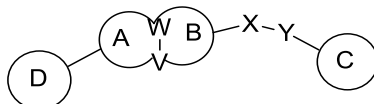


Claims

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



(I)

wherein,

W and V are each independently C or N;

- 10 X is $-C(=O)NR_x-$ or $-NR_x-C(=O)-$;

Y is a chemical bond, or the following group unsubstituted or optionally substituted with one, two or more R_y : (C_1-C_{20}) aliphatic hydrocarbyl, or (C_1-C_{20}) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms;

ring A is the following group unsubstituted or optionally substituted with one, two or more R_{ab} :

- 15 C_{3-20} alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C_{6-20} aryl or 5-20 membered heteroaryl;

ring B is the following group unsubstituted or optionally substituted with one, two or more R_{ab} :

C_{3-20} alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C_{6-20} aryl or 5-20 membered heteroaryl;

- 20 ring C is the following group unsubstituted or optionally substituted with one, two or more R_c :

C_{3-20} alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C_{6-20} aryl or 5-20 membered heteroaryl;

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

C_{3-20} alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C_{6-20} aryl or 5-20 membered heteroaryl;

- 25 each R_y , each R_{ab} , each R_c and each R_d are independently selected from H, halogen, nitro,

nitroso, CN, OH, SH, =O, $-NR_{11}R_{12}$, $-C(O)NR_{11}R_{12}$, $-C(=S)NR_{11}R_{12}$, $-S(O)_2NR_{11}R_{12}$, $-C(=NR_{13})NR_{11}R_{12}$, $-NHC(O)NR_{11}R_{12}$, $-P(O)_2NR_{11}R_{12}$, $-P(O)R_{13}NR_{11}R_{12}$, $-NR_{11}S(O)_2R_{12}$, -

NR₁₁P(O)₂R₁₂, -NR₁₁P(O)R₁₃R₁₂, -C(O)R₁₄, -NHC(O)R₁₄, -C(O)OR₁₅, -OC(O)R₁₅, and the following groups unsubstituted or optionally substituted with one, two or more R: (C₁-C₂₀) aliphatic hydrocarbyl, (C₁-C₂₀) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C₃₋₂₀ alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C₆₋₂₀ aryl and 5-20 membered heteroaryl;

each R_x is independently selected from H, halogen, CN, OH, SH, -NR₁₁R₁₂, -C(O)NR₁₁R₁₂, -C(=S)NR₁₁R₁₂, -S(O)₂NR₁₁R₁₂, -C(=NR₁₃)NR₁₁R₁₂, -NHC(O)NR₁₁R₁₂, -P(O)₂NR₁₁R₁₂, -P(O)R₁₃NR₁₁R₁₂, -NR₁₁S(O)₂R₁₂, -NR₁₁P(O)₂R₁₂, -NR₁₁P(O)R₁₃R₁₂, -C(O)R₁₄, -NHC(O)R₁₄, -C(O)OR₁₅, -OC(O)R₁₅, and the following groups unsubstituted or optionally substituted with one, two or more R: (C₁-C₂₀) aliphatic hydrocarbyl, (C₁-C₂₀) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C₃₋₂₀ alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C₆₋₂₀ aryl and 5-20 membered heteroaryl;

R₁₁ and R₁₂ are each independently selected from H, and the following groups unsubstituted or optionally substituted with one, two or more R: -C(O)R₁₄, (C₁-C₂₀) aliphatic hydrocarbyl, (C₁-C₂₀) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C₃₋₂₀ alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C₆₋₂₀ aryl and 5-20 membered heteroaryl; or, R₁₁ and R₁₂, together with a nitrogen atom attached thereto, form 3-20 membered heterocyclyl or 5-20 membered heteroaryl unsubstituted or optionally substituted with one, two or more R;

R₁₃ is selected from H, OH, CN, and the following groups unsubstituted or optionally substituted with one, two or more R: (C₁-C₂₀) aliphatic hydrocarbyl, and (C₁-C₂₀) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms;

R₁₄ is selected from H, OH, halogen, and the following groups unsubstituted or optionally substituted with one, two or more R: (C₁-C₂₀) aliphatic hydrocarbyl, (C₁-C₂₀) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C₃₋₂₀ alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C₆₋₂₀ aryl and 5-20 membered heteroaryl;

R₁₅ is selected from H, and the following groups unsubstituted or optionally substituted with one, two or more R: (C₁-C₂₀) aliphatic hydrocarbyl, (C₁-C₂₀) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C₃₋₂₀ alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C₆₋₂₀ aryl and 5-20 membered heteroaryl;

each R is independently selected from =O, halogen, CN, OH, SH, NH₂, COOH, and the following groups unsubstituted or optionally substituted with one, two or more R': (C₁-C₂₀) aliphatic hydrocarbyl, (C₁-C₂₀) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C₃₋₂₀ alicyclic hydrocarbyl, 3-20 membered heterocyclyl, C₆₋₂₀ aryl and 5-20 membered heteroaryl;

each R' is independently selected from =O, halogen, CN, OH, SH, NH₂, COOH, (C₁-C₂₀) aliphatic hydrocarbyl, and (C₁-C₂₀) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms.

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

in the compound of formula (I), W and V are each independently C or N;

X is -C(=O)NR_x- or -NR_x-C(=O)-;

Y is a chemical bond, or the following group unsubstituted or optionally substituted with one, two or more R_y: (C₁-C₁₂) aliphatic hydrocarbyl, or (C₁-C₁₂) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms;

ring A is the following group unsubstituted or optionally substituted with one, two or more R_{ab}: C₃₋₁₂ alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C₆₋₁₄ aryl or 5-14 membered heteroaryl;

ring B is the following group unsubstituted or optionally substituted with one, two or more R_{ab}: C₃₋₁₂ alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C₆₋₁₄ aryl or 5-14 membered heteroaryl;

ring C is the following group unsubstituted or optionally substituted with one, two or more R_c: C₃₋₁₂ alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C₆₋₁₄ aryl or 5-14 membered heteroaryl;

ring D is the following group unsubstituted or optionally substituted with one, two or more R_d: C₃₋₁₂ alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C₆₋₁₄ aryl or 5-14 membered heteroaryl;

each R_y , each R_{ab} , each R_c and each R_d are independently selected from H, halogen, nitro, nitroso, CN, OH, SH, =O, $-NR_{11}R_{12}$, $-C(O)NR_{11}R_{12}$, $-C(=S)NR_{11}R_{12}$, $-S(O)_2NR_{11}R_{12}$, $-C(=NR_{13})NR_{11}R_{12}$, $-NHC(O)NR_{11}R_{12}$, $-P(O)_2NR_{11}R_{12}$, $-P(O)R_{13}NR_{11}R_{12}$, $-NR_{11}S(O)_2R_{12}$, $-NR_{11}P(O)_2R_{12}$, $-NR_{11}P(O)R_{13}R_{12}$, $-C(O)R_{14}$, $-NHC(O)R_{14}$, $-C(O)OR_{15}$, $-OC(O)R_{15}$, and the following groups unsubstituted or optionally substituted with one, two or more R: (C_1-C_{12}) aliphatic hydrocarbyl, (C_1-C_{12}) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C_{3-12} alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C_{6-14} aryl and 5-14 membered heteroaryl;

each R_x is independently selected from H, halogen, CN, OH, SH, $-NR_{11}R_{12}$, $-C(O)NR_{11}R_{12}$, $-C(=S)NR_{11}R_{12}$, $-S(O)_2NR_{11}R_{12}$, $-C(=NR_{13})NR_{11}R_{12}$, $-NHC(O)NR_{11}R_{12}$, $-P(O)_2NR_{11}R_{12}$, $-P(O)R_{13}NR_{11}R_{12}$, $-NR_{11}S(O)_2R_{12}$, $-NR_{11}P(O)_2R_{12}$, $-NR_{11}P(O)R_{13}R_{12}$, $-C(O)R_{14}$, $-NHC(O)R_{14}$, $-C(O)OR_{15}$, $-OC(O)R_{15}$, and the following groups unsubstituted or optionally substituted with one, two or more R: (C_1-C_{12}) aliphatic hydrocarbyl, (C_1-C_{12}) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C_{3-12} alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C_{6-14} aryl and 5-14 membered heteroaryl;

