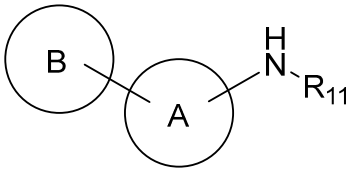
CLAIMS

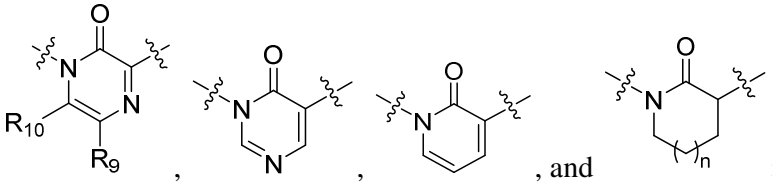
1. A compound of formula (1a):

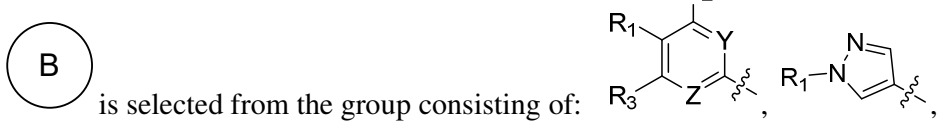


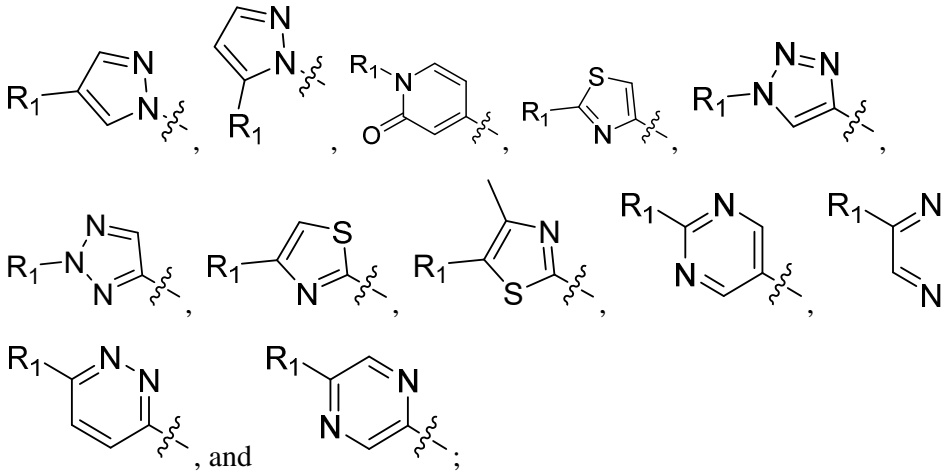
(1a)

wherein


is selected from the group consisting of:




is selected from the group consisting of:

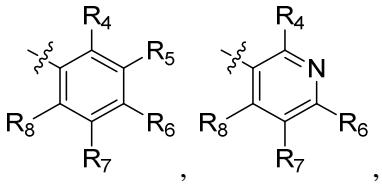


R_1 is $(T)_w$–$(CR_gR_f)_m$–Q–$(CR_gR_f)_m$–U;

R_2 and R_3 are independently selected from the group consisting of H, unsubstituted C_1-C_6 straight or branched alkyl, substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OR_c, SR_c, $N(R_c)_2$, and CN;

R_4, R_5, R_6, R_7, R_8, R_9, and R_{10}, are independently selected from the group consisting of H, unsubstituted C_1-C_6 straight or branched alkyl, substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OR_c, SR_c, $S(O)_2R_j$, $S(O)_2N(R_c)_2$, $N(R_c)_2$, CN, $C(O)R_j$, $N(R_c)C(O)R_j$,

$C(O)N(R_c)_2$, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted cycloalkenyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

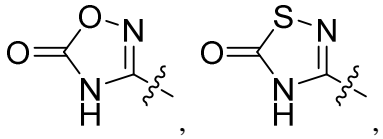
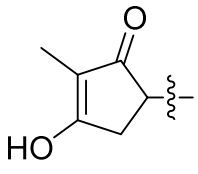
R_{11} is selected from the group consisting of  , , H, $OCH_2C(O)_2R_c$, an unsubstituted C_1-C_6 straight or branched alkyl, a substituted C_1-C_6 straight or branched alkyl, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

Y is CR_a or N;

Z is CR_b or N;

Q is O, S, NR_i, or $–(CR_hR_d)_p–$;

T is O, S, NR_i, or $–(CR_hR_d)_p–$;

U is $–COOR_e$, $–COS(O)_pR_e$, $–CONHS(O)_pR_e$, $–CONHR_e$, $–CON(R_e)_2$, vinyl, a substituted C_1-C_6 straight or branched alkyl, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, a substituted or unsubstituted heteroaryl group,  ,  , or $B(OH)_2$;

n is 0, 1, or 2;

each m is independently 0, 1, 2, 3, 4, or 5;

p is 0, 1, or 2;

w is 0, 1, or 2;

R_a and R_b are independently selected from the group consisting of H, unsubstituted C_1-C_6 straight or branched alkyl, substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OR_c, SR_c, $N(R_c)_2$, and CN;

R_c is H, unsubstituted C_1-C_6 straight or branched alkyl, or substituted C_1-C_6 straight or branched alkyl;

R_d is H, D, F, Cl, Br, I, or unsubstituted C_1-C_6 straight or branched alkyl;

R_e is H, unsubstituted C_1-C_6 straight or branched alkyl, or C_1-C_6 straight or branched alkyl substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OR_c, SR_c, $N(R_c)_2$, and CN;

R_f is H, D, F, Cl, Br, I, or unsubstituted C_1-C_6 straight or branched alkyl;

R_g is H, D, F, Cl, Br, I, or unsubstituted C_1-C_6 straight or branched alkyl;

R_h is H, D, F, Cl, Br, I, or unsubstituted C_1-C_6 straight or branched alkyl;

R_i is H, D, F, Cl, Br, I, unsubstituted C_1-C_6 straight or branched alkyl, or unsubstituted cycloalkyl;

R_j is a substituted or unsubstituted C_1-C_6 straight or branched alkyl, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, or a substituted or unsubstituted heteroaryl group;

wherein R_1 and R_2, R_1 and R_3, R_2 and R_a, R_4 and R_5, R_6 and R_7, or R_3 and R_b together form a cyclic structure selected from the group consisting of a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OC_4alkyl, OC_5alkyl, OC_6alkyl, unsubstituted cycloalkyl, substituted heterocyclyl, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, $CONMe_2$, CONHMe, and $CONH_2$;

wherein the substituted cycloalkyl groups are substituted with one or more substituents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$;

wherein the substituted heterocyclyl groups are substituted with one or more substituents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, an unsubstituted heterocyclyl group, an unsubstituted heteroaryl group, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$,

carbonyl, COOH, COSH, and $CONH_2$;

wherein the substituted aryl groups are substituted with one or more substituents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, $CONH_2$, and CONHPh; and

wherein the substituted heteroaryl groups are substituted with one or more substituents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$; or

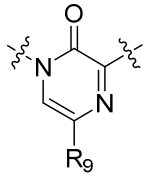
an enantiomer; racemate; or pharmaceutically acceptable salt thereof.

2. The compound of claim **1**, wherein:

(i)



is selected from the group consisting of:



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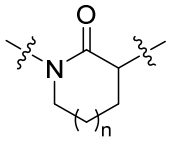

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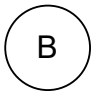

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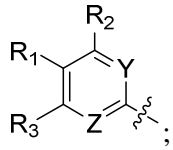

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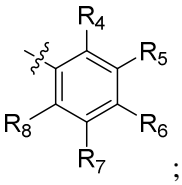


R_{11} is ;

R_1 is –Q–$(CHR_f)_m$–U;

R_2 and R_3 are independently selected from the group consisting of H, unsubstituted C_1-C_6 straight or branched alkyl, substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, and OR_c;

R_4, R_5, R_6, R_7, R_8, and R_9 are independently selected from the group consisting of H, unsubstituted C_1-C_6 straight or branched alkyl, substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OR_c, SR_c, CN, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

Y is CR_a or N;

Z is CR_b or N;

Q is O, S, or $–(CHR_d)_p–$;

U is $–COOR_e$, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, a substituted or unsubstituted heteroaryl group, or $B(OH)_2$;

n is 0 or 1;

m is 0, 1, 2, 3, or 4;

p is 0 or 1;

R_a and R_b are independently selected from the group consisting of H, unsubstituted C_1-C_6 straight or branched alkyl, substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, and OR_c;

R_c is H, unsubstituted C_1-C_6 straight or branched alkyl, or substituted C_1-C_6 straight or branched alkyl;

R_d is H, F, Cl, Br, I, or unsubstituted C_1-C_6 straight or branched alkyl;

R_e is H or unsubstituted C_1-C_6 straight or branched alkyl;

R_f is H, F, Cl, Br, I, or unsubstituted C_1-C_6 straight or branched alkyl;

wherein R_1 and R_2, R_1 and R_3, R_2 and R_a, or R_3 and R_b together form a cyclic structure selected from the group consisting of a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, carbonyl, COOH, and $CONH_2$;

wherein the substituted cycloalkyl groups are substituted with one or more substituents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, carbonyl, COOH, and $CONH_2$;

wherein the substituted heterocyclyl groups are substituted with one or more

substituents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, carbonyl, COOH, and $CONH_2$;

wherein the substituted aryl groups are substituted with one or more substituents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, carbonyl, COOH, and $CONH_2$; and

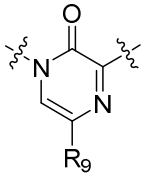
wherein the substituted heteroaryl groups are substituted with one or more substituents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, carbonyl, COOH, and $CONH_2$; or

an enantiomer; racemate; or pharmaceutically acceptable salt thereof;

(ii)



is selected from the group consisting of:



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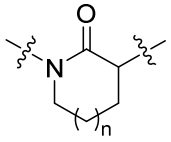

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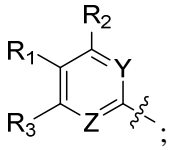

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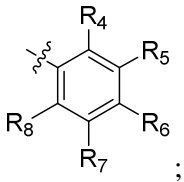


R_{11} is ;

R_1 is $–Q–(CHR_f)_m–U$;

R_2 and R_3 are independently selected from the group consisting of H, methyl, substituted methyl, ethyl, substituted ethyl, *n*-propyl, substituted *n*-propyl, isopropyl, substituted isopropyl, F, Cl, Br, I, and OR_c;

R_4, R_5, R_6, R_7, R_8, and R_9 are independently selected from the group consisting of H, methyl, substituted methyl, ethyl, substituted ethyl, *n*-propyl, substituted *n*-propyl, isopropyl,

substituted isopropyl, F, Cl, Br, I, OR_c, CN, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

Y is CR_a or N;

Z is CR_b or N;

Q is O or $–(CHR_d)_p–$;

U is $–COOR_e$, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, a substituted or unsubstituted heteroaryl group, or $B(OH)_2$;

n is 0 or 1;

m is 0, 1, 2, 3, or 4;

p is 0 or 1;

R_a and R_b are independently selected from the group consisting of H, methyl, substituted methyl, ethyl, substituted ethyl, *n*-propyl, substituted *n*-propyl, isopropyl, substituted isopropyl, F, Cl, Br, I, and OR_c;

R_c is H, methyl, substituted methyl, ethyl, substituted ethyl, *n*-propyl, substituted *n*-propyl, isopropyl, or substituted isopropyl;

R_d is H, F, Cl, Br, I, methyl, ethyl, *n*-propyl, or isopropyl;

R_e is H, methyl, ethyl, *n*-propyl, or isopropyl;

R_f is H, F, Cl, Br, I, methyl, ethyl, *n*-propyl, or isopropyl;

wherein R_1 and R_2, R_1 and R_3, R_2 and R_a, or R_3 and R_b together form a cyclic structure selected from the group consisting of a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

wherein the substituted methyl, ethyl, *n*-propyl, or isopropyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, carbonyl, COOH, and $CONH_2$;

wherein the substituted cycloalkyl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, CH_2COOH, carbonyl, COOH, CH_2CONH_2, and $CONH_2$;

wherein the substituted heterocyclyl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$,

$N(iPr)_2$, CH_2COOH, carbonyl, COOH, CH_2CONH_2, and $CONH_2$;

wherein the substituted aryl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, CH_2COOH, carbonyl, COOH, CH_2CONH_2, and $CONH_2$; and

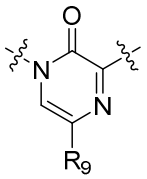
wherein the substituted heteroaryl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, CH_2COOH, COOH, CH_2CONH_2, and $CONH_2$; or

an enantiomer; racemate; or pharmaceutically acceptable salt thereof;

(iii)



is selected from the group consisting of:



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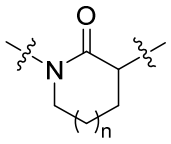

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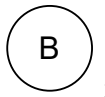

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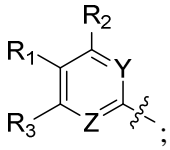

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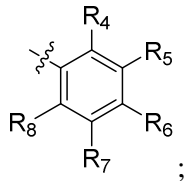
R_{11} is


;

R_1 is $–Q–(CHR_f)_m–U$;

R_2 and R_3 are independently selected from the group consisting of H, methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, and OR_c;

R_4, R_5, R_6, R_7, R_8, and R_9 are independently selected from the group consisting of H, methyl, substituted methyl, ethyl, substituted ethyl, *n*-propyl, substituted *n*-propyl, isopropyl, substituted isopropyl, F, Cl, Br, I, OR_c, CN, cyclopropyl, cyclobutyl, cyclopentyl, phenyl, 2-pyridyl, 3-pyridyl, and 4-pyridyl;

Y is CR_a or N;

Z is CR_b or N;

Q is O or $–(CHR_d)_p–$;

U is $–COOR_e$, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, a substituted or unsubstituted heteroaryl group, or $B(OH)_2$;

n is 0 or 1;

m is 0, 1, 2, 3, or 4;

p is 0 or 1;

R_a and R_b are independently selected from the group consisting of H, methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, and OR_c;

R_c is H, methyl, CH_2F, CHF_2, CF_3, ethyl, *n*-propyl, or isopropyl;

R_d is H, F, Cl, Br, I, methyl, ethyl, *n*-propyl, or isopropyl;

R_e is H, methyl, ethyl, *n*-propyl, or isopropyl;

R_f is H, F, Cl, Br, I, methyl, ethyl, *n*-propyl, or isopropyl;

wherein R_1 and R_2, R_1 and R_3, R_2 and R_a, or R_3 and R_b together form a cyclic structure selected from the group consisting of a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

wherein the substituted methyl, ethyl, *n*-propyl, or isopropyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, NH_2, and COOH;

wherein the substituted cycloalkyl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, CH_2COOH, carbonyl, and COOH;

wherein the substituted heterocyclyl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, CH_2COOH, carbonyl, and COOH;

wherein the substituted aryl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, CH_2COOH, carbonyl, and COOH; and

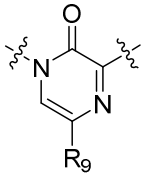
wherein the substituted heteroaryl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl,

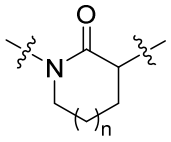
Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, CH_2COOH, carbonyl, and COOH; or

an enantiomer; racemate; or pharmaceutically acceptable salt thereof;

(iv)

A is selected from the group consisting of:



and


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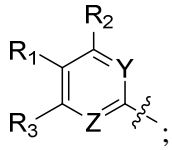
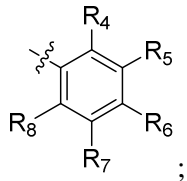
B is 

;

R_{11} is 

;

R_1 is $–Q–(CHR_f)_m–U$;

R_2 and R_3 are independently selected from the group consisting of H, methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, and OR_c;

R_4, R_5, R_6, R_7, R_8, and R_9 are independently selected from the group consisting of H, methyl, CF_3, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OR_c, CN, cyclopropyl, cyclobutyl, cyclopentyl, phenyl, 2-pyridyl, 3-pyridyl, and 4-pyridyl;

Y is CR_a or N;

Z is CR_b or N;

Q is O or $–(CHR_d)_p–$;

U is –COOH, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, a substituted or unsubstituted heteroaryl group, or $B(OH)_2$;

n is 0 or 1;

m is 0 or 1;

p is 0 or 1;

R_a is H or methyl;

R_b is H or methyl;

R_c is H, methyl, or CF_3;

R_d is H, F, Cl, Br, or methyl;

R_f is H, F, Cl, Br, or methyl;

wherein R_1 and R_2 or R_1 and R_3 together form a cyclic structure selected from the group consisting of a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

wherein the substituted cycloalkyl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OCF_3, NH_2, NMe_2, CH_2COOH, carbonyl, and COOH;

wherein the substituted heterocyclyl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OCF_3, NH_2, NMe_2, CH_2COOH, carbonyl, and COOH;

wherein the substituted aryl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OCF_3, NH_2, NMe_2, CH_2COOH, carbonyl, and COOH; and

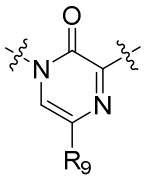
wherein the substituted heteroaryl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OCF_3, NH_2, NMe_2, CH_2COOH, carbonyl, and COOH; or

an enantiomer; racemate; or pharmaceutically acceptable salt thereof;

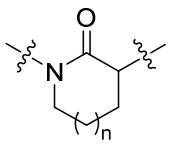
(v)



is selected from the group consisting of:



and



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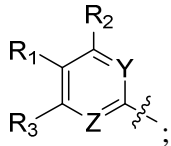
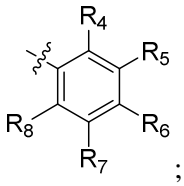
is



;

R_{11} is  ;

R_1 is $–Q–(CHR_f)_m–U$;

R_2 and R_3 are independently H or methyl;

R_4, R_5, R_6, R_7, R_8, and R_9 are independently selected from the group consisting of H, methyl, isopropyl, CF_3, F, Cl, Br, OMe, OCF_3, CN, cyclopropyl, cyclopentyl, and phenyl;

Y is CH or N;

Z is CH or N;

Q is O or $–(CHR_d)_p–$;

U is –COOH, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, a substituted or unsubstituted heteroaryl group, or $B(OH)_2$;

n is 0 or 1;

m is 0 or 1;

p is 0 or 1;

R_d is H, F, or methyl;

R_f is H, F, or methyl;

wherein R_1 and R_2 or R_1 and R_3 together form a cyclic structure selected from the group consisting of a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted heterocyclyl group, a substituted or unsubstituted aryl group, and a substituted or unsubstituted heteroaryl group;

wherein the substituted cycloalkyl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OCF_3, NH_2, NMe_2, CH_2COOH, carbonyl, and COOH;

wherein the substituted heterocyclyl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OCF_3, NH_2, NMe_2, CH_2COOH, carbonyl, and COOH;

wherein the substituted aryl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl, Br, I, OH, OMe, OCF_3, NH_2, NMe_2, CH_2COOH, carbonyl, and COOH; and

wherein the substituted heteroaryl groups are substituted with one or more substituents selected from the group consisting of methyl, ethyl, *n*-propyl, isopropyl, F, Cl,

Br, I, OH, OMe, OCF_3, NH_2, NMe_2, CH_2COOH, carbonyl, and COOH; or

an enantiomer; racemate; or pharmaceutically acceptable salt thereof;

(vi) U is a cycloalkyl group selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cyclohexadienyl, cycloheptenyl, cycloheptadienyl, cyclooctenyl, cyclooctadienyl, and cyclooctatrienyl;

wherein the cycloalkyl group is unsubstituted or is substituted with one or more substitutents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$; and

wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$;

(vii) U is a heterocyclyl group selected from the group consisting of azetidinyl, pyrrolidinyl, pyrrolinyl, pyrazolidinyl, imidazolinyl, imidazolidinyl, pyrazolinyl, piperidinyl, piperazinyl, oxetanyl, tetrahydrofuranyl, dihydrofuranyl, tetrahydropyranyl, dihydropyranyl, tetrahydrothiophene, dihydrothiophene, tetrahydrothiopyranyl, and dihydrothiopyranyl;

wherein the heterocyclyl group is unsubstituted or is substituted with one or more substitutents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$; and

wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$;

(viii) U is an aryl group selected from the group consisting of phenyl, naphthalenyl,

cyclobutadienyl, cyclopentadienyl, indenyl, anthracenyl, phenanthrenyl, terphenylenyl, fluorenyl, and pyrenyl;

wherein the aryl group is unsubstituted or is substituted with one or more substitutents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$; and

wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$;

(ix) U is a heteroaryl group selected from the group consisting of pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, 5(4*H*)-oxo-1,2,4-thiadiazolyl, oxazolyl, 5(4*H*)-oxo-1,2,4-oxadiazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, tetrazolyl, 1*H*-indolyl, 3*H*-indolyl, 2*H*-isoindolyl, indolizinyl, quinolinyl, isoquinolinyl, quinoxalinyl, cinnolinyl, quinazolinyl, phthalazinyl, purinyl, indazolyl, benzimidazolyl, benzo[*d*]oxazole, benzo[*d*]thiazole, benzo[*c*]isoxazole, benzo[*d*]isoxazole, benzo[*c*]isothiazole, benzo[*d*]isothiazole, quinoline-2(1*H*)-one, isoquinoline-1(2*H*)-one, indolin-2-one, isoindolin-1-one, 1*H*-benzo[*d*]imidazole-2(3*H*)-one, 1*H*-benzo[*d*]imidazole-2(3*H*)-thione, furanyl, carbazolyl, benzofuranyl, isobenzofuranyl, dibenzofuranyl, benzofuran-2(3*H*)-one, isobenzofuran-1(3*H*)-one, thiophenyl, benzo[*b*]thiophenyl, benzo[*c*]thiophenyl, benzo[*b*]thiophen-2(3*H*)-one, and benzo[*c*]thiophen-1(3H)-one;

wherein the heteroaryl group is unsubstituted or is substituted with one or more substitutents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$; and

wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$;

(x) R_1 and R_2, R_1 and R_3, R_2 and R_a, or R_3 and R_b together form a cycloalkyl group selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cyclohexadienyl, cycloheptenyl, cycloheptadienyl, cyclooctenyl, cyclooctadienyl, and cyclooctatrienyl;

wherein the cycloalkyl group is unsubstituted or is substituted with one or more substitutents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$; and

wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$;

(xi) R_1 and R_2, R_1 and R_3, R_2 and R_a, or R_3 and R_b together form a heterocyclyl group selected from the group consisting of azetidinyl, pyrrolidinyl, pyrrolinyl, pyrazolidinyl, imidazolinyl, imidazolidinyl, pyrazolinyl, piperidinyl, piperazinyl, oxetanyl, tetrahydrofuranyl, dihydrofuranyl, tetrahydropyranyl, dihydropyranyl, tetrahydrothiophene, dihydrothiophene, tetrahydrothiopyranyl, 3,5-dioxoisoxazolidinyl, and dihydrothiopyranyl;

wherein the heterocyclyl group is unsubstituted or is substituted with one or more substitutents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$; and

wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$;

(xii) R_1 and R_2, R_1 and R_3, R_2 and R_a, or R_3 and R_b together form an aryl group selected from the group consisting of phenyl, naphthalenyl, cyclobutadienyl,

cyclopentadienyl, indenyl, anthracenyl, phenanthrenyl, terphenylenyl, fluorenyl, and pyrenyl;

wherein the aryl group is unsubstituted or is substituted with one or more substitutents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$; and

wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$;

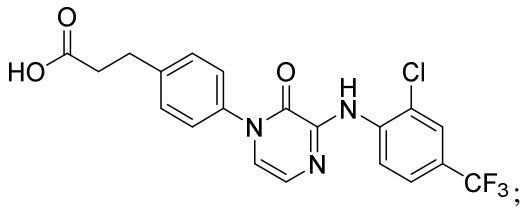
(xiii) R_1 and R_2, R_1 and R_3, R_2 and R_a, or R_3 and R_b together form a heteroaryl group selected from the group consisting of pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, 5(4*H*)-oxo-1,2,4-thiadiazolyl, oxazolyl, 5(4*H*)-oxo-1,2,4-oxadiazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, tetrazolyl, 1*H*-indolyl, 3*H*-indolyl, 2*H*-isoindolyl, indolizinyl, quinolinyl, isoquinolinyl, quinoxalinyl, cinnolinyl, quinazolinyl, phthalazinyl, purinyl, indazolyl, benzimidazolyl, benzo[*d*]oxazole, benzo[*d*]thiazole, benzo[*c*]isoxazole, benzo[*d*]isoxazole, benzo[*c*]isothiazole, benzo[*d*]isothiazole, quinoline-2(1*H*)-one, isoquinoline-1(2*H*)-one, indolin-2-one, isoindolin-1-one, 1*H*-benzo[*d*]imidazole-2(3*H*)-one, 1*H*-benzo[*d*]imidazole-2(3*H*)-thione, furanyl, carbazolyl, benzofuranyl, isobenzofuranyl, dibenzofuranyl, benzofuran-2(3*H*)-one, isobenzofuran-1(3*H*)-one, thiophenyl, benzo[*b*]thiophenyl, benzo[*c*]thiophenyl, benzo[*b*]thiophen-2(3*H*)-one, and benzo[*c*]thiophen-1(3*H*)-one;

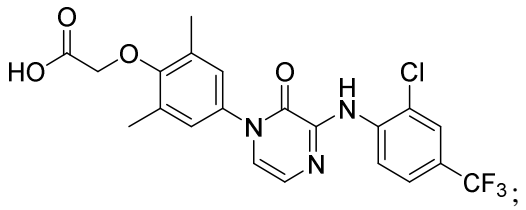
wherein the heteroaryl group is unsubstituted or is substituted with one or more substituents selected from the group consisting of unsubstituted or substituted C_1-C_6 straight or branched alkyl, F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$; and

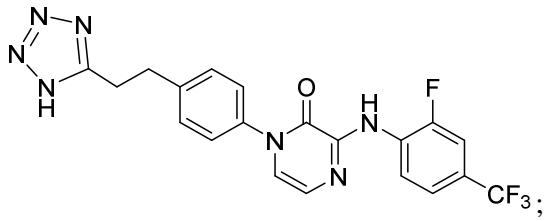
wherein the substituted C_1-C_6 straight or branched alkyl are substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, OH, OMe, OEt, O-*n*Pr, O-*i*Pr, OCH_2F, $OCHF_2$, OCF_3, SH, SMe, SEt, S-*n*Pr, S-*i*Pr, SCH_2F, $SCHF_2$, SCF_3, NH_2, NMe_2, NEt_2, $N(nPr)_2$, $N(iPr)_2$, $N(CH_2F)_2$, $N(CHF_2)_2$, $N(CF_3)_2$, carbonyl, COOH, COSH, and $CONH_2$;

