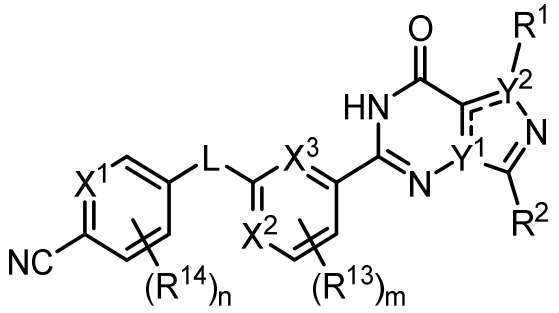
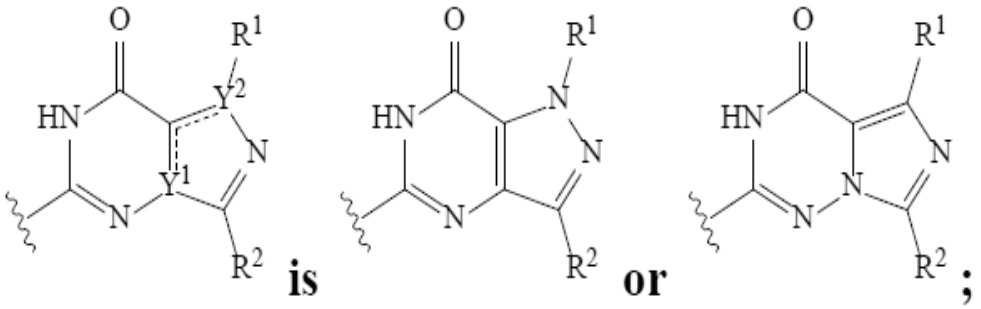
CLAIMS

1. A compound of formula (I):



(I)

or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:



R^1 is H, $(C_1\text{-}C_6)$alkyl, $(C_2\text{-}C_4)$alkenyl, $O(C_1\text{-}C_6)$alkyl, or $(C_3\text{-}C_6)$cycloalkyl;

wherein the $(C_1\text{-}C_6)$ alkyl, $(C_2\text{-}C_4)$ alkenyl, or $O(C_1\text{-}C_6)$ alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; and

wherein the $(C_3\text{-}C_6)$ cycloalkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, $=NNH_2$, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$;

R^2 is H, (C_1-C_6) alkyl, (C_2-C_4) alkenyl, O(C_1-C_6) alkyl, or (C_3-C_6) cycloalkyl;

wherein the (C_1-C_6) alkyl, (C_2-C_4) alkenyl, or O(C_1-C_6) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; and

wherein the (C_3-C_6) cycloalkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, =NNH_2, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$;

L is -A-B-;

A is a covalent bond, -$NHC(O)R^5$-, -$NR^6C(Z^1)NR^7$-, -$NR^{11}S(O)_2$-, 3- to 6-membered heterocyclylene, (C_6-C_{12}) arylene, -(C_3-C_{12}) heteroarylene-(C_1-C_5) alkylene-, or (C_3-C_{12}) heteroarylene;

wherein the 3- to 6-membered heterocyclylene is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, =NNH_2, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$;

wherein each haloalkyl substituent of the 3- to 6-membered heterocyclylene is optionally and independently substituted with one or more OH substituents;

wherein the (C_3-C_{12}) heteroarylene portion of -(C_3-C_{12}) heteroarylene-(C_1-C_5) alkylene- is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, OH, $R^bC(O)R^a$,

$R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$;

wherein the (C_6-C_{12}) arylene or (C_3-C_{12}) heteroarylene is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, OH, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$; and

wherein A is bonded to the aromatic ring bearing X^1;

B is a covalent bond, -$NHC(O)R^5$-, -$NR^6C(Z^1)NR^7$-, -NR^{11}-, -$NR^{11}S(O)_2$-, -O-, -S-, -$S(O)_2$-, or 3- to 6-membered heterocyclylene;

wherein the 3- to 6-membered heterocyclylene is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, $=NNH_2$, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$; and

wherein B is bonded to the aromatic ring bearing X^2 and X^3;

each R^5 is independently (C_1-C_5) alkylene, (C_1-C_5) haloalkylene, or -O;

wherein each (C_1-C_5) alkylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl;

each R^6 is independently H or (C_1-C_3) alkyl;

wherein each (C_1-C_3) alkylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, =S, cycloalkyl,

heterocycloalkyl, aryl, and heteroaryl;

each R^7 is independently H or (C_1-C_3) alkyl;

wherein each (C_1-C_3) alkylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; or

any R^6 and R^7, taken together with the nitrogen atoms to which they are attached, independently forms a 3- to 6-membered heterocyclylene;

wherein each 3- to 6-membered heterocyclylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, =NNH_2, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$; or

wherein each 3- to 6-membered heterocyclylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of =O, =S, R^8, and R^9;

each R^8 is independently H or (C1-C3) alkyl;

wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$;

each R^9 is independently H or (C_1-C_3) alkyl;

wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$; or

each R^8 and R^9, taken together with the carbon atom to which they are attached, independently forms a spirocyclic 3- to 6-membered carbocyclyl or a spirocyclic 3- to 6-membered heterocyclyl;

wherein each spirocyclic 3- to 6-membered carbocyclyl or spirocyclic 3- to 6-membered heterocyclyl is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, $=NNH_2$, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, $=NNH_2$, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$;

each R^{11} is independently H or (C_1-C_3) alkyl;

wherein each (C_1-C_3) alkylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl;

each Z^1 is independently O or S;

X^1 is CR^{14} or N;

X^2 is CR^{13} or N;

X^3 is CR^{13} or N;

each R^{13} is independently H, (C_1-C_6)alkyl, or O(C_1-C_6)alkyl;

wherein each (C_1-C_6) alkyl and O(C_1-C_6) alkyl is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl;

each R^{14} is independently H, halogen, CN, NO_2, (C_1-C_5)alkyl, (C_2-C_4)alkenyl, NH_2, OH, O(C_1-C_5)alkyl, or (C_3-C_6)cycloalkyl;

wherein each (C_1-C_5) alkyl, (C_2-C_4) alkenyl, and O(C_1-C_5) alkyl is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; and

wherein each (C_3-C_6) cycloalkyl is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, =NNH_2, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$;

each R^a is independently H, alkyl, alkyl(cycloalkyl), alkyl(heterocycloalkyl), aralkyl, alkyl(heteroaryl), cycloalkyl, heterocycloalkyl, aryl, or heteroaryl;

wherein each alkyl, alkyl portion of alkyl(cycloalkyl), alkyl portion of alkyl(heterocycloalkyl), alkyl portion of aralkyl, and alkyl portion of alkyl(heteroaryl) is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, and =S;

wherein each cycloalkyl and heterocycloalkyl is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, =NNH_2, =NOH, OH, =O, and =S; and

wherein each aryl and heteroaryl is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, and OH;

each R^b is independently alkylene, alkylene(cycloalkyl), alkylene(heterocycloalkyl), aralkylene, alkylene(heteroaryl), cycloalkylene, heterocycloalkylene, arylene, or heteroarylene;

wherein each alkylene, alkylene portion of alkylene(cycloalkyl), alkylene portion of alkylene(heterocycloalkyl), alkylene portion of aralkylene, and alkylene portion of alkylene(heteroaryl) is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, and =S;

wherein each cycloalkylene and heterocycloalkylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, $=NNH_2$, =NOH, OH, =O, and =S; and

wherein each arylene and heteroarylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, and OH;

each R^c is independently alkylene, alkylene(cycloalkyl), alkylene(heterocycloalkyl), aralkylene, alkylene(heteroaryl), cycloalkylene, heterocycloalkylene, arylene, or heteroarylene;

wherein each alkylene, alkylene portion of alkylene(cycloalkyl), alkylene portion of alkylene(heterocycloalkyl), alkylene portion of aralkylene, and alkylene portion of alkylene(heteroaryl) is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, and =S;

wherein each cycloalkylene and heterocycloalkylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, $=NNH_2$, =NOH, OH, =O, and =S; and

wherein each arylene and heteroarylene is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, and OH;

m is 0, 1, or 2;

n is 1, 2, 3, or 4; and

each t is independently 1 or 2;

with the provisos that:

(1) if A is a covalent bond, then B is not a covalent bond; and

(2) if B is a covalent bond, then A is not a covalent bond.

2. The compound of claim 1, or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

R^1 is (C_1-C_6) alkyl, wherein the (C_1-C_6) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl;

R^2 is (C_1-C_6) alkyl, wherein the (C_1-C_6) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl;

each R^{13} is independently H or O(C_1-C_6) alkyl, wherein each O(C_1-C_6) alkyl is optionally and independently substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; and

each R^{14} is independently H, halogen, or (C_1-C_5) alkyl, wherein each (C_1-C_5) alkyl is substituted with one or more independently selected halogen substituents, and optionally further substituted with one or more additional substituents independently selected from the group consisting of CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl.

3. The compound of claim 1, or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

(i) X^1 is CH;

X^2 is CH; and

X^3 is CH; or

(ii) X^1 is CH;

X^2 is N; and

X^3 is CH; or

(iii) X^1 is CH;

X^2 is CH; and

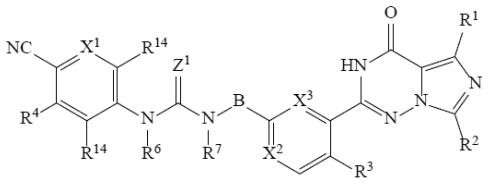
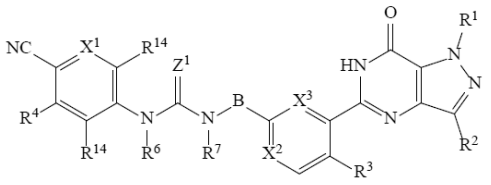
X^3 is N; or

(iv) X^1 is N;

X^2 is CH; and

X^3 is CH.