R_{11} and R_{12} are each independently selected from H, and the following groups unsubstituted or optionally substituted with one, two or more R: $-C(O)R_{14}$, (C_1-C_{12}) aliphatic hydrocarbyl, (C_1-C_{12}) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C_{3-12} alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C_{6-14} aryl and 5-14 membered heteroaryl; or, R_{11} and R_{12} , together with a nitrogen atom attached thereto, form 3-12 membered heterocyclyl or 5-14 membered heteroaryl unsubstituted or optionally substituted with one, two or more R;

R_{13} is selected from H, OH, CN, and the following groups unsubstituted or optionally substituted with one, two or more R: (C_1-C_{12}) aliphatic hydrocarbyl, and (C_1-C_{12}) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms;

R_{14} is selected from H, OH, halogen, and the following groups unsubstituted or optionally substituted with one, two or more R: (C_1-C_{12}) aliphatic hydrocarbyl, (C_1-C_{12}) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C_{3-12} alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C_{6-14} aryl and 5-14 membered heteroaryl;

R_{15} is selected from H, and the following groups unsubstituted or optionally substituted with

one, two or more R: (C₁-C₁₂) aliphatic hydrocarbyl, (C₁-C₁₂) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C₃₋₁₂ alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C₆₋₁₄ aryl and 5-14 membered heteroaryl;

each R is independently selected from =O, halogen, CN, OH, SH, NH₂, COOH, and the

5 following groups unsubstituted or optionally substituted with one, two or more R': (C₁-C₁₂) aliphatic hydrocarbyl, (C₁-C₁₂) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms, C₃₋₁₂ alicyclic hydrocarbyl, 3-12 membered heterocyclyl, C₆₋₁₄ aryl and 5-14 membered heteroaryl;

each R' is independently selected from =O, halogen, CN, OH, SH, NH₂, COOH, (C₁-C₁₂)

10 aliphatic hydrocarbyl, and (C₁-C₁₂) aliphatic hydrocarbyl optionally comprising one, two or more heteroatoms.

3. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the nitrogen oxide, the solvate, the polymorph, the metabolite, the ester, the

15 pharmaceutically acceptable salt or the prodrug thereof according to claim 1, wherein:

W and V are each independently C or N;

X is -C(=O)NR_x- or -NR_x-C(=O)-;

Y can be selected from the following groups unsubstituted or optionally substituted with one, two or more R_y: methylene, ethylidene, propylidene, -OCH₂-, -CH₂O-, -SCH₂- and -CH₂S-;

20 ring A is the following group unsubstituted or optionally substituted with one, two or more R_{ab}: C₃₋₇ alicyclic hydrocarbyl, 3-7 membered heterocyclyl, C₆₋₁₀ aryl or 5-10 membered heteroaryl;

ring B is the following group unsubstituted or optionally substituted with one, two or more R_{ab}: C₃₋₇ alicyclic hydrocarbyl, 3-7 membered heterocyclyl, C₆₋₁₀ aryl or 5-10 membered heteroaryl;

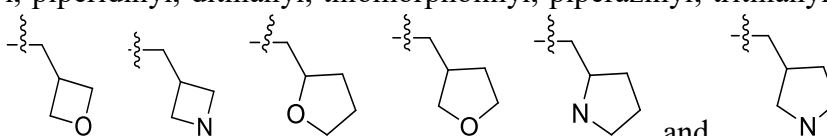
ring C is the following group unsubstituted or optionally substituted with one, two or more R_c:

25 C₃₋₇ alicyclic hydrocarbyl, 3-7 membered heterocyclyl, C₆₋₁₀ aryl or 5-10 membered heteroaryl;

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

C₃₋₇ alicyclic hydrocarbyl, 3-7 membered heterocyclyl, C₆₋₁₀ aryl or 5-10 membered heteroaryl;

each R_y, each R_{ab}, each R_c and each R_d may be independently selected from H, halogen, nitro, nitroso, CN, OH, SH, COOH, =O, methyl, ethyl, propyl, butyl, pentyl, hexyl, vinyl, propenyl,

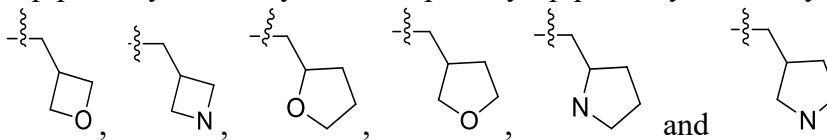
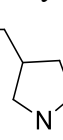
- butenyl, pentenyl, hexenyl, ethynyl, propynyl, butynyl, pentynyl, hexynyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, methoxy, ethoxy, propoxy, butoxy, pentyloxy, hexyloxy, -
 $O(CH_2)_nO(CH_2)_mCH_3$, $-S(CH_2)_nS(CH_2)_mCH_3$, $-CF_3$, $-CHF_2$, $-CH_2F$, $-CH_2CF_3$, $-CH_2CHF_2$, $-CH_2CH_2F$, $NH_2(CH_2)_m-$, $(CH_3)_2N(CH_2)_m-$, $CH_3NH(CH_2)_m-$, $C_6H_5NH(CH_2)_m-$, -
 5 $(NH)_kC(O)NH_2$, $-(NH)_kC(O)NH(CH_2)_mCH_3$, $-(NH)_kC(O)N(CH_3)(CH_2)_mCH_3$, -
 $(NH)_kC(O)NHC_6H_5$, $-(NH)_kC(O)(CH_2)_mCH_3$, $-(NH)_kC(O)(CH_2)_mC_6H_5$, $-OC(O)(CH_2)_mCH_3$, -
 $O(CH_2)_mC(=O)(CH_2)_m(NH)_kH$, $-C(O)O(CH_2)_mCH_3$, $-OC(O)(CH_2)_mC_6H_5$, -
 $C(O)O(CH_2)_mC_6H_5$, $-C(=S)NH_2$, $-C(=S)NHCH_3$, $-C(=S)N(CH_3)_2$, $-S(O)_2NH_2$, $-S(O)_2NHCH_3$, -
 $S(O)_2N(CH_3)_2$, $-NHS(O)_2NH_2$, $-NHS(O)_2CH_3$, $-NCH_3S(O)_2NHCH_3$, $-P(O)_2NH_2$, -
 10 $P(O)_2NHCH_3$, $-P(O)_2N(CH_3)_2$, $-NHP(O)_2CH_3$, $-N(CH_3)P(O)_2CH_3$, $-C(=NH)NH_2$, -
 $C(=NH)NHCH_3$, $-C(=NH)N(CH_3)_2$, $-C(=NCH_3)NH_2$, $-C(=NCH_3)NHCH_3$, -
 $C(=NCH_3)N(CH_3)_2$, oxetanyl, azetidiny, tetrahydropyranyl, tetrahydrofuranyl, morpholinyl, tetrahydrothienyl, dioxolyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, pyrrolinyl, tetrahydropyranyl, piperidinyl, dithianyl, thiomorpholinyl, piperazinyl, trithianyl, diazepanyl,
 15 phenyl, benzyl, , wherein n

is selected from 1, 2 and 3; m is selected from 0, 1, 2 and 3; k is selected from 0 and 1; R_x , R_y , R_{ab} , R_c and R_d may be further substituted with one, two or more R; R is defined as in any of the embodiments above;