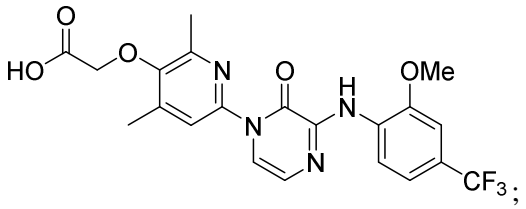
or

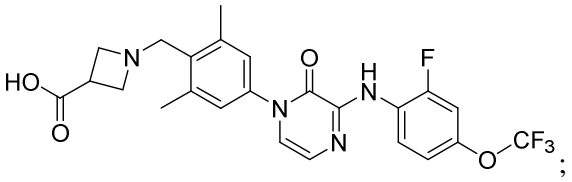
(xiv) the compound is selected from the group consisting of:

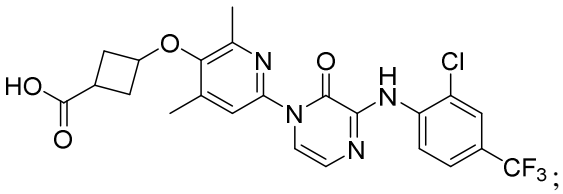


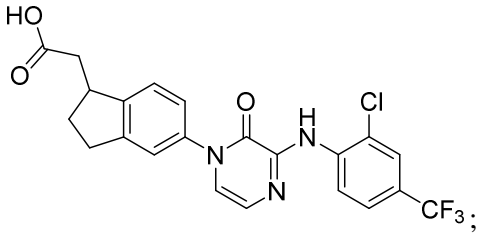


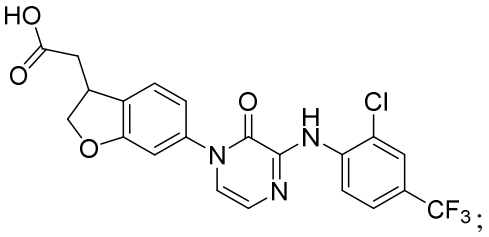


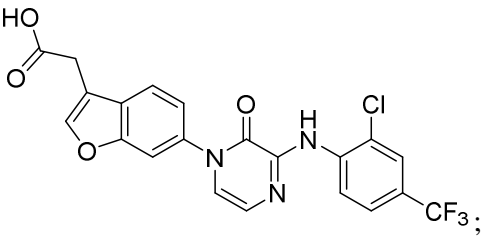


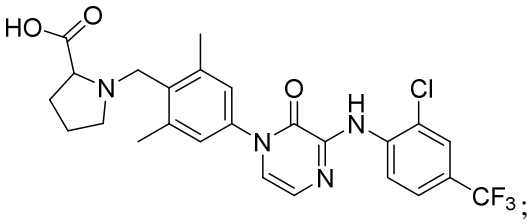


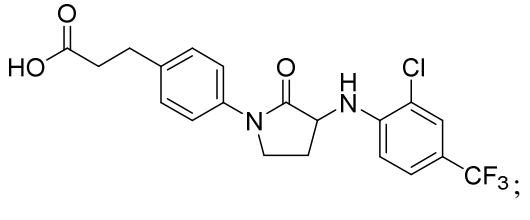


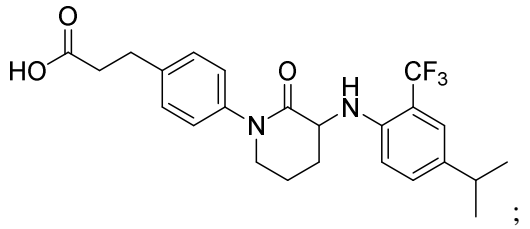








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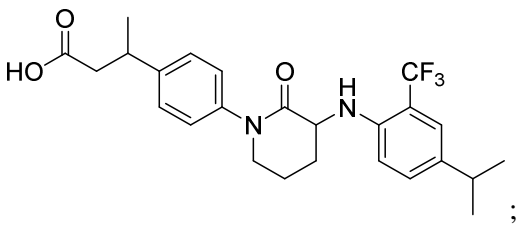
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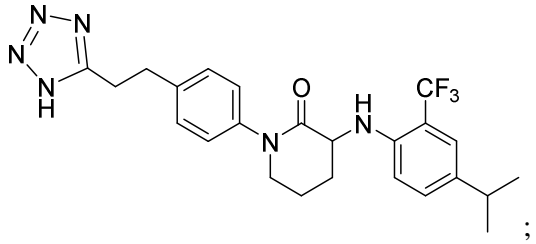
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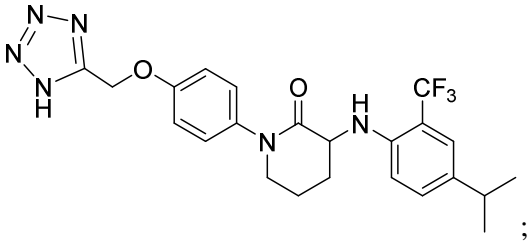
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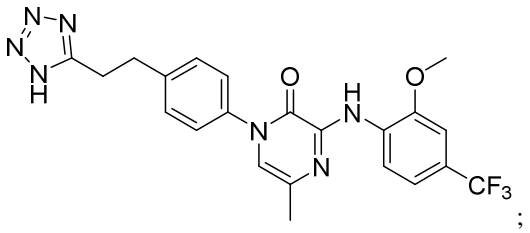
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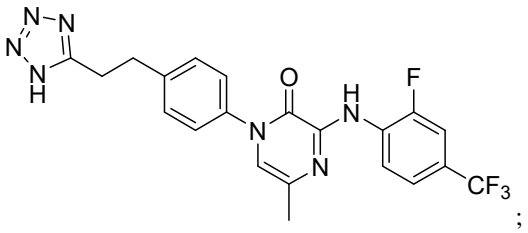
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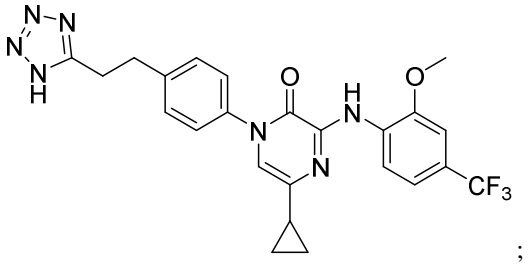
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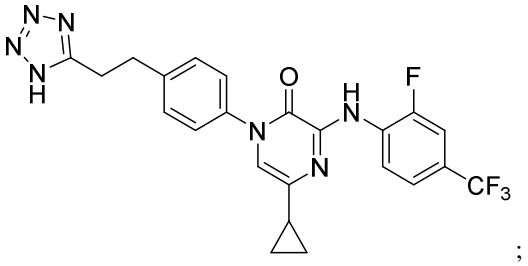
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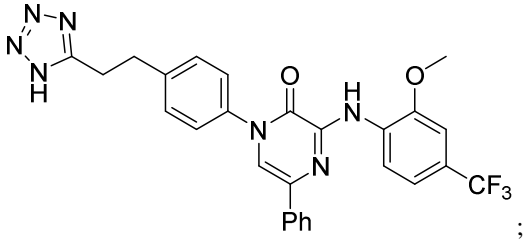
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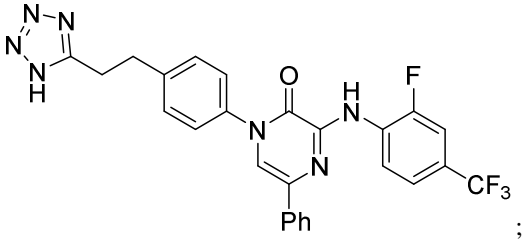
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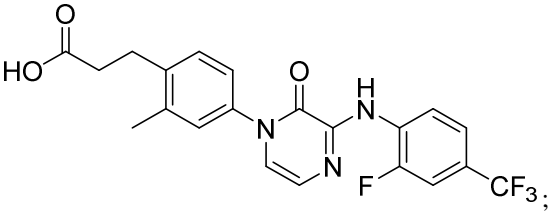
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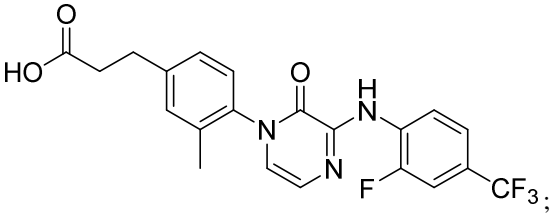
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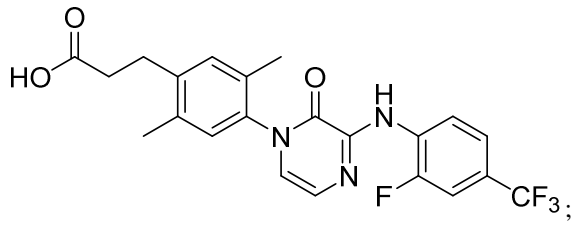

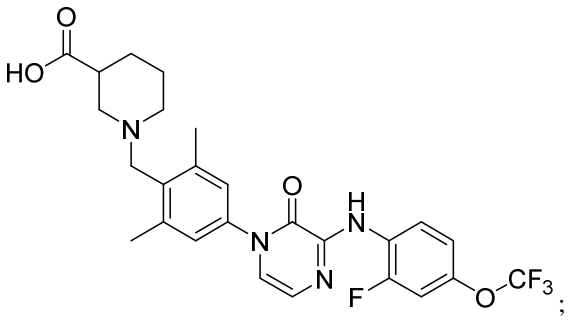

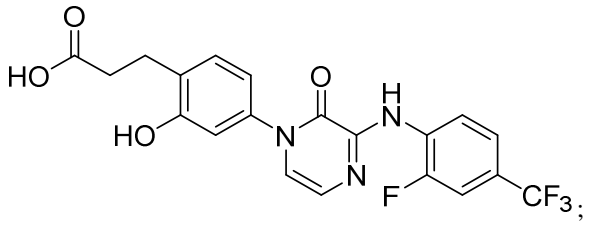

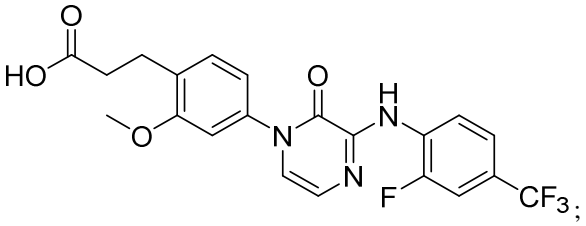

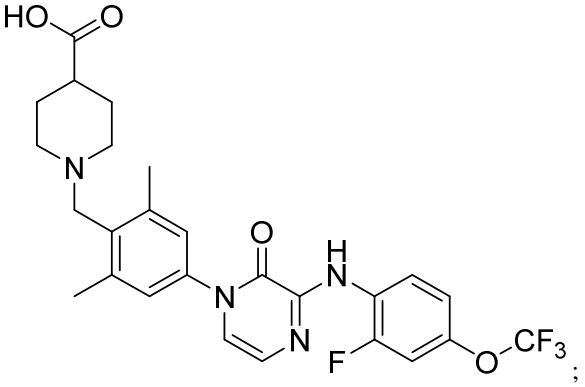

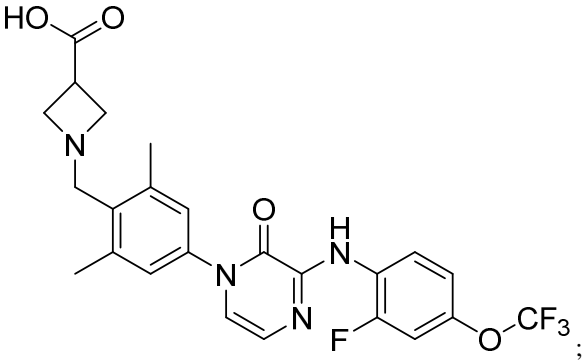

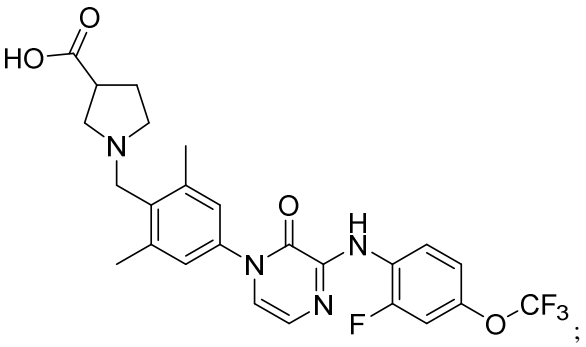

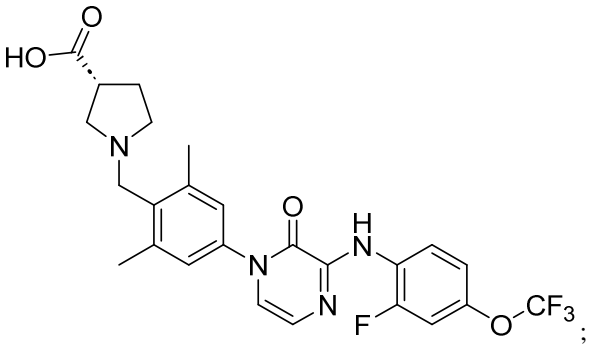

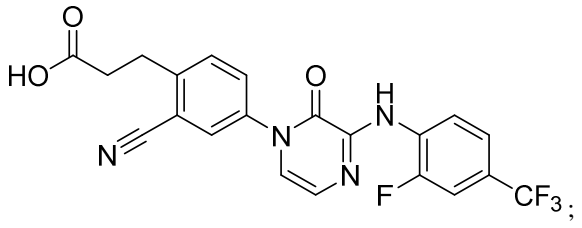

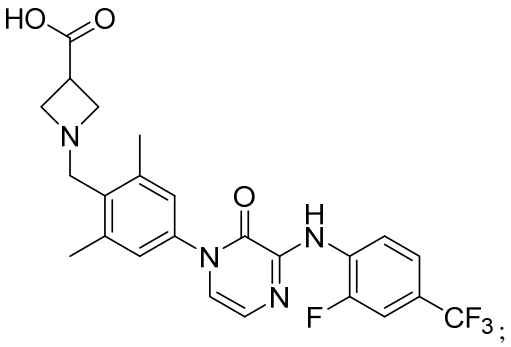

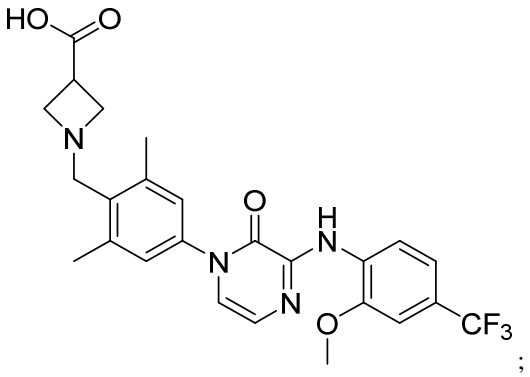
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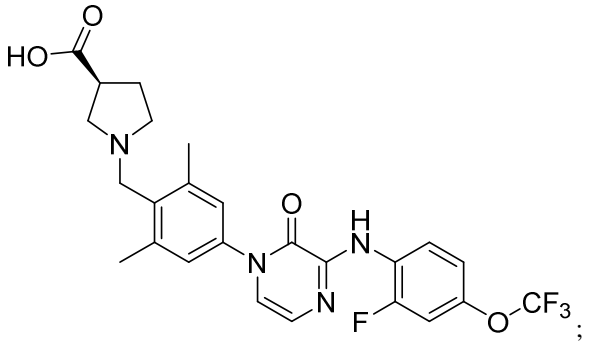
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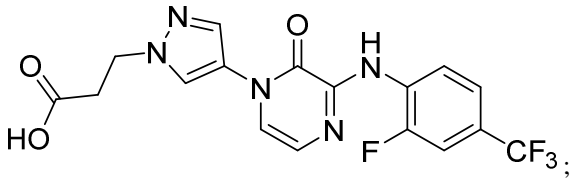
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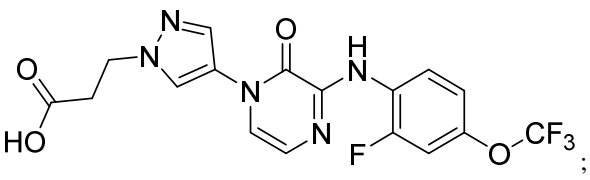
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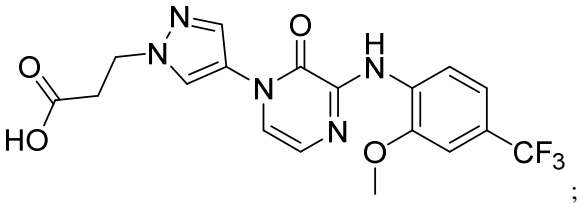
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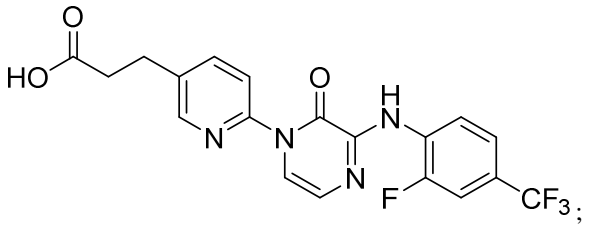
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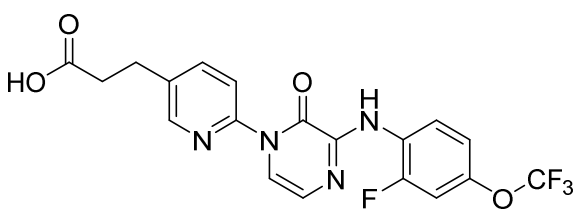
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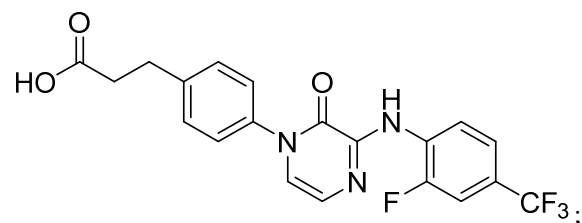
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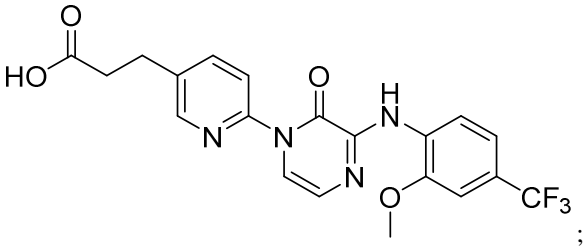
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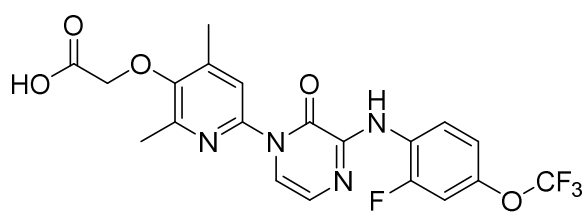
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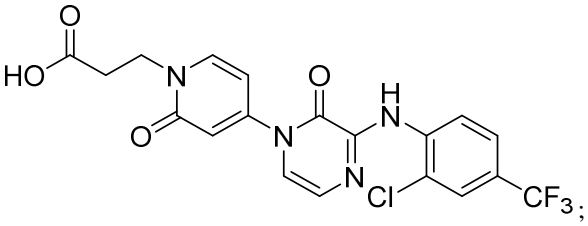
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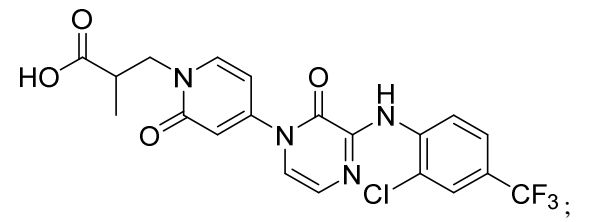
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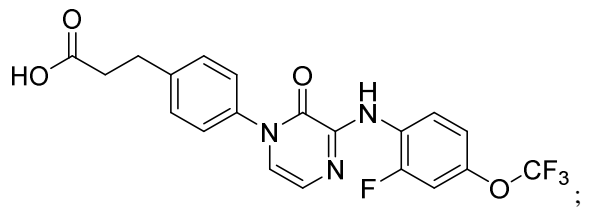
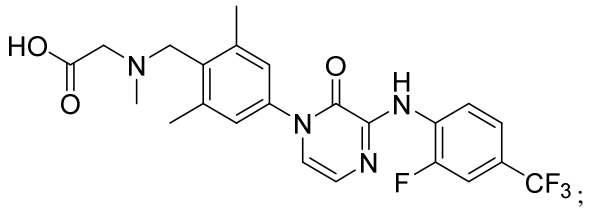
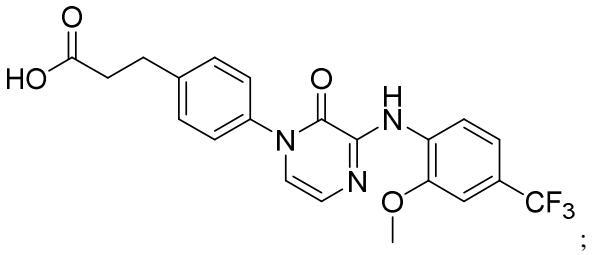
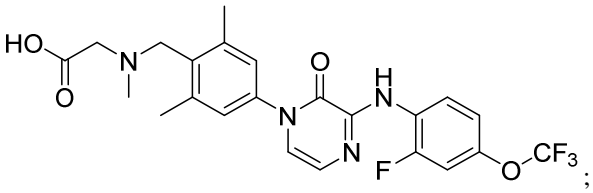
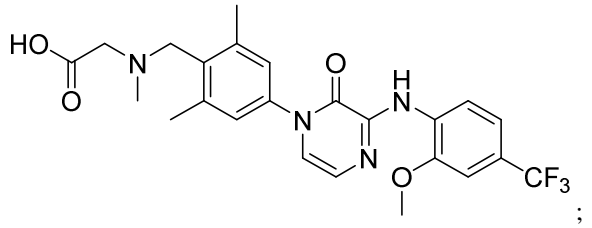
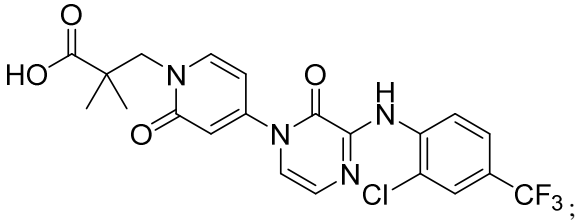
 ;

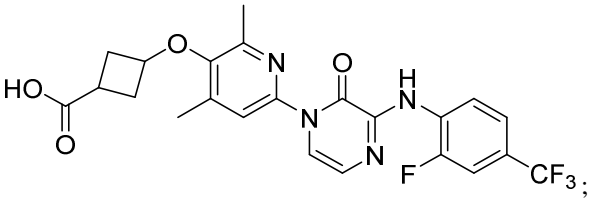
 ;

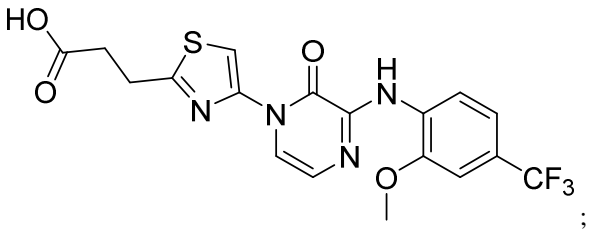
 ;

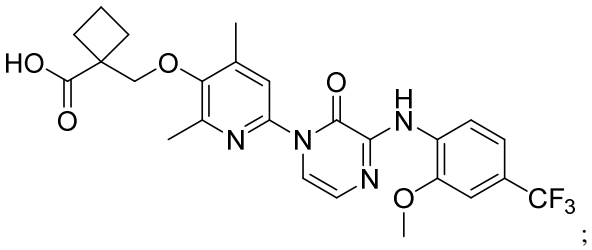
 ;

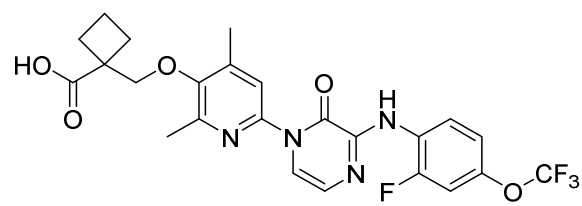
 ;

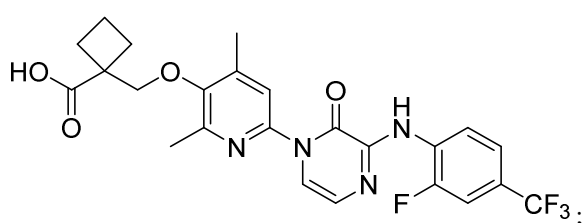
 ;

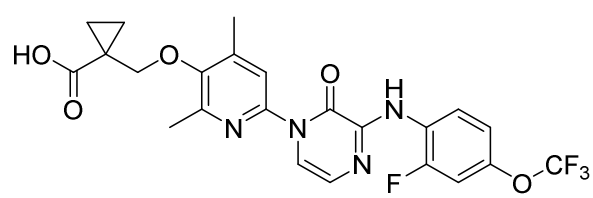
 ;

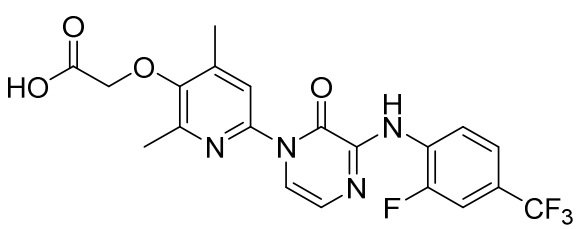
 ;

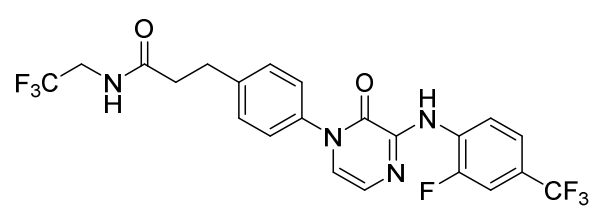
 ;

