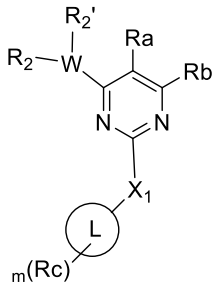
CLAIMS

1. A compound represented by formula H below, or a racemate, a stereoisomer, a tautomer, an isotopically labeled compound, a solvate, a polymorph, a metabolite, a pharmaceutically acceptable salt, or a prodrug thereof:



H

wherein:

X_1 represents a chemical bond;

L represents C_{2-6} alkynyl, or Cy, wherein L may be linked to X_1 or R_c at any position;

Cy represents 3- to 20-membered heterocyclyl, C_{6-20} aryl, or 5- to 20-membered heteroaryl, wherein the 3- to 20-membered heterocyclyl, C_{6-20} aryl, or 5- to 20-membered heteroaryl may be optionally fused to 4- to 7-membered cycloalkyl, provided that when the heterocyclyl contains an N atom, the heterocyclyl may be bonded to the carbon atom at the 2-position of the pyrimidine ring in formula H via the N atom or a C atom thereof;

W represents N;

R_2 is H;

$R_{2'}$ represents the following groups unsubstituted or optionally substituted with 1, 2, or more R_{d2}: C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl;

R_a represents -X-R_3;

X represents -S(O)- or -$S(O)_2$-;

R_3 represents C_{1-20} alkyl unsubstituted or optionally substituted with 1, 2, or more R_{d4};

R_b represents H or -Y-R_4;

Y represents CH_2;

R_4 represents H, halogen, OH, CN, -CH_2CF_3, -NHR_{d4}, or the following groups unsubstituted or optionally substituted with 1, 2, or more R_{d5}: C_{1-20} alkyl, -$C(O)R_{61}$, -$C(O)OR_{62}$, -$OC(O)R_{63}$, and NH_2;

or R_a and R_b, together with the atoms linked thereto, form the following groups unsubstituted or optionally substituted with 1, 2, or more R_{d6}: C_{5-20} cycloalkenyl, 3- to 20-membered

heterocyclyl, 5- to 20-membered heteroaryl, and 6- to 20-membered aryl;

R_{d2}, R_{d4}, R_{d5}, and R_{d6} are each identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), SO, SO_2, and the following groups unsubstituted or optionally substituted with 1, 2, or more R_e: C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, C_{1-20} alkyloxy, C_{2-20} alkenyloxy, C_{2-20} alkynyloxy, C_{3-20} cycloalkyloxy, C_{3-20} cycloalkenyloxy, C_{3-20} cycloalkynyloxy, C_{6-20} aryloxy, 5- to 20-membered heteroaryloxy, 3- to 20-membered heterocyclyloxy, C_{1-20} alkylthio, C_{2-20} alkenylthio, C_{2-20} alkynylthio, C_{3-20} cycloalkylthio, C_{3-20} cycloalkenylthio, C_{3-20} cycloalkynylthio, C_{6-20} arylthio, 5- to 20-membered heteroarylthio, 3- to 20-membered heterocyclylthio, NH_2, $-C(O)R_{31}$, $-CH_2-C(O)R_{31}$, $-C(O)OR_{32}$, $-CH_2C(O)OR_{32}$, $-C(O)NHR_{32}$, $-CH_2C(O)NHR_{32}$, $-OC(O)R_{33}$, $-S(O)_2R_{34}$, $-S(O)_2OR_{35}$, $-OS(O)_2R_{36}$, and $-P(O)(OR_{37})(OR_{38})$;

or when two or more substituents selected from R_{d2}, R_{d4}, R_{d5}, and R_{d6} are present on the same group, the two substituents, together with the atom linked thereto, may form the following groups unsubstituted or optionally substituted with 1, 2, or more R_e: C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, and 3- to 20-membered heterocyclyl;

R_c are identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), and the following groups unsubstituted or optionally substituted with 1, 2, or more R_e: C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl-O-C_{6-20} aryl-, 5- to 20-membered heteroaryl-N-C_{6-20} aryl-, 5- to 20-membered heteroaryl-S-C_{6-20} aryl-, 5- to 20-membered heteroaryl-CH_2-C_{6-20} aryl-, C_{4-10} cycloalkyl-fused C_{6-20} aryl-, 4- to 10-membered heterocycloalkyl-fused C_{6-20} aryl-, 4- to 10-membered heterocycloalkenyl-fused C_{6-20} aryl-, C_{4-10} cycloalkyl-C_{6-20} aryl-, 4- to 10-membered heterocycloalkyl-C_{6-20} aryl-, 4- to 10-membered heterocycloalkenyl-C_{6-20} aryl-, 5- to 20-membered heteroaryl, C_{4-10} cycloalkyl-fused 5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkyl-fused 5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkenyl-fused 5- to 20-membered heteroaryl-, C_{4-10} cycloalkyl-5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkyl-5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkenyl-5- to 20-membered heteroaryl-, 3- to 20-membered heterocyclyl, C_{1-20} alkyloxy, C_{2-20} alkenyloxy, C_{2-20} alkynyloxy, C_{3-20} cycloalkyloxy, C_{3-20} cycloalkenyloxy, C_{3-20} cycloalkynyloxy, C_{6-20} aryloxy, 5- to 20-membered heteroaryloxy, 3- to 20-membered heterocyclyloxy, C_{1-20} alkylthio, C_{2-20} alkenylthio, C_{2-20} alkynylthio, C_{3-20} cycloalkylthio, C_{3-20} cycloalkenylthio, C_{3-20}

cycloalkynylthio, C_{6-20} arylthio, 5- to 20-membered heteroarylthio, 3- to 20-membered heterocyclylthio, NH_2, $-C(O)R_{31}$, $-C(O)OR_{32}$, $-OC(O)R_{33}$, $-S(O)_2R_{34}$, $-S(O)_2OR_{35}$, $-OS(O)_2R_{36}$, and $-P(O)(OR_{37})(OR_{38})$;

m is selected from integers from 1 to 10;

R_e are identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, NH_2, oxo (=O), thio (=S), $O\text{-}CONH_2$, $O\text{-}CONHR_f$, and the following groups unsubstituted or optionally substituted with 1, 2, or more R_f: C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, C_{1-20} alkyloxy, C_{2-20} alkenyloxy, C_{2-20} alkynyloxy, C_{3-20} cycloalkyloxy, C_{3-20} cycloalkenyloxy, C_{3-20} cycloalkynyloxy, C_{6-20} aryloxy, 5- to 20-membered heteroaryloxy, 3- to 20-membered heterocyclyloxy, C_{1-20} alkylthio, C_{2-20} alkenylthio, C_{2-20} alkynylthio, C_{3-20} cycloalkylthio, C_{3-20} cycloalkenylthio, C_{3-20} cycloalkynylthio, C_{6-20} arylthio, 5- to 20-membered heteroarylthio, 3- to 20-membered heterocyclylthio, C_{1-8} heteroalkyl-fused C_{6-20} aryl-, C_{1-8} heteroalkyl-C_{6-20} aryl-, NH_2, $-C(O)R_{41}$, $-C(O)OR_{42}$, $-OC(O)R_{43}$, $-S(O)_2R_{44}$, $-S(O)_2OR_{45}$, $-OS(O)_2R_{46}$, and $-P(O)(OR_{47})(OR_{48})$;

or when two or more substituents selected from R_e are present on the same group, the two substituents, together with the atom linked thereto, may form the following groups unsubstituted or optionally substituted with 1, 2, or more R_f: C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, and 3- to 20-membered heterocyclyl;

R_f are identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), and the following groups unsubstituted or optionally substituted with 1, 2, or more R_g: C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, C_{1-20} alkyloxy, C_{2-20} alkenyloxy, C_{2-20} alkynyloxy, C_{3-20} cycloalkyloxy, C_{3-20} cycloalkenyloxy, C_{3-20} cycloalkynyloxy, C_{6-20} aryloxy, 5- to 20-membered heteroaryloxy, 3- to 20-membered heterocyclyloxy, C_{1-20} alkylthio, C_{2-20} alkenylthio, C_{2-20} alkynylthio, C_{3-20} cycloalkylthio, C_{3-20} cycloalkenylthio, C_{3-20} cycloalkynylthio, C_{6-20} arylthio, 5- to 20-membered heteroarylthio, 3- to 20-membered heterocyclylthio, NH_2, $-C(O)R_{51}$, $-C(O)OR_{52}$, $-OC(O)R_{53}$, $-S(O)_2R_{54}$, $-S(O)_2OR_{55}$, $-OS(O)_2R_{56}$, and $-P(O)(OR_{57})(OR_{58})$;

or when two or more substituents selected from R_f are present on the same group, the two substituents, together with the atom linked thereto, may form the following groups

unsubstituted or optionally substituted with 1, 2, or more R_g: C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, and 3- to 20-membered heterocyclyl;

R_g are identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, and NH_2;

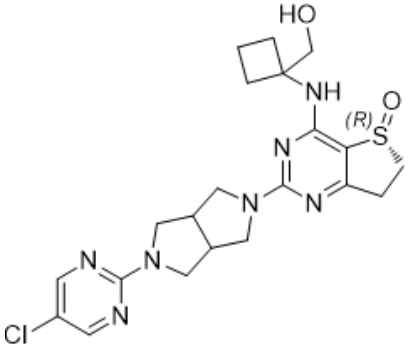
R_{31}, R_{32}, R_{33}, R_{34}, R_{35}, R_{36}, R_{37}, R_{38}, R_{41}, R_{42}, R_{43}, R_{44}, R_{45}, R_{46}, R_{47}, R_{48}, R_{51}, R_{52}, R_{53}, R_{54}, R_{55}, R_{56}, R_{57}, and R_{58} are each identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, and NH_2;

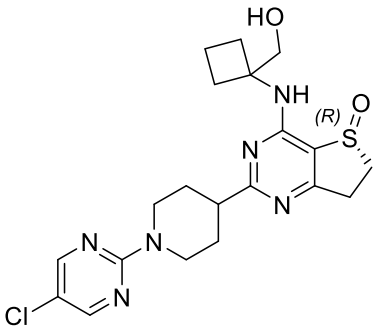
the 3- to 20-membered heterocyclyl represents a saturated or unsaturated non-aromatic ring or ring system; for example, it is a 4-, 5-, 6-, or 7-membered monocyclic ring system, a 7-, 8-, 9-, 10-, 11-, or 12-membered bicyclic (e.g., fused, bridged, or spiro) ring system, or a 10-, 11-, 12-, 13-, 14-, or 15-membered tricyclic ring system, and contains at least one, e.g., 1, 2, 3, 4, 5, or more heteroatoms independently selected from O, S, and N, wherein N and S may also be optionally oxidized to various oxidized forms to form nitrogen oxides, -S(O)-, or $-S(O)_2-$;

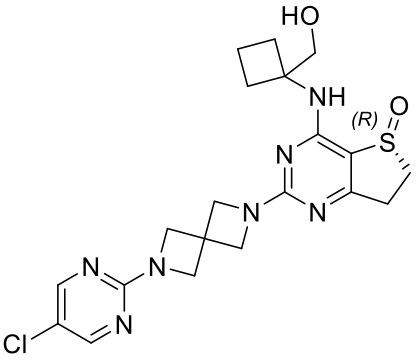
the C_{6-20} aryl represents an aromatic or partially aromatic monovalent monocyclic, bicyclic (e.g., fused, bridged, or spiro) or tricyclic hydrocarbon ring having 6-20 carbon atoms, which may be a single aromatic ring or multiple aromatic rings fused together;

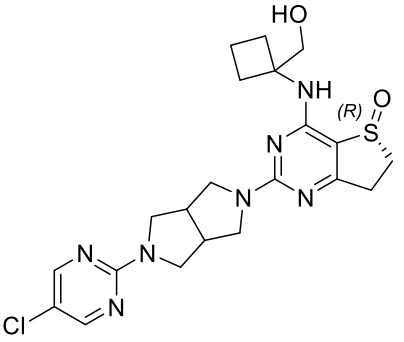
the 5- to 20-membered heteroaryl represents a monovalent monocyclic, bicyclic (e.g., fused, bridged, or spiro) or tricyclic aromatic ring system, wherein the aromatic ring system has 5-20 ring atoms and contains 1, 2, 3, 4, 5, or more heteroatoms independently selected from N, O, and S;

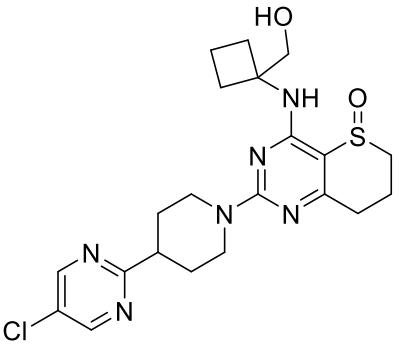
provided that the compound does not comprise a compound selected from the following compounds or a stereoisomer or a racemate thereof:

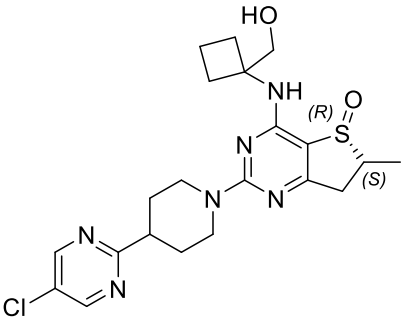


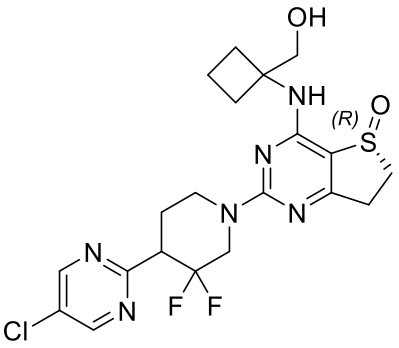


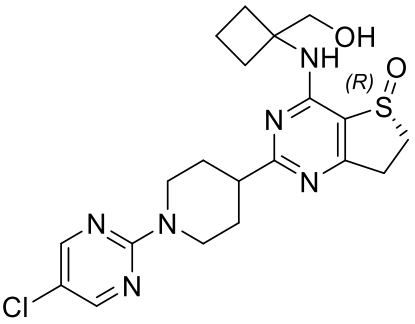








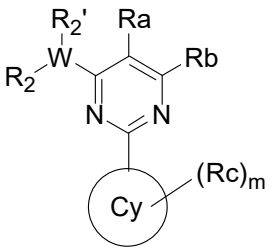




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2. The compound or a racemate, a stereoisomer, a tautomer, an isotopically labeled compound, a solvate, a polymorph, a metabolite, a pharmaceutically acceptable salt, or a prodrug thereof according to claim 1, wherein the compound is selected from a compound represented by formula G below:



G

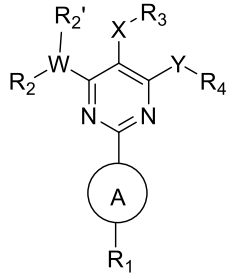
wherein:

Cy has the definition as described in claim 1, provided that when the heterocyclyl contains an N atom, the heterocyclyl may be bonded to the carbon atom at the 2-position of the pyrimidine ring in formula G via the N atom or a C atom thereof;

W, R_2, $R_{2'}$, R_a, R_b, R_c, m has the definition as described in claim 1 respectively.

3. The compound or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the solvate, the polymorph, the metabolite, the pharmaceutically acceptable salt, or the prodrug thereof according to claim 1, wherein the compound is selected from:

a compound represented by formula II below:



II

W represents N;

X represents S(O) or $S(O)_2$;

Y represents CH_2;

R_1 represents hydrogen or a mono- or polycyclic C_{6-20} aryl group, and may be independently and optionally substituted at any of the ortho-, para-, and meta-positions with one, two, or three substituents of fluorine, chlorine, bromine, iodine, hydroxyl, CN, NO_2, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, $COOR^{1.1}CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, $CO\text{-}NR^{1.1}CH_2CO\text{-}NR^{1.1}$, $CH_2CH_2CO\text{-}NR^{1.1}$, $CH{=}CHCO\text{-}NR^{1.1}$, $NR^{1.2}R^{1.3}$, $CH_2\text{-}NR^{1.2}R^{1.3}$, $CH_2CH_2\text{-}NR^{1.2}R^{1.3}$, C_{3-10} cycloalkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, C_{1-6} alkyl, C_{1-3} fluoroalkyl, CF_3, CHF_2, CH_2F, C_{6-20} aryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl,

C_{6-20} aryl, SO_2-CH_3, SO_2-CH_2CH_3, and SO_2-$NR^{1.2}R^{1.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, $OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{1.2}R^{1.3}$;

or R_1 represents a group selected from a heterocyclic ring and heteroaryl, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, C_{1-3} alkyl-$OR^{1.1}$, $SR^{1.1}$, C_{1-3} alkyl-$SR^{1.1}$, SO-$R^{1.1}$, C_{1-3} alkyl-$SOR^{1.1}$, SO_2-$R^{1.1}$, C_{1-3} alkyl-$SO_2R^{1.1}$, $COOR^{1.1}$, $CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, CO-$NR^{1.1}CH_2CO$-$NR^{1.1}$, CH_2CH_2CO-$NR^{1.1}$, $CH{=}CHCO$-$NR^{1.1}$, $COR^{1.1}$, $CH_2COR^{1.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{1.1}$, and $NR^{1.2}R^{1.3}$, wherein each of the substituents may be optionally substituted with 1, 2, or more substituents of OH, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-10} aryl, and $NR^{1.2}R^{2.3}$;

the heterocyclic ring represents a 3- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the heteroaromatic ring is 5- to 10-membered monocyclic or bicyclic, optionally fused, heteroaryl that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the cycloalkyl may be saturated or partially saturated;

$R^{1.1}$ is H or a group selected from C_{1-6} alkyl, C_{1-6} alkyl alcohol, C_{1-3} haloalkyl, a mono- or bicyclic ring, -C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, C_{3-10} cycloalkyl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, 5- to 20-membered heteroaryl, and a heterocyclic ring, which may be optionally substituted with substituents of OH, O-(C_{1-3} alkyl), halogen, C_{1-10} alkyl, and C_{5-10} aryl;

$R^{1.2}$ and $R^{1.3}$ each independently represent H or a group selected from C_{1-6} alkyl, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, a heteroaromatic ring, CO-NH_2, CO-NH-CH_3, -CO-$N(CH_3)_2$, SO_2-(C_{1-3} alkyl), CO-$R^{2.1}$, and $COOR^{2.1}$, which may be optionally substituted with 1, 2, or more substituents selected from OH, halogen, C_{1-6} alkyl, C_{6-20} aryl, and $COOR^{1.1}$;

R_2 represents hydrogen or a mono- or polycyclic C_{6-20} aryl group, and may be optionally substituted at any of the ortho-, para-, and meta-positions with one, two, or three substituents

of fluorine, chlorine, bromine, iodine, hydroxyl, CN, NO_2, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{2.1}$, $COOR^{2.1}CH_2COOR^{2.1}$, $CH_2CH_2COOR^{2.1}$, $CH{=}CHCOOR^{2.1}$, $CO\text{-}NR^{2.1}CH_2CO\text{-}NR^{2.1}$, $CH_2CH_2CO\text{-}NR^{2.1}$, $CH{=}CHCO\text{-}NR^{2.1}$, $NR^{2.2}R^{2.3}$, $CH_2\text{-}NR^{2.2}R^{2.3}$, $CH_2CH_2\text{-}NR^{2.2}R^{2.3}$, $C_{3\text{-}10}$ cycloalkyl, a 3- to 20-membered heterocyclic ring, $C_{1\text{-}6}$ alkyl, $C_{1\text{-}3}$ fluoroalkyl, CF_3, CHF_2, CH_2F, $C_{6\text{-}20}$ aryl-$C_{1\text{-}6}$ alkyl, 3- to 20-membered heterocyclic-$C_{1\text{-}6}$ alkyl, 5- to 20-membered heteroaryl-$C_{1\text{-}6}$ alkyl, $C_{6\text{-}20}$ aryl, $C_{1\text{-}3}$ alkyl-$SOR^{2.1}$, $C_{1\text{-}3}$ alkyl-$SO_2R^{2.1}$, $SO_2\text{-}CH_3$, $SO_2\text{-}CH_2CH_3$, and $SO_2\text{-}NR^{2.2}R^{2.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, $C_{1\text{-}6}$ alkyl, $C_{6\text{-}10}$ aryl, and $NR^{2.2}R^{2.3}$;

or R_2 represents a group selected from a heterocyclic ring and heteroaryl, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more substituents selected from $OR^{2.1}$, $C_{1\text{-}3}$ alkyl-$OR^{2.1}$, $SR^{2.1}$, $C_{1\text{-}3}$ alkyl-$SR^{2.1}$, SO-$R^{2.1}$, $C_{1\text{-}3}$ alkyl-$SOR^{2.1}$, $SO_2\text{-}R^{2.1}$, $C_{1\text{-}3}$ alkyl-$SO_2R^{2.1}$, $COOR^{2.1}$, $CH_2COOR^{2.1}$, $CH_2CH_2COOR^{2.1}$, $CH{=}CHCOOR^{2.1}$, $CO\text{-}NR^{2.1}CH_2CO\text{-}NR^{2.1}$, $CH_2CH_2CO\text{-}NR^{2.1}$, $CH{=}CHCO\text{-}NR^{2.1}$, $COR^{2.1}$, $CH_2COR^{2.1}$, $C_{1\text{-}6}$ alkyl alcohol, mono- or bicyclic $C_{3\text{-}10}$ cycloalkyl, $C_{6\text{-}20}$ aryl, $C_{1\text{-}6}$ alkyl, $C_{6\text{-}20}$ aryl-$C_{1\text{-}6}$ alkyl, 5- to 20-membered heteroaryl-$C_{1\text{-}6}$ alkyl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl $C_{1\text{-}3}$ alkyl-$OR^{2.1}$, and $NR^{2.2}R^{2.3}$, wherein each of the substituents is optionally substituted with 1, 2, or more substituents of OH, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, $C_{1\text{-}6}$ alkyl, $C_{6\text{-}20}$ aryl, and $NR^{2.2}R^{2.3}$;

the heterocyclic ring represents a 3- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the heteroaromatic ring is 5- to 10-membered monocyclic or bicyclic, optionally fused, heteroaryl that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the cycloalkyl may be saturated or partially saturated;

$R^{2.1}$ is H or a group selected from $C_{1\text{-}6}$ alkyl, $C_{1\text{-}6}$ alkyl alcohol, $C_{1\text{-}3}$ haloalkyl, a mono- or bicyclic ring, -$C_{3\text{-}10}$ cycloalkyl, $C_{6\text{-}20}$ aryl-$C_{1\text{-}6}$ alkyl, 5- to 20-membered heteroaryl-$C_{1\text{-}6}$ alkyl, 3- to 20-membered heterocyclic-$C_{1\text{-}6}$ alkyl, $C_{3\text{-}10}$ cycloalkyl-$C_{1\text{-}6}$ alkyl, mono- or bicyclic $C_{6\text{-}20}$ aryl, 5- to 20-membered heteroaryl, and a heterocyclic ring, which may be optionally substituted with substituents of OH, O-($C_{1\text{-}3}$ alkyl), halogen, $C_{1\text{-}10}$ alkyl, and $C_{6\text{-}20}$ aryl;

$R^{2.2}$ and $R^{2.3}$ each independently represent H or a group selected from $C_{1\text{-}6}$ alkyl, mono- or bicyclic $C_{3\text{-}10}$ cycloalkyl, $C_{5\text{-}10}$ aryl-$C_{1\text{-}6}$ alkyl, 5- to 20-membered heteroaryl-$C_{1\text{-}6}$ alkyl, mono- or bicyclic $C_{6\text{-}20}$ aryl, a 3- to 20-membered heterocyclic ring, a heteroaromatic ring,

$CO\text{-}NH_2$, $CO\text{-}NH\text{-}CH_3$, $-CO\text{-}N(CH_3)_2$, $SO_2\text{-}(C_{1\text{-}3}$ alkyl), $CO\text{-}R^{2.1}$, and $COOR^{2.1}$, which may be optionally substituted with 1, 2, or more substituents selected from OH, halogen, $C_{1\text{-}6}$ alkyl, $C_{6\text{-}20}$ aryl, and $COOR^{1.1}$; or

$R_{2'}$ represents H, F, Me, $C_{1\text{-}6}$ alkyl, $C_{2\text{-}6}$ alkenyl, $C_{2\text{-}6}$ alkynyl, $C_{6\text{-}20}$ aryl, $C_{6\text{-}20}$ aryl-$C_{1\text{-}6}$ alkyl, $C_{5\text{-}10}$ heteroaryl-$C_{1\text{-}6}$ alkyl, a $C_{3\text{-}10}$ heterocyclic ring, a $C_{5\text{-}10}$ heterocyclic ring, -NR'R'', fluorine, $C_{1\text{-}6}$ fluoroalkyl, and $C_{1\text{-}6}$ fluoroalkoxy, wherein R' and R'' are independently selected from H and $C_{1\text{-}6}$ alkyl; the group, in each case, may be optionally substituted with 1, 2, or more substituents selected from OH, oxo, halogen, $C_{1\text{-}6}$ alkyl, and O-$C_{1\text{-}6}$ alkyl;

or,

R_2 and $R_{2'}$ together form a 4- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged heterocyclic ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

R_3 represents a group selected from aryl and heteroaryl, and is independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or independently and optionally substituted with 1, 2, or more substituents selected from $OR^{1.1}$, $C_{1\text{-}3}$ alkyl-$OR^{1.1}$, $SR^{1.1}$, $C_{1\text{-}3}$ alkyl-$SR^{1.1}$, $SO\text{-}R^{1.1}$, $C_{1\text{-}3}$ alkyl-$SOR^{1.1}$, $SO_2\text{-}R^{1.1}$, $C_{1\text{-}3}$ alkyl-$SO_2R^{1.1}$, $COOR^{1.1}$, $CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, $CO\text{-}NR^{1.1}CH_2CO\text{-}NR^{1.1}$, $CH_2CH_2CO\text{-}NR^{1.1}$, $CH{=}CHCO\text{-}NR^{1.1}$, $COR^{1.1}$, $CH_2COR^{1.1}$, $C_{1\text{-}6}$ alkyl alcohol, mono- or bicyclic $C_{3\text{-}10}$ cycloalkyl, $C_{6\text{-}20}$ aryl, $C_{1\text{-}6}$ alkyl, $C_{6\text{-}20}$ aryl-$C_{1\text{-}6}$ alkyl, 5- to 20-membered heteroaryl-$C_{1\text{-}6}$ alkyl, $C_{1\text{-}3}$ alkyl-(monocyclic or polycyclic $C_{6\text{-}20}$ aryl), 3- to 20-membered heterocyclyl-$C_{6\text{-}20}$ aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl $C_{1\text{-}3}$ alkyl-$OR^{1.1}$, and $NR^{1.2}R^{1.3}$, wherein each of the substituents may be optionally substituted with 1, 2, or more substituents of OH, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, $C_{1\text{-}6}$ alkyl, $C_{6\text{-}20}$ aryl, and $NR^{1.2}R^{2.3}$;

R_4 represents a group selected from aryl and heteroaryl, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or independently and optionally substituted with 1, 2, or more substituents selected from $OR^{1.1}$, $C_{1\text{-}3}$ alkyl-$OR^{1.1}$, $SR^{1.1}$, $C_{1\text{-}3}$ alkyl-$SR^{1.1}$, $SO\text{-}R^{1.1}$, $C_{1\text{-}3}$ alkyl-$SOR^{1.1}$, $SO_2\text{-}R^{1.1}$, $C_{1\text{-}3}$ alkyl-$SO_2R^{1.1}$, $COOR^{1.1}$, $CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, $CO\text{-}NR^{1.1}CH_2CO\text{-}NR^{1.1}$, $CH_2CH_2CO\text{-}NR^{1.1}$, $CH{=}CHCO\text{-}NR^{1.1}$, $COR^{1.1}$, $CH_2COR^{1.1}$, $C_{1\text{-}6}$ alkyl alcohol, mono- or bicyclic $C_{3\text{-}10}$ cycloalkyl, $C_{6\text{-}20}$ aryl, $C_{1\text{-}6}$ alkyl, $C_{6\text{-}10}$ aryl-$C_{1\text{-}6}$ alkyl, 5- to 20-membered heteroaryl-$C_{1\text{-}6}$ alkyl, $C_{1\text{-}3}$ alkyl-(monocyclic or polycyclic $C_{6\text{-}20}$ aryl), 3- to 20-membered heterocyclyl-$C_{6\text{-}20}$ aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl $C_{1\text{-}3}$ alkyl-$OR^{1.1}$, and $NR^{1.2}R^{1.3}$, wherein each of the

substituents may be optionally substituted with 1, 2, or more substituents of OH, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{1.2}R^{2.3}$;

ring A represents a chemical bond or a mono- or polycyclic C_{6-20} aryl group, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of fluorine, chlorine, bromine, hydroxyl, CN, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, $COOR^{1.1}CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, $CO\text{-}NR^{1.1}CH_2CO\text{-}NR^{1.1}$, $CH_2CH_2CO\text{-}NR^{1.1}$, $CH{=}CHCO\text{-}NR^{1.1}$, $NR^{1.2}R^{1.3}$, $CH_2\text{-}NR^{1.2}R^{1.3}$, $CH_2CH_2\text{-}NR^{1.2}R^{1.3}$, C_{3-10} cycloalkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, C_{1-6} alkyl, C_{1-3} fluoroalkyl, CF_3, CHF_2, CH_2F, C_{6-20} aryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{6-10} aryl, $SO_2\text{-}CH_3$, $SO_2\text{-}CH_2CH_3$, and $SO_2\text{-}NR^{1.2}R^{1.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, $OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-10} aryl, and $NR^{1.2}R^{1.3}$; or

ring A represents a group selected from a heterocyclic ring and heteroaryl, and is independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, C_{1-3} alkyl-$OR^{1.1}$, $SR^{1.1}$, C_{1-3} alkyl-$SR^{1.1}$, SO-$R^{1.1}$, C_{1-3} alkyl-$SOR^{1.1}$, $SO_2\text{-}R^{1.1}$, C_{1-3} alkyl-$SO_2R^{1.1}$, $COOR^{1.1}$, $CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, $CO\text{-}NR^{1.1}CH_2CO\text{-}NR^{1.1}$, $CH_2CH_2CO\text{-}NR^{1.1}$, $CH{=}CHCO\text{-}NR^{1.1}$, $COR^{1.1}$, $CH_2COR^{1.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{1.1}$, and $NR^{1.2}R^{1.3}$, wherein each of the substituents may be optionally substituted with 1, 2, or more substituents of OH, $OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{1.2}R^{1.3}$;

the heterocyclic ring represents a 3- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the heteroaryl is 5- to 10-membered monocyclic or bicyclic, optionally fused, heteroaryl that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