4. The compound of claim 1, wherein the compound is of formula (IIa) or formula (IIb):


(IIa) or

(IIb)

or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

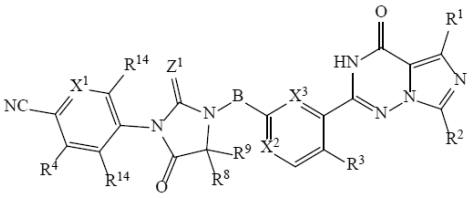
R^3 is H or O(C_1-C_6)alkyl, wherein the O(C_1-C_6) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl;

R^4 is H, halogen, CN, NO_2, (C_1-C_5) alkyl, NH_2, or OH, wherein the (C_1-C_5) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; and

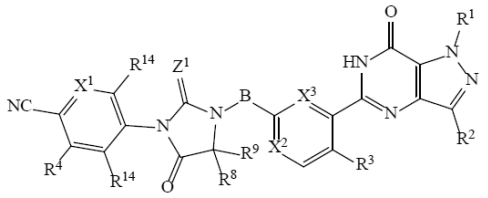
B is a covalent bond or 3- to 6-membered heterocyclylene, wherein the 3- to 6-membered heterocyclylene is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, =NNH_2, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$,

$R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$.

5. The compound of claim 4, wherein the compound is of formula (IIIa) or formula (IIIb):



(IIIa) or



(IIIb)

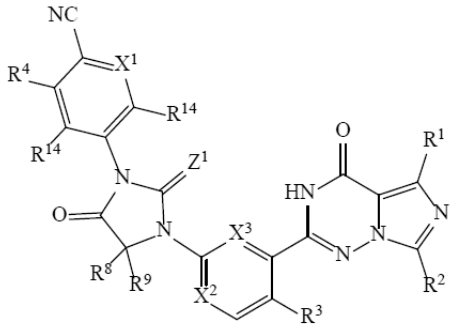
or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

R^8 is H or (C_1-C_3)alkyl, wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$; and

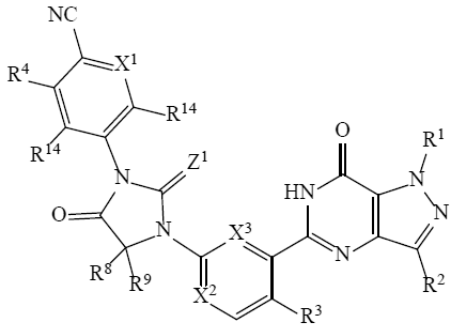
R^9 is H or (C_1-C_3) alkyl, wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$; or

R^8 and R^9, taken together with the carbon atom to which they are attached, form a spirocyclic 3- to 6-membered carbocyclyl or spirocyclic 3- to 6-membered heterocyclyl, wherein the spirocyclic 3- to 6-membered carbocyclyl or spirocyclic 3- to 6-membered heterocyclyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, =NH, $=NNH_2$, =NOH, OH, =O, =S, $R^bC(O)R^a$, $R^bC(O)N(R^a)_2$, $R^bC(O)OR^a$, $R^bN(R^a)_2$, $R^bNR^aC(O)R^a$, $R^bNR^aC(O)OR^a$, $R^bNR^aS(O)tR^a$, R^bOR^a, $R^bOC(O)R^a$, $R^bOC(O)N(R^a)_2$, $R^bOC(O)OR^a$, $R^bOR^cC(O)N(R^a)_2$, $R^bS(O)tR^a$, $R^bS(O)tN(R^a)_2$, and $R^bS(O)tOR^a$.

6. The compound of claim 5, wherein the compound is of formula (IVa) or formula (IVb):



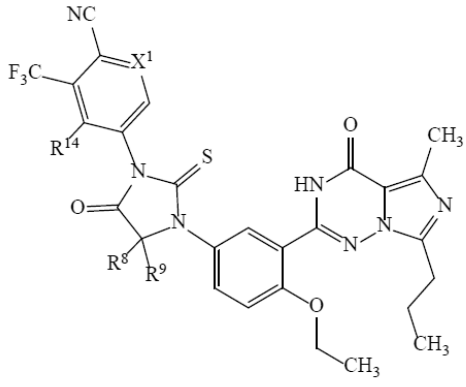
(IVa) or



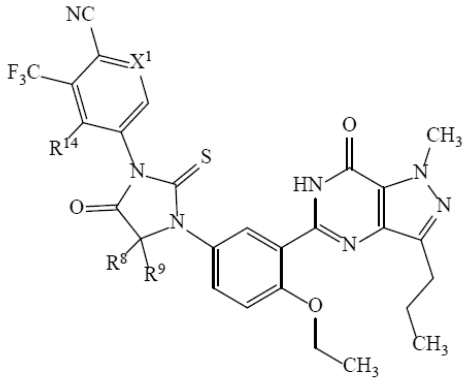
(IVb)

or a pharmaceutically acceptable salt or stereoisomer thereof.

7. The compound of claim 6, wherein the compound is of formula (IVc) or formula (IVd):



(IVc) or



(IVd)

or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

R^{14} is H or halogen.

8. The compound of claim 7, or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

R^8 is H or (C_1-C_3) alkyl, wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and

$S(O)tOR^a$; and

R^9 is H or (C_1-C_3) alkyl, wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$.

9. The compound of claim 7, or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

R^8 is CH_3; and

R^9 is CH_3.

10. The compound of claim 7, or a pharmaceutically acceptable salt or stereoisomer thereof, wherein R^8 and R^9, taken together with the carbon atom to which they are attached, form a spirocyclic cyclobutyl, a spirocyclic cyclopentyl, or a spirocyclic tetrahydrofuranyl.

11. The compound of claim 6, or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

(i) X^2 is CH; and

X^3 is N; or

(ii) X^2 is N; and

X^3 is CH;

R^1 is CH_3;

R^2 is $CH_2CH_2CH_3$;

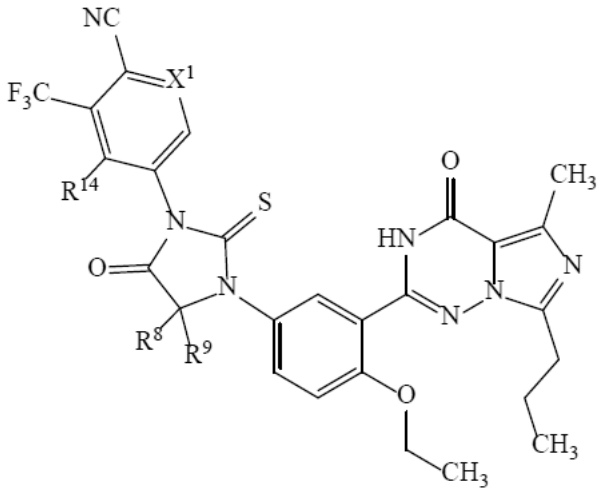
R^3 is OCH_2CH_3;

R^8 is (C_1-C_3) alkyl, wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$; and

R^9 is (C_1-C_3) alkyl, wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$; or

R^8 and R^9, taken together with the carbon atom to which they are attached, form a spirocyclic cyclobutyl, a spirocyclic cyclopentyl, or a spirocyclic tetrahydrofuranyl.

12. The compound of claim 6, wherein the compound is of formula (IVc):



(IVc)

or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

X^1 is CH or N;

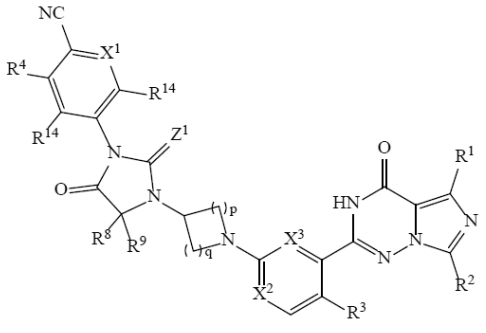
R^8 is H or (C_1-C_3) alkyl;

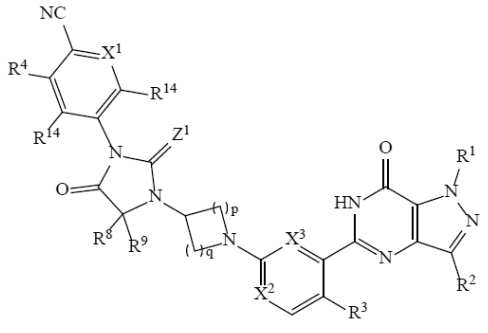
R^9 is H or (C_1-C_3) alkyl; or

R^8 and R^9, taken together with the carbon atom to which they are attached, form a spirocyclic 3- to 5-membered carbocyclyl or a spirocyclic 4- or 5-membered heterocyclyl; and

R^{14} is H or halogen.