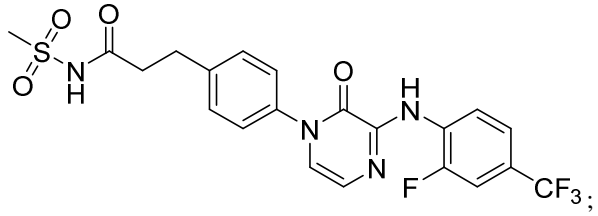
 ;

 ;

 ;

 ;

 ;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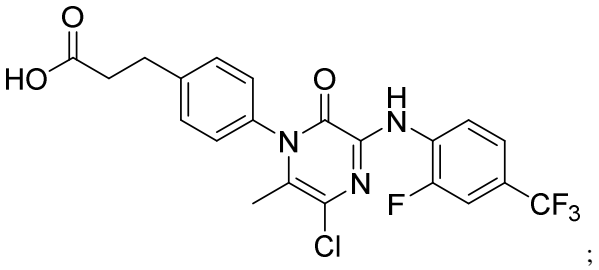
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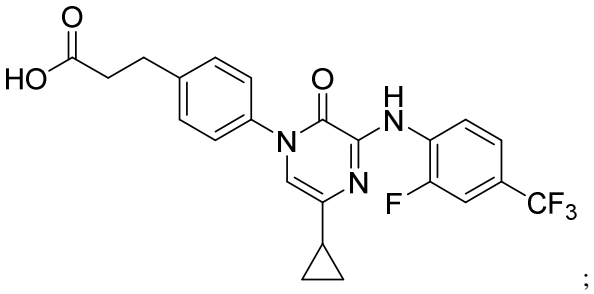
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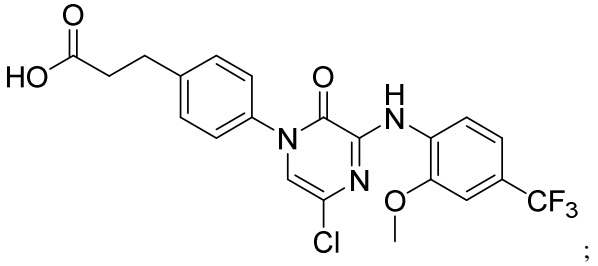
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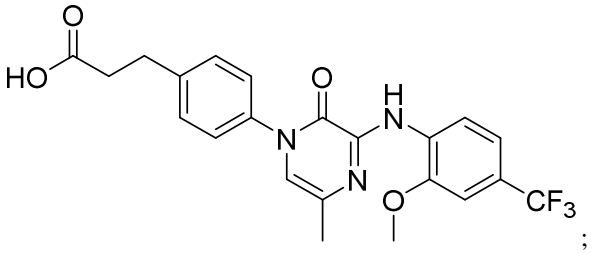
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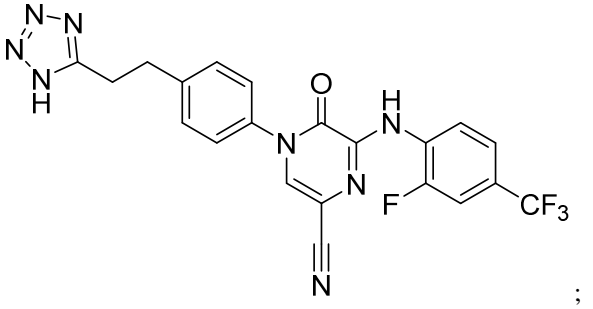
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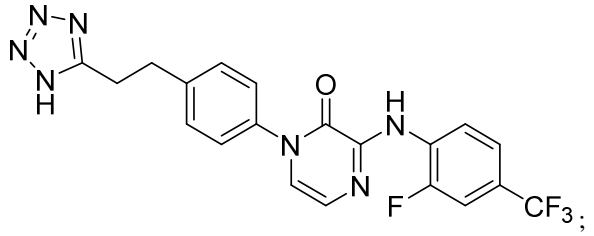
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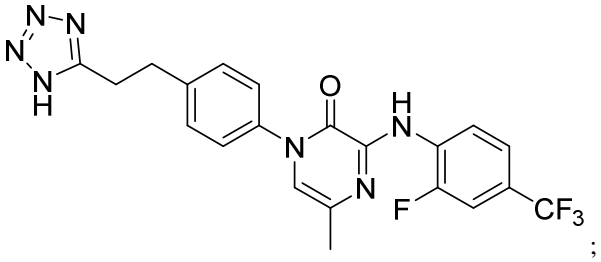
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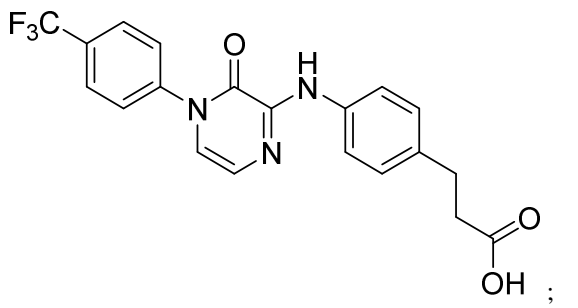
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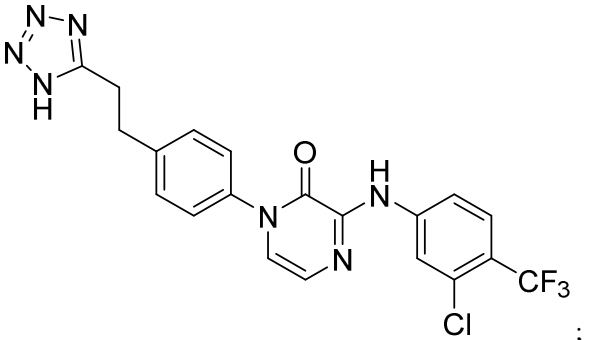
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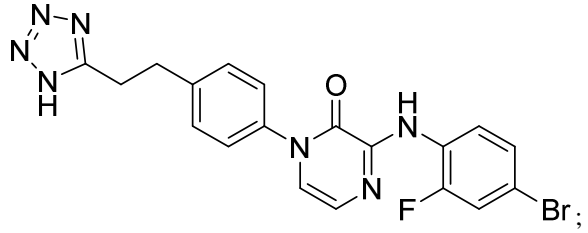
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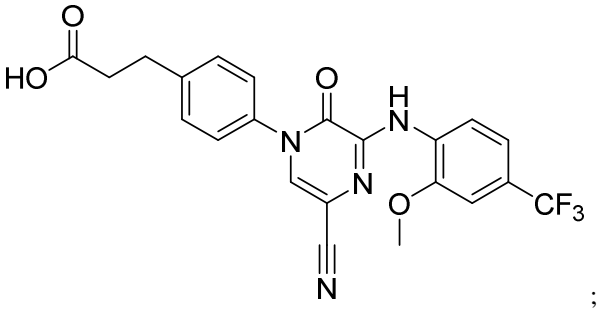
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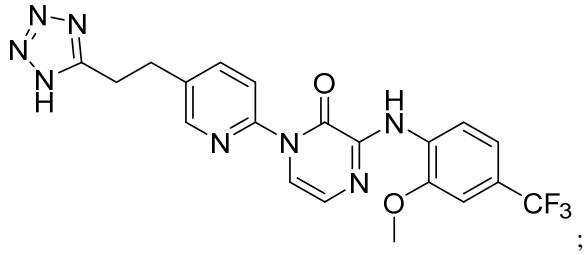
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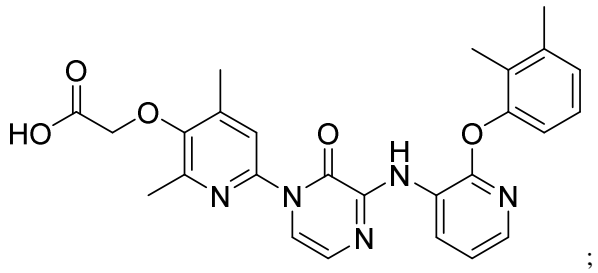
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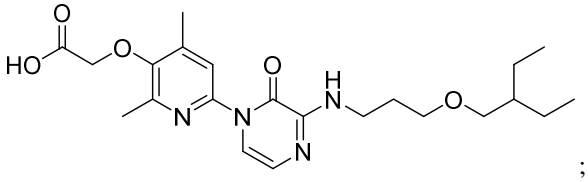
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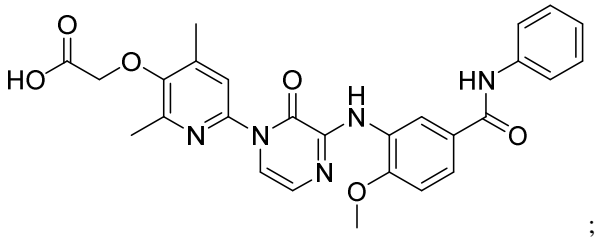
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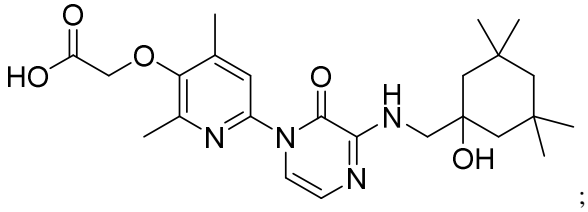
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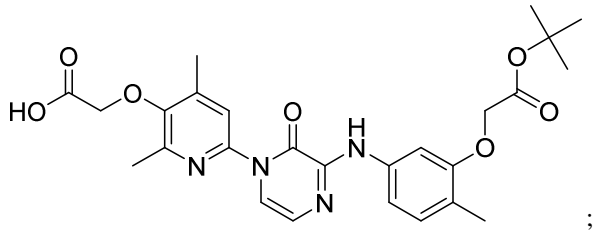
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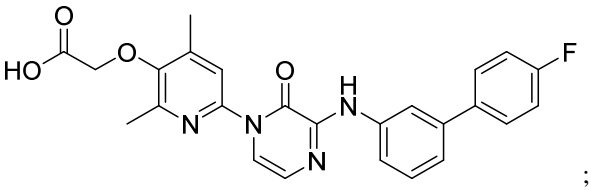
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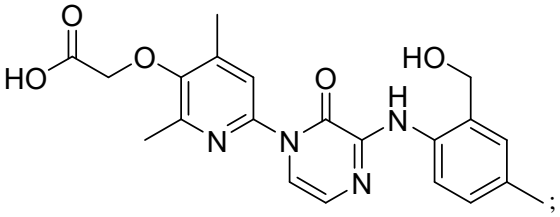
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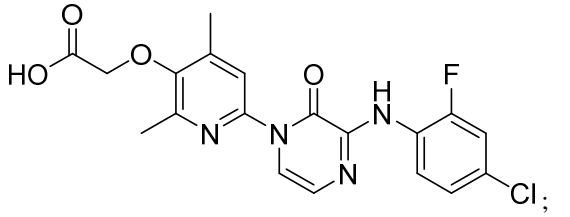
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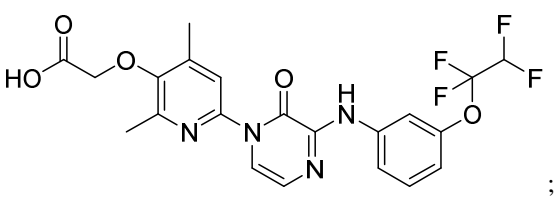
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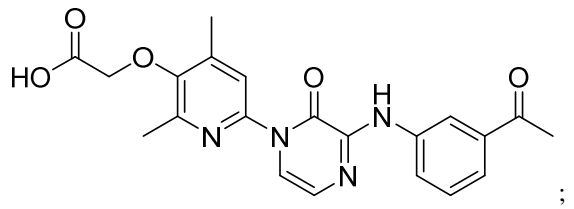
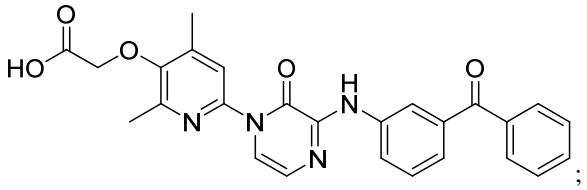
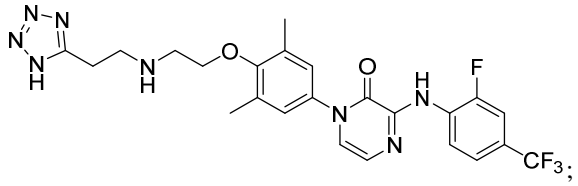
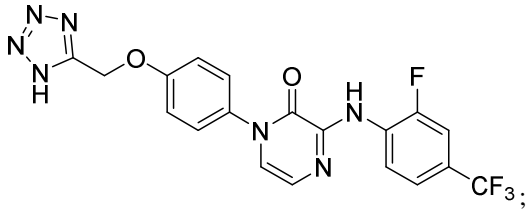
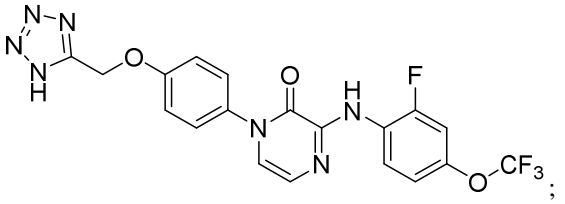
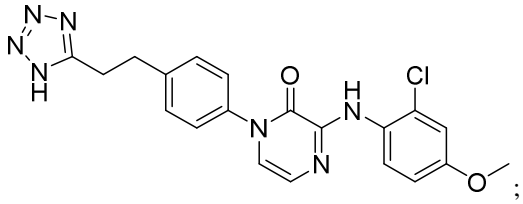
 ;

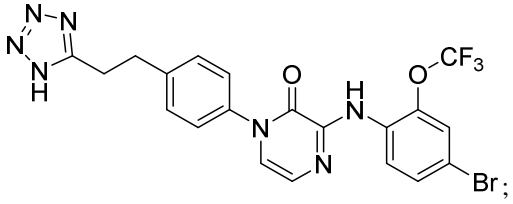
 ;

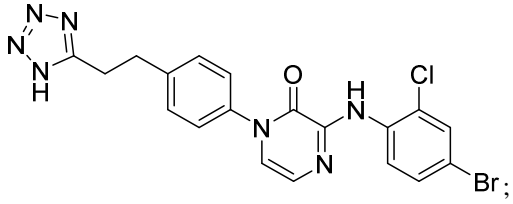
 ;

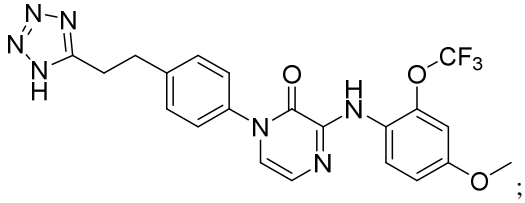
 ;

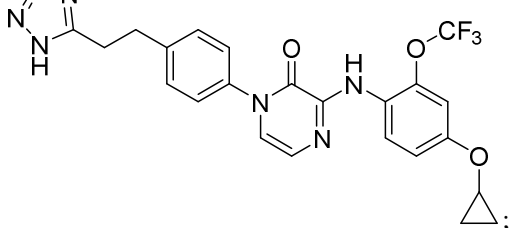
 ;

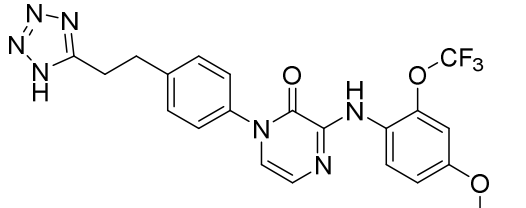
 ;

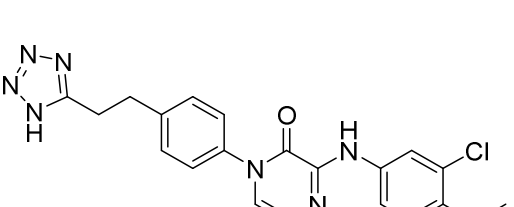
 ;

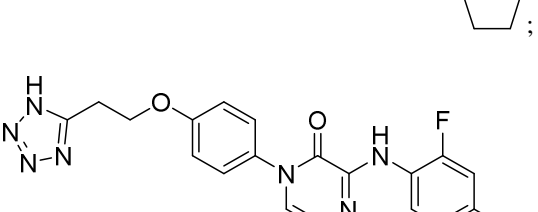
 ;

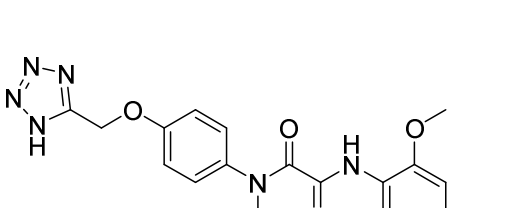
 ;

 ;

 ;

 ;

 ;

 ;

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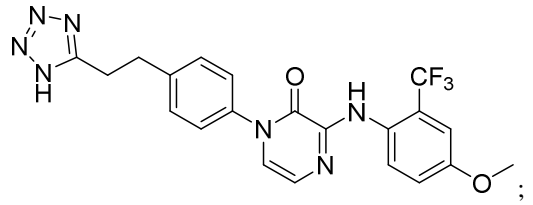

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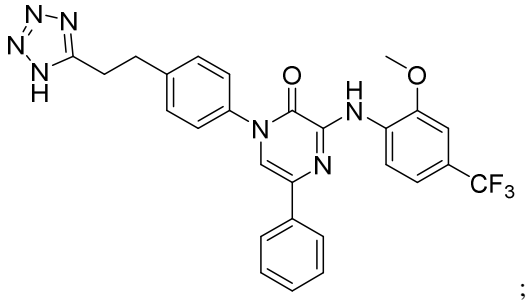

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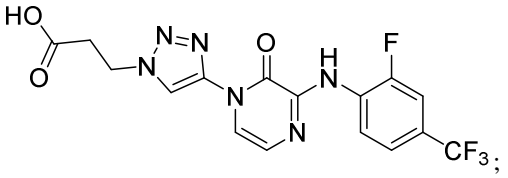

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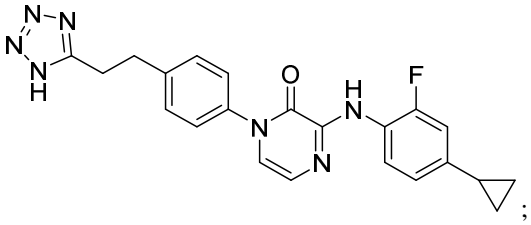

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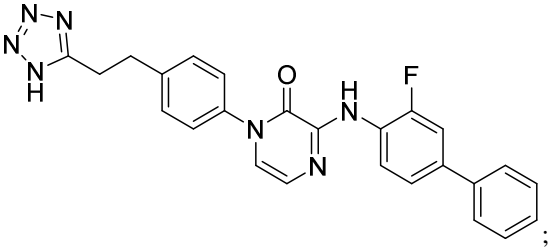

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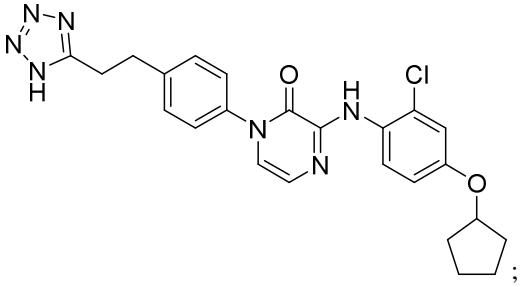

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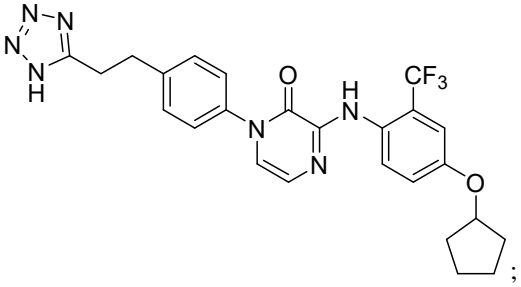

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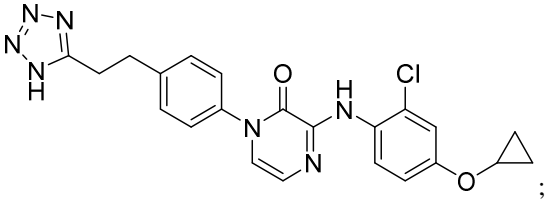

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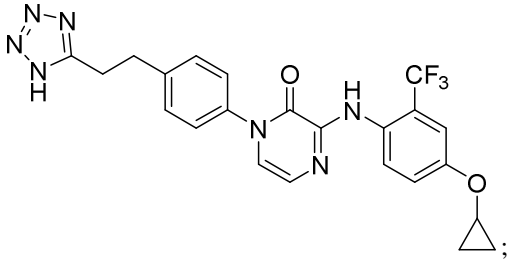

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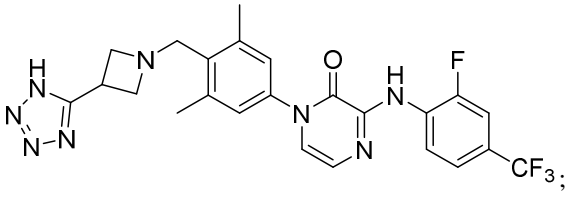

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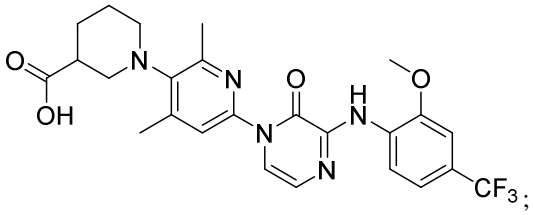

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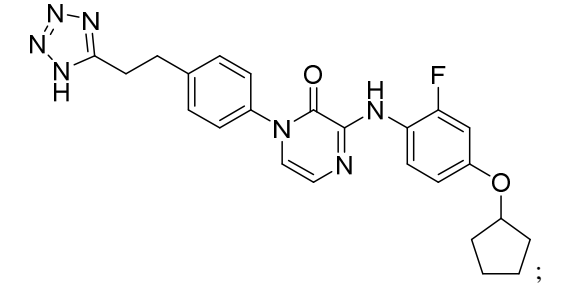

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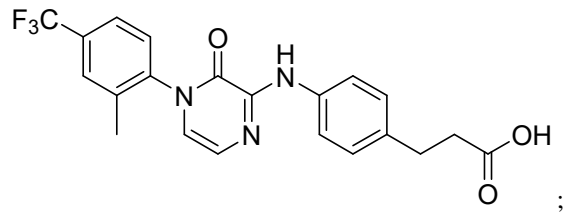
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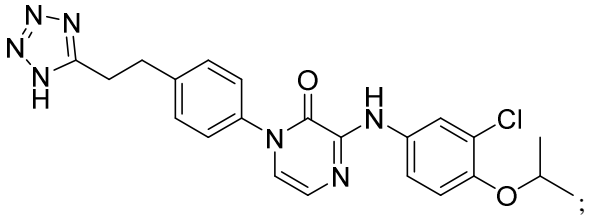
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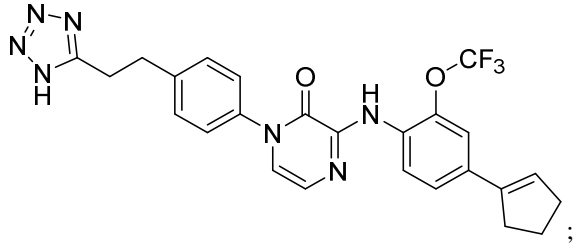
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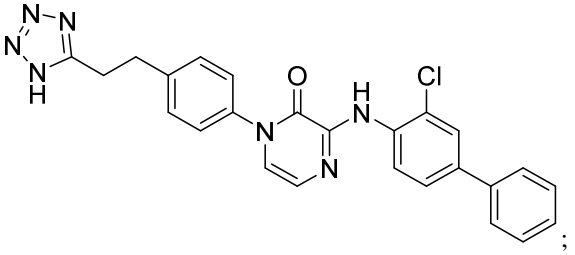
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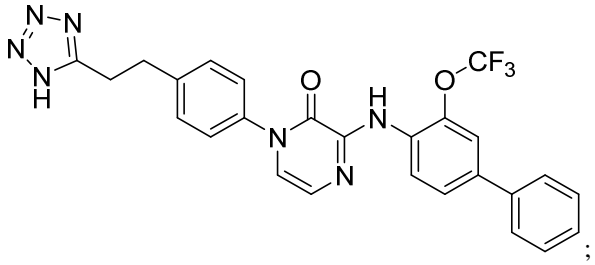
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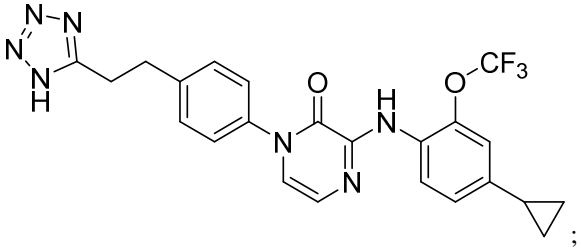
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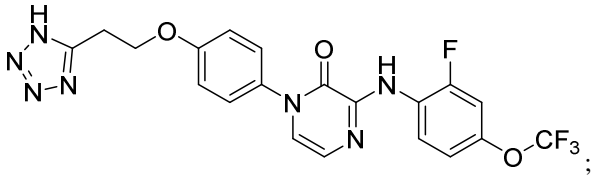
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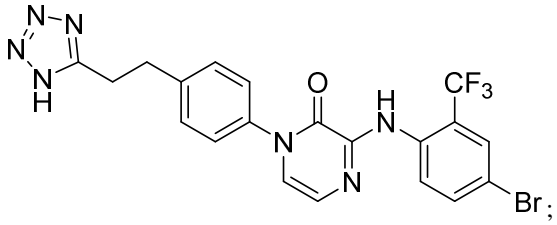
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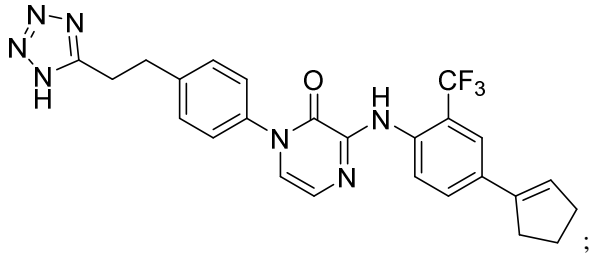
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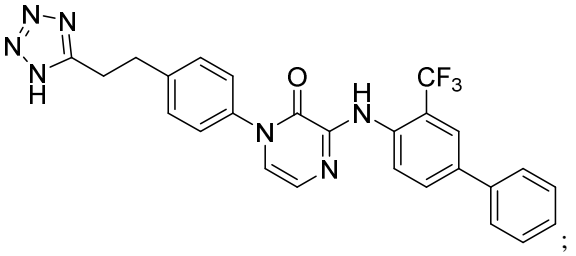
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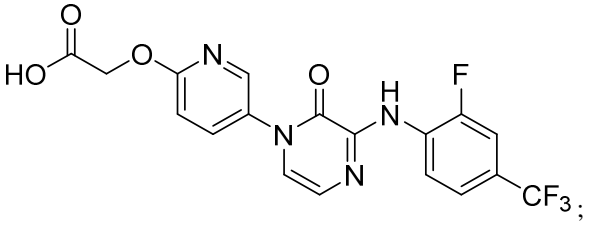
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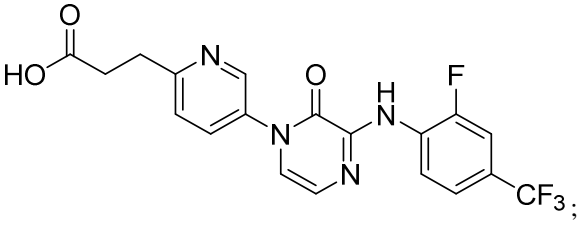
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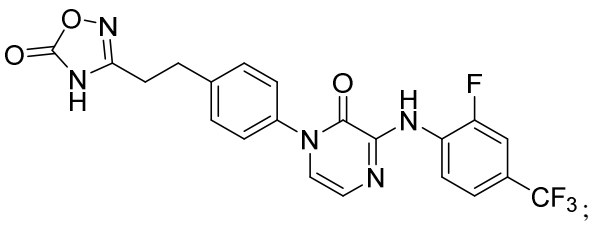