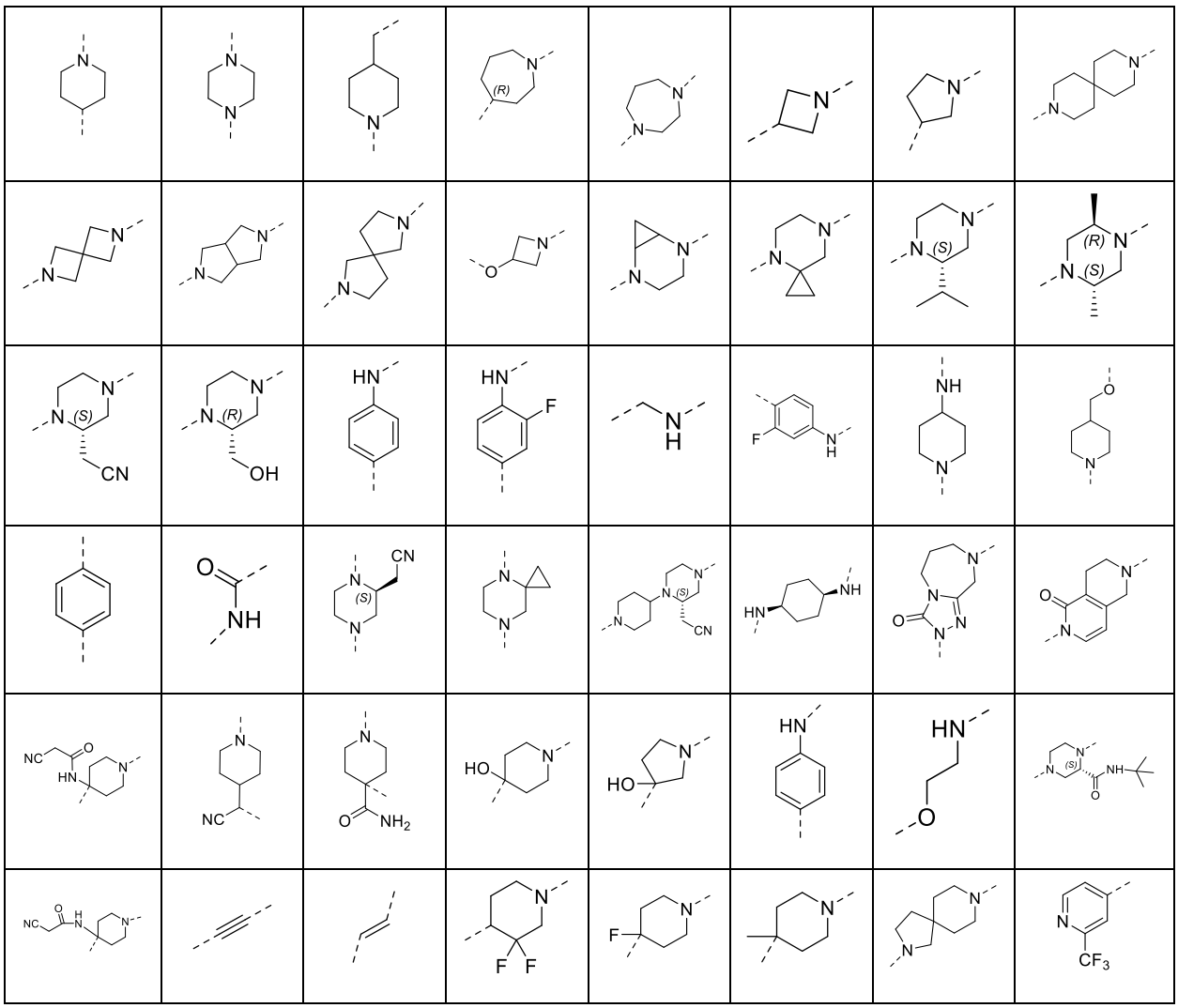
the cycloalkyl may be saturated or partially saturated;

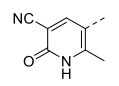
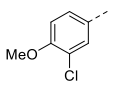
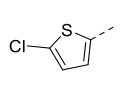
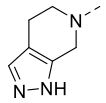
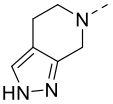
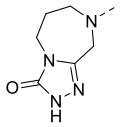
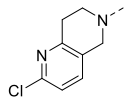
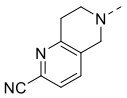
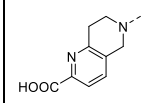
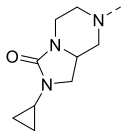
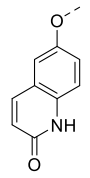
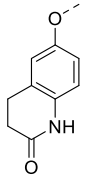
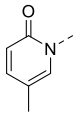
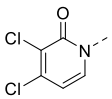
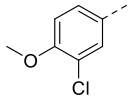
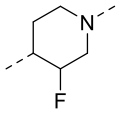
$R^{1.1}$ is H or a group selected from C_{1-6} alkyl, C_{1-6} alkyl alcohol, C_{1-3} haloalkyl, a mono- or bicyclic ring, -C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl,

3- to 20-membered heterocyclic-C_{1-6} alkyl, C_{3-10} cycloalkyl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, 5- to 20-membered heteroaryl, and a heterocyclic ring, which may be optionally substituted with substituents selected from OH, O-(C_{1-3} alkyl), halogen, C_{1-10} alkyl, and C_{6-20} aryl;

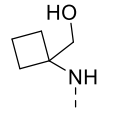
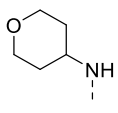
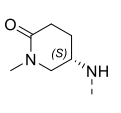
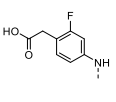
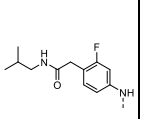
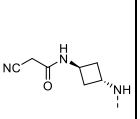
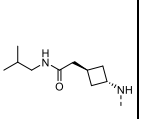
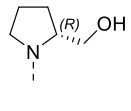
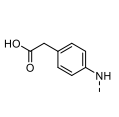
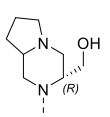
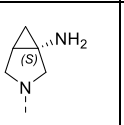
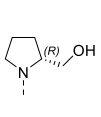
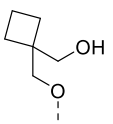
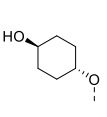
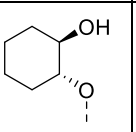
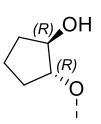
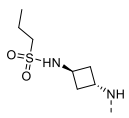
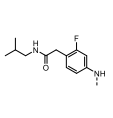
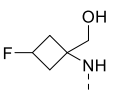
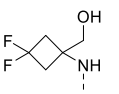
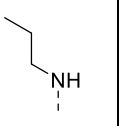
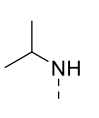
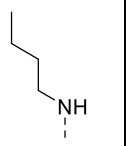
$R^{1.2}$ and $R^{1.3}$ each independently represent H or a group selected from C_{1-6} alkyl, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkylene, mono- or bicyclic C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, a heteroaromatic ring, CO-NH_2, CO-NH-CH_3, -CO-$N(CH_3)_2$, SO_2-(C_{1-3} alkyl), CO-$R^{1.1}$, and $COOR^{1.1}$, which may be optionally substituted with 1, 2, or more substituents selected from OH, halogen, C_{1-6} alkyl, C_{6-20} aryl, and $COOR^{1.1}$;

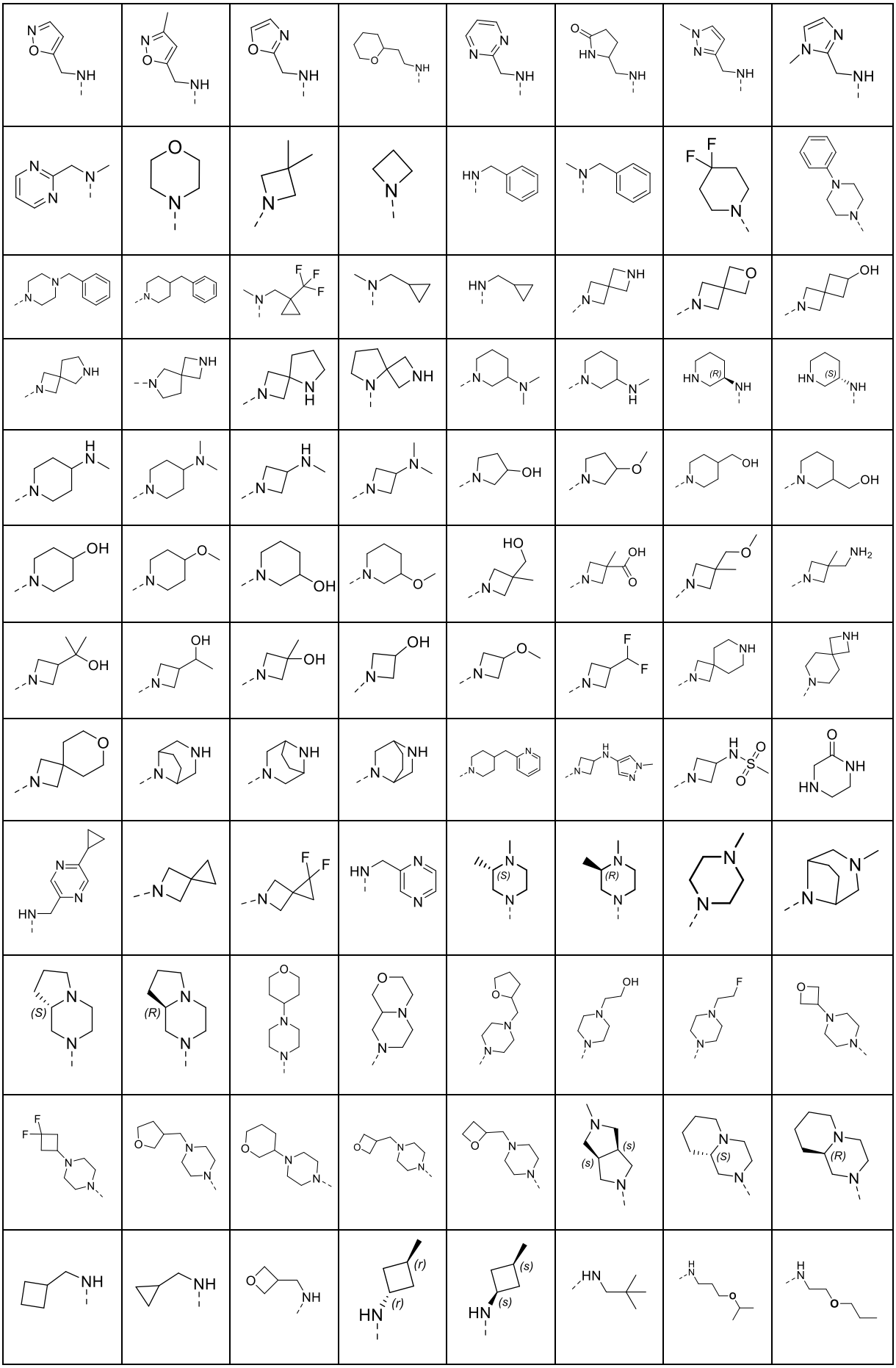
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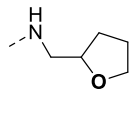
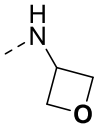
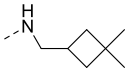
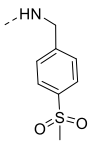
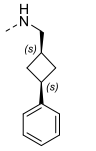
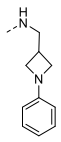
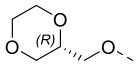
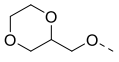
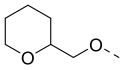
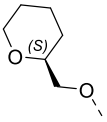
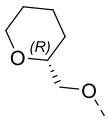
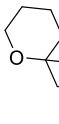
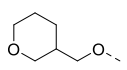
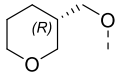
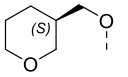
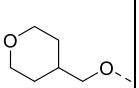
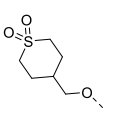
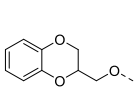
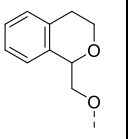
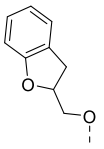
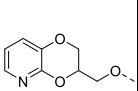
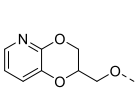
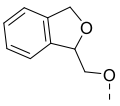
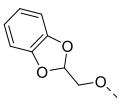
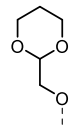
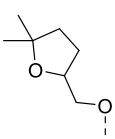
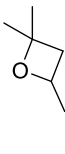
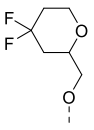
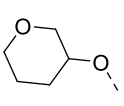
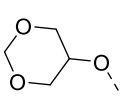
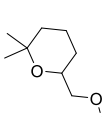
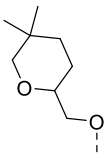
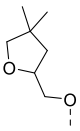
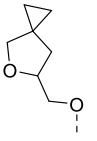
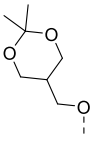
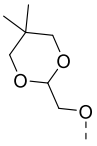
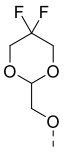
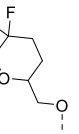
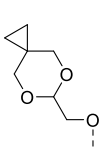
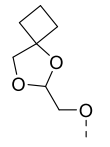


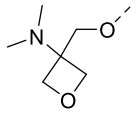
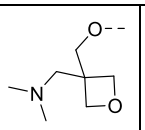
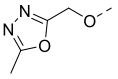
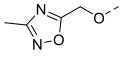
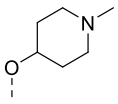
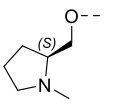
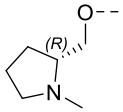
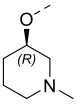
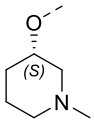
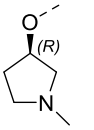
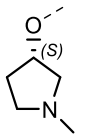
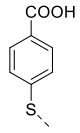
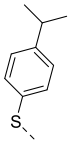
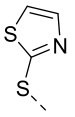
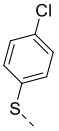
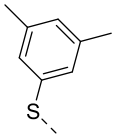
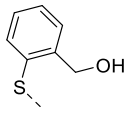
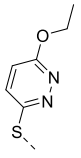
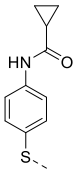
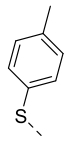
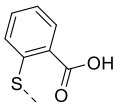
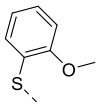
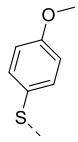
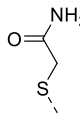
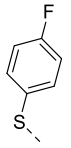
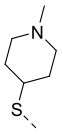
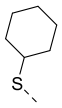
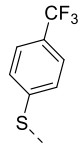
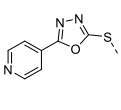
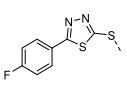
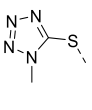
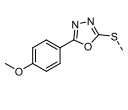
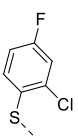
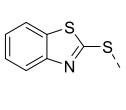
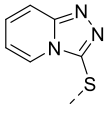
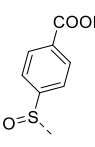
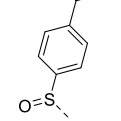
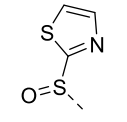
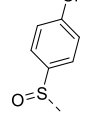
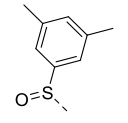
							
							
							

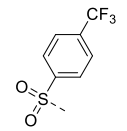
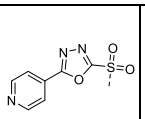
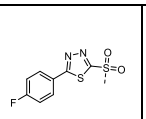
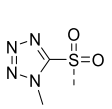
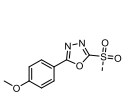
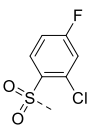
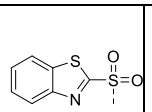
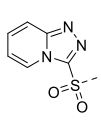
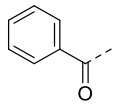
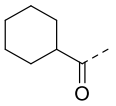
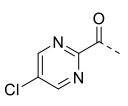
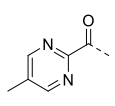
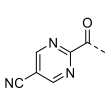
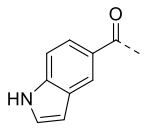
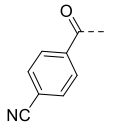
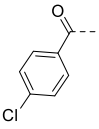
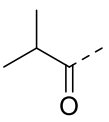
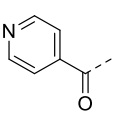
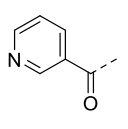
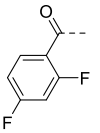
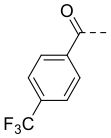
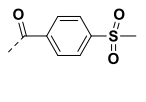
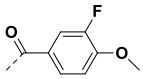
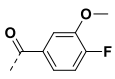
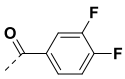
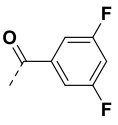
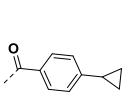
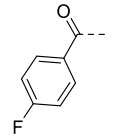
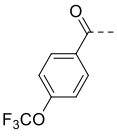
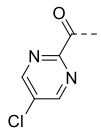
for example, -W-R_2R_2, may be selected from the following groups:

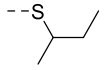


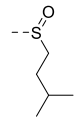
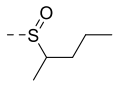
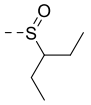
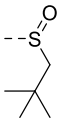
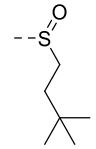
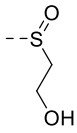
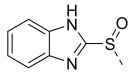
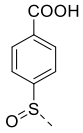
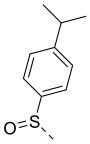
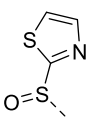
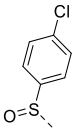
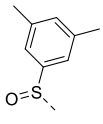
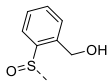
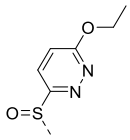
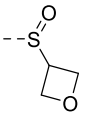
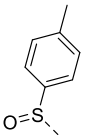
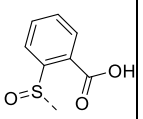
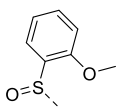
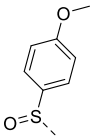
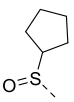
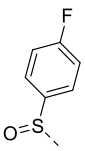
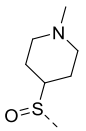
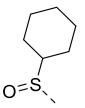
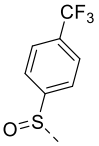
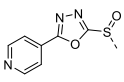
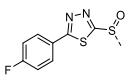
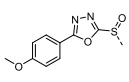
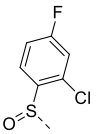
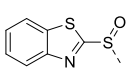
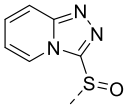
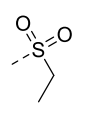
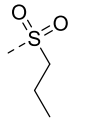
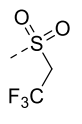
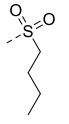
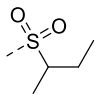
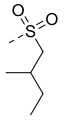
							
							
							
							
							
							

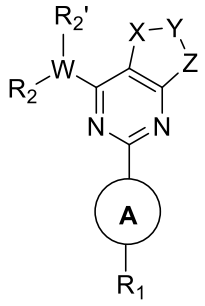
for example, the substituent -X-R_3 may be selected from the following groups:

;

a compound represented by formula III below:



III

wherein:

W represents N;

X represents S(O) or $S(O)_2$;

Y represents CH_2;

Z represents CH_2;

R_1 represents hydrogen or a mono- or polycyclic C_{6-20} aryl group, and may be independently and optionally substituted at any of the ortho-, para-, and meta-positions with one, two, or three substituents of fluorine, chlorine, bromine, iodine, hydroxyl, CN, NO_2, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, $COOR^{1.1}CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, $CO\text{-}NR^{1.1}CH_2CO\text{-}NR^{1.1}$, $CH_2CH_2CO\text{-}NR^{1.1}$, $CH{=}CHCO\text{-}NR^{1.1}$, $NR^{1.2}R^{1.3}$, $CH_2\text{-}NR^{1.2}R^{1.3}$, $CH_2CH_2\text{-}NR^{1.2}R^{1.3}$, C_{3-10} cycloalkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, C_{1-6} alkyl, C_{1-3} fluoroalkyl, CF_3, CHF_2, CH_2F, C_{6-20} aryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{6-20} aryl, $SO_2\text{-}CH_3$, $SO_2\text{-}CH_2CH_3$, and $SO_2\text{-}NR^{1.2}R^{1.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, $OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{1.2}R^{1.3}$;

or R_1 represents a group selected from a heterocyclic ring and heteroaryl, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, C_{1-3} alkyl-$OR^{1.1}$, $SR^{1.1}$, C_{1-3} alkyl-$SR^{1.1}$, $SO\text{-}R^{1.1}$, C_{1-3} alkyl-$SOR^{1.1}$, $SO_2\text{-}R^{1.1}$, C_{1-3} alkyl-$SO_2R^{1.1}$, $COOR^{1.1}$, $CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, $CO\text{-}NR^{1.1}CH_2CO\text{-}NR^{1.1}$, $CH_2CH_2CO\text{-}NR^{1.1}$, $CH{=}CHCO\text{-}NR^{1.1}$, $COR^{1.1}$, $CH_2COR^{1.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{1.1}$, and $NR^{1.2}R^{1.3}$, wherein each of the substituents may be optionally substituted with 1, 2, or more substituents of OH, $OR^{2.1}$, oxo,

halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-10} aryl, and $NR^{1.2}R^{2.3}$;

the heterocyclic ring represents a 3- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the heteroaromatic ring is 5- to 10-membered monocyclic or bicyclic, optionally fused, heteroaryl that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the cycloalkyl may be saturated or partially saturated;

$R^{1.1}$ is H or a group selected from C_{1-6} alkyl, C_{1-6} alkyl alcohol, C_{1-3} haloalkyl, a mono- or bicyclic ring, -C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, C_{3-10} cycloalkyl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, 5- to 20-membered heteroaryl, and a heterocyclic ring, which may be optionally substituted with substituents of OH, O-(C_{1-3} alkyl), halogen, C_{1-10} alkyl, and C_{6-20} aryl;

$R^{1.2}$ and $R^{1.3}$ each independently represent H or a group selected from C_{1-6} alkyl, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, a heteroaromatic ring, CO-NH_2, CO-NH-CH_3, -CO-$N(CH_3)_2$, SO_2-(C_{1-3} alkyl), CO-$R^{2.1}$, and $COOR^{2.1}$, which may be optionally substituted with 1, 2, or more substituents selected from OH, halogen, C_{1-6} alkyl, C_{6-20} aryl, and $COOR^{1.1}$; or

R_2 represents hydrogen or a mono- or polycyclic C_{6-20} aryl group, and may be independently and optionally substituted at any of the ortho-, para-, and meta-positions with one, two, or three substituents of fluorine, chlorine, bromine, iodine, hydroxyl, CN, NO_2, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{2.1}$, $COOR^{2.1}CH_2COOR^{2.1}$, $CH_2CH_2COOR^{2.1}$, $CH{=}CHCOOR^{2.1}$, CO-$NR^{2.1}CH_2$CO-$NR^{2.1}$, CH_2CH_2CO-$NR^{2.1}$, CH=CHCO-$NR^{2.1}$, $NR^{2.2}R^{2.3}$, CH_2-$NR^{2.2}R^{2.3}$, CH_2CH_2-$NR^{2.2}R^{2.3}$, C_{3-10} cycloalkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, C_{1-6} alkyl, C_{1-3} fluoroalkyl, CF_3, CHF_2, CH_2F, C_{6-20} aryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{6-10} aryl, C_{1-3} alkyl-$SOR^{2.1}$, C_{1-3} alkyl-$SO_2R^{2.1}$, SO_2-CH_3, SO_2-CH_2CH_3, and SO_2-$NR^{2.2}R^{2.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{2.2}R^{2.3}$;

or R_2 represents a group selected from a heterocyclic ring and heteroaryl, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more

substituents selected from $OR^{2.1}$, C_{1-3} alkyl-$OR^{2.1}$, $SR^{2.1}$, C_{1-3} alkyl-$SR^{2.1}$, SO-$R^{2.1}$, C_{1-3} alkyl-$SOR^{2.1}$, SO_2-$R^{2.1}$, C_{1-3} alkyl-$SO_2R^{2.1}$, $COOR^{2.1}$, $CH_2COOR^{2.1}$, $CH_2CH_2COOR^{2.1}$, $CH{=}CHCOOR^{2.1}$, CO-$NR^{2.1}CH_2CO$-$NR^{2.1}$, CH_2CH_2CO-$NR^{2.1}$, $CH{=}CHCO$-$NR^{2.1}$, $COR^{2.1}$, $CH_2COR^{2.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{2.1}$, and $NR^{2.2}R^{2.3}$, wherein each of the substituents may be optionally substituted with 1, 2, or more substituents of OH, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{2.2}R^{2.3}$;

the heterocyclic ring represents a 3- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the heteroaromatic ring is 5- to 10-membered, monocyclic or bicyclic, optionally related, heteroaryl that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the cycloalkyl may be saturated or partially saturated;

$R^{2.1}$ is H or a group selected from C_{1-6} alkyl, C_{1-6} alkyl alcohol, C_{1-3} haloalkyl, a mono- or bicyclic ring, -C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, C_{3-10} cycloalkyl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, 5- to 20-membered heteroaryl, and a heterocyclic ring, which may be optionally substituted with substituents of OH, O-(C_{1-3} alkyl), halogen, C_{1-10} alkyl, and C_{6-20} aryl;

$R^{2.2}$ and $R^{2.3}$ each independently represent H or a group selected from C_{1-6} alkyl, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, a heteroaromatic ring, CO-NH_2, CO-NH-CH_3, -CO-$N(CH_3)_2$, SO_2-(C_{1-3} alkyl), CO-$R^{2.1}$, and $COOR^{2.1}$, which may be optionally substituted with 1, 2, or more substituents selected from OH, halogen, C_{1-6} alkyl, C_{6-20} aryl, and $COOR^{1.1}$; or

$R_{2'}$ represents H, F, Me, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{6-10} aryl, C_{6-10} aryl-C_{1-6} alkyl, C_{5-10} heteroaryl-C_{1-6} alkyl, a C_{3-10} heterocyclic ring, a C_{5-10} heterocyclic ring, -NR'R'', fluorine, C_{1-6} fluoroalkyl, and C_{1-6} fluoroalkoxy, wherein R' and R'' are independently selected from H and C_{1-6} alkyl; the group, in each case, may be optionally substituted with 1, 2, or more substituents selected from OH, oxo, halogen, C_{1-6} alkyl, and O-C_{1-6} alkyl;

or R_2 and $R_{2'}$, together with the atom linked thereto, form a 4- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged heterocyclic ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and

O, wherein the heterocyclic ring is unsubstituted or optionally substituted at the ortho-, para-, or meta-position with 1, 2, or more substituents selected from: halogen, OH, oxo, CF_3, CHF_2, CH_2F, $OR^{2.1}$, C_{1-3} alkyl-$OR^{2.1}$, $SR^{2.1}$, C_{1-3} alkyl-$SR^{2.1}$, SO-$R^{2.1}$, C_{1-3} alkyl-$SOR^{2.1}$, SO_2-$R^{2.1}$, C_{1-3} alkyl-$SO_2R^{2.1}$, $COOR^{2.1}$, $CH_2COOR^{2.1}$, $CH_2CH_2COOR^{2.1}$, $CH{=}CHCOOR^{2.1}$, CO-$NR^{2.1}CH_2CO$-$NR^{2.1}$, CH_2CH_2CO-$NR^{2.1}$, $CH{=}CHCO$-$NR^{2.1}$, $COR^{2.1}$, $CH_2COR^{2.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{2.1}$, $NR^{2.2}R^{2.3}$, C_{6-20} aryl, and $NR^{2.2}R^{2.3}$;

ring A represents a chemical bond or a mono- or polycyclic C_{6-20} aryl group, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of fluorine, chlorine, bromine, hydroxyl, CN, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, $COOR^{1.1}CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, CO-$NR^{1.1}CH_2CO$-$NR^{1.1}$, CH_2CH_2CO-$NR^{1.1}$, $CH{=}CHCO$-$NR^{1.1}$, $NR^{1.2}R^{1.3}$, CH_2-$NR^{1.2}R^{1.3}$, CH_2CH_2-$NR^{1.2}R^{1.3}$, C_{3-10} cycloalkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, C_{1-6} alkyl, C_{1-3} fluoroalkyl, CF_3, CHF_2, CH_2F, C_{6-20} aryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{6-10} aryl, SO_2-CH_3, SO_2-CH_2CH_3, and SO_2-$NR^{1.2}R^{1.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, $OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{1.2}R^{1.3}$;

ring A represents a group selected from a heterocyclic ring and heteroaryl, and is independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, C_{1-3} alkyl-$OR^{1.1}$, $SR^{1.1}$, C_{1-3} alkyl-$SR^{1.1}$, SO-$R^{1.1}$, C_{1-3} alkyl-$SOR^{1.1}$, SO_2-$R^{1.1}$, C_{1-3} alkyl-$SO_2R^{1.1}$, $COOR^{1.1}$, $CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, CO-$NR^{1.1}CH_2CO$-$NR^{1.1}$, CH_2CH_2CO-$NR^{1.1}$, $CH{=}CHCO$-$NR^{1.1}$, $COR^{1.1}$, $CH_2COR^{1.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{1.1}$, and $NR^{1.2}R^{1.3}$, wherein each of the substituents may be optionally substituted with 1, 2, or more substituents of OH, $OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{1.2}R^{1.3}$;

the heterocyclic ring represents a 3- to 11-membered, monocyclic or bicyclic, saturated or

partially saturated, optionally fused or optionally bridged ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

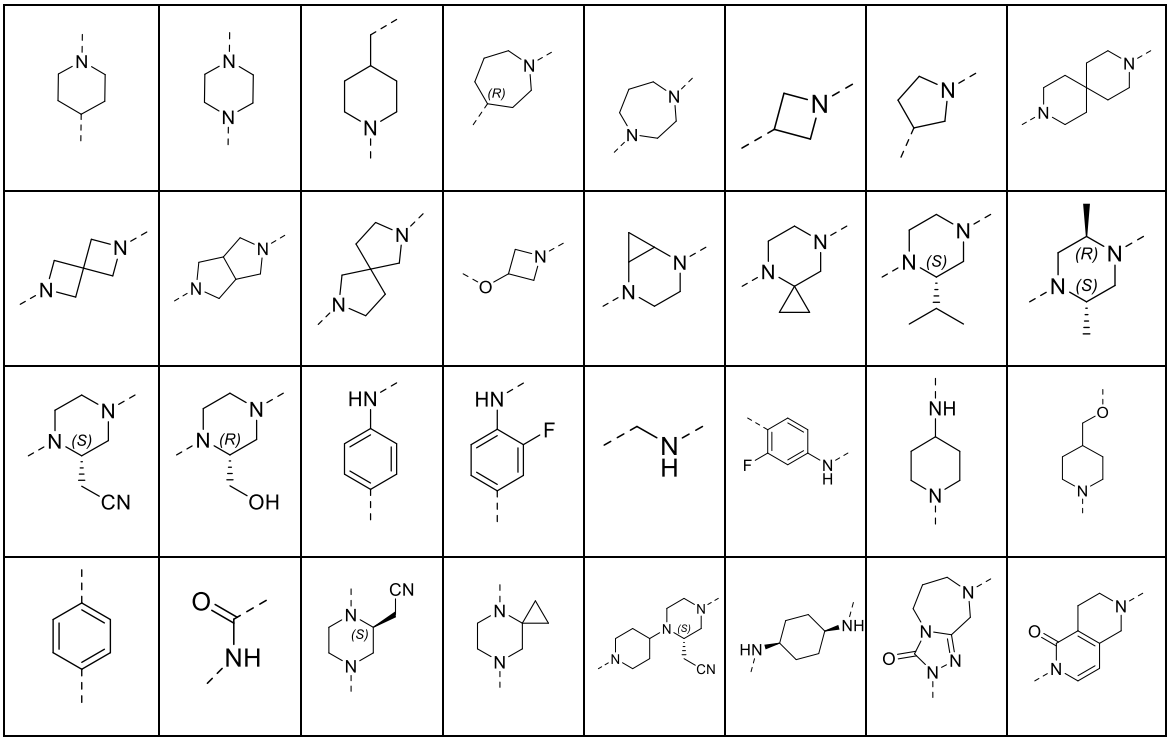
the heteroaryl is 5- to 10-membered monocyclic or bicyclic, optionally fused, heteroaryl that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