13. The compound of claim 5, wherein the compound is of formula (Va) or formula (Vb):


(Va) or

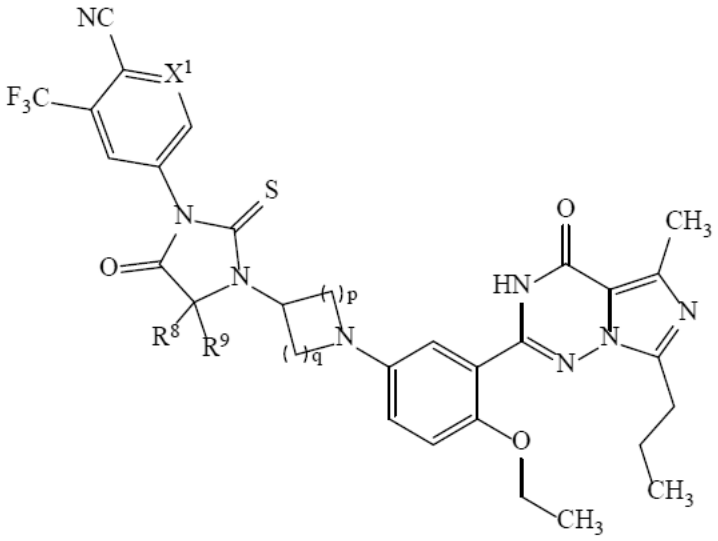

(Vb)

or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

p is 1 or 2; and

q is 1 or 2.

14. The compound of claim 13, wherein the compound is of formula (Vc):



(Vc)

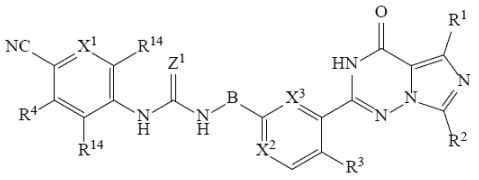
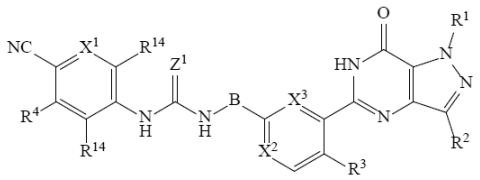
or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

R^8 is (C_1-C_3) alkyl, wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$; and

R^9 is (C_1-C_3) alkyl, wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$; or

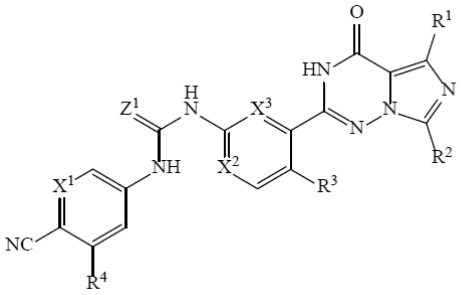
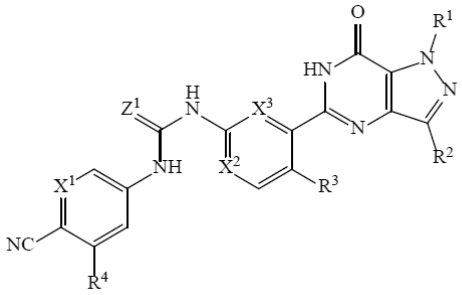
R^8 and R^9, taken together with the carbon atom to which they are attached, form a spirocyclic cyclobutyl, a spirocyclic cyclopentyl, or a spirocyclic tetrahydrofuranyl.

15. The compound of claim 4, wherein the compound is of formula (VIa) or formula (VIb):


(VIa) or

(VIb)

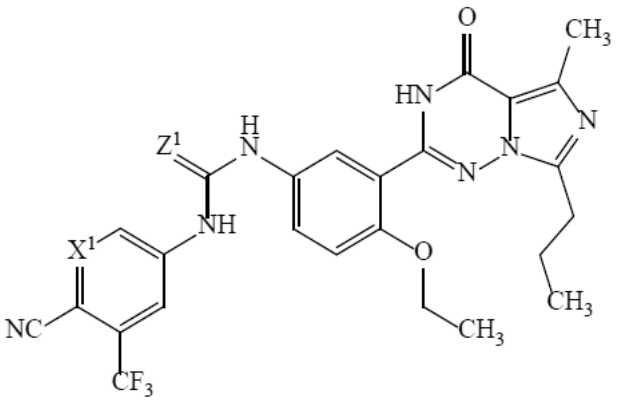
or a pharmaceutically acceptable salt or stereoisomer thereof.

16. The compound of claim 15, wherein the compound is of formula (VIIa) or formula (VIIb):


(VIIa) or

(VIIb)

or a pharmaceutically acceptable salt or stereoisomer thereof.

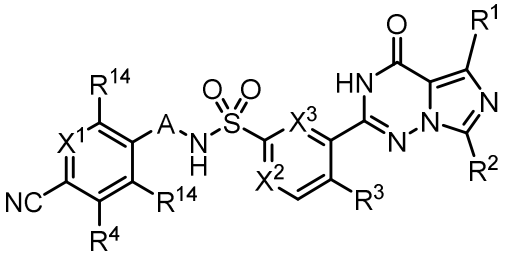
17. The compound of claim 16, wherein the compound is of formula (VIIc):

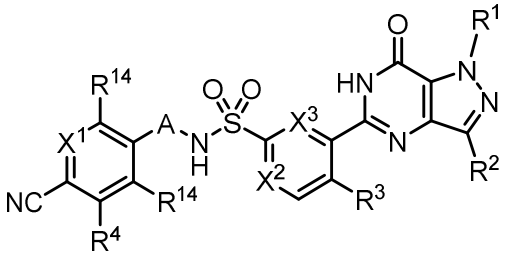


(VIIc)

or a pharmaceutically acceptable salt or stereoisomer thereof.

18. The compound of claim 1, wherein the compound is of formula (VIIIa) or (VIIIb):





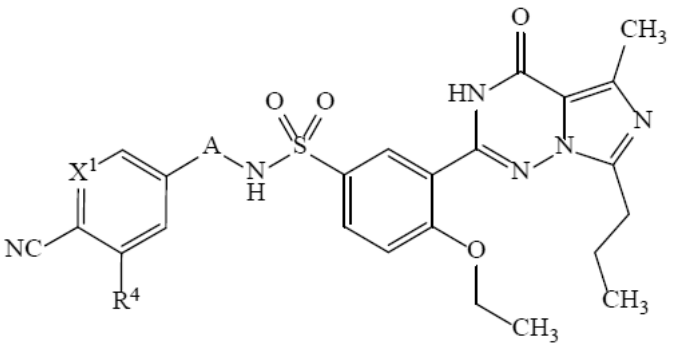
(VIIIa) or (VIIIb)

or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

R^3 is H or $O(C_1\text{-}C_6)$ alkyl, wherein the $O(C_1\text{-}C_6)$ alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; and

R^4 is H, halogen, CN, NO_2, $(C_1\text{-}C_5)$alkyl, NH_2, or OH, wherein the $(C_1\text{-}C_5)$ alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl.

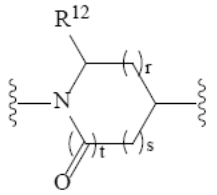
19. The compound of claim 18, wherein the compound is of formula (VIIIc):



(VIIIc)

or a pharmaceutically acceptable salt or stereoisomer thereof.

20. The compound of claim 19, or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:



A is ;

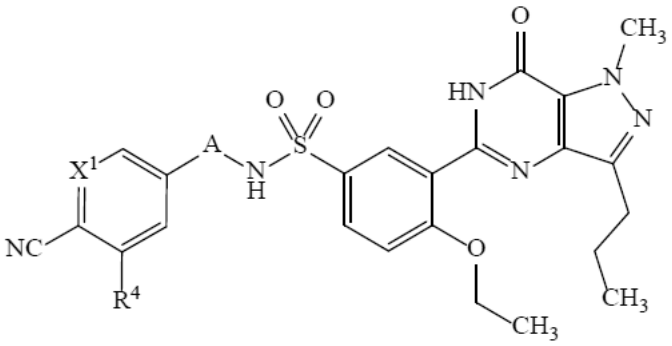
R^{12} is H, (C_1-C_5) alkyl, or OH, wherein the (C_1-C_5) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$;

r is 0 or 1;

s is 0 or 1; and

t is 0 or 1.

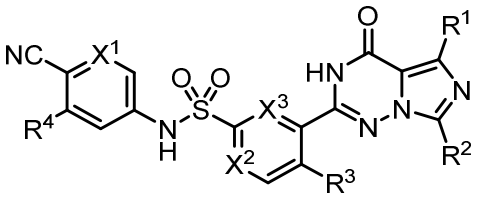
21. The compound of claim 18, wherein the compound is of formula (VIIId):



(VIIId)

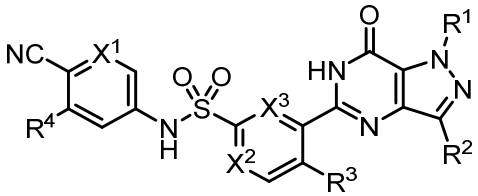
or a pharmaceutically acceptable salt or stereoisomer thereof.