- each R_x can be independently selected from H, halogen, CN, OH, SH, COOH, methyl, ethyl,
 20 propyl, butyl, pentyl, hexyl, vinyl, propenyl, butenyl, pentenyl, hexenyl, ethynyl, propynyl, butynyl, pentynyl, hexynyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, methoxy, ethoxy, propoxy, butoxy, pentyloxy, hexyloxy, $-O(CH_2)_nO(CH_2)_mCH_3$, $-S(CH_2)_nS(CH_2)_mCH_3$, $-CF_3$, -
 CHF_2 , $-CH_2F$, $-CH_2CF_3$, $-CH_2CHF_2$, $-CH_2CH_2F$, $NH_2(CH_2)_m-$, $(CH_3)_2N(CH_2)_m-$, $CH_3NH(CH_2)_m-$, $C_6H_5NH(CH_2)_m-$, $-(NH)_kC(O)NH_2$, $-(NH)_kC(O)NH(CH_2)_mCH_3$, -
 25 $(NH)_kC(O)N(CH_3)(CH_2)_mCH_3$, $-(NH)_kC(O)NHC_6H_5$, $-(NH)_kC(O)(CH_2)_mCH_3$, -
 $(NH)_kC(O)(CH_2)_mC_6H_5$, $-OC(O)(CH_2)_mCH_3$, $-C(O)O(CH_2)_mCH_3$, $-OC(O)(CH_2)_mC_6H_5$, -
 $C(O)O(CH_2)_mC_6H_5$, $-C(=S)NH_2$, $-C(=S)NHCH_3$, $-C(=S)N(CH_3)_2$, $-S(O)_2NH_2$, $-S(O)_2NHCH_3$, -
 $S(O)_2N(CH_3)_2$, $-NHS(O)_2NH_2$, $-NHS(O)_2CH_3$, $-NCH_3S(O)_2NHCH_3$, $-P(O)_2NH_2$, -

$P(O)_2NHCH_3$, $-P(O)_2N(CH_3)_2$, $-NHP(O)_2CH_3$, $-N(CH_3)P(O)_2CH_3$, $-C(=NH)NH_2$, $-C(=NH)NHCH_3$, $-C(=NH)N(CH_3)_2$, $-C(=NCH_3)NH_2$, $-C(=NCH_3)NHCH_3$, $-C(=NCH_3)N(CH_3)_2$, oxetanyl, azetidiny, tetrahydropyranyl, tetrahydrofuranyl, morpholinyl,

5 tetrahydrothienyl, dioxolyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, pyrrolinyl,

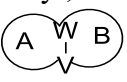
phenyl, benzyl, , and , wherein n

is selected from 1, 2 and 3; m is selected from 0, 1, 2 and 3; k is selected from 0 and 1; R_x can be further substituted with one, two or more R; R is defined as in any of the embodiments above.

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

in some embodiments, in the group , W and V are not both N;

15 in some embodiments, in the group , ring A and ring B are each independently selected from phenyl, C_{5-6} alicyclic hydrocarbyl, 5-6 membered heterocyclyl and 5-6 membered heteroaryl; preferably, at least one of ring A and ring B is aryl or heteroaryl, or ring A and ring B are combined to form aryl or heteroaryl;

in some embodiments, in the group , at least one of ring A and ring B is phenyl or 5-6 membered heteroaryl, and the other is selected from phenyl, C_{5-6} alicyclic hydrocarbyl, 5-6 membered heterocyclyl and 5-6 membered heteroaryl; or ring A and ring B are combined to form aryl or heteroaryl;

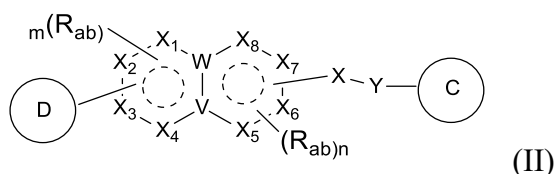
in some embodiments, ring C and ring D are each independently selected from the following groups unsubstituted or substituted with one, two or more R_c/R_d : phenyl, thienyl, furanyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, isothiazolyl, oxadiazolyl, triazolyl, thiadiazolyl, thia-4*H*-pyrazolyl, benzofuranyl, benzothienyl, benzoxazolyl, benzoisoxazolyl, benzimidazolyl, benzotriazolyl, indazolyl, indolyl, isoindolyl, pyridinyl,

pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, quinolyl, quinazolinyl, isoquinolyl, azocinyl, indolizinyl, purinyl, cinnolyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthyridinyl, pteridinyl, carbazolyl, acridinyl, phenazinyl, phenothiazinyl and phenoxazinyl; R_c and R_d are defined as in any of the technical schemes of the general formula (I) above.

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

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



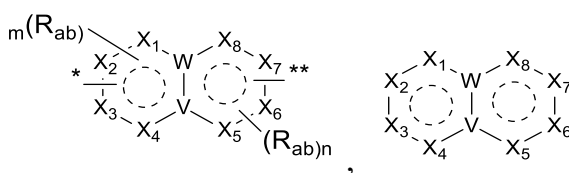
wherein, W and V are each independently C or N;

X_1 , X_2 , X_3 , X_4 , X_5 , X_6 , X_7 and X_8 are each independently a chemical bond, C, S, O or N;

with the proviso that no more than one of X_1 , X_2 , X_3 and X_4 is a chemical bond, and no more

15 than one of X_5 , X_6 , X_7 and X_8 is a chemical bond;

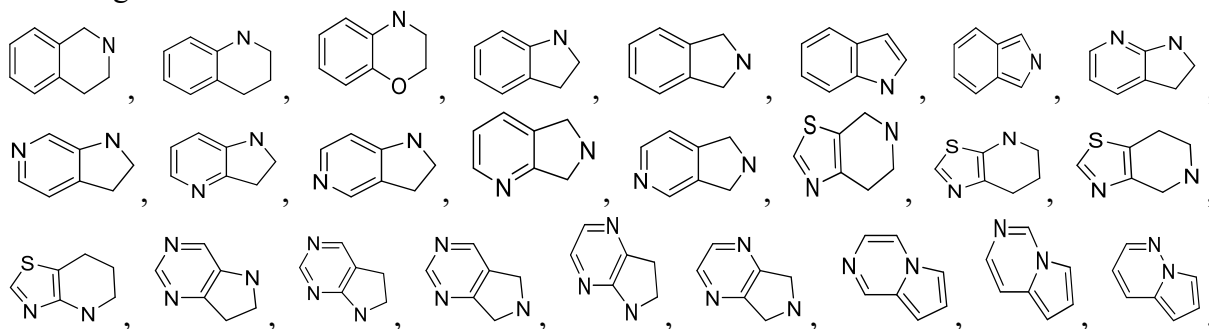
W, V, R_{ab} , X, Y, ring C and ring D are defined as in any of the technical schemes of the general formula (I) above; m and n are selected from integers from 0 to 7, for example, selected from 0, 1, 2, 3 and 4;