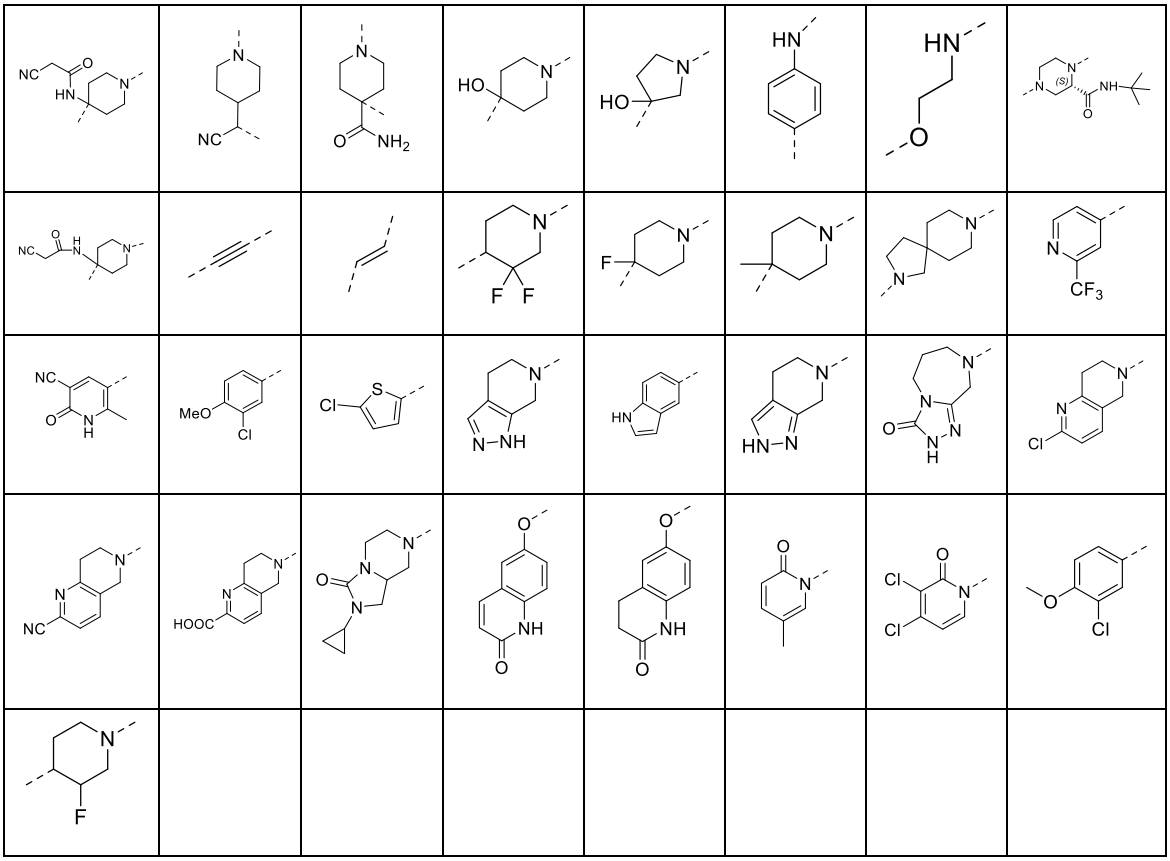
the cycloalkyl may be saturated or partially saturated;

$R^{1.1}$ is H or a group selected from C_{1-6} alkyl, C_{1-6} alkyl alcohol, C_{1-3} haloalkyl, a mono- or bicyclic ring, -C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, C_{3-10} cycloalkyl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, 5- to 20-membered heteroaryl, and a heterocyclic ring, which may be optionally substituted with substituents selected from OH, O-(C_{1-3} alkyl), halogen, C_{1-10} alkyl, and C_{6-20} aryl;

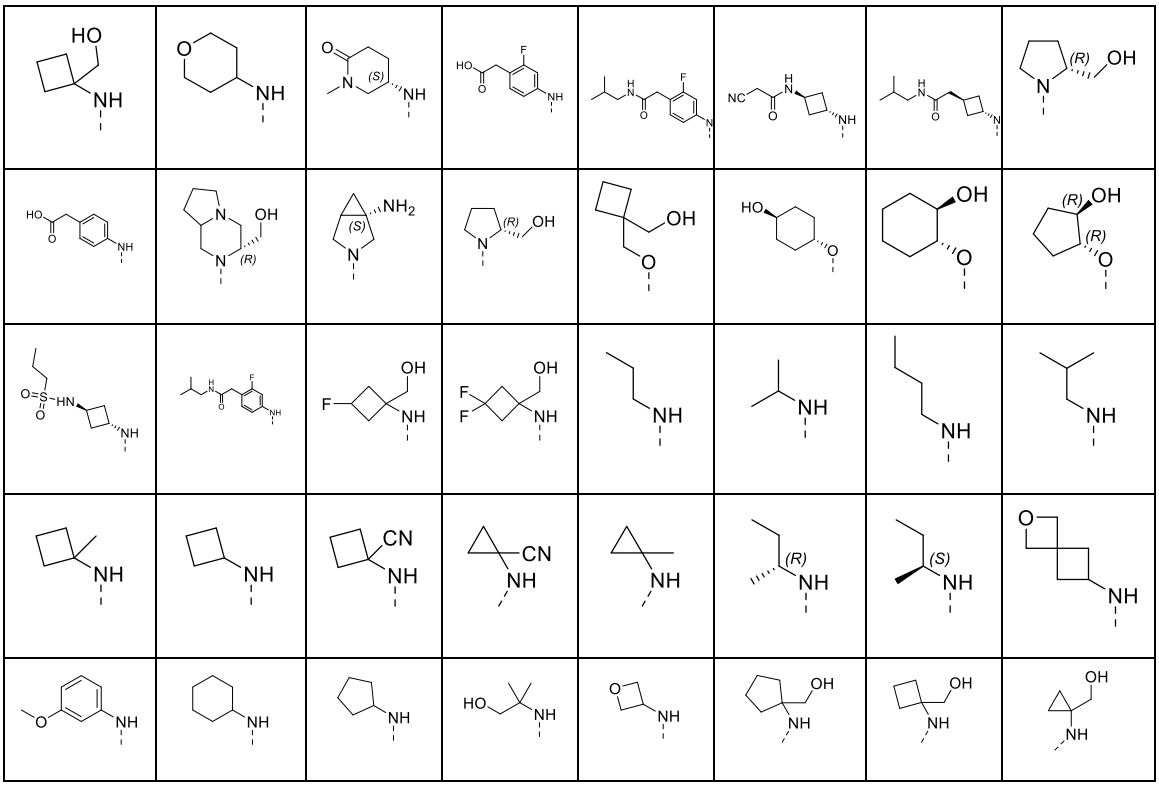
$R^{1.2}$ and $R^{1.3}$ each independently represent H or a group selected from C_{1-6} alkyl, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkylene, mono- or bicyclic C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, a heteroaromatic ring, $CO\text{-}NH_2$, $CO\text{-}NH\text{-}CH_3$, $-CO\text{-}N(CH_3)_2$, SO_2-(C_{1-3} alkyl), $CO\text{-}R^{1.1}$, and $COOR^{1.1}$, which may be optionally substituted with 1, 2, or more substituents selected from OH, halogen, C_{1-6} alkyl, C_{6-20} aryl, and $COOR^{1.1}$;

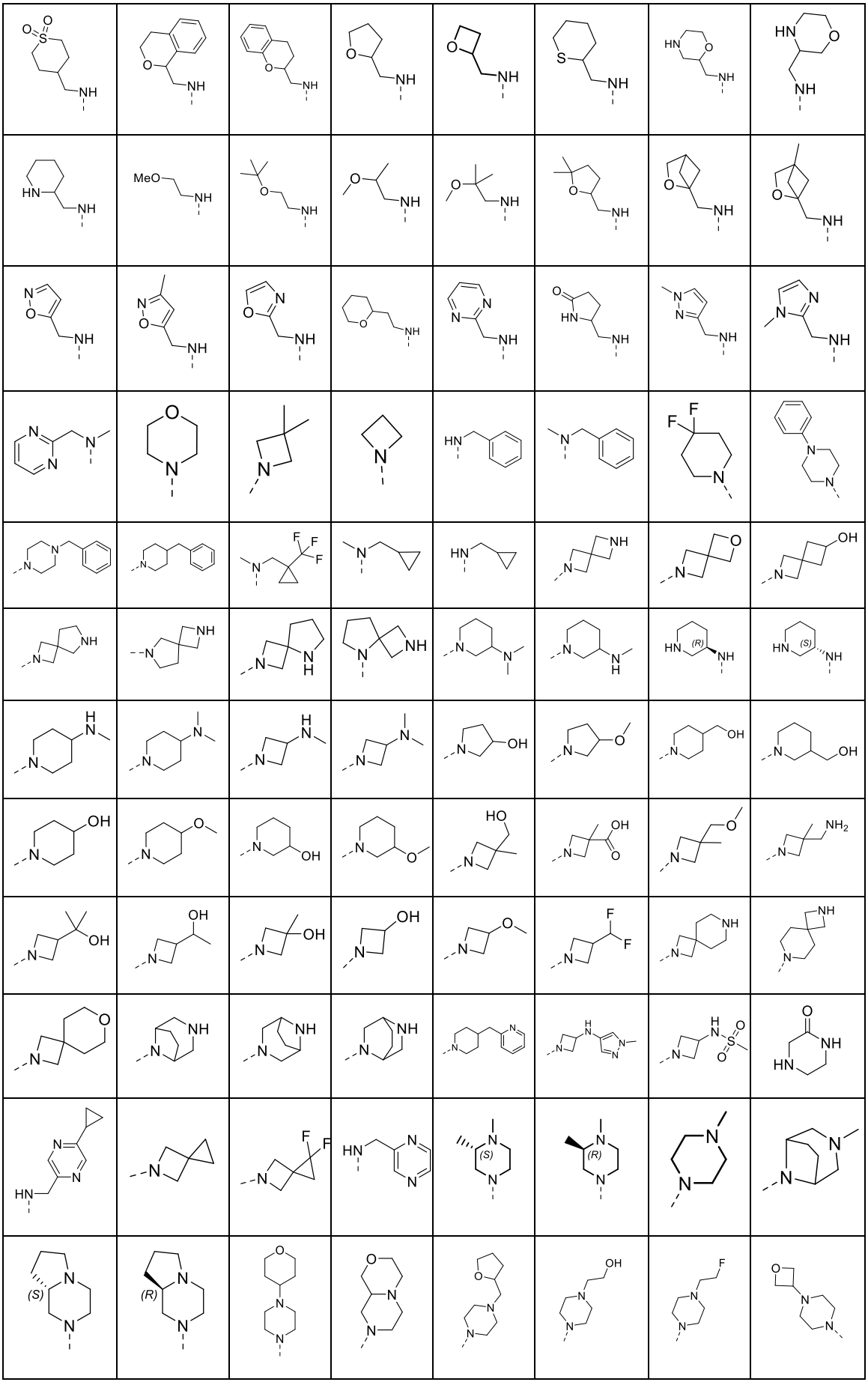
for example, ring A may be selected from the following groups:

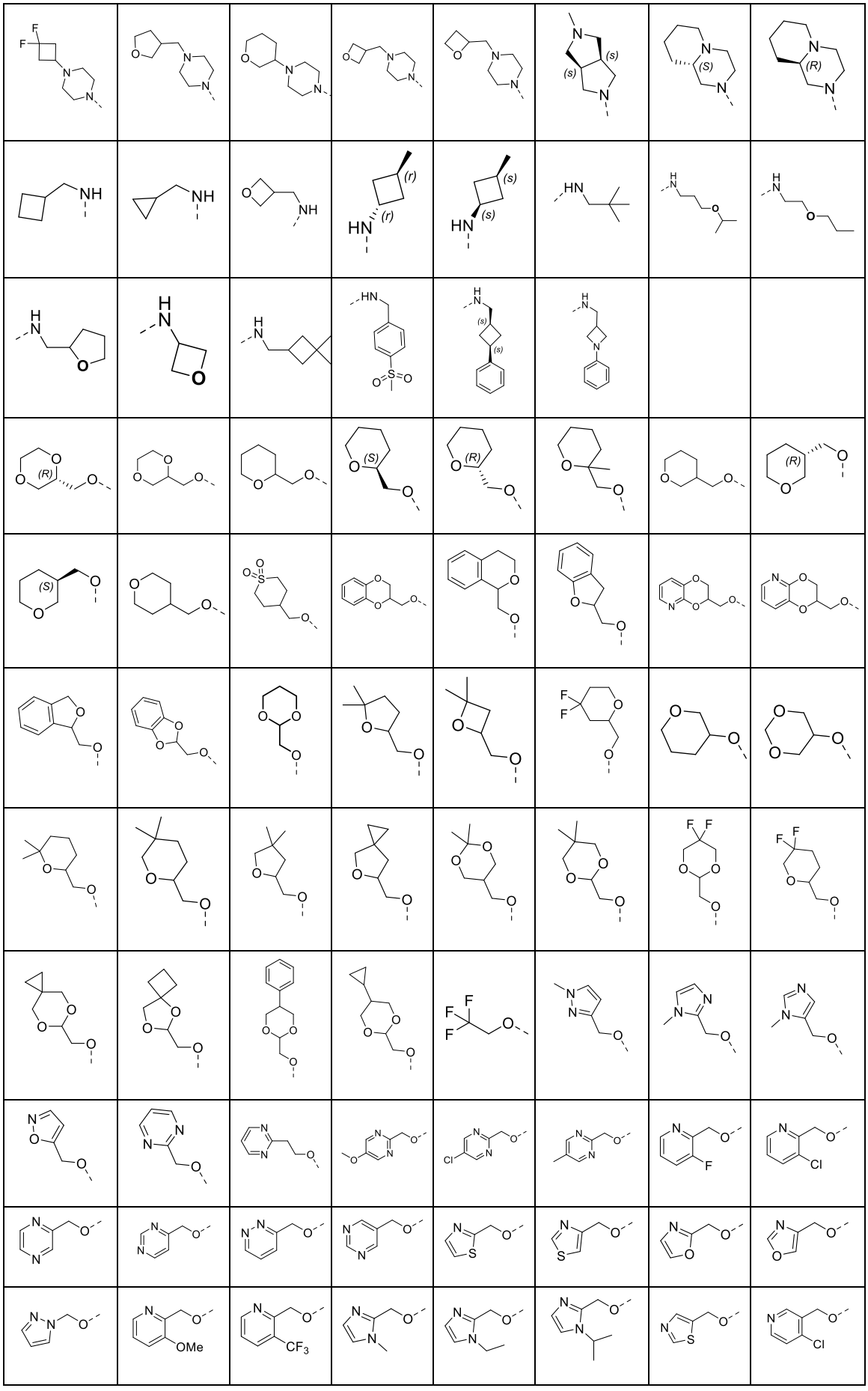


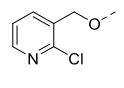
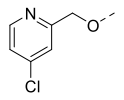
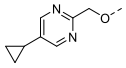
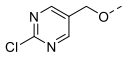
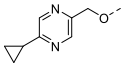
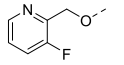
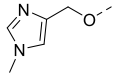
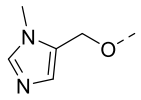
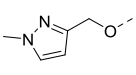
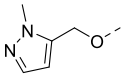
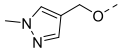
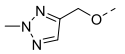
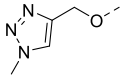
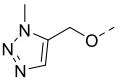
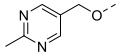
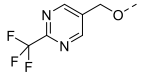
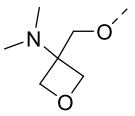
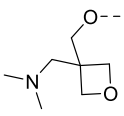
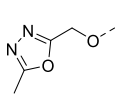
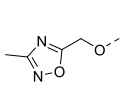
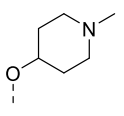
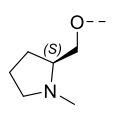
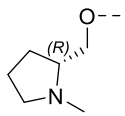
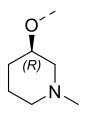
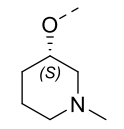
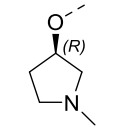
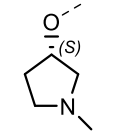
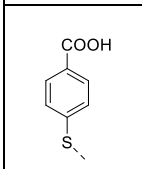
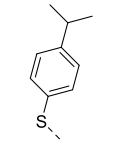
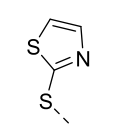
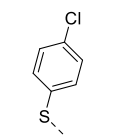
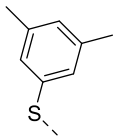
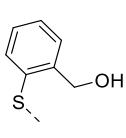
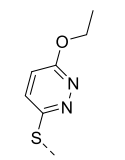
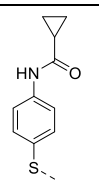
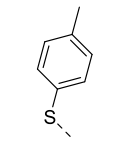
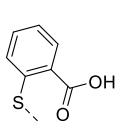
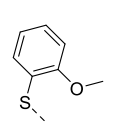
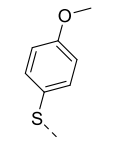
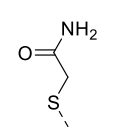


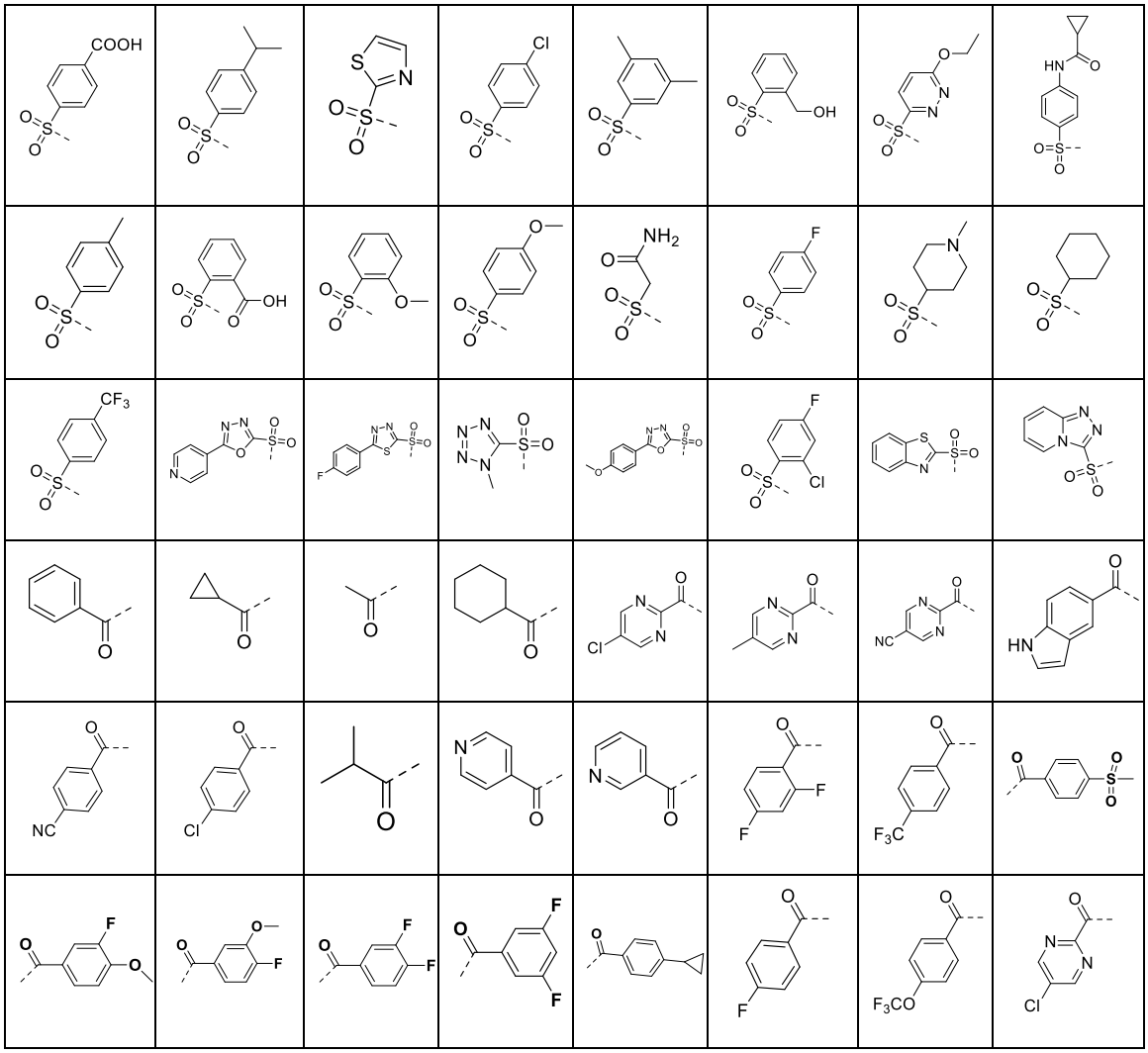
for example, -W-$R_2R_{2'}$ may be selected from the following groups:







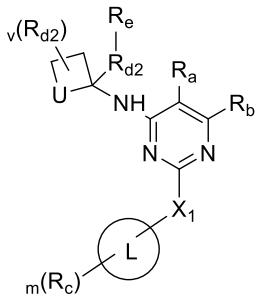
							
							
							
							
							
							



;

and

a compound represented by formula IX below:



IX

wherein:

X_1 represents a chemical bond;

U represents $-(CH_2)_t-$, wherein t represents 0, 1, 2, or 3;

v represents 0, 1, 2, 3, 4, or 5;

L represents a chemical bond, C_{2-6} alkynyl, or Cy, wherein L may be linked to X_1 or R_c at any position;

Cy represents a chemical bond, 3- to 20-membered heterocyclyl, C_{6-20} aryl, or 5- to 20-membered heteroaryl, wherein the 3- to 20-membered heterocyclyl, C_{6-20} aryl, or 5- to 20-membered heteroaryl may be optionally fused to 4- to 7-membered cycloalkyl, provided that when the heterocyclyl contains an N atom, the heterocyclyl may be bonded to the carbon atom at the 2-position of the pyrimidine ring in formula IX via the N atom or a C atom thereof;

R_a represents H or -X-R_3;

X represents -S(O)- or -$S(O)_2$-;

R_3 represents C_{1-20} alkyl unsubstituted or optionally substituted with 1, 2, or more R_{d4};

R_b represents H or -Y-R_4;

Y represents CH_2;

R_4 represents H, halogen, OH, CN, -CH_2CF_3, -NHR_{d4}, or the following groups unsubstituted or optionally substituted with 1, 2, or more R_{d5}: C_{1-20} alkyl, -$C(O)R_{61}$, -$C(O)OR_{62}$, -$OC(O)R_{63}$, and NH_2;

or R_a and R_b, together with the atoms linked thereto, form the following groups unsubstituted or optionally substituted with 1, 2, or more R_{d6}: C_{5-20} cycloalkenyl, 3- to 20-membered heterocyclyl, 5- to 20-membered heteroaryl, and 6- to 20-membered aryl;

R_{d4}, R_{d5}, and R_{d6} are each identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), SO, SO_2, and the following groups unsubstituted or optionally substituted with 1, 2, or more R_e: C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, C_{1-20} alkyloxy, C_{2-20} alkenyloxy, C_{2-20} alkynyloxy, C_{3-20} cycloalkyloxy, C_{3-20} cycloalkenyloxy, C_{3-20} cycloalkynyloxy, C_{6-20} aryloxy, 5- to 20-membered heteroaryloxy, 3- to 20-membered heterocyclyloxy, C_{1-20} alkylthio, C_{2-20} alkenylthio, C_{2-20} alkynylthio, C_{3-20} cycloalkylthio, C_{3-20} cycloalkenylthio, C_{3-20} cycloalkynylthio, C_{6-20} arylthio, 5- to 20-membered heteroarylthio, 3- to 20-membered heterocyclylthio, NH_2, -$C(O)R_{31}$, -CH_2-$C(O)R_{31}$, -$C(O)OR_{32}$, -$CH_2C(O)OR_{32}$, -$C(O)NHR_{32}$, -$CH_2C(O)NHR_{32}$, -$OC(O)R_{33}$, -$S(O)_2R_{34}$, -$S(O)_2OR_{35}$, -$OS(O)_2R_{36}$, and -$P(O)(OR_{37})(OR_{38})$;

or when two or more substituents selected from R_{d4}, R_{d5}, and R_{d6} are present on the same group, the two substituents, together with the atom linked thereto, may form the following groups unsubstituted or optionally substituted with 1, 2, or more R_e: C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, and 3- to 20-membered heterocyclyl;

R_c are identical or different, and are each independently selected from H, halogen, OH, CN,

NO_2, oxo (=O), thio (=S), and the following groups unsubstituted or optionally substituted with 1, 2, or more R_e: C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl-O-C_{6-20} aryl-, 5- to 20-membered heteroaryl-N-C_{6-20} aryl-, 5- to 20-membered heteroaryl-S-C_{6-20} aryl-, 5- to 20-membered heteroaryl-CH_2-C_{6-20} aryl-, C_{4-10} cycloalkyl-fused C_{6-20} aryl-, 4- to 10-membered heterocycloalkyl-fused C_{6-20} aryl-, 4- to 10-membered heterocycloalkenyl-fused C_{6-20} aryl-, C_{4-10} cycloalkyl-C_{6-20} aryl-, 4- to 10-membered heterocycloalkyl-C_{6-20} aryl-, 4- to 10-membered heterocycloalkenyl-C_{6-20} aryl-, 5- to 20-membered heteroaryl, C_{4-10} cycloalkyl-fused 5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkyl-fused 5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkenyl-fused 5- to 20-membered heteroaryl-, C_{4-10} cycloalkyl-5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkyl-5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkenyl-5- to 20-membered heteroaryl-, 3- to 20-membered heterocyclyl, C_{1-20} alkyloxy, C_{2-20} alkenyloxy, C_{2-20} alkynyloxy, C_{3-20} cycloalkyloxy, C_{3-20} cycloalkenyloxy, C_{3-20} cycloalkynyloxy, C_{6-20} aryloxy, 5- to 20-membered heteroaryloxy, 3- to 20-membered heterocyclyloxy, C_{1-20} alkylthio, C_{2-20} alkenylthio, C_{2-20} alkynylthio, C_{3-20} cycloalkylthio, C_{3-20} cycloalkenylthio, C_{3-20} cycloalkynylthio, C_{6-20} arylthio, 5- to 20-membered heteroarylthio, 3- to 20-membered heterocyclylthio, NH_2, -C(O)R_{31}, -C(O)OR_{32}, -OC(O)R_{33}, -S(O)$_2$$R_{34}$, -S(O)$_2OR_{35}$, -OS(O)$_2$$R_{36}$, and -P(O)(O$R_{37}$)(O$R_{38}$);

for example, the 4- to 10-membered heterocycloalkyl or 4- to 10-membered heterocycloalkenyl may be: 1-methylpyrrolidinyl, 1-ethylpyrrolidinyl, 1-cyclopropylpyrrolidinyl, 1-cyclopropylmethylpyrrolidinyl, 5-methyl-4,5-dihydropyridazin-3(2H)-one, 1-methylazetidinyl, or 1-methylpiperidinyl;

m is selected from integers from 1 to 10;

R_e are identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, NH_2, oxo (=O), thio (=S), O-$CONH_2$, O-$CONHR_f$, and the following groups unsubstituted or optionally substituted with 1, 2, or more R_f: C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, C_{1-20} alkyloxy, C_{2-20} alkenyloxy, C_{2-20} alkynyloxy, C_{3-20} cycloalkyloxy, C_{3-20} cycloalkenyloxy, C_{3-20} cycloalkynyloxy, C_{6-20} aryloxy, 5- to 20-membered heteroaryloxy, 3- to 20-membered heterocyclyloxy, C_{1-20} alkylthio, C_{2-20} alkenylthio, C_{2-20} alkynylthio, C_{3-20} cycloalkylthio, C_{3-20} cycloalkenylthio, C_{3-20} cycloalkynylthio, C_{6-20} arylthio, 5- to 20-membered heteroarylthio, 3- to 20-membered heterocyclylthio, C_{1-8} heteroalkyl-fused C_{6-20} aryl-, C_{1-8} heteroalkyl-C_{6-20} aryl-, NH_2, -

$C(O)R_{41}$, $-C(O)OR_{42}$, $-OC(O)R_{43}$, $-S(O)_2R_{44}$, $-S(O)_2OR_{45}$, $-OS(O)_2R_{46}$, and $-P(O)(OR_{47})(OR_{48})$;

or when two or more substituents selected from R_e are present on the same group, the two substituents, together with the atom linked thereto, may form the following groups unsubstituted or optionally substituted with 1, 2, or more R_f: C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, and 3- to 20-membered heterocyclyl;

R_f are identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), and the following groups unsubstituted or optionally substituted with 1, 2, or more R_g: C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, C_{1-20} alkyloxy, C_{2-20} alkenyloxy, C_{2-20} alkynyloxy, C_{3-20} cycloalkyloxy, C_{3-20} cycloalkenyloxy, C_{3-20} cycloalkynyloxy, C_{6-20} aryloxy, 5- to 20-membered heteroaryloxy, 3- to 20-membered heterocyclyloxy, C_{1-20} alkylthio, C_{2-20} alkenylthio, C_{2-20} alkynylthio, C_{3-20} cycloalkylthio, C_{3-20} cycloalkenylthio, C_{3-20} cycloalkynylthio, C_{6-20} arylthio, 5- to 20-membered heteroarylthio, 3- to 20-membered heterocyclylthio, NH_2, $-C(O)R_{51}$, $-C(O)OR_{52}$, $-OC(O)R_{53}$, $-S(O)_2R_{54}$, $-S(O)_2OR_{55}$, $-OS(O)_2R_{56}$, and $-P(O)(OR_{57})(OR_{58})$;

or when two or more substituents selected from R_f are present on the same group, the two substituents, together with the atom linked thereto, may form the following groups unsubstituted or optionally substituted with 1, 2, or more R_g: C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, and 3- to 20-membered heterocyclyl;

R_g are identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, and NH_2;

R_{31}, R_{32}, R_{33}, R_{34}, R_{35}, R_{36}, R_{37}, R_{38}, R_{41}, R_{42}, R_{43}, R_{44}, R_{45}, R_{46}, R_{47}, R_{48}, R_{51}, R_{52}, R_{53}, R_{54}, R_{55}, R_{56}, R_{57}, and R_{58} are each identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, and NH_2;

the 3- to 20-membered heterocyclyl represents a saturated or unsaturated non-aromatic ring or ring system; for example, it is a 4-, 5-, 6-, or 7-membered monocyclic ring system, a 7-, 8-,