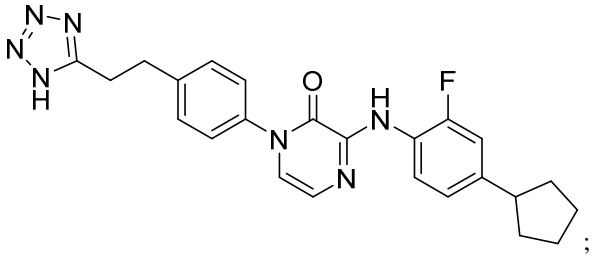

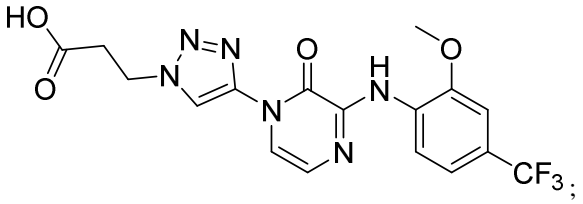

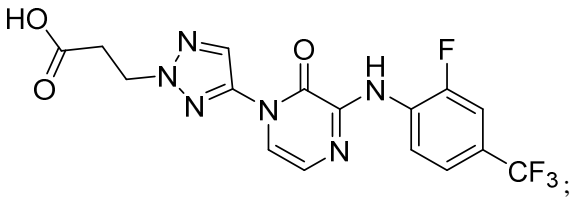

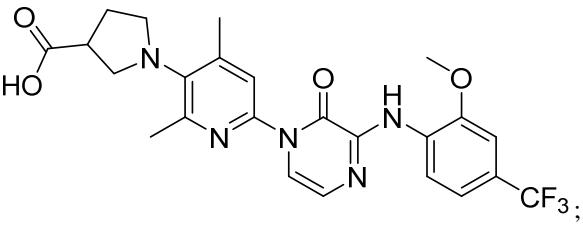

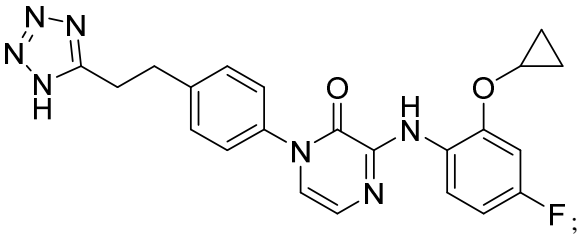

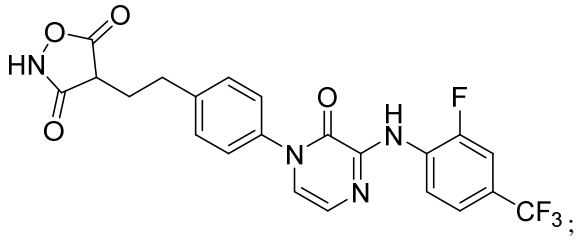

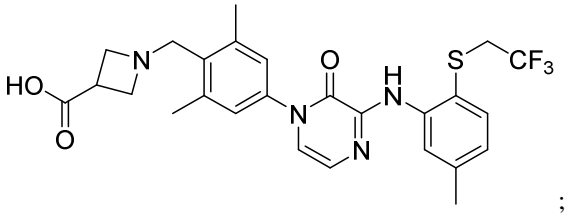

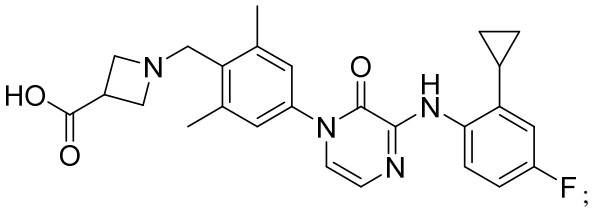

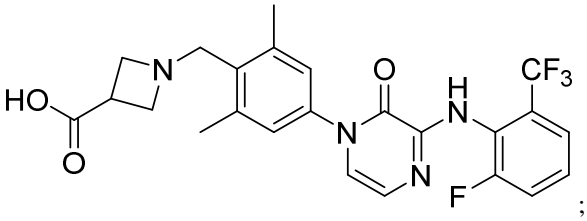

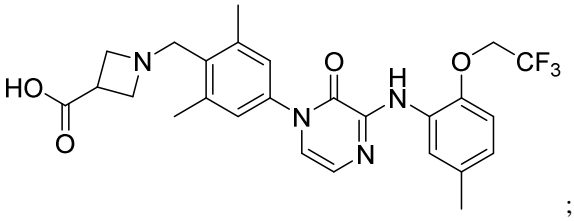

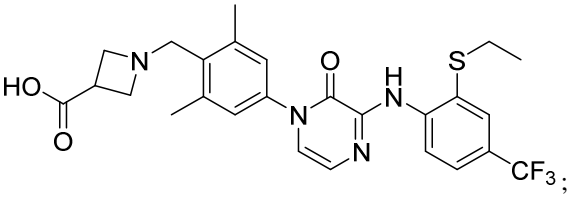

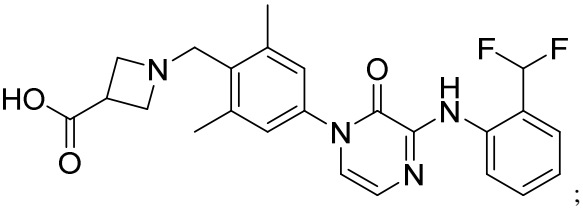

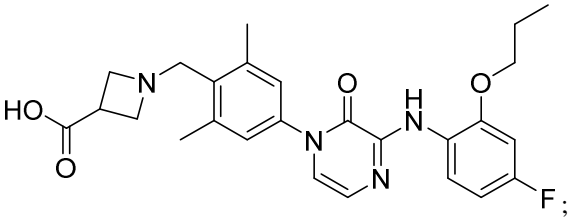

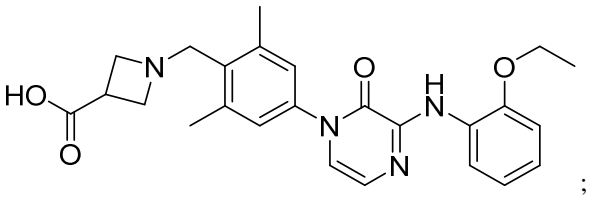
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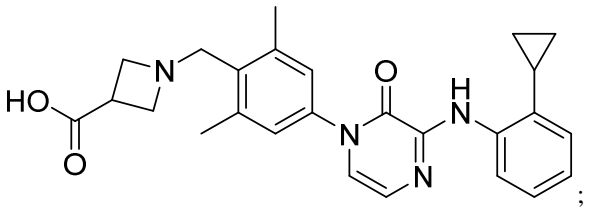
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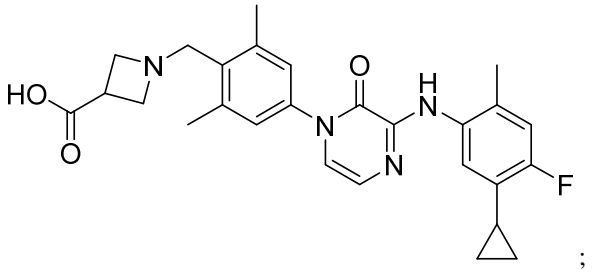
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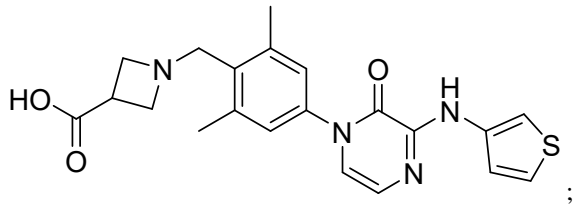
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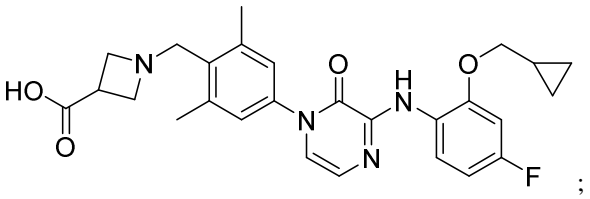
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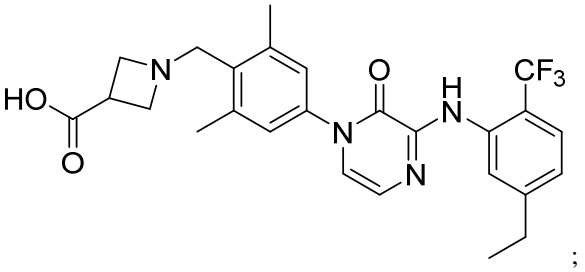
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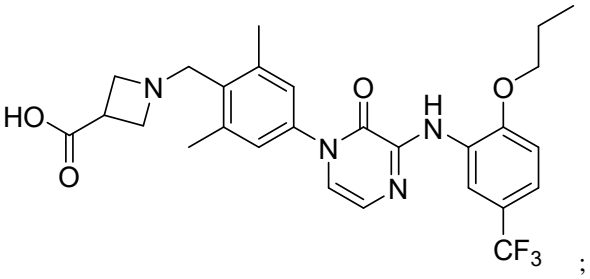
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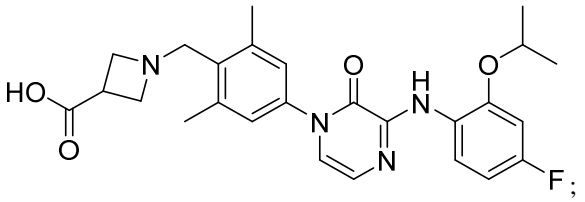
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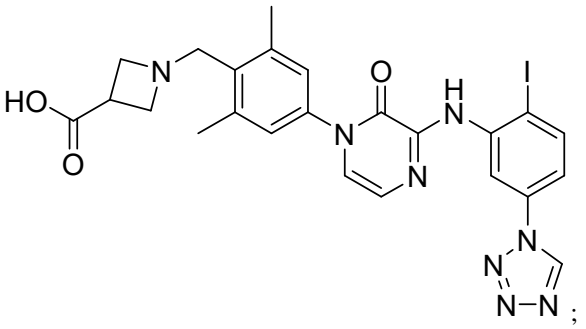
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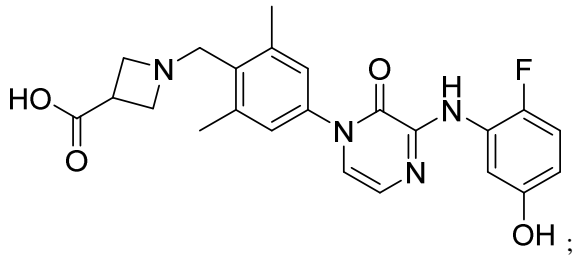
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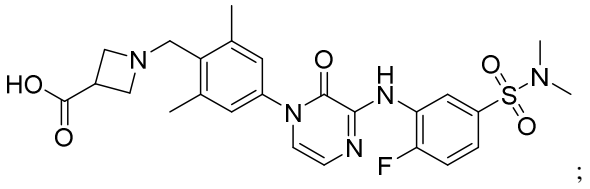
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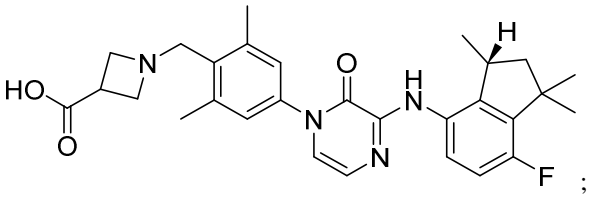
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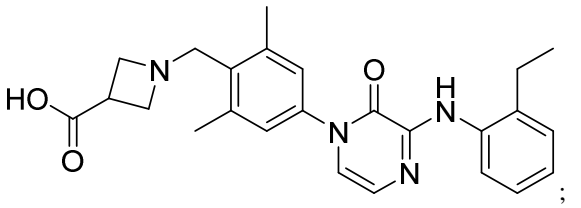
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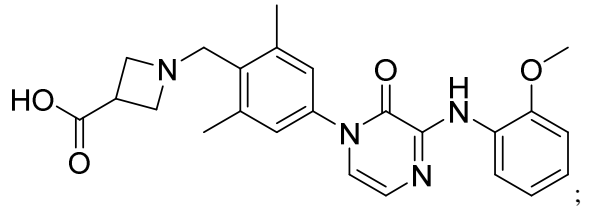
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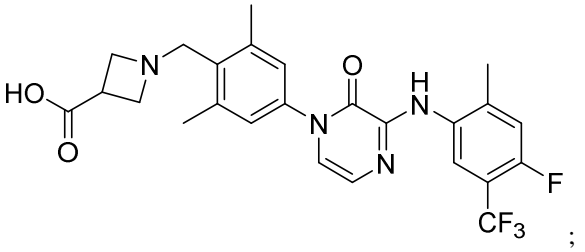
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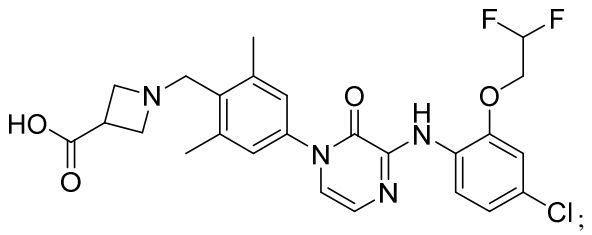
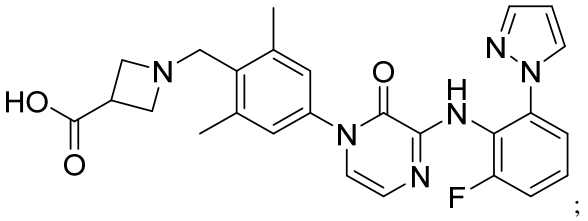
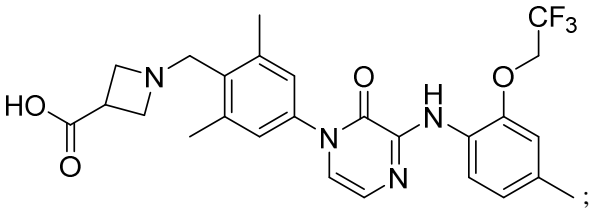
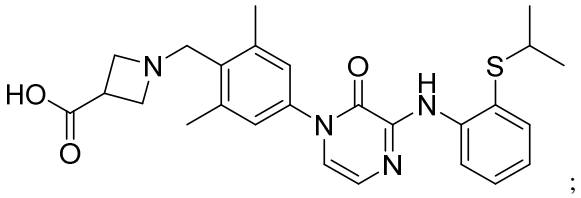
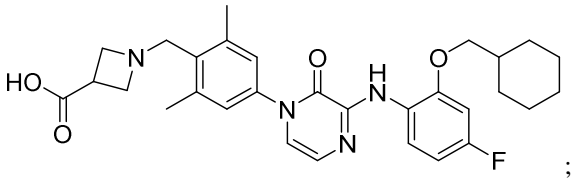
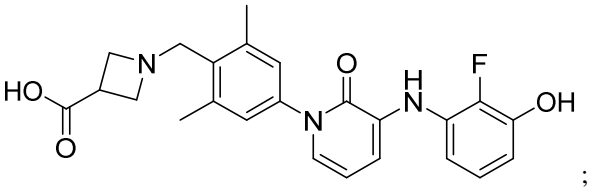
 ;

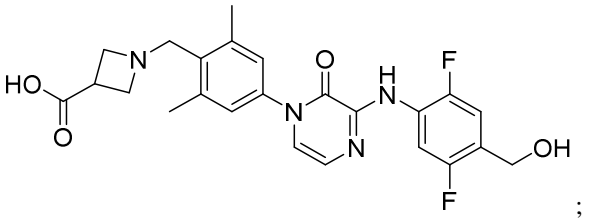
 ;

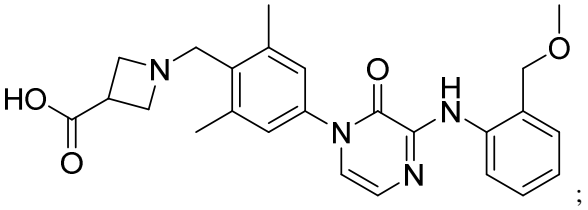
 ;

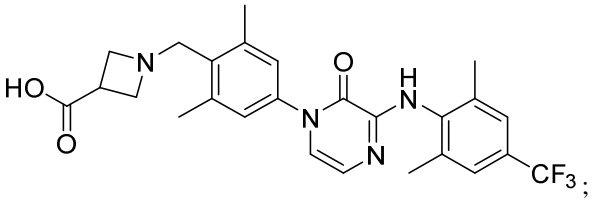
 ;

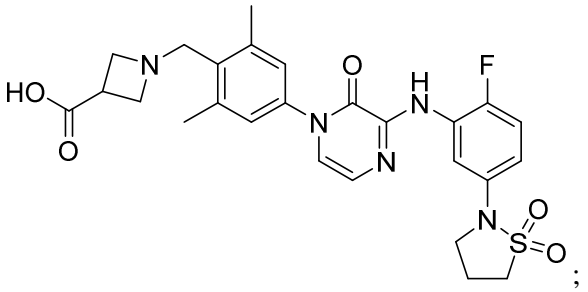
 ;

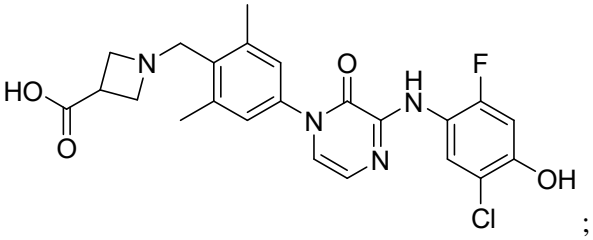
 ;

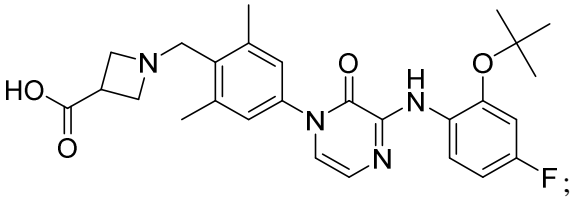
 ;

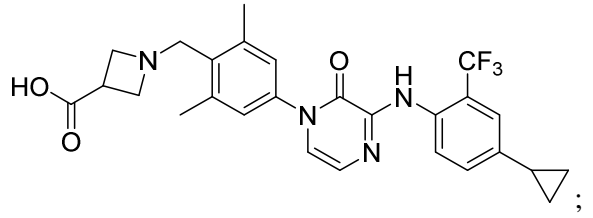
 ;

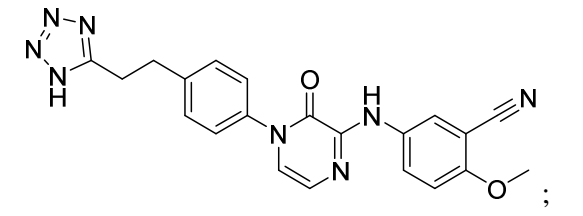
 ;

 ;

 ;

 ;

 ;

 ;

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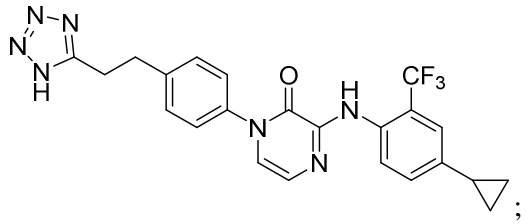

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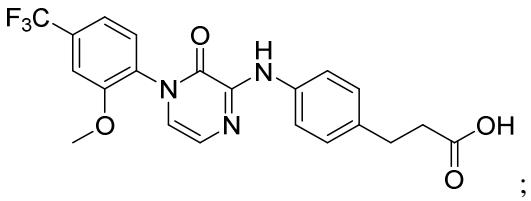

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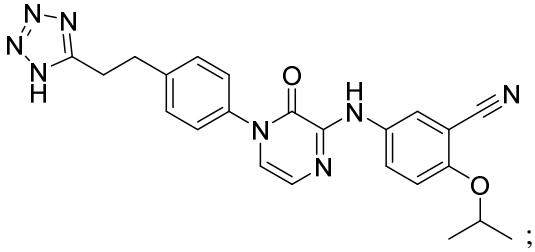

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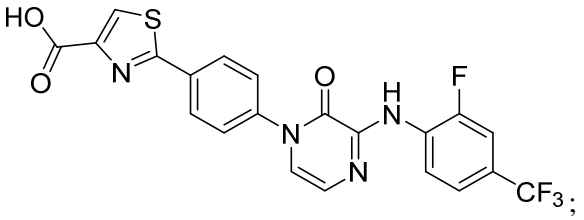

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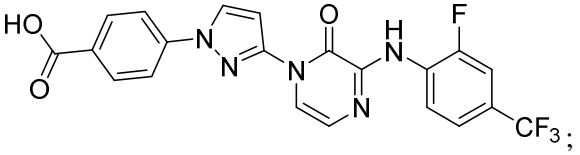

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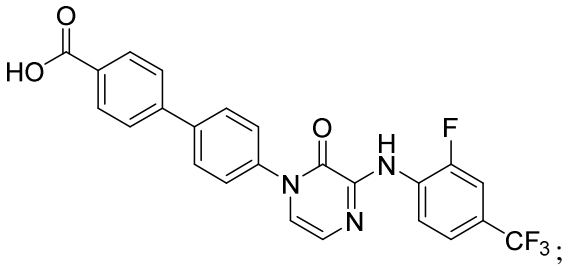

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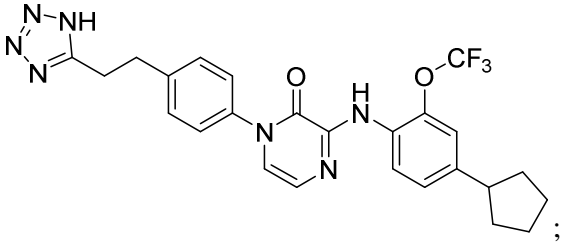

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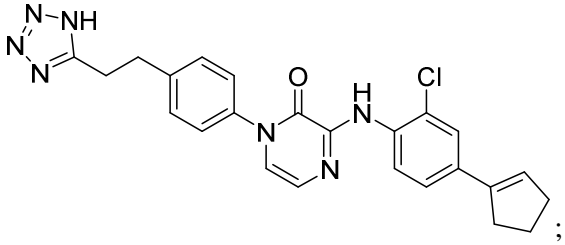

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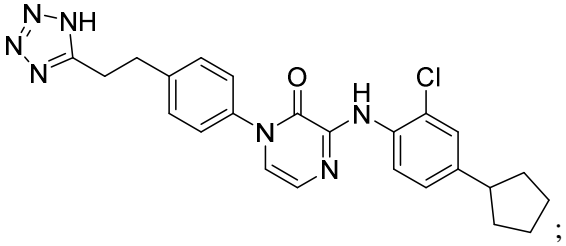

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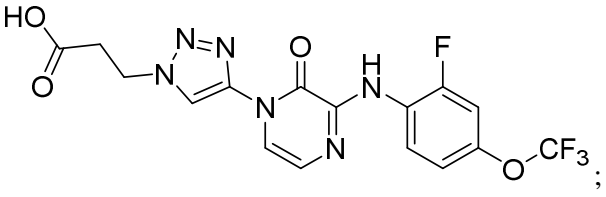

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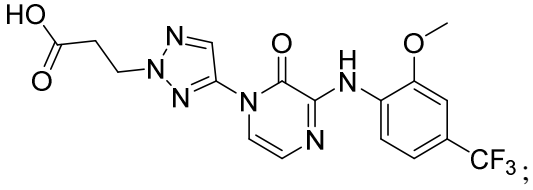

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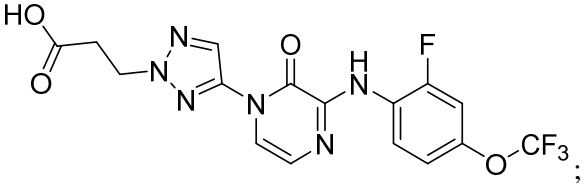

