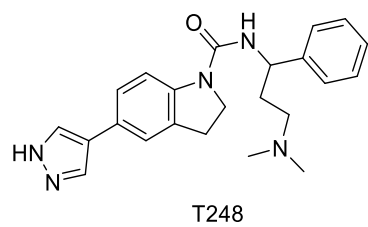
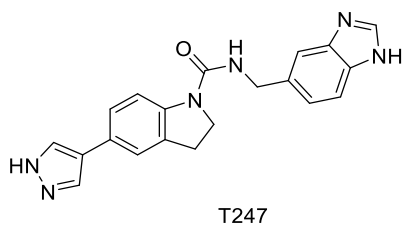
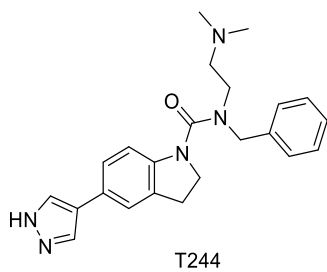
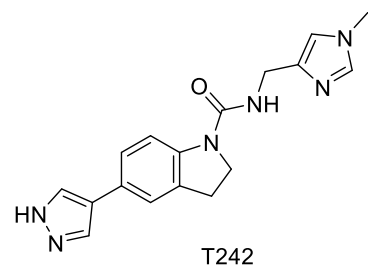
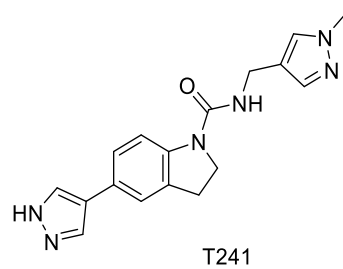
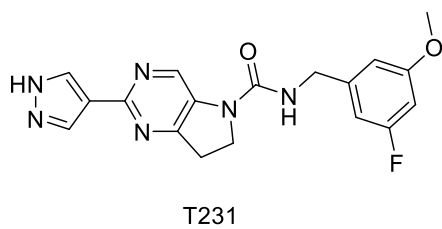
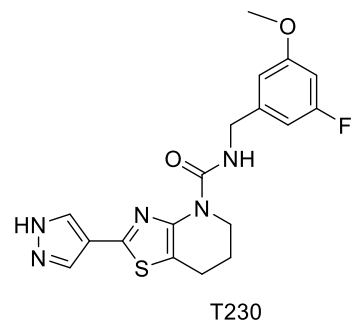
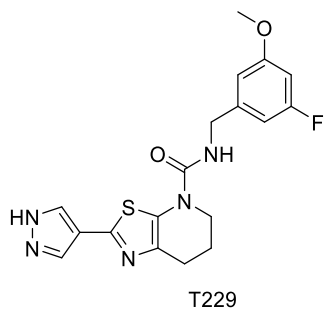
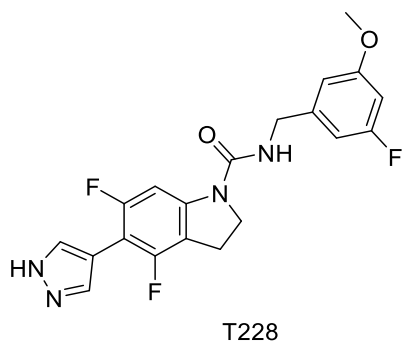
each R_c is independently H, halogen, methyl, ethyl, propyl, methoxy, ethoxy, -OCH₂CH(CH₃)₂,

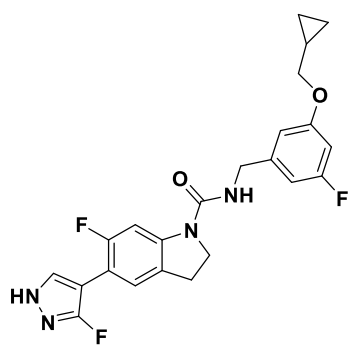


9. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically
 5 labeled compound, the nitrogen oxide, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to claim 1, wherein the compound of formula (I) is selected from the following structures:

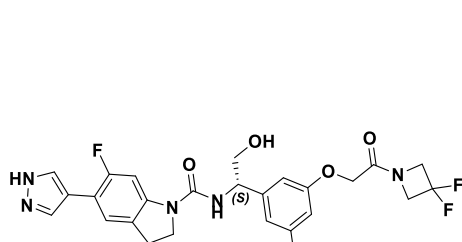




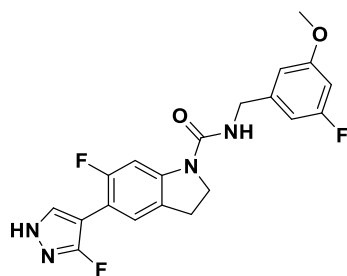




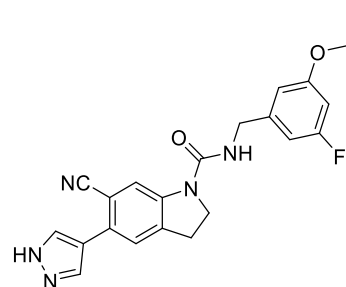
T347



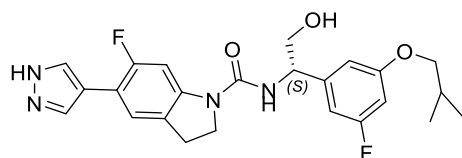
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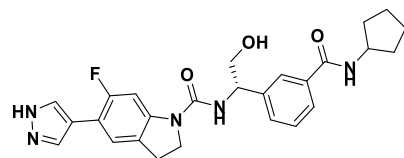
T351