22. The compound of claim 18, wherein the compound is of formula (IXa) or formula (IXb):



(IXa)

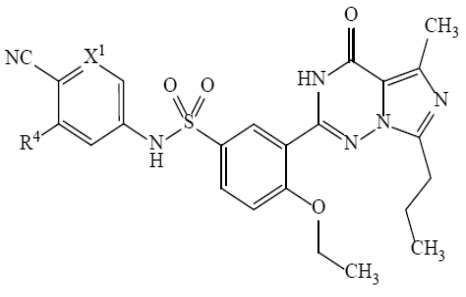
or



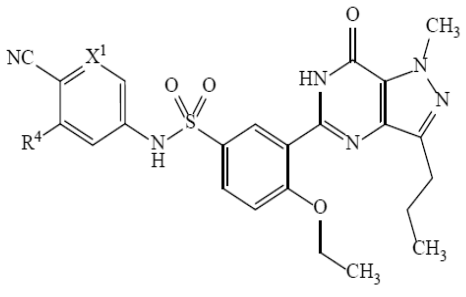
(IXb)

or a pharmaceutically acceptable salt or stereoisomer thereof.

23. The compound of claim 22, wherein the compound is of formula (IXc) or formula (IXd):



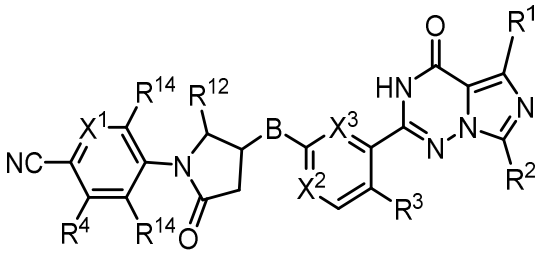
(IXc) or



(IXd)

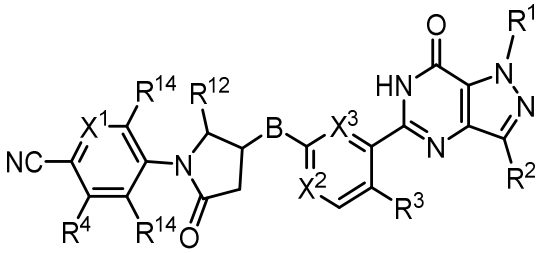
or a pharmaceutically acceptable salt or stereoisomer thereof.

24. The compound of claim 1, wherein the compound is of formula (Xa) or formula (Xb):



(Xa)

or



(Xb)

or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

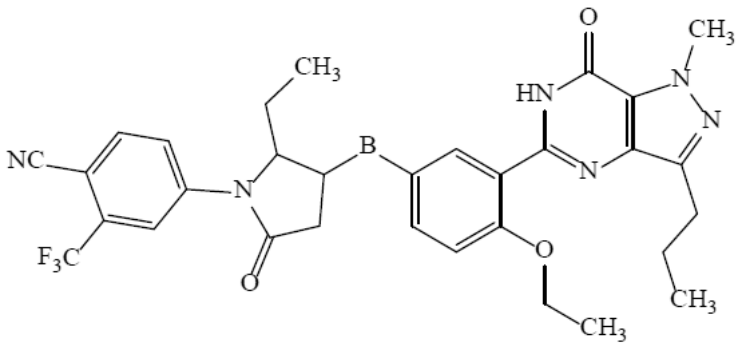
B is -NH-, -NHS(O)$_2$-, -O-, -S-, or –S(O)$_2$-;

R^3 is H or O(C_1-C_6)alkyl, wherein the O(C_1-C_6) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl;

R^4 is H, halogen, CN, NO_2, (C_1-C_5) alkyl, NH_2, or OH, wherein the (C_1-C_5) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, =NNH_2, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; and

R^{12} is H or (C_1-C_3)alkyl, wherein the (C_1-C_3) alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, $C(O)R^a$, $C(O)N(R^a)_2$, $C(O)OR^a$, $N(R^a)_2$, $NR^aC(O)R^a$, $NR^aC(O)OR^a$, $NR^aS(O)tR^a$, OR^a, $OC(O)R^a$, $OC(O)N(R^a)_2$, $OC(O)OR^a$, $OR^cC(O)N(R^a)_2$, $S(O)tR^a$, $S(O)tN(R^a)_2$, and $S(O)tOR^a$.

25. The compound of claim 24, wherein the compound is of formula (Xc):

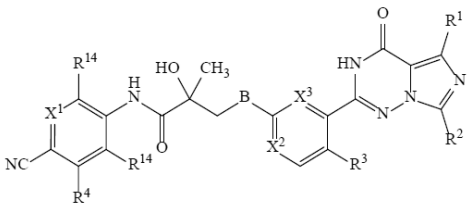


(Xc)

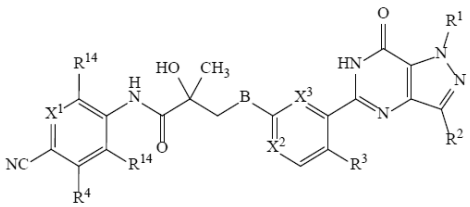
or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

B is -NH-, -O-, -S-, or -$S(O)_2$-.

26. The compound of claim 1, wherein the compound is of formula (XIa) or formula (XIb):



(XIa) or



(XIb)

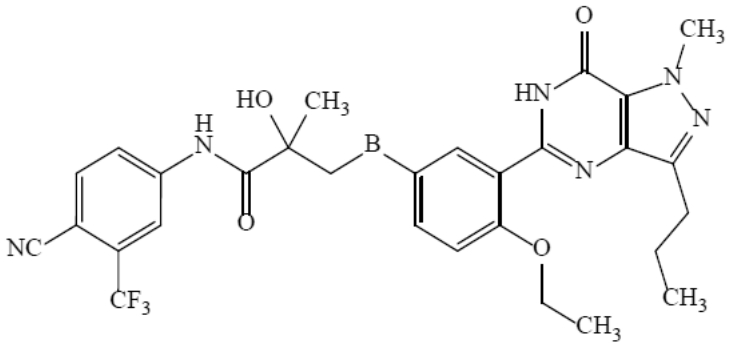
or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

B is –$NHS(O)_2$-, -O-, -S-, or –$S(O)_2$-; and

R^3 is H or $O(C_1$-$C_6)$alkyl, wherein the $O(C_1$-$C_6)$ alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; and

R^4 is H, halogen, CN, NO_2, $(C_1$-$C_5)$ alkyl, NH_2, or OH, wherein the $(C_1$-$C_5)$ alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, CN, NO_2, =NH, $=NNH_2$, =NOH, OH, =O, =S, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl.

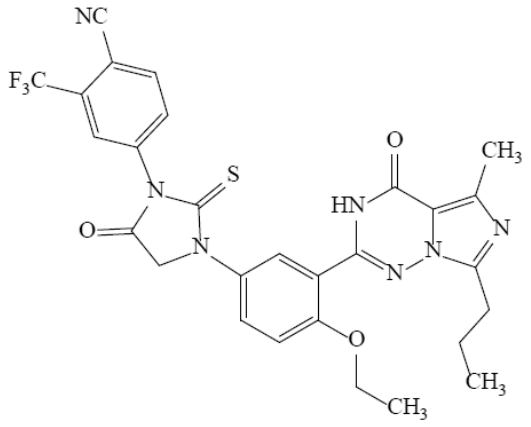
27. The compound of claim 26, wherein the compound is of formula (XIc):



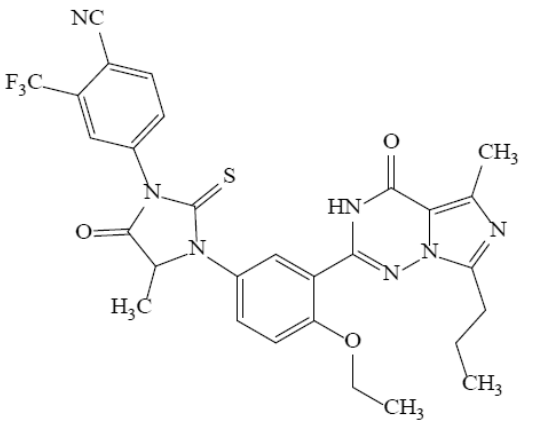
(XIc)

or a pharmaceutically acceptable salt or stereoisomer thereof.

28. The compound of claim 9, wherein the compound is selected from the group consisting of:



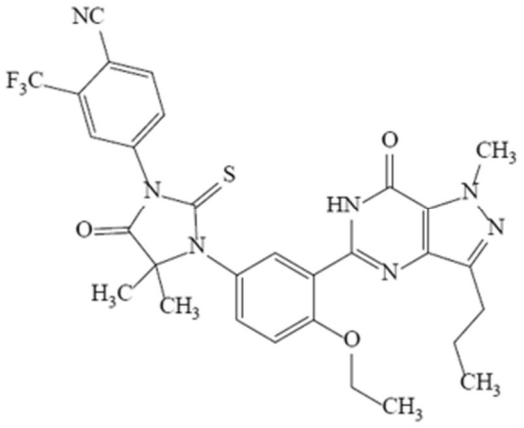
and



,

or a pharmaceutically acceptable salt or stereoisomer thereof.