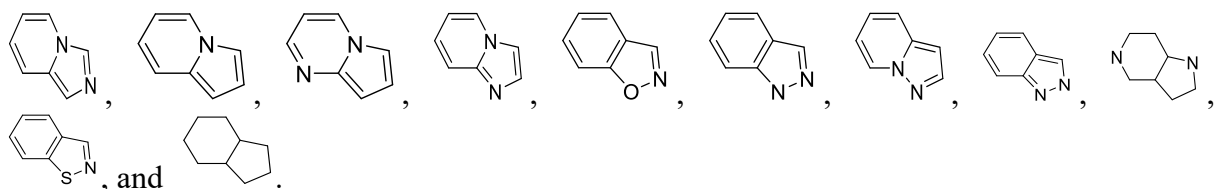


preferably, in the group

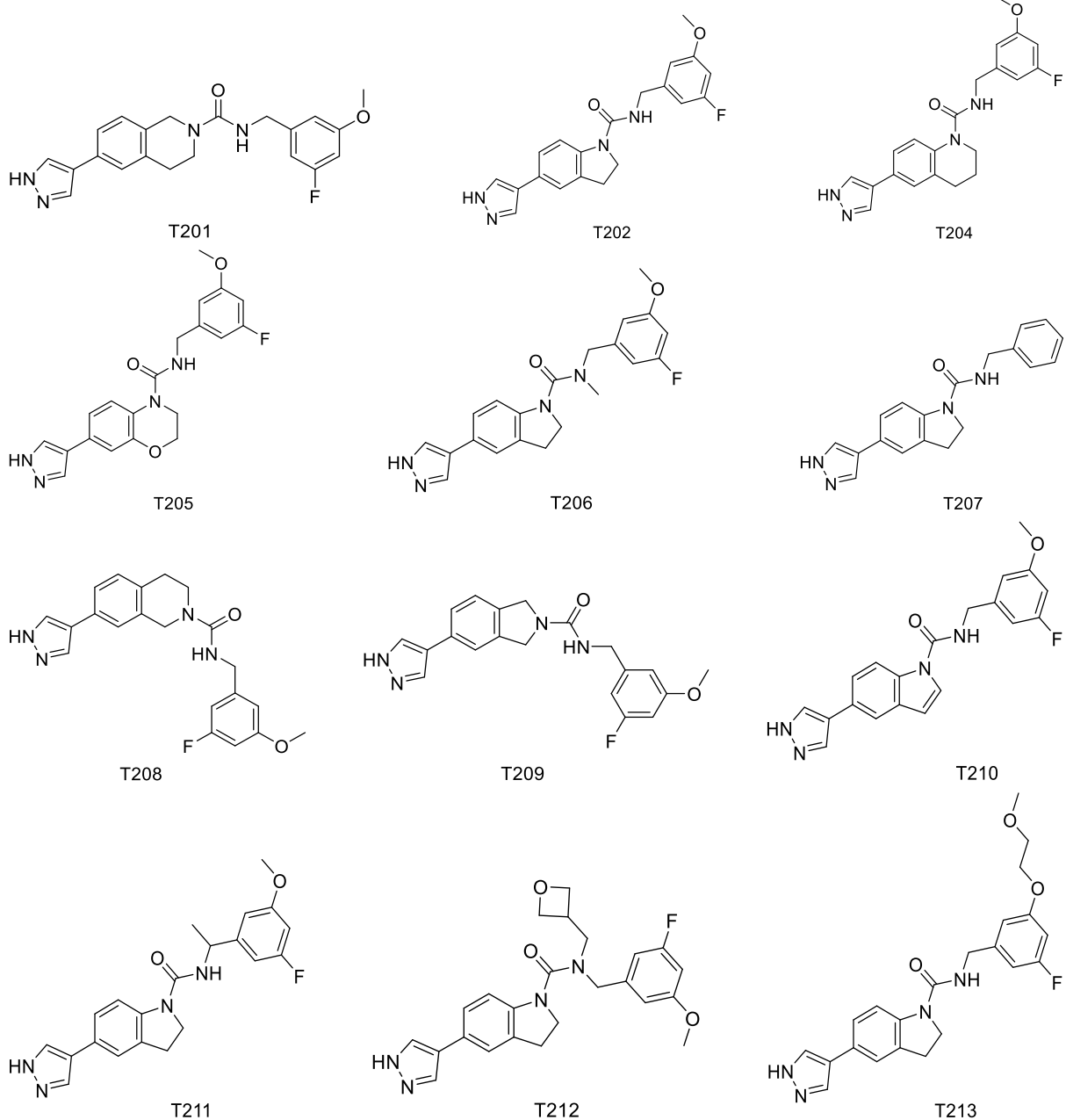
is selected from the

20 following structures:

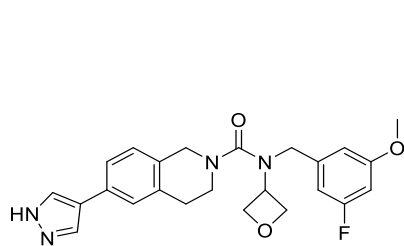




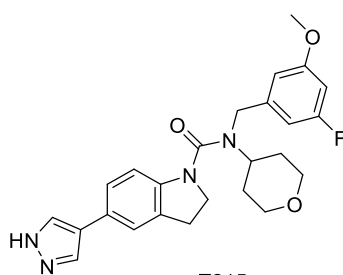
6. The compound of formula (I) or the racemate, the stereoisomer, the tautomer, the isotopically
 5 labeled compound, the nitrogen oxide, the solvate, the polymorph, the metabolite, the ester, the
 pharmaceutically acceptable salt or the prodrug thereof according to any one of claims 1–5,
 wherein the compound of formula (I) is selected from the following exemplary structures:



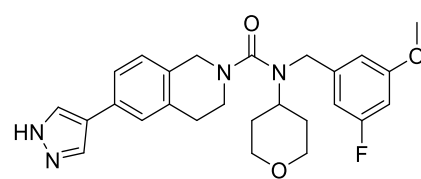
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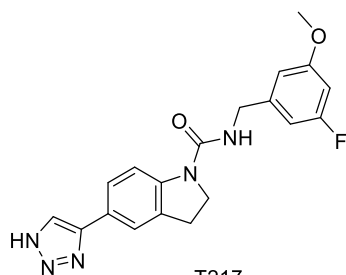
T214