9-, 10-, 11-, or 12-membered bicyclic (e.g., fused, bridged, or spiro) ring system, or a 10-, 11-, 12-, 13-, 14-, or 15-membered tricyclic ring system, and contains at least one, e.g., 1, 2, 3, 4, 5, or more heteroatoms independently selected from O, S, and N, wherein N and S may also be optionally oxidized to various oxidized forms to form nitrogen oxides, -S(O)-, or -$S(O)_2$-;

the C_{6-20} aryl represents an aromatic or partially aromatic monovalent monocyclic, bicyclic (e.g., fused, bridged, or spiro) or tricyclic hydrocarbon ring having 6-20 carbon atoms, which may be a single aromatic ring or multiple aromatic rings fused together;

the 5- to 20-membered heteroaryl represents a monovalent monocyclic, bicyclic (e.g., fused, bridged, or spiro) or tricyclic aromatic ring system, wherein the aromatic ring system has 5-20 ring atoms and contains 1, 2, 3, 4, 5, or more heteroatoms independently selected from N, O, and S;

for example, L represents alkynyl, a mono- or polycyclic C_{6-20} aryl group, or mono- or polycyclic C_{6-20} aryl-fused 4- to 7-membered cycloalkyl, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of fluorine, chlorine, bromine, hydroxyl, CN, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, $COOR^{1.1}CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, $CO\text{-}NR^{1.1}CH_2CO\text{-}NR^{1.1}$, $CH_2CH_2CO\text{-}NR^{1.1}$, $CH{=}CHCO\text{-}NR^{1.1}$, $NR^{1.2}R^{1.3}$, $CH_2\text{-}NR^{1.2}R^{1.3}$, $CH_2CH_2\text{-}NR^{1.2}R^{1.3}$, C_{3-10} cycloalkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 10-membered heterocyclyl-C_{6-20} aryl, a 3- to 10-membered heterocyclic ring, C_{1-6} alkyl, C_{1-3} fluoroalkyl, C_{1-3} alkyl-CN, C_{1-3} alkyl-OH, C_{1-3} alkyl-$OR^{1.1}$, C_{1-3} alkyl-NH_2, C_{1-3} alkyl-$NHR^{1.1}$, CF_3, CHF_2, CH_2F, C_{6-20} aryl-C_{1-6} alkyl, 3- to 10-membered heterocyclic-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{6-10} aryl, $SO_2\text{-}CH_3$, $SO_2\text{-}CH_2CH_3$, and $SO_2\text{-}NR^{1.2}R^{1.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, $OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-10} aryl, and $NR^{1.2}R^{1.3}$; or

L represents a group selected from a heterocyclic ring and heteroaryl, and is independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, C_{1-3} alkyl-CN, C_{1-3} alkyl-OH, C_{1-3} alkyl-$OR^{1.1}$, C_{1-3} alkyl-NH_2, C_{1-3} alkyl-$NHR^{1.1}$, C_{1-3} alkyl-$OR^{1.1}$, $SR^{1.1}$, C_{1-3} alkyl-$SR^{1.1}$, $SO\text{-}R^{1.1}$, C_{1-3} alkyl-$SOR^{1.1}$, $SO_2\text{-}R^{1.1}$, C_{1-3} alkyl-$SO_2R^{1.1}$, $COOR^{1.1}$, $CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, $CH{=}CHCOOR^{1.1}$, $CO\text{-}NR^{1.1}CH_2CO\text{-}NR^{1.1}$, $CH_2CH_2CO\text{-}NR^{1.1}$, $CH{=}CHCO\text{-}NR^{1.1}$, $COR^{1.1}$, $CH_2COR^{1.1}$, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 10-membered

heterocyclyl-C_{6-20} aryl, a 3- to 10-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{1.1}$, and $NR^{1.2}R^{1.3}$, wherein each of the substituents is optionally substituted with 1, 2, or more substituents selected from OH, $OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{1.2}R^{1.3}$;

the heterocyclic ring represents a 3- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

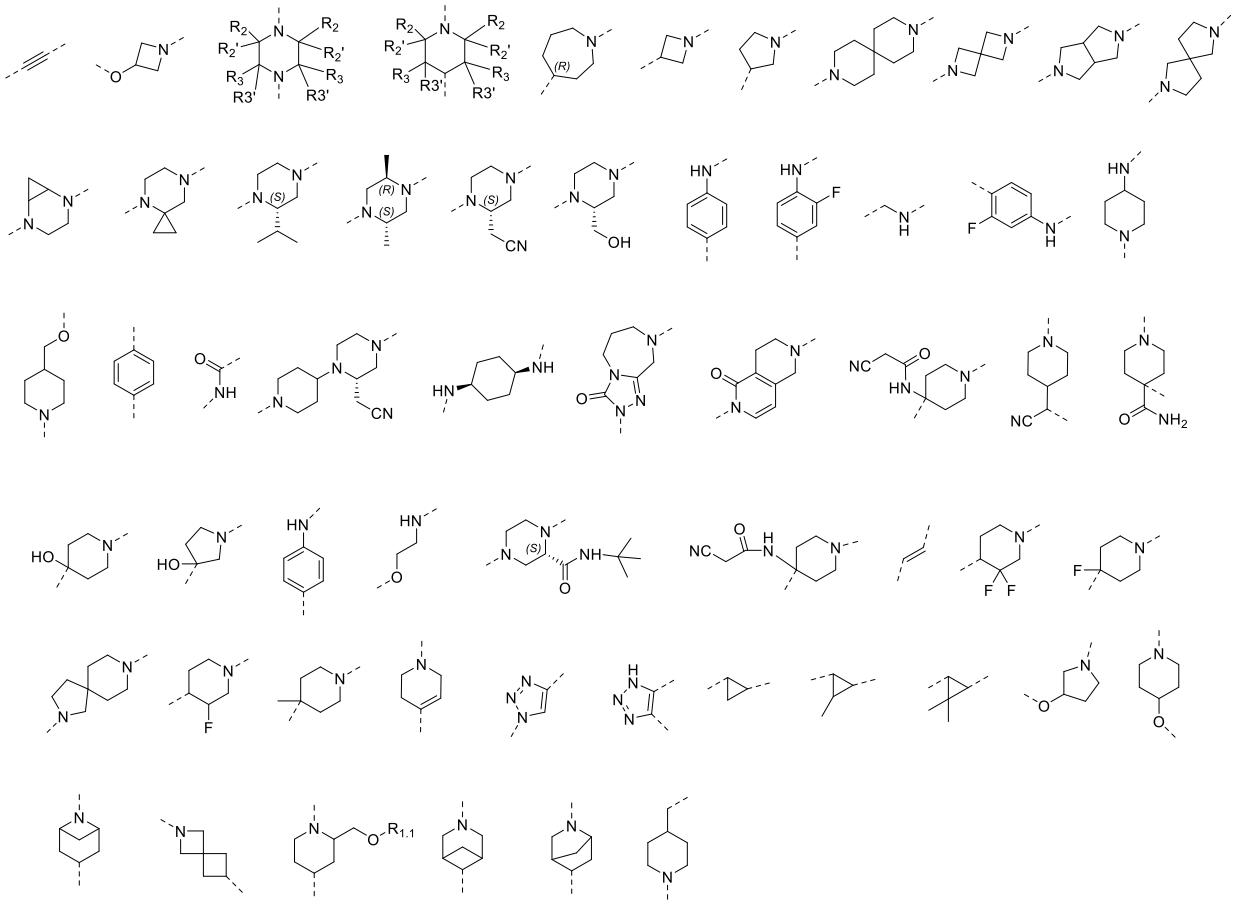
the heteroaryl is 5- to 10-membered monocyclic or bicyclic, optionally fused, heteroaryl that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O; for example, L is selected from 5-membered monocyclic heteroaryl, a 5-membered heteroaryl-fused phenyl ring, 5-membered heteroaryl-fused 5- to 10-membered heteroaryl, 5-membered heteroaryl-fused 4- to 7-membered cycloalkyl, 5-membered heteroaryl-fused 4- to 7-membered heterocycloalkyl, 6-membered heteroaryl-fused phenyl ring, 6-membered heteroaryl-fused 5- to 10-membered heteroaryl, 6-membered heteroaryl-fused 6-membered heteroaryl, 6-membered heteroaryl-fused 4- to 7-membered cycloalkyl, and 6-membered heteroaryl-fused 4- to 7-membered heterocycloalkyl;

the cycloalkyl may be saturated or partially saturated;

$R^{1.1}$ is H or a group selected from C_{1-6} alkyl, C_{1-6} alkyl alcohol, C_{1-3} haloalkyl, C_{3-11} mono- or bicyclic heterocyclic ring, -C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, 3- to 10-membered heterocyclic-C_{1-6} alkyl, C_{3-10} cycloalkyl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, 5- to 20-membered heteroaryl, and a heterocyclic ring, which may be optionally substituted with substituents selected from OH, O-(C_{1-3} alkyl), halogen, C_{1-10} alkyl, and C_{6-20} aryl;

$R^{1.2}$ and $R^{1.3}$ each independently represent H or a group selected from C_{1-6} alkyl, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkylene, mono- or bicyclic C_{6-20} aryl, a 3- to 10-membered heterocyclic ring, a heteroaromatic ring, CO-NH_2, CO-NH-CH_3, -CO-$N(CH_3)_2$, SO_2-(C_{1-3} alkyl), CO-$R^{1.1}$, and $COOR^{1.1}$, which may be optionally substituted with 1, 2, or more substituents selected from OH, halogen, C_{1-6} alkyl, C_{6-20} aryl, and $COOR^{1.1}$;

for example, L may be selected from the following groups:



for example, R_e may be selected from OH;

for example, R_{d6} are identical or different, and each independently represent H, F, Me, C_{1-3} alkyl-CN, C_{1-3} alkyl-OH, C_{1-3} alkyl-$OR^{1.1}$, C_{1-3} alkyl-NH_2, C_{1-3} alkyl-$NHR^{1.1}$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, mono- or polycyclic C_{6-20} aryl, mono- or polycyclic C_{6-20} aryl-fused 4- to 7-membered cycloalkyl, mono- or polycyclic C6-20 heteroaryl-fused 4- to 7-membered cycloalkyl, C_{6-10} aryl-C_{1-6} alkyl, C_{5-10} heteroaryl-C_{1-6} alkyl, a C_{3-10} heterocyclic ring, a C_{5-10} heterocyclic ring, -NR'R'', fluorine, C_{1-6} fluoroalkyl, and C_{1-6} fluoroalkoxy, wherein R' and R'' are independently selected from H and C_{1-6} alkyl; the group, in each case, may be optionally substituted with 1, 2, or more substituents selected from OH, oxo, halogen, C_{1-6} alkyl, and O-C_{1-6} alkyl; or

R_{d6} are identical or different, and each independently represent H, F, Me, C_{1-3} alkyl-CN, C_{1-3} alkyl-OH, C_{1-3} alkyl-$OR^{1.1}$, C_{1-3} alkyl-NH_2, C_{1-3} alkyl-$NHR^{1.1}$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{6-10} aryl, C_{6-10} aryl-C_{1-6} alkyl, C_{5-10} heteroaryl-C_{1-6} alkyl, a C_{3-10} heterocyclic ring, a C_{5-10} heterocyclic ring, -NR'R'', fluorine, C_{1-6} fluoroalkyl, and C_{1-6} fluoroalkoxy, wherein R' and R'' are independently selected from H and C_{1-6} alkyl; the group, in each case, may be optionally substituted with 1, 2, or more substituents selected from OH, oxo, halogen, C_{1-6}

alkyl, and O-C_{1-6} alkyl;

or two R_{d6}, together with the atom linked thereto, form 3- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged cycloalkyl, or a 3- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged heterocyclic ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O, wherein the heterocyclic ring is unsubstituted or optionally substituted at the ortho-, para-, or meta-position with 1, 2, or more substituents selected from: halogen, OH, oxo, CF_3, CHF_2, CH_2F, $OR^{2.1}$, C_{1-3} alkyl-$OR^{2.1}$, $SR^{2.1}$, C_{1-3} alkyl-$SR^{2.1}$, SO-$R^{2.1}$, C_{1-3} alkyl-$SOR^{2.1}$, SO_2-$R^{2.1}$, C_{1-3} alkyl-$SO_2R^{2.1}$, $COOR^{2.1}$, $CH_2COOR^{2.1}$, $CH_2CH_2COOR^{2.1}$, $CH{=}CHCOOR^{2.1}$, CO-$NR^{2.1}CH_2CO$-$NR^{2.1}$, CH_2CH_2CO-$NR^{2.1}$, $CH{=}CHCO$-$NR^{2.1}$, $COR^{2.1}$, $CH_2COR^{2.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 10-membered heterocyclyl-C_{6-20} aryl, a 3- to 10-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{2.1}$, $NR^{2.2}R^{2.3}$, C_{6-20} aryl, and $NR^{2.22.3}$;

$R^{2.1}$ is H or a group selected from C_{1-6} alkyl, C_{1-6} alkyl alcohol, C_{1-3} haloalkyl, a mono- or bicyclic ring, -C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, 3- to 10-membered heterocyclic-C_{1-6} alkyl, C_{3-10} cycloalkyl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, 5- to 20-membered heteroaryl, and a heterocyclic ring, which may be optionally substituted with substituents selected from OH, O-(C_{1-3} alkyl), halogen, C_{1-10} alkyl, and C_{6-20} aryl;

$R^{2.2}$ and $R^{2.3}$ each independently represent H or a group selected from C_{1-6} alkyl, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkylene, mono- or bicyclic C_{6-20} aryl, a 3- to 10-membered heterocyclic ring, a heteroaromatic ring, CO-NH_2, CO-NH-CH_3, -CO-$N(CH_3)_2$, SO_2-(C_{1-3} alkyl), CO-$R^{2.1}$, and $COOR^{2.1}$, which may be optionally substituted with 1, 2, or more substituents selected from OH, halogen, C_{1-6} alkyl, C_{6-20} aryl, and $COOR^{2.1}$;

R_c are identical or different, and each independently represent hydrogen, a mono- or polycyclic C_{6-20} aryl group, or mono- or polycyclic C_{6-20} aryl-fused 4- to 7-membered cycloalkyl, for example, C_{4-10} cycloalkyl-fused C_{6-20} aryl-, 4- to 10-membered heterocycloalkyl-fused C_{6-20} aryl-, 4- to 10-membered heterocycloalkenyl-fused C_{6-20} aryl-, C_{4-10} cycloalkyl-C_{6-20} aryl-, 4- to 10-membered heterocycloalkyl-C_{6-20} aryl-, 4- to 10-membered heterocycloalkenyl-C_{6-20} aryl-, 5- to 20-membered heteroaryl, C_{4-10} cycloalkyl-fused 5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkyl-fused 5- to 20-

membered heteroaryl-, 4- to 10-membered heterocycloalkenyl-fused 5- to 20-membered heteroaryl-, C_{4-10} cycloalkyl-5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkyl-5- to 20-membered heteroaryl-, or 4- to 10-membered heterocycloalkenyl-5- to 20-membered heteroaryl-, or are selected from 5- to 20-membered heteroaryl-O-C_{6-20} aryl-, 5- to 20-membered heteroaryl-N-C_{6-20} aryl-, 5- to 20-membered heteroaryl-S-C_{6-20} aryl-, and 5- to 20-membered heteroaryl-CH_2-C_{6-20} aryl-, wherein the above groups may be each independently and optionally substituted at any of the ortho-, para-, and meta-positions with one, two, or three substituent of fluorine, chlorine, bromine, iodine, hydroxyl, CN, NO_2, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{4.1}$, $COOR^{4.1}$ $CH_2COOR^{4.1}$, $CH_2CH_2COOR^{4.1}$, $CH{=}CHCOOR^{4.1}$, $CO\text{-}NR^{4.1}$ $CH_2CO\text{-}NR^{4.1}$, $CH_2CH_2CO\text{-}NR^{4.1}$, $CH{=}CHCO\text{-}NR^{4.1}$, $NR^{4.2}R^{4.3}$, $CH_2\text{-}NR^{4.2}R^{4.3}$, $CH_2CH_2\text{-}NR^{4.2}R^{4.3}$, C_{1-3} alkyl-CN, C_{1-3} alkyl-OH, C_{1-3} alkyl-$OR^{4.1}$, C_{1-3} alkyl-NH_2, C_{1-3} alkyl-$NHR^{4.1}$, C_{3-10} cycloalkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 10-membered heterocyclyl-C_{6-20} aryl, a 3- to 10-membered heterocyclic ring, C_{1-6} alkyl, C_{1-3} fluoroalkyl, CF_3, CHF_2, CH_2F, C_{6-20} aryl-C_{1-6} alkyl, 3- to 10-membered heterocyclic-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{6-20} aryl, SO_2-CH_3, SO_2-CH_2CH_3, and $SO_2\text{-}NR^{4.2}R^{4.3}$;

or R_c are identical or different, and each independently represent a group selected from a heterocyclic ring and heteroaryl, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more substituents selected from $OR^{4.1}$, C_{1-3} alkyl-$OR^{4.1}$, $SR^{4.1}$, C_{1-3} alkyl-$SR^{4.1}$, $SO\text{-}R^{4.1}$, C_{1-3} alkyl-$SOR^{4.1}$, $SO_2\text{-}R^{4.1}$, C_{1-3} alkyl-$SO_2R^{4.1}$, $COOR^{4.1}$, $CH_2COOR^{4.1}$, $CH_2CH_2COOR^{4.1}$, $CH{=}CHCOOR^{4.1}$, $CO\text{-}NR^{4.1}$ $CH_2CO\text{-}NR^{4.1}$, $CH_2CH_2CO\text{-}NR^{4.1}$, $CH{=}CHCO\text{-}NR^{4.1}$, $COR^{4.1}$, $CH_2COR^{4.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 10-membered heterocyclyl-C_{6-20} aryl, a 3- to 10-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{4.1}$, and $NR^{4.2}R^{4.3}$, wherein each of the substituents may be optionally substituted with 1, 2, or more substituents of OH, $OR^{4.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-10} aryl, and $NR^{4.2}R^{4.3}$;

the heterocyclic ring represents a 3- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O;

the heteroaromatic ring is 5- to 10-membered monocyclic or bicyclic, optionally fused, heteroaryl that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O; for

example, R_4 is selected from 5-membered monocyclic heteroaryl, a 5-membered heteroaryl-fused phenyl ring, 5-membered heteroaryl-fused 5- to 10-membered heteroaryl, 5-membered heteroaryl-fused 4- to 7-membered cycloalkyl, 5-membered heteroaryl-fused 4- to 7-membered heterocycloalkyl, 6-membered heteroaryl-fused phenyl ring, 6-membered heteroaryl-fused 5- to 10-membered heteroaryl, 6-membered heteroaryl-fused 6-membered heteroaryl, 6-membered heteroaryl-fused 47-membered cycloalkyl, and 6-membered heteroaryl-fused 4- to 7-membered heterocycloalkyl;

the cycloalkyl may be saturated or partially saturated;

$R^{4.1}$ is H or a group selected from C_{1-6} alkyl, C_{1-6} alkyl alcohol, C_{1-3} haloalkyl, a mono- or bicyclic ring, -C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, 3- to 10-membered heterocyclic-C_{1-6} alkyl, C_{3-10} cycloalkyl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, 5- to 20-membered heteroaryl, and a heterocyclic ring, which may be optionally substituted with substituents of OH, O-(C_{1-3} alkyl), halogen, C_{1-10} alkyl, and C_{5-10} aryl;

$R^{4.2}$ and $R^{4.3}$ each independently represent H or a group selected from C_{1-6} alkyl, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, mono- or bicyclic C_{6-20} aryl, a 3- to 10-membered heterocyclic ring, a heteroaromatic ring, CO-NH_2, CO-NH-CH_3, -CO-N(CH_3)$_2$, SO_2-(C_{1-3} alkyl), CO-$R^{4.1}$, and $COOR^{4.1}$, which may be optionally substituted with 1, 2, or more substituents selected from OH, halogen, C_{1-6} alkyl, C_{6-20} aryl, and $COOR^{4.1}$;

for example, the 4- to 10-membered heterocyclyl or 4- to 10-membered heterocycloalkenyl may be: 1-methylpyrrolidinyl, 1-ethylpyrrolidinyl, 1-cyclopropylpyrrolidinyl, 1-cyclopropylmethylpyrrolidinyl, 5-methyl-4,5-dihydropyridazin-3(2H)-one, 1-methylazetidinyl, or 1-methylpiperidinyl.

4. The compound or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the solvate, the polymorph, the metabolite, the pharmaceutically acceptable salt, or the prodrug thereof according to claim 3, wherein:

R_1 represents hydrogen or a mono- or polycyclic C_{6-20} aryl group, and may be independently and optionally substituted at any of the ortho-, para-, and meta-positions with one, two, or three substituents of fluorine, chlorine, bromine, iodine, hydroxyl, -NHOH, -C_{1-3} alkyl-NHOH, -C_{1-3}-CO-NHOH, -CO-NHOH, -C_{1-3} alkyl-NH-CO-$NR^{1.2}R^{1.3}$, -$NR^{1.1}$CN, -CHO, CN, NO_2, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, $COOR^{1.1}CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, CH=CH$COOR^{1.1}$, CO-$NR^{1.1}CH_2$CO-$NR^{1.1}$, CH_2CH_2CO-$NR^{1.1}$, CH=CHCO-$NR^{1.1}$, $NR^{1.2}R^{1.3}$, CH_2-$NR^{1.2}R^{1.3}$, CH_2CH_2-$NR^{1.2}R^{1.3}$, C_{3-10}

cycloalkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, C_{1-6} alkyl, C_{1-3} fluoroalkyl, CF_3, CHF_2, CH_2F, C_{6-20} aryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{6-20} aryl, SO_2-CH_3, SO_2-CH_2CH_3, and SO_2-$NR^{1.2}R^{1.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, CN, C_{1-3} alkyl-CN, -SH, -NH_2, -NHOH, -C_{1-3} alkyl-NHOH, -C_{1-3} alkyl-CO-NHOH, -CO-NHOH, -C_{1-3} alkyl-NH-CO-$NR^{1.2}R^{1.3}$, -$NR^{1.1}$CN, -CHO, C_{2-6} alkenyl, -O-C_{3-8} cycloalkyl, $COOR^{1.1}$, C_{1-3} alkyl-$COOR^{1.1}$, $CONHR^{1.1}$, C_{1-3} alkyl-$CONHR^{1.1}$, -$OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{1.2}R^{1.3}$, wherein $R^{1.1}$, $R^{1.2}$, and $R^{1.3}$ have the definitions described above; or,

R_1 represents a group selected from a heterocyclic ring and heteroaryl, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, CN, NH_2, -NHOH, -C_{1-3} alkyl-NHOH, -C_{1-3} alkyl-CO-NHOH, -CO-NHOH, -C_{1-3} alkyl-NH-CO-$NR^{1.2}R^{1.3}$, -$NR^{1.1}$CN, -CHO, nitro, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more substituents selected from $OR^{1.1}$, C_{1-3} alkyl-$OR^{1.1}$, $SR^{1.1}$, C_{1-3} alkyl-$SR^{1.1}$, SO-$R^{1.1}$, C_{1-3} alkyl-$SOR^{1.1}$, SO_2-$R^{1.1}$, C_{1-3} alkyl-$SO_2R^{1.1}$, $COOR^{1.1}$, $CH_2COOR^{1.1}$, $CH_2CH_2COOR^{1.1}$, CH=CH$COOR^{1.1}$, CO-$NR^{1.1}CH_2CO$-$NR^{1.1}$, CH_2CH_2CO-$NR^{1.1}$, CH=CHCO-$NR^{1.1}$, $COR^{1.1}$, $CH_2COR^{1.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{1.1}$, and $NR^{1.2}R^{1.3}$, wherein each of the substituents may be optionally substituted with 1, 2, or more substituents of OH, CN, C_{1-3} alkyl-CN, -SH, -NH_2, -NHOH, -C_{1-3} alkyl-NHOH, -C_{1-3} alkyl-CO-NHOH, -CO-NHOH, -C_{1-3} alkyl-NH-CO-$NR^{1.2}R^{1.3}$, -$NR^{1.1}$CN, -CHO, C_{2-6} alkenyl, -O-C_{3-8} cycloalkyl, $COOR^{1.1}$, C_{1-3} alkyl-$COOR^{1.1}$, $CONHR^{1.1}$, C_{1-3} alkyl-$CONHR^{1.1}$, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-10} aryl, and $NR^{1.2}R^{2.3}$, wherein $R^{1.1}$, $R^{1.2}$, and $R^{1.3}$ have the definitions described above; or,

R_2 represents hydrogen or a mono- or polycyclic C_{6-20} aryl group, and may be independently and optionally substituted at any of the ortho-, para-, and meta-positions with one, two, or three substituents of fluorine, chlorine, bromine, iodine, hydroxyl, CN, NO_2, and NH_2, or substituted with 1, 2, or more substituents selected from $OR^{2.1}$, $COOR^{2.1}$, $CH_2COOR^{2.1}$, $C(CH_3)_2COOR^{2.1}$, $CF_2COOR^{2.1}$, $CHFCOOR^{2.1}$, $CH_2CH_2COOR^{2.1}$, CH=CH$COOR^{2.1}$, CO-$NR^{2.1}$, CH_2CO-$NR^{2.1}$, CH_2CH_2CO-$NR^{2.1}$, CH=CHCO-$NR^{2.1}$, $NR^{2.2}R^{2.3}$, CH_2-$NR^{2.2}R^{2.3}$, CH_2CH_2-$NR^{2.2}R^{2.3}$, C_{3-10} cycloalkyl, $COOR^{2.1}$-C_{3-8} cycloalkyl, CO-$NR^{2.1}$-C_{3-8} cycloalkyl, C_{1-3}

alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, C_{1-6} alkyl, C_{1-3} fluoroalkyl, CF_3, CHF_2, CH_2F, C_{6-20} aryl-C_{1-6} alkyl, 3- to 20-membered heterocyclic-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{6-10} aryl, C_{1-3} alkyl-$SOR^{2.1}$, C_{1-3} alkyl-$SO_2R^{2.1}$, SO_2-CH_3, SO_2-CH_2CH_3, and SO_2-$NR^{2.2}R^{2.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, CN, C_{1-3} alkyl-CN, -SH, $-NH_2$, -NHOH, -C_{1-3} alkyl-NHOH, -C_{1-3} alkyl-CO-NHOH, -CO-NHOH, -C_{1-3} alkyl-NH-CO-$NR^{1.2}R^{1.3}$, -$NR^{1.1}$CN, -CHO, C_{2-6} alkenyl, -O-C_{3-8} cycloalkyl, $COOR^{1.1}$, C_{1-3}-$COOR^{1.1}$, $CONHR^{1.1}$, C_{1-3} alkyl-$CONHR^{1.1}$, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{2.2}R^{2.3}$, wherein $R^{2.1}$, $R^{2.2}$, and $R^{2.3}$ have the definition described above; or,

R_2 represents a group selected from a heterocyclic ring and heteroaryl, and may be independently and optionally substituted at the ortho-, para-, or meta-position with one, two, or three groups of halogen, OH, CN, NH_2, -NHOH, -C_{1-3} alkyl-NHOH, -C_{1-3} alkyl-CO-NHOH, -CO-NHOH, -C_{1-3} alkyl-NH-CO-$NR^{1.2}R^{1.3}$, -$NR^{1.1}$CN, -CHO, nitro, oxo, CF_3, CHF_2, and CH_2F, or substituted with 1, 2, or more substituents selected from $OR^{2.1}$, C_{1-3} alkyl-$OR^{2.1}$, $SR^{2.1}$, C_{1-3} alkyl-$SR^{2.1}$, SO-$R^{2.1}$, C_{1-3} alkyl-$SOR^{2.1}$, SO_2-$R^{2.1}$, C_{1-3} alkyl-$SO_2R^{2.1}$, $COOR^{2.1}$, $CH_2COOR^{2.1}$, $COOR^{2.1}$-C_{3-8} cycloalkyl, CO-$NR^{2.1}$-C_{3-8} cycloalkyl, $CH_2CH_2COOR^{2.1}$, $CH{=}CHCOOR^{2.1}$, CO-$NR^{2.1}CH_2CO$-$NR^{2.1}$, CH_2CH_2CO-$NR^{2.1}$, $CH{=}CHCO$-$NR^{2.1}$, $COR^{2.1}$, $CH_2COR^{2.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic-C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{2.1}$, and $NR^{2.2}R^{2.3}$, wherein each of the substituents may be optionally substituted with 1, 2, or more substituents of OH, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{2.2}R^{2.3}$, wherein $R^{2.1}$, $R^{2.2}$, and $R^{2.3}$ have the definitions described above; or

R_2 and $R_{2'}$, together with the atom linked thereto, form a 4- to 11-membered, monocyclic or bicyclic, saturated or partially saturated, optionally fused or optionally bridged heterocyclic ring that contains 1, 2, 3, or 4 heteroatoms independently selected from N, S, and O, wherein the heterocyclic ring is unsubstituted or optionally substituted at the ortho-, para-, or meta-position with 1, 2, or more substituents selected from: halogen, OH, oxo, CF_3, CHF_2, CH_2F, $OR^{2.1}$, C_{1-3} alkyl-$OR^{2.1}$, C_{1-3} alkyl-O-C_{1-3} alkyl-COOH, C_{1-3} alkyl-O-C_{1-3} alkyl-$CONR^{2.1}$, C_{1-3} alkyl-phosphoric acid, C_{1-3} alkyl-O-C(O)-$OR^{2.1}$, C_{1-3} alkyl-O-C(O)-$NR^{2.1}$, C_{1-3} alkyl-O-C(=O)-C_{1-3} alkyl-(O-C_{1-3} alkyl)v, C_{1-3} alkyl-O-C(=O)-C_{1-6} alkyl-$COOR^{2.1}$, C_{1-3} alkyl-N-C(=O)-C_{1-6} alkyl-$COOR^{2.1}$, C_{1-3} alkyl-N-C(=O)-C_{1-6} alkyl-$COOR^{2.1}$, C_{1-3} alkyl-N-C(=O)-N-

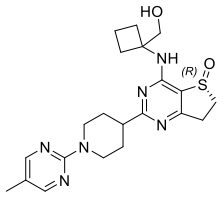
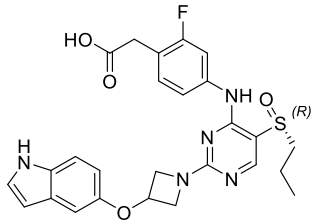
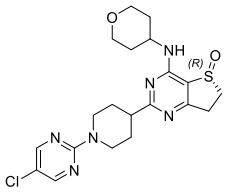
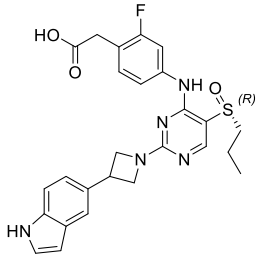
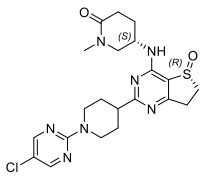
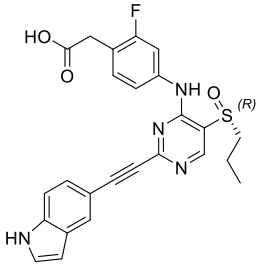
C_{1-6} alkyl-$COOR^{2.1}$, $SR^{2.1}$, C_{1-3} alkyl-$SR^{2.1}$, SO-$R^{2.1}$, C_{1-3} alkyl-$SOR^{2.1}$, SO_2-$R^{2.1}$, C_{1-3} alkyl-$SO_2R^{2.1}$, $COOR^{2.1}$, $CH_2COOR^{2.1}$, $CH_2CH_2COOR^{2.1}$, CH=CH$COOR^{2.1}$, CO-$NR^{2.1}$, CH_2CO-$NR^{2.1}$, CH_2CH_2CO-$NR^{2.1}$, CH=CHCO-$NR^{2.1}$, $COR^{2.1}$, $CH_2COR^{2.1}$, C_{1-6} alkyl alcohol, mono- or bicyclic C_{3-10} cycloalkyl, C_{6-20} aryl, C_{1-6} alkyl, C_{6-20} aryl-C_{1-6} alkyl, 5- to 20-membered heteroaryl-C_{1-6} alkyl, C_{1-3} alkyl-(monocyclic or polycyclic C_{6-20} aryl), 3- to 20-membered heterocyclyl-C_{6-20} aryl, a 3- to 20-membered heterocyclic ring, 5- to 20-membered heteroaryl C_{1-3} alkyl-$OR^{2.1}$, $NR^{2.2}R^{2.3}$, C_{6-20} aryl, and $NR^{2.2}R^{2.3}$, wherein each of the substituents may be sequentially and optionally substituted with 1, 2, or more substituents selected from OH, CN, C_{1-3} alkyl-CN, C_{1-3} alkyl-NH_2, -SH, -NH_2, -NHOH, -C_{1-3} alkyl-NHOH, -C_{1-3} alkyl-CO-NHOH, -CO-NHOH, -C_{1-3} alkyl-NH-CO-$NR^{1.2}R^{1.3}$, -$NR^{1.1}$CN, -CHO, C_{2-6} alkenyl, -O-C_{3-8} cycloalkyl, $COOR^{1.1}$, C_{1-3} alkyl-$COOR^{1.1}$, $CONHR^{1.1}$, C_{1-3} alkyl-$CONHR^{1.1}$, -$OR^{1.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-20} aryl, and $NR^{1.2}R^{1.3}$, wherein $R^{2.1}$, $R^{1.2}$, $R^{1.3}$, and v have the definitions described above; or,