29. The compound of claim 9, wherein the compound is selected from the group consisting of: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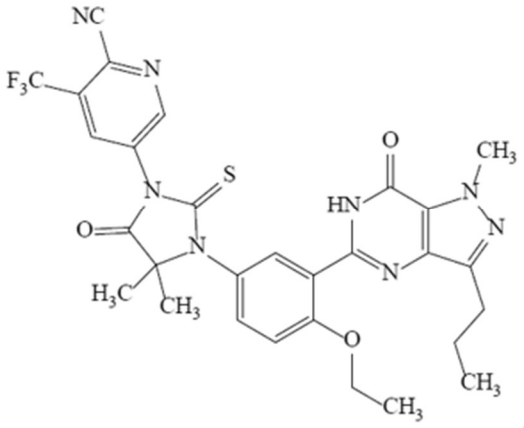
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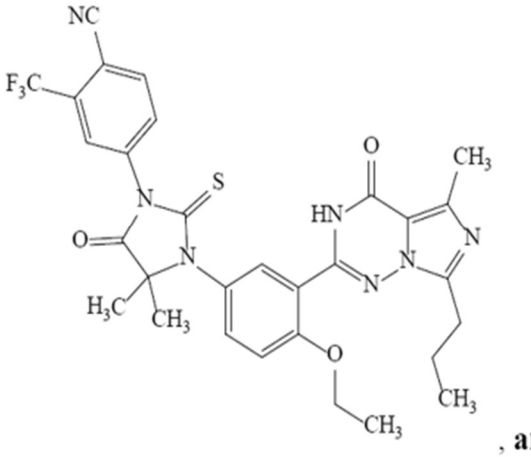
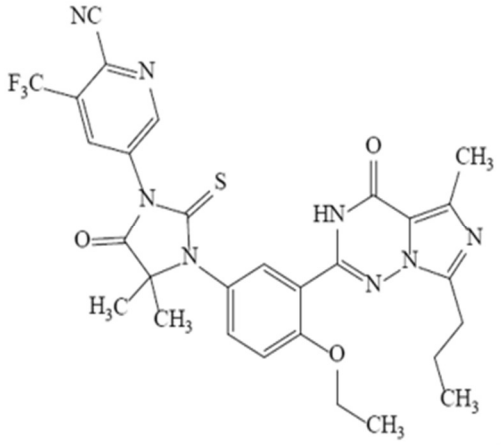
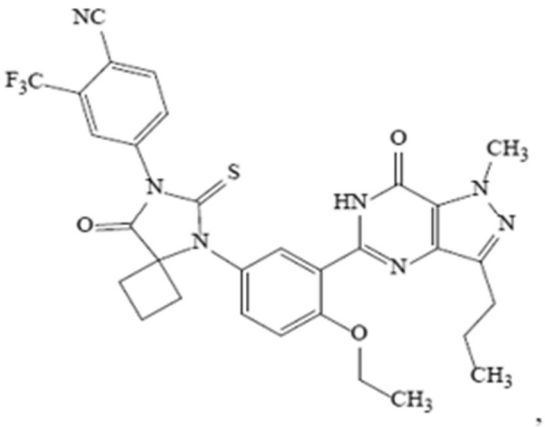

, **and**

,

or a pharmaceutically acceptable salt thereof.

30. The compound of claim 10, wherein the compound is selected from the group consisting of: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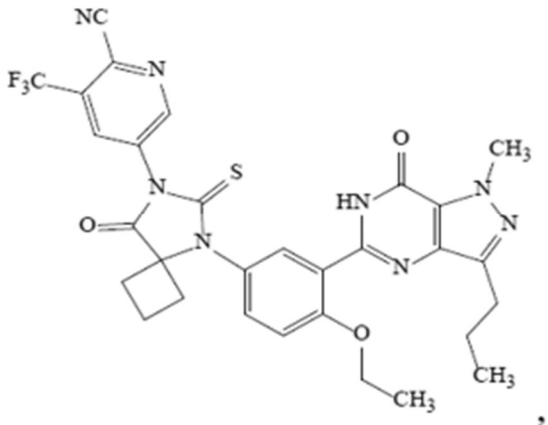
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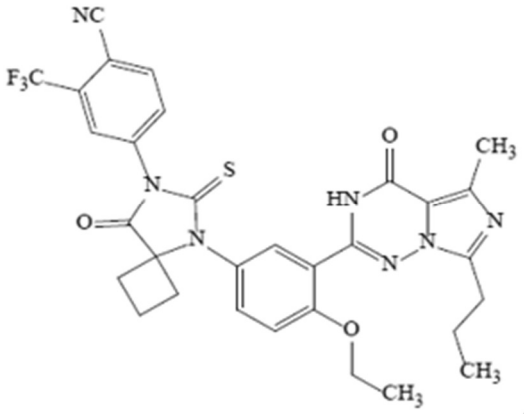
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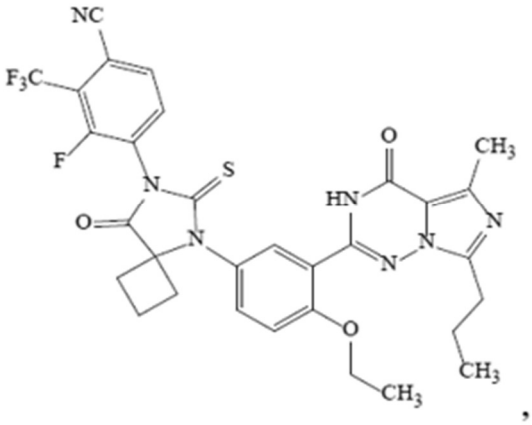
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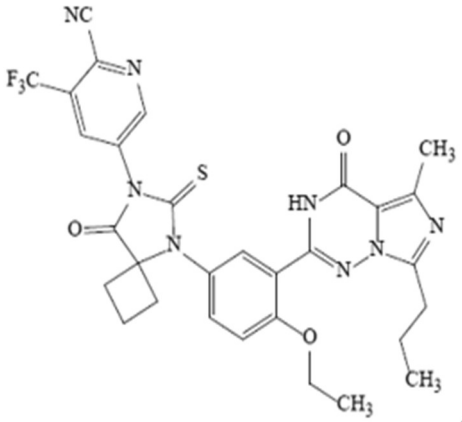
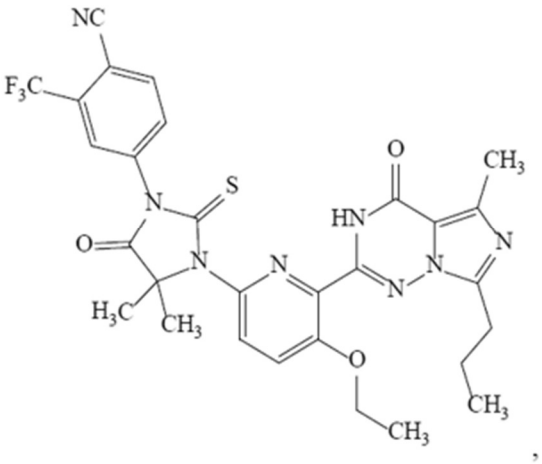

and ,

or a pharmaceutically acceptable salt thereof.

31. The compound of claim 11, wherein the compound is selected from the group consisting of: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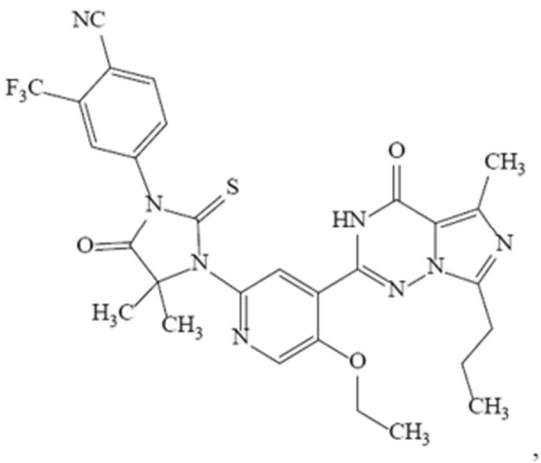
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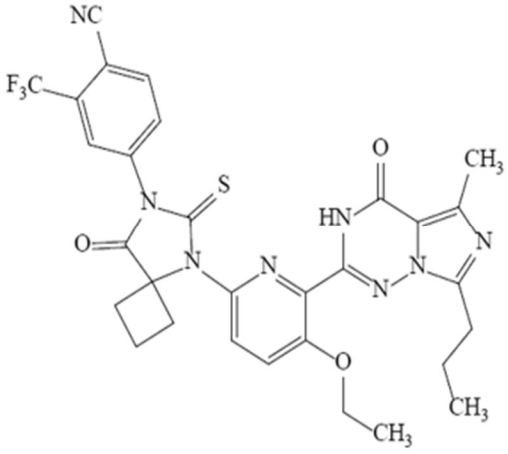
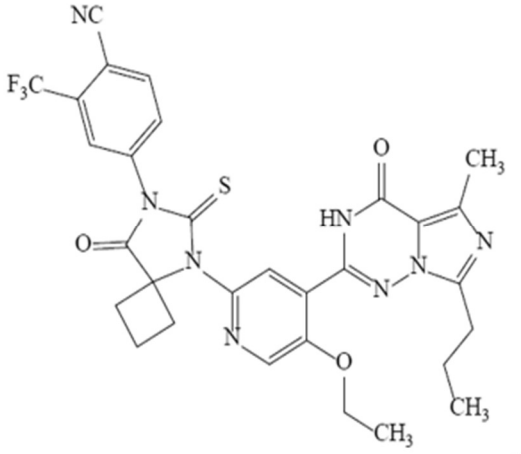
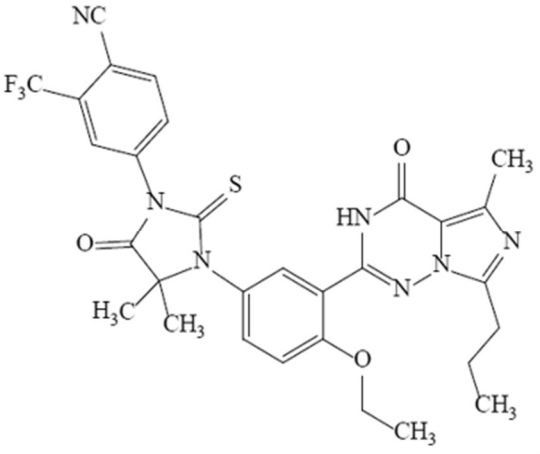


, **and**


,

or a pharmaceutically acceptable salt thereof.