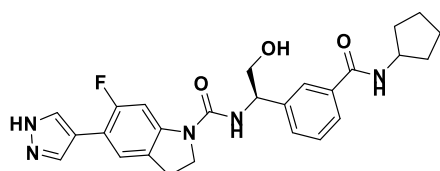
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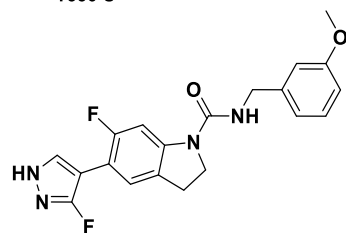
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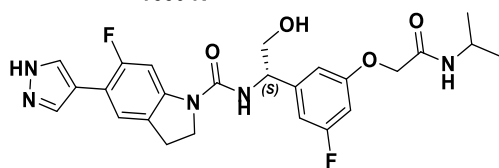
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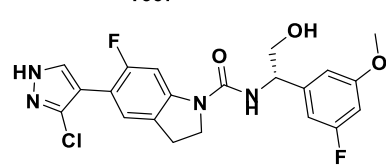
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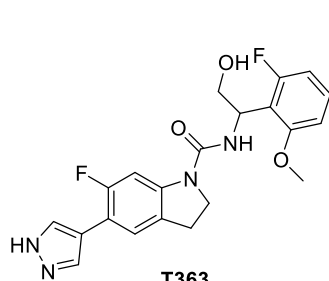
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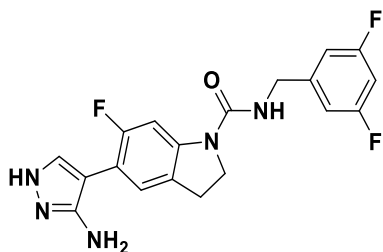
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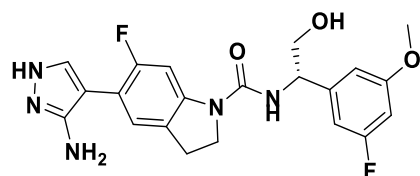
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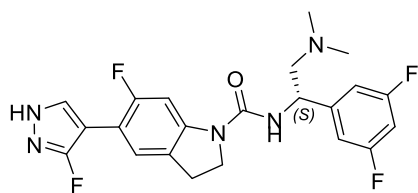
T363