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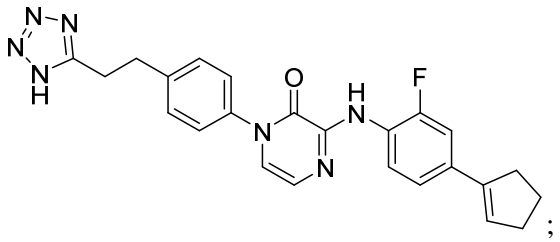
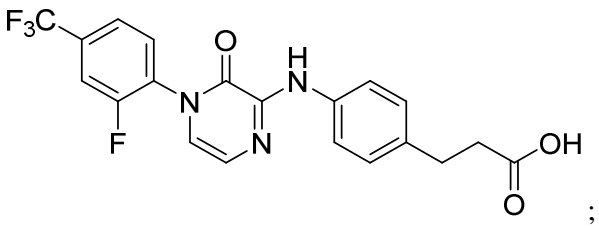
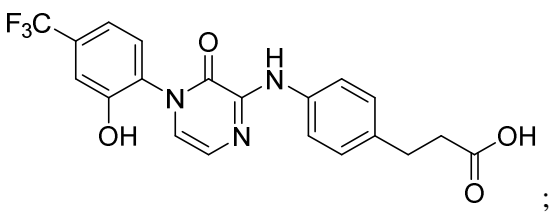
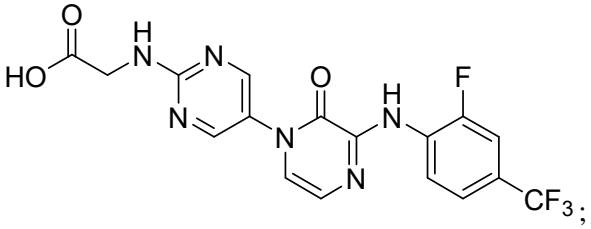
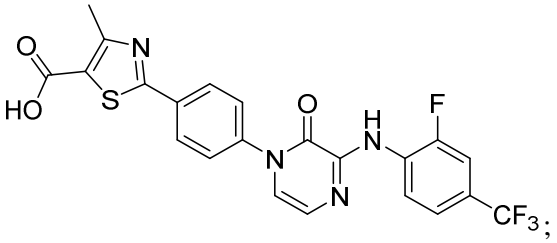
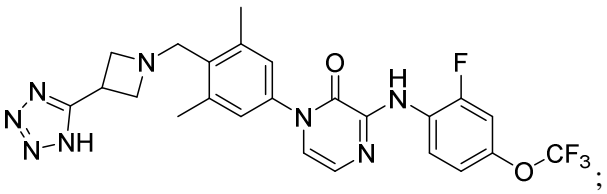
 ;

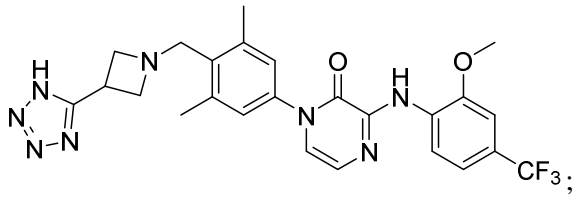
 ;

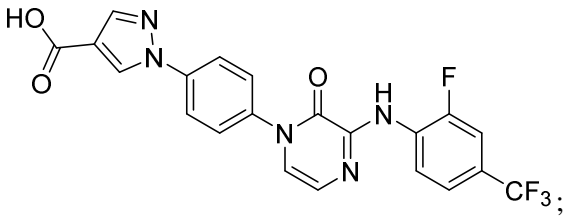
 ;

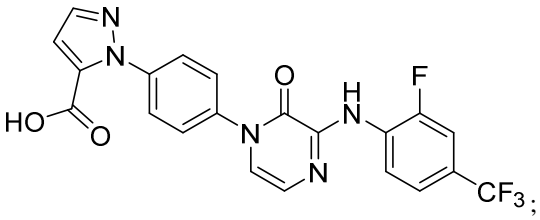
 ;

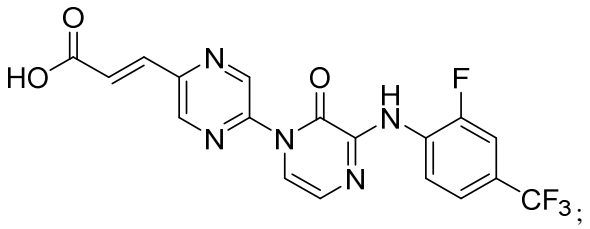
 ;

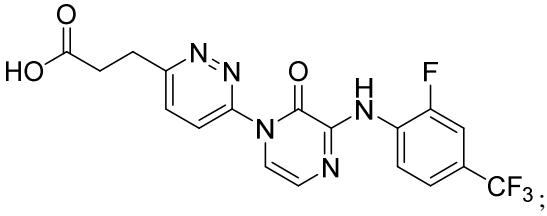
 ;

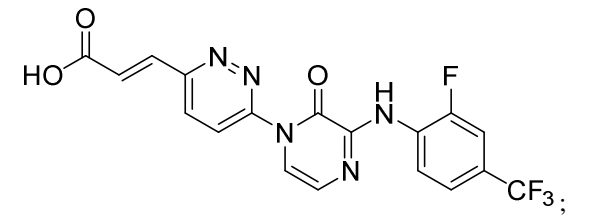
 ;

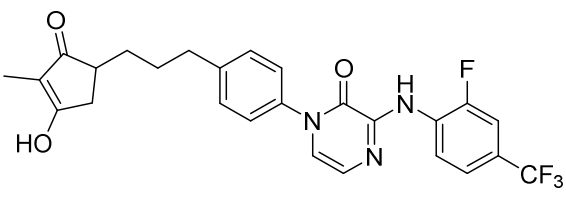
 ;

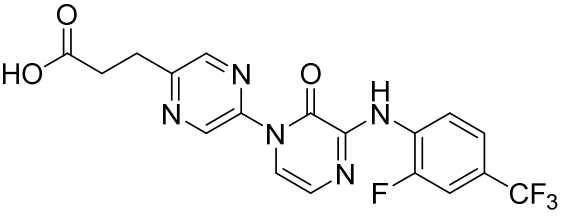
 ;

 ;

 ;

 ;

 ;

 ;

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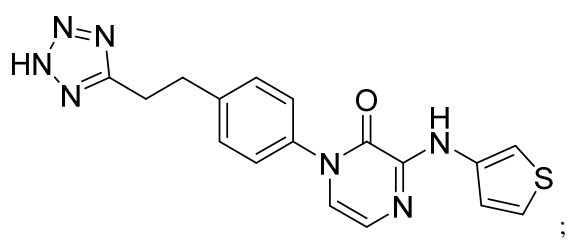
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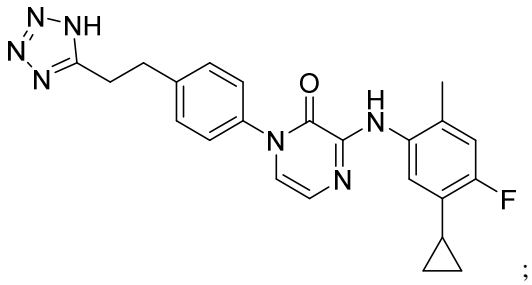
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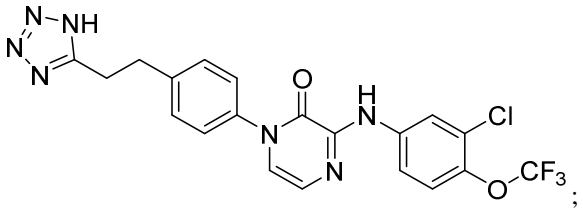
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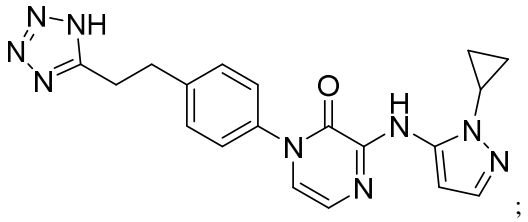
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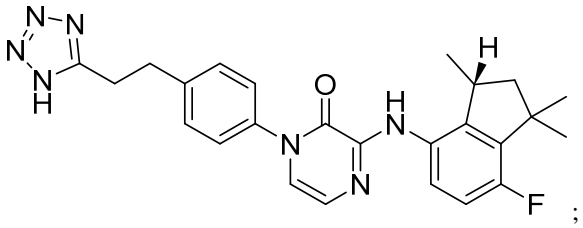
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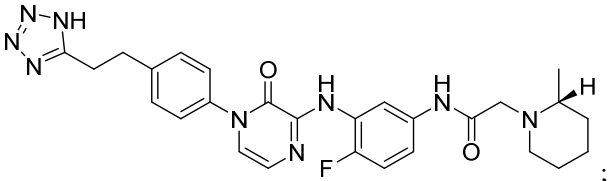
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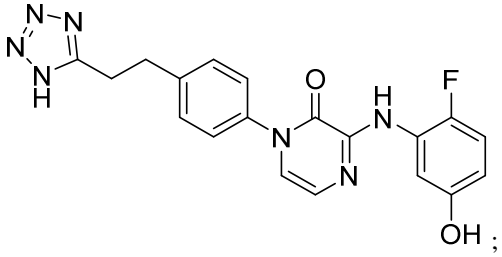
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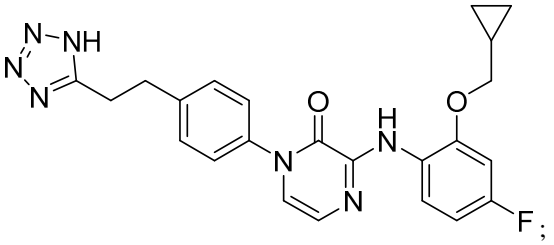
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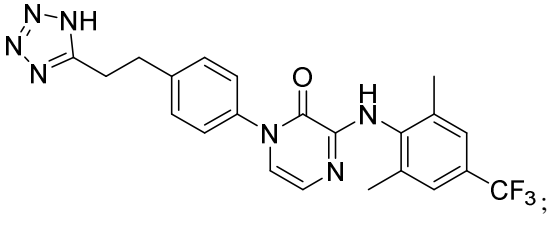
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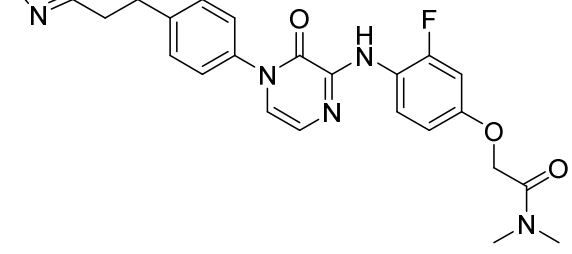
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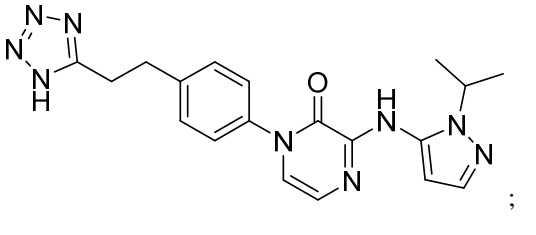
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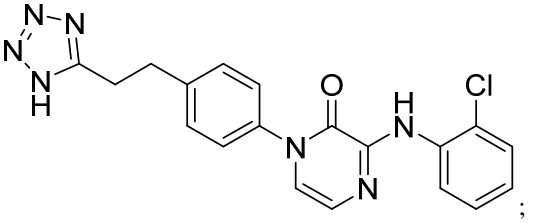
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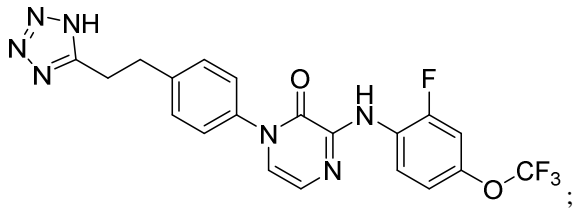
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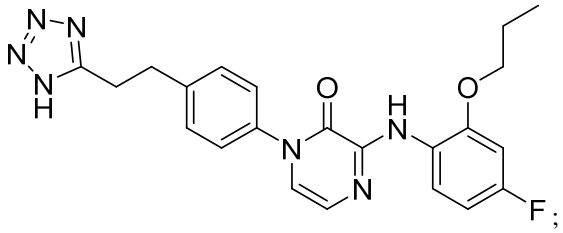
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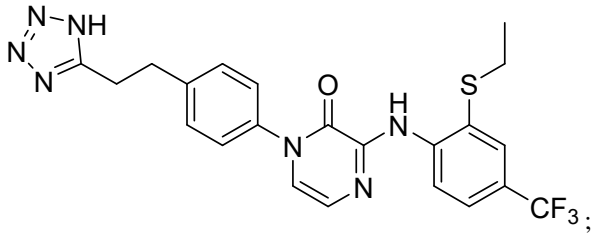
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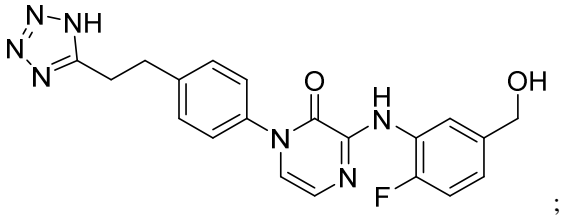
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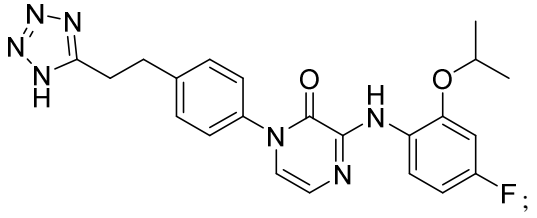
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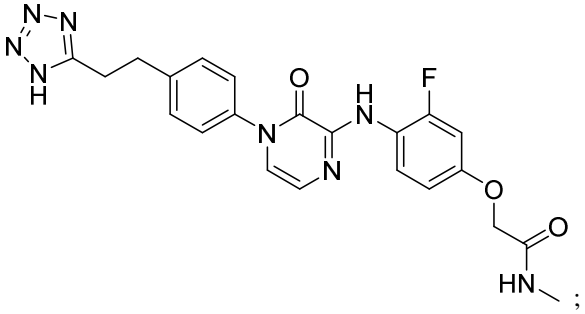
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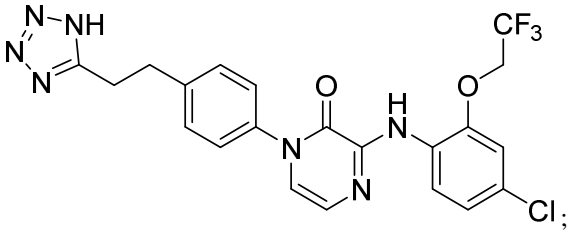
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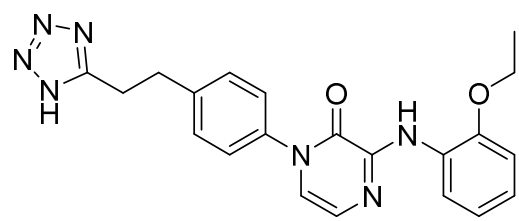
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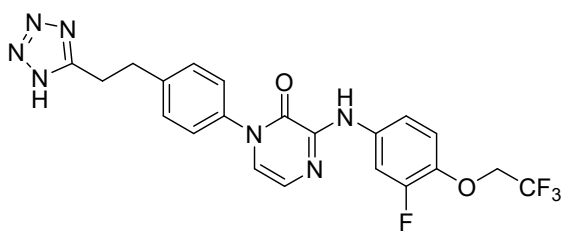
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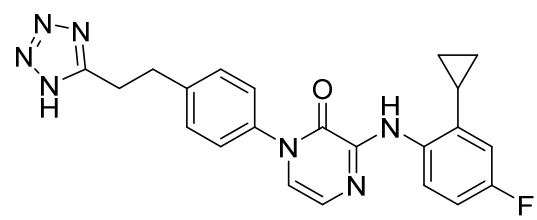
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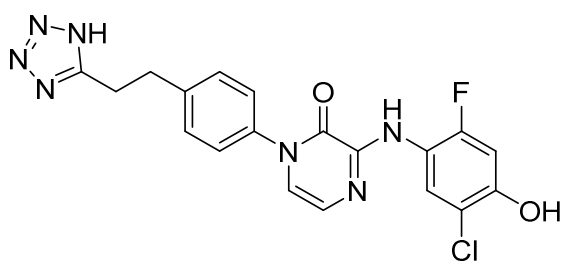
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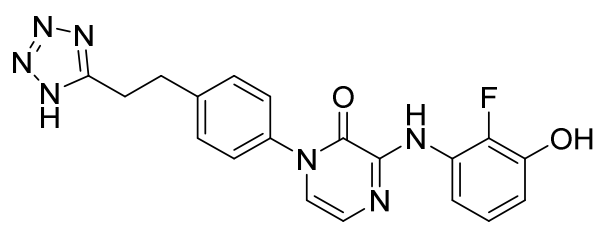
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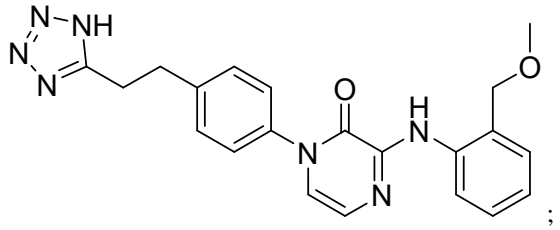
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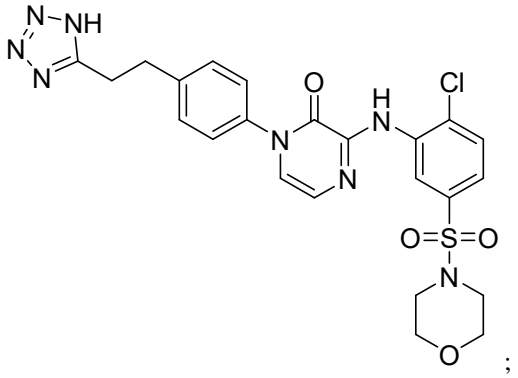
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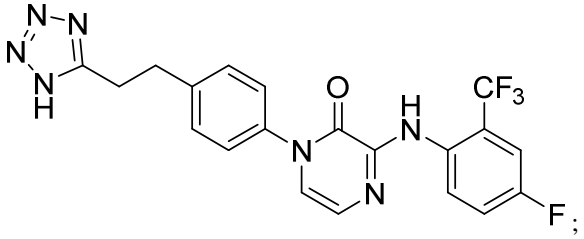
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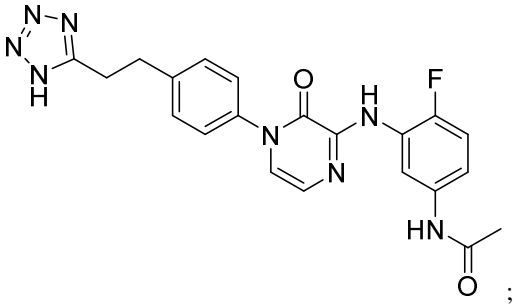
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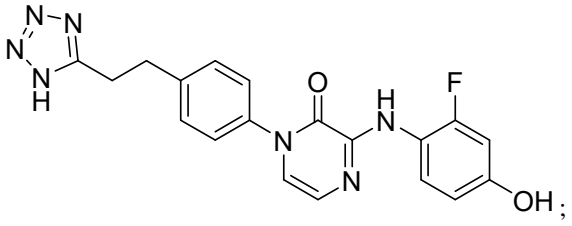
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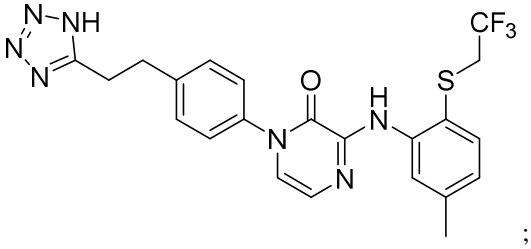
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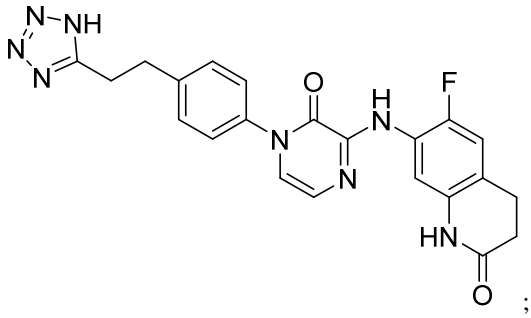
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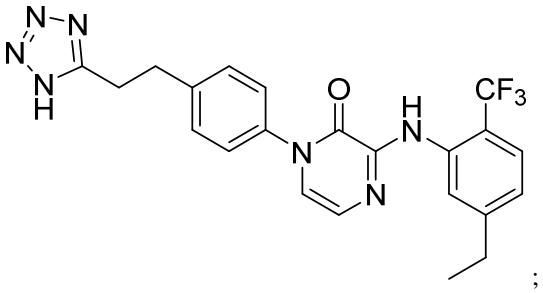
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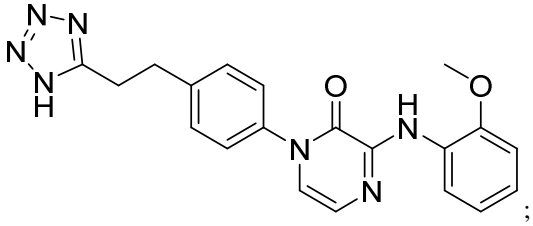
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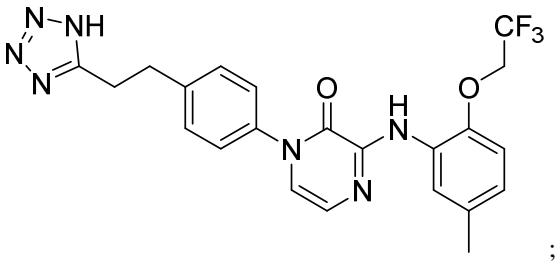
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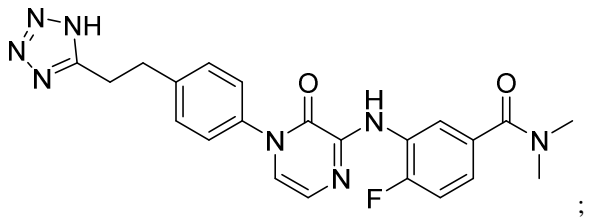
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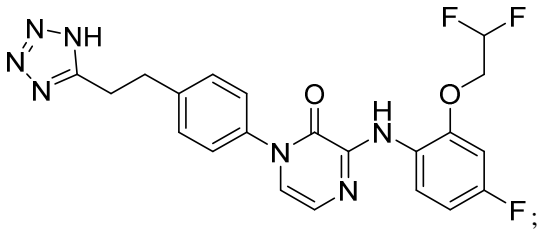
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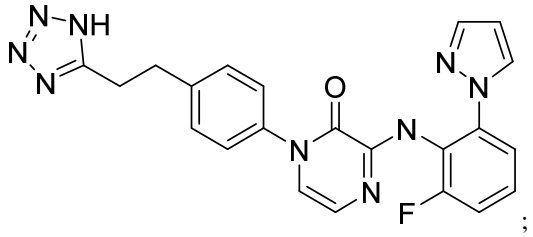
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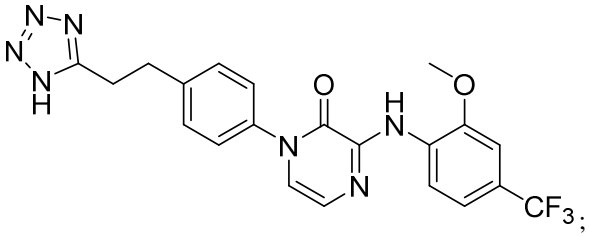
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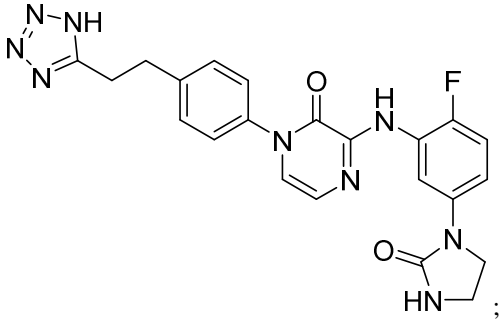
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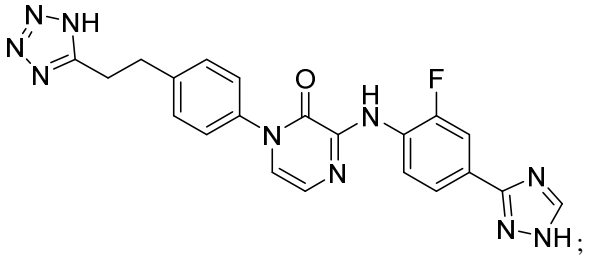
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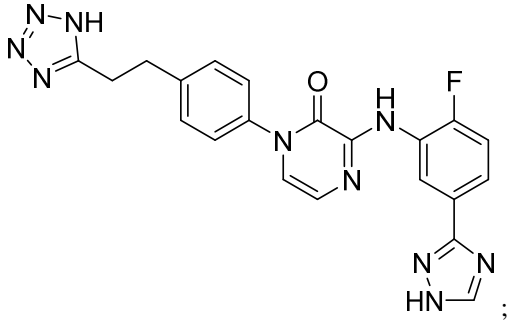
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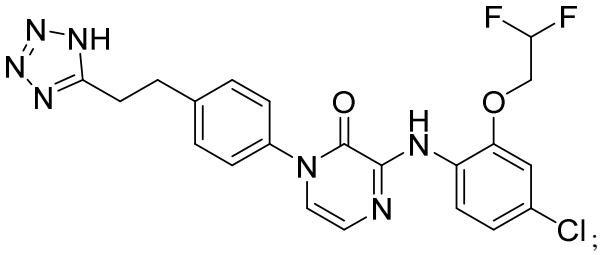
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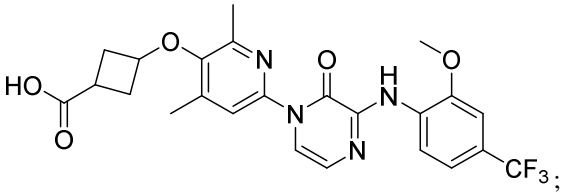
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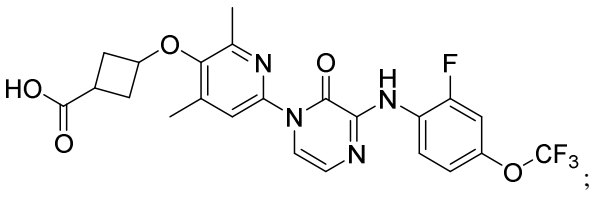
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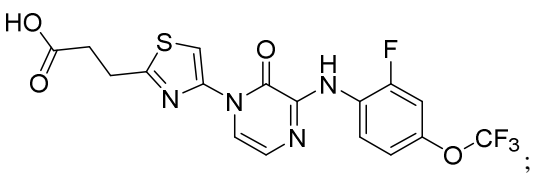
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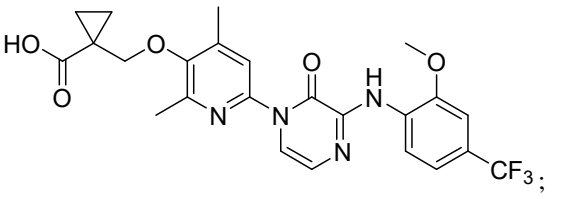
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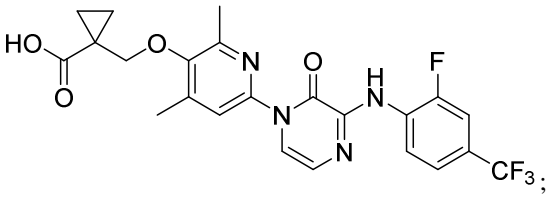
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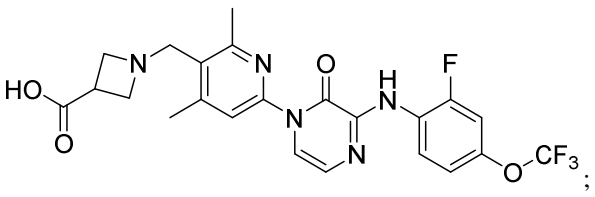
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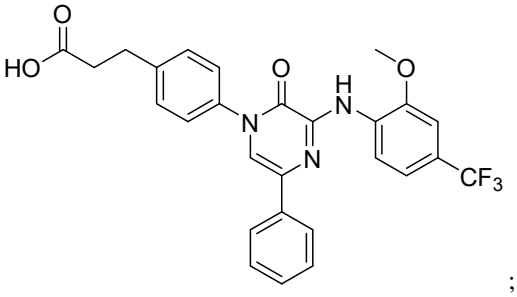
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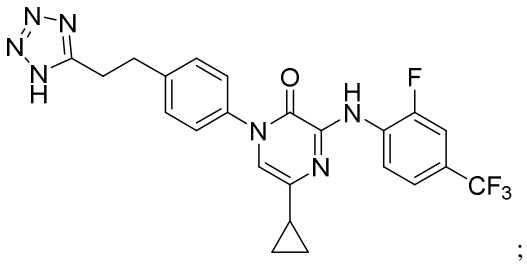
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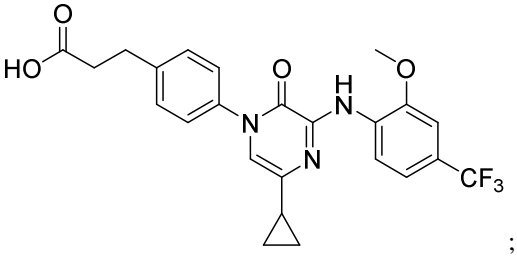
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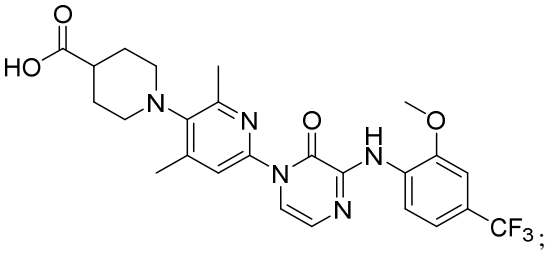
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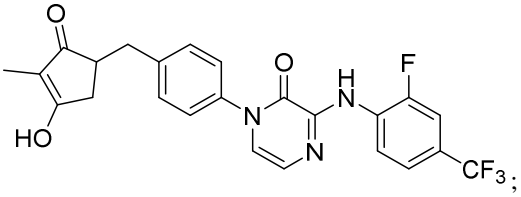
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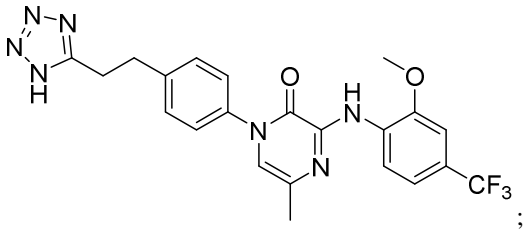
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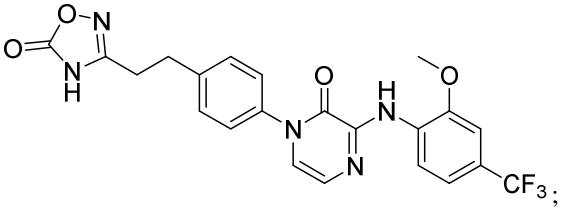
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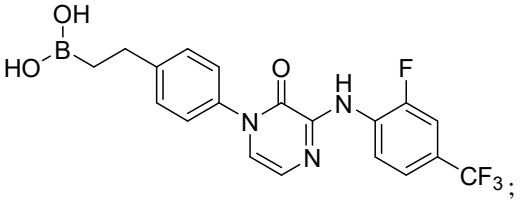
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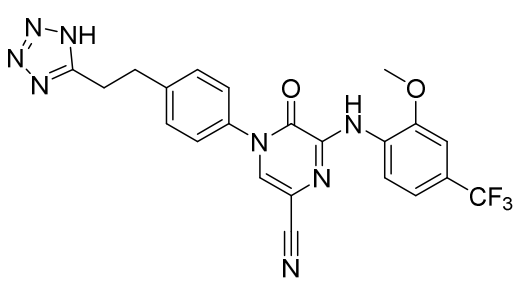
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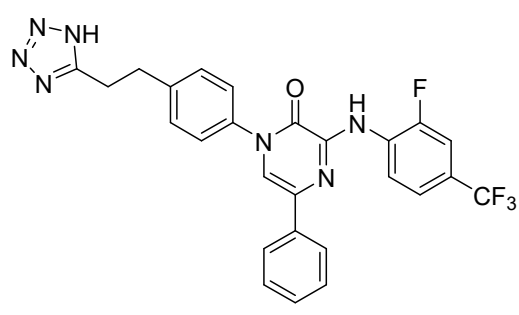
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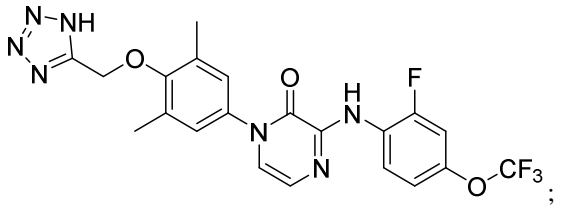
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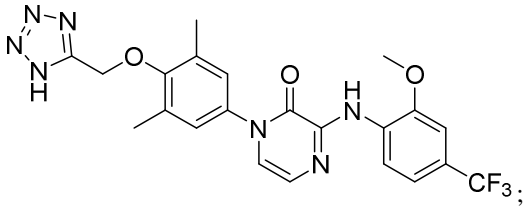
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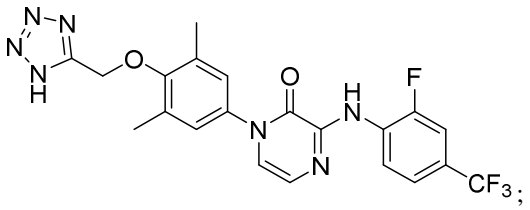
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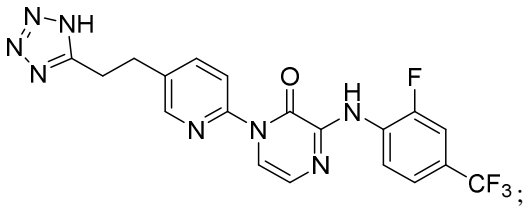
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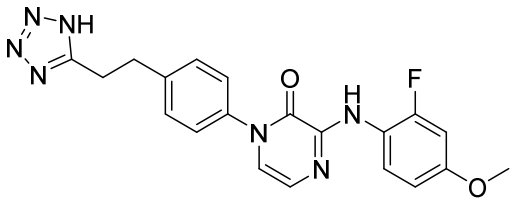
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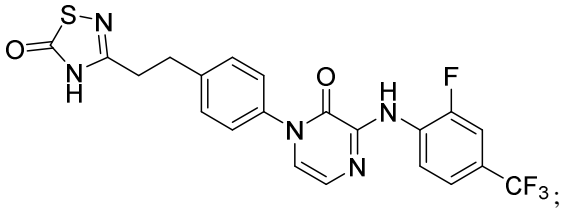
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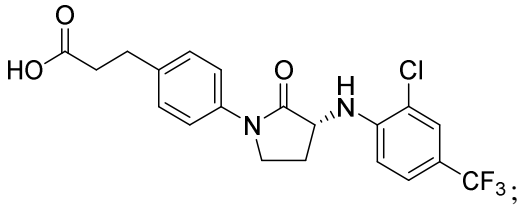
or