32. The compound of claim 12, wherein the compound is selected from the group consisting of:



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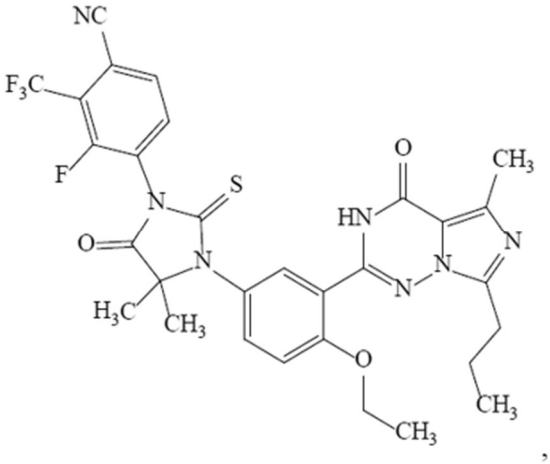

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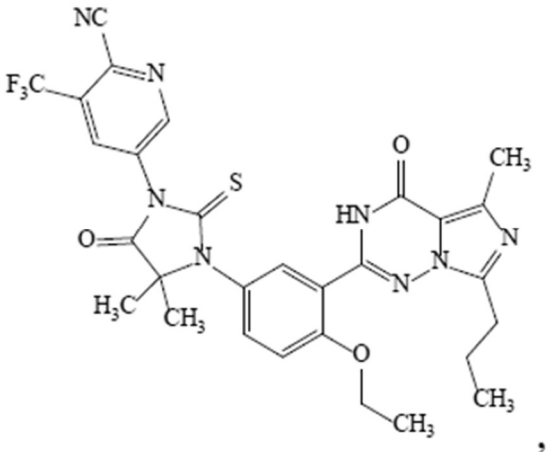
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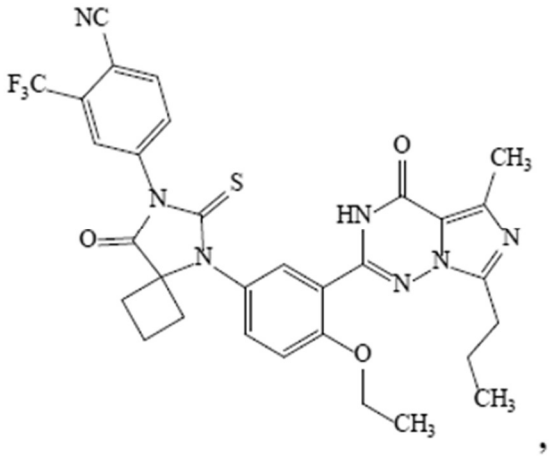
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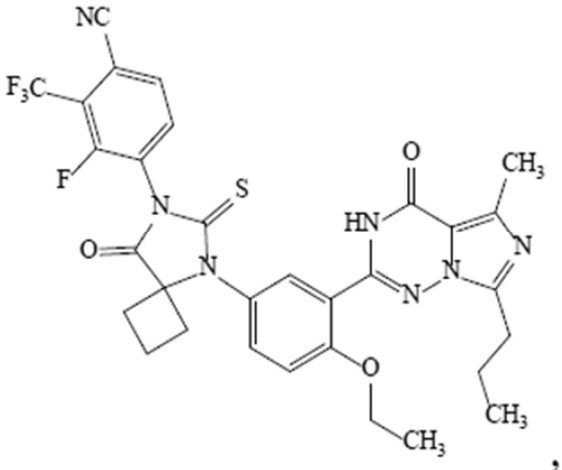
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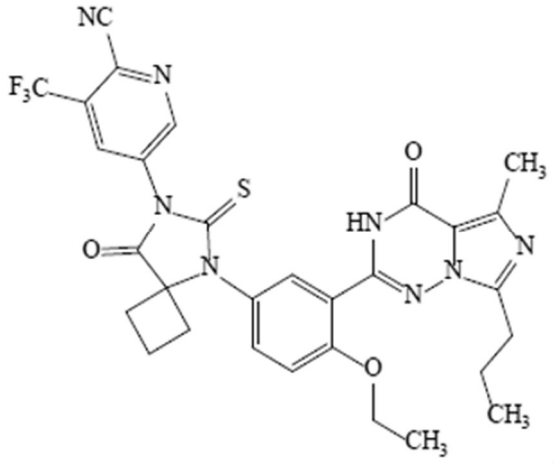
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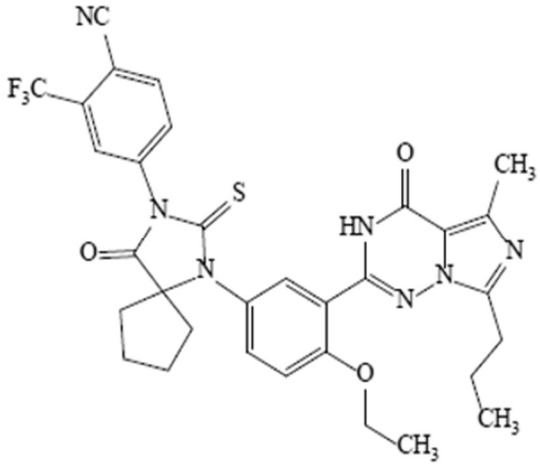
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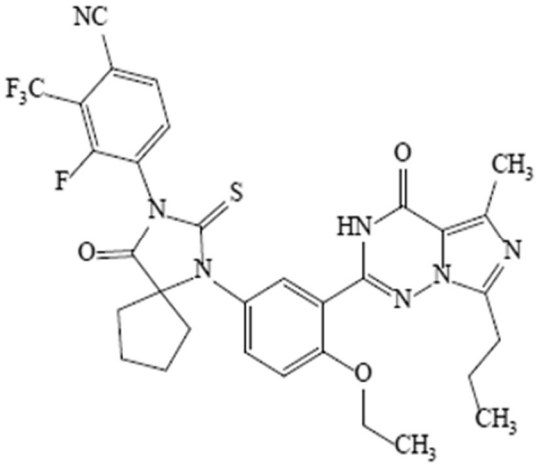
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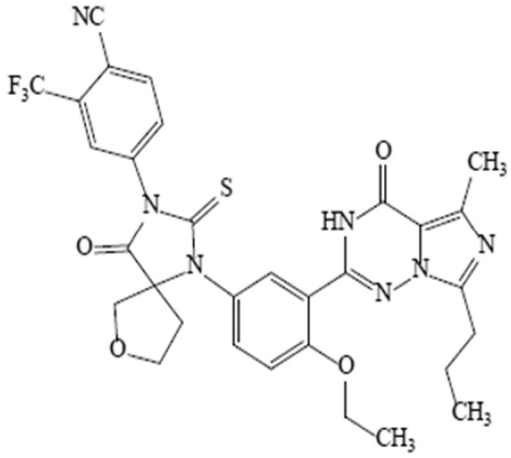
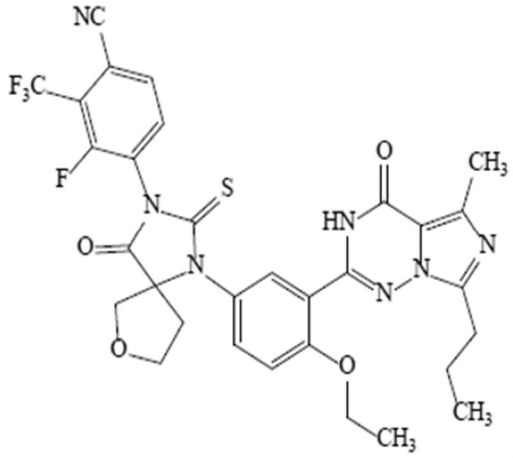
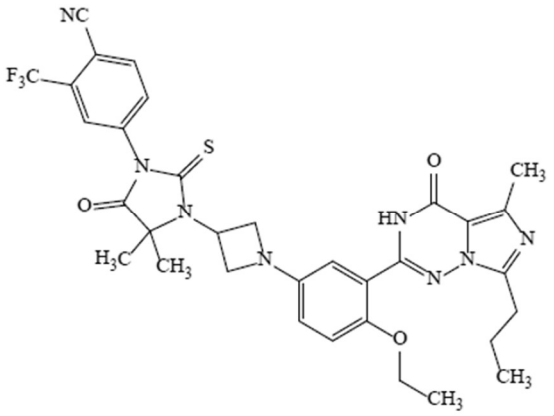


, and ,

or a pharmaceutically acceptable salt or stereoisomer thereof.