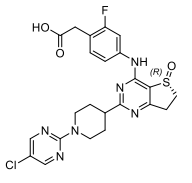
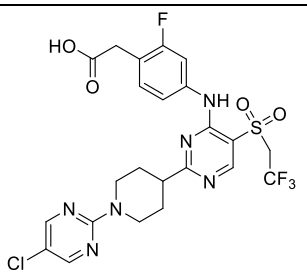
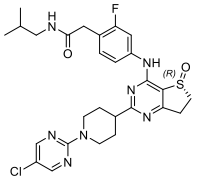
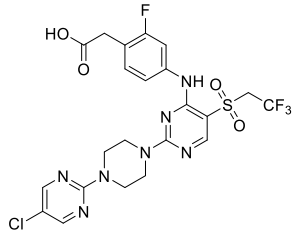
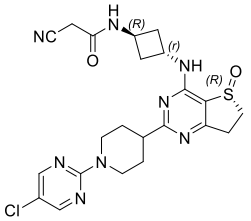
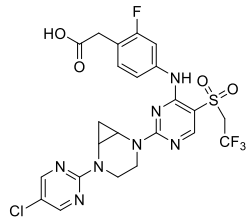
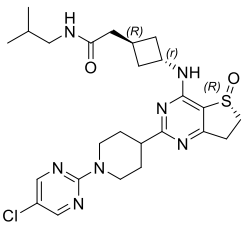
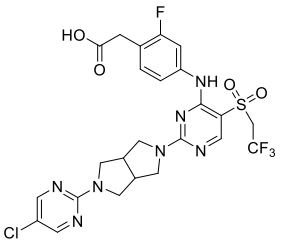
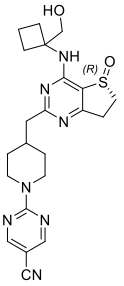
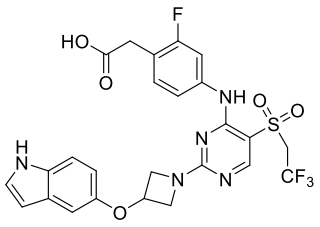
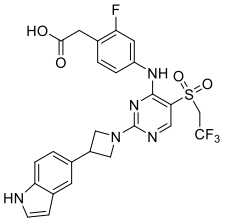
R_{31}, R_{32}, R_{33}, R_{34}, R_{35}, R_{36}, R_{37}, R_{38}, R_{41}, R_{42}, R_{43}, R_{44}, R_{45}, R_{46}, R_{47}, R_{48}, R_{51}, R_{52}, R_{53}, R_{54}, R_{55}, R_{56}, R_{57}, and R_{58} are each identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, 5- to 20-membered heteroaryl, 3- to 20-membered heterocyclyl, and NH_2, wherein each of the above groups may be optionally substituted with 1, 2, or more substituents of OH, CN, C_{1-3} alkyl-CN, -SH, -NH_2, -NHOH, -C_{1-3} alkyl-NHOH, -C_{1-3} alkyl-CO-NHOH, -CO-NHOH, -C_{1-3} alkyl-NH-CO-$NR^{1.2}R^{1.3}$, -$NR^{1.1}$CN, -CHO, C_{2-6} alkenyl, -O-C_{3-8} cycloalkyl, $COOR^{1.1}$, C_{1-3} alkyl-$COOR^{1.1}$, $CONHR^{1.1}$, C_{1-3} alkyl-$CONHR^{1.1}$, $OR^{2.1}$, oxo, halogen, CF_3, CHF_2, CH_2F, C_{1-6} alkyl, C_{6-10} aryl, and $NR^{1.2}R^{2.3}$, wherein $R^{1.1}$, $R^{1.2}$, $R^{2.1}$, and $R^{2.3}$ have the definitions above; or,

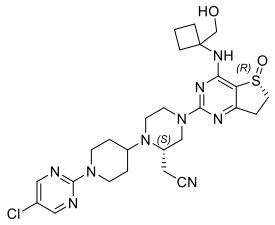
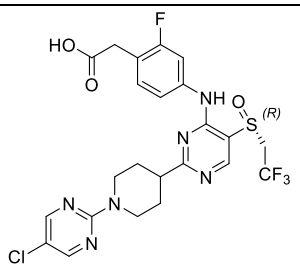
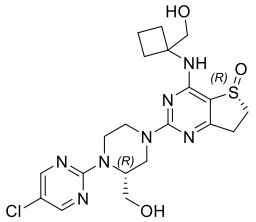
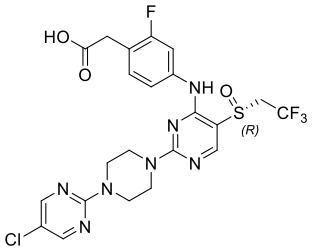
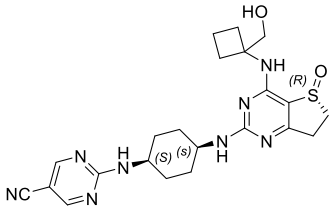
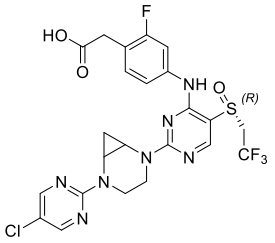
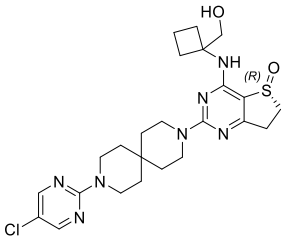
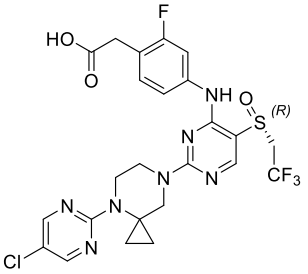
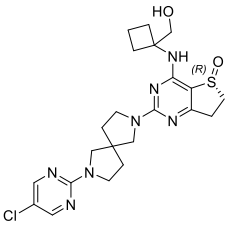
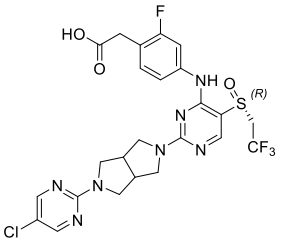
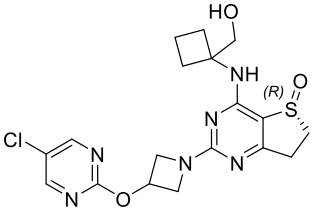
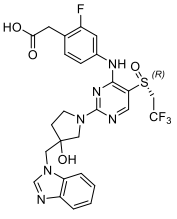
R_c are identical or different, and are each independently selected from H, halogen, OH, CN, NO_2, oxo (=O), thio (=S), and the following groups unsubstituted or optionally substituted with 1, 2, or more R_e: C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{3-20} cycloalkynyl, C_{6-20} aryl, C_{1-6} alkyl-C_{4-10} heterocycloalkyl, C_{1-6} alkyl-C_{4-10} heterocycloalkenyl, C_{1-6} alkyl-C_{6-20} aryl, C_{1-6} alkyl-C_{5-20} heteroaryl, 5- to 20-membered heteroaryl-O-C_{6-20} aryl-, 5- to 20-membered heteroaryl-N-C_{6-20} aryl-, 5- to 20-membered heteroaryl-S-C_{6-20} aryl-, 5- to 20-membered heteroaryl-CH_2-C_{6-20} aryl-, C_{4-10} cycloalkyl-fused C_{6-20} aryl-, 4- to 10-membered heterocycloalkyl-fused C_{6-20} aryl-, 4- to 10-membered heterocycloalkenyl-fused C_{6-20} aryl-, C_{4-10} cycloalkyl-C_{6-20} aryl-, 4- to 10-membered heterocycloalkyl-C_{6-20} aryl-, 4- to 10-membered heterocycloalkenyl-C_{6-20} aryl-, 5- to 20-membered heteroaryl, C_{4-10} cycloalkyl-fused 5- to 20-membered heteroaryl-, 4- to 10-

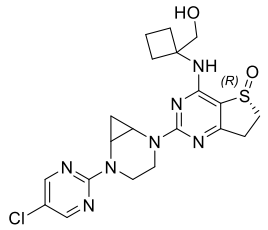
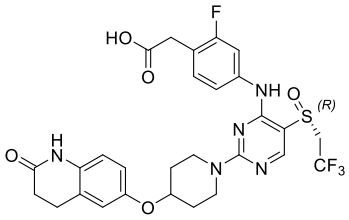
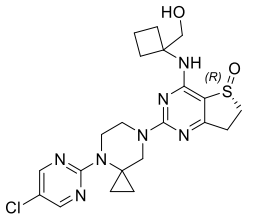
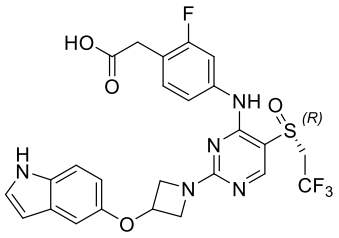
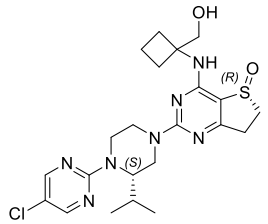
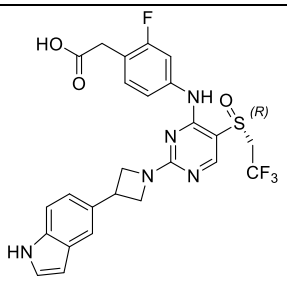
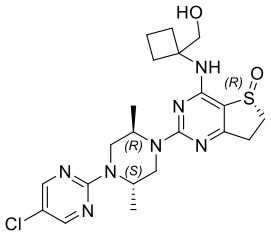
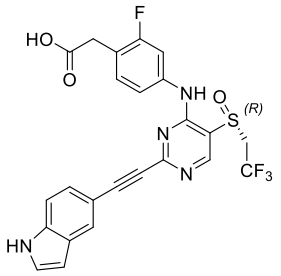
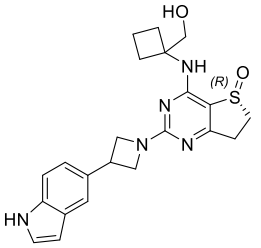
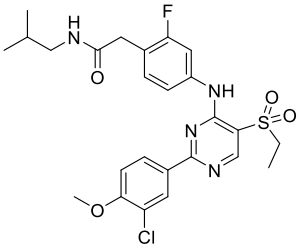
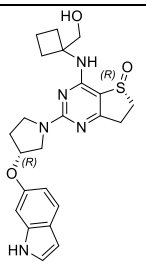
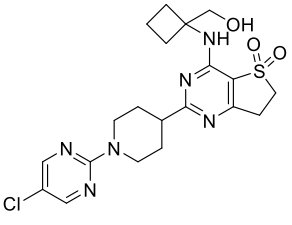
membered heterocycloalkyl-fused 5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkenyl-fused 5- to 20-membered heteroaryl-, C_{4-10} cycloalkyl-5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkyl-5- to 20-membered heteroaryl-, 4- to 10-membered heterocycloalkenyl-5- to 20-membered heteroaryl-, 3- to 20-membered heterocyclyl, C_{1-20} alkyloxy, C_{2-20} alkenyloxy, C_{2-20} alkynyloxy, C_{3-20} cycloalkyloxy, C_{3-20} cycloalkenyloxy, C_{3-20} cycloalkynyloxy, C_{6-20} aryloxy, 5- to 20-membered heteroaryloxy, 3- to 20-membered heterocyclyloxy, C_{1-20} alkylthio, C_{2-20} alkenylthio, C_{2-20} alkynylthio, C_{3-20} cycloalkylthio, C_{3-20} cycloalkenylthio, C_{3-20} cycloalkynylthio, C_{6-20} arylthio, 5- to 20-membered heteroarylthio, 3- to 20-membered heterocyclylthio, NH_2, $-C(O)R_{31}$, $-C(O)OR_{32}$, $-OC(O)R_{33}$, $-S(O)_2R_{34}$, $-S(O)_2OR_{35}$, $-OS(O)_2R_{36}$, and $-P(O)(OR_{37})(OR_{38})$, wherein R_{31}, R_{32}, R_{33}, R_{34}, R_{35}, R_{36}, R_{37}, and R_{38} have the definitions described above.

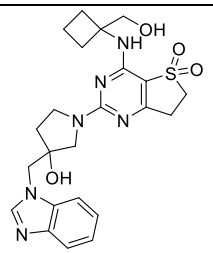
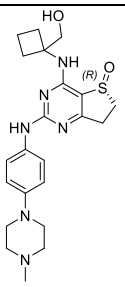
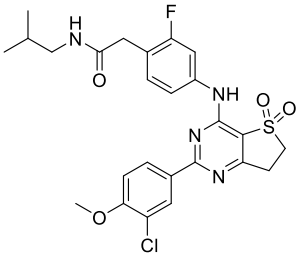
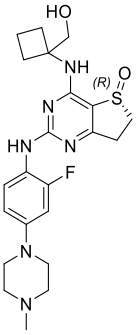
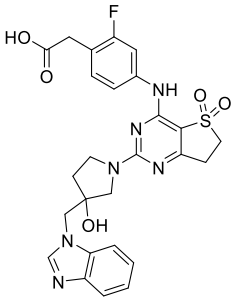
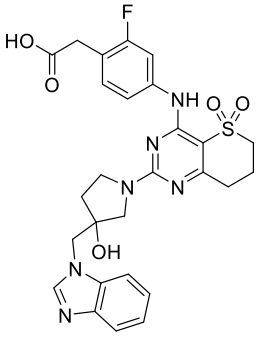
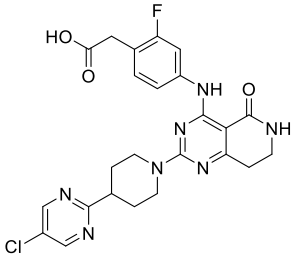
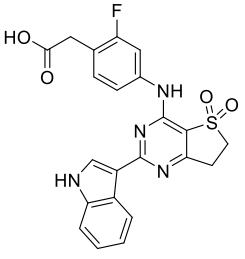
5. The compound or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the solvate, the polymorph, the metabolite, the pharmaceutically acceptable salt, or the prodrug thereof according to claim 1, wherein the compound is selected from the following compounds:

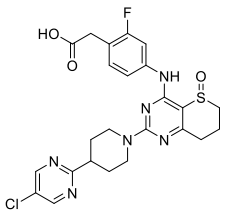
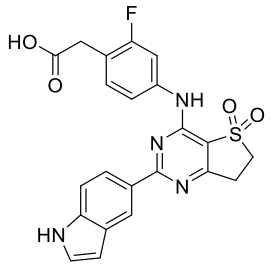
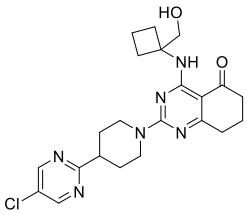
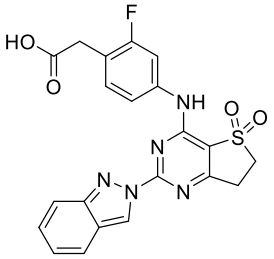
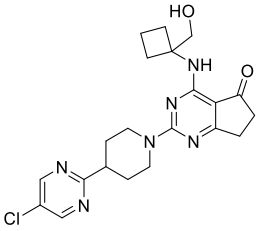
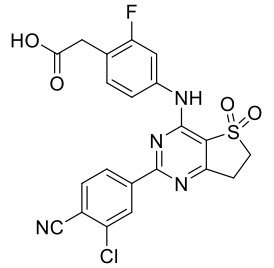
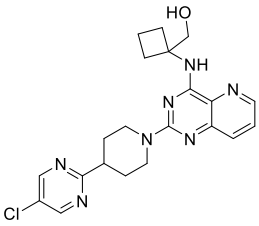
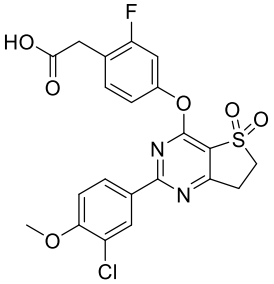
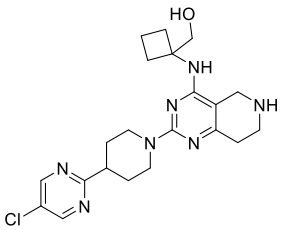
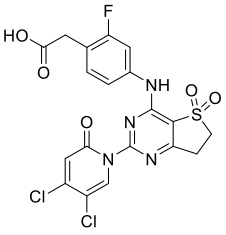
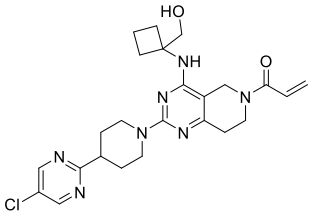
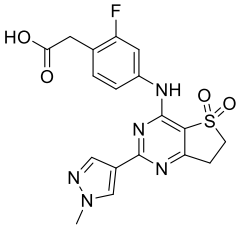
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004		266	

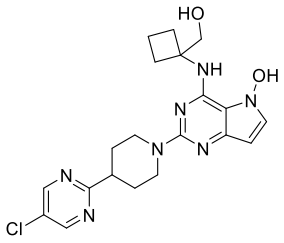
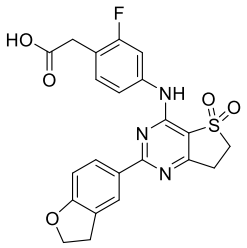
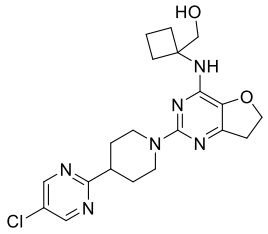
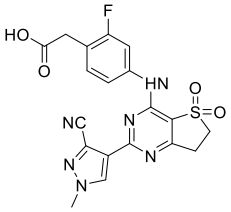
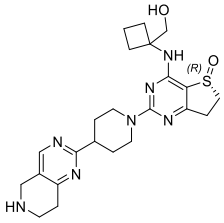
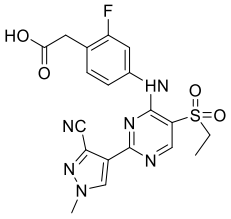
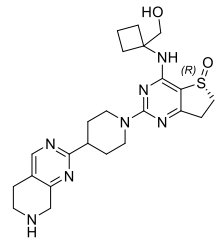
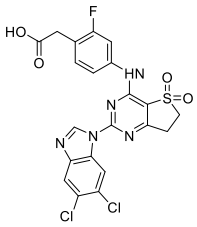
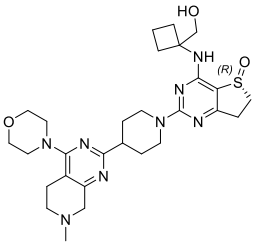
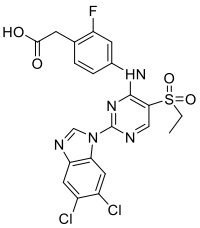
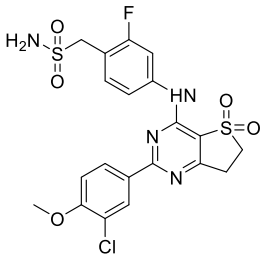
No.	Chemical Structure	No.	Chemical Structure
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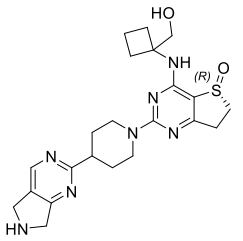
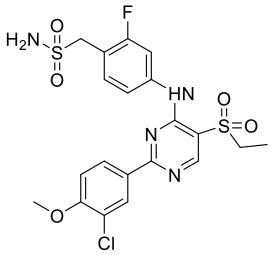
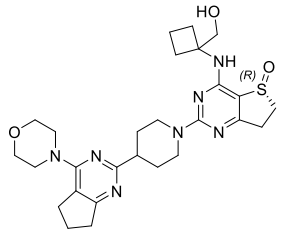
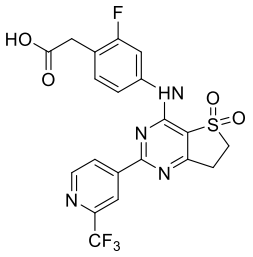
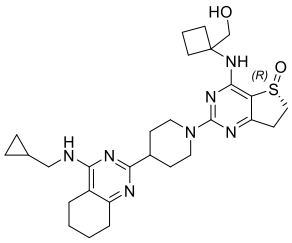
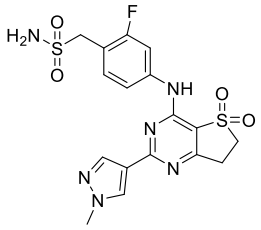
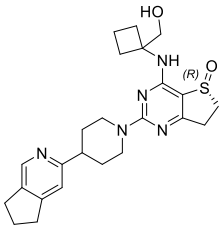
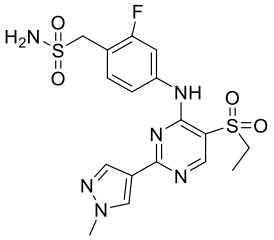
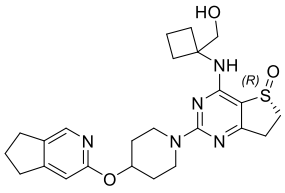
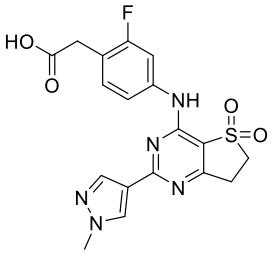
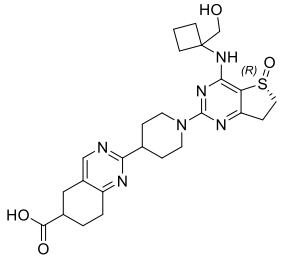
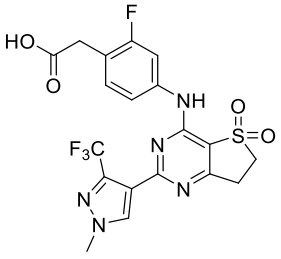
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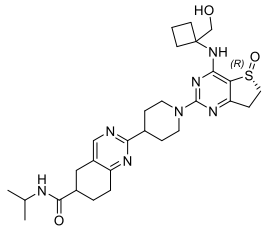
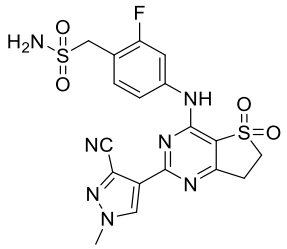
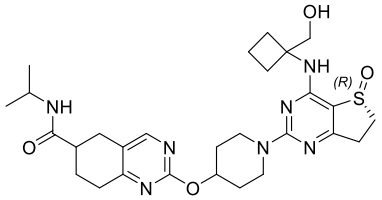
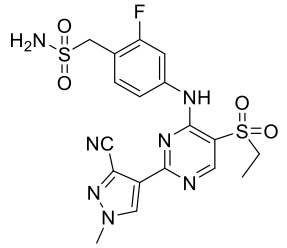
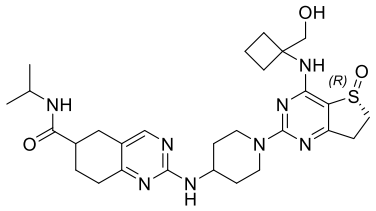
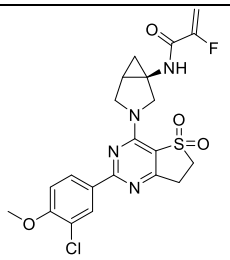
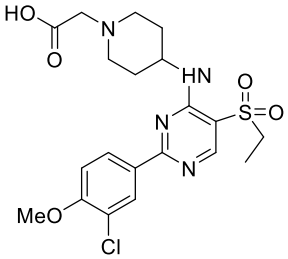
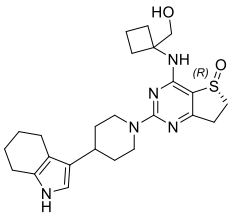
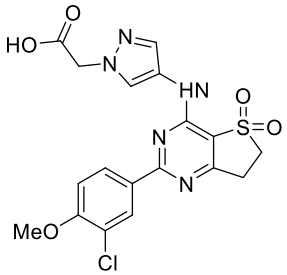
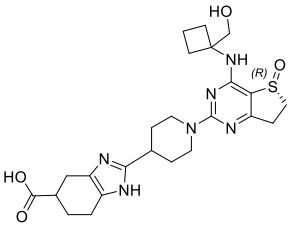
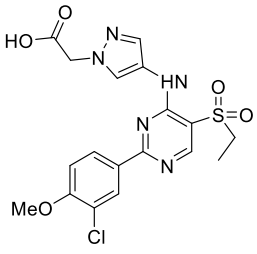
No.	Chemical Structure	No.	Chemical Structure
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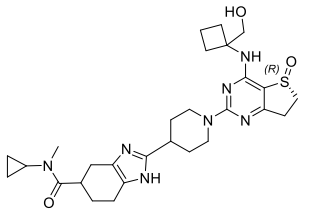
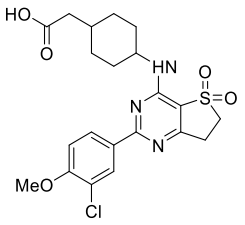
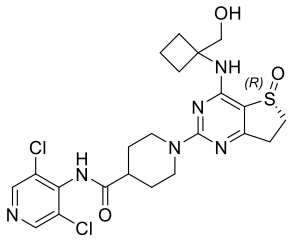
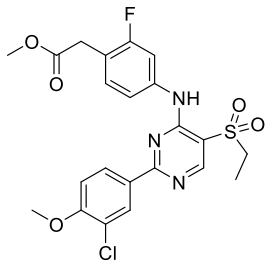
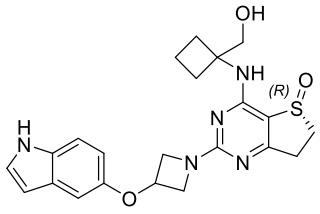
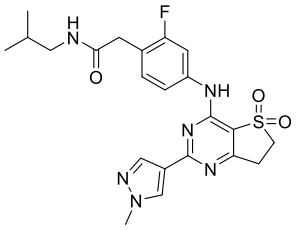
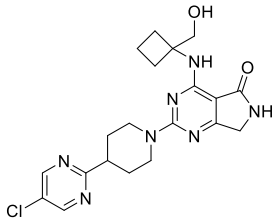
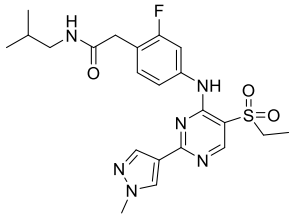
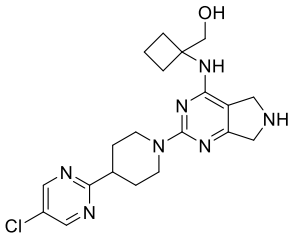
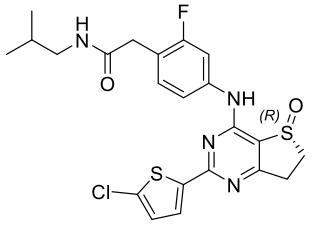
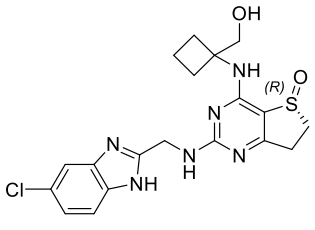
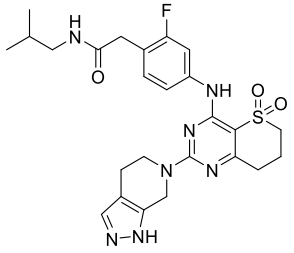
No.	Chemical Structure	No.	Chemical Structure
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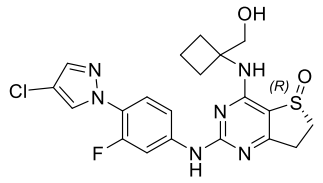
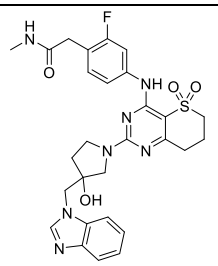
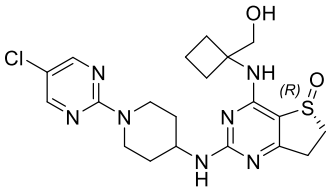
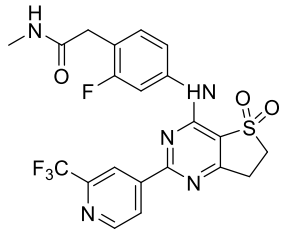
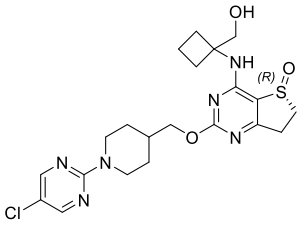
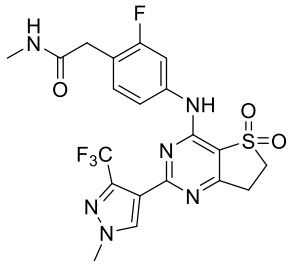
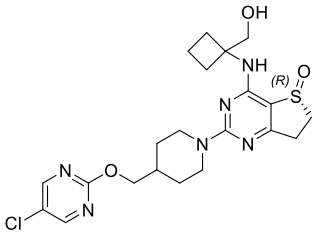
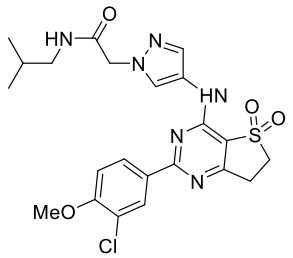
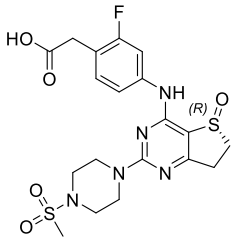
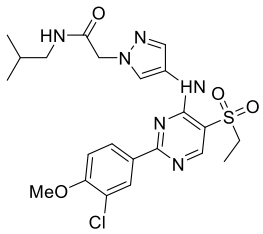
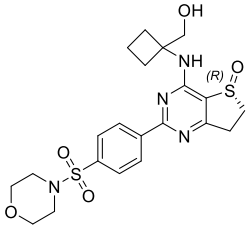
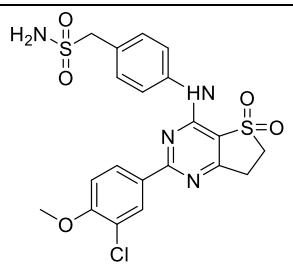
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037		295	