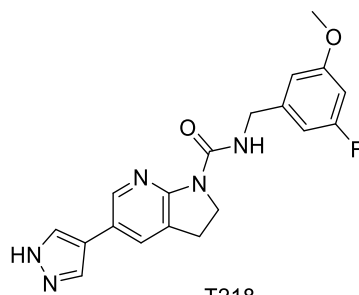
T215



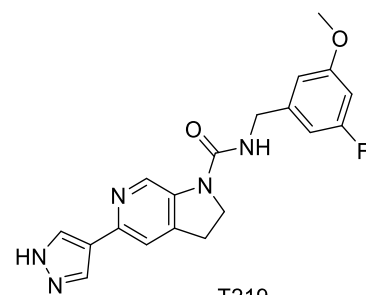
T216



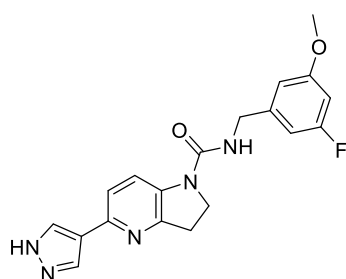
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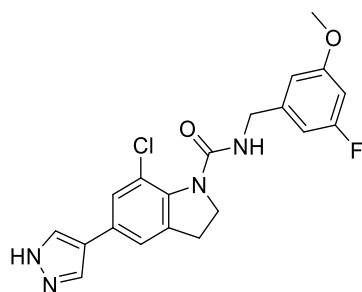
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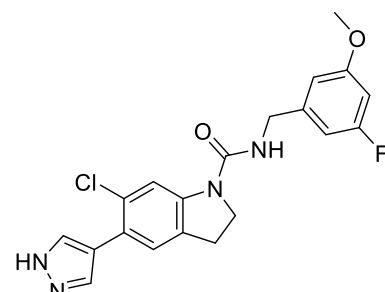
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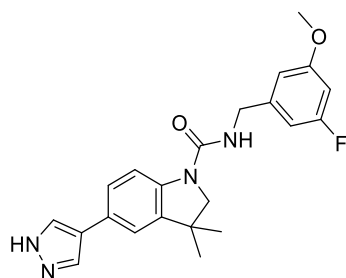
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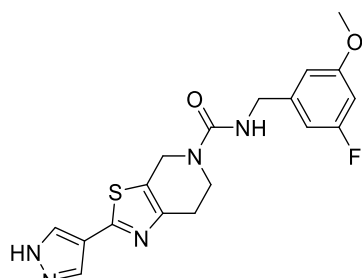
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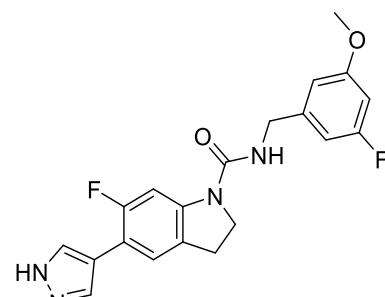
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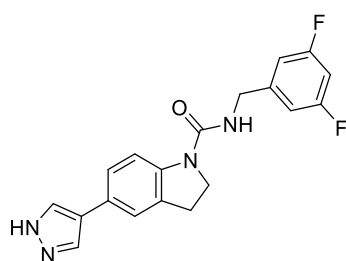
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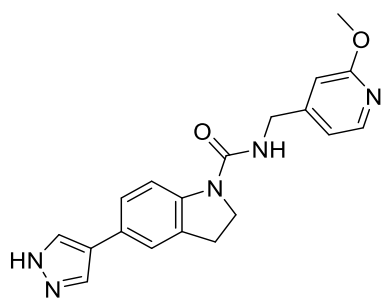
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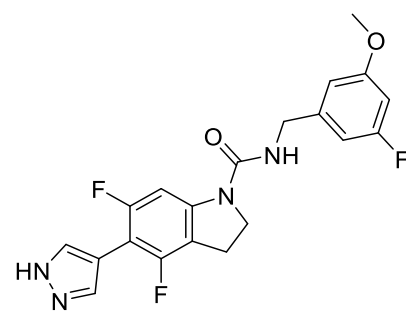
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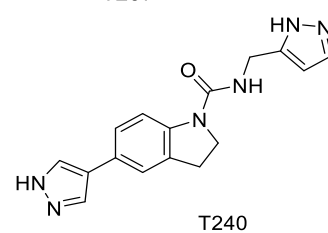
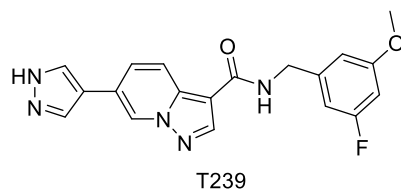
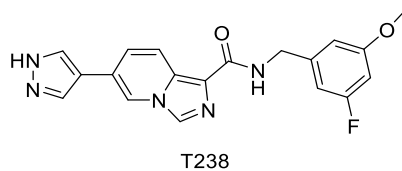
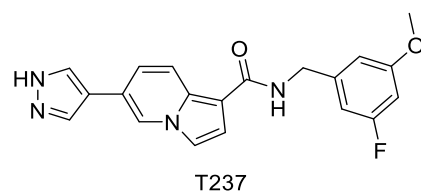
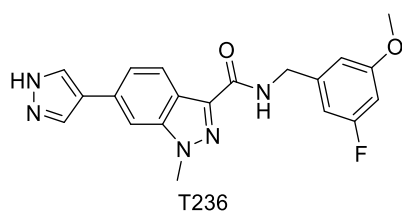
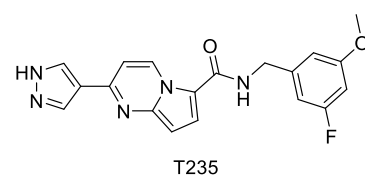
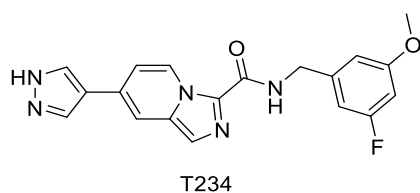
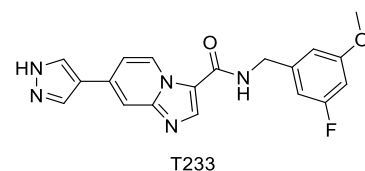
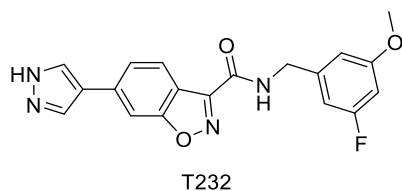
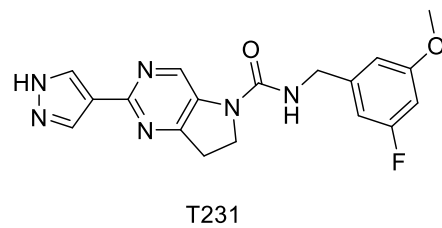
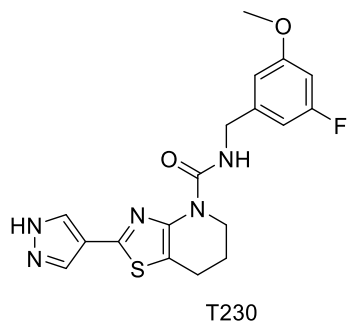
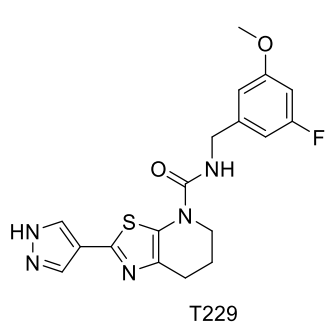
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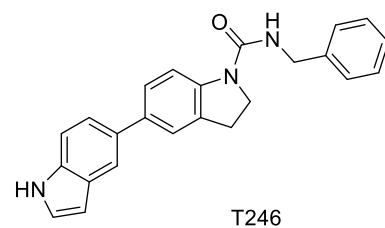
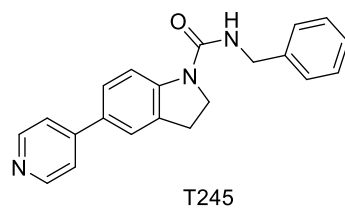
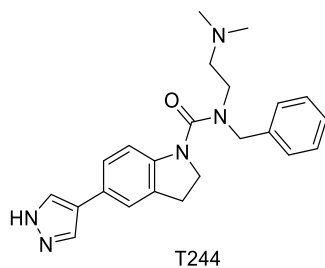
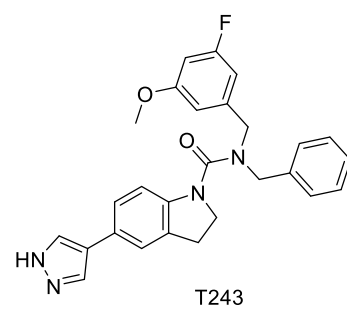
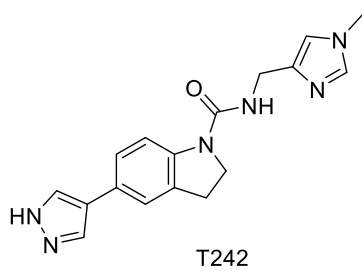
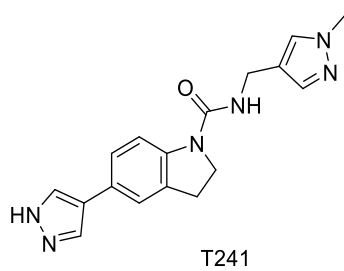
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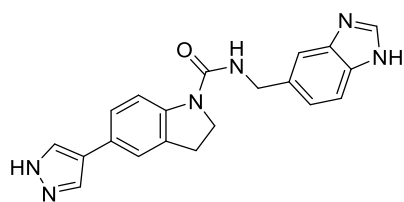


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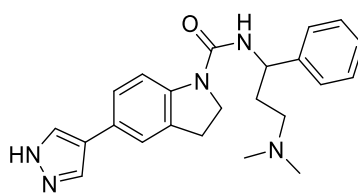


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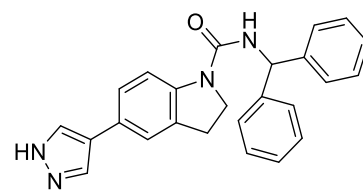