33. The compound of claim 14, wherein the compound is selected from the group consisting of: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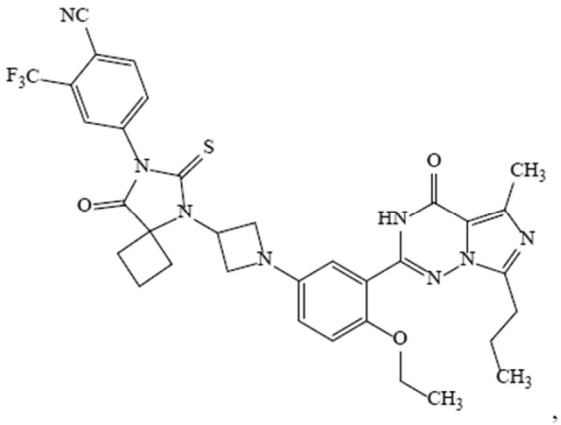
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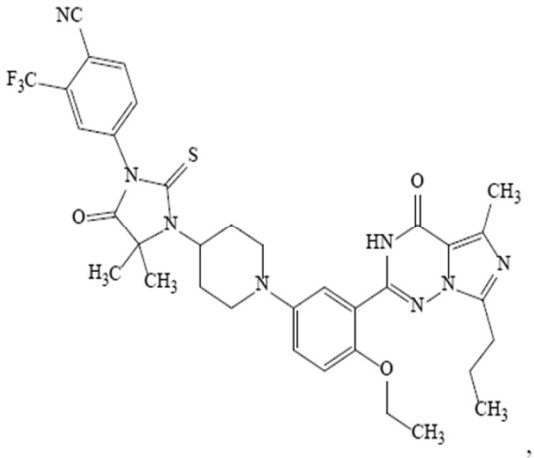
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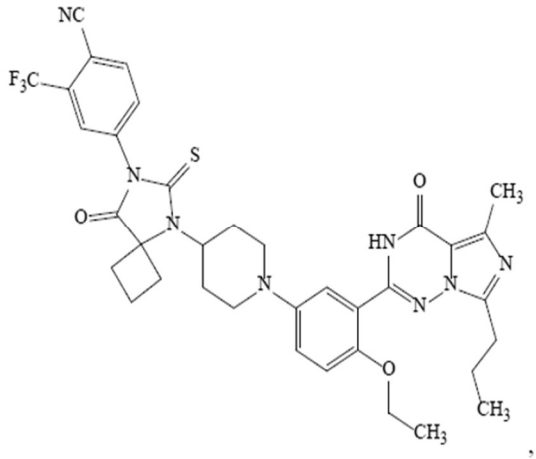
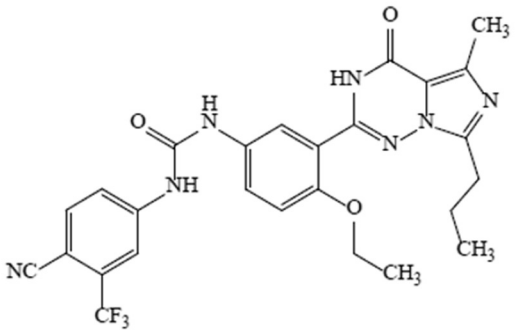
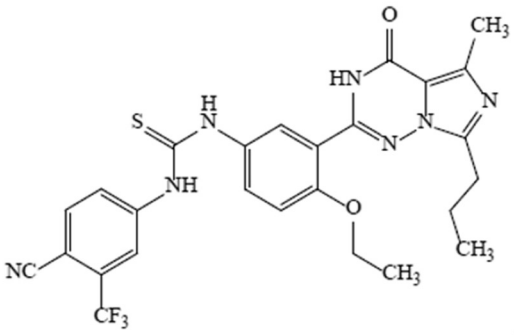

,

or a pharmaceutically acceptable salt thereof.

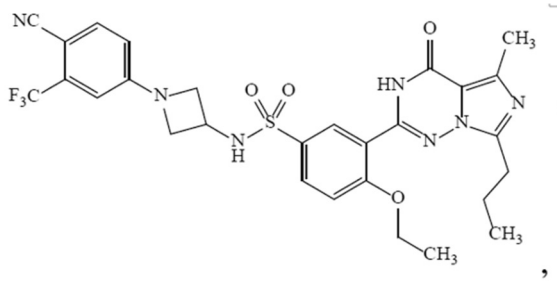
34. The compound of claim 17, wherein the compound is selected from the group consisting of:

and ,

or a pharmaceutically acceptable salt thereof.

35. The compound of claim 20, wherein the compound is selected from the group consisting of: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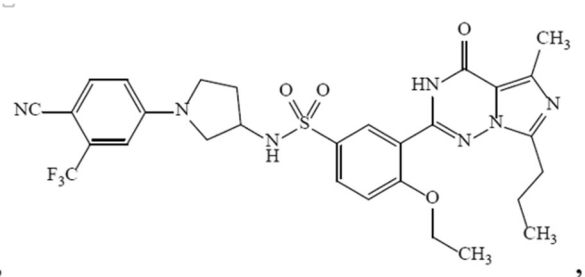
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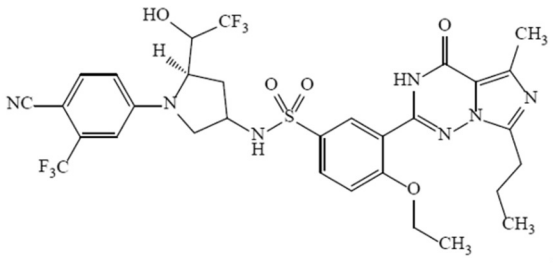
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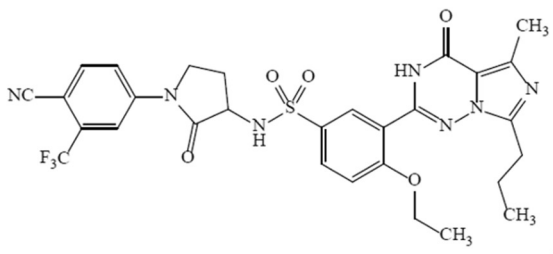
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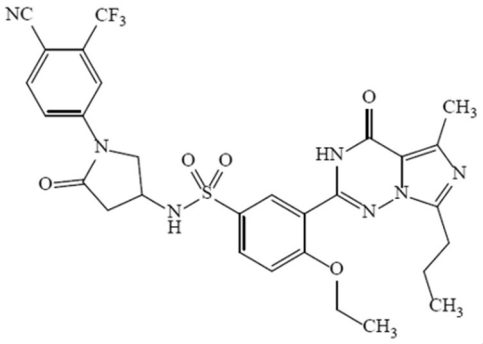

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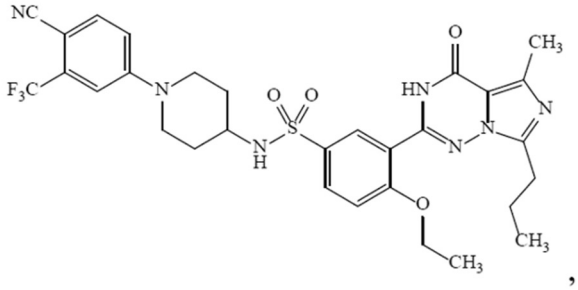
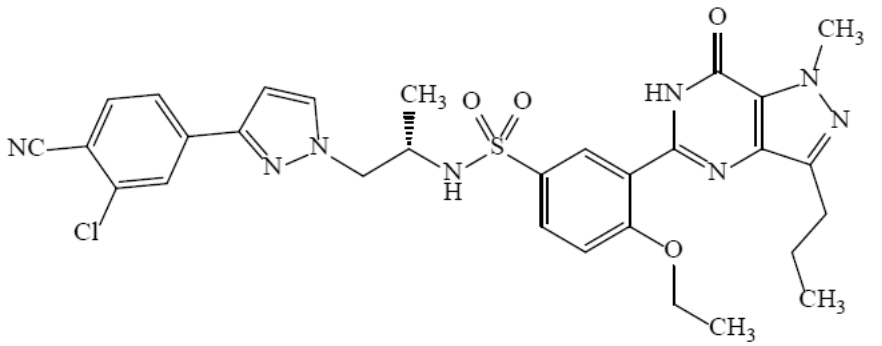


,

or a pharmaceutically acceptable salt or stereoisomer thereof.

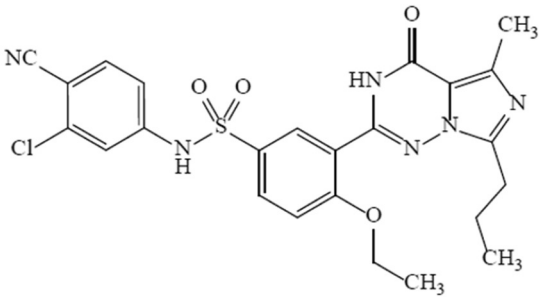
36. The compound of claim 21, wherein the compound is:



,

or a pharmaceutically acceptable salt thereof.