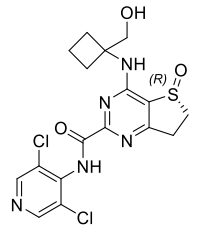
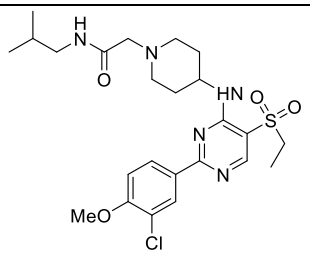
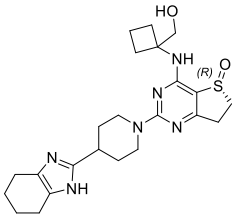
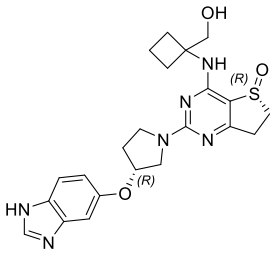
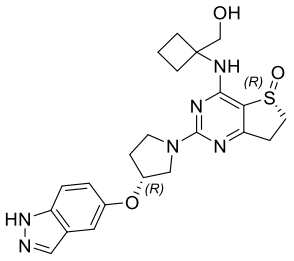
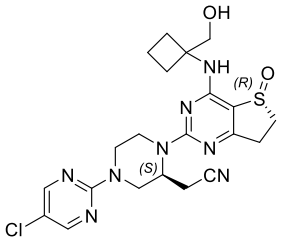
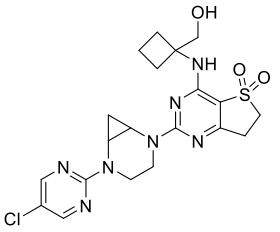
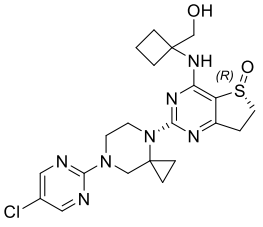
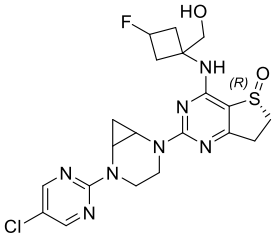
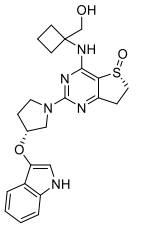
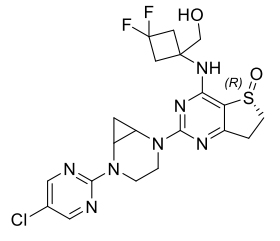
No.	Chemical Structure	No.	Chemical Structure
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039		297	
040		298	
041		299	
042		300	
		307	

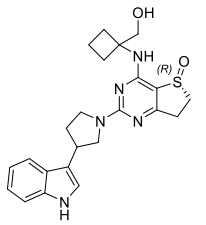
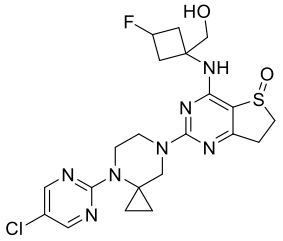
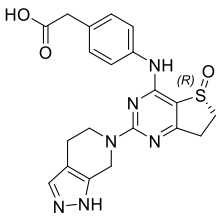
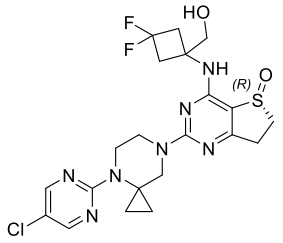
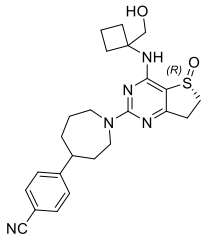
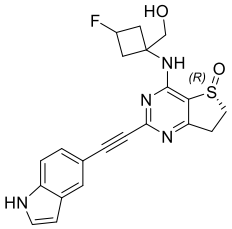
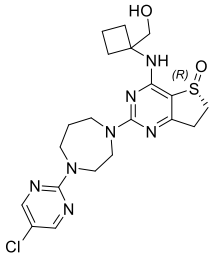
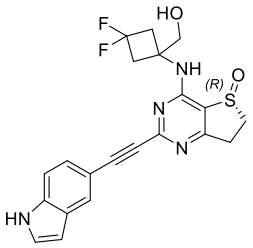
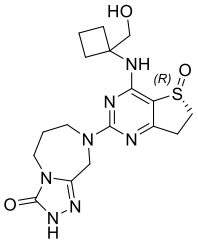
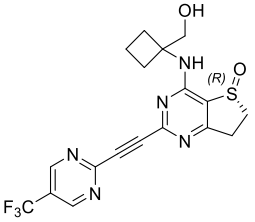
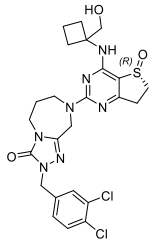
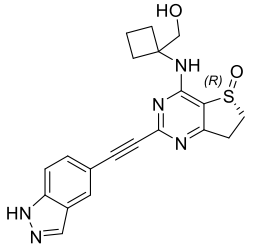
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047		314	
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049		317	

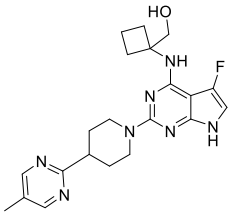
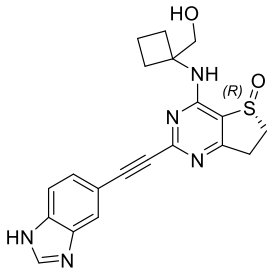
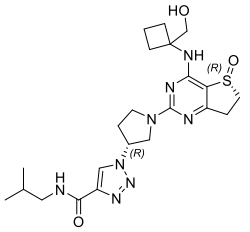
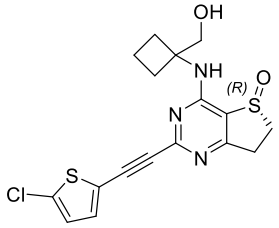
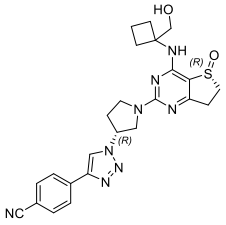
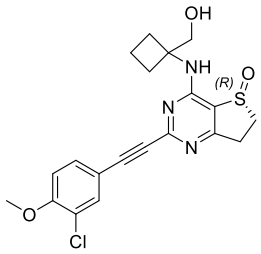
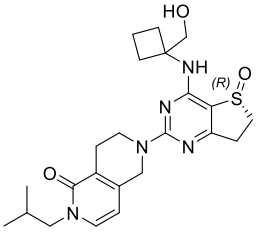
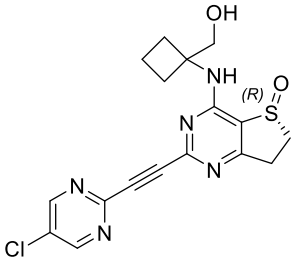
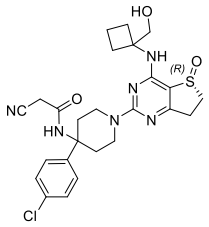
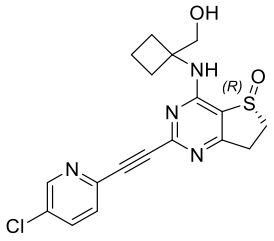
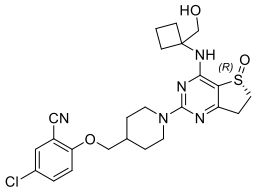
No.	Chemical Structure	No.	Chemical Structure
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055		350	

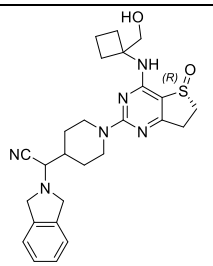
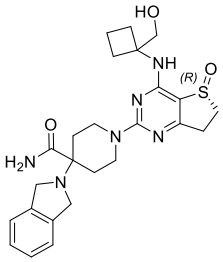
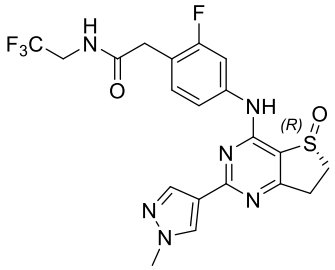
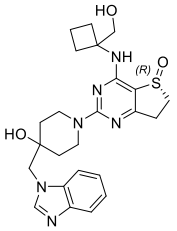
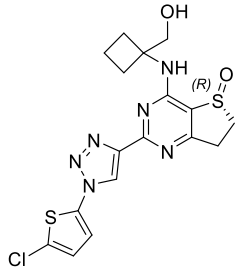
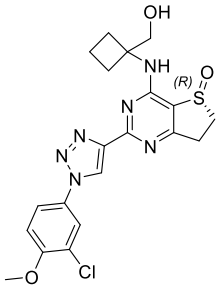
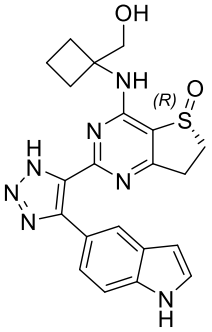
No.	Chemical Structure	No.	Chemical Structure
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061		356	

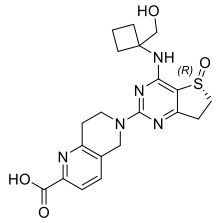
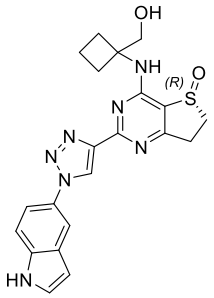
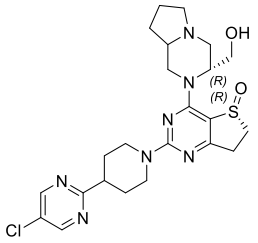
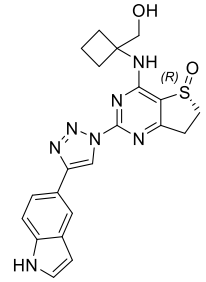
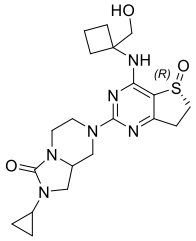
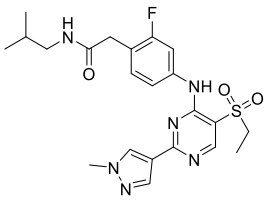
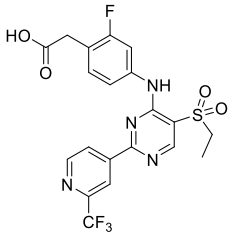
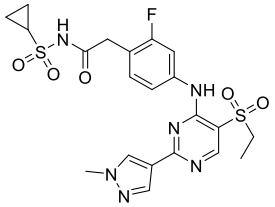
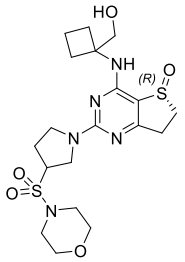
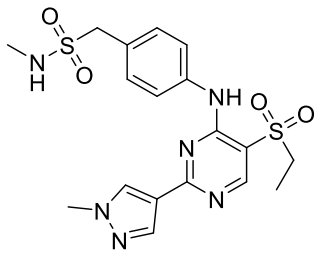
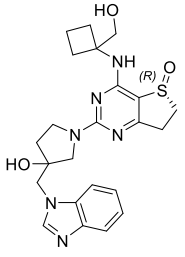
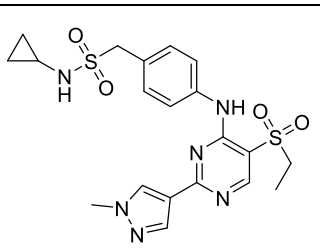
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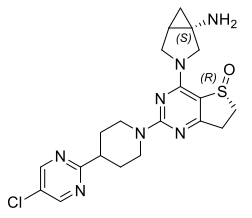
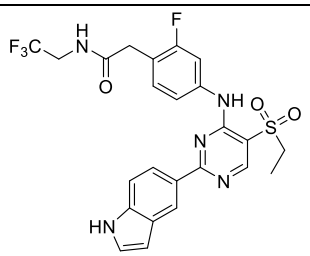
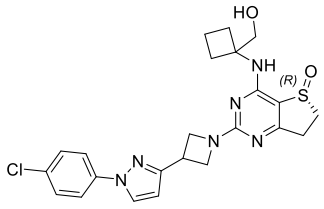
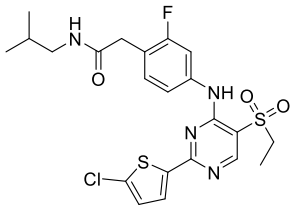
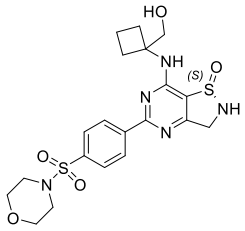
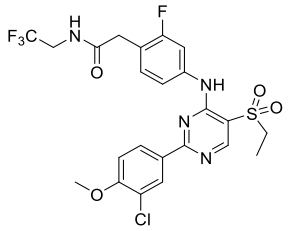
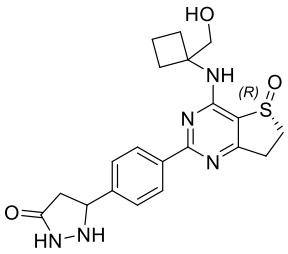
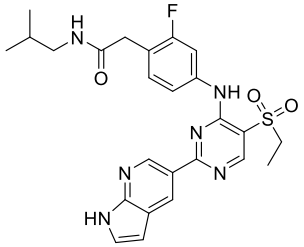
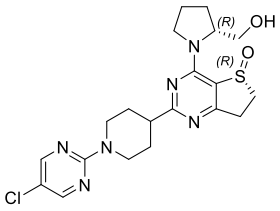
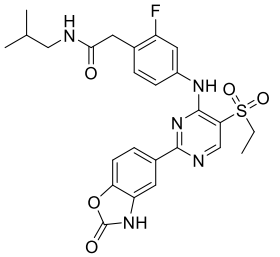
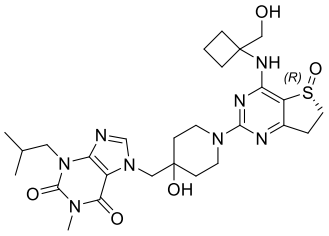
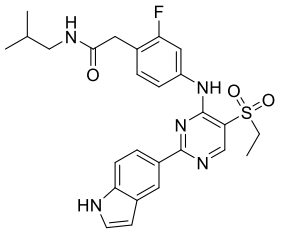
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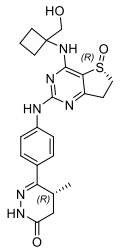
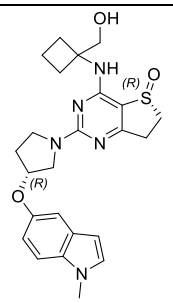
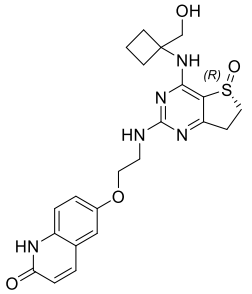
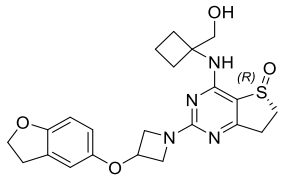
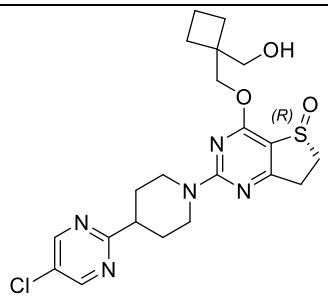
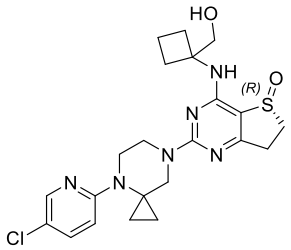
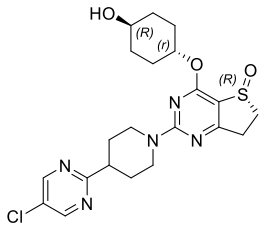
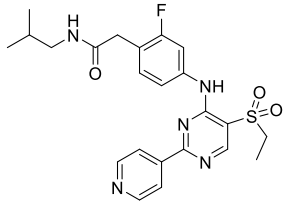
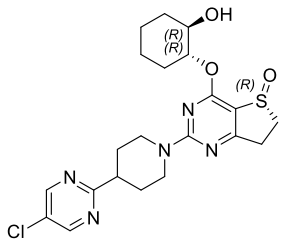
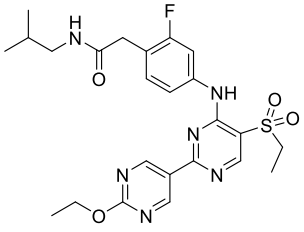
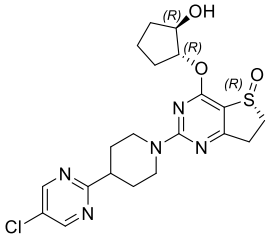
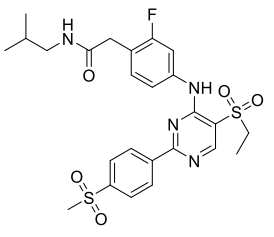
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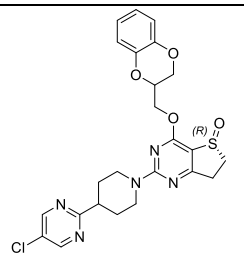
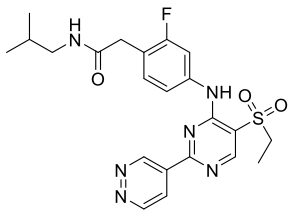
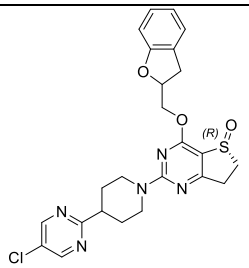
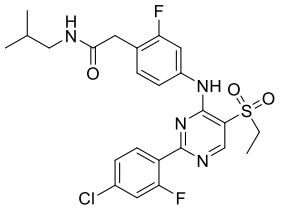
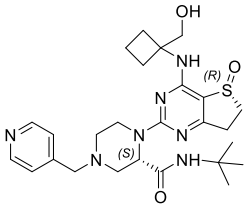
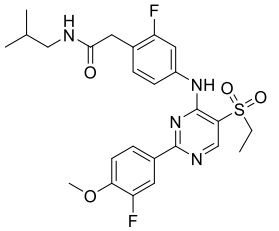
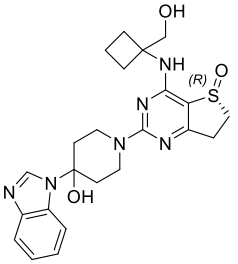
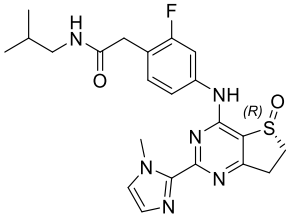
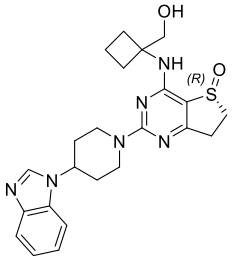
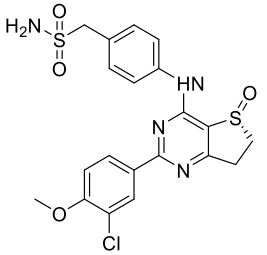
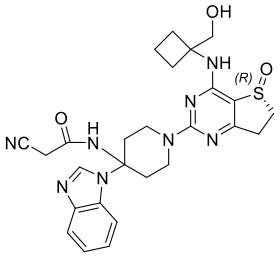
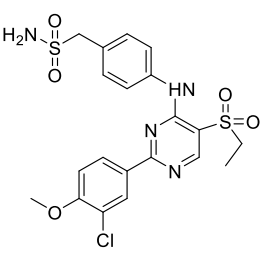
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085			

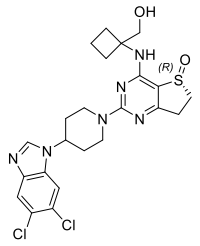
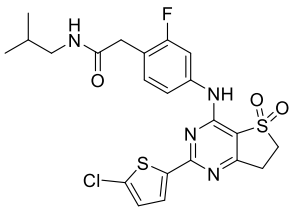
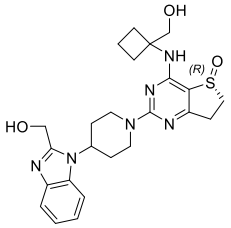
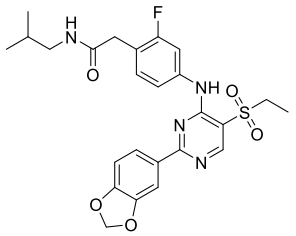
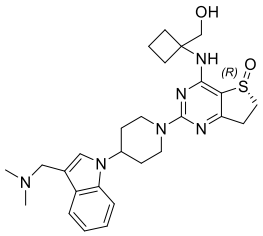
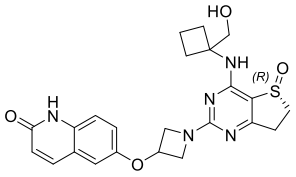
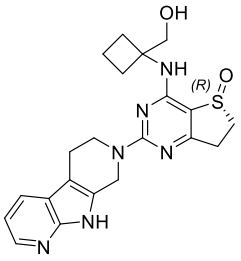
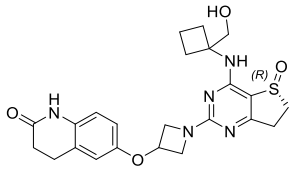
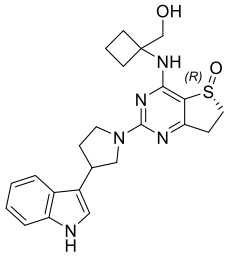
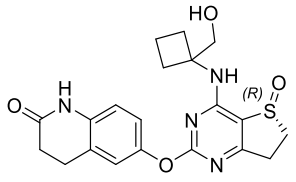
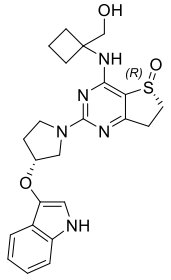
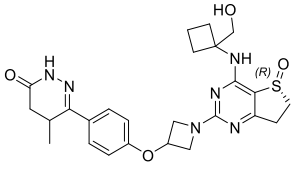
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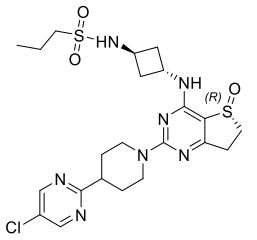
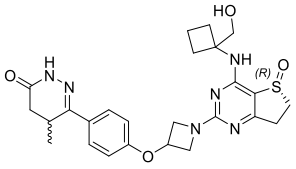
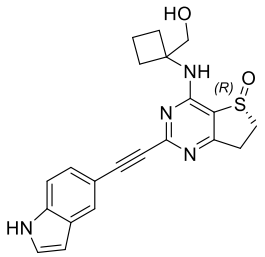
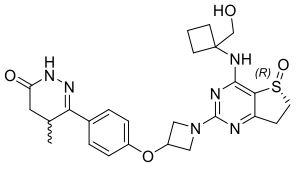
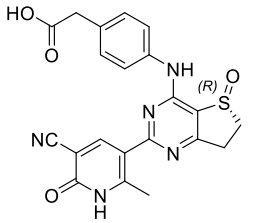
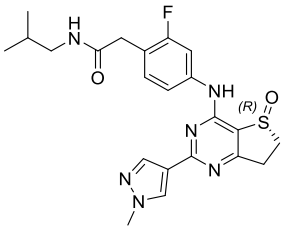
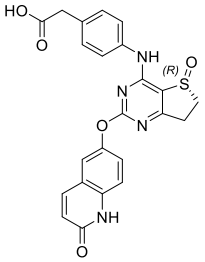
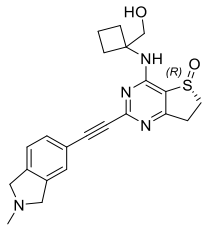
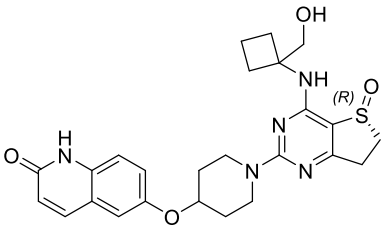
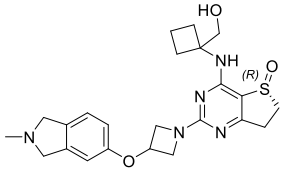
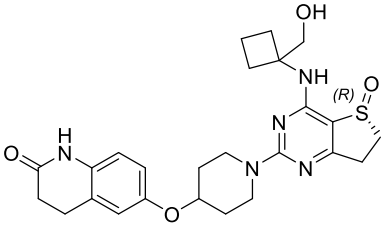
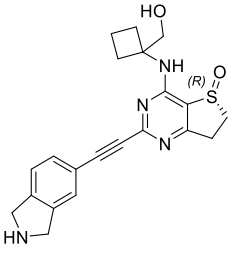
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096		412	

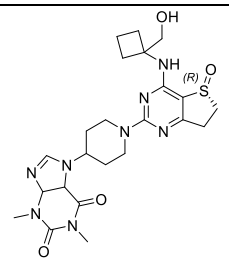
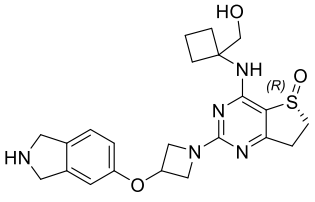
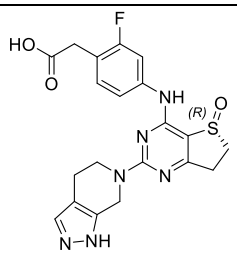
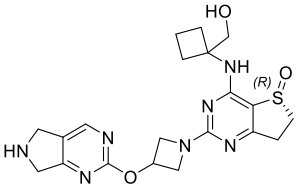
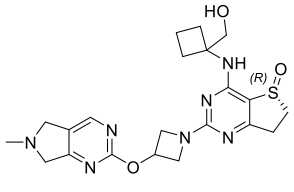
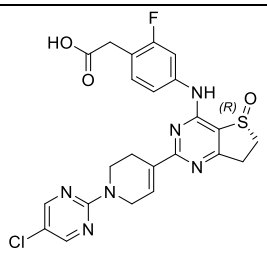
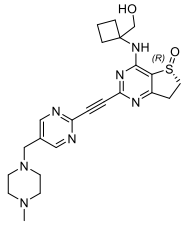
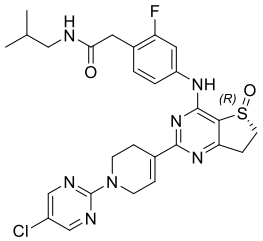
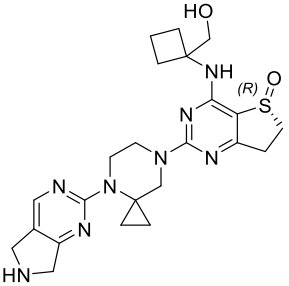
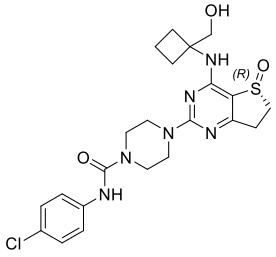
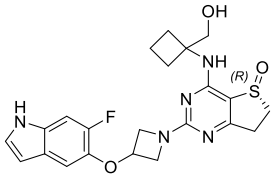
No.	Chemical Structure	No.	Chemical Structure
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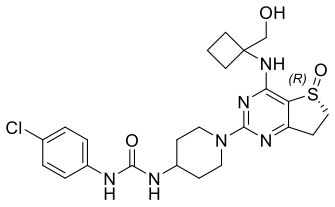
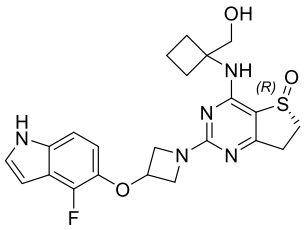
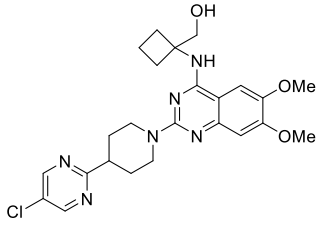
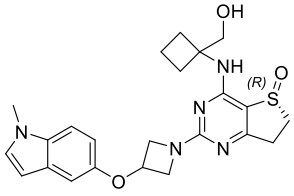
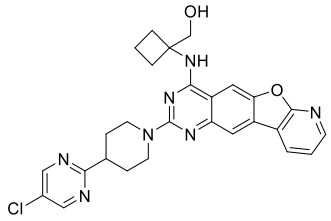
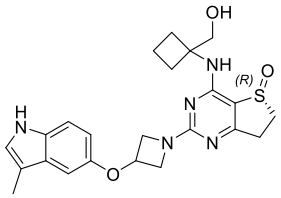
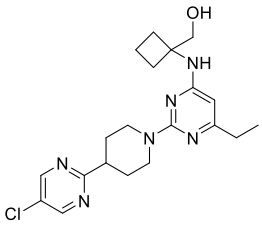
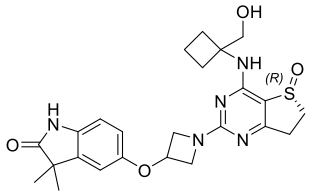
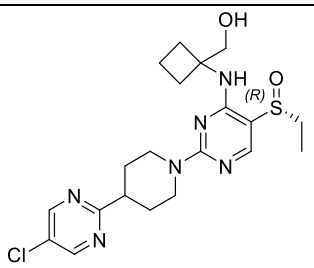
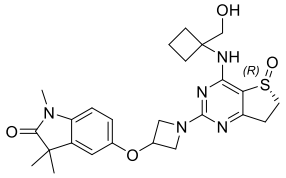
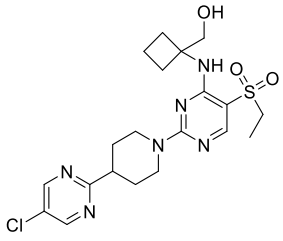
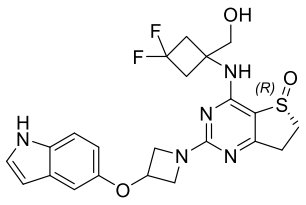
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108		425	

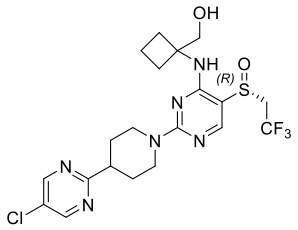
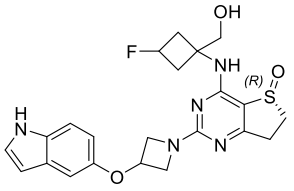
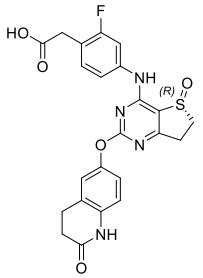
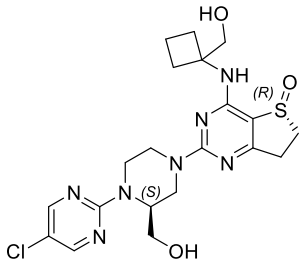
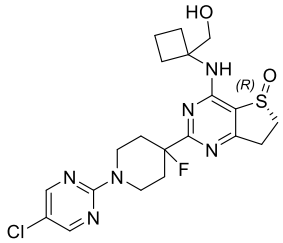
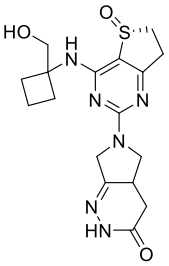
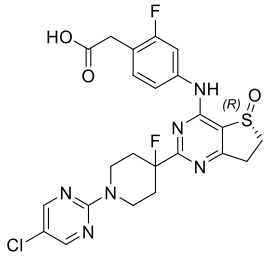
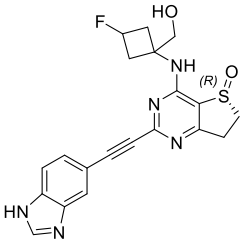
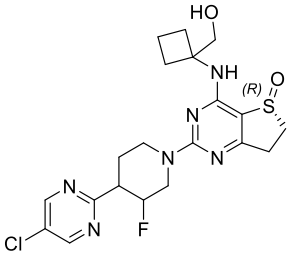
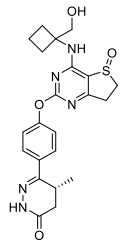
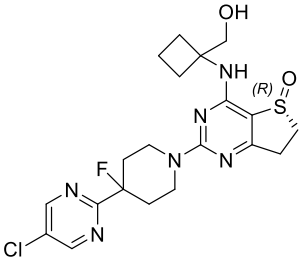
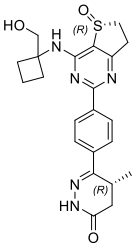
No.	Chemical Structure	No.	Chemical Structure
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114		431	