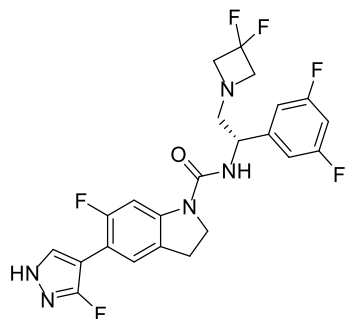
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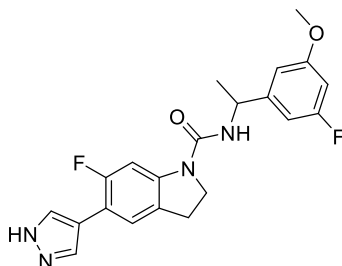
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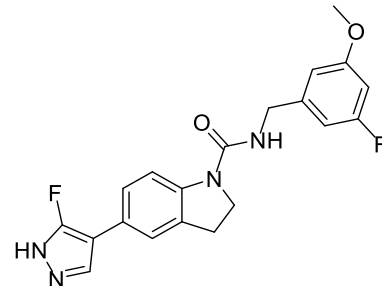
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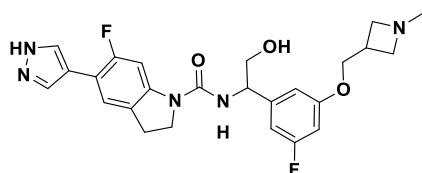
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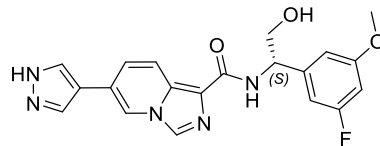
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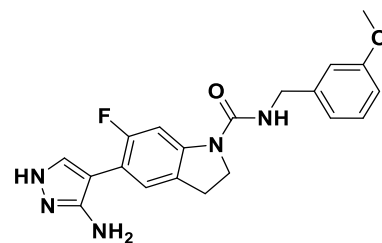
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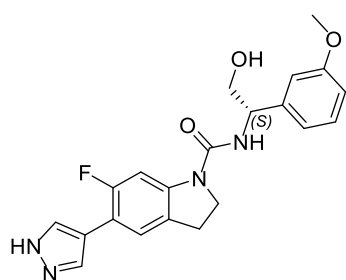
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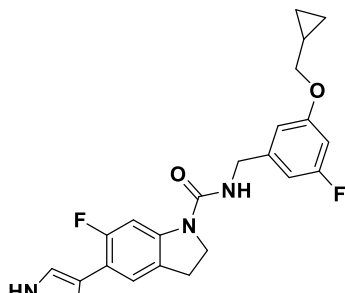
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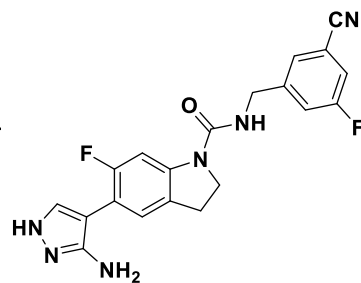
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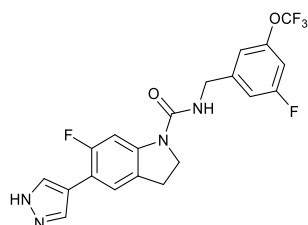
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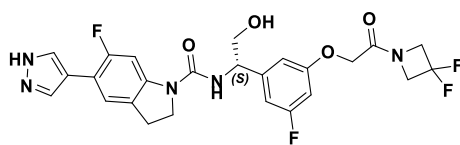
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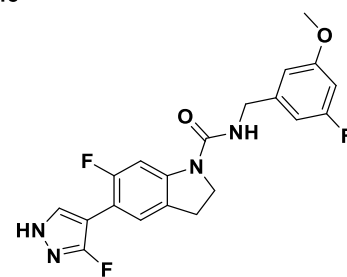
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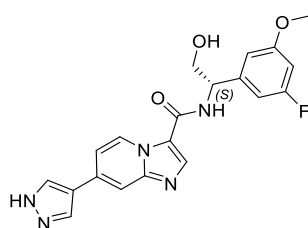
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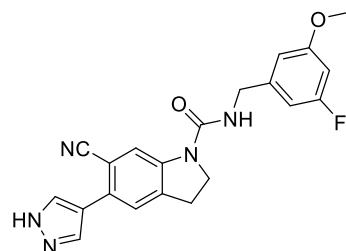
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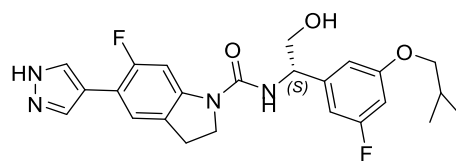
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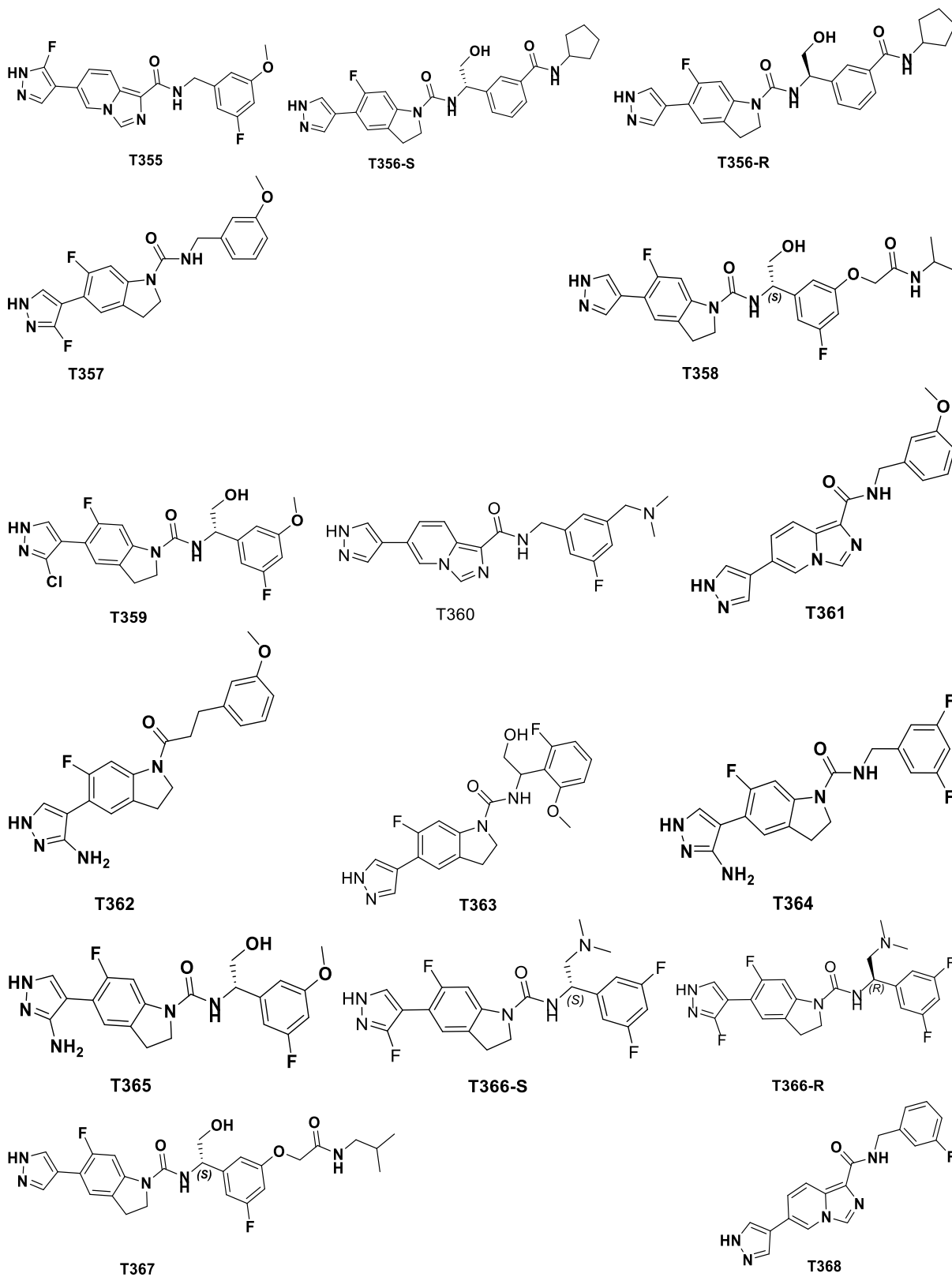
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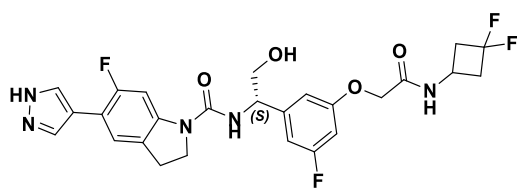