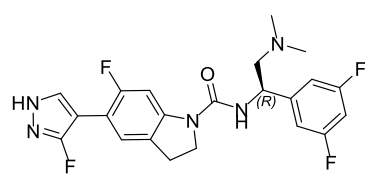
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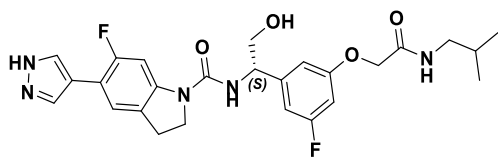
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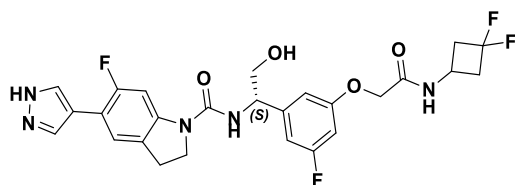
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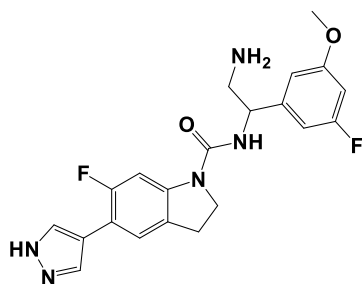
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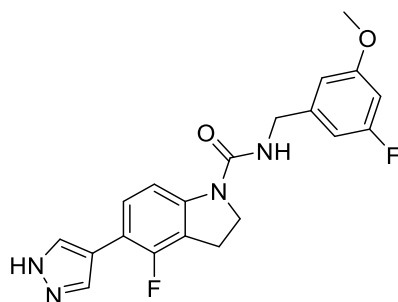
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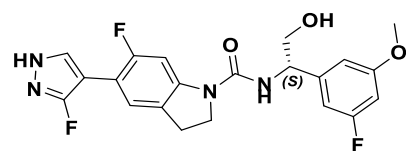
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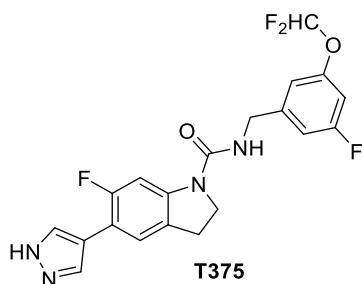
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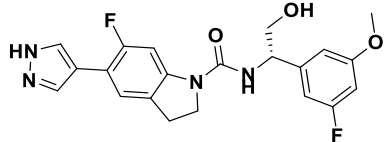
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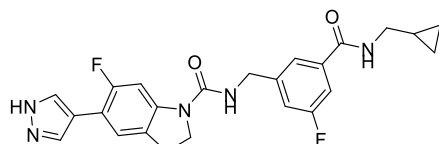
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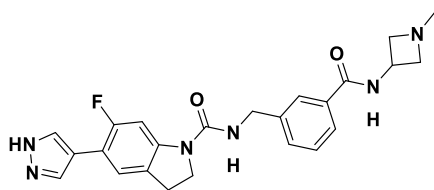
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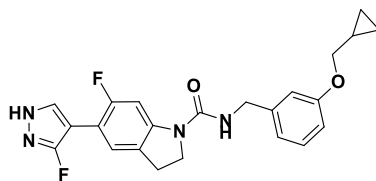
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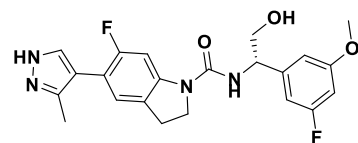
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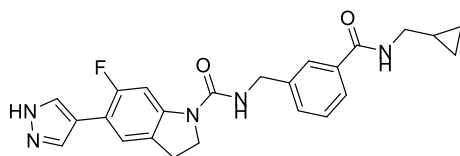
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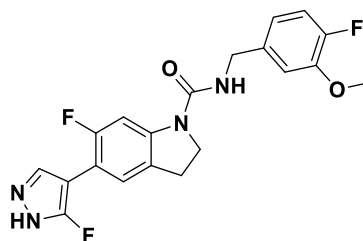
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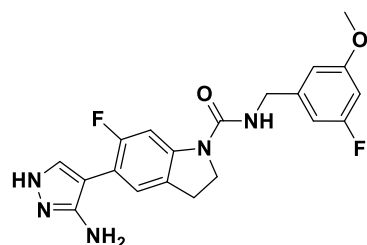
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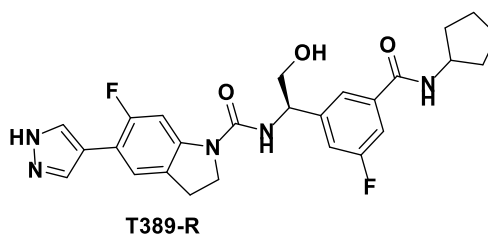
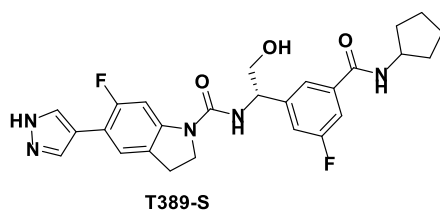
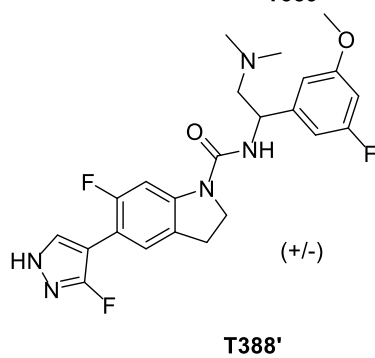
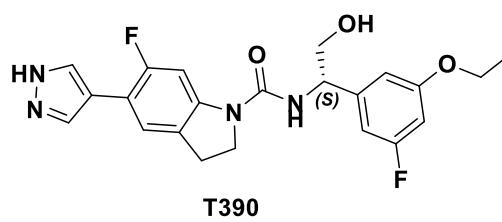
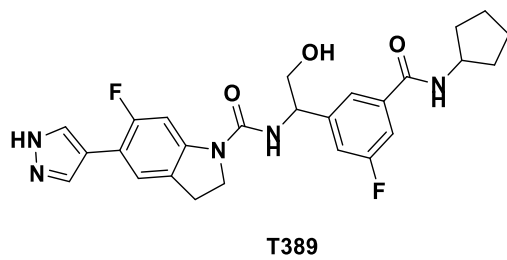
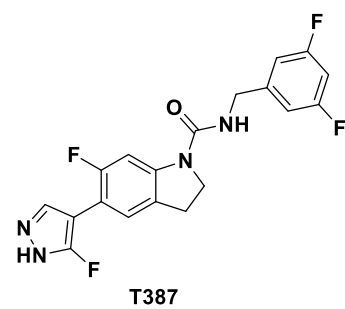
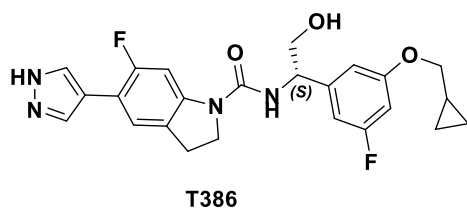
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T384