37. The compound of claim 23, wherein the compound is selected from the group consisting of:



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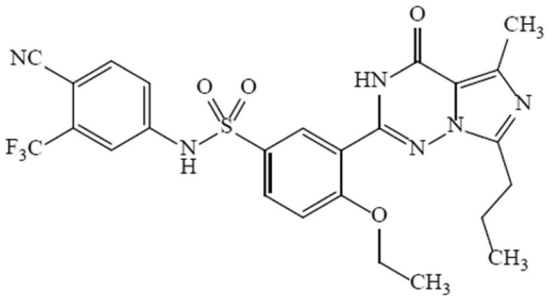

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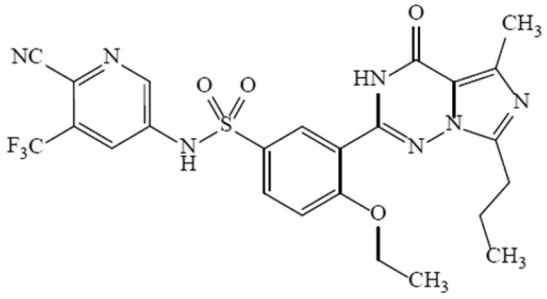
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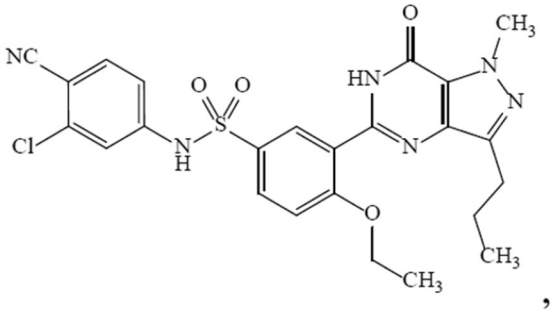
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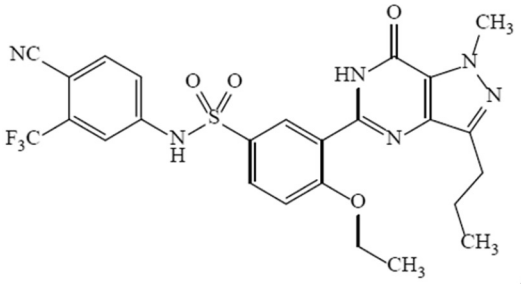
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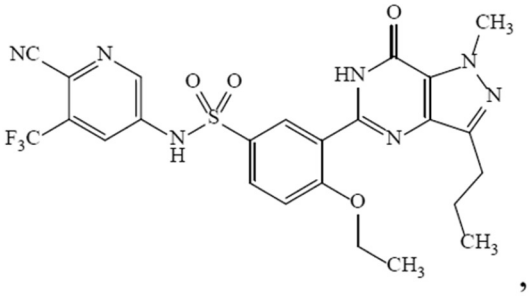
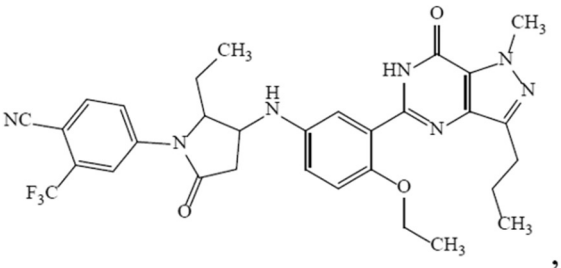

,

or a pharmaceutically acceptable salt thereof.

38. The compound of claim 25, wherein the compound is selected from the group consisting of: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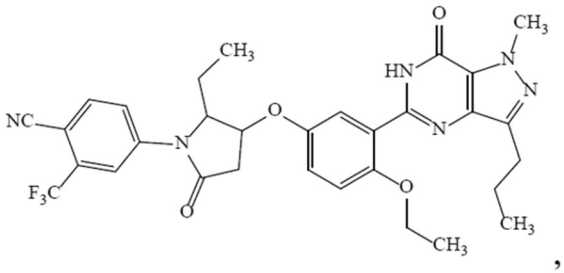
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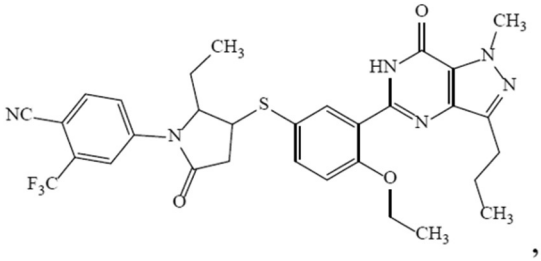
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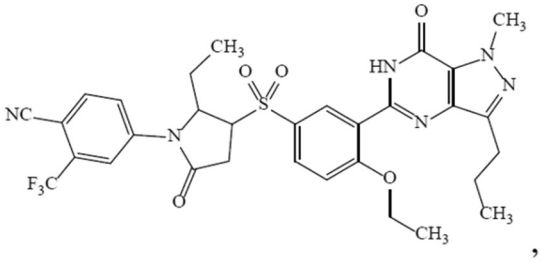
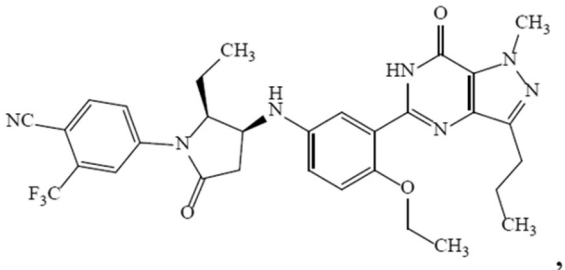

,

or a pharmaceutically acceptable salt or stereoisomer thereof.

39. The compound of claim 38, or a stereoisomer thereof, wherein the stereoisomer

of the compound is selected from the group consisting of: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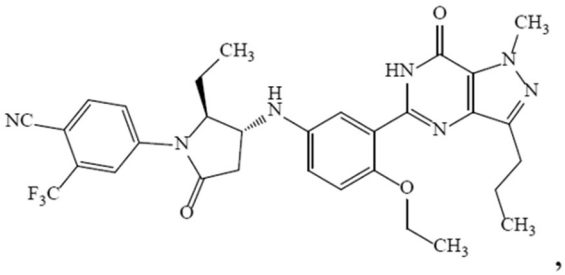
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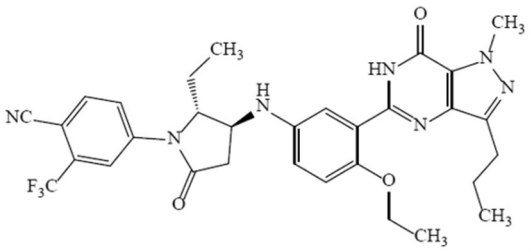
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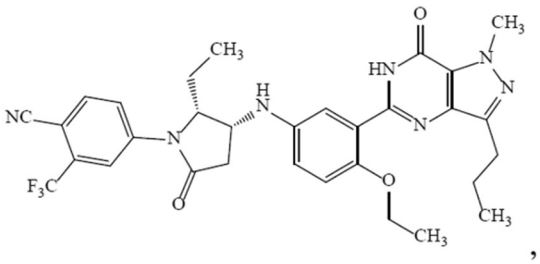
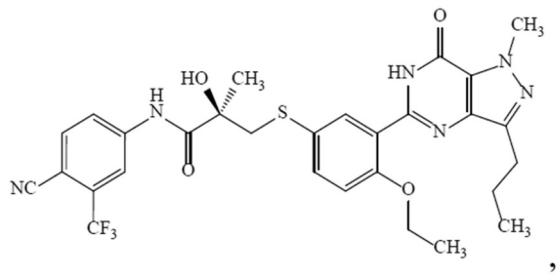

,

or a pharmaceutically acceptable salt thereof.

40. The compound of claim 27, wherein the compound is selected from the group consisting of: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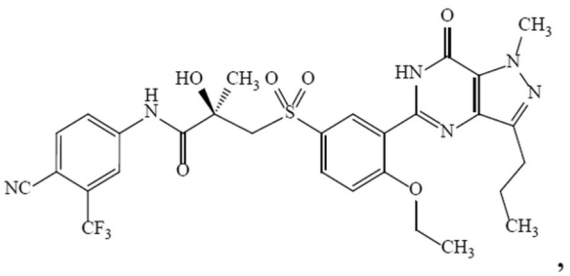
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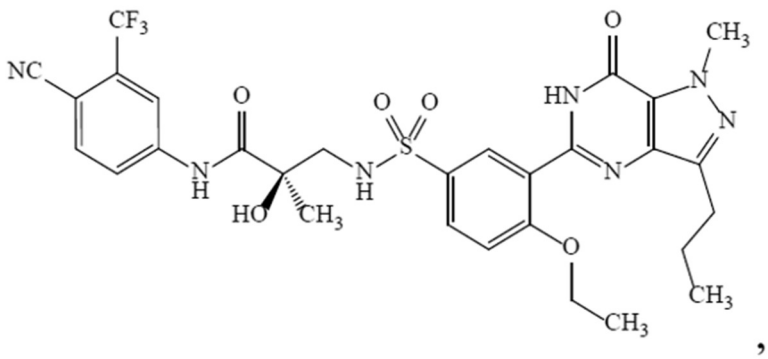
and
,

or a pharmaceutically acceptable salt thereof.

41. A pharmaceutical composition comprising at least one pharmaceutically acceptable excipient and a therapeutically effective amount of a compound of claim 1, or a pharmaceutically acceptable salt or stereoisomer thereof.

42. A method for modulating phosphodiesterase-5 activity (PDE-5) in a biological system, wherein the method comprises contacting the biological system with an effective amount of a compound of claim 1, or a pharmaceutically acceptable salt or stereoisomer thereof, wherein the biological system is comprised in a sample *in vitro*.

43. A method for modulating androgen receptor activity in a biological system, wherein the method comprises contacting the biological system with an effective amount of a compound of claim 1, or a pharmaceutically acceptable salt or stereoisomer thereof, and wherein the biological system is comprised within a sample *in vitro*.

44. Use of a pharmaceutical composition comprising a compound according to any one of claims 1 to 40, or a pharmaceutically acceptable salt or stereoisomer thereof in manufacture of a medicament for modulating phosphodiesterase-5 activity (PDE-5).

45. Use of a pharmaceutical composition comprising a compound according to any one of claims 1 to 40, or a pharmaceutically acceptable salt or stereoisomer thereof in manufacture of a medicament for modulating androgen receptor activity.