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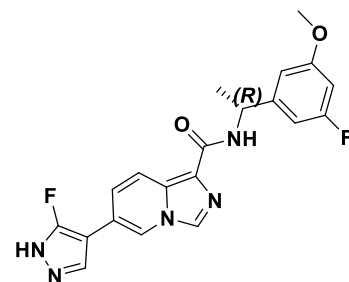


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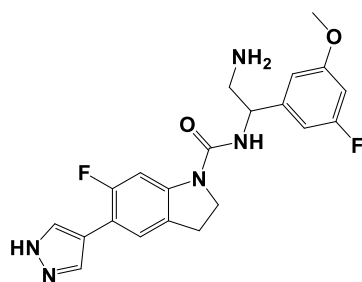




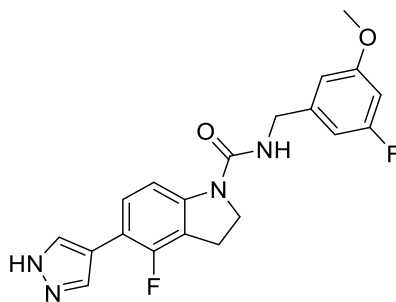
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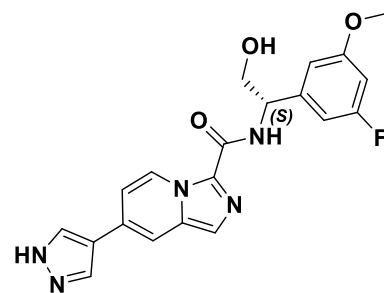
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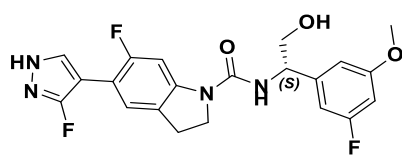
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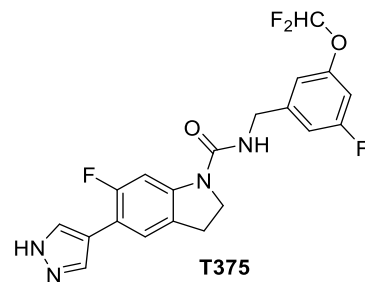
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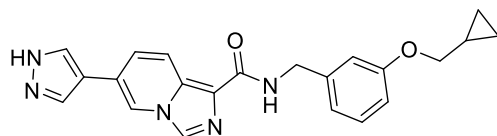
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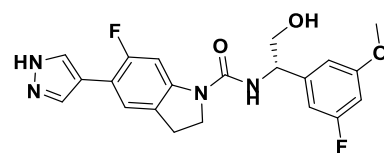
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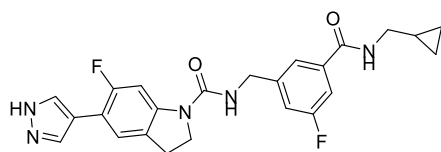
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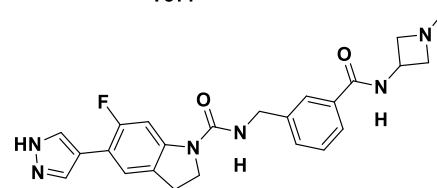
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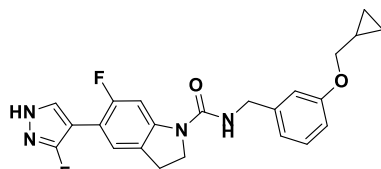
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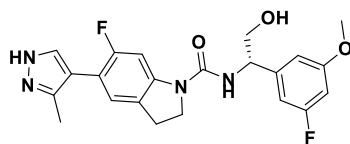
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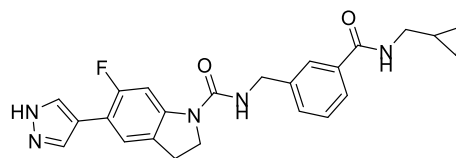
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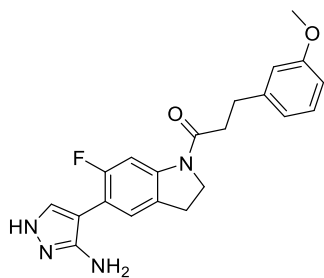
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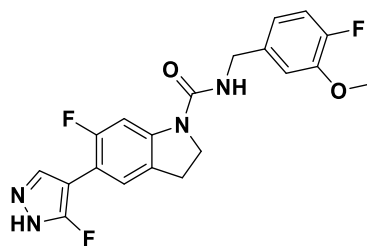
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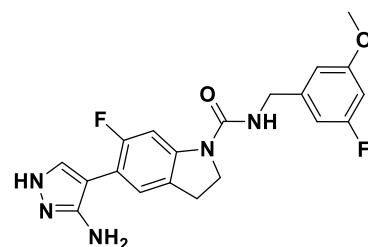
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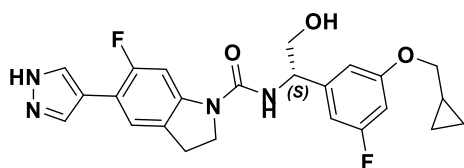
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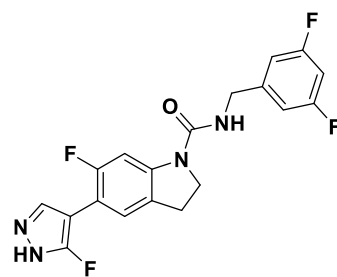
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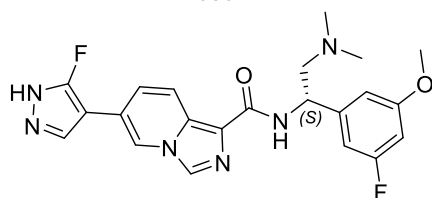
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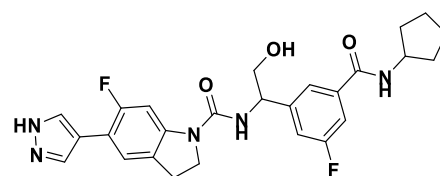
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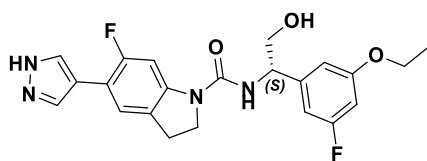
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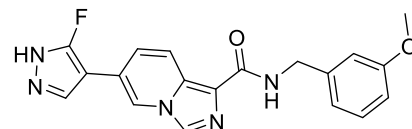
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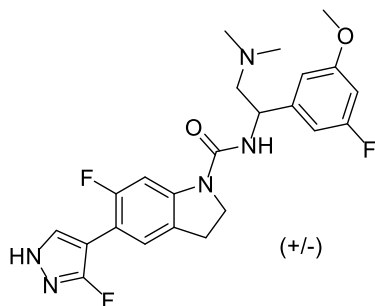
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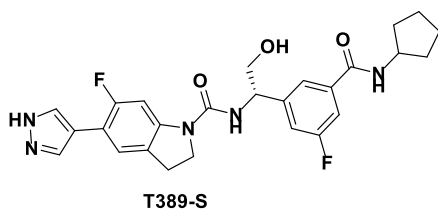
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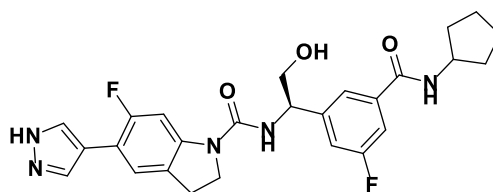
T391



T388'