an enantiomer; racemate; or pharmaceutically acceptable salt thereof;

optionally wherein the compound is selected from the group consisting of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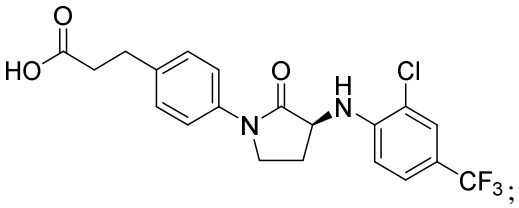
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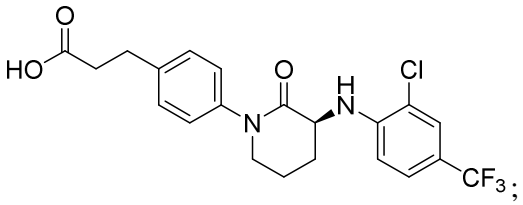
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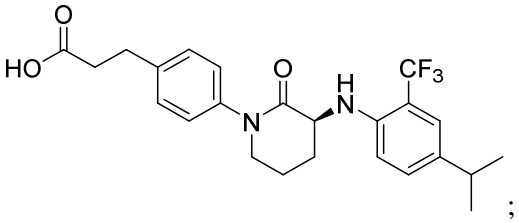
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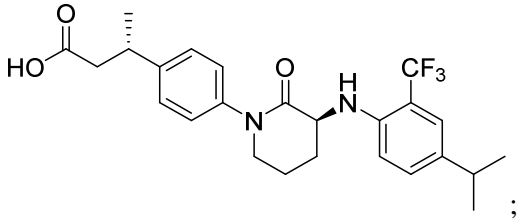
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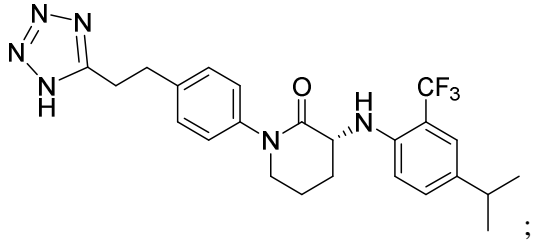
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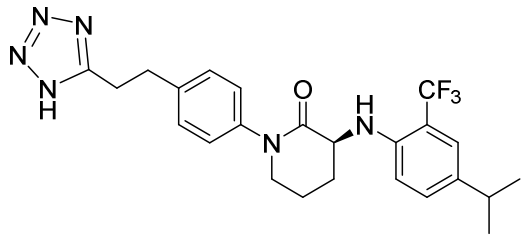
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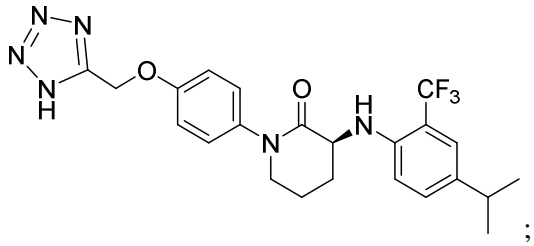
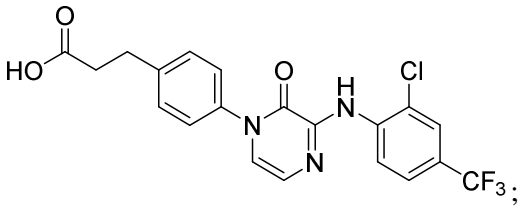

;

or a pharmaceutically acceptable salt thereof.

3. A pharmaceutical composition comprising a compound of claim **1** or an enantiomer; racemate; or pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable excipients.

4. The pharmaceutical composition of claim **3**, wherein the compound is selected from the group consisting of: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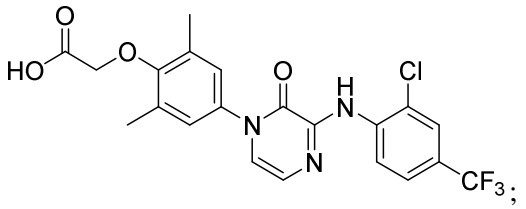
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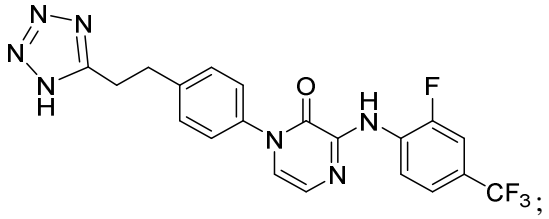
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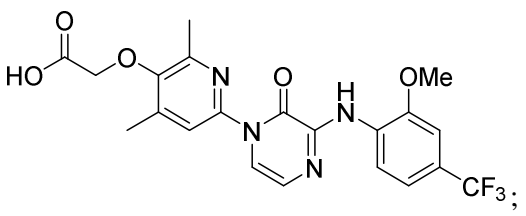
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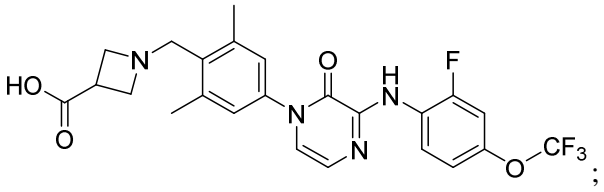
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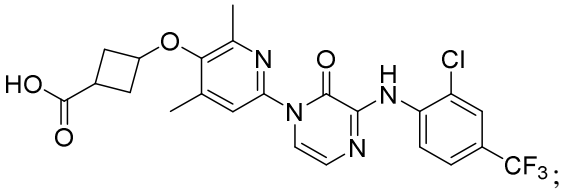
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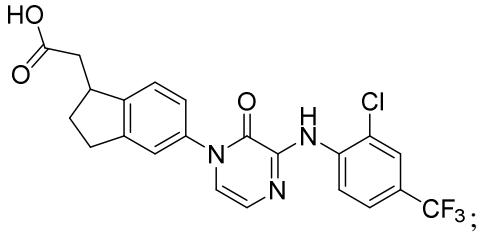
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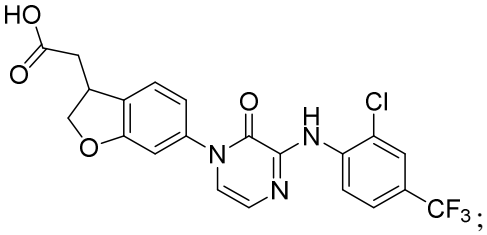
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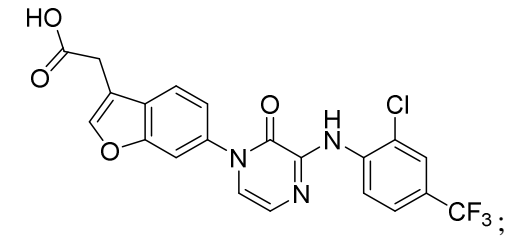

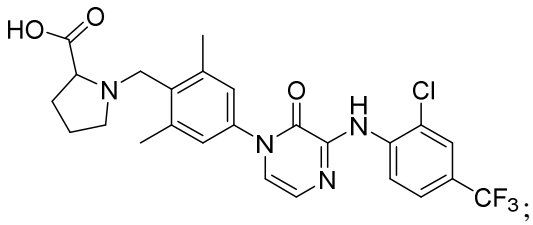

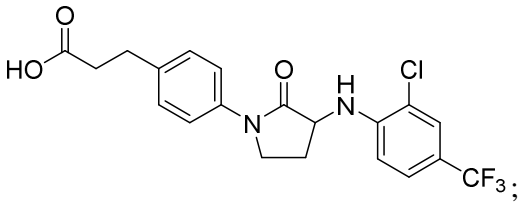

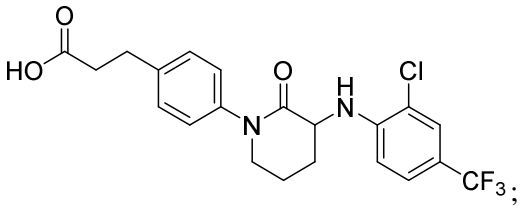

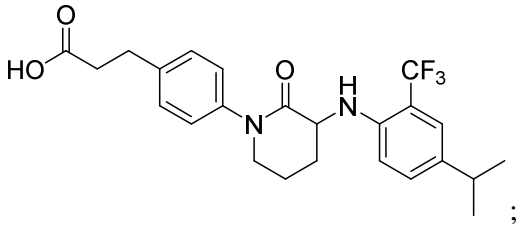

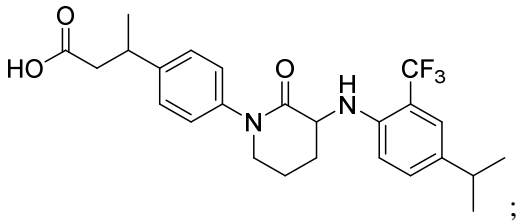

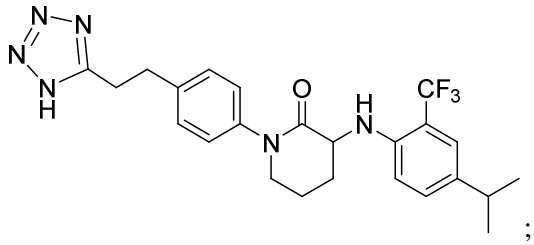

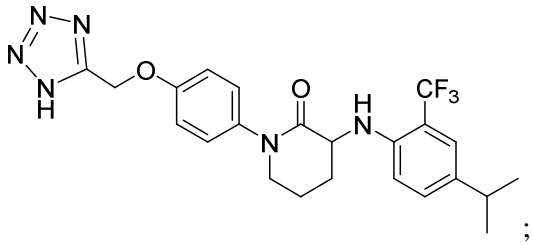

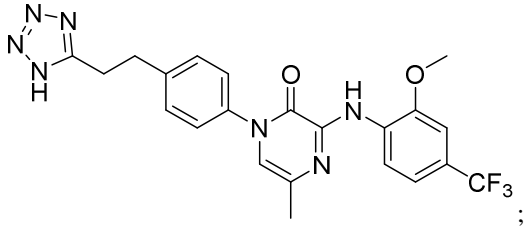

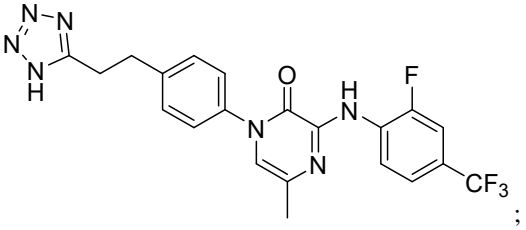

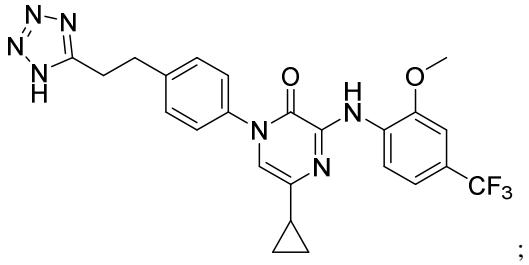

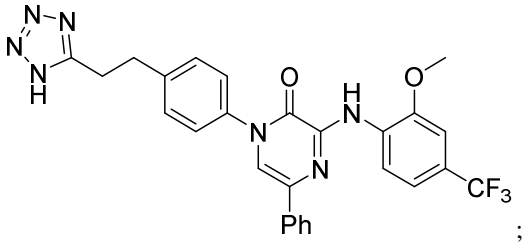
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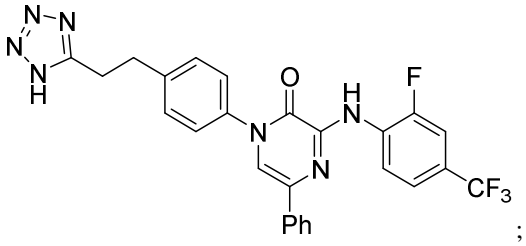
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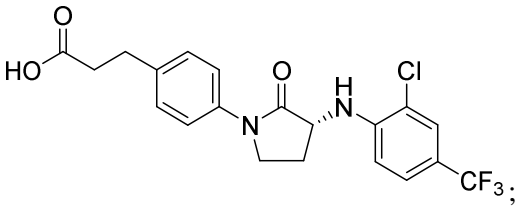
or

an enantiomer; racemate; or pharmaceutically acceptable salt thereof; optionally wherein the compound is selected from the group consisting of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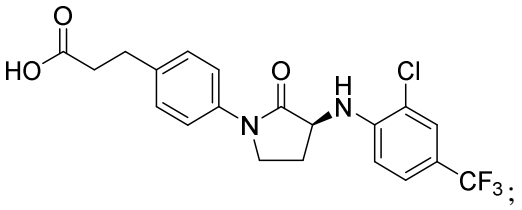
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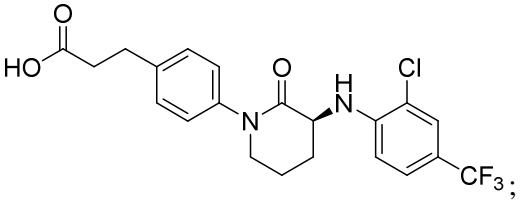
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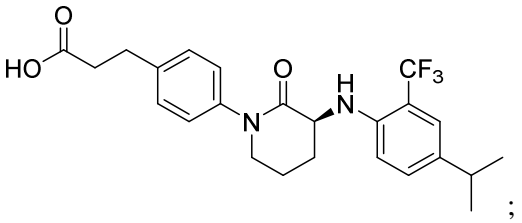
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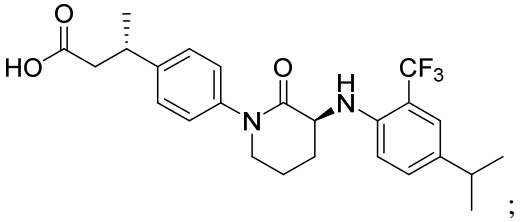
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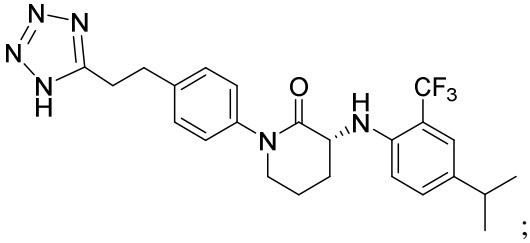
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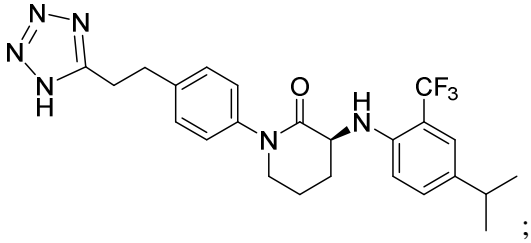
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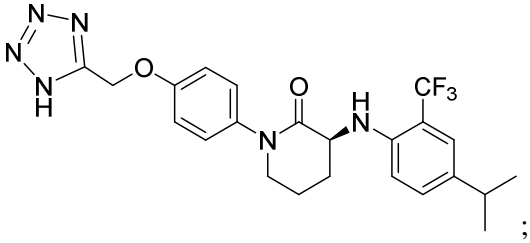
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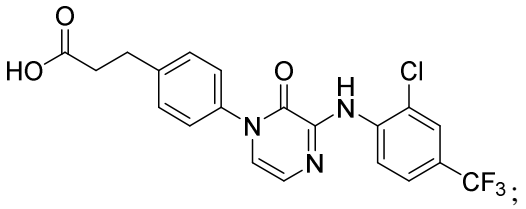
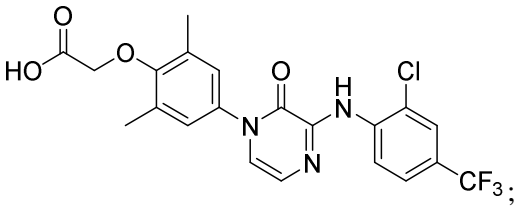
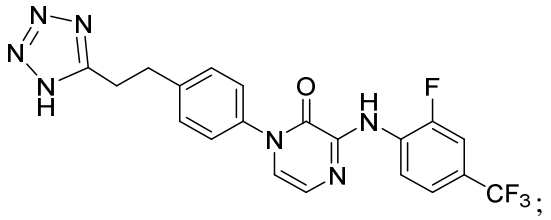
or a pharmaceutically acceptable salt thereof.

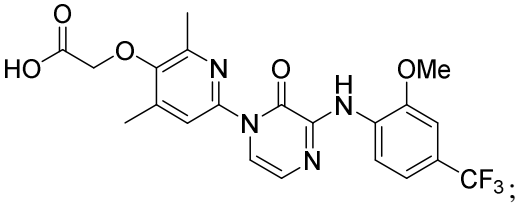
5. Use of the pharmaceutical composition according to claim 3 in the manufacture of a medicament for the treatment of multiple sclerosis, relapsing-remitting multiple sclerosis, amyotrophic lateral sclerosis, psoriasis, systemic lupus erythematosus, ulcerative colitis, Crohn's disease, or lymphopenia.