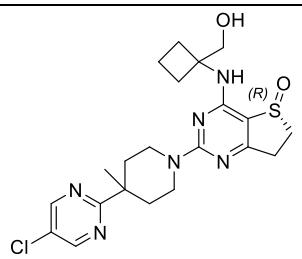
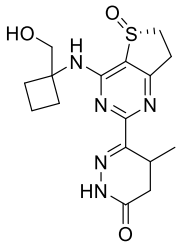
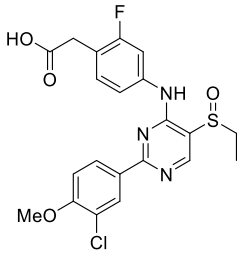
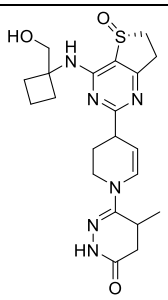
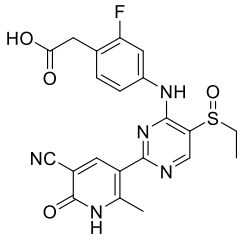
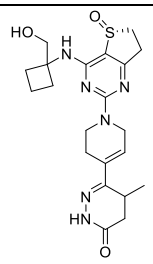
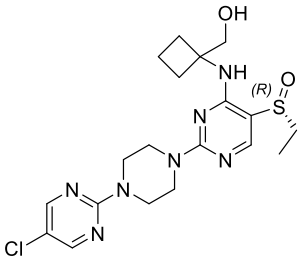
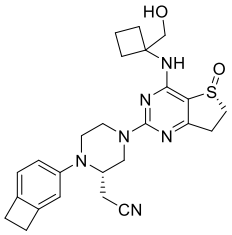
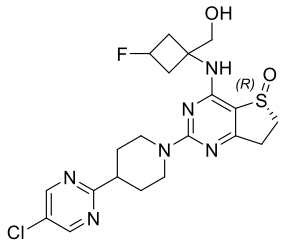
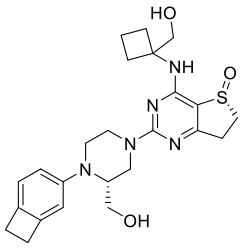
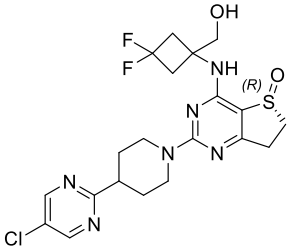
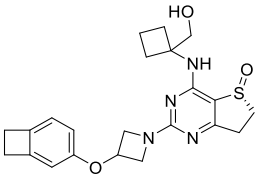
No.	Chemical Structure	No.	Chemical Structure
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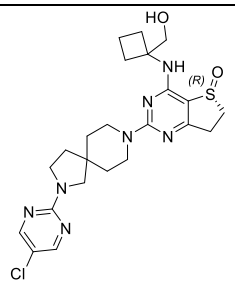
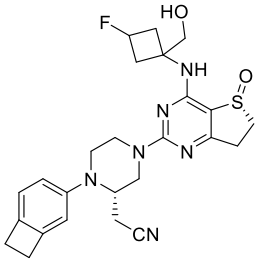
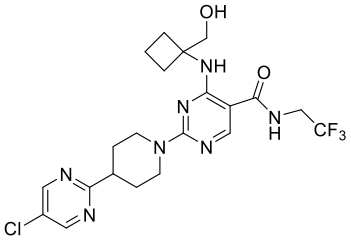
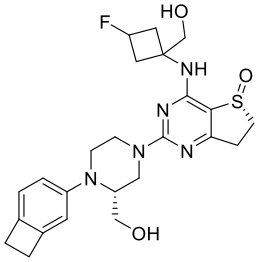
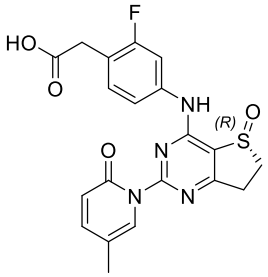
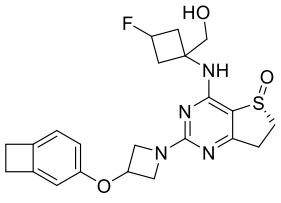
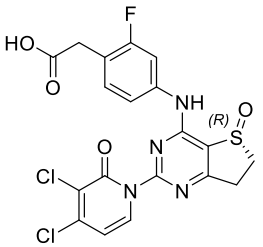
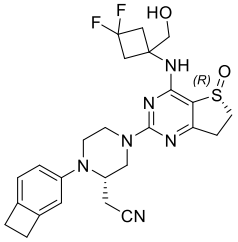
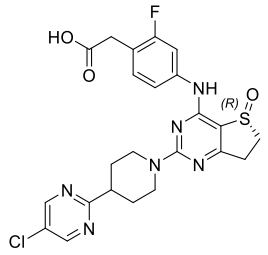
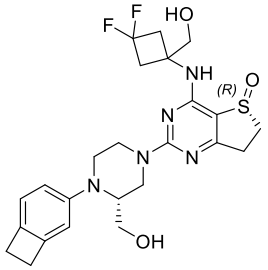
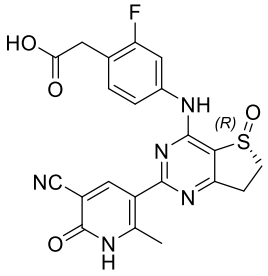
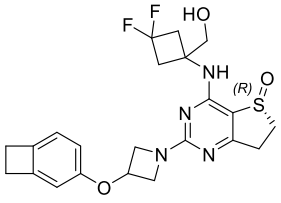
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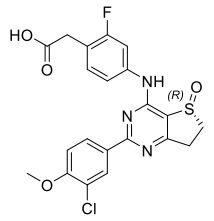
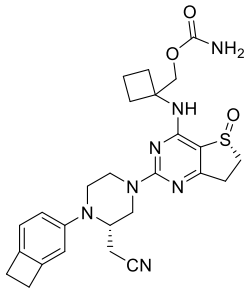
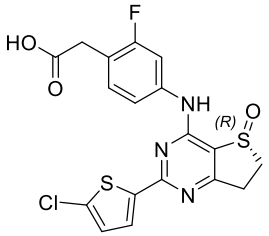
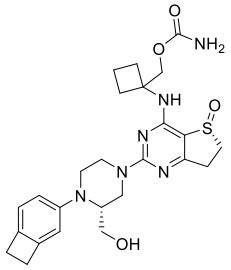
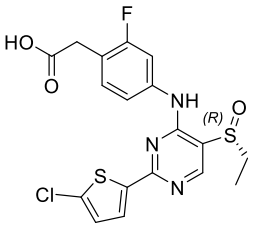
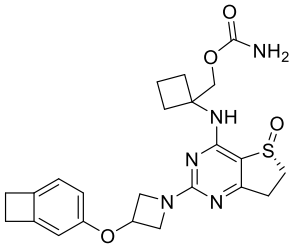
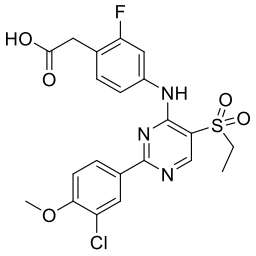
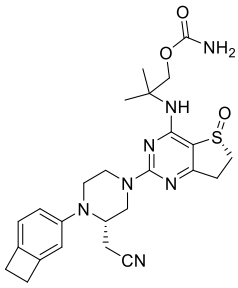
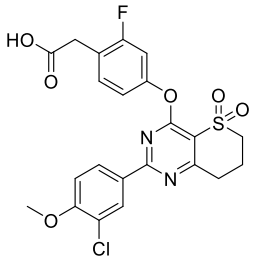
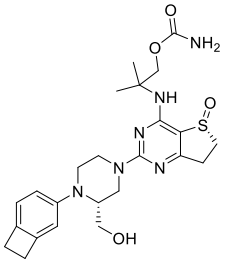
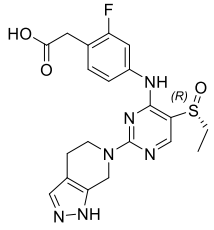
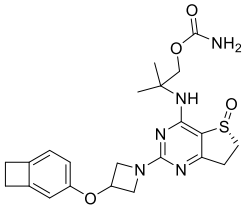
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132		458	

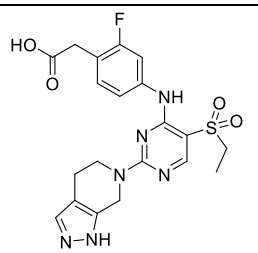
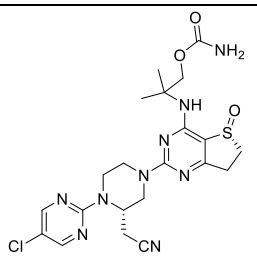
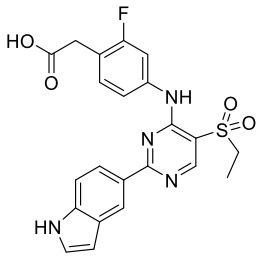
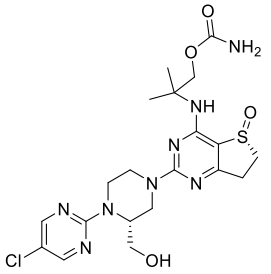
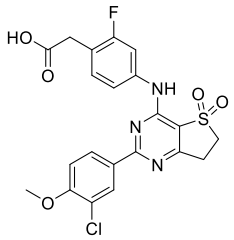
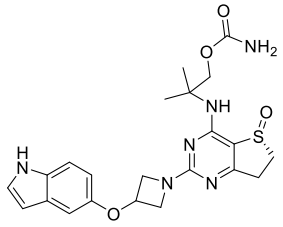
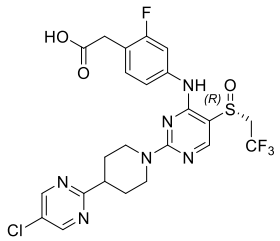
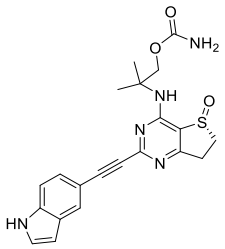
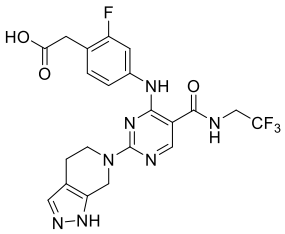
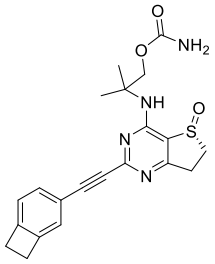
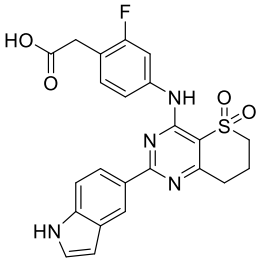
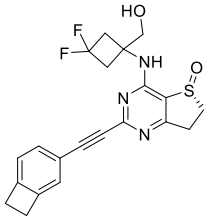
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138		464	

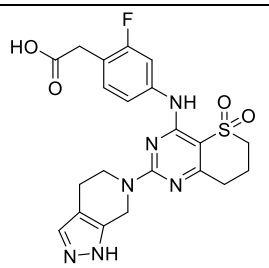
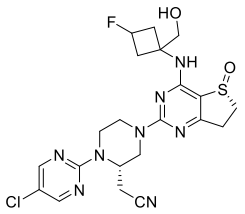
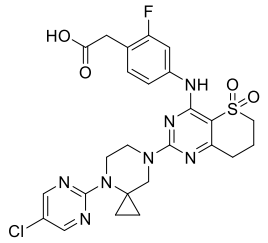
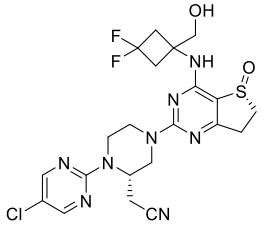
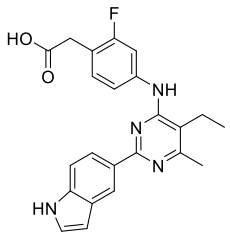
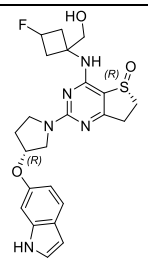
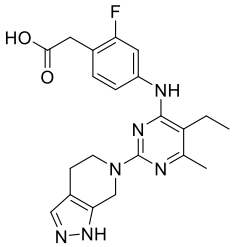
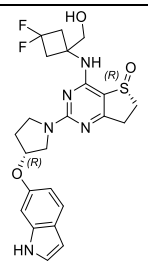
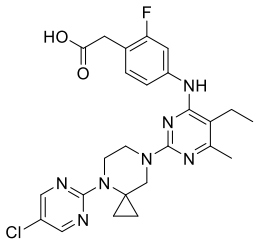
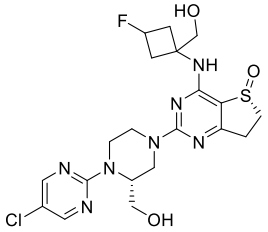
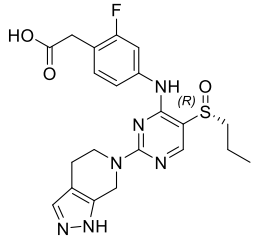
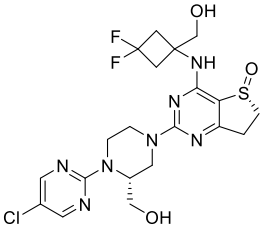
No.	Chemical Structure	No.	Chemical Structure
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145		473	

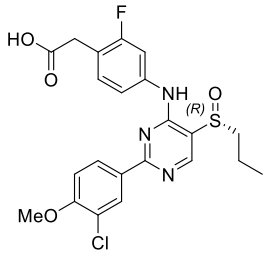
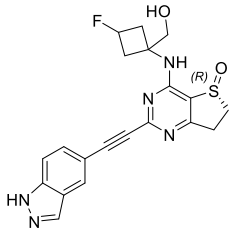
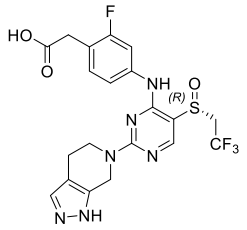
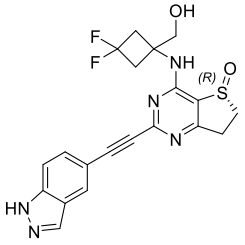
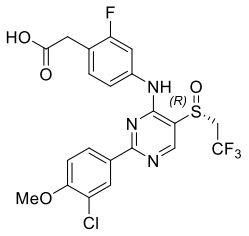
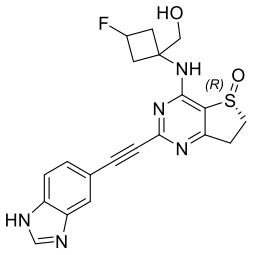
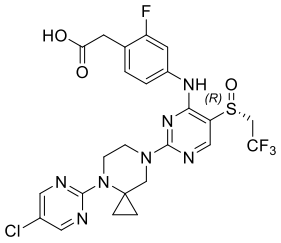
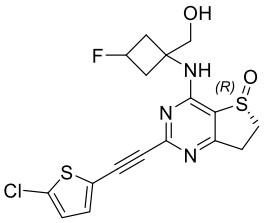
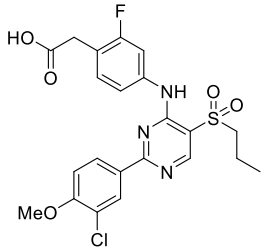
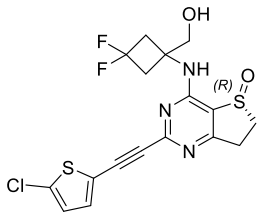
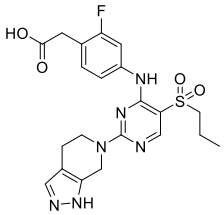
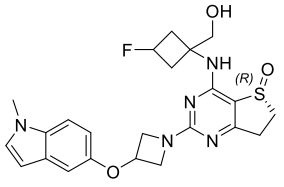
No.	Chemical Structure	No.	Chemical Structure
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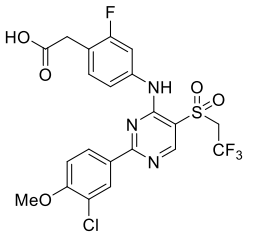
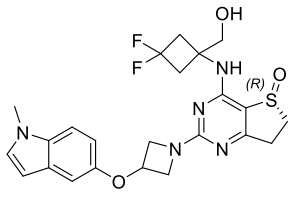
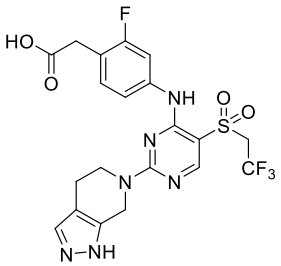
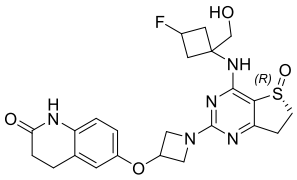
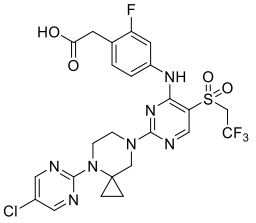
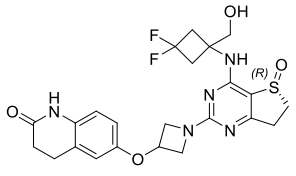
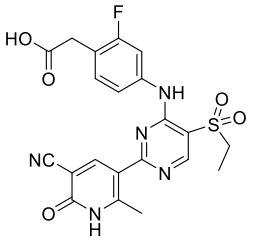
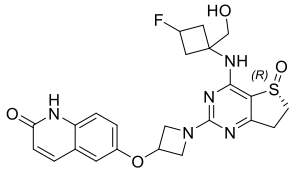
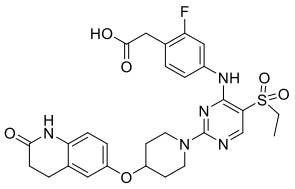
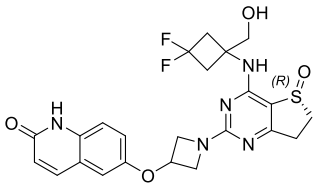
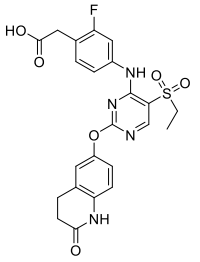
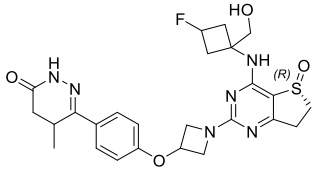
No.	Chemical Structure	No.	Chemical Structure
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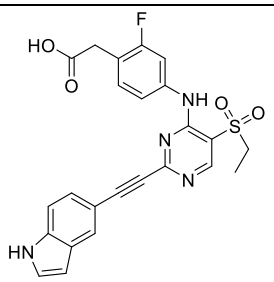
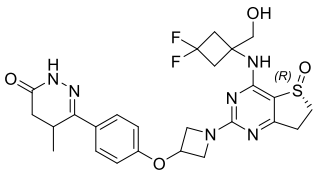
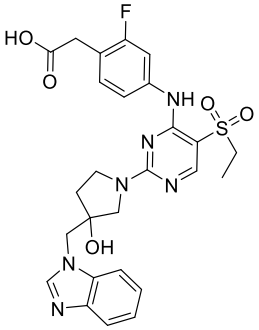
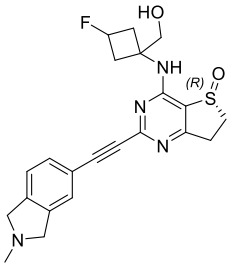
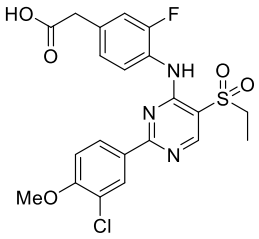
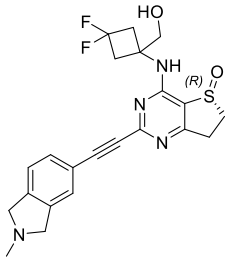
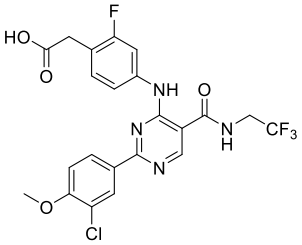
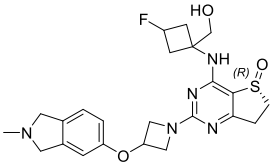
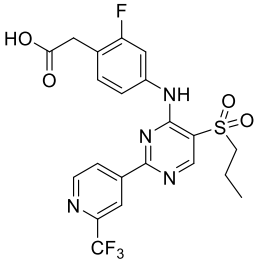
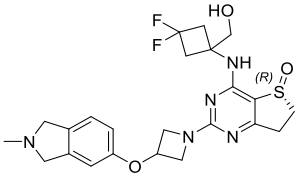
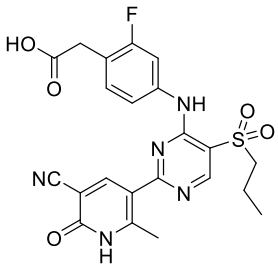
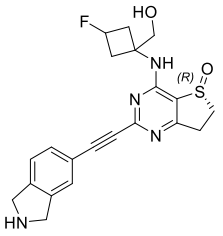
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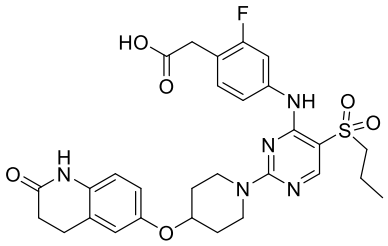
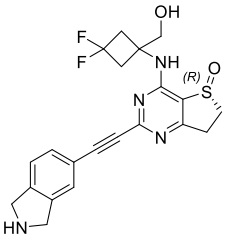
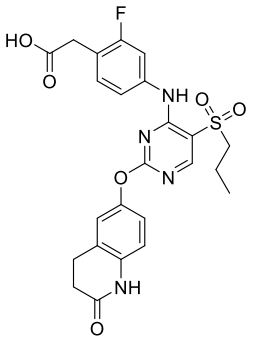
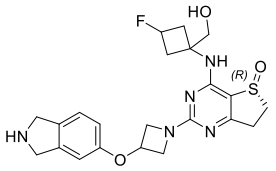
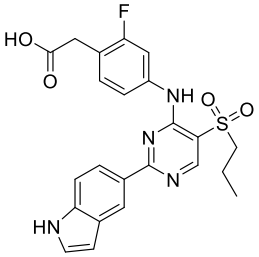
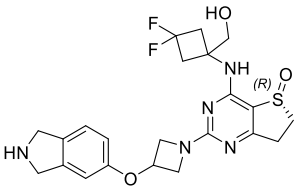
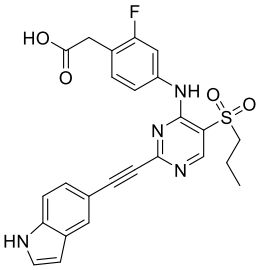
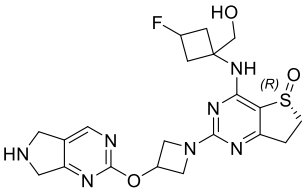
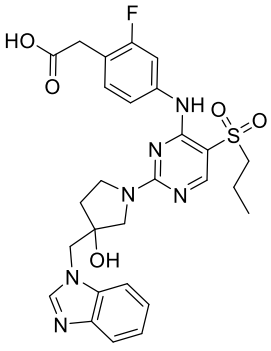
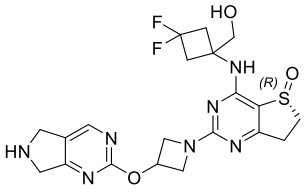
No.	Chemical Structure	No.	Chemical Structure
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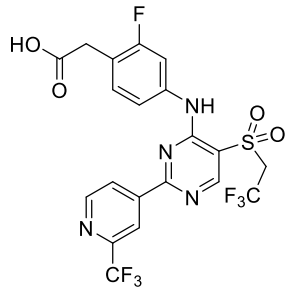
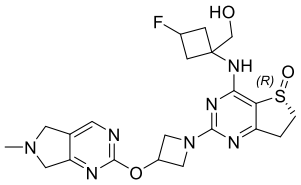
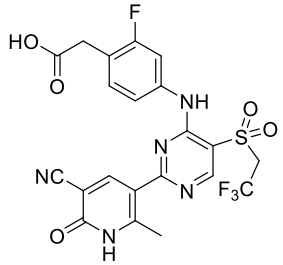
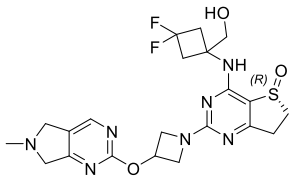
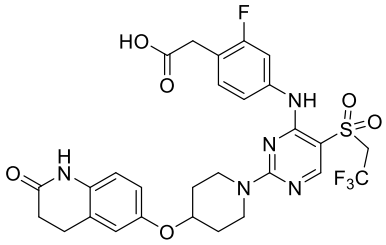
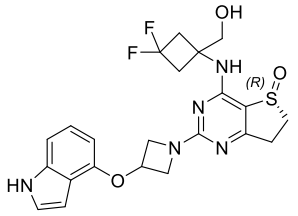
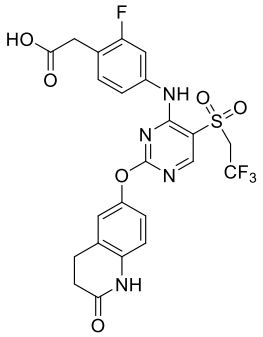
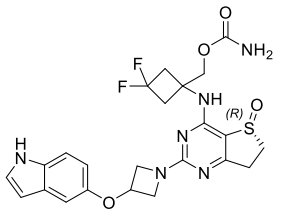
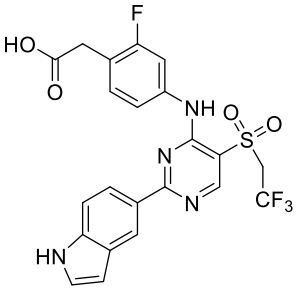
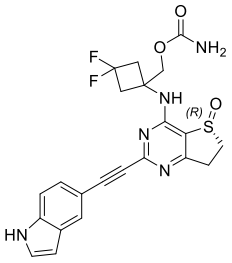
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175		525	

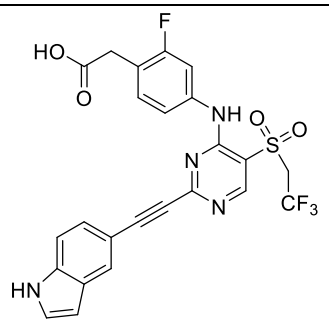
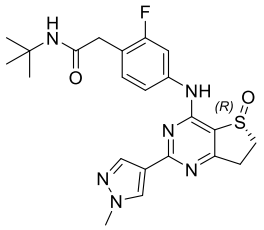
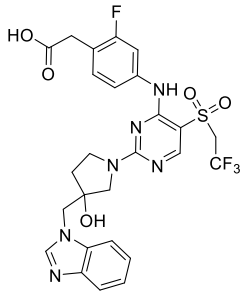
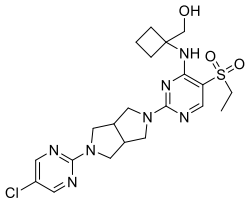
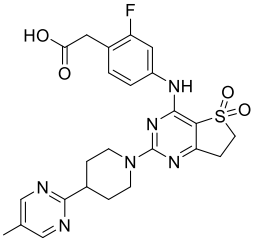
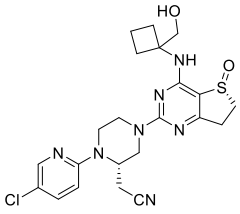
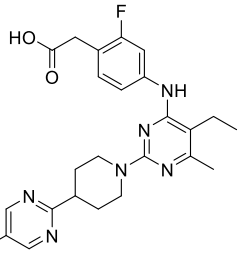
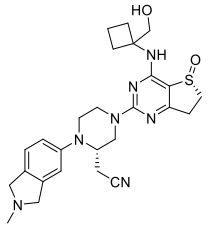
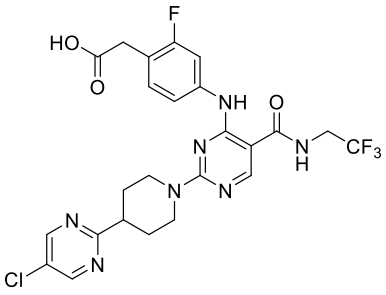
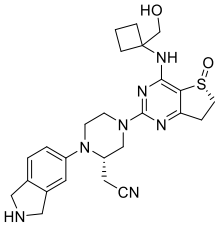
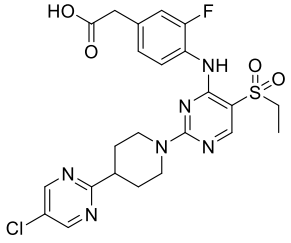
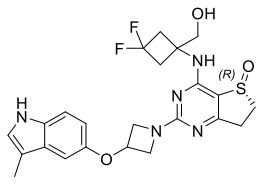
No.	Chemical Structure	No.	Chemical Structure
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181		531	