T389-S



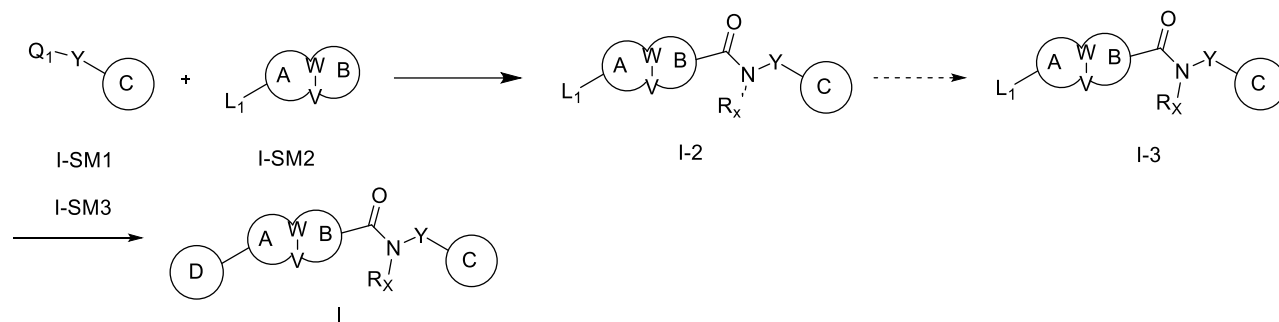
T389-R

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7. 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, the metabolite, the ester, the pharmaceutically acceptable salt or the prodrug thereof according to any one of claims 1–5, comprising at least one of the following schemes:

scheme 1:



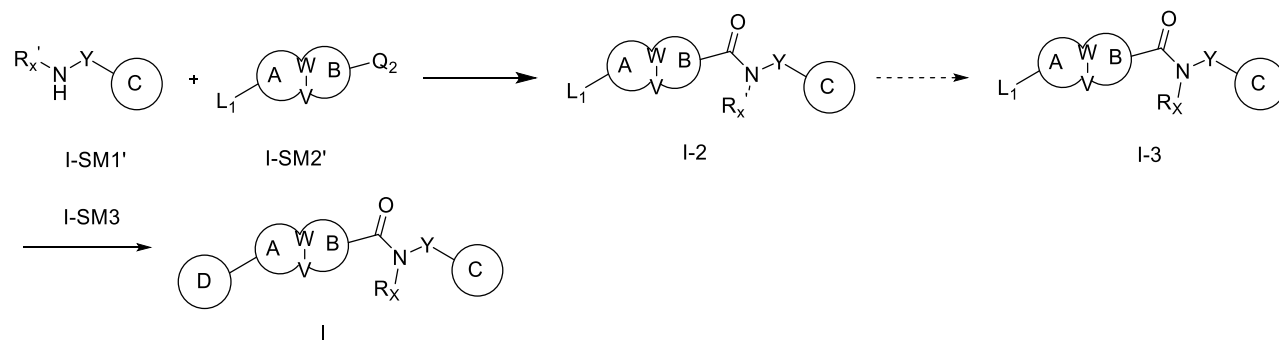
a1) reacting a compound I-SM1 with a compound I-SM2 under an alkaline condition to obtain a compound I-2;

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 a compound 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 which can be converted to R_x by derivatization step (a2); L_1 is selected from halogen, and the halogen can be 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 a ring D group;

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

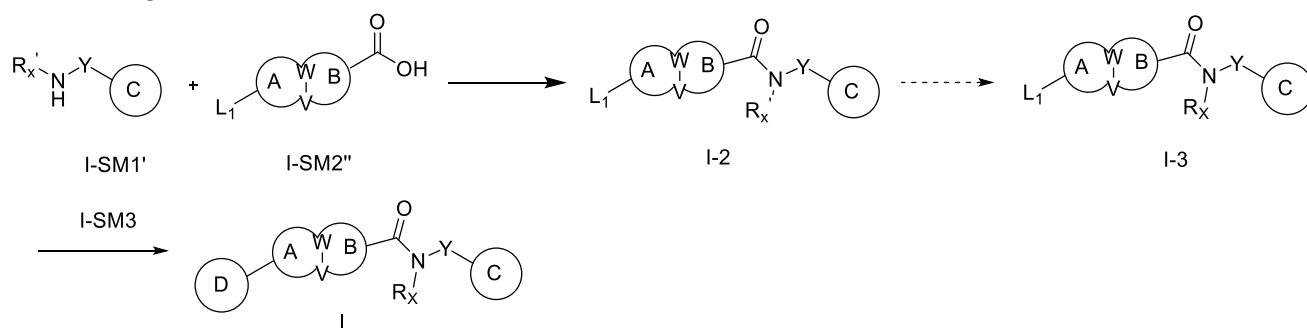
nitrogen to obtain a compound I-3; and

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

wherein, ring A, ring B, ring C, ring D, W, V, Y and R_x are defined as in the formula (I) above;

- 5 R_x' is selected from R_x and a group which can be converted to R_x by derivatization step (b2); L_1 is selected from halogen, and the halogen can be 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;

or scheme 3:



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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

- 15 c3) subjecting the compound I-2 or I-3 and a compound I-SM3 to Suzuki coupling reaction to obtain a compound 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 can be converted to R_x by derivatization step (c2); L_1 is selected from halogen, and the halogen can be selected from F, Cl, Br and I; the compound I-SM3 is borate containing a ring D group.

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8. 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 metabolite, the ester, the pharmaceutically acceptable salt and the prodrug thereof according to any one of claims 1–6 in preparing a medicament, wherein the medicament is an inhibitor of

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protein kinase.

9. The use according to claim 8, wherein the medicament is used for preventing or treating one or more diseases caused by high expression of ROCK or excessive activation of ROCK;

5 preferably, the medicament is used for preventing or treating the following diseases: cardiovascular and cerebrovascular diseases, neurological diseases, fibrosis diseases, ocular diseases, tumors, arterial thrombotic disorders, radiation damage, respiratory diseases, and autoimmune diseases, including atherosclerosis, acute coronary syndrome, hypertension, cerebral vasospasm, cerebral ischemia, ischemic stroke, restenosis, heart disease, heart failure,
10 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 (such as idiopathic pulmonary fibrosis), hepatic fibrosis, renal fibrosis, COPD, kidney dialysis, glomerulosclerosis, and neuronal degeneration inflammation.

15

10. 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, the metabolite, the ester, the pharmaceutically acceptable salt and the prodrug thereof according to any one of claims 1–

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6, wherein

preferably, the pharmaceutical composition further comprises a pharmaceutically acceptable auxiliary material; preferably, 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.

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11. A method for regulating Rho-kinase function, which comprises administering to an individual in need thereof an effective amount of the compound of formula (I) or the racemate, the stereoisomer, the tautomer, the nitrogen oxide, the isotopically labeled compound, the solvate, the polymorph, the metabolite, the ester, the pharmaceutically acceptable salt or the prodrug thereof according to claim 1.

12. A method for preventing or treating one or more diseases caused by high expression of ROCK or excessive activation of ROCK, which comprises administering to an individual in need thereof an effective amount of the compound of formula (I) or the racemate, the stereoisomer, the tautomer, the nitrogen oxide, the isotopically labeled compound, the solvate, the polymorph, the metabolite, the ester, the pharmaceutically acceptable salt or the prodrug thereof according to claim 1.

13. The method according to claim 12, wherein the disease is, for example, cardiovascular and cerebrovascular diseases, neurological diseases, fibrosis diseases, ocular diseases, tumors, arterial thrombotic disorders, radiation damage, respiratory diseases, and autoimmune diseases, including 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 (peripheral or central), nerve injury diseases, spinal cord injury, erectile dysfunction, platelet aggregation, leukocyte aggregation, glaucoma, ocular hypertension, asthma, osteoporosis, pulmonary fibrosis (such as idiopathic pulmonary fibrosis), hepatic fibrosis, renal fibrosis, COPD, kidney dialysis (epithelial stability), glomerulosclerosis, neuronal degeneration inflammation, and the like.