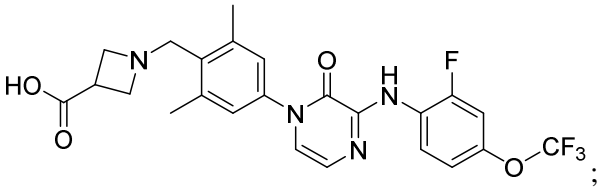
6. The use according to claim **5**, wherein the compound is selected from the group consisting of:

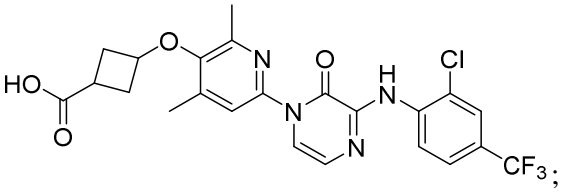
 ;

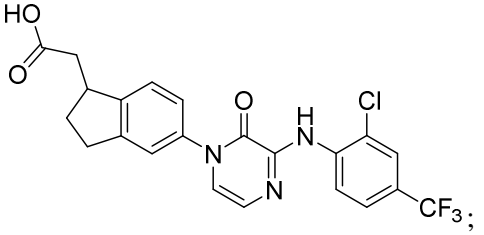
 ;

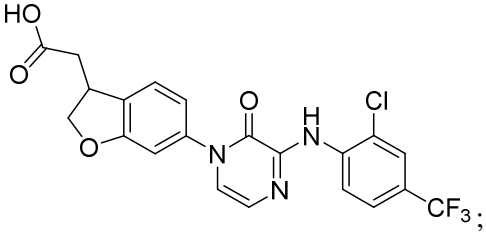
 ;

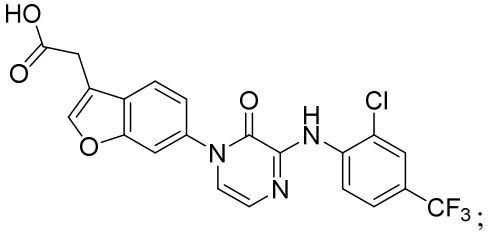
 ;

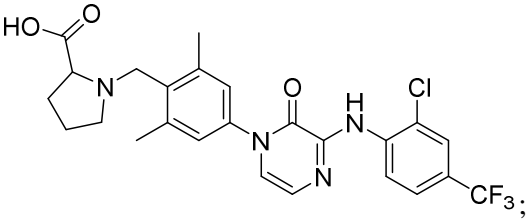
 ;

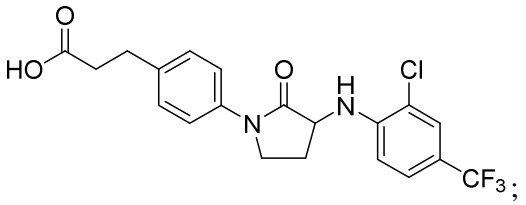
 ;

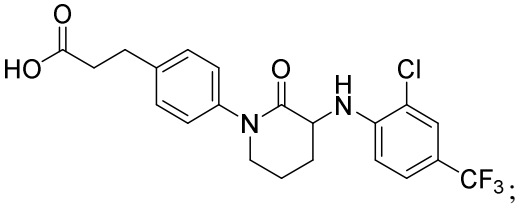
 ;

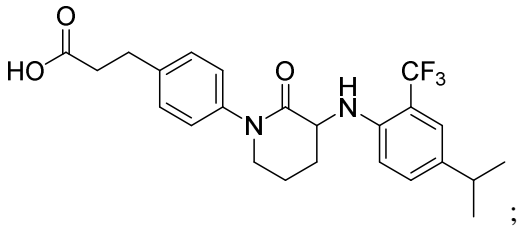
 ;

 ;

 ;

 ;

 ;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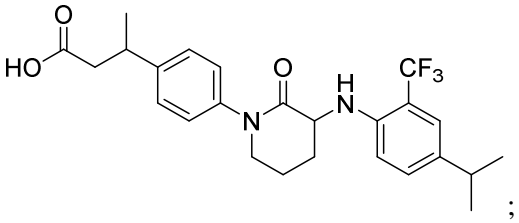
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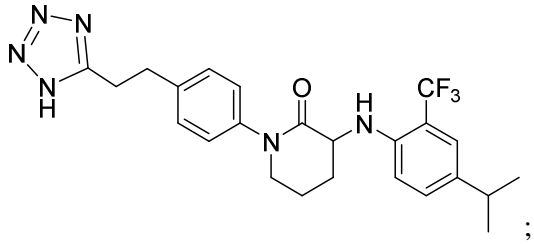
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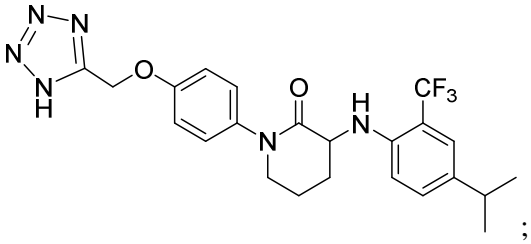
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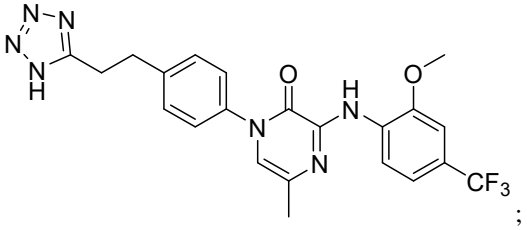
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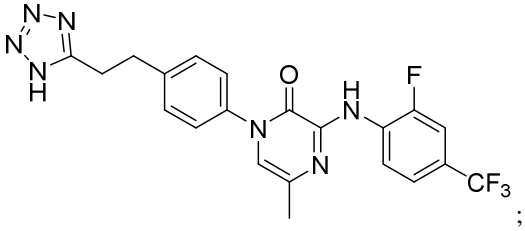
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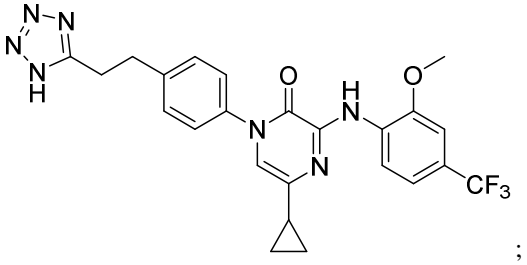
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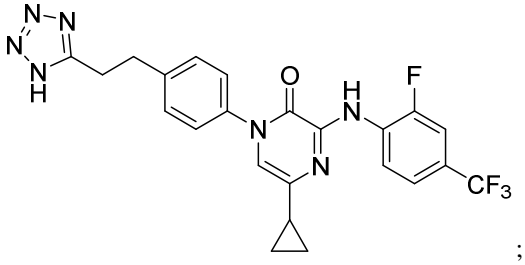
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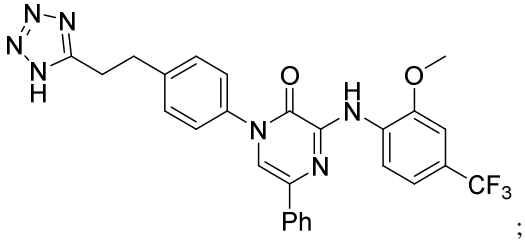
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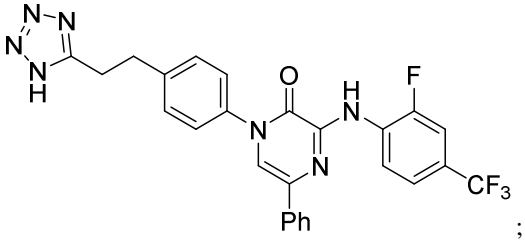
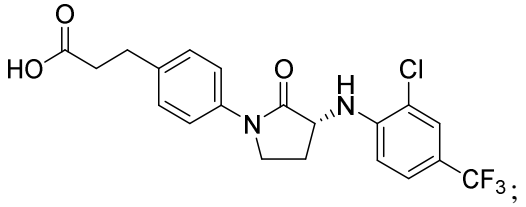

;

or

an enantiomer; racemate; or pharmaceutically acceptable salt thereof; optionally wherein the compound is selected from the group consisting of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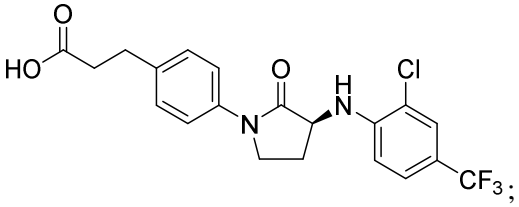
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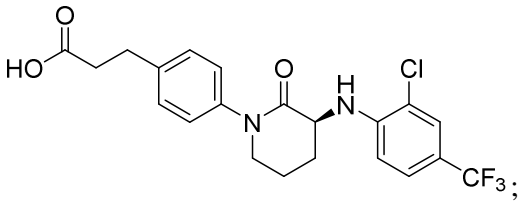
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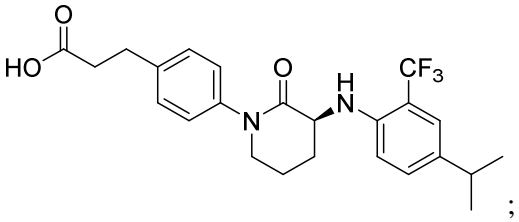
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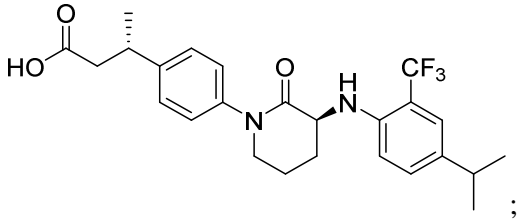
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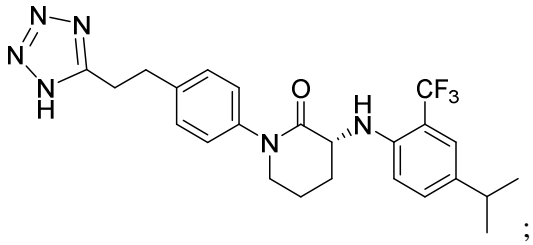
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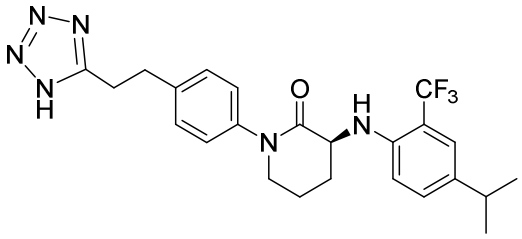
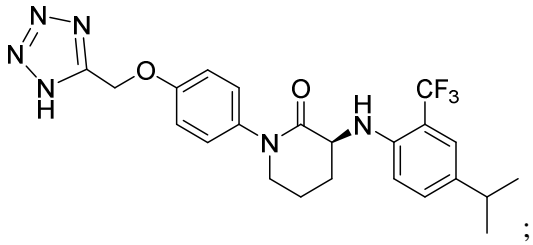
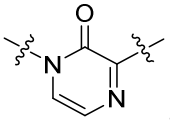
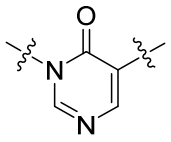
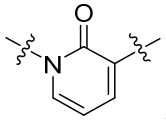

; and


;

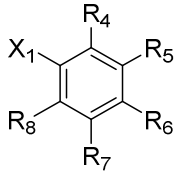
or a pharmaceutically acceptable salt thereof;

optionally wherein the pharmaceutical composition is to be administered to the individual intranasally; intrapulmonarily; topically; orally; intravenously as an infusion or injection; intramuscularly as an infusion or injection; subcutaneously as an infusion, injection, or depot formulation; transdermally; intraperitoneally; or rectally.

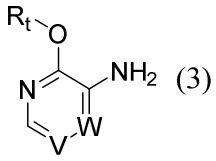
7. A process for preparing compounds of claim **1**,

wherein  is selected from the group consisting of  ,  , and  , comprising:

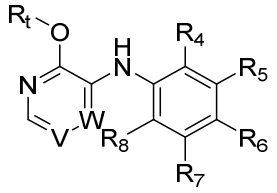
a step A, reacting a compound of formula (2)

 (2)

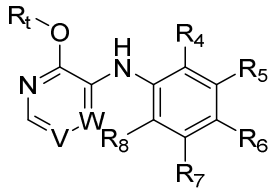
with a compound of formula (3)

 (3)

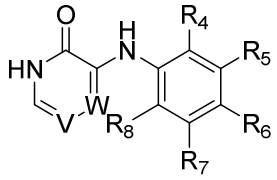
to produce a compound of formula (4)

 (4)

a step B, reacting a compound of formula (4)

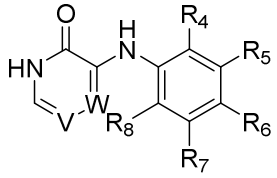
 (4)

with an acid to produce a compound of formula (5)

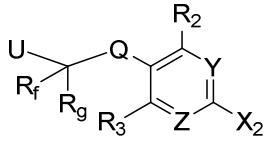
 (5)

; and

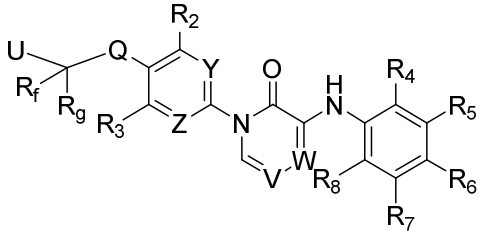
a step 1-C, reacting a compound of formula (5)

 (5)

with a compound of formula (6)

 (6)

to produce a compound of formula (1b)

 (1b)

,

wherein X_1 is F, Cl, Br, or I; X_2 is F, Cl, Br, or I; V is N or CH; W is N or CH; and R_t is H or unsubstituted C_1-C_6 straight or branched alkyl.

8. The process of claim **7**, wherein:

(i) step A is carried out from about 50 °C to about 100 °C; step B is carried out from about 50 °C to about 100 °C; and step 1-C is carried out from about 50 °C to about 125 °C;

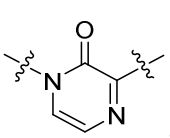
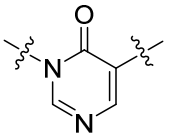
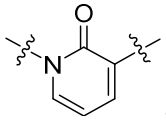
(ii) step A is carried out in the presence of a solvent and a base; step B is carried out in the presence of a solvent and an acid; and step 1-C is carried out in the presence of a solvent, a copper salt, a base, and a ligand; optionally wherein:

(a) the solvent in step A is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof; and wherein the base in step A is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium *tert*-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, lithium hydride, sodium hyride, potassium hydride, and mixtures thereof;

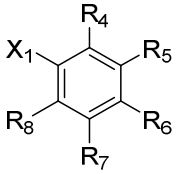
(b) the solvent in step B is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, AcOH, water, and mixtures thereof; and wherein the acid in step B is selected from the group consisting of AcOH, TFA, hydrochloric acid, hydrobromic acid, and mixtures thereof; and/or

(c) the solvent in step 1-C is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof; wherein the copper salt in step 1-C is selected from the group consisting of CuF, CuCl, CuBr, CuI, and mixtures thereof; wherein the base in step 1-C is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium *tert*-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, sodium hydride, potassium hydride, trisodium phosphate, tripotassium phosphate, and mixtures thereof; and wherein the ligand in step 1-C is selected from the group consisting of *N*,*N*'-DMEDA, 1,10-phenanthroline, 2,2'-bipyridine, and mixtures thereof.

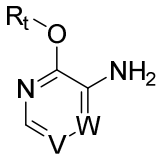
9. A process for preparing compounds of claim **1**,

wherein  is selected from the group consisting of  ,  , and  , comprising:

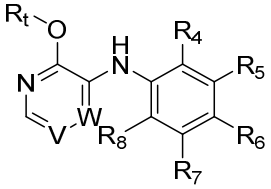
a step A, reacting a compound of formula (2)

 (2)

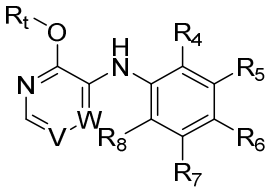
with a compound of formula (3)

 (3)

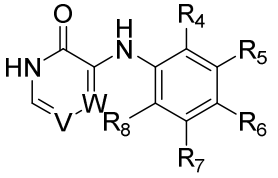
to produce a compound of formula (4)

 (4) ;

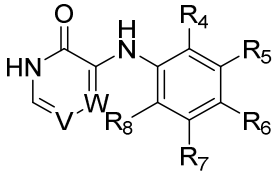
a step B, reacting a compound of formula (4)

 (4)

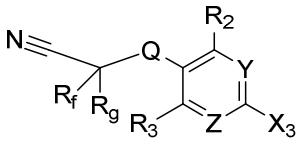
with an acid to produce a compound of formula (5)

 (5) ; and

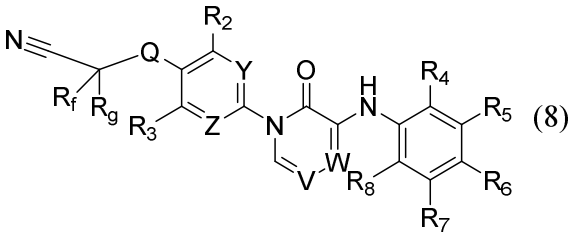
a step 2-C, reacting a compound of formula (5)

 (5)

with a compound of formula (7)


(7)

to produce a compound of formula (8)


(8)

,

wherein X_3 is F, Cl, Br, or I; V is N or CH; W is N or CH; and R_t is H or unsubstituted C_1-C_6 straight or branched alkyl;

optionally wherein:

(i) step A is carried out from about 50 °C to about 100 °C; step B is carried out from about 50 °C to about 100 °C; and step 2-C is carried out from about 50 °C to about 125 °C;

(ii) step A is carried out in the presence of a solvent and a base; step B is carried out in the presence of a solvent and an acid; and step 2-C is carried out in the presence of a solvent, a copper salt, a base, and a ligand; optionally wherein:

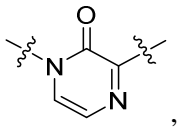
(a) the solvent in step A is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof; and wherein the base in step A is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium *tert*-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, lithium hydride, sodium hydride, potassium hydride, and mixtures thereof;

(b) the solvent in step B is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, AcOH, water, and mixtures thereof; and wherein the acid in step B is selected from the group consisting of AcOH, TFA, hydrochloric acid, hydrobromic acid, and mixtures thereof; and/or

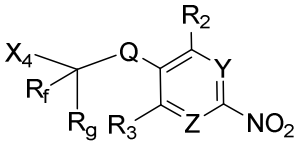
(c) the solvent in step 2-C is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof; wherein the copper salt in step 2-C is selected from the group consisting of CuF, CuCl, CuBr, CuI, and mixtures thereof; wherein the base in step 2-C is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium *tert*-

butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, sodium hydride, potassium hydride, trisodium phosphate, tripotassium phosphate, and mixtures thereof; and wherein the ligand in step 2-C is selected from the group consisting of *N*,*N'*-DMEDA, 1,10-phenanthroline, 2,2'-bipyridine, and mixtures thereof.

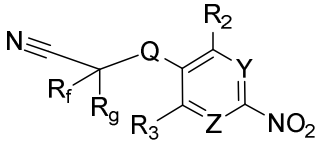
10. A process for preparing compounds of claim **1**,

wherein  is  , comprising:

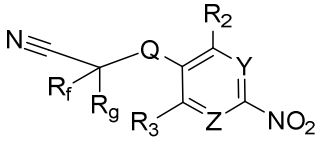
a step 3-A, reacting a compound of formula (9)

 (9)

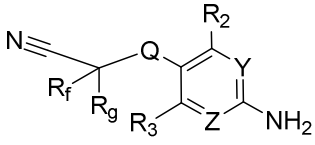
with a cyanide reagent to produce a compound of formula (10)

 (10) ;

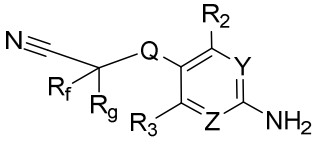
a step 3-B, reacting a compound of formula (10)

 (10)

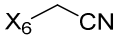
with a hydrogenation reagent to produce a compound of formula (11)

 (11) ;

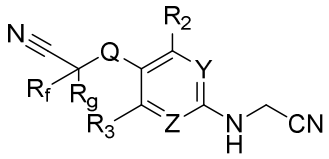
a step 3-C, reacting a compound of formula (10)

 (11)

with a compound of formula (12)

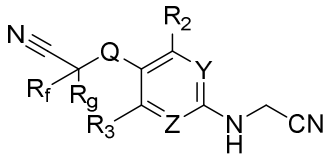
 (12)

to produce a compound of formula (13)

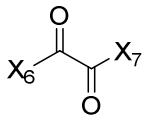

(13)

;

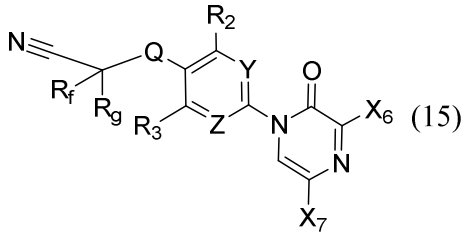
a step 3-D, reacting a compound of formula (13)


(13)

with a compound of formula (14)

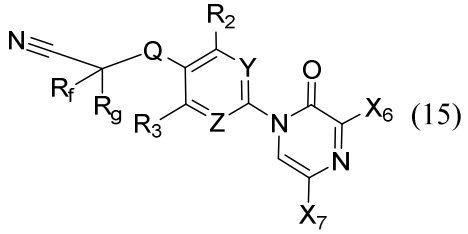

(14)

to produce a compound of formula (15)

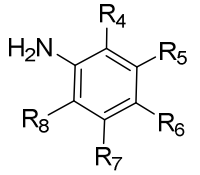

(15)

;

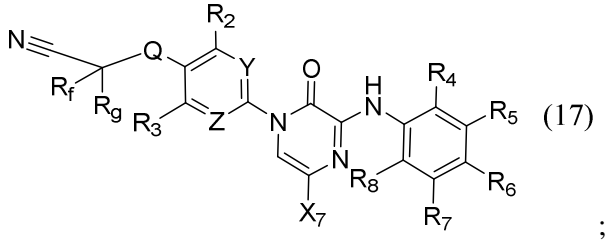
a step 3-E, reacting a compound of formula (15)


(15)

with a compound of formula (16)

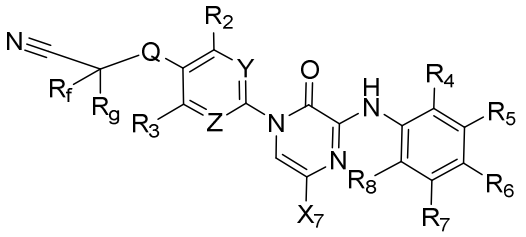

(16)

to produce a compound of formula (17)

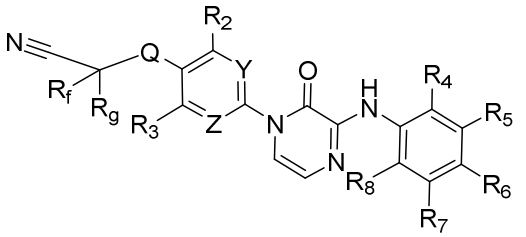

(17)

;

a step 3-F, reacting a compound of formula (17)

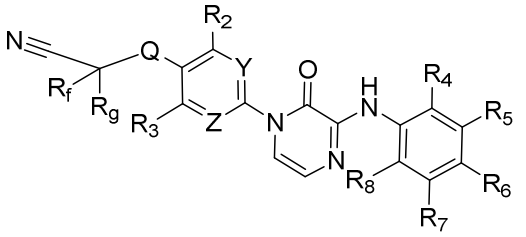

(17)

with a hydrogenation reagent to produce a compound of formula (18)

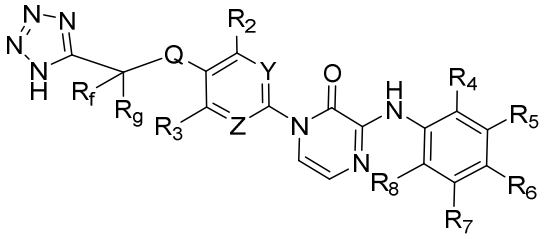

(18)

; and

a step 3-G, reacting a compound of formula (18)


(18)

with an azide reagent to produce a compound of formula (1b-2)


(1b-2)

,

wherein X_4 is F, Cl, Br, or I; X_5 is F, Cl, Br, I, or a leaving group L_1 selected from the group consisting of *p*-toluenesulfonate (-OTs), methanesulfonate (-OMs), trifluoro-methanesulfonate ($-SO_3CF_3$), nitrate ($-ONO_2$), and phosphates ($-OPO(OR)_2$), wherein R is an alkyl group; X_6 is F, Cl, Br, or I; and X_7 is F, Cl, Br, or I;

optionally wherein:

(i) step 3-A is carried out from about 30 °C to about 75 °C; step 3-B is carried out from about 15 °C to about 35 °C; step 3-C is carried out from about 50 °C to about 75 °C; step 3-D is carried out from about 75 °C to about 125 °C; step 3-E is carried out from about 15 °C to about 35 °C; step 3-F is carried out from about 15 °C to about 35 °C; and step 3-G is carried out from about 100 °C to about 140 °C;

(ii) step 3-A is carried out in the presence of a solvent and a cyanide reagent; step 3-B is carried out in the presence of a solvent, a hydrogenation reagent, and a catalyst; step 3-C is carried out in the presence of a solvent and a base; step 3-D is carried out in the presence of a solvent; step 3-E is carried out in the presence of a solvent and a base; step 3-F is carried out in the presence of a solvent, a hydrogenation reagent, a catalyst, and a base; and step 3-G is carried out in the presence of a solvent, an azide reagent, and a salt; optionally wherein:

(a) the solvent in step 3-A is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, DMSO, and mixtures thereof; and wherein the cyanide reagent in step 3-A is selected from the group consisting of sodium cyanide, potassium cyanide, and mixtures thereof;

(b) the solvent in step 3-B is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, and mixtures thereof; wherein the hydrogenation reagent in step 3-B is selected from the group consisting of hydrogen (H_2), formic acid, isopropanol, and mixtures thereof; and wherein the catalyst is selected from the group consisting of Wilkinson's catalyst, platinum(0), palladium(0), Pt/C, Pd/C, and mixtures thereof;

(c) the solvent in step 3-C is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, and mixtures thereof; and the base in step 3-C is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium *tert*-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, lithium hydride, sodium hydride, potassium hydride, and mixtures thereof;

(d) the solvent in step 3-D is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, benzene, toluene, *o*-xylene, *m*-xylene, *p*-xylene, chlorobenzene, and mixtures thereof;

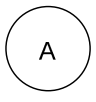
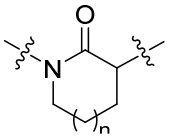
(e) the solvent in step 3-E is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, benzene, toluene, *o*-xylene, *m*-xylene, *p*-xylene, chlorobenzene, and mixtures thereof; and wherein the base in step 3-E is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium tert-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, lithium hydride, sodium hydride, potassium hydride, and mixtures thereof;

(f) the solvent in step 3-F is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH,

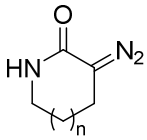
*i*PrOH, and mixtures thereof; wherein the hydrogenation reagent in step 3-F is selected from the group consisting of hydrogen (H_2), formic acid, isopropanol, and mixtures thereof; wherein the catalyst in step 3-F is selected from the group consisting of Wilkinson's catalyst, platinum(0), palladium(0), Pt/C, Pd/C, and mixtures thereof; and wherein the base in step 3-F is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium tert-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, lithium hydride, sodium hydride, potassium hydride, and mixtures thereof; and/or

(g) the solvent in step 3-G is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, benzene, toluene, *o*-xylene, *m*-xylene, *p*-xylene, and mixtures thereof; wherein the azide reagent in step 3-G is selected from the group consisting of lithium azide, sodium azide, potassium azide, trimethyltin azide, triethyltin azide, tripropyltin azide, tributyltin azide, and mixtures thereof; and wherein the salt in step 3-G is selected from the group consisting of ammonium fluoride, ammonium chloride, ammonium bromide, ammonium iodide, and mixtures thereof.