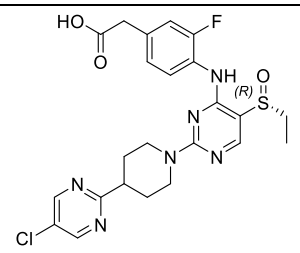
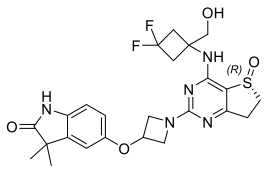
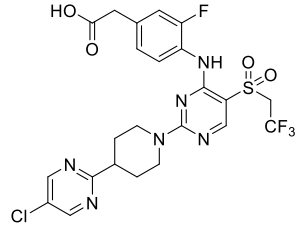
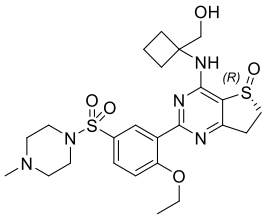
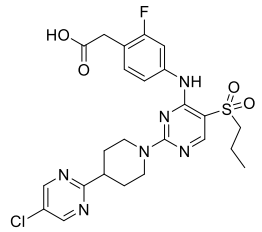
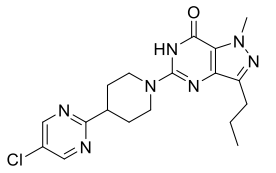
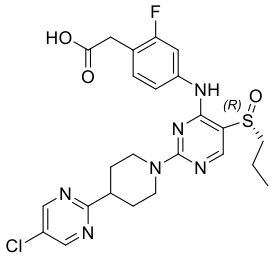
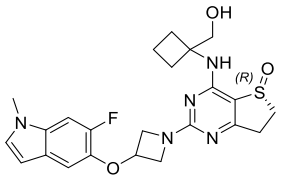
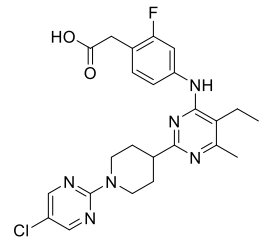
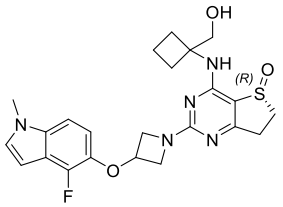
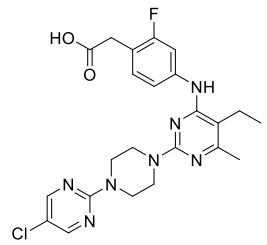
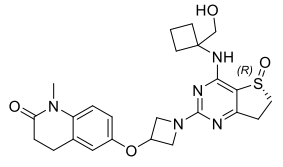
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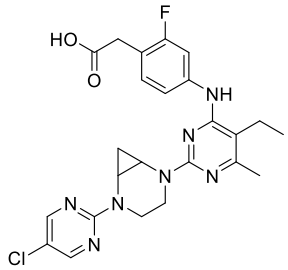
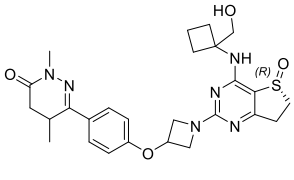
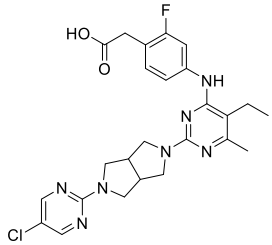
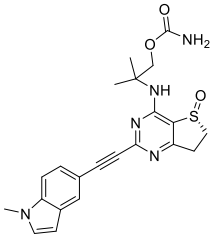
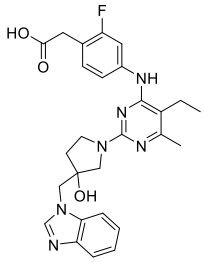
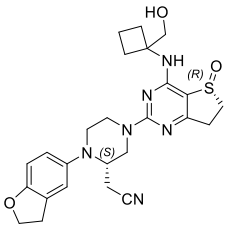
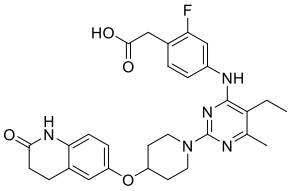
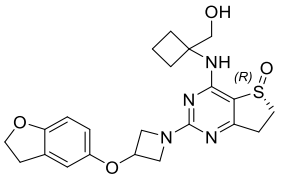
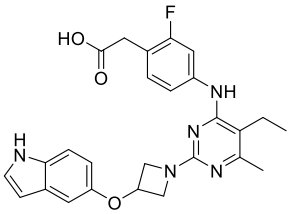
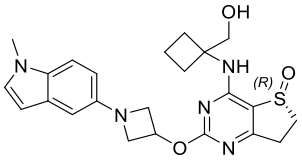
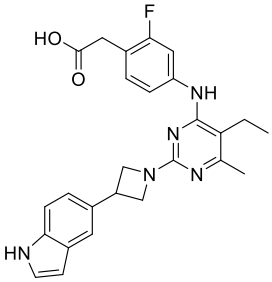
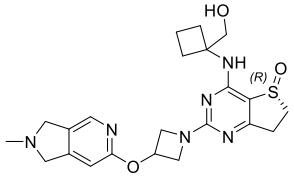
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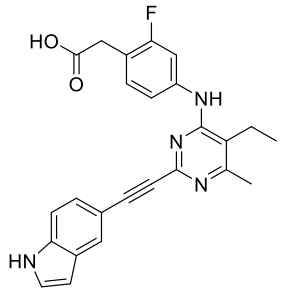
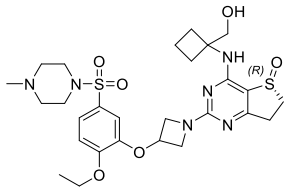
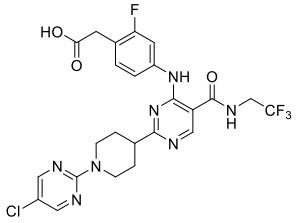
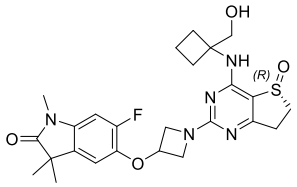
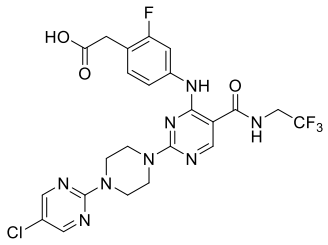
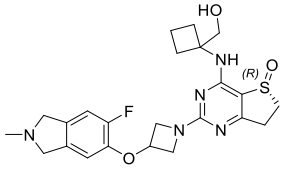
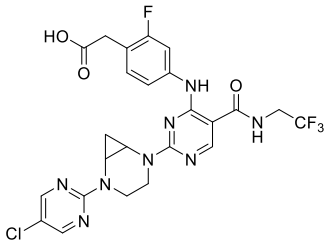
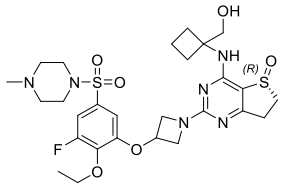
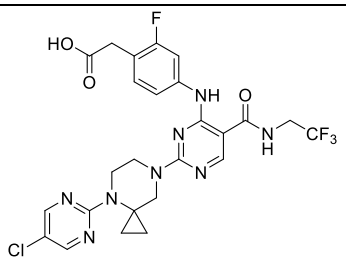
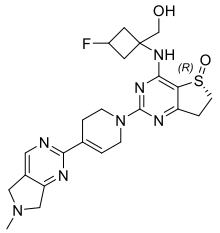
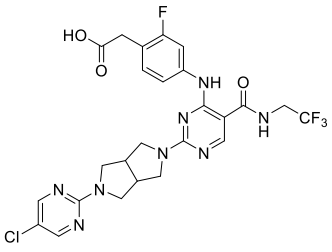
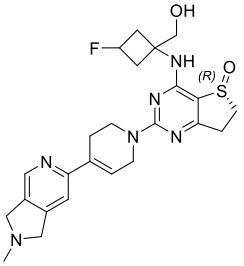
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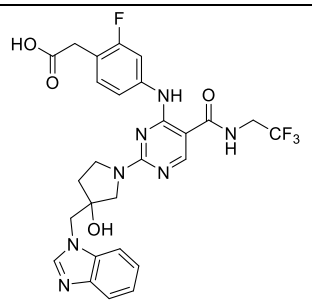
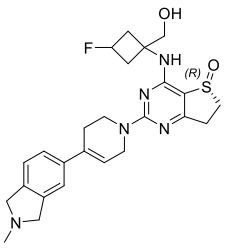
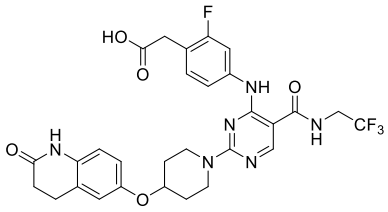
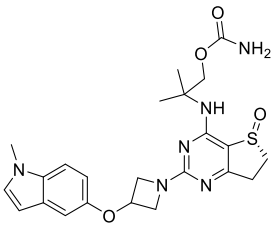
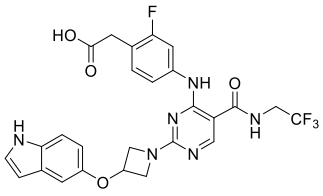
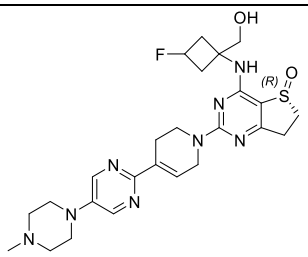
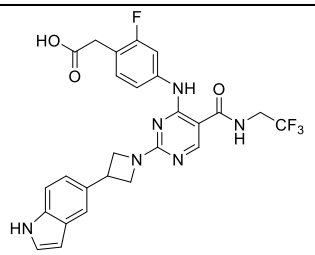
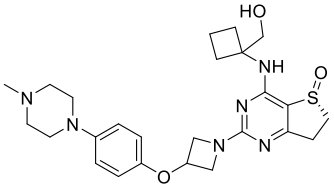
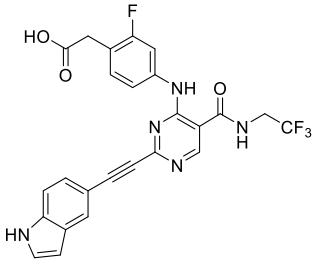
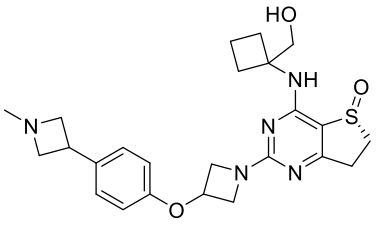
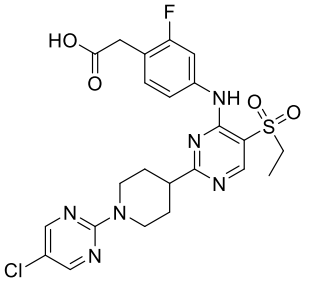
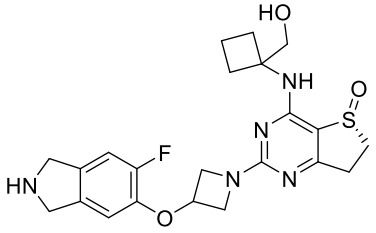
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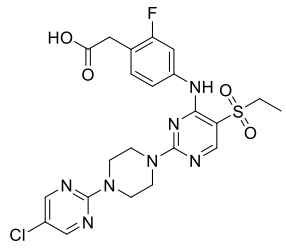
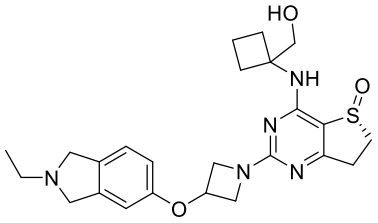
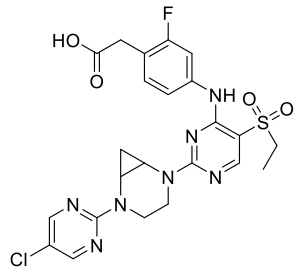
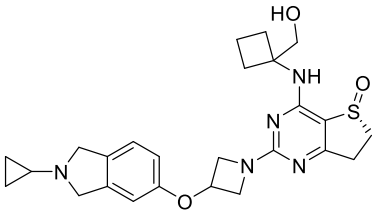
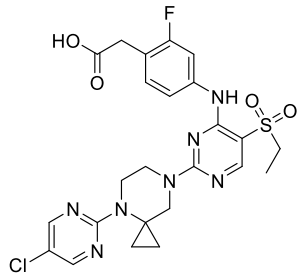
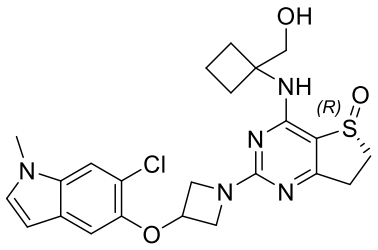
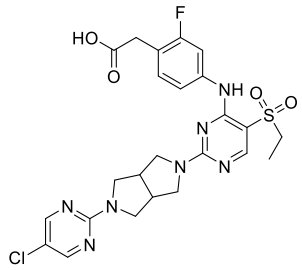
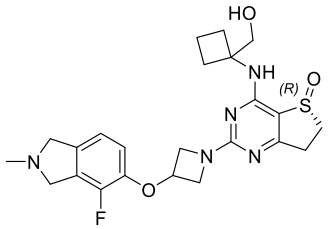
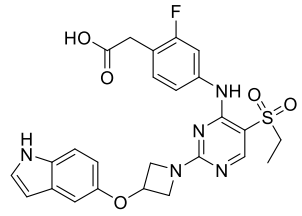
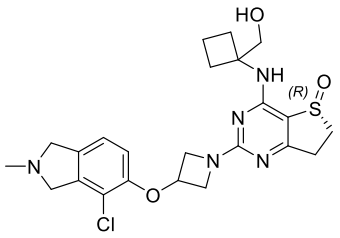
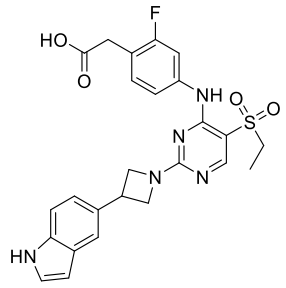
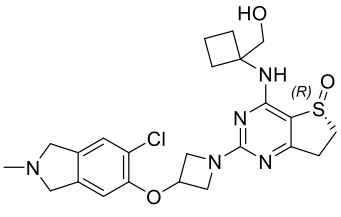
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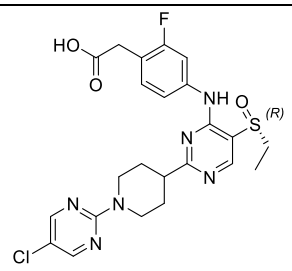
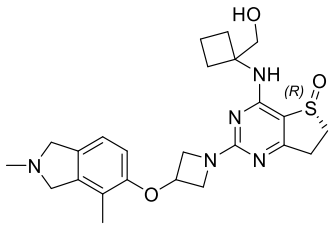
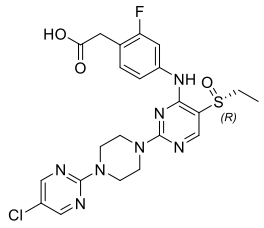
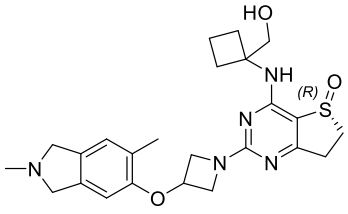
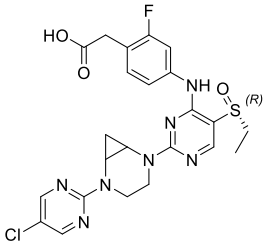
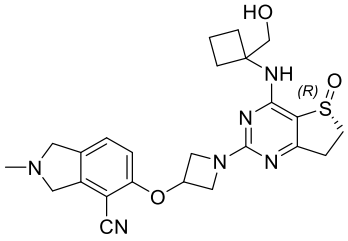
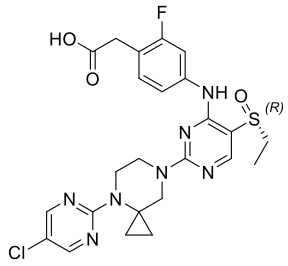
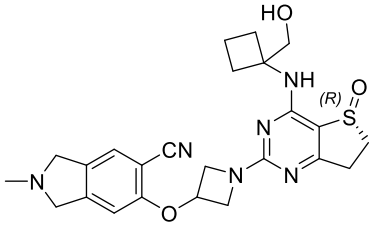
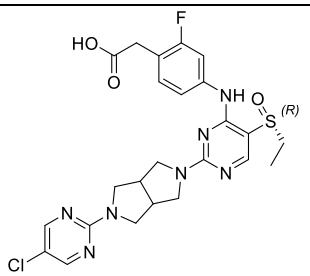
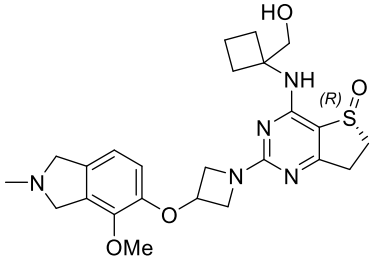
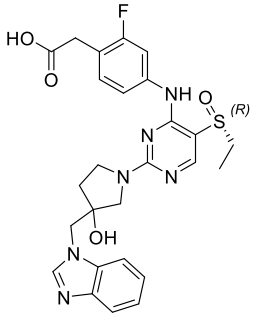
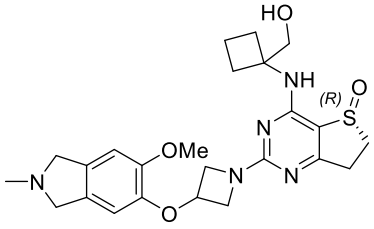
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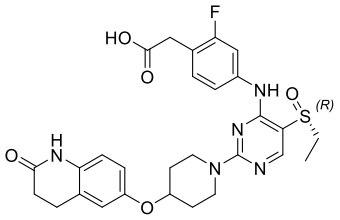
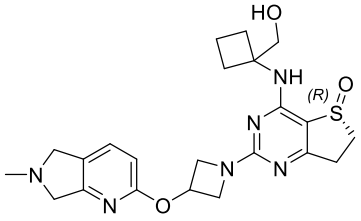
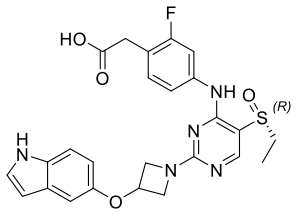
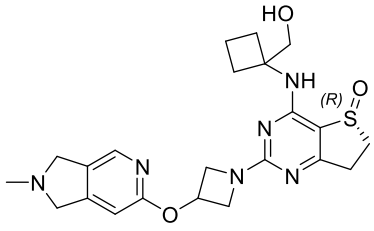
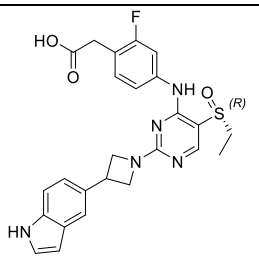
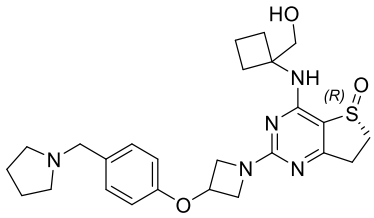
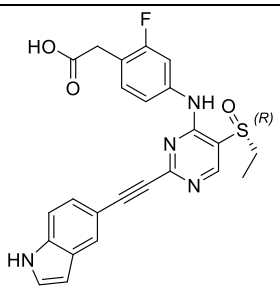
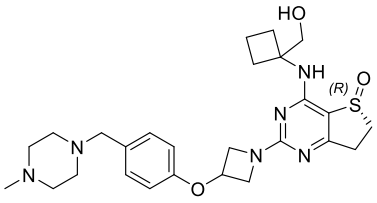
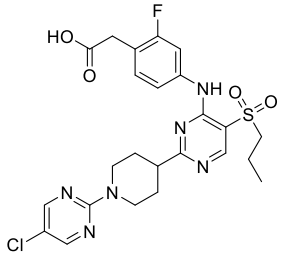
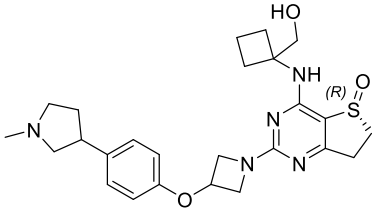
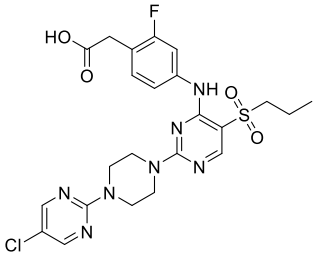
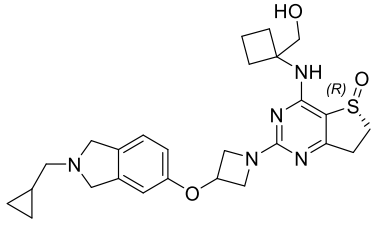
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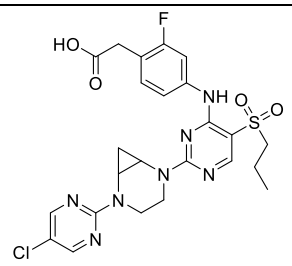
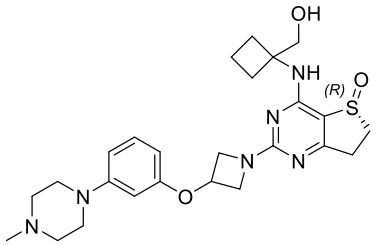
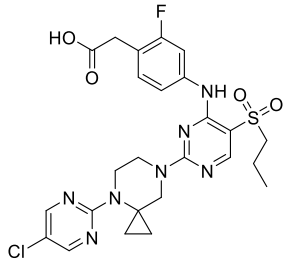
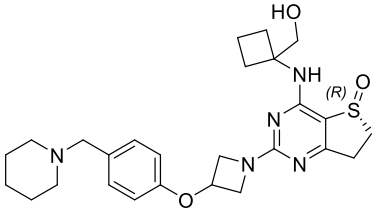
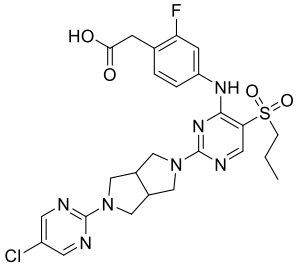
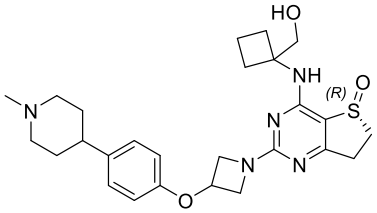
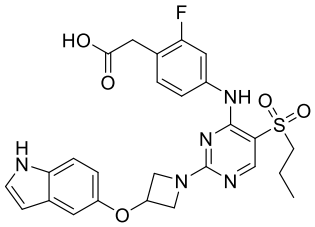
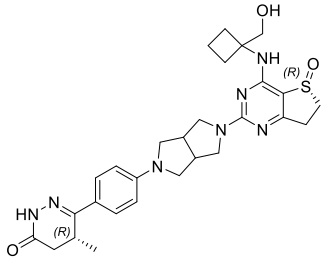
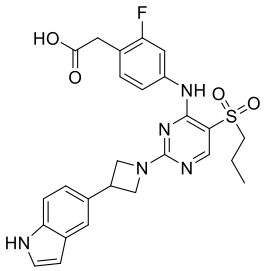
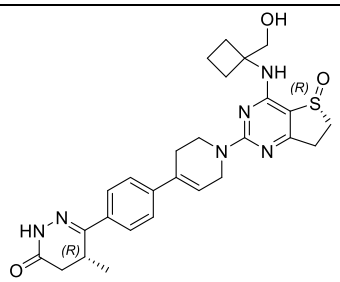
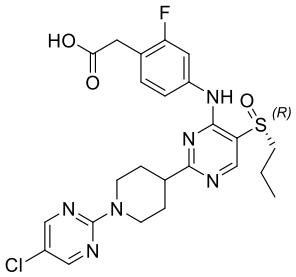
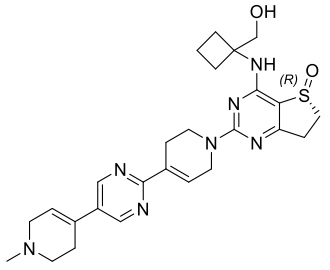
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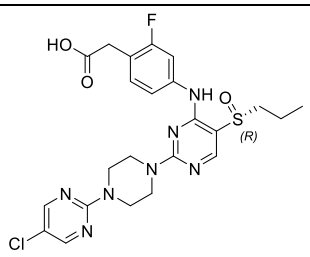
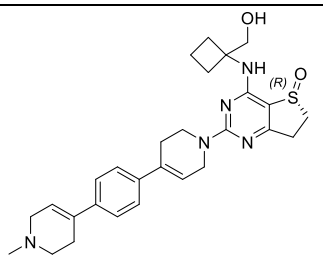
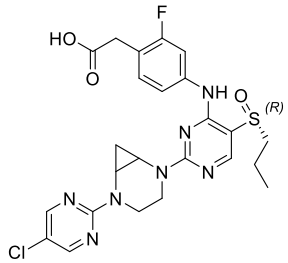
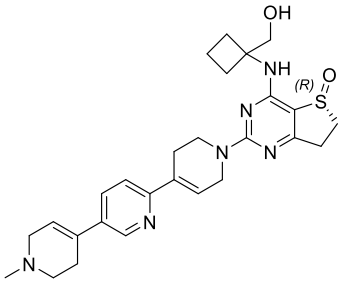
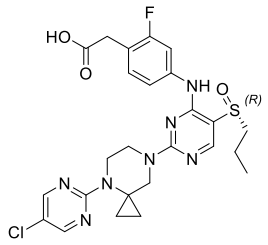
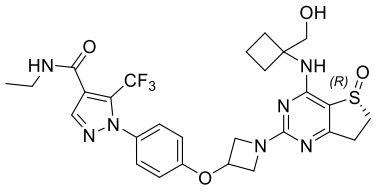
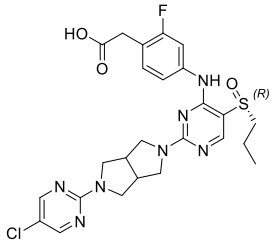
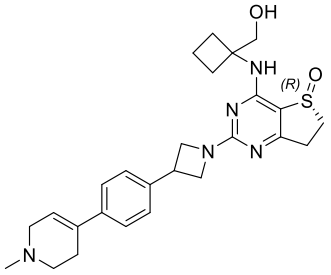
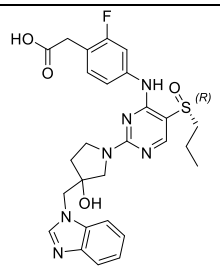
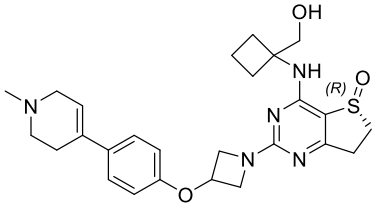
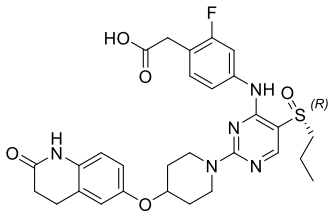
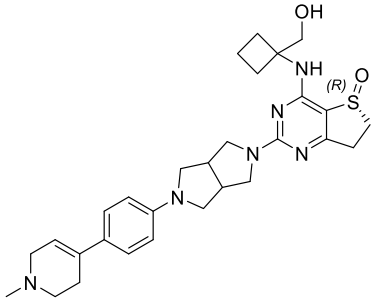
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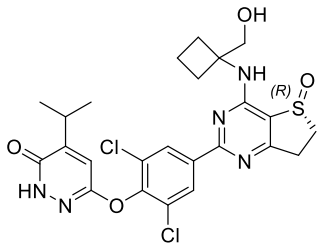
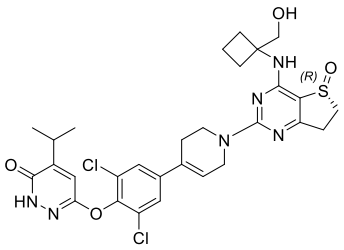
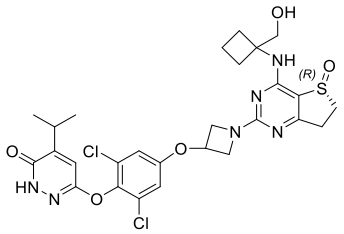
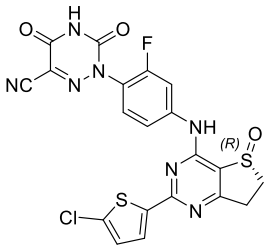
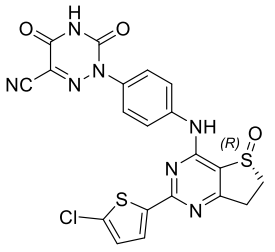
No.	Chemical Structure	No.	Chemical Structure
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239		635	

No.	Chemical Structure	No.	Chemical Structure
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245		641	

No.	Chemical Structure	No.	Chemical Structure
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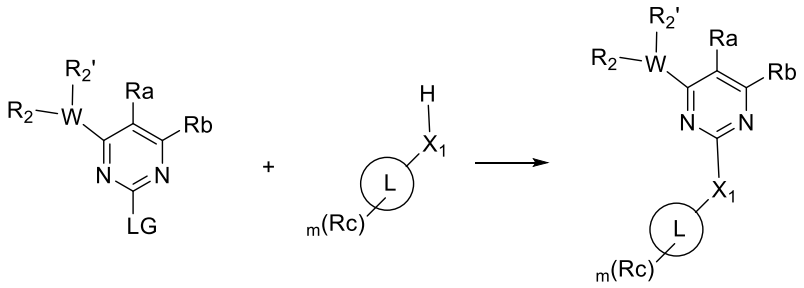
No.	Chemical Structure	No.	Chemical Structure
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No.	Chemical Structure	No.	Chemical Structure
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262		658	
263		659	

No.	Chemical Structure	No.	Chemical Structure
		660	
		661	
		662	
		663	
		664	

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6. A preparation method for the compound or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the solvate, the polymorph, the metabolite, the pharmaceutically acceptable salt, or the prodrug thereof according to claim 1, wherein the preparation method comprises reacting a compound of formula A1 with a compound of formula B1 to obtain the compound of formula H:



A1 B1 H

and optionally, derivatizing the compound of formula H into the stereoisomer, the tautomer, the isotopically labeled compound, the solvate, the polymorph, the metabolite, the pharmaceutically acceptable salt, or the prodrug thereof;

wherein LG is a leaving group, such as Cl, Br, or I;

X_1, L, W, R_a, R_b, R_c, R_2, $R_{2'}$, and m are each independently defined as described in claim 1.

7. A pharmaceutical composition, comprising a therapeutically effective amount of at least one of the compound or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the solvate, the polymorph, the pharmaceutically acceptable salt, or the prodrug compound thereof according to claim 1.

8. A method for preventing or treating a disease, comprising administering to a patient in need at least one of the compound or the racemate, the stereoisomer, the tautomer, the isotopically labeled compound, the solvate, the polymorph, the pharmaceutically acceptable salt, or the prodrug compound thereof according to claim 1;

wherein the disease may be a PDE4B-mediated disease, or a disease mediated at least in part by PDE4;

preferably, the disease includes, but is not limited to, inflammatory diseases of the respiratory tract, inflammatory bowel diseases, arthritic diseases, dermatological inflammatory diseases, ocular inflammatory diseases, peripheral or central nervous system diseases, degenerative diseases of the central nervous system, Alzheimer's disease (AD), non-alcoholic steatohepatitis (NASH), idiopathic pulmonary fibrosis (IPF) or pulmonary hypertension associated therewith, chronic obstructive pulmonary disease (COPD) or pulmonary hypertension associated therewith, hepatic fibrosis (HF), renal fibrosis, benign prostatic hyperplasia (BPH), gastroesophageal reflux disease, obstructive sleep apnea, coronary artery disease, or cancer;

preferably, the cancer includes, but is not limited to: gastric cancer, bladder cancer, leukemia,

bone cancer, brain cancer, breast cancer, central nervous system cancer, cervical cancer, colon cancer, endometrial cancer, esophageal cancer, gallbladder cancer, gastrointestinal cancer, external genitalia cancer, genitourinary cancer, head cancer, kidney cancer, laryngeal cancer, liver cancer, lung cancer, muscular tissue cancer, neck cancer, oral or nasal mucosa cancer, ovarian cancer, pancreatic cancer, prostate cancer, skin cancer, splenic cancer, small intestine cancer, colorectal cancer, testicular cancer, and/or thyroid cancer;

preferably, lesions of the disease may include the respiratory system, the digestive system, the excretory system, and/or the reproductive system, e.g., the liver, the kidney, and/or the prostate. For this purpose, the medicament may be a targeted drug for the respiratory system, the digestive system, the excretory system, and/or the reproductive system, such as a liver-targeted drug, a kidney-targeted drug, and/or a prostate-targeted drug.