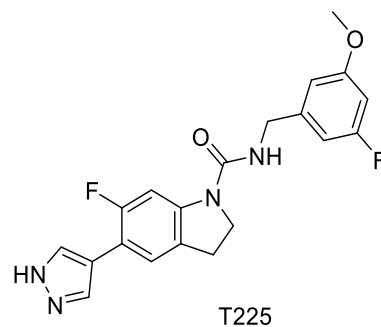
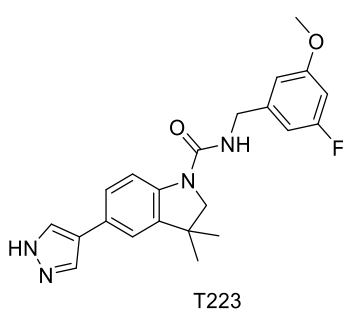
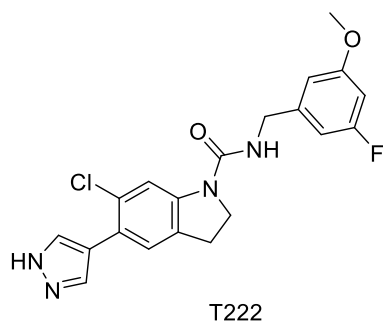
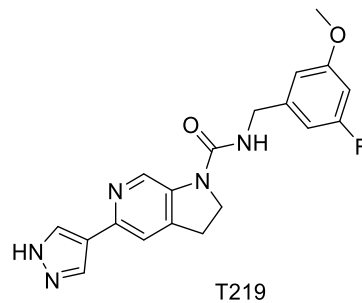
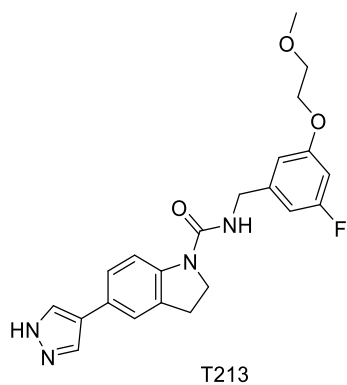
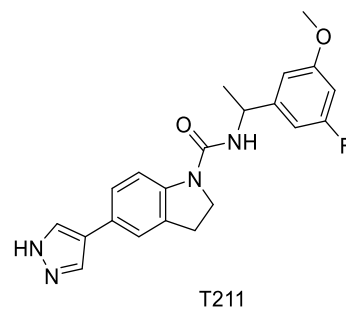
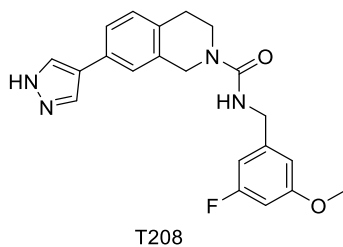
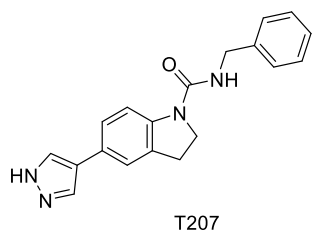
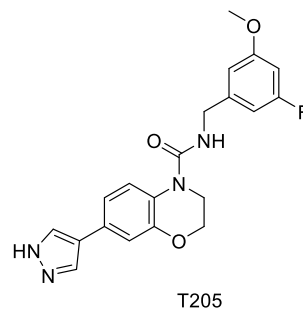
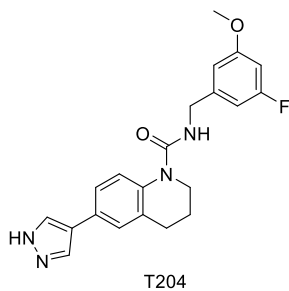
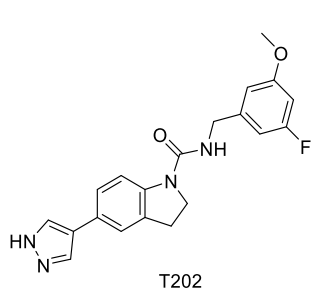


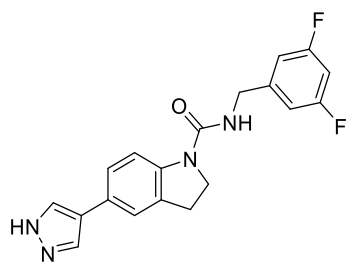
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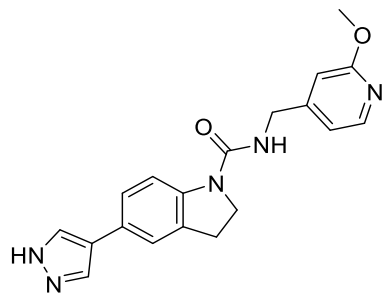
10. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the nitrogen oxide, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to claim 1, wherein the compound of formula (I) is selected from the following structures:

5

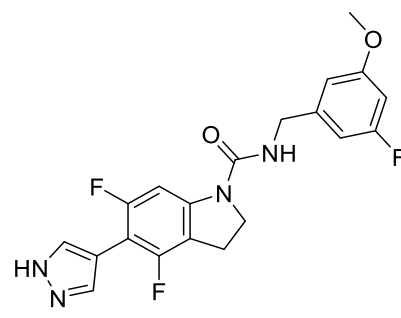




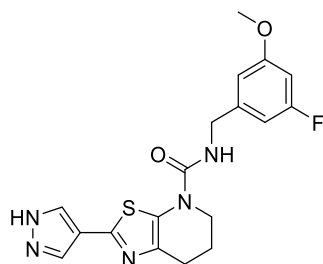
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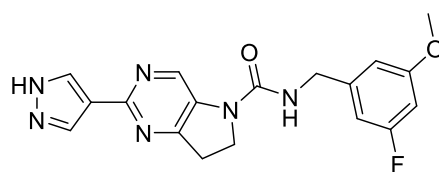
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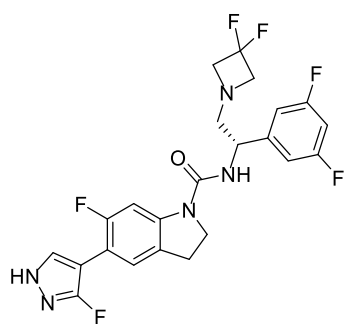
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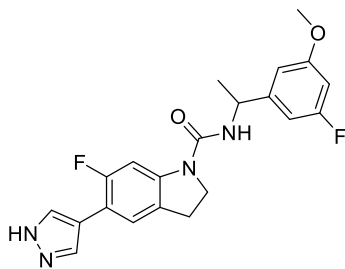
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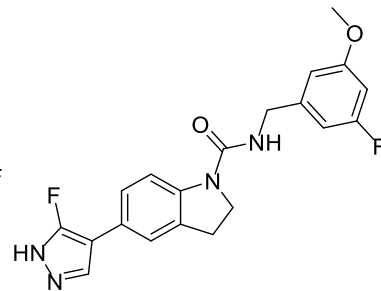
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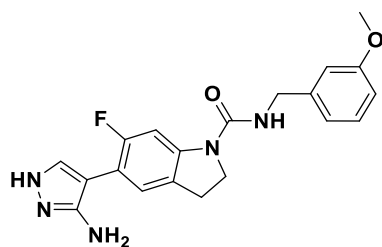
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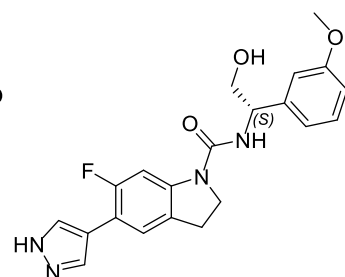
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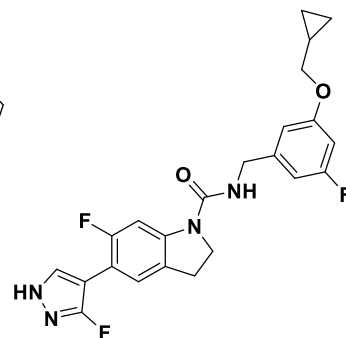
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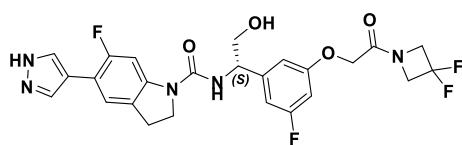
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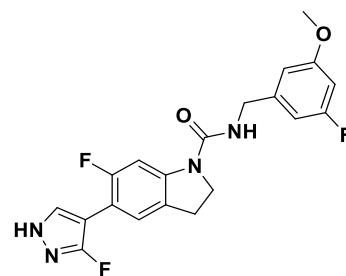
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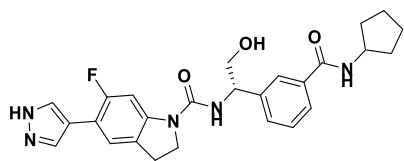
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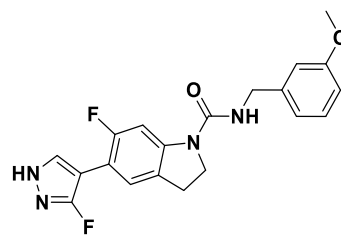
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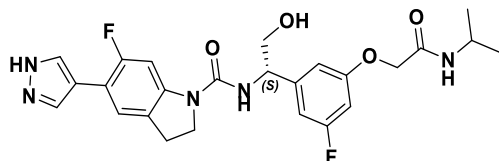
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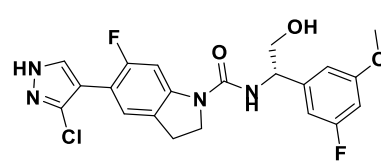
T356-S



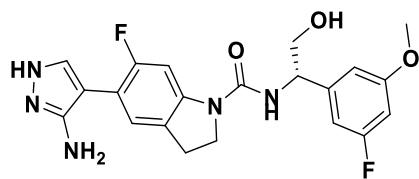
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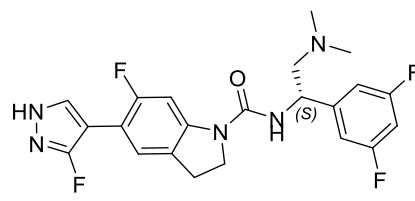
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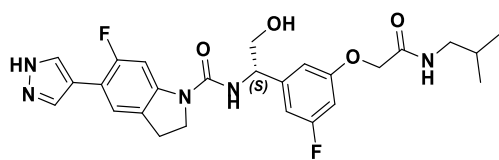
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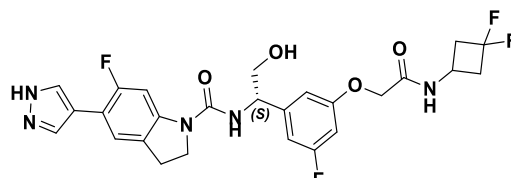
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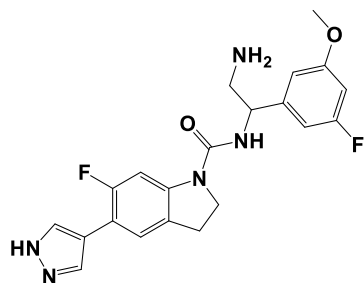
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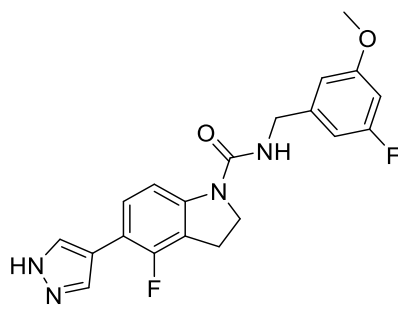
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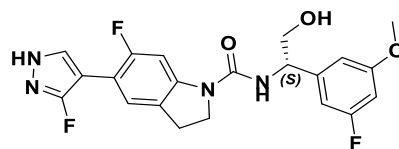
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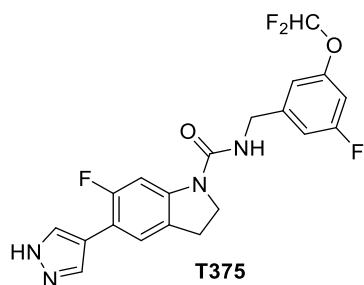
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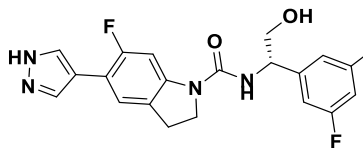
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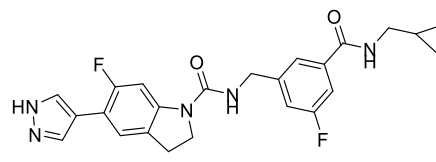
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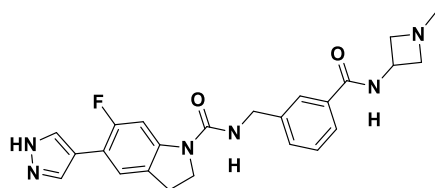
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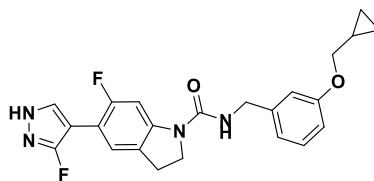
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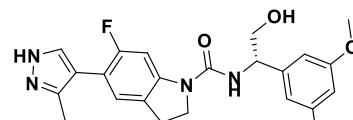
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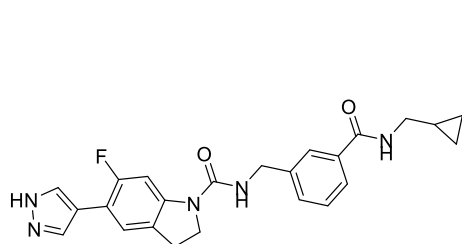
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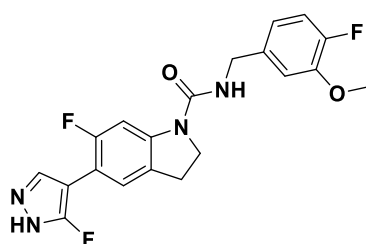
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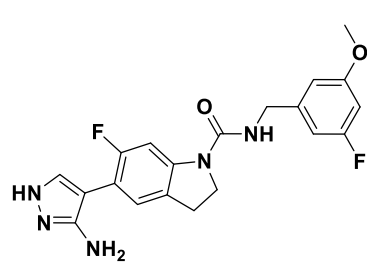
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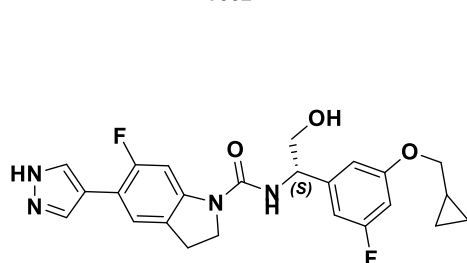
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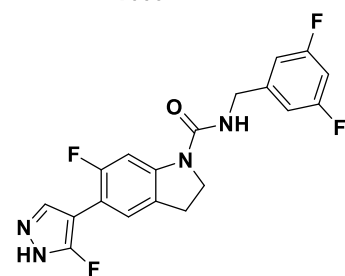
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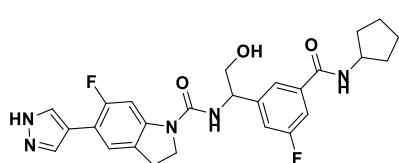
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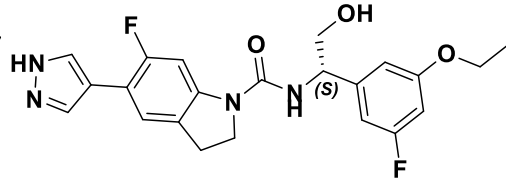
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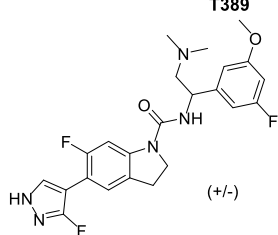
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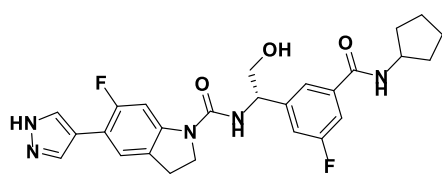
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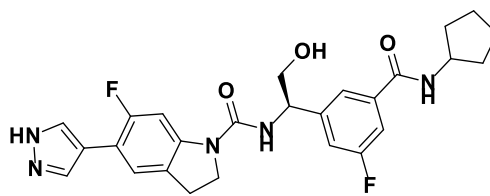
T390



T388'



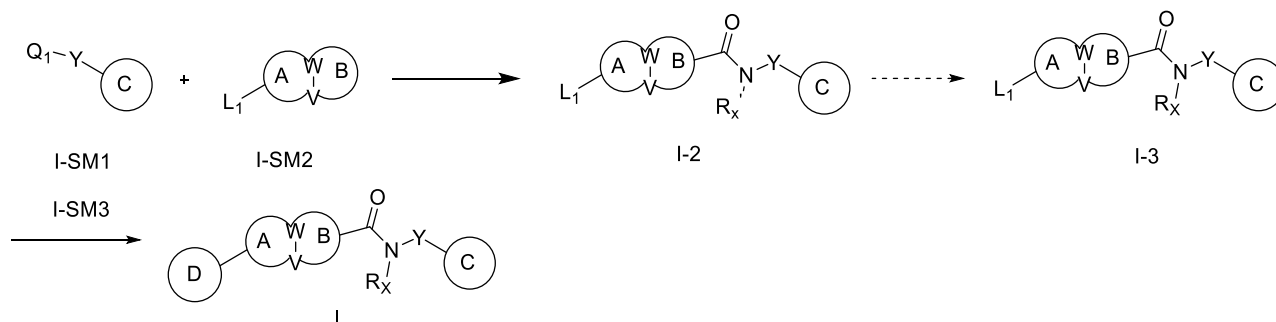
T389-S



T389-R

11. A method for preparing the compound of formula (I) or the racemate, the stereoisomer, the tautomer, the nitrogen oxide, the isotopically labeled compound, the solvate, the polymorph, or the pharmaceutically acceptable salt thereof according to any one of claims 1–10, comprising at least one of the following schemes:

5 scheme 1:



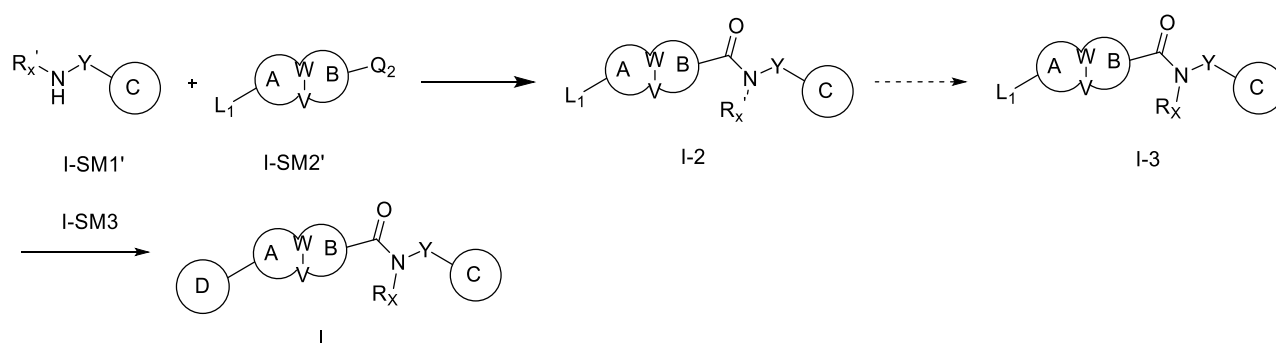
a1) reacting a compound I-SM1 with a compound I-SM2 under an alkaline condition to obtain a compound I-2;

a2) optionally, further subjecting the compound I-2 to derivatization treatment of R_x' on amide nitrogen to obtain a compound I-3; and

a3) subjecting the compound I-2 or I-3 and a compound I-SM3 to Suzuki coupling reaction to obtain the compound of formula I;

wherein, ring A, ring B, ring C, ring D, W, V, Y and R_x are defined as in the formula (I) above; R_x' is selected from R_x and a group is convertible to R_x by derivatization step (a2); L₁ is selected from F, Cl, Br and I; the group Q₁ is an isocyanate group or -N(R_x')-active formate group; the compound I-SM3 is borate containing the ring D;

scheme 2:



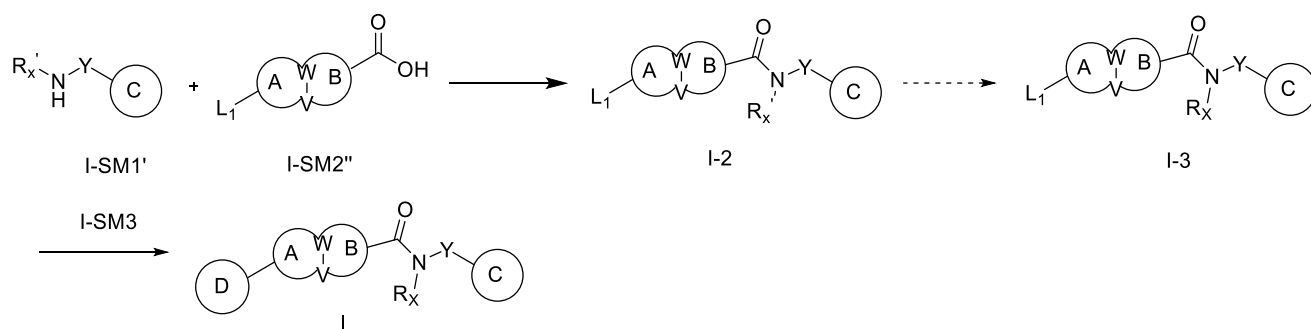
b1) reacting a compound I-SM1' with a compound I-SM2' under an alkaline condition to obtain a compound I-2;

b2) optionally, further subjecting the compound I-2 to derivatization treatment of R_x' on amide nitrogen to obtain a compound I-3; and

b3) subjecting the compound I-2 or I-3 and a compound I-SM3 to Suzuki coupling reaction to obtain the compound of formula I;

- 5 wherein, ring A, ring B, ring C, ring D, W, V, Y and R_x are defined as in the formula (I) above; R_x' is selected from R_x and a group convertible to R_x by derivatization step (b2); L_1 is selected from F, Cl, Br and I; the group Q2 is an active formate group; the compound I-SM3 is borate containing a ring D group;

10 or scheme 3:



c1) reacting a compound I-SM1' with a compound I-SM2'' under an alkaline condition to obtain a compound I-2;

c2) optionally, further subjecting the compound I-2 to derivatization treatment of R_x' on amide nitrogen to obtain a compound I-3; and

c3) subjecting the compound I-2 or I-3 and a compound I-SM3 to Suzuki coupling reaction to obtain the compound of formula I;

wherein, ring A, ring B, ring C, ring D, W, V, Y and R_x are selected from the definitions in the formula (I) above; R_x' is selected from R_x and a group which is convertible to R_x by derivatization step (c2); L_1 is selected from F, Cl, Br and I; the compound I-SM3 is borate containing the ring D.

12. A pharmaceutical composition, comprising a therapeutically effective amount of at least one of the compound of formula (I) and the racemate, the stereoisomer, the tautomer, the nitrogen oxide, the isotopically labeled compound, the solvate, the polymorph, or the

pharmaceutically acceptable salt thereof according to any one of claims 1–10.

13. The composition according to claim 12, wherein the pharmaceutical composition further comprises a pharmaceutically acceptable auxiliary material, the auxiliary material is selected from at least one of the following: a disintegrant, a glidant, a lubricant, a diluent, a filler, an adhesive and a colorant.

14. Use of at least one of the compound of formula (I) and the racemate, the stereoisomer, the tautomer, the nitrogen oxide, the isotopically labeled compound, the solvate, the polymorph, the pharmaceutically acceptable salt thereof according to any one of claims 1–10 or the pharmaceutical composition according to claim 12 or claim 13 in preparing a medicament for preventing or treating one or more diseases caused by high expression of ROCK or excessive activation of ROCK.

15. The use according to claim 14, the disease are as follows: cardiovascular and cerebrovascular diseases, neurological diseases, fibrosis diseases, ocular diseases, tumors, arterial thrombotic disorders, radiation damage, respiratory diseases, and autoimmune diseases.

16. The use according to claim 14, the disease are as follows: atherosclerosis, acute coronary syndrome, hypertension, cerebral vasospasm, cerebral ischemia, ischemic stroke, restenosis, heart disease, heart failure, cardiac hypertrophy, myocardial ischemia-reperfusion injury, diabetes, diabetic nephropathy, cancer, neuronal degeneration, nerve injury diseases, spinal cord injury, erectile dysfunction, platelet aggregation, leukocyte aggregation, glaucoma, ocular hypertension, asthma, osteoporosis, pulmonary fibrosis, hepatic fibrosis, renal fibrosis, COPD, kidney dialysis, glomerulosclerosis, and neuronal degeneration inflammation.

17. The use according to claim 14, wherein the disease is idiopathic pulmonary fibrosis.