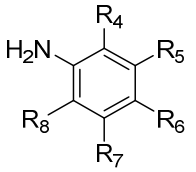
11. A process for preparing compounds of claim **1**,

wherein  is  , comprising:

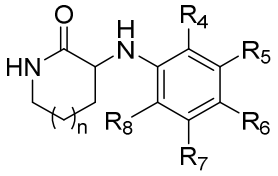
a step 4-A, reacting a compound of formula (19)

 (19)

with a compound of formula (16)

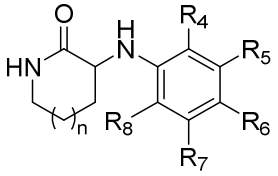
 (16)

to produce a compound of formula (20)

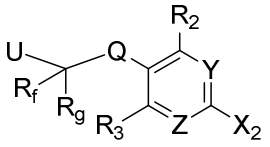
 (20)

; and

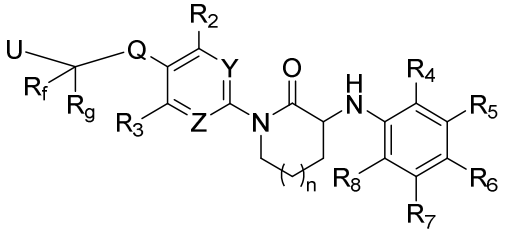
a step 4-B, reacting a compound of formula (20)

 (20)

with a compound of formula (6)

 (6)

to produce a compound of formula (1d)

 (1d).

;

optionally wherein:

(i) step 4-A is carried out from about 15 °C to about 35 °C; and step 4-B is carried out from about 50 °C to about 125 °C; and/or

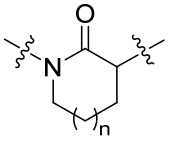
(ii) step 4-A is carried in the presence of a solvent and a catalyst; and step 4-B is carried out in the presence of a solvent, a copper salt, a base, and a ligand; optionally wherein:

(a) the solvent in step 4-A is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof; and wherein the catalyst in step 4-A is selected from the group consisting of $Rh_2(OAc)_4$, $Rh(OAc)_3$, $Rh(acac)_3$, and mixtures thereof; and/or

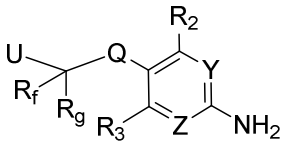
(b) the solvent in step 4-B is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof; wherein the copper salt in step 4-B is selected from the group consisting of CuF, CuCl, CuBr, CuI, and mixtures thereof; wherein the base in step 4-B is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium *tert*-

butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, sodium hydride, potassium hydride, trisodium phosphate, tripotassium phosphate, and mixtures thereof; and wherein the ligand in step 4-B is selected from the group consisting of *N*,*N'*-DMEDA, 1,10-phenanthroline, 2,2'-bipyridine, and mixtures thereof.

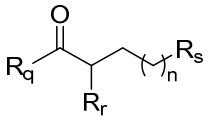
12. A process for preparing compounds of claim **1**,

wherein  is  , comprising:

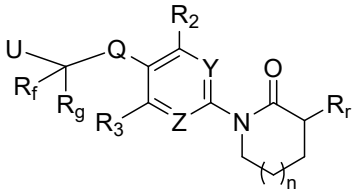
a step 5-A, reacting a compound of formula (21)

 (21)

with a compound of formula (22)

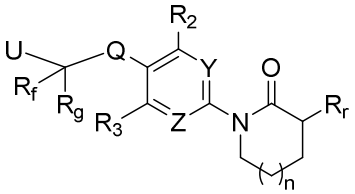
 (22)

to produce a compound of formula (23)

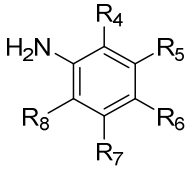
 (23)

; and

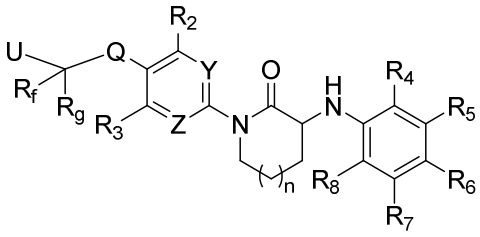
a step 5-B, reacting a compound of formula (23)

 (23)

with a compound of formula (16)

 (16)

to produce a compound of formula (1d)



,

wherein R_q R_r, and R_s, are independently F, Cl, Br, I, OR_h, SR_h, or a leaving group L_2 selected from the group consisting of *p*-toluenesulfonate (-OTs), methanesulfonate (-OMs), trifluoromethanesulfonate ($-OSO_2CF_3$), nitrate ($-ONO_2$), and phosphates ($-OPO(OR)_2$), wherein R is an alkyl group; and R_h is H or an unsubstituted C_1-C_6 straight or branched alkyl;

optionally wherein:

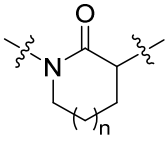
(i) step 5-A is carried out from about 15 °C to about 35 °C; and step 5-B is carried out from about -10 °C to about 10 °C; and/or

(ii) step 5-A is carried out in the presence of a solvent and a base; and step 5-B is carried out in the presence of a solvent and a catalyst; optionally wherein:

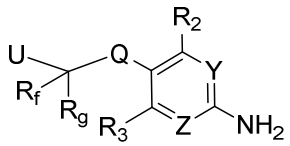
(a) the solvent in step 5-A is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof; and wherein the base in step 5-A is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium *tert*-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, lithium hydride, sodium hydride, potassium hydride, and mixtures thereof; and/or

(b) the solvent in step 5-B is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof; and wherein the base in step 5-B is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium *tert*-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, lithium hydride, sodium hydride, potassium hydride, and mixtures thereof.

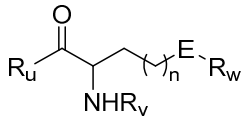
13. A process for preparing compounds of claim **1**,

wherein  is  , comprising:

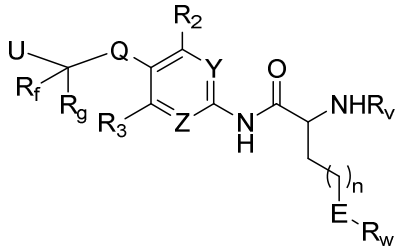
a step 6-A, reacting a compound of formula (21)

 (21)

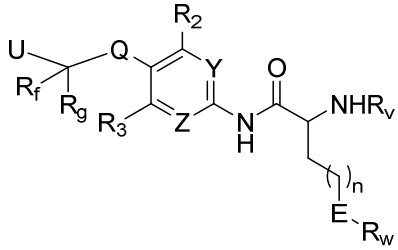
with a compound of formula (24)

 (24)

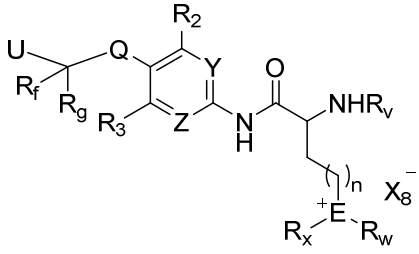
to produce a compound of formula (25)

 (25) ;

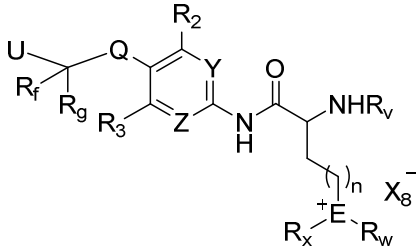
a step 6-B, reacting a compound of formula (25)

 (25)

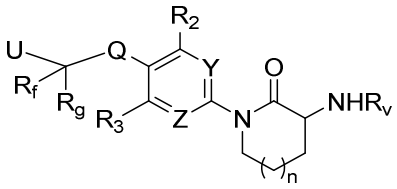
with an alkylating reagent to produce a compound of formula (26)

 (26) ;

a step 6-C, reacting a compound of formula (26)

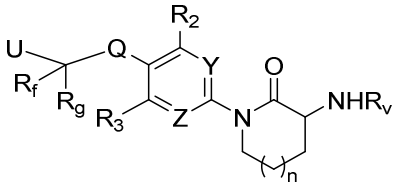
 (26)

with a non-nucleophilic base to produce a compound of formula (27)


(27)

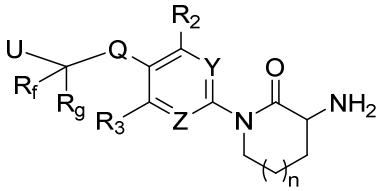
;

a step 6-D, reacting a compound of formula (27)


(27)

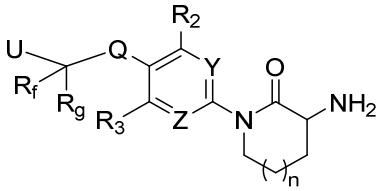
,

with a deprotecting reagent to produce a compound of formula (28)

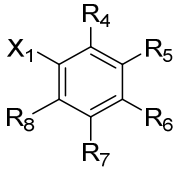

(28)

; and

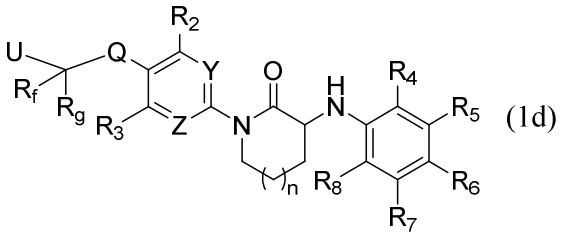
a step 6-E, reacting a compound of formula (28)


(28)

with a compound of formula (2)


(2)

to produce a compound of formula (1d)


(1d)

,

wherein X_8 is F, Cl, Br, or I; E is O or S; R_u is F, Cl, Br, I, OR_p, or SR_p; R_v is unsubstituted C_1-C_6 straight or branched alkyl, or a protecting group selected from the group consisting of a benzyl (Bn) group, a (diphenyl)methylene group, a trityl (triphenylmethyl, Tr)

group, a (4-methoxyphenyl)diphenylmethylene (methoxytrityl, MMT) group, a formyl group, an acetyl (Ac) group, a benzoyl (Bz) group, a *tert*-butyloxycarbonyl (BOC) group, a carbobenzyloxy (Cbz) group, a *p*-methoxybenzylcarbonyl (Moz) group, a 9-fluorenyl-methyloxycarbonyl (Fmoc) group, a dialkyl phosphoramidate group, a methanesulfonyl (mesyl, Ms) group, and a *p*-toluenesulfonyl (tosyl, Ts) group; R_w is H or unsubstituted C_1-C_6 straight or branched alkyl; R_x is H or unsubstituted C_1-C_6 straight or branched alkyl; and R_p is H or unsubstituted C_1-C_6 straight or branched alkyl;

optionally wherein:

(i) step 6-A is carried out from about 15 °C to about 35 °C; step 6-B is carried out from about 15 °C to about 35 °C; step 6-C is carried out from about -10 °C to about 10 °C; step 6-D is carried out from about 15 °C to about 35 °C; and step 6-E is carried out from about 75 °C to about 125 °C; and/or

(ii) step 6-A is carried out in the presence of a solvent, a peptide coupling reagent, and a base; step 6-B is carried out in the presence of a solvent and an alkylating reagent; step 6-C is carried out in the presence of solvent and a non-nucleophilic base; step 6-D is carried out in the presence of a solvent and a deprotection reagent; and step 6-E is carried out in the presence of a solvent, a catalyst, a ligand, and a base; optionally wherein:

(a) the solvent in step 6-A is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof; wherein the peptide coupling reagent in step 6-A is selected from the group consisting of DCC, DIC, CIC, BOP, PyBOP, AOP, PyAOP, HBTU, TBTU, HATU, HDMA, T3P, and mixtures thereof; and wherein the base in step 6-A is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium tert-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, sodium hydride, potassium hydride, trisodium phosphate, tripotassium phosphate, and mixtures thereof;

(b) the solvent in step 6-B is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, and mixtures thereof; and wherein the alkylating reagent in step 6-B is selected from the group consisting of methyl bromide, methyl iodide, ethyl bromide, ethyl iodide, *n*-propyl bromide, *n*-propyl iodide, isopropyl bromide, isopropyl iodide, and mixtures thereof;

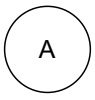
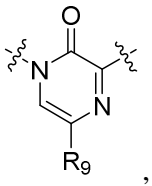
(c) the solvent in step 6-C is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, and mixtures thereof; and the non-nucleophilic base in step 6-C is selected from the group

consisting of N,N-diisopropylethylamine, 2,6-di-*tert*-butylpiperidine, DBU, DBN, potassium tert-butoxide, LDA, LiTMP, NaTMP, KTMP, LiHMDS, NaHMDS, KHMDS, lithium hydride, sodium hydride, potassium hydride, and mixtures thereof;

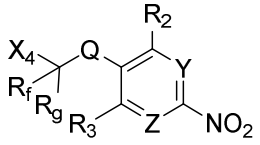
(d) the solvent in step 6-D is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, AcOH, water, and mixtures thereof; and wherein the deprotection reagent in step 6-D is selected from the group consisting of formic acid, acetic acid, trifluoroacetic acid, hydrochloric acid, hydrobromic acid, hydroiodic acid, and mixtures thereof; and/or

(e) the solvent in step 6-E is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, benzene, toluene, *o*-xylene, *m*-xylene, *p*-xylene, and mixtures thereof; wherein the catalyst in step 6-E is selected from the group consisting of $Pd_2(dba)_3$, $PdCl_2(PPh_3)_2$, $Pd(PPh_3)_4$, and mixtures thereof; wherein the ligand in step 6-E is selected from the group consisting of N,N'-DMEDA, 1,10-phenanthroline, 2,2'-bipyridine, BINAP, Chiraphos, Xanthphos, DPEphos, SPANphos, Me-DuPhos, dppm, and mixtures thereof; and wherein the base in step 6-E is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium tert-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, sodium hydride, potassium hydride, trisodium phosphate, tripotassium phosphate, and mixtures thereof.

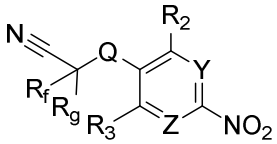
14. A process for preparing compounds of claim **1**,

wherein  is  , comprising:

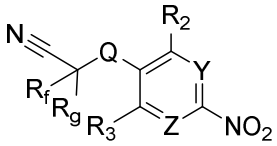
a step 7-A, reacting a compound of formula (9)

 (9);

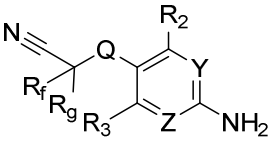
with a cyanide reagent to produce a compound of formula (10)

 (10);

a step 7-B, reacting a compound of formula (10)

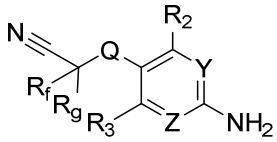

(10)

with a hydrogenation reagent to produce a compound of formula (11)

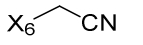

(11)

;

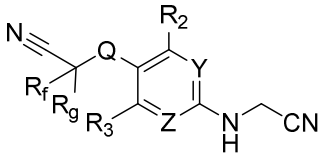
a step 7-C, reacting a compound of formula (11)


(11)

with a compound of formula (12)

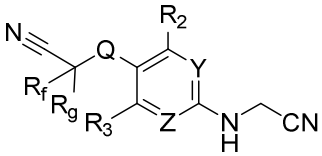

(12)

to produce a compound of formula (13)

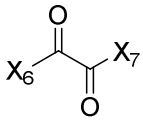

(13)

;

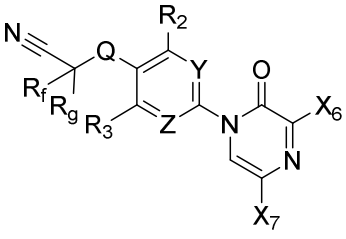
a step 7-D, reacting a compound of formula (13)


(13)

with a compound of formula (14)

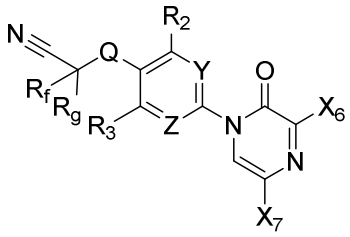

(14)

to produce a compound of formula (15)


(15)

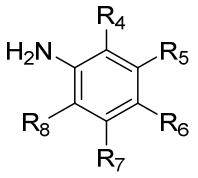
;

a step 7-E, reacting a compound of formula (15)



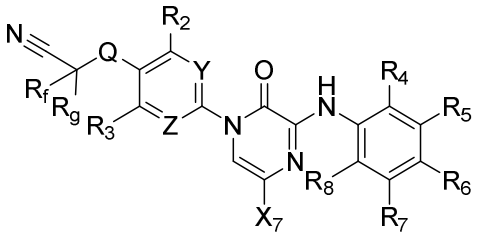
(15)

with a compound of formula (16)



(16)

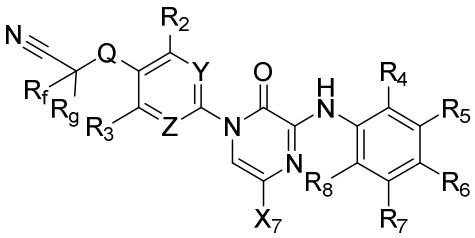
to produce a compound of formula (17)



(17)

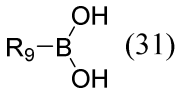
;

a step 7-F, reacting a compound of formula (17)



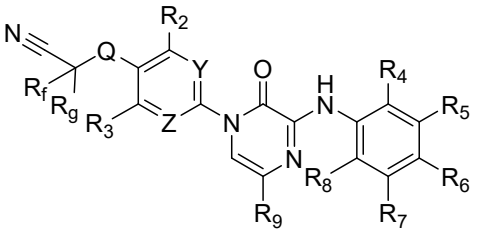
(17)

with a palladium catalyst and a compound of formula (31)



(31)

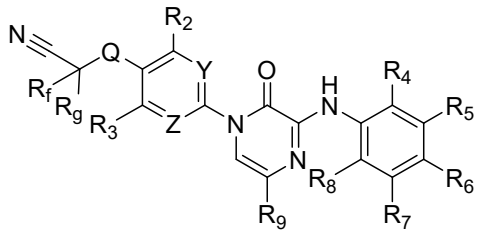
to produce a compound of formula (18')



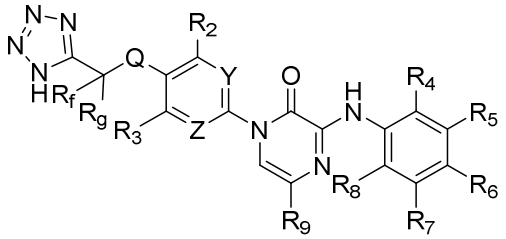
(18')

;

a step 7-G, reacting a compound of formula (18')


(18')

with an azide reagent to produce a compound of formula (1a-2')


(1a-2')

,

wherein X_4 is F, Cl, Br, or I; X_5 is F, Cl, Br, I, or a leaving group L_1 selected from the group consisting of *p*-toluenesulfonate (–OTs), methanesulfonate (–OMs), trifluoromethanesulfonate ($–OSO_2CF_3$), nitrate ($–ONO_2$), and phosphates ($–OPO(OR)_2$), wherein R is an alkyl group; X_6 is F, Cl, Br, or I; and X_7 is F, Cl, Br, or I;

optionally wherein:

(i) step 7-A is carried from about 30 °C to about 75 °C; step 7-B is carried out from about 15 °C to about 35 °C; step 7-C is carried out from about 50 °C to about 75 °C; step 7-D is carried out from about 75 °C to about 125 °C; step 7-E is carried out from about 15 °C to about 35 °C; step 7-F is carried out from about 50 °C to about 140 °C; and step 7-G is carried out from about 100 °C to about 140 °C;

(ii) step 7-A is carried out in the presence of a solvent and a cyanide agent; step 7-B is carried out in the presence of a solvent, a hydrogenation reagent, and a catalyst; step 7-C is carried out in the presence of a solvent and a base; step 7-D is carried out in the presence of a solvent; step 7-E is carried out in the presence of a solvent and a base; step 7-F is carried out in the presence of a solvent; and step 7-G is carried out in the presence of a solvent, an azide reagent, and a salt; optionally wherein:

(a) the solvent in step 7-A is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, DMSO, and mixtures thereof; and wherein the cyanide reagent in step 7-A is selected from the group consisting of sodium cyanide, potassium cyanide, and mixtures thereof;

(b) the solvent in step 7-B is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, and mixtures thereof; wherein the hydrogenation reagent in step 7-B is selected from

the group consisting of hydrogen (H_2), formic acid, isopropanol, and mixtures thereof; and wherein the catalyst is selected from the group consisting of Wilkinson's catalyst, platinum(0), palladium(0), Pt/C, Pd/C, and mixtures thereof;

(c) the solvent in step 7-C is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, and mixtures thereof; and the base in step 7-C is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropyethylamine, potassium *tert*-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, lithium hydride, sodium hydride potassium hydride, and mixtures thereof;

(d) the solvent in step 7-D is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, benzene, toluene, *o*-xylene, *m*-xylene, *p*-xylene, chlorobenzene, and mixtures thereof;

(e) the solvent in step 7-E is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, benzene, toluene, *o*-xylene, *m*-xylene, *p*-xylene, chlorobenzene, and mixtures thereof; and wherein the base in step 7-E is selected from the group consisting of ammonia, triethylamine, *N*,*N*-diisopropylethylamine, potassium *tert*-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, lithium hydride, sodium hydride, potassium hydride, and mixtures thereof;

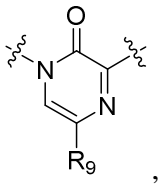
(f) the solvent in step 7-F is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, water, and mixtures thereof; and/or

(g) the solvent in step 7-G is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, DCM, $CHCl_3$, MeCN, MeOH, EtOH, *i*PrOH, benzene, toluene, *o*-xylene, *m*-xylene, *p*-xylene, and mixtures thereof; wherein the azide reagent in step 7-G is selected from the group consisting of lithium azide, sodium azide, potassium azide, trimethyltin azide, triethyltin azide, tripropyltin azide, tributyltin azide, and mixtures thereof; and wherein the salt in step 7-G is selected from the group consisting of ammonium fluoride, ammonium chloride, ammonium bromide, ammonium iodide, and mixtures thereof; and/or

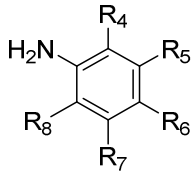
(iii) the palladium catalyst in step 7-F is selected from the group consisting of palladium(II) acetate ($Pd(OAc)_2$), palladium(II) acetylacetonate ($Pd(acac)_2$), tris(dibenzylideneacetone)dipalladium(0) ($Pd_2(dba)_3$), dichlorobis(triphenylphosphine)palladium(II) ($PdCl_2(PPh_3)_2$),

tetrakis(triphenylphosphine)palladium(0) ($Pd(PPh_3)_4$), palladium(0) (Pd), palladium(0) on carbon (Pd/C), dichloro(1,1'-bis(di-*tert*-butylphosphino)ferrocene)palladium(II) ($Pd(dtbpf)Cl_2$), and mixtures thereof.

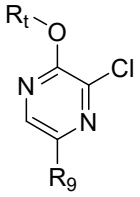
15. A process for preparing compounds of claim **1**,

wherein  is  , comprising:

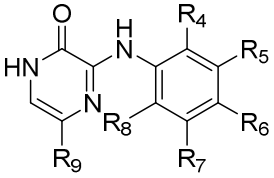
a step 8-A, reacting a compound of formula (16)

 (16)

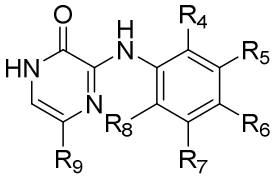
with a compound of formula (29)

 (29)

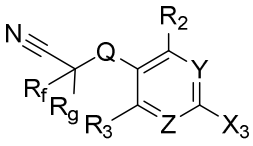
to produce a compound of formula (30)

 (30) ;

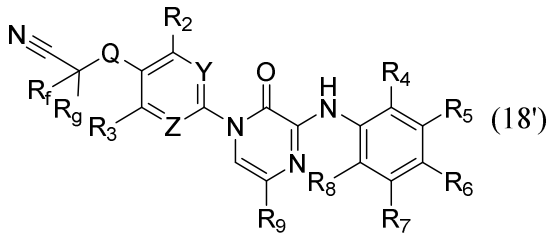
a step 8-B, reacting a compound of formula (30)

 (30)

with a compound of formula (7)

 (7)

to produce a compound of formula (18')



(18')

wherein X_3 is F, Cl, Br, or I; and

R_t is H or unsubstituted C_1-C_6 straight or branched alkyl;

optionally wherein:

(i) step 8-A is carried out from about 50 °C to about 125 °C; and step 8-B is carried out from about 50 °C to about 125 °C; and/or

(ii) step 8-A is carried out in the presence of a solvent and an acid; step 8-B is carried out in the presence of a solvent, a copper salt, a base, and a ligand; optionally wherein:

(a) the solvent in step 8-A is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, EtOAc, 1,4-dioxane, DCM, $CHCl_3$, MeCN, AcOH, and mixtures thereof; and

wherein the acid in step 8-A is selected from the group consisting of formic acid, acetic acid, trifluoroacetic acid, hydrochloric acid, hydrobromic acid, hydroiodic acid, and mixtures thereof; and/or

(b) the solvent in step 8-B is selected from the group consisting of DMF, DMA, NMP, THF, 2-MeTHF, 1,4-dioxane, EtOAc, DCM, $CHCl_3$, MeCN, and mixtures thereof;

wherein the copper salt in step 8-B is selected from the group consisting of CuF, CuCl, CuBr, CuI, and mixtures thereof;

wherein the base in step 8-B is selected from the group consisting of ammonia, triethyl amine, *N*,*N*-diisopropylethylamine, potassium *tert*-butoxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, cesium carbonate, sodium hydride, potassium hydride, trisodium phosphate, tripotassium phosphate, and mixtures thereof; and

wherein the ligand in step 8-B is selected from the group consisting of *N*,*N*'-DMEDA, 1,10-phenanthroline, 2,2'-bipyridine, and mixtures thereof.

16. A pharmaceutical composition comprising a compound of claim **1** or an enantiomer; racemate; or pharmaceutically acceptable salt thereof for use as a